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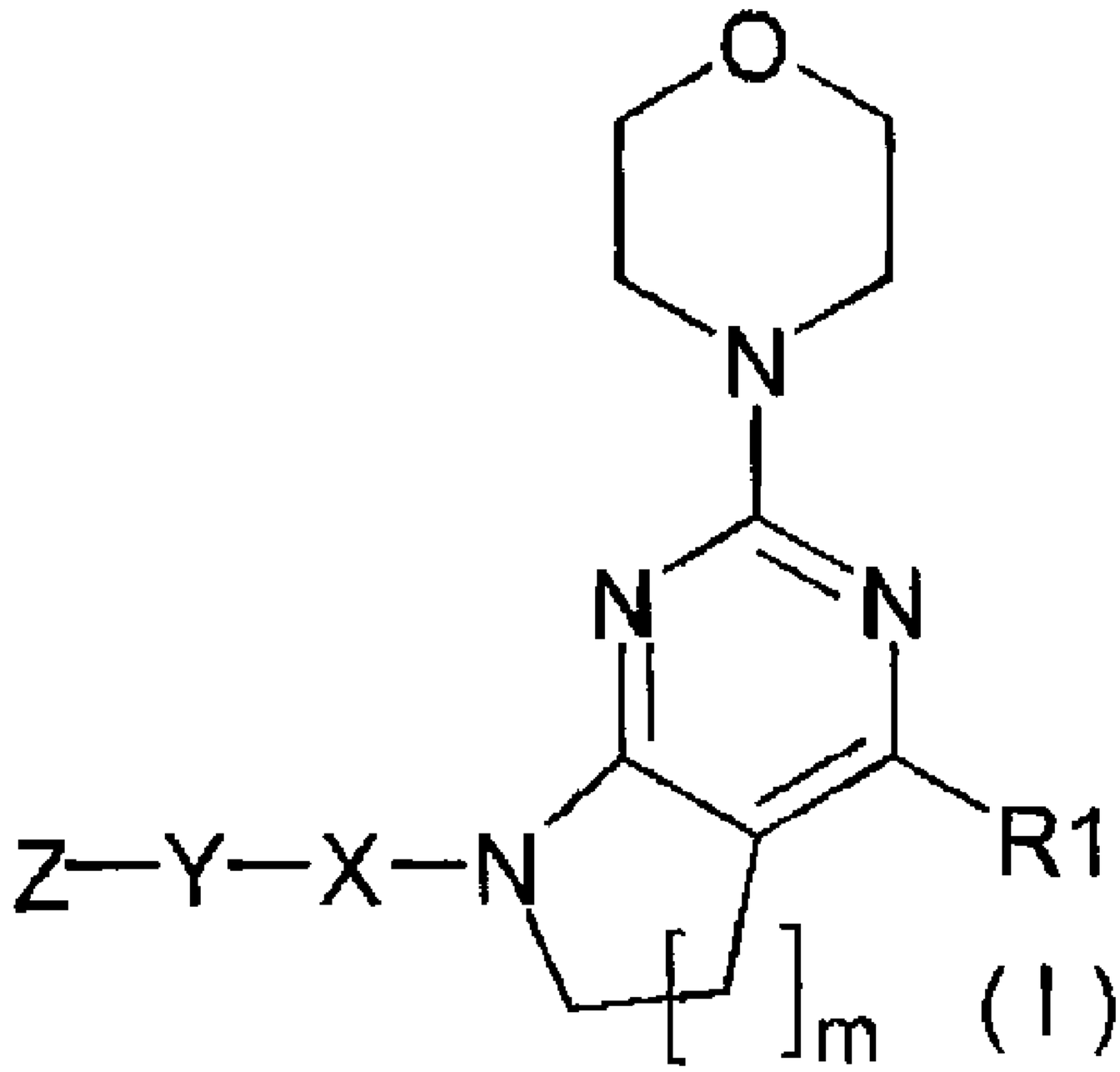
(54) Titre : DERIVE DE PYRIMIDINE COMME INHIBITEUR DE LA PI3K ET SON UTILISATION
(54) Title: PYRIMIDINE DERIVATIVE AS PI3K INHIBITOR AND USE THEREOF



(I)

(57) Abrégé/Abstract:
A drug is provided that is useful as a preventive or therapeutic for cancer as a result of having superior PI3K inhibitory effects as well as superior stability in the body and water-solubility. A compound, or pharmaceutically acceptable salt thereof, represented by formula (I): (Se formula I) [wherein, X represents a single bond, etc.; Y represents a single bond, etc. (provided that X and Y are not simultaneously single bonds); Z represents a hydrogen atom, etc.; m represents an integer of 1 or 2; and R^1 represents a cyclic substituent].

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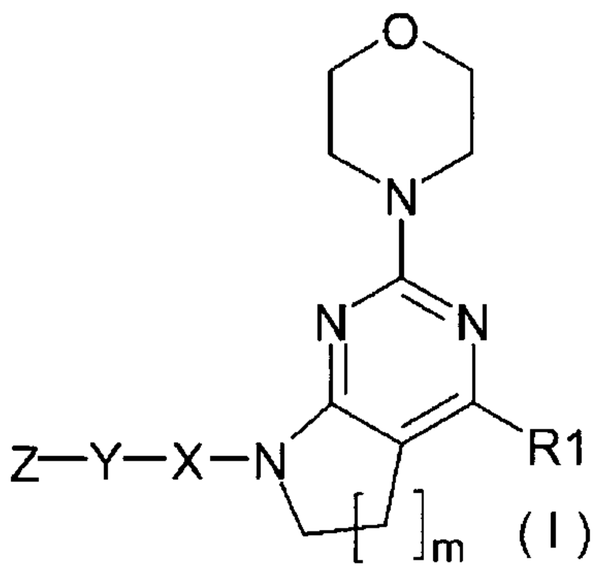
ABSTRACT

A drug is provided that is useful as a preventive or therapeutic for cancer as a result of having superior PI3K inhibitory effects as well as superior stability in the body and water-solubility.

A compound, or pharmaceutically acceptable salt thereof, represented by formula (I):



[wherein, X represents a single bond, etc.; Y represents a single bond, etc. (provided that X and Y are not simultaneously single bonds); Z represents a hydrogen atom, etc.; m represents an integer of 1 or 2; and R^1 represents a cyclic substituent].

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JUMBO APPLICATIONS / PATENTS

THIS SECTION OF THE APPLICATION / PATENT CONTAINS MORE THAN ONE VOLUME.

THIS IS VOLUME __1___ OF __3___

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DESCRIPTION

PYRIMIDINE DERIVATIVE AS PI3K INHIBITOR AND USE THEREOF

TECHNICAL FIELD

[0001]

The present invention relates to a novel condensed pyrimidine derivative and a pharmaceutically acceptable salt thereof, a pharmaceutical composition containing the same, and synthetic intermediates and the like thereof.

BACKGROUND ART

[0002]

Phosphatidylinositol 3-kinase (PI3K) is known as a kind of phosphorylases of phosphatidylinositol that phosphorylates 3-position of an inositol ring, and is expressed over a wide range throughout the body. The PI3K is known to be activated by stimulation including growth factors, hormones and the like, activate Akt and PDK1, and be involved in survival signals that inhibit cell death, cytoskeleton, glucose metabolism, vesicular transport and the like. In addition, the phosphatidylinositols phosphorylated at position 3 that are formed by PI3K function as messengers of these information transfer systems (Phosphatidylinositol 3-kinases in tumor progression. Eur. J. Biochem. 268, 487-498 (2001); Phosphoinositide 3-kinase: the key switch mechanism in insulin signaling. Biochem. J. 333, 471-490 (1998); Distinct roles of class I and class III phosphatidylinositol 3-kinase in phagosome formation and maturation. J. C. B., 155(1), 19-25 (2001) and the like).

[0003]

PI3K is categorized into three classes consisting of

Class I, Class II and Class III according to the type of phosphatidylinositols serving as a substrate.

[0004]

Although Class 1 enzymes form phosphatidylinositol (3,4,5)-triphosphate [PI(3,4,5)P3] by using phosphatidylinositol (4,5)-bisphosphate [PI(4,5)P2] as a substrate in vivo, it is able to use phosphatidylinositol (PI) and phosphatidylinositol (4)-phosphate [PI(4)P] as a substrates in vitro. Further, Class I enzymes are categorized into Class Ia and Ib according to the activation mechanism. Class Ia includes the p110α, p110β and p110δ subtypes, and each forms a heterodimer complex with a regulatory subunit (p85) and is activated by a tyrosine kinase receptor and the like. Class 1b includes a p110γ subtype that is activated by the βγ subunit (Gβγ) of a trimer G protein, and forms a heterodimer with a regulatory subunit(p101).

[0005]

Class II enzymes include the PI3KC2α, C2β and C2γ subtypes, that use PI and PI(4)P as substrates. These enzymes have a C2 domain on the C terminal, and regulatory subunits as observed for Class I enzymes have not yet to be discovered.

[0006]

Class III enzymes only use PI as a substrate, and are reported to be involved in membrane transport control as a result of interaction between p150 and human Vps34, a human homolog of Vps34 isolated from yeast.

[0007]

As a result of analyses using these PI3K knockout mice, p110δ in Class Ia has been reported to be involved in the differentiation and function of T cells and B cells,

while p110γ in Class 1b has been reported to be involved in abnormalities of migration of eosinophils, mast cells, platelets and myocardial cells (Phosphoinositide 3-kinase signaling - which way to target? Trends in Pharmacological Science, 24(7), 366-376 (2003)).

[0008]

On the basis of these results, the targeting of p110δ and p110γ of Class I is expected to be useful against autoimmune diseases, inflammations, asthma, heart disease and the like.

[0009]

Recently, a gene amplification of PIK3CA encoding p110α, constitutive activation due to mutation, and high expression of p110α at the protein level have been reported in numerous types of cancers (and particularly ovarian cancer, colon cancer and breast cancer). As a result, inhibition of apoptosis by constitutive activation of survival signals is believed to be partially responsible for the mechanism of tumorigenesis (PIK3CA is implicated as an oncogene in ovarian cancer. Nature Genet. 21, 99-102, (1999); High frequency of mutations of the PIK3CA gene in human cancers. Science, 304, 554, (2004); Increased levels of phosphoinositol 3-Kinase activity in colorectal tumors. Cancer, 83, 41-47 (1998)).

[0010]

In addition, the deletion or mutation of PTEN, a phospholipid phosphatase which hydrolizes PI(3,4,5)P3 that is one of the products of PI3K, has been reported in numerous cancers. Since PTEN functions as a suppressor of PI3K as a result of using PI(3,4,5)P3 as a substrate, deletion or mutation of PTEN is thought to lead to activation of PI3K in the PI3K signal.

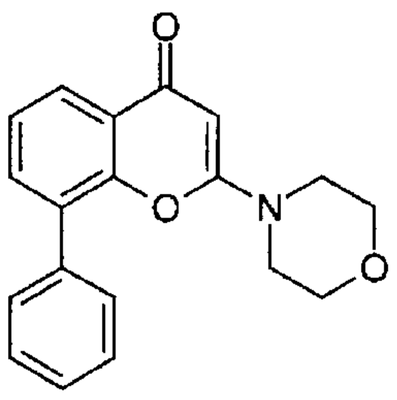
[0011]

On the basis of these reasons, useful anticancer action is expected to be obtained by inhibiting the activity of p110α in particular in cancers with elevated PI3K activity.

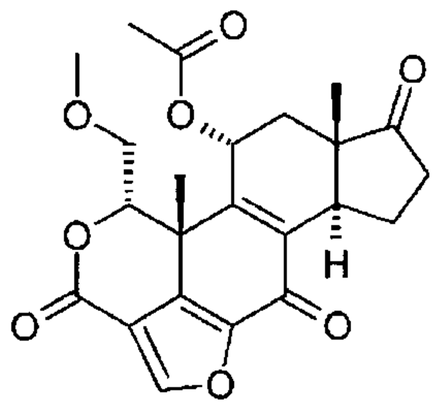
[0012]

In this manner, Wortmannin (Non-Patent Document 1) and LY294002 (Non-Patent Document 2) are known to be specific inhibitors of PI3K, that are expected to be useful in the fields of immune diseases, anti-inflammatory agents, anticancer agents and the like.

[0013]



LY294002



Wortmannin

[0014]

Although numerous compounds having PI3K inhibitory action have recently been reported, none have yet to be used in clinical studies as pharmaceuticals in the form of anticancer agents, and have been limited to experimental studies on anticancer action based on the PI3K inhibitory action thereof, thus creating the desire for the prompt development of anticancer agents and the like having PI3K inhibitory action that are able to be used clinically.

[0015]

On the other hand, compounds composed of a simple structure having a dimethylamino group at position 4 are known as multisubstituted bicyclic pyrimidines, and particularly 2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine derivatives (see Non-Patent Document 3).

Although these derivatives have been suggested to have effect on hypoxemia accompanying respiratory diseases, their anticancer action or PI3K inhibitory action has neither been disclosed nor suggested.

[0016]

Separate from this, 2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine derivatives having a nitrogen atom-mediated substituent or linear hydrocarbon group at 4-position have been reported to be effective against hypoxemia accompanying respiratory diseases (see Patent Document 1). However, their anticancer action or PI3K inhibitory action has neither been disclosed nor suggested.

[0017]

In contrast, a compound of the present invention to be described to follow in the form of 2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine derivatives or 2-morpholin-4-yl-5,6,7,8-tetrahydro-pyrrido[2,3-d] pyrimidine derivatives having an unsaturated cyclic group directly bonded to a carbon atom at 4-position as in general formula (I) has heretofore not been known, and the usefulness of these derivatives as anticancer agents and the like having PI3K inhibitory action is also not known.

Patent Document 1: WO9105784

Non-Patent Document 1: H. Yano et al., J. Biol. Chem., 268, 25846, 1993

Non-Patent Document 2: C.J. Vlahos et al., J. Biol. Chem., 269, 5241, 1994

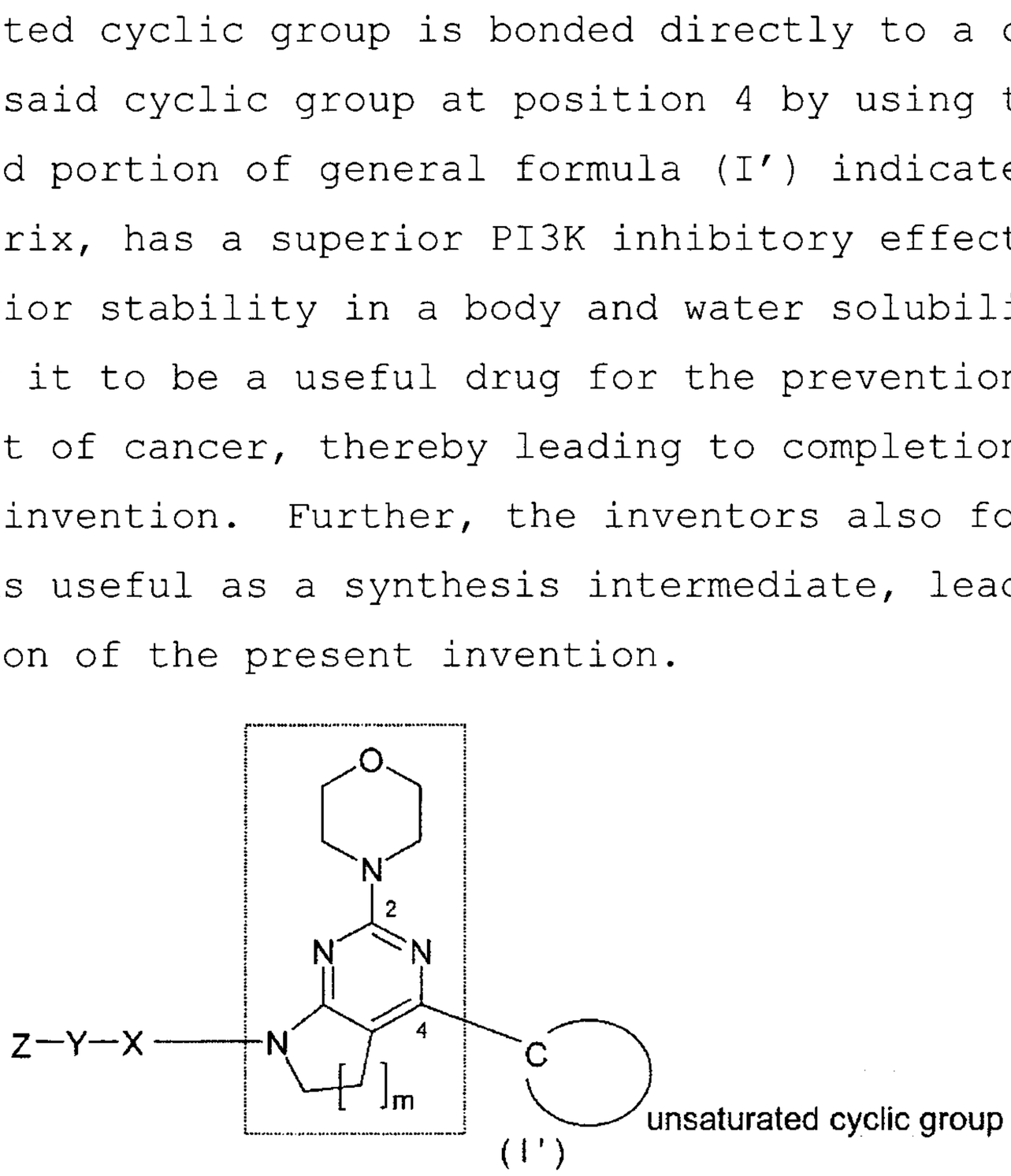
Non-Patent Document 3: Tetrahedron Letter 46 (2005), 1177-1179

DISCLOSURE OF THE INVENTION

Problems to be Solved by the Invention

[0018]

As a result of conducting extensive studies to develop a compound that is useful as an anticancer agent having inhibitory activity on PI3K and superior safety, the inventors of the present invention found that a 2-morpholin-4-yl-6,7-dihydro- 5H-pyrrolo[2,3-d]pyrimidine derivative or 2-morpholin-4-yl- 5,6,7,8-tetrahydro-pyrrido[2,3-d]pyrimidine derivative, in which a specific unsaturated cyclic group is bonded directly to a carbon atom of said cyclic group at position 4 by using the encircled portion of general formula (I') indicated below as a matrix, has a superior PI3K inhibitory effect as well as superior stability in a body and water solubility allowing it to be a useful drug for the prevention or treatment of cancer, thereby leading to completion of the present invention. Further, the inventors also found the compounds useful as a synthesis intermediate, leading to completion of the present invention.



(I')

Means for Solving the Problems

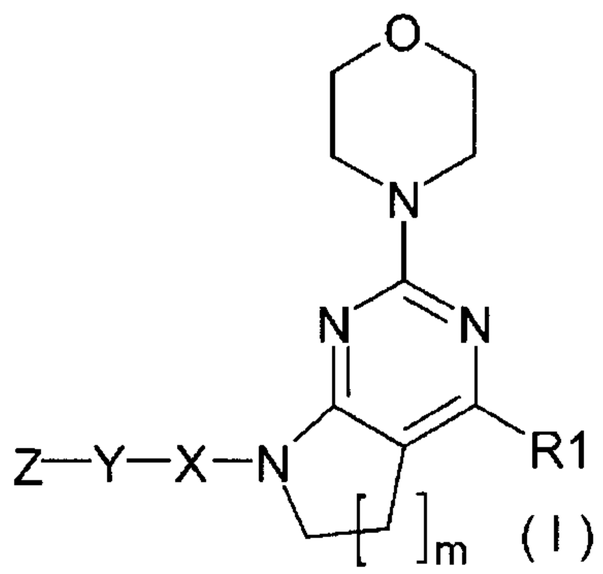
[0019]

Namely, the present invention provides a compound indicated below, a pharmaceutical composition comprising that compound, and synthesis intermediates thereof.

[0020]

The present invention relates to a compound, or

pharmaceutically acceptable salt thereof, represented by formula (I):



[0021]

[wherein,

X represents a single bond, or a linking group selected from -CO-, $-SO_2-$, -CS- or $-CH_2-$;

Y represents a single bond or a divalent linking group derived from a ring selected from benzene, pyridine, pyrimidine, pyrazole, imidazole, oxazole, thiazole, furan, thiophene, quinoline, benzoimidazole, benzothiazole, benzopyrazole, naphthalene and benzothiophene (said linking group may be unsubstituted or substituted at 1 to 6 locations by a halogen atom, $-C_{1-6}$ alkyl or $-OC_{1-6}$ alkyl);

[0022]

X and Y are not simultaneously single bonds;

Z represents a hydrogen atom or a substituent selected from the following group A:

Group A:

$-C_{1-6}$alkyl,

-ethynyl,

-halogenoC_{1-6}alkyl,

-Cyc,

-C_{1-6}alkylene-OR,

-C_{1-6}alkylene-COR,

-C_{1-6}alkylene-COOR,

-C_{1-6}alkylene-CONRR',

-C_{1-6}alkylene-NRR',

-C_{1-6}alkylene-Cyc,

-C_{1-6}alkylene-CO-Cyc,

-C_{1-6}alkylene-O-C_{1-6}alkylene-Cyc,

-C_{1-6}alkylene-SO_2R,

-C_{1-6}alkylene-SO_2-Cyc,

-halogen,

-CN,

-SO_2R,

-SO_2-NRR',

-SO_2-NR-Cyc,

-SO_2-NR-C_{1-6}alkylene-Cyc,

-SO_2-Cyc,

-COR,

-CO-Cyc,

-CO-Cyc-C_{1-6}alkylene-Cyc,

-CO-C_{1-6}alkylene-Cyc,

-CO-Cyc-Cyc,

-COOR,

-CONRR',

-CONR-C_{1-6}alkylene-OR',

-CONR-C_{1-6}alkylene-CONR'R",

-CONR-Cyc,

-CONR-C_{1-6}alkylene-Cyc,

-OR,

-O-allyl,

-O-halogenoC_{1-6}alkyl,

-O-C_{1-6}alkylene-NRR',

-O-C_{1-6}alkylene-CONRR',

-O-C_{1-6}alkylene-NRCOR',

-NRR',

-NH-NH_2,

-NRCOR',

-NRCO-Cyc,

-NRCO-C_{1-6}alkylene-Cyc,

-NRCO-C_{1-6}alkylene-OR',

-NR-C_{1-6}alkylene-COOR',

-NR-C_{1-6}alkylene-CONR'R",

-NR-C_{1-6}alkylene-NR'R",

-NR-C_{1-6}alkylene-NR'COR",

-NR-C_{1-6}alkylene-OR',

-NR-Cyc,

-NR-Cyc-Cyc,

-NR-Cyc-CO-Cyc,

-NR-Cyc-CO-C_{1-6}alkylene-Cyc,

-NR-Cyc-NR'-Cyc,

-NR-Cyc-NR'-C_{1-6}alkylene-Cyc,

-NR-C_{1-6}alkylene-Cyc,

-NR-C_{1-6}alkylene-Cyc-CO-Cyc,

-NR-C_{1-6}alkylene-Cyc-NR'-Cyc,

-$NRSO_2$R',

-S-C_{1-6}alkylene-CO-Cyc,

-S-C_{1-6}alkylene-COOR',

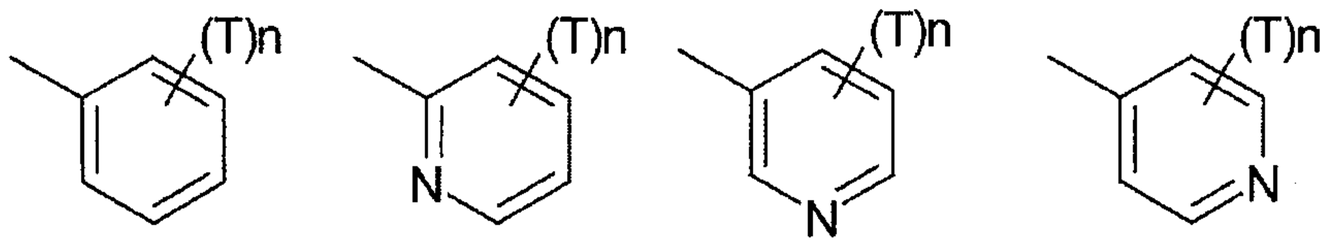
-S-C_{1-6}alkylene-NRCOR', and

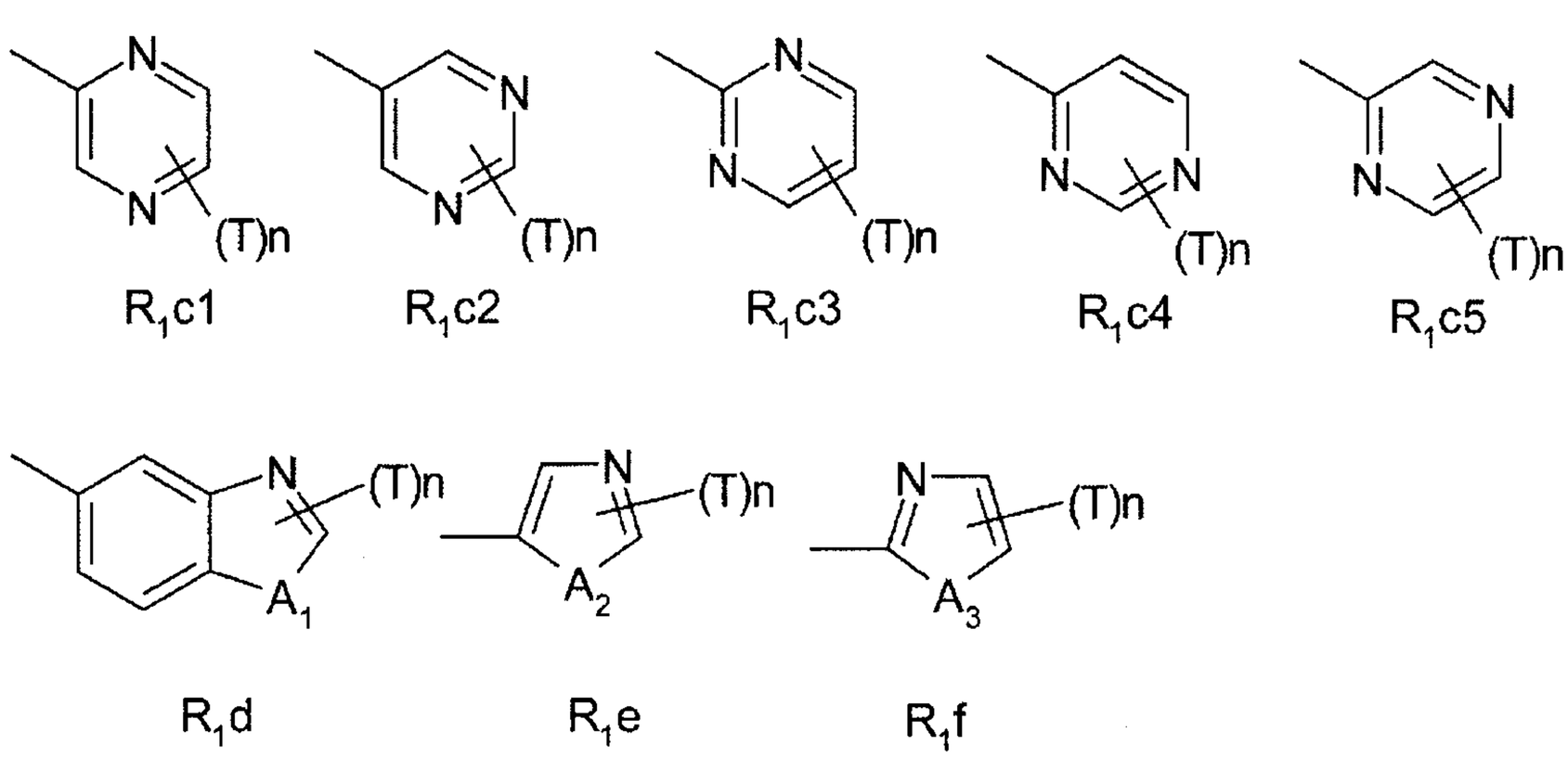
-S-C_{1-6}alkylene-CONRR';

m represents an integer of 1 or 2;

R^1 represents a cyclic substituent selected from the following group having n substituents T;

[0023]





[0024]

A_1, A_2 and A_3 are respectively and independently selected from NH, S or O;

T represents a substituent selected from the following group B:

Group B:

-Cyc,

-C_{1-6}alkyl,

-C_{1-6}alkylene-OR,

-C_{1-6}alkylene-NRR',

-C_{1-6}alkylene-CONRR',

-C_{1-6}alkylene-NRCOR',

-C_{1-6}alkylene-Cyc,

-OR,

-O-halogenoC_{1-6}alkyl,

-O-C_{1-6}alkylene-Cyc,

-O-COOR,

-O-COR,

-O-CONRR',

-NRR',

-NR-C_{1-6}alkylene-NR'R",

-NR-C_{1-6}alkylene-OR',

-halogen,

-CO-Cyc,

-CO-CyC-Cyc,

-CO-C_{1-6}alkylene-Cyc,

-COOR,

-COO-C_{1-6}alkylene-OR,

-COO-C_{1-6}alkylene-NRR',

-COO-C_{1-6}alkylene-Cyc,

-CONRR',

-CONR-C_{1-6}alkylene-OR',

-CONR-C_{1-6}alkylene-NR'R",

-CONR-C_{1-6}alkylene-CONR'R",

-CONR-Cyc,

-CONR-C_{1-6}alkylene-Cyc,

-SO_2NRR',

-NRSO_2R',

-CN, and

-NH-NH_2;

n represents an integer of 0, 1, 2, 3, 4 or 5 (T may be the same or different when n is 2 to 5);

in the aforementioned group A and group B,

R, R' and R" may be respectively and independently the same or different and represent a hydrogen atom or a -C_{1-6} alkyl (said -C_{1-6} alkyl may be substituted by a group selected from -OH, -O(C_{1-6} alkyl), -COOH, -COO(C_{1-6} alkyl), -$CONH_2$, -CONH(C_{1-6} alkyl), -CON(C_{1-6} alkyl)$_2$, -NHCO(C_{1-6} alkyl), -NH_2, -NH(C_{1-6} alkyl) and -N(C_{1-6} alkyl)$_2$);

Cyc represents a hydrocarbon ring or nitrogen-containing heterocyclic ring (said hydrocarbon ring and

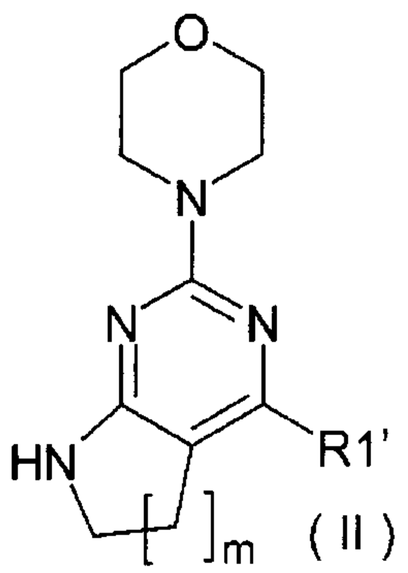
nitrogen-containing heterocylic ring may be substituted at 1 to 3 locations by a group selected from -R (R is not a hydrogen atom at this time), -CO-R, -COOR, -CONRR', -NRCOR', -halogeno C_{1-6} alkyl, halogen atom, -OR, -O-halogeno C_{1-6} alkyl, -NRR' and-SO_2R);

[0025]

said C_{1-6} alkylene in the groups A and B may be substituted at 1 to 3 locations by a group selected from -C_{1-6} alkyl, -OH, -$CONH_2$, -NH_2, -NH(C_{1-6} alkyl) and -N(C_{1-6} alkyl)$_2$; and R, R' and R" of said -NRR', -NR'R" or -CONRR' in the group A, group B and Cyc may form a 3- to 7-member nitrogen-containing saturated hydrocarbon ring together with an adjacent N].

[0026]

The present invention also relates to a compound represented by general formula (II) that is useful as a synthesis intermediate of the compound of formula (I):



(II)

[0027]

[wherein, m is the same as defined in formula (I), and $R^{1'}$ represents a group having the same meaning as R^1 of formula (I), or R^1 protected with a protecting group].

[0028]

The present invention also relates to a pharmaceutical composition comprising as an active ingredient thereof the above compound of formula (I) or a

pharmaceutically acceptable salt thereof; a PI3K inhibitor comprising as an active ingredient thereof the above compound of formula (I) or a pharmaceutically acceptable salt thereof; and a preventive agent or therapeutic agent of a proliferative disease comprising as an active ingredient thereof the above compound of formula (I) or a pharmaceutically acceptable salt thereof.

Effects of the Invention

[0029]

Since the compound of the present invention represented by formula (I) has superior PI3K inhibitory effects, superior cell proliferation inhibitory action and superior stability in a body and water solubility, it can be used as a preventive agent or therapeutic agent for a proliferative disease such as cancer. In addition, some of the compounds among the compounds represented by formula (I) are also useful as synthesis intermediates of other compounds. In addition, the compound represented by formula (II) is useful as a synthesis intermediate of the compound of the present invention represented by formula (I).

BEST MODE FOR CARRYING OUT THE INVENTION

[0030]

The following provides an explanation of the compound of the present invention, a production process thereof and a pharmaceutical containing that compound.

[0031]

The terms used in the present specification are defined as described below.

In the present specification, $-C_{1-6}$ alkyl refers to a linear or branched, monovalent saturated hydrocarbon group having 1 to 6 carbon atoms, and a preferable example

thereof is an alkyl group having 1 to 4 carbon atoms ($-C_{1-4}$ alkyl). Specific examples include -methyl, -ethyl, -n-propyl, -isopropyl, -n-butyl, -isobutyl, -t-butyl, -sec-butyl, -n-pentyl, -n-hexyl, -1-methylpentyl, -2-methylpentyl, -3-methylpentyl, -4-methylpentyl, -1,1-dimethylbutyl, -1,2-dimethylbutyl, -1,3-dimethylbutyl, -2,2-dimethylbutyl, -2,3-dimethylbutyl, -3,3-dimethylbutyl, -1-ethylbutyl, -2-ethylbutyl, -1,1,2-trimethylpropyl and -1,2,2-trimethylpropyl, while particularly preferable examples include -methyl, -ethyl, n-propyl and isopropyl.

In the present specification, $-C_{1-6}$ alkylene refers to a linear, divalent saturated hydrocarbon group having 1 to 6 carbon atoms, and specific examples of $-C_{1-6}$ alkylene include methylene, ethylene, propylene, butylene, pentylene and hexylene, and preferably methylene, ethylene, propylene and butylene. In addition, the $-C_{1-6}$ alkylene may be substituted by a group selected from $-C_{1-6}$ alkyl, -OH, $-CONH_2$, $-NH_2$, $-NH(C_{1-6}$ alkyl) and $-N(C_{1-6}$ alkyl)$_2$. The $-C_{1-6}$ alkylene is preferably substituted by a group selected from -OH, -methyl or -dimethylamino or is unsubstituted.

In the present specification, -allyl refers to -2-propenyl ($-CH_2-CH=CH_2$).

[0032]

In the present specification, -halogen refers to a monovalent group derived from a halogen atom (for example, F, Cl, Br or I). Examples include -F, -Cl, -Br and -I, and preferably -F and -Cl.

[0033]

A -halogeno-C_{1-6} alkyl refers to the above $-C_{1-6}$ alkyl substituted with one or more of the above halogen atoms, and preferably a $-C_{1-4}$ alkyl substituted with one or more -F or -Cl and more preferably one or more fluorine atoms, examples of which include -fluoro C_{1-4} alkyl such as -

trifluoromethyl, -difluoromethyl, -monofluoromethyl, -pentafluoroethyl, -tetrafluoroethyl, -trifluoroethyl, -difluoroethyl, -monofluoroethyl, -heptafluoropropyl, -hexafluoropropyl, -pentafluoropropyl, -tetrafluoropropyl, -trifluoropropyl, -difluoropropyl, -monofluoropropyl, -nanofluorobutyl, -octafluorobutyl, -heptafluorobutyl, -hexafluorobutyl, -pentafluorobutyl, -tetrafluorobutyl, trifluorobutyl, -difluorobutyl and -monofluorobutyl, and -chloro C_{1-4} alkyl groups such as -trichloromethyl, -dichloromethyl, -monochloromethyl, -pentachloroethyl, -tetrachloroethyl, -trichloroethyl, -dichloroethyl and -monochloroethyl. The -halogeno-C_{1-6} alkyl is more preferably -trifluoromethyl, -2-fluoroethyl, -2,2,2-trifluoroethyl, -3,3,3-trifluoropropyl or -4-fluorobutyl.

[0034]

In the present specification, unless specifically indicated otherwise, a hydrocarbon ring refers to an aromatic or non-aromatic monocyclic or bicyclic ring that can be present in the form of a monovalent or divalent hydrocarbon cyclic group. The number of atoms that compose the ring may be 3 to 10, and is preferably 6 to 10 when in the form of an unsaturated hydrocarbon ring, 3 to 6 when in the form of a saturated hydrocarbon ring, or 6 to 10 when in the form of a partially unsaturated hydrocarbon ring. Specific examples of hydrocarbon rings include aromatic hydrocarbon rings such as benzene or naphthalene; specific examples of non-aromatic hydrocarbon rings include saturated hydrocarbon rings such as cyclopropane, cyclobutane, cyclopentane, cyclohexane, spiro[2.3]hexane or spiro[3.3]heptane, and partially unsaturated hydrocarbon rings such as indane, tetrahydronaphthalene, cyclopropene, cyclobutene, cyclopentene or cyclohexene. A preferable example of a hydrocarbon ring is benzene.

[0035]

In addition, a nitrogen-containing heterocyclic ring, unless specifically indicated otherwise, refers to an aromatic or non-aromatic monocyclic or bicyclic ring having 3 to 12 ring members, and preferably 5 to 6 ring members, which, in addition to ring members in the form of carbon atoms, may also contain at least one nitrogen atom, and may additionally contain 1 to 2 heteroatoms selected from nitrogen, oxygen and sulfur, and can also be present in the form of a monovalent or divalent nitrogen-containing heterocyclic group. Although the ring may be monocyclic or bicyclic, it is preferably monocyclic. Specific examples of such nitrogen-containing heterocyclic rings include aromatic heterocyclic rings such as pyrrole, pyrazole, imidazole, triazole, oxazole, isoxazole, indazole, thiazole, pyridine, pyridazine, pyrimidine, pyrazine, oxazine, triazine, indole, benzimidazole, benzoxazole, benzothiazole, benzopyrazole, quinoline, isoquinoline, quinoxaline, quinazoline, phthalazine, purine or pteridine, and non-aromatic heterocyclic rings such as azirizine, azetidine, pyrrolidine, imidazoline, oxazoline, imidazolidine, oxazolidine, thiazine, piperidine, piperazine, morpholine or azepane. Preferable examples of nitrogen-containing heterocyclic rings include azirizine, azetidine, pyrrolidine, pyrazole, thiazole, pyridine, pyrimidine, piperidine, piperazine, morpholine and azepane, while particularly preferable examples include azirizine, azetidine, pyrrolidine, pyrazole, thiazole, pyridine, pyrimidine, morpholine, piperazine, piperidine and azepane.

[0036]

In the present specification, groups typically used as protecting groups for -OH, groups used as protecting groups for primary amino and secondary amino, groups used

as protecting groups for -COOH and groups used as protecting groups for -COH can be used as "protecting groups" without any particular limitations thereon.

[0037]

Examples of protecting groups for -OH include C_{1-6} alkyl protecting groups such as a methyl, ethyl or t-butyl group; C_{1-6} alkenyl protecting groups such as an allyl or vinyl group; acetal protecting groups such as a tetrahydropyran-2-yl (THP), tetrahydrothiopyran-2-yl, 1,4-dioxan-2-yl or tetrahydrofuran-2-yl group; alkylsilyl protecting groups such as a trimethylsilyl, triethylsilyl, isopropyldimethylsilyl, t-butyldimethylsilyl, methyldiisopropylsilyl, methyldi-t-butylsilyl, triisopropylsilyl, diphenylmethylsilyl, diphenylbutylsilyl, diphenylisopropylsilyl or phenyldiisopropylsilyl group; C_{1-6} alkylcarbonyl protecting groups such as an acetyl or propionyl group; phenylcarbonyl groups; C_{1-6} alkyloxycarbonyl protecting groups such as a methoxycarbonyl, ethoxycarbonyl or t-butoxycarbonyl group; C_{1-6} alkoxymethyl protecting groups such as a methoxymethyl or ethoxymethyl group; C_{1-6} alkoxyalkoxymethyl protecting groups such as a 2-methoxyethoxymethyl group; alkoxyethyl protecting groups such as a 1-ethoxyethyl group; benzyloxymethyl groups; benzyl protecting groups such as a benzyl, 4-methylbenzyl, 2-methoxybenzyl, 4-methoxybenzyl, 2,4-dimethoxybenzyl or o-nitrobenzyl group; and formyl groups. Among these, C_{1-6} alkyl and acetal protecting groups are preferable, while t-butyl and tetrahydropyran-2-yl (THP) groups are more preferable.

[0038]

Examples of groups used as protecting groups for primary amino and secondary amino include C_{1-6} alkoxycarbonyl protecting groups such as a methoxycarbonyl

group; substituted C_{1-6} alkyloxycarbonyl protecting groups such as a cyclopropylmethoxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-iodoethoxycarbonyl, 2-trimethylsilylethoxycarbonyl, 2-methylthioethoxycarbonyl, 2-methylsulfonylethoxycarbonyl, isobutyroxycarbonyl or t-butoxycarbonyl (BOC) group; C_{1-6} alkenyloxycarbonyl protecting groups such as a vinyloxycarbonyl or allyloxycarbonyl group; benzyloxycarbonyl (CBZ) groups; benzyloxycarbonyl protecting groups such as a p-methoxybenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl or p-cyanobenzyloxycarbonyl group; formyl groups; acetyl groups; substituted C_{1-6} alkylcarbonyl protecting groups such as a dichloroacetyl, trichloroacetyl or trifluoroacetyl group; phthalimido groups (name of functional group after being protected); benzyl groups; and, benzyl protecting groups such as a 2-methoxybenzyl, 4-methoxybenzyl, 3,4-dimethoxybenzyl or 2,4-dimethoxybenzyl group. Among these, benzyl protecting groups, substituted C_{1-6} alkyloxycarbonyl protecting groups and substituted C_{1-6} alkylcarbonyl protecting groups are preferable, while 4-methoxybenzyl, 2,4-dimethoxybenzyl, BOC and acetyl groups are more preferable.

[0039]

Examples of groups used as protecting groups for -COOH include C_{1-6} alkyl protecting groups such as a methyl, ethyl, t-butyl or allyl group; benzyl protecting groups such as a p-nitrobenzyl, p-bromobenzyl or benzyl group; phenyl groups and p-nitrophenyl groups. Among these, C_{1-6} alkyl protecting groups are preferable, while a methyl group is more preferable.

Preferable examples of groups used as protecting groups for -COH include cycloacetal protecting groups such as a dimethoxymethyl, diethoxymethyl, 1,3-dioxan-2-yl or

1,3-dioxolan-2-yl group, while a 1,3-dioxan-2-yl or 1,3-dioxolan-2-yl group is more preferable.

[0040]

Compound of Formula (I)

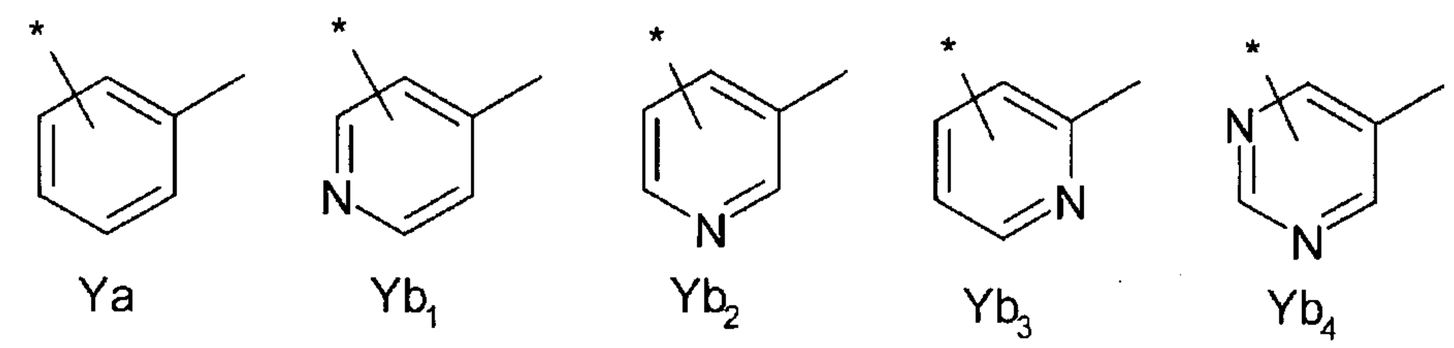
The compound of formula (I) of the present invention is represented by the aforementioned general formula. In the formula, X represents a single bond, or a linking group selected from -CO-, -SO_2-, -CS- or -CH_2-, preferably a single bond, -CO-, -CS- or -SO_2-, and more preferably a single bond, -CO- or -CS-.

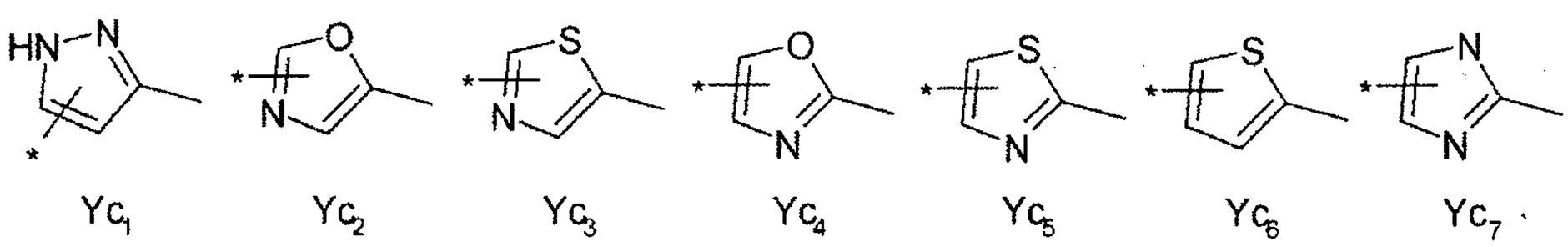
[0041]

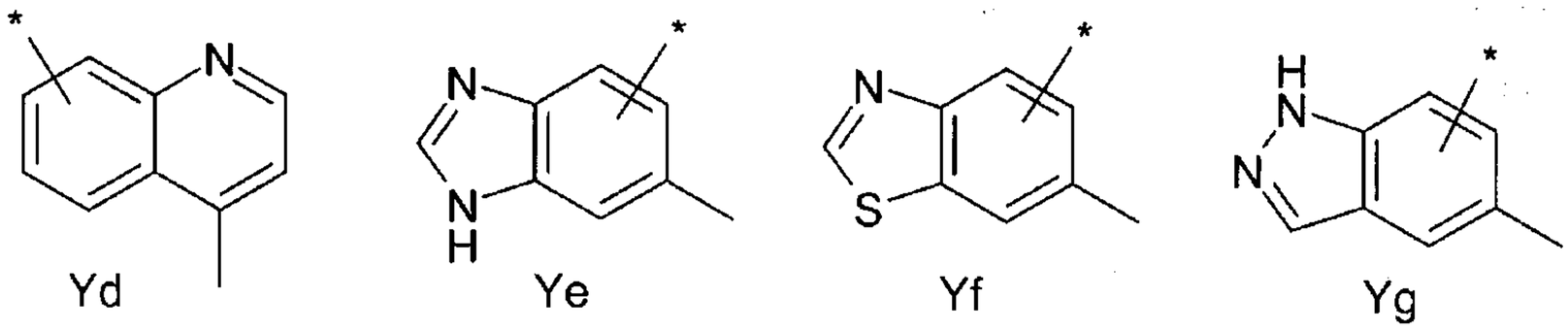
In addition, Y represents a single bond or a divalent linking group derived from a ring selected from benzene, pyridine, pyrimidine, pyrazole, imidazole, oxazole, thiazole, furan, thiophene, quinoline, benzoimidazole, benzothiazole, benzopyrazole, naphthalene and benzothiophene (at this time, the substitution modes of the two linkers that bond X and Z in said linking group are arbitrary). Preferable examples of Y include a single bond or a divalent linking group derived from a ring selected from benzene, pyridine, pyrimidine, thiazole, thiophene, imidazole, quinoline or naphthalene, more preferable examples include a single bond or a divalent linking group derived from a ring selected from benzene, pyridine, pyrimidine, thiazole or imidazole, and even more preferable examples include a single bond or a divalent linking group derived from a ring selected from benzene, pyridine or pyrimidine. In addition, examples of preferable substitution positions in said linking group include divalent linking groups selected from the formulas indicated below (Ya, Yb_1, Yb_2, Yb_3, Yb_4, Yc_1, Yc_2, Yc_3, Yc_4, Yc_5, Yc_6, Yc_7, Yd, Ye, Yf, Yg, Yi_1, Yi_2 and Yh). In addition, said linking group may be unsubstituted or

substituted at 1 to 6 arbitrary locations by a halogen atom, $-C_{1-6}$ alkyl or $-OC_{1-6}$ alkyl, and preferably by a chlorine atom, fluorine atom, -methyl or -methoxy. In addition, said linking group is more preferably unsubstituted or substituted at 1 or 2 locations by -fluoro, -methyl or -methoxy. Furthermore, an asterisk (*) in the following group of linking groups represents a bond with Z.

[0042]







[0043]

More preferable examples of Y include a single bond, Ya, Yb_1, Yb_2, Yb_3, Yb_4, Yc_5, Yc_6, Yf, Yd, Yi_1, Yc_7, further preferable examples include a single bond, Ya, Yb_1, Yb_2, Yb_3, Yb_4, Yc_5 and Yc_7, and particularly preferable examples include a single bond, Ya, Yb_1, Yb_2, Yb_3 and Yb_4.

In addition, more preferable examples of Y include a single bond or linking groups selected from Ya, Yb_1, Yb_2, Yb_3 and Yb_4 optionally substituted at 1 or 2 locations by -fluoro, -methyl or -methoxy.

Moreover, examples of other substitution modes of Y include the following preferable relationships for the relationship between the two linkers for bonding X and Z in the case Y is a linking group.

Ya, Yb_1, Yb_2, Yb_3 or Yb_4 (meta- or para-substituted); Yc_1 (3,5-substituted); Yc_2 (2,5-substituted); Yc_3 (2,5-substituted); Yc_4 (2,4- or 2,5-substituted); Yc_5 (2,4- or 2,5-substituted); Yc_6 (2,4- or 2,5-substituted); Yc_7 (2,4- or 2,5-substituted); Yd (4,6-, 4,7- or 4,8-substituted); Ye (2,6- or 4,6-substituted); Yf (2,6- or 4,6-substituted); Yg (5,3- or 5,7-substituted); Yi_1 (1,5-, 1,6- or 1,7-substituted); Yi_2 (2,5-, 2,6-, 2,7- or 2,8-substituted); and Yh (2,4-, 2,5-, 2,6- or 2,7-substituted).

However, X and Y are not simultaneously single bonds.

[0044]

Z is a hydrogen atom or substituent selected from the following group A:

Group A: -C_{1-6}alkyl, -ethynyl, -halogenoC_{1-6}alkyl, -Cyc, -C_{1-6}alkylene-OR, -C_{1-6}alkylene-COR, -C_{1-6}alkylene-COOR, -C_{1-6}alkylene-CONRR', -C_{1-6}alkylene-NRR', -C_{1-6}alkylene-Cyc, -C_{1-6}alkylene-CO-Cyc, -C_{1-6}alkylene-O-C_{1-6}alkylene-Cyc, -C_{1-6}alkylene-SO_2R, -C_{1-6}alkylene-SO_2-Cyc, -halogen, -CN, -SO_2R, -SO_2-NRR', -SO_2-NR-Cyc, -SO_2-NR-C_{1-6}alkylene-Cyc, -SO_2-Cyc, -COR, -CO-Cyc, -CO-Cyc-C_{1-6}alkylene-Cyc, -CO-C_{1-6}alkylene-Cyc, -CO-Cyc-Cyc, -COOR, -CONRR', -CONR-C_{1-6}alkylene-OR', -CONR-C_{1-6}alkylene-CONR'R", -CONR-Cyc, -CONR-C_{1-6}alkylene-Cyc, -OR, -O-allyl, -O-halogenoC_{1-6}alkyl, -O-C_{1-6}alkylene-NRR', -O-C_{1-6}alkylene-CONRR', -O-C_{1-6}alkylene-NRCOR', -NRR', -NH-NH_2, -NRCOR', -NRCO-Cyc, -NRCO-C_{1-6}alkylene-Cyc, -NRCO-C_{1-}

$_6$alkylene-OR', -NR-C_{1-6}alkylene-COOR', -NR-C_{1-6}alkylene-CONR'R", -NR-C_{1-6}alkylene-NR'R", -NR-C_{1-6}alkylene-NR'COR", -NR-C_{1-6}alkylene-OR', -NR-Cyc, -NR-Cyc-Cyc, -NR-Cyc-CO-Cyc, -NR-Cyc-CO-C_{1-6}alkylene-Cyc, -NR-Cyc-NR'-Cyc, -NR-Cyc-NR'-C_{1-6}alkylene-Cyc, -NR-C_{1-6}alkylene-Cyc, -NR-C_{1-6}alkylene-Cyc-CO-Cyc, -NR-C_{1-6}alkylene-Cyc-NR'-Cyc, -$NRSO_2$R', -S-C_{1-6}alkylene-CO-Cyc, -S-C_{1-6}alkylene-COOR', -S-C_{1-6}alkylene-NRCOR', and -S-C_{1-6}alkylene-CONRR'.

[0045]

Preferable examples of Z include a hydrogen atom or any of the following substituents: C_{1-6} alkyl, -halogeno C_{1-6} alkyl, -Cyc, -C_{1-6} alkylene-COOR, -C_{1-6} alkylene-CONRR', -C_{1-6} alkylene-NRR', -C_{1-6} alkylene-Cyc, -halogen, -CN, -SO_2R, -SO_2-NRR', -CO-Cyc, -CO-Cyc-Cyc, -COOR, -CONRR', -CONR-C_{1-6} alkylene-Cyc, -OR, -O-halogeno C_{1-6} alkyl, -O-C_{1-6} alkylene-NRR', -NRR', -NR-C_{1-6} alkylene-NR'R", -NR-Cyc-Cyc, -NR-Cyc-CO-Cyc, -NR-C_{1-6} alkylene-Cyc, -NR-C_{1-6} alkylene-OR', $NHSO_2$R', -S-C_{1-6} alkylene-NRCOR' and -S-C_{1-6} alkylene-CONRR'. More preferable examples of Z include a hydrogen atom or substituents selected from the following group A': -C_{1-6} alkyl, -piperazinyl, -piperidino, -morpholino, -pyrrolidinyl, -dihydropyrrolyl, -C_{1-6} alkylene-OH, -C_{1-6} alkylene-COOH, -C_{1-6} alkylene-$COOCH_3$, -C_{1-6} alkylene-$CONH_2$, -C_{1-6} alkylene-$N(CH_3)_2$, -C_{1-6} alkylene-(phenyl), -C_{1-6} alkylene-(naphthyl), -C_{1-6} alkylene-(piperazinyl), -fluorine atom, -CN, -SO_2CH_3, -SO_2-NH_2, -CO-(piperazinyl), -CO-(morpholyl), -CO-((pyridyl)piperazinyl), -COOH, -$COOCH_3$, -$COOCH_2CH_3$, -$CONH_2$, -CONH-C_{1-6} alkylene-(pyridyl), -OH, -trifluoromethoxy, -O-C_{1-6} alkylene-$N(CH_3)_2$, -$N(C_{1-6}$ alkyl$)_2$, -NR-C_{1-6} alkylene-$N(CH_3)_2$, -NR-C_{1-6} alkylene-(morpholino), -NR-C_{1-6} alkylene-(cyclopropyl), -NR-C_{1-6} alkylene-(phenyl), -NR-((piperazyl)phenyl), -NR-(phenyl)-CO-(piperazinyl), -NR-C_{1-6} alkylene-OH, -NR-C_{1-6} alkylene-OCH_3, -$NHSO_2$(C_{1-6} alkyl),

-S-C_{1-6} alkylene-$NRCOCH_3$ and -S-C_{1-6} alkylene-$CONH_2$.

[0046]

The aforementioned -piperazinyl, -piperidino, -morpholino, -pyrrolidinyl, -dihydropyrrolyl, -phenyl and -naphthyl may be respectively further substituted by -OH, -methyl, -ethyl, -n-propyl, -isopropyl, -trifluoromethyl, -2-fluoroethyl, -2,2,2-trifluoroethyl, -3,3,3-trifluoropropyl, -4-fluorobutyl, -dimethylamino, -hydroxymethyl, -acetyl or -phenyl.

[0047]

Even more preferable examples of Z include -hydrogen atom, -chlorine atom, -fluorine atom, -hydroxy, -CN, -trifluoromethoxy, -methoxy, -2-(N,N-dimethylamino)-ethoxy, -methyl, -ethyl, -1-methyl-ethyl, -n-butyl, -t-butyl, -2,2-dimethyl-propyl, -n-hexyl, -2-hydroxyethyl, -2-hydroxy-propyl, -2-hydroxy-1-methyl-ethyl, -phenyl-ethyl, -4-fluoro-phenyl-methyl, -trifluoromethyl, -naphthylmethyl, -piperazin-1-ylmethyl, -4-methylpiperazin-1-ylmethyl, -4-n-propyl-piperazin-1-ylmethyl, -4-i-propyl-piperazin-1-ylmethyl, -4-(2'-fluoroethyl)-piperazin-1-ylmethyl, -4-(2',2',2'-trifluoroethyl)-piperazin-1-ylmethyl, -4-(3',3',3'-trifluoropropyl)-piperazin-1-ylmethyl, -4-(4'-fluorobutyl)-piperazin-1-ylmethyl, -3-methoxycarbonyl-n-propyl, -3-carboxyl-n-propyl, -3-carbamoyl-n-propyl, -2-methoxycarbonyl-ethyl, -morpholin-4-ylcarbonyl, -4-pyridin-3-yl-piperazin-1-ylcarbonyl, -carboxyl, -methoxycarbonyl, -ethoxycarbonyl, -carbamoyl, -N-pyridin-3-ylmethyl-carbamoyl, -2-carbamoyl-ethylthio, -2-acetylamino-ethylthio, -methylamino, -dimethylamino, -ethylamino, -n-butylamino, -3-hydroxy-n-propylamino, -phenylamino, -i-propylamino, -2-phenyl-ethylamino, -2,4-difluoro-phenylamino, -3,3-dimethyl-butylamino, -methyl(3-methylbutyl)amino, -3-(N,N-dimethylamino)-n-propylamino, -

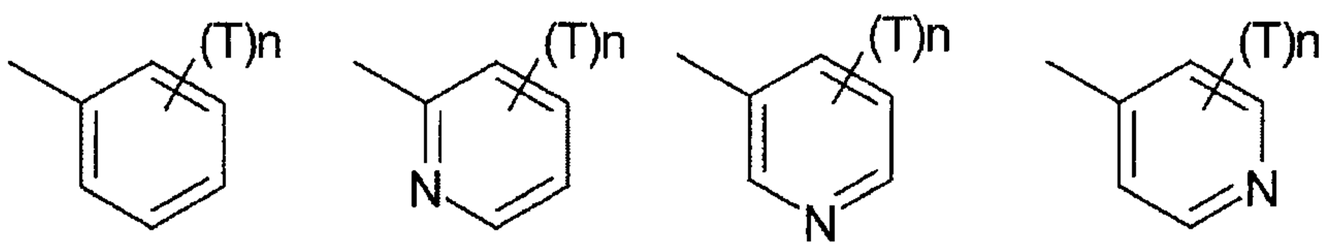
methyl(3-(N,N-dimethylamino)-n-butyl)amino, -methyl(2-(N,N-dimethylamino)-n-propyl)amino, -methyl(3-(N,N-dimethylamino)-n-propyl)amino, -methyl(2-(N,N-dimethylamino)-ethyl)amino, -methyl(2-methyl-propyl)amino, -2-hydroxyethylamino, -2-hydroxy-1-methyl-ethylamino, -N,N-(2-hydroxy)-n-propylamino, -N,N-(2-hydroxyethyl)-methylamino, -N,N-(2-methoxyethyl)methylamino, -N,N-ethyl(2-dimethylamino-ethyl)amino, -cyclohexylmethylamino, -4-(4-methylpiperazin-1-yl)-2,6-difluorophenylamino, -4-(4-ethylpiperazin-1-yl)-2,6-difluorophenylamino, -4-(4-ethylpiperazine-1-carbonyl)-2,6-difluorophenylamino, -5-(4-ethylpiperazine-1-carbonyl)-2-methylphenylamino, -3-morpholinyl-n-propylamino, -4-methyl-piperazinyl, -4-ethyl-piperazinyl, -4-acetyl-piperazinyl, -4-phenyl-piperazinyl, -4-dimethylamino-piperidino, -N-ethyl-piperidino, -3-hydroxy-piperidino, -4-hydroxy-piperidino, -N-morpholino, -2-hydroxymethyl-pyrrolidinyl, -3-hydroxy-N-pyrrolidinyl, -methylsulfonylamino, -methylsulfonyl, -aminosulfonyl, -cyclopropylmethyl(n-propyl)amino, -3,5-dimethyl-morpholino, -3-morpholino-n-propylamino, -2-benzocyclopentylamino, -N-dihydropyrrolyl-dihydropyrrolyl, and -cyclohexylamino.

[0048]

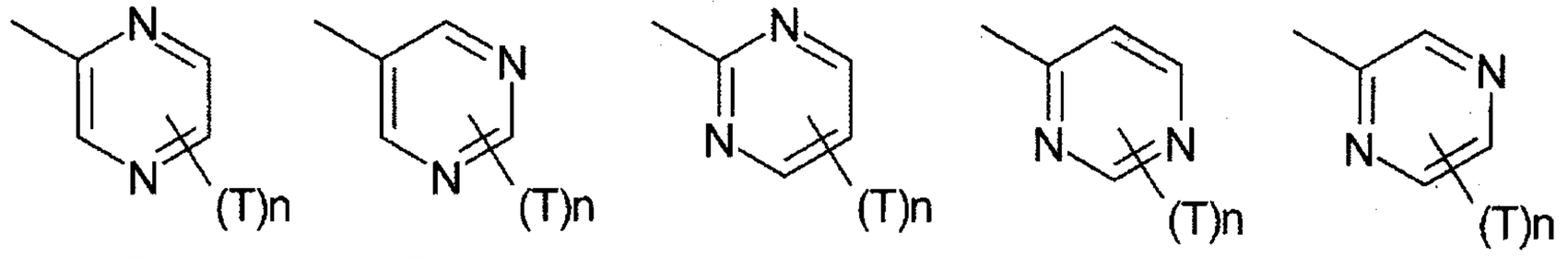
In addition, m represents an integer of 1 or 2, and is preferably 1.

In addition, R^1 is a cyclic substituent selected from the following group having n substituents T.

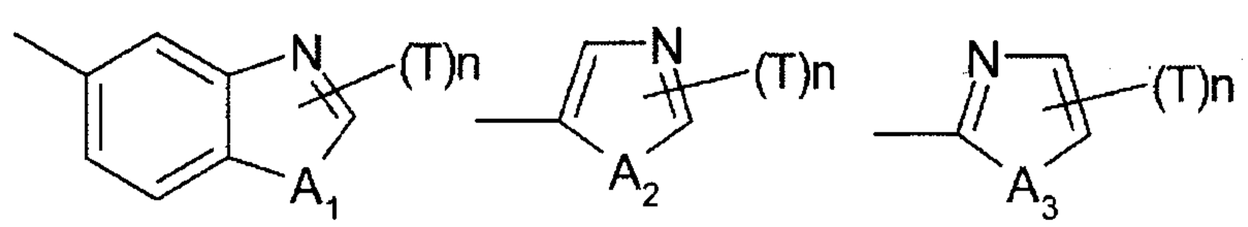
[0049]



R_1a R_1b1 R_1b2 R_1b3



R_1c1 R_1c2 R_1c3 R_1c4 R_1c5



R_1d R_1e R_1f

[0050]

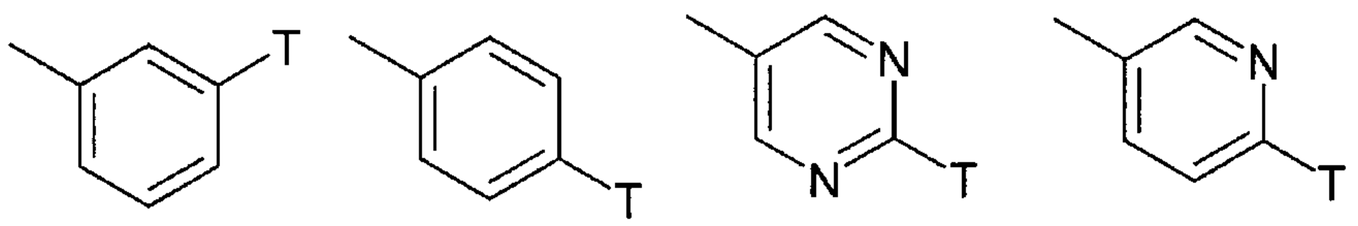
Here, A_1, A_2 and A_3 are respectively and independently selected from NH, S or O. Preferable examples of A_1 include S and NH. Preferable examples of A_2 include S and O. In addition, preferable examples of A_3 include S and O.

Preferable examples of R^1 include R_1a, R_1b_1, R_1b_2, R_1b_3, R_1c_1, R_1c_2, R_1c_3, R_1c_4, R_1c_5, R_1d, R_1e and R_1f, more preferable examples include R_1a, R_1b_1, R_1b_2, R_1b_3, R_1c_1, R_1c_2, R_1c_3, R_1c_4 and R_1c_5, even more preferable examples include R_1c_1, R_1c_2, R_1c_3, R_1c_4 and R_1c_5, and a particularly preferable example is R_1c_2. At this time, n is preferably 0 or 1.

In addition, preferable examples of the mode relating to the substitution position of -(T)n in R^1 include the meta position (position 3 or position 5) and the para position (position 4) with respect to the substitution position of 2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine or 2-morpholin-4-yl-5,6,7,8-tetrahydro-5H-pyrrolo[2,3-d] pyrimidine of R_1 in the case R_1 is R_1a, R_1b_1,

R_1b_2, R_1b_3, R_1c_1, R_1c_2 or R_1c_3, and preferably position 3 or position 4 in the case R_1 is R_1e or R_1f. The following indicates examples of the substitution position of T in R_1.

[0051]



[0052]

In the case R_1 is a group derived from pyridine, pyrimidine or thiazole in particular in R_1, these groups are preferably bonded to the matrix as -pyridin-3-yl, -pyrimidin-5-yl or -thiazol-2-yl, respectively.

[0053]

In addition, T represents a substituent selected from the following group B.

Group B: -Cyc, $-C_{1-6}$ alkyl, $-C_{1-6}$ alkylene-OR, $-C_{1-6}$ alkylene-NRR', $-C_{1-6}$ alkylene-CONRR', $-C_{1-6}$ alkylene-NRCOR', $-C_{1-6}$ alkylene-Cyc, -OR, -O-halogen-C_{1-6} alkyl, -O-C_{1-6} alkylene-Cyc, -O-COOR, -O-COR, -O-CONRR', -NRR', -NR-C_{1-6} alkylene-NR'R", -NR-C_{1-6} alkylene-OR', -halogen, -CO-Cyc, -CO-Cyc-Cyc, -CO-C_{1-6} alkylene-Cyc, -COOR, -COO-C_{1-6} alkylene-OR, -COO-C_{1-6} alkylene-NRR', -COO-C_{1-6} alkylene-Cyc, -CONRR', -CONR-C_{1-6} alkylene-OR', -CONR-C_{1-6} alkylene-NR'R", -CONR-C_{1-6} alkylene-CONR'R", -CONR-Cyc, -CONR-C_{1-6} alkylene-Cyc, -SO_2NRR', -NRSO_2R', -CN and -NH-NH_2.

[0054]

T is preferably -Cyc, $-C_{1-6}$ alkyl, $-C_{1-6}$ alkylene-(nitrogen-containing heterocyclic ring), $-C_{1-6}$ alkylene-OH, $-C_{1-6}$ alkylene-CONH(C_{1-6} alkyl), $-C_{1-6}$ alkylene-NH_2, $-C_{1-6}$

alkylene-N(C_{1-6} alkyl)$_2$, -OH, -O-C_{1-6} alkyl, -O-trifluoromethyl, -O-C_{1-6} alkylene-(pyridyl), -O-C_{1-6} alkylene-(phenyl), -O-COOR, -O-$COCH_3$, -O-CONH(C_{1-6} alkyl), -NH_2, -NR-C_{1-6} alkylene-N(C_{1-6} alkyl)$_2$, -NR-C_{1-6} alkylene-OH, -NR-C_{1-6} alkylene-O(C_{1-6} alkyl), -fluorine atom, -CO-Cyc, -CO-C_{1-6} alkylene-Cyc, -COO(C_{1-6} alkyl), -COO-C_{1-6} alkylene-OH, -COO-C_{1-6} alkylene-OCH_3, -COO-C_{1-6} alkylene-N(C_{1-6} alkyl)$_2$, -COO-C_{1-6} alkylene-Cyc, -$CONH_2$, -CONH(C_{1-6} alkyl), -CON(C_{1-6} alkyl)$_2$, -CON(C_{1-6} alkyl)(phenyl), -CON(C_{1-6} alkyl)(C_{3-6} cycloalkyl), -CON(C_{1-6} alkyl)(cyclopropylmethyl), -CONR-C_{1-6} alkylene-OH, -CONR-C_{1-6} alkylene-OCH_3, -CONR-C_{1-6} alkylene-N(C_{1-6} alkyl)$_2$, -CONR-C_{1-6} alkylene-$CONH_2$, -CONR-Cyc, -CONR-C_{1-6} alkylene-Cyc, -SO_2NH_2, $NHSO_2CH_3$, -CN or -NH-NH_2, and the aforementioned Cyc may be further respectively substituted by -OH, -methyl, -ethyl, -dimethylamino, -hydroxymethyl, -acetyl, -phenyl or -pyrrolidinyl.

[0055]

More preferable examples of T include a group selected from the following group B': -C_{1-6} alkyl, -C_{1-6} alkylene-OH, -C_{1-6} alkylene-NH_2, -C_{1-6} alkylene-CONH(C_{1-6} alkyl), -OH, -O-C_{1-6} alkyl, -O-C_{1-6} alkylene-(nitrogen-containing heterocyclic ring), -O-C_{1-6} alkylene-(phenyl), -O-$COCH_3$, -O-CONH(C_{1-6} alkyl), -NH_2, -fluorine atom, -COO(C_{1-6} alkyl), -COO-C_{1-6} alkylene-OH, -COO-C_{1-6} alkylene-OCH_3, -COO-C_{1-6} alkylene-N(C_{1-6} alkyl)$_2$, -$CONH_2$, -CONH(C_{1-6} alkyl), -CON(C_{1-6} alkyl)$_2$, -CON(C_{1-6} alkyl)(phenyl), -CON(C_{1-6} alkyl)(C_{3-6} cycloalkyl), -CON(C_{1-6} alkyl)(cyclopropylmethyl), -CONR-C_{1-6} alkylene-OH, -CONR-C_{1-6} alkylene-OCH_3, -CONR-C_{1-6} alkylene-N(C_{1-6} alkyl)$_2$, -CONR-C_{1-6} alkylene-$CONH_2$, -CONR-Cyc, -CONR-C_{1-6} alkylene-(nitrogen- containing heterocyclic ring), -CN ,-NH-NH_2 and -$NHSO_2CH_3$.

[0056]

Here, the nitrogen-containing heterocyclic ring in

the above T indicates a saturated, partially unsaturated or aromatic monocyclic heterocyclic ring containing at least one nitrogen atom that, in addition to the nitrogen atom(s), may further contain 1 to 2 heteroatoms selected from an oxygen atom or sulfur atom. Examples of such nitrogen-containing heterocyclic rings include aromatic heterocyclic rings such as pyrrole, pyrazole, imidazole, triazole, oxazole, isoxazole, indazole, thiazole, pyridine, pyridazine, pyrimidine, pyrazine, oxazine or triazine, and non-aromatic heterocyclic rings such as azirizine, azetidine, pyrrolidine, imidazoline, oxazoline, imidazolidine, oxazolidine, thiazine, piperidine, piperazine, morpholine or azepane. Preferable examples of nitrogen-containing heterocyclic rings include azirizine, azetidine, pyrrolidine, pyrazole, thiazole, pyridine, pyrimidine, piperidine, piperazine, morpholine and azepane, while particularly preferable examples include azirizine, azetidine, pyrrolidine, pyrazole, thiazole, pyridine, pyrimidine, morpholine, piperazine, piperidine and azepane. Said nitrogen-containing heterocyclic ring may be further respectively substituted by -OH, -methyl, -ethyl, -dimethylamino, -hydroxymethyl or -acetyl.

[0057]

Furthermore preferable examples of T include -hydroxy, -methoxy, -t-butoxy, -ethylaminocarbonyloxy, -methylcarbonyloxy, -2-(2-pyridyl)ethoxy, -2-(3-pyridyl)ethoxy, -3-(3-pyridyl)-n-propoxy, -4-pyridyl-methoxy, -benzyloxy, -fluorine atom, -amino, -hydrazino, -methyl, -hydroxymethyl, -aminomethyl, -diethylamino-methyl, -carboxyl, -methoxycarbonyl, -2-(N,N-dimethylamino)-ethoxy-carbonyl, -carbamoyl, -methylcarbamoyl, -phenylcarbamoyl, -dimethylcarbamoyl, -diethylcarbamoyl, -n-propylaminocarbonyl, -isobutylaminocarbonyl, -1-methyl-n-

butylaminocarbonyl, -3,3-dimethyl-n-butylaminocarbonyl, -N-isopropyl-N-methylaminocarbonyl, -N-isobutyl-N-methylaminocarbonyl, -N-(3-methyl-n-butyl)-N-methylaminocarbonyl, -cyclopentylaminocarbonyl, -cyclohexylaminocarbonyl, -N-cyclopropylmethyl-N-n-propylaminocarbonyl, -2-benzocyclopentylaminocarbonyl, -3-hydroxy-n-propylamino, -2-hydroxy-1-phenyl-aminocarbonyl, -N-ethyl-N-(2-hydroxyethyl)aminocarbonyl, -N-methyl-N-(2-methoxy-ethyl)aminocarbonyl, -2-methoxy-ethylaminocarbonyl, -2-(N,N-dimethylamino)-ethylamino-carbonyl, -2-(N,N-dimethylamino)-methylamino-carbonyl, -2-(N,N-diethylamino)-ethylamino-carbonyl, -2-(N,N-dimethylamino)-n-propylamino-carbonyl, -2-N-morpholinylethylaminocarbonyl, -3-N-morpholinylpropylaminocarbonyl, -N-(3,5-dimethylmorpholinyl)aminocarbonyl, -(2-pyridyl)methylamino-carbonyl, -2-(2-pyridyl)ethylamino-carbonyl, -4-pyridyl-methylamino-carbonyl, -2-(4-pyridyl)ethylamino-carbonyl, -2-carbamoyl-methylamino-carbonyl, -2-carbamoyl-ethylamino-carbonyl, -benzylaminocarbonyl, -2-phenyl-ethylamino-carbonyl, -N-methyl-piperazyl-carbonyl, -N-ethyl-piperazino-carbonyl, -4-phenyl-piperazinocarbonyl, -4-hydroxypiperidino-carbonyl, -3-hydroxy-pyrrolidinyl-carbonyl, -2-(N-pyyrolidinyl)ethyl-carbonyl, -2-hydroxymethyl-pyrrolidinyl-carbonyl, -4-(N-pyrrolidinyl)-piperidino-carbonyl, -N-(2,5-dihydro-1H-pyrrolyl-carbonyl, -N-azetidino-carbonyl, -4,5-dimethyl-thiazolylcarbonyl, -CN, -cyclohexylmethylaminocarbonyl and -methylsulfonylamino, while particularly preferable examples include -hydroxy, -methoxy, -t-butoxy or -amino.

[0058]

n represents an integer of 0, 1, 2, 3, 4 or 5, and in the case n is 2 to 5, groups T may be the same or different. n is preferably 0, 1 or 2, more preferably 0 or

1, and even more preferably 1.

[0059]

A preferable mode of R^1 is a group selected from -3-methoxy-phenyl, -3-hydroxy-phenyl, -4-fluoro-3-hydroxy-phenyl, -2-fluoro-3-hydroxy-phenyl, -3-hydroxymethyl-phenyl, -3-benzyloxy-2,6-difluoro-phenyl, -4-aminomethyl-phenyl, -4-fluoro-3-hydroxymethyl-phenyl, -N-(2-dimethylamino-ethyl)-3-carbamoyl-phenyl, -N-(2-dimethylamino-ethyl)-4-carbamoyl-phenyl, -N-(2-pyridin-3-yl-ethyl)-3-carbamoyl-phenyl, N-methyl-3-carbamoylphenyl, -3-(2-dimethylamino-ethoxycarbonyl)-phenyl, -N-(1-methyl-butyl)-3-carbamoyl-phenyl, -3-(4-hydroxy-piperidin-1-yl)carbonyl-phenyl, -N-(2-diethylamino-ethyl)-4-carbamoyl-phenyl, -3-(2,6-dimethyl-morpholin-4-yl)carbonyl-phenyl, -N-(2-dimethylamino-ethyl)-N-methyl-3-carbamoyl-phenyl, -pyridin-3-yl, -pyridin-4-yl, -2-amino-pyridin-5-yl, -5-amino-pyridin-2-yl, -2-amino-piperidin-5-yl, -2-amino-3-methoxy-piperidin-5-yl, -2-methoxy-piperidin-5-yl, -2,4-dimethoxy-piperidin-5-yl or -1H-benzoimidazol-5-yl, more preferably a group selected from -3-hydroxy-phenyl, -4-aminomethyl-phenyl, -2-amino-piperidin-5-yl, -4-fluoro-3-hydroxy-phenyl, -4-fluoro-3-hydroxymethyl-phenyl, -2-amino-pyridin-5-yl, -5-amino-pyridin-2-yl, -2,4-dimethoxy-piperidin-5-yl, -1H-benzoimidazol-5-yl, -3-(2-dimethylamino-ethoxycarbonyl)-phenyl or -N-(2-dimethylamino-ethyl)-3-carbamoyl-phenyl, even more preferably a group selected from -3-hydroxy-phenyl or -2-amino-pyrimidin-5-yl, and particularly preferably -2-amino-pyrimidin-5-yl.

[0060]

In addition, R, R′ and R″ in the aforementioned groups A and B may be the same or different and represent a hydrogen atom or $-C_{1-6}$ alkyl, and said $-C_{1-6}$ alkyl may be

substituted by a group selected from -OH, -O(C_{1-6} alkyl), -COOH, -COO(C_{1-6} alkyl), -$CONH_2$, -CONH(C_{1-6} alkyl), -CON(C_{1-6} alkyl)$_2$, -NHCO(C_{1-6} alkyl), -NH_2, -NH(C_{1-6} alkyl) or -N(C_{1-6} alkyl)$_2$. In addition, R, R' and R" in group B may be the same or different and preferably represent a hydrogen atom or unsubstituted -C_{1-6} alkyl.

[0061]

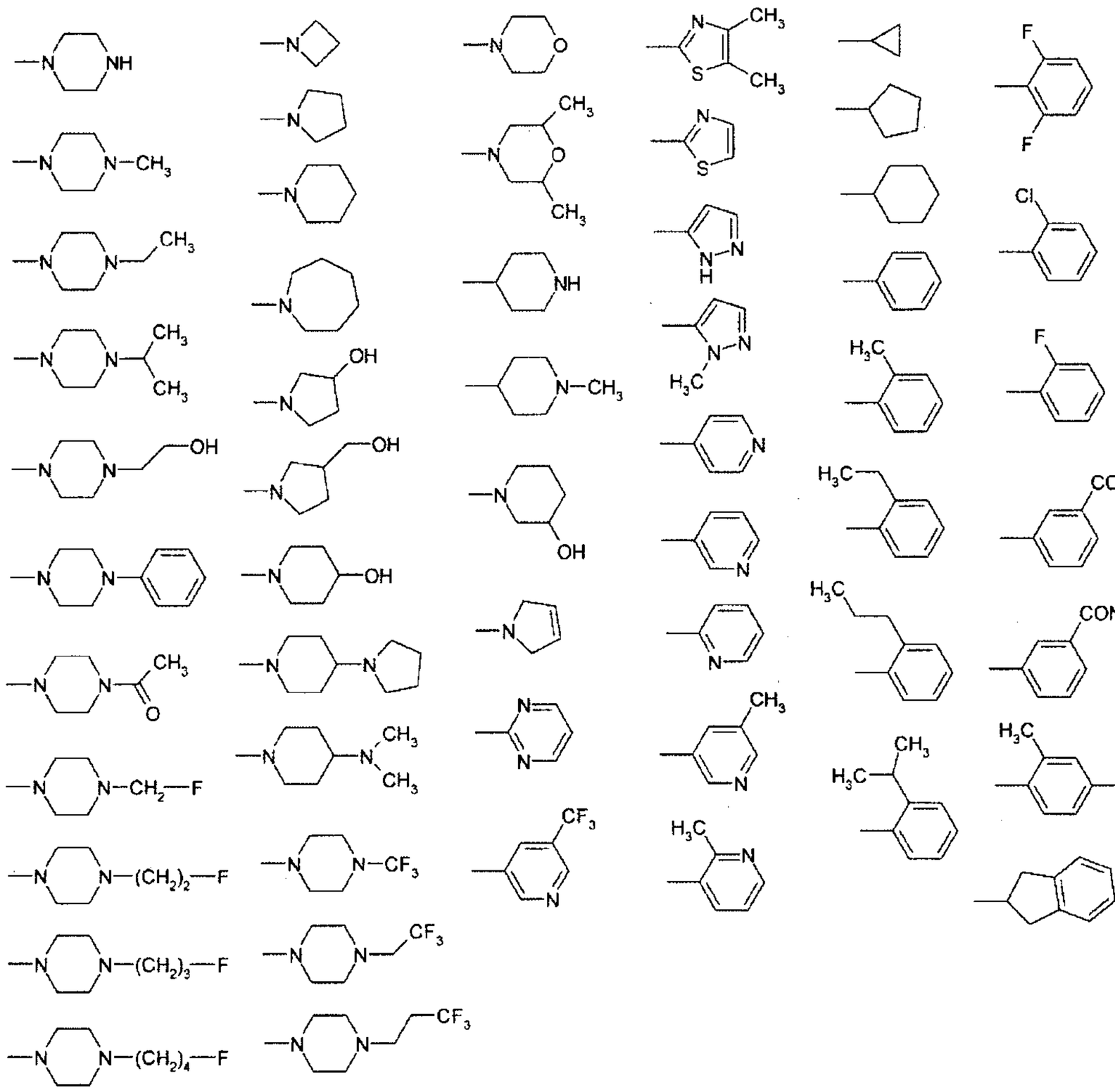
In the aforementioned groups A and B, Cyc represents the aforementioned hydrocarbon ring or the aforementioned nitrogen-containing heterocyclic ring, -Cyc is a monovalent group derived from the aromatic or non-aromatic, monocyclic or bicyclic hydrocarbon ring or nitrogen-containing heterocyclic ring, and -Cyc- is a divalent group derived from the aromatic or non-aromatic, monocyclic or bicyclic hydrocarbon ring or nitrogen-containing heterocyclic ring. Said hydrocarbon ring and said nitrogen-containing heterocyclic ring may be substituted at 1 to 3 locations by a group selected from -R (R is not a hydrogen atom at this time), -CO-R, -COOR, -CONRR', -NRCOR', -halogeno C_{1-6} alkyl, halogen atom, -OR, -O-halogeno C_{1-6} alkyl, -NRR' or -SO_2R. In addition, the R, R' and R" of said -NRR', -NR'R" or -CONRR' in the aforementioned group A, group B and Cyc may also form a 3- to 7-member nitrogen-containing saturated hydrocarbon ring together with an adjacent N. Examples of this 3- to 7-member, nitrogen-containing saturated hydrocarbon ring include aziridine, azetidine, pyrrolidine, piperidine and azepane. In addition, this 3- to 7-member, nitrogen-containing saturated hydrocarbon ring may further contain 1 to 3 other heteroatoms such as a nitrogen atom, oxygen atom or sulfur atom, and this 3- to 7-member, nitrogen-containing saturated hydrocarbon ring is preferably a 5- to 6-member ring, examples of which include imidazolidine, oxazolidine, piperazine and morpholine.

[0062]

Cyc is preferably unsubstituted, or may also be substituted at 1 to 3 locations by -OH, -O(C_{1-6} alkyl), -O-C_{1-6} alkylene-OH, -O(trifluoromethyl), -C_{1-6} alkyl, -C_{1-6} alkylene-OH, -trifluoromethyl, -COO(C_{1-6} alkyl), -$CONH_2$, -CONH(C_{1-6} alkyl), -CON(C_{1-6} alkyl)$_2$, -NH_2, -NH(C_{1-6} alkyl), -N(C_{1-6} alkyl)$_2$, -N(C_{1-6} alkyl)CO(C_{1-6} alkyl), halogen atom, -SO_2(C_{1-6} alkyl) or -CO(C_{1-6} alkyl), and more preferably unsubstituted or substituted at 1 to 3 locations with a group selected from the group consisting of -methyl, -ethyl, -OH, -F, -Cl, -trifluoromethyl, -dimethylamino, -hydroxymethyl, -methoxy, -acetyl and -methoxycarbonyl.

[0063]

Preferable examples of -Cyc include the groups indicated below.



In addition, preferable modes of Cyc in group A as a portion of -Z in general formula (I) include hydrocarbon rings, specific examples of which include aromatic hydrocarbon rings such as benzene or naphthalene; and non-aromatic hydrocarbon rings including saturated hydrocarbon rings such as cyclopropane, cyclobutane, cyclopentane, cyclohexane, spiro[2.3]hexane or spiro[3.3]heptane, and partially unsaturated hydrocarbon rings such as indane, tetrahydronaphthalene, cyclopropene, cyclobutene, cyclopentene or cyclohexene. Preferable examples of hydrocarbon rings include benzene, naphthalene and cyclopropane, and more preferably benzene. In addition, specific examples of nitrogen-containing heterocyclic rings include aromatic heterocyclic rings such as pyrrole,

pyrazole, imidazole, triazole, oxazole, isoxazole, indazole, thiazole, pyridine, pyridazine, pyrimidine, pyrazine, oxazine, triazine, indole, benzimidazole, benzoxazole, benzothiazole, benzopyrazole, quinoline, isoquinoline, quinoxaline, quinazoline, phthalazine, purine or pteridine, and non-aromatic heterocyclic rings such as azirizine, azetidine, pyrrolidine, imidazoline, oxazoline, imidazolidine, oxazolidine, thiazine, piperidine, piperazine, morpholine or azepane. Preferable examples of nitrogen-containing heterocyclic rings include azirizine, azetidine, pyrrolidine, pyrazole, thiazole, pyridine, pyrimidine, morpholine, piperazine, piperidine and azepane, while particularly preferable examples include nitrogen-containing heterocyclic rings such as pyrazole, thiazole, pyridine, pyrimidine, morpholine, piperazine, piperidine and azepane. More preferable modes of the hydrocarbon ring and nitrogen-containing heterocyclic ring in Cyc include monovalent or divalent groups derived from benzene, naphthalene, cyclopropane, cyclobutane, cyclopentane, cyclohexane, indane, azirizine, azetidine, pyrrolidine, pyrazole, thiazole, pyrrole, dihydropyrrole, pyridine, pyrimidine, morpholine, piperazine, piperidine or azepane, and even more preferable modes include monovalent or divalent groups derived from benzene, pyrazole, thiazole, pyridine, pyrimidine, morpholine, piperazine, piperidine or azepane.

In addition, specific examples of preferable modes of Cyc in group B as a portion of -T in general formula (I) include hydrocarbon rings including aromatic hydrocarbon rings such as benzene or naphthalene; and non-aromatic hydrocarbon rings including saturated hydrocarbon rings such as cyclopropane, cyclobutane, cyclopentane, cyclohexane, spiro[2.3]hexane or spiro[3.3]heptane, and

partially unsaturated hydrocarbon rings such as indane, tetrahydronaphthalene, cyclopropene, cyclobutene, cyclopentene or cyclohexene. Preferable examples of hydrocarbon rings include cyclopropane, cyclobutane, cyclopentane, cyclohexane, benzene, naphthalene and indane, and more preferably benzene. In addition, specific examples of nitrogen-containing heterocyclic rings include aromatic heterocyclic rings such as pyrrole, pyrazole, imidazole, triazole, oxazole, isoxazole, indazole, thiazole, pyridine, pyridazine, pyrimidine, pyrazine, oxazine, triazine, indole, benzimidazole, benzoxazole, benzothiazole, benzopyrazole, quinoline, isoquinoline, quinoxaline, quinazoline, phthalazine, purine or pteridine, and non-aromatic heterocyclic rings such as azirizine, azetidine, pyrrolidine, imidazoline, oxazoline, imidazolidine, oxazolidine, thiazine, 2,5-dihydropyrrole, piperidine, piperazine, morpholine or azepane. Preferable examples of nitrogen-containing heterocyclic rings include monocyclic nitrogen-containing heterocyclic rings, and said monocyclic nitrogen-containing heterocyclic rings are as previously defined. Preferable examples of nitrogen-containing heterocyclic rings include azirizine, azetidine, pyrrolidine, pyrazole, thiazole, pyrrole, dihydropyrroles such as 2,5-dihydropyrrole, pyridine, pyrimidine, morpholine, piperazine, piperidine and azepane, and nitrogen-containing heterocyclic groups derived therefrom are preferable. More preferable modes of these Cyc include monovalent or divalent groups derived from benzene, aziridine, azetidine, pyrrolidine, pyrazole, thiazole, pyridine, pyrimidine, morpholine, piperazine or piperidine.

Preferable examples of Cyc in the aforementioned group A are either unsubstituted or may be further respectively substituted at 1 to 3 locations by -OH, -O(C_{1-6}

alkyl), -O-C_{1-6} alkylene-OH, -C_{1-6} alkyl, -C_{1-6} alkylene-OH, -fluoro C_{1-6} alkyl, -COO(C_{1-6} alkyl), -$CONH_2$, -CONH(C_{1-6} alkyl), -CON(C_{1-6} alkyl)$_2$, -NH_2, -NH(C_{1-6} alkyl), -N(C_{1-6} alkyl)$_2$, -SO_2(C_{1-6} alkyl) or -CO(C_{1-6} alkyl).

Preferable examples of Cyc in the aforementioned group B are either unsubstituted or may be further respectively substituted at 1 to 3 locations by -OH, -O(C_{1-6} alkyl), -C_{1-6} alkyl, -NH_2, -NH(C_{1-6} alkyl), -N(C_{1-6} alkyl)$_2$ or -CO(C_{1-6} alkyl), while more preferable examples are either unsubstituted or further substituted at 1 to 3 locations by -OH, -methyl, -ethyl, -dimethylamino, -hydroxymethyl or -acetyl.

[0064]

In addition, in the aforementioned groups A and B, -C_{1-6} alkylene may be substituted at 1 to 3 locations by a group selected from -C_{1-6} alkyl, -OH, -$CONH_2$, -NH_2, -NH(C_{1-6} alkyl) or -N(C_{1-6} alkyl)$_2$.

[0065]

Among the compounds represented by general formula (I) of the present invention, preferable examples of one aspect of the compounds include compounds having the following combinations of substituents:

X is a single bond, -CO- or -CS-;

Y is a single bond or a divalent linking group derived from a ring selected from benzene, pyridine, pyrimidine, thiazole or imidazole;

Z is a hydrogen atom or a substituent selected from the following group A':

group A': -C_{1-6} alkyl, -piperazinyl, -piperidino, -morpholino, -pyrrolidinyl, -dihydropyrrolyl, -C_{1-6} alkylene-OH, -C_{1-6} alkylene-COOH, -C_{1-6} alkylene-$COOCH_3$, -C_{1-6} alkylene-$CONH_2$, -C_{1-6} alkylene-N(CH_3)$_2$, -C_{1-6} alkylene-(phenyl), -C_{1-6} alkylene-(naphthyl), -fluorine atom, -C_{1-6}

alkylene-(piperazinyl), -CN, $-SO_2CH_3$, $-SO_2-NH_2$, -CO-(piperazinyl), -CO-(morpholyl), -CO-((pyridyl)piperazinyl), -COOH, $-COOCH_3$, $-COOCH_2CH_3$, $-CONH_2$, $-CONH-C_{1-6}$ alkylene-(pyridyl), -OH, -trifluoromethoxy, $-O-C_{1-6}$ alkylene-$N(CH_3)_2$, $-N(C_{1-6}$ alkyl$)_2$, $-NR-C_{1-6}$ alkylene-$N(CH_3)_2$, $-NR-C_{1-6}$ alkylene-(morpholino), $-NR-C_{1-6}$ alkylene-(cyclopropyl), $-NR-C_{1-6}$ alkylene-(phenyl), -NR-((piperazinyl)phenyl), -NR-(phenyl)-CO-(piperazinyl), $-NR-C_{1-6}$ alkylene-OH, $-NR-C_{1-6}$ alkylene-OCH_3, $-NHSO_2(C_{1-6}$ alkyl), $-S-C_{1-6}$ alkylene-$NRCOCH_3$ and $-S-C_{1-6}$ alkylene-$CONH_2$ (the above -piperazinyl, -piperidino, -morpholino, -pyrrolidinyl, -dihydropyrrolyl, -phenyl and -naphthyl may be further respectively substituted by -OH, -methyl, -ethyl, -n-propyl, -isopropyl, -trifluoromethyl, -2-fluoroethyl, -2,2,2-trifluoroethyl, -3,3,3-trifluoropropyl, -4-fluorobutyl, -dimethylamino, -hydroxymethyl, -acetyl or -phenyl);

[0066]

R^1 is R_1a, R_1b_2, R_1b_3, R_1c_2, R_1e or R_1f, and A_3 is S or O at this time;

n is 0, 1 or 2;

m is 1; and

T represents a hydrogen atom or a substituent selected from the following group B':

group B': $-C_{1-6}$ alkyl, $-C_{1-6}$ alkylene-OH, $-C_{1-6}$ alkylene-NH_2, $-C_{1-6}$ alkylene-$CONH(C_{1-6}$ alkyl), -OH, $-O-C_{1-6}$ alkyl, $-O-C_{1-6}$ alkylene-(nitrogen-containing heterocyclic monocyclic group), $-O-C_{1-6}$ alkylene-(phenyl), $-O-COCH_3$, -O-$CONH(C_{1-6}$ alkyl), $-NH_2$, -fluorine atom, $-COO(C_{1-6}$ alkyl), $-COO-C_{1-6}$ alkylene-OH, $-COO-C_{1-6}$ alkylene-OCH_3, $-COO-C_{1-6}$ alkylene-$N(C_{1-6}$ alkyl$)_2$, $-CONH_2$, $-CONH(C_{1-6}$ alkyl), $-CON(C_{1-6}$ alkyl$)_2$, $-CON(C_{1-6}$ alkyl)(phenyl), $-CON(C_{1-6}$ alkyl)(C_{3-6} cycloalkyl), $-CON(C_{1-6}$ alkyl)(cyclopropylmethyl), $-CONR-C_{1-6}$ alkylene-OH, $-CONR-C_{1-6}$ alkylene-OCH_3, $-CONR-C_{1-6}$ alkylene-

$N(C_{1-6}$ alkyl$)_2$, -CONR-C_{1-6} alkylene-$CONH_2$, -CONR-Cyc, -CONR-C_{1-6} alkylene-(nitrogen-containing heterocyclic monocyclic ring), -CN, -NH-NH_2, and -$NHSO_2CH_3$ (the above nitrogen-containing heterocyclic monocyclic ring is a monocyclic heterocyclic ring containing at least one nitrogen atom, that may further contain an oxygen atom or a sulfur atom in addition to a nitrogen atom, and may be saturated, partially unsaturated or aromatic. The nitrogen-containing heterocyclic monocyclic ring may be further respectively substituted by -OH, -methyl, -ethyl, -dimethylamino, -hydroxymethyl, -acetyl, -phenyl or -pyrrolidinyl).

[0067]

Among the compounds represented by general formula (I) of the present invention, preferable examples of another aspect of the compounds include compounds having the following combinations of substituents:

[0068]

compounds in which X is a linking group selected from -CO-, -CS-, -SO_2- or -CH_2-; Y is a single bond; and Z is a hydrogen atom or a group selected from -C_{1-6} alkyl, -Cyc, -OR, -NRR', -NR-Cyc, -NR-C_{1-6} alkylene-Cyc, -COOR, -C_{1-6} alkylene-COOR, -C_{1-6} alkylene-CONRR' or -C_{1-6} alkylene-NRR' (more preferably Z is a hydrogen atom or a group selected from -methyl, -ethyl, -t-butyl, -phenyl, -pyridyl, -NH-C_{1-6} alkyl, -N(C_{1-6} alkyl$)_2$, -NH-(phenyl which may be substituted with a group selected from -F, -CF_3 or -methyl), -O-C_{1-6} alkyl, -C_{1-6} alkyl-N(C_{1-6} alkyl$)_2$, -C_{1-6} alkylene-COOH, -C_{1-6} alkylene-COO-C_{1-6} alkyl or -COO-C_{1-6} alkyl); and

compounds in which X is a linking group selected from -CO- or -CS-; Y is a single bond; and Z is a group selected from -Cyc, -C_{1-6} alkylene-Cyc, -C_{1-6} alkylene-CO-Cyc, -C_{1-6} alkylene-O-C_{1-6} alkylene-Cyc, -C_{1-6} alkylene-SO_2-Cyc, -NRCO-Cyc, -NRCO-C_{1-6} alkylene-Cyc, -NR-Cyc, -NR-Cyc-Cyc, -NR-Cyc-

CO-Cyc, NR-C_{1-6} alkylene-Cyc-CO-Cyc, -NR-Cyc-CO-C_{1-6} alkylene-Cyc, -NR-Cyc-NR'-Cyc, -NR-C_{1-6} alkylene-Cyc-NR'-Cyc, -NR-Cyc-NR'-C_{1-6} alkylene-Cyc or -NR-C_{1-6} alkylene-Cyc.

[0069]

Among compounds represented by general formula (I) of the present invention, still another aspect of the compounds preferably contains at least one aromatic hydrocarbon ring or aromatic heterocyclic ring in a side chain in -X-Y-Z. Examples of aromatic hydrocarbon rings include benzene and naphthalene, while examples of aromatic heterocyclic rings include pyrrole, pyrazole, imidazole, triazole, oxazole, isoxazole, indazole, thiazole, pyridine, pyridazine, pyrimidine, pyrazine, oxazine, triazine, indole, benzimidazole, benzoxazole, benzothiazole, benzopyrazole, benzothiophene, benzofuran, quinoline, isoquinoline, quinoxaline, quinazoline, phthalazine, purine and pteridine. Preferable examples include benzene, pyrazole, thiazole, imidazole, pyridine, pyrimidine and benzimidazole, while more preferable examples include benzene, pyridine and pyrimidine. The aromatic ring is monovalent in the case of being located on the end of the side chain represented by -X-Y-Z, and divalent in the case of being located at an intermediate position in the side chain.

[0070]

Examples of preferable aspects of -X-Y-Z that satisfy these conditions include compounds having the combinations of substituents indicated below:

[0071]

[Pattern 1]

X is a single bond or a linking group selected from -CO- or -CS-;

Y is a divalent linking group derived from a ring

selected from benzene, pyridine, pyrimidine, pyrazole, imidazole, oxazole, thiazole, furan, thiophene, quinoline, benzoimidazole, benzothiazole, benzopyrazole, naphthalene or benzothiophene, and preferably benzene, pyridine or pyrimidine; and

Z is a hydrogen atom or a substituent selected from group A (where group A is the same as previously defined);

while a pattern that is more preferable than Pattern 1 is such that:

X is a single bond;

Y is a divalent linking group derived from a ring selected from benzene, pyridine or pyrimidine; and

Z is a hydrogen atom or a substituent selected from group A (where group A is the same as previously defined);

[0072]

[Pattern 2]

X is a linking group selected from -CO- or -CS-;

Y is a single bond; and

Z is a substituent selected from group A_0:

(Group A_0: -Cyc,

$-C_{1-6}$ alkylene-Cyc,

$-C_{1-6}$ alkylene-CO-Cyc,

$-C_{1-6}$ alkylene-O-C_{1-6} alkylene-Cyc,

$-C_{1-6}$ alkylene-SO_2-Cyc,

-NRCO-Cyc,

-NRCO-C_{1-6} alkylene-Cyc,

-NR-Cyc,

-NR-Cyc-Cyc,

-NR-Cyc-CO-Cyc,

-NR-C_{1-6} alkylene-Cyc-CO-Cyc,

-NR-Cyc-CO-C_{1-6} alkylene-Cyc,

-NR-Cyc-NR'-Cyc,

-NR-C_{1-6} alkylene-Cyc-NR'-Cyc,

-NR-Cyc-NR'-C_{1-6} alkylene-Cyc, and

-NR-C_{1-6} alkylene-Cyc;

and at this time, said Cyc is preferably an aromatic hydrocarbon ring or aromatic heterocyclic ring, and when 2 Cyc are present in a substituent of group A or group A_0, at least one is preferably an aromatic hydrocarbon ring or aromatic heterocyclic ring, and more preferably, -Cyc- is an aromatic hydrocarbon ring and -Cyc is a nitrogen-containing heterocyclic ring).

[0073]

Specific examples of compounds represented by general formula (I) of the present invention and salts thereof include those compounds described below and those compounds described in the following tables (including free forms and salts thereof). However, the present invention should not be limited to these exemplifications.

4-(3-methoxy-phenyl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-01);

4-(3-methoxy-phenyl)-2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-02);

5-[4-(3-methoxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-ol (A-03);

4-(3-methoxy-phenyl)-2-morpholin-4-yl-7-pyridin-3-ylmethyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-04);

7-(1H-indazol-5-yl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-05);

7-(1H-benzimidazol-5-yl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-06);

4-(3-methoxy-phenyl)-7-methyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-07);

4-(3-methoxy-phenyl)-7-(6-methoxy-pyridin-3-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-08);

3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-09);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-10);
5-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-ol (A-11);
3-(2-morpholin-4-yl-7-pyridin-3-ylmethyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (A-12);
3-[7-(1H-indazol-5-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-13);
3-[7-(1H-benzimidazol-5-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-14);
3-(7-methyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-15);
3-[7-(2-methyl-pyridin-4-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-16);
3-[7-(1-methyl-1H-pyrazol-3-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-17);
3-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzonitrile (A-18);
3-[7-(2-methyl-quinolin-4-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-19);
3-[7-(3-dimethylamino-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-20);
3-[2-morpholin-4-yl-7-(4-trifluoromethoxy-phenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-21);
3-(2-morpholin-4-yl-7-o-tolyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-22);
3-[7-(2,4-dimethyl-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-23);
3-[7-(3-dimethylamino-propyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-24);

3-[7-(4-isopropyl-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-25);
3-[7-(3-chloro-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid salt (A-26);
3-[7-(4-chloro-3-methyl-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid salt (A-27);
3-[7-(2-chloro-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid salt (A-28);
3-(2-morpholin-4-yl-7-pyridin-2-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-29);
3-[7-(5-methyl-pyridin-2-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-30);
3-[7-(4-chloro-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid salt (A-31);

[0074]

2-fluoro-5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (A-32);
2-fluoro-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (A-33);
2-methyl-5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-34);
2-methyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-35);
3-[4-(3-methoxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-propan-1-ol (A-36);
2-morpholin-4-yl-4,7-di-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-37);

2-morpholin-4-yl-4-pyridin-3-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-38);

N-methyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzenesulfonamide (A-39);

N-methyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzenesulfonamide (A-40);

3-{7-[2-(4-methyl-piperazin-1-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol (A-41);

3-{7-[2-(2-dimethylamino-ethoxy)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol (A-42);

3-[7-(4-dimethylamino-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4'-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-43);

3-[2-morpholin-4-yl-7-(2-morpholin-4-yl-pyridin-4-yl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-44);

3-(7-{2-[(3-dimethylamino-propyl)-methyl-amino]-pyridin-4-yl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-45);

3-(7-{2-[(2-dimethylamino-ethyl)-methyl-amino]-pyridin-4-yl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-46);

3-[7-(4-dimethylamino-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-47);

N-{3-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-methanesulfonamide trifluoroacetic acid salt (A-48);

3-(2-morpholin-4-yl-7-thiazol-2-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-49);

3-[7-(4-methanesulfonyl-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-50);

4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidin-7-yl]-benzenesulfonamide (A-51);
3-(7-benzothiazol-6-yl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (A-52);
3-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzenesulfonamide (A-53);
3-(2-morpholin-4-yl-8-pyridin-4-yl-5,6,7,8-tetrahydro-pyrido[2,3-d]pyrimidin-4-yl)-phenol (A-54);
5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (B-01);

[0075]

5-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (B-02);
5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyridin-2-ylamine (B-03);
5-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyridin-2-ylamine (B-04);
4-methoxy-5-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (B-05);
2-fluoro-4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenylamine (B-06);
2,6-difluoro-4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenylamine (B-07);
4-(2,4-dimethoxy-pyrimidin-5-yl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-08);
4-(2,4-dimethoxy-pyrimidin-5-yl)-2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-09);
4-(6-methoxy-pyridin-3-yl)-2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-10);

4-(6-methoxy-pyridin-3-yl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-11);

4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid methyl ester (B-12);

4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid methyl ester hydrochloride (B-13);

4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzonitrile (B-14);

4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzonitrile hydrochloride (B-15);

4-(3-fluoro-phenyl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-16);

4-(5-methoxy-pyridin-3-yl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-17);

2-morpholin-4-yl-7-pyridin-4-yl-4-pyrimidin-5-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-18);

N-[4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanesulfonamide (B-19);

[2,6-difluoro-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanol (B-20);

4-(1H-benzimidazol-5-yl)-2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-21);

4-(1H-benzimidazol-5-yl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-22);

[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanol (B-23);

4-(2-methoxy-pyridin-3-yl)-2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-24);

4-(3-benzyloxy-2,6-difluoro-phenyl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-25);

2,4-difluoro-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (B-26);

[0076]

4-(2-methoxy-pyrimidin-5-yl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-27);

2-morpholin-4-yl-4,7-di-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-28);

2-morpholin-4-yl-4-pyridin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-29);

[4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanol (B-30);

[4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanol (B-31);

4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzylamine hydrochloride (B-32);

4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzylamine hydrochloride (B-33);

2-fluoro-5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzonitrile (B-34);

[2-fluoro-5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanol (B-35);

[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanol (B-36);

2-morpholin-4-yl-7-pyridin-4-yl-4-(3-trifluoromethoxy-phenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-37);

2-morpholin-4-yl-7-pyridin-4-yl-4-(4-trifluoromethoxy-phenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-38);

4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-

pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (B-39);
2-morpholin-4-yl-7-pyridin-4-yl-4-(3,4,5-trimethoxy-phenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-40);
2-morpholin-4-yl-4-phenyl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-41);
5-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyridin-2-ol (B-42);
5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyridin-2-ol (B-43);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyridin-2-ol (B-44);
5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ol (B-45);
3-(2-morpholin-4-yl-7-phenyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (B-46);
3-[7-(2,4-difluoro-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (B-47);
4-(3-methoxy-phenyl)-7-(4-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-48);
7-(4-methoxy-benzyl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-49);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzenesulfonamide (B-50);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzenesulfonamide (B-51);
2-fluoro-4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenylamine (B-52);

[0077]

2,6-difluoro-4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenylamine (B-53);
4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenylamine (B-54);

6-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyridin-3-ylamine (B-55);
4-(3-hydroxyphenyl)-2-(morpholin-4-yl)-7-(ethylaminocarbonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (C-01;
1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-ethanone (C-02);
[4-(3-t-butoxyphenyl)-2-morpholin-4-yl-5,6-dihydropyrrolo[2,3-d]pyrimidin-7-yl]-phenylmethanone (C-03);
[4-(3-hydroxyphenyl)-2-morpholin-4-yl-5,6-dihydropyrrolo[2,3-d]pyrimidin-7-yl]-phenylmethanone (C-04);
1-[4-(3-hydroxyphenyl)-2-morpholin-4-yl-5,6-dihydropyrrolo[2,3-d]pyrimidin-7-yl]propan-1-one (C-05);
1-[4-(3-hydroxyphenyl)-2-morpholin-4-yl-5,6-dihydropyrrolo[2,3-d]pyrimidin-7-yl]-2,2-dimethyl-propan-1-one (C-06);
4-(3-t-butoxy-phenyl)-2-morpholin-4-yl-7-(toluene-4-sulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (C-07);
3-[2-morpholin-4-yl-7-(toluene-4-sulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-08);
4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbaldehyde (C-09);
3-(7-methanesulfonyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (C-10);
3-(7-ethanesulfonyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (C-11);
3-[2-morpholin-4-yl-7-(toluene-2-sulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-12);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-acetic acid ethyl ester (C-13);

3-(7-benzenesulfonyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (C-14);
3-[2-morpholin-4-yl-7-(thiophene-2-sulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-15);
3-[7-(3-methoxy-benzenesulfonyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-16);
4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid phenyl amide (C-17);
4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2,4-difluoro-phenyl)-amide (C-18);
4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid p-tolylamide (C-19);
4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-trifluoromethyl-phenyl)-amide (C-20);
3-[7-(4-fluoro-benzenesulfonyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-21);
3-[7-(2,4-difluoro-benzenesulfonyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-22);
4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-sulfonyl]-benzonitrile (C-23);
3-[2-morpholin-4-yl-7-(toluene-3-sulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-24);
3-[7-(4-tert-butyl-benzenesulfonyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-25);

[0078]

3-[2-morpholin-4-yl-7-(4-trifluoromethyl-benzenesulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-26);
3-[2-morpholin-4-yl-7-(3-trifluoromethyl-benzenesulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-27);

3-[2-morpholin-4-yl-7-(4-trifluoromethoxy-benzenesulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-28);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-p-tolyl-methanone (C-29);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-m-tolyl-methanone (C-30);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-(4-trifluoromethyl-phenyl)-methanone (C-31);
2-(4-fluoro-phenyl)-1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-ethanone (C-32);
1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-phenyl-propan-1-one (C-33);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-(3-trifluoromethyl-phenyl)-methanone (C-34);
1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-2-phenyl-ethanone (C-35);
N-{4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbonyl]-phenyl}-acetamide (C-36);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl-methanone (C-37);
(2,4-difluoro-phenyl)-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-methanone (C-38);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-4-yl-methanone (C-39);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-o-tolyl-methanone (C-40);

(4-tert-butyl-phenyl)-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-methanone (C-41);

4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbonyl]-benzonitrile trifluoroacetic acid salt (C-42);

[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-naphthalen-2-yl-methanone trifluoroacetic acid salt (C-43);

[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-naphthalen-1-yl-methanone trifluoroacetic acid salt (C-44);

1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,3-dimethyl-butan-1-one (C-45);

1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pentan-1-one (C-46);

4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-oxo-butyric acid methyl ester (C-47);

5-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-5-oxo-pentanoic acid methyl ester (C-48);

1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-heptan-1-one (C-49);

4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid isopropylamide trifluoroacetic acid salt (C-50);

[0079]

4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid phenethyl-amide trifluoroacetic acid salt (C-51);

1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidin-7-yl]-2-naphthalen-1-yl-ethanone (C-52);

[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-thiophen-2-yl-methanone trifluoroacetic acid salt (C-53);

benzo[b]thiophen-2-yl-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-methanone trifluoroacetic acid salt (C-54);

4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid methyl amide trifluoroacetic acid salt (C-55);

4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid butyl amide trifluoroacetic acid salt (C-56);

3-[7-(butane-1-sulfonyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-57);

1-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-ethanone (D-01);

5-(7-methanesulfonyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-02);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid ethyl amide (D-03);

5-(7-ethyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-04);

5-(7-benzyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-05);

1-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-propan-1-one (D-06);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridine-2-carboxylic acid tert-butyl amide (D-07);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidin-7-yl]-benzoic acid methyl ester (D-08);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzoic acid sodium salt (D-09);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzamide (D-10);

1-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-phenylpropan-1-one (D-11);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-oxo-butyric acid methyl ester (D-12);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid isopropylamide (D-13);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-thiocarboxylic acid ethyl amide (D-14);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid ethyl ester (D-15);

{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-fluoro-phenyl}-morpholin-4-yl-methanone (D-16);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [5-(4-ethyl-piperazine-1-carbonyl)-2-methyl-phenyl]-amide (D-17);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-ethyl-piperazin-1-yl)-2,6-difluoro-phenyl]-amide (D-18);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-pyridin-3-ylmethyl-benzamide (D-19);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-pyridin-3-yl-piperazin-1-yl)-methanone (D-20);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-pyridin-3-yl-piperazin-1-yl)-methanone (D-21);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-morpholin-4-yl-methanone (D-22);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-methyl-piperazin-1-yl)-2,6-difluoro-phenyl]-amide (D-23);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-ethyl-piperazine-1-carbonyl)-2,6-difluoro-phenyl]-amide (D-24);
{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-methyl-phenyl}-morpholin-4-yl-methanone (D-25);
5-{7-[4-(4-methyl-piperazin-1-ylmethyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-26);
[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl-methanone (D-27);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid phenylamide (D-28);
{[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbonyl]-amino}-acetic acid ethyl ester (D-29);
3-{[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbonyl]-amino}-propionic acid ethyl ester (D-30);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidine-7-carboxylic acid carbamoylmethyl-amide (D-31);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-carbamoyl-ethyl)-amide (D-32);

{[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbonyl]-amino}-acetic acid (D-33);

3-{[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbonyl]-amino}-propionic acid (D-34);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-oxo-butyric acid (D-35);

5-[7-(5-bromo-pyridin-2-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-36);

5-[7-(6-fluoro-pyridin-3-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-37);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-oxo-butyramide (D-38);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid 2-methoxy-ethyl ester (D-39);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid allyl ester (D-40);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-dimethylamino-ethyl)-benzamide (D-41);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-methyl-piperazin-1-yl)-methanone (D-42);

N-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-acetamide (D-43);

N-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-methanesulfonamide (D-44);

N-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-acetamide (D-45);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid (2-morpholin-4-yl-ethyl)-amide (D-46);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (3-trifluoromethyl-phenyl)-amide (D-47);

N-{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-N,N',N'-trimethyl-ethane-1,2-diamine (D-48);

5-{7-[6-(4-ethyl-piperazin-1-yl)-pyridin-3-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-49);

5-(7-ethanesulfonyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-50);

5-[2-morpholin-4-yl-7-(propane-1-sulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-51);

3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzoic acid methyl ester (D-52);

{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-morpholin-4-yl-methanone (D-53);

{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-methyl-

piperazin-1-yl)-methanone (D-54);
3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-dimethylamino-ethyl)-benzamide (D-55);
4-{[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbonyl]-amino}-benzoic acid ethyl ester (D-56);
5-(2-morpholin-4-yl-7-phenyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-57);
5-[7-(2,4-difluoro-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-58);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-morpholin-4-yl-ethyl)-amide (D-59);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [3-(4-methyl-piperazin-1-yl)-propyl]-amide (D-60);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-piperidin-1-yl-ethyl)-amide (D-61);
5-{7-[3-(4-methyl-piperazine-1-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-62);
5-{7-[4-(4-methyl-piperazine-1-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-63);
[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-piperidin-4-yl-methanone (D-64);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-pyridin-3-yl-phenyl)-amide (D-65);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-pyridin-4-yl-phenyl)-amide (D-66);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid piperidin-4-ylamide (D-67);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-dimethylamino-ethyl)-amide (D-68);

5-{2-morpholin-4-yl-7-[2-(3-morpholin-4-yl-propylamino)-pyridin-4-yl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-69);

1-(4-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-piperazin-1-yl)-ethanone (D-70);

5-{7-[6-(4-methyl-piperazin-1-yl)-pyridin-3-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-71);

5-{7-[6-(2-dimethylamino-ethoxy)-pyridin-3-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-72);

{5'-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4-yl}-dimethyl-amine (D-73);

N-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-N,N',N'-trimethyl-ethane-1,2-diamine (D-74);

4'-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4-ol (D-75);

[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-(4-methyl-piperazin-1-yl)-methanone (D-76);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (3-dimethylamino-propyl)-amide (D-77);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (piperidin-4-ylmethyl)-amide (D-78);
{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-(4-methyl-piperazin-1-yl)-methanone (D-79);
3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(3-hydroxy-propyl)-benzenesulfonamide (D-80);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [3-(4-ethyl-piperazin-1-yl)-phenyl]-amide (D-81);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-ethyl-piperazin-1-yl)-phenyl]-amide (D-82);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-ethyl-piperazin-1-yl)-methanone (D-83);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-dimethylamino-ethyl)-N-methyl-benzamide (D-84);
{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-morpholin-4-yl-methanone (D-85):
5-{7-[3-(morpholine-4-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-86);
5-{7-[4-(morpholine-4-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-87);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (1-methyl-piperidin-4-yl)-amide (D-88);

1-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-(4-ethyl-piperazin-1-yl)-butane-1,4-dione (D-89);

1-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-morpholin-4-yl-butane-1,4-dione (D-90);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(3-dimethylamino-propyl)-benzamide (D-91);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(3-dimethylamino-propyl)-N-methyl-benzamide (D-92);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(3-morpholin-4-yl-propyl)-benzamide (D-93);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-morpholin-4-yl-ethyl)-benzamide (D-94);

{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-(4-ethyl-piperazin-1-yl)-methanone (D-95);

5-{7-[3-(4-ethyl-piperazine-1-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-96);

5-{7-[4-(4-ethyl-piperazine-1-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-97);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(3-hydroxy-propyl)-benzenesulfonamide (D-98);

3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-hydroxy-ethyl)-benzenesulfonamide (D-99);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-hydroxy-ethyl)-benzenesulfonamide (D-100);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [3-(4-ethyl-piperazine-1-carbonyl)-phenyl]-amide (D-101);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [3-(morpholine-4-carbonyl)-phenyl]-amide (D-102);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-ethyl-piperazine-1-carbonyl)-phenyl]-amide (D-103);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(morpholine-4-carbonyl)-phenyl]-amide (D-104);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (3-morpholin-4-yl-phenyl)-amide (D-105);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [3-(2-morpholin-4-yl-ethylamino)-phenyl]-amide (D-106);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-morpholin-4-yl-phenyl)-amide (D-107);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(2-morpholin-4-yl-ethylamino)-phenyl]-amide (D-108);

1-(4-{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-piperazin-1-yl)-ethanone (D-109);

5-[2-morpholin-4-yl-7-(6-morpholin-4-yl-pyridin-3-yl)-6,7-

dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-110);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone (D-111);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-piperazin-1-yl-methanone (D-112);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-isopropyl-piperazin-1-yl)-methanone (D-113);

5-[7-(1-benzyloxymethyl-1H-benzimidazol-5-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-114);

5-[7-(1H-benzimidazol-5-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-115);

N-{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-N,N',N'-trimethyl-propan-1,3-diamine (D-116);

{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone (D-117);

2-(4-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzenesulfonyl}-piperazin-1-yl)-ethanol (D-118);

2-(4-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzenesulfonyl}-piperazin-1-yl)-ethanol (D-119);

{2-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-thiazol-4-yl}-(4-ethyl-piperazin-1-yl)-methanone (D-120);

{2-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-

dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-thiazol-4-yl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone (D-121);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid {4-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-phenyl}-amide (D-122);
3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-morpholin-4-yl-ethyl)-benzamide (D-123);
3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(3-morpholin-4-yl-propyl)-benzamide (D-124);
{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone (D-125);
5-[2-morpholin-4-yl-7-(4-morpholin-4-ylmethyl-phenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-126);
2-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenylsulfanyl}-1-(4-ethyl-piperazin-1-yl)-ethanone (D-127);
{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-piperazin-1-yl-methanone (D-128);
5-{2-morpholin-4-yl-7-[3-(2-piperazin-1-yl-ethyl)-phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-129);
{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-methyl-phenyl}-(4-methyl-piperazin-1-yl)-methanone (D-130);
5-{2-morpholin-4-yl-7-[4-(2-piperazin-1-yl-ethyl)-phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-131);

5-{2-morpholin-4-yl-7-[3-(piperazine-1-sulfonyl)-phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-132);

5-{2-morpholin-4-yl-7-[4-(piperazine-1-sulfonyl)-phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-133);

1-[4-(2-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-ethyl)-piperazin-1-yl]-ethanone (D-134);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [3-(4-ethyl-piperazin-1-yl)-phenyl]-methyl-amide (D-135);

5-(7-{3-[2-(4-methanesulfonyl-piperazin-1-yl)-ethyl]-phenyl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-136);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-morpholin-4-yl-methanone (D-137);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-ethyl-piperazin-1-yl)-methanone (D-138);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-methyl-N-(2-morpholin-4-yl-ethyl)-benzamide (D-139);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-methyl-piperazin-1-yl)-methanone (D-140);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-piperazin-1-yl-methanone (D-141);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-(4-methyl-piperazin-1-yl)-methanone (D-142);

1-[4-(2-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-ethyl)-piperazin-1-yl]-ethanone (D-143);

5-(7-{4-[2-(4-methanesulfonyl-piperazin-1-yl)-ethyl]-phenyl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-144);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone (D-145);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-(4-ethyl-piperazin-1-yl)-methanone (D-146);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone (D-147);

{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-2-methyl-phenyl}-(4-methyl-piperazin-1-yl)-methanone (D-148);

5-{7-[2-fluoro-4-(4-methyl-piperazine-1-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-149);

5-{7-[4-(4-ethyl-piperazine-1-sulfonyl)-2-fluoro-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-150);

5-{7-[5-(4-ethyl-piperazin-1-ylmethyl)-2-fluoro-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-151);

2-(4-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-benzenesulfonyl}-piperazin-1-yl)-ethanol (D-152);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid {3-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-phenyl}-methyl-amide (D-

153);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-(3-piperazin-1-yl-phenyl)-amide (D-154);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-ethyl-piperazin-1-yl)-phenyl]-methyl-amide (D-155);
1-(4-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-fluoro-benzyl}-piperazin-1-yl)-ethanone (D-156);
{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-2-methyl-phenyl}-morpholin-4-yl-methanone (D-157);
{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-2-methyl-phenyl}-(4-ethyl-piperazin-1-yl)-methanone (D-158);
{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-2-methyl-phenyl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone (D-159);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-[4-(4-methyl-piperazin-1-yl)-phenyl]-amide (D-160);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-(4-piperazin-1-yl-phenyl)-amide (D-161);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid {4-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-phenyl}-methyl-amide (D-162);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-phenyl-amide (D-163);
5-{7-[2-methyl-4-(4-methyl-piperazine-1-sulfonyl)-phenyl]-

2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-164);

5-{7-[4-(4-ethyl-piperazine-1-sulfonyl)-2-methyl-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-165);

2-(4-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-benzenesulfonyl}-piperazin-1-yl)-ethanol (D-166);

2-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-ylamino}-ethanol (D-167);

3-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-piperazin-1-yl-propan-1-one (D-168);

3-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-ethyl-piperazin-1-yl)-propan-1-one (D-169);

3-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-propan-1-one (D-170);

2-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-piperazin-1-yl-ethanone (D-171);

2-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-piperazin-1-yl-ethanone (D-172);

5-[7-(2-fluoro-5-morpholin-4-ylmethyl-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-173);

5-(2-morpholin-4-yl-7-o-tolyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-174);

5-{7-[2-fluoro-4-(piperazine-1-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-

yl}-pyrimidin-2-ylamine (D-175);
5-{7-[2-methyl-4-(piperazine-1-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-176);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-[3-(4-methyl-piperazin-1-yl)-phenyl]-amide (D-177);
5-[7-(3-methyl-pyridin-2-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-178);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-[2-(2-hydroxy-ethoxy)-ethyl]-benzamide (D-179);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid o-tolylamide (D-180);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-isopropyl-phenyl)-amide (D-181);
2-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-methyl-piperazin-1-yl)-ethanone (D-182);
2-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-methyl-piperazin-1-yl)-ethanone (D-183);
2-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-ethyl-piperazin-1-yl)-ethanone (D-184);
2-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-ethyl-piperazin-1-yl)-ethanone (D-185);
2-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-[4-(2-

hydroxy-ethyl)-piperazin-1-yl]-ethanone (D-186);
2-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-ethanone (D-187);
3-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-methyl-piperazin-1-yl)-propan-1-one (D-188);
3-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-piperazin-1-yl-propan-1-one (D-189);
3-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-methyl-piperazin-1-yl)-propan-1-one (D-190);
3-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-ethyl-piperazin-1-yl)-propan-1-one (D-191);
3-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-propan-1-one (D-192);
5-[7-(4-methyl-pyridin-3-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-193);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-{methyl-[3-(4-methyl-piperazin-1-yl)-propyl]-amino}-phenyl)-amide (D-194);
{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-2-fluoro-phenyl}-morpholin-4-yl-methanone (D-195);
5-{7-[2-methyl-4-(morpholine-4-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-196);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-methyl-N-(2-morpholin-4-yl-ethyl)-benzamide (D-197);

5-{7-[2-fluoro-4-(morpholine-4-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-198);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-piperazin-1-yl-methanone (D-199);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-(4-methyl-piperazin-1-yl)-methanone (D-200);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-(4-ethyl-piperazin-1-yl)-methanone (D-201);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone (D-202);

{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-fluoro-phenyl}-(4-ethyl-piperazin-1-yl)-methanone (D-203);

5-[7-(1-methyl-1H-imidazol-2-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-204);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,N-dimethyl-N-(2-morpholin-4-yl-ethyl)-benzamide (D-205);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid {4-[methyl-(2-morpholin-4-yl-ethyl)-amino]-phenyl}-amide (D-206);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid {4-[methyl-(3-morpholin-4-yl-propyl)-amino]-phenyl}-amide (D-207);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(3-morpholin-4-yl-propylamino)-phenyl]-amide (D-208);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-methyl-N-(2-morpholin-4-yl-ethyl)-benzenesulfonamide (D-209);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid o-tolylamide (D-210);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-methyl-N-(2-morpholin-4-yl-ethyl)-benzenesulfonamide (D-211);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,N-dimethyl-N-(2-morpholin-4-yl-ethyl)-benzenesulfonamide (D-212);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-ethyl-phenyl)-amide (D-213);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-propyl-phenyl)-amide (D-214);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2,6-difluoro-phenyl)-amide (D-215);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid phenylamide (D-216);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-chloro-phenyl)-amide (D-217);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-5-(morpholine-4-carbonyl)-phenyl]-amide (D-218);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-4-(morpholine-4-carbonyl)-phenyl]-amide (D-219);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-4-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-220);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-ethyl-piperazine-1-carbonyl)-2-methyl-phenyl]-amide (D-221);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid (2-fluoro-phenyl)-amide (D-222);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-5-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-223);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [5-(4-ethyl-piperazine-1-carbonyl)-2-methyl-phenyl]-amide (D-224);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid (2,6-difluoro-phenyl)-amide (D-225);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-(3-morpholin-4-yl-phenyl)-amide (D-226);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-{3-[methyl-(2-morpholin-4-yl-ethyl)-amino]-phenyl}-amide (D-227);
{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-yl]-pyridin-3-yl}-morpholin-4-yl-methanone (D-228);
{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-3-yl}-(4-methyl-piperazin-1-yl)-methanone (D-229);

{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-3-yl}-(4-ethyl-piperazin-1-yl)-methanone (D-230);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [4-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-231);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [4-(4-ethyl-piperazine-1-carbonyl)-phenyl]-amide (D-232);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [3-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-233);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-benzonitrile(D-234);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [3-(4-ethyl-piperazine-1-carbonyl)-phenyl]-amide (D-235);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [2-methyl-5-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-236);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-methyl-5-morpholin-4-yl-phenyl)-amide (D-237);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-5-(4-methyl-piperazin-1-yl)-phenyl]-amide(D-238);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [5-(4-ethyl-piperazin-1-yl)-2-methyl-phenyl]-amide (D-239);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-methyl-4-morpholin-4-yl-phenyl)-amide (D-240);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-4-(4-methyl-piperazin-1-yl)-phenyl]-amide (D-241);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-ethyl-piperazin-1-yl)-2-methyl-phenyl]-amide (D-242);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-3-(morpholine-4-carbonyl)-phenyl]-amide (D-243);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-3-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-244);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [3-(4-ethyl-piperazine-1-carbonyl)-2-methyl-phenyl]-amide (D-245);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-((2R,6S)-2,6-dimethyl-morpholin-4-yl)-methanone (D-246);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [3-(morpholine-4-carbonyl)-phenyl]-amide (D-247);
5-{7-[5-(morpholine-4-sulfonyl)-pyridin-3-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-248);
5-{7-[5-(4-methyl-piperazine-1-sulfonyl)-pyridin-3-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-249);
5-{7-[5-(4-ethyl-piperazine-1-sulfonyl)-pyridin-3-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-250);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [4-(morpholine-4-carbonyl)-phenyl]-amide (D-251);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [2-methyl-4-(morpholine-4-carbonyl)-phenyl]-amide (D-252);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [2-methyl-4-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-253);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [4-(4-ethyl-piperazine-1-carbonyl)-2-methyl-phenyl]-amide (D-254);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [2-methyl-5-(morpholine-4-carbonyl)-phenyl]-amide (D-255);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2,6-difluoro-4-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-256);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2,6-difluoro-4-(morpholine-4-carbonyl)-phenyl]-amide (D-257);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-N-pyridin-4-yl-benzamide (D-258);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-N-pyridin-4-ylmethyl-benzamide (D-259);
4-methyl-5-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-260);
4-methyl-5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-261);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid benzyl-methyl-amide (D-262);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-phenethyl-amide (D-263);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-pyridin-4-ylmethyl-benzamide (D-264);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-pyridin-4-yl-piperazin-1-yl)-methanone (D-265);

5-{7-[4-(4-ethyl-piperazin-1-ylmethyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-266);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-pyrrolidin-1-yl-methanone (D-267);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-piperidin-1-yl-methanone (D-268);

4-methyl-piperazine-1-carboxylic acid {3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-amide (D-269);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-thiazol-2-yl-benzamide (D-270);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-pyridin-4-ylmethyl-benzamide (D-271);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-azepan-1-yl-methanone (D-272);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2,6-difluoro-4-morpholin-4-yl-phenyl)-amide (D-273);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-methyl-pyridin-3-yl)-amide (D-274);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (pyridin-3-ylmethyl)-amide (D-275);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-methyl-pyridin-3-yl)-amide (D-276);
N-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-isonicotinamide (D-277);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-pyridin-2-yl-piperazin-1-yl)-methanone (D-278);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-pyridin-3-yl-ethyl)-benzamide (D-279);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-methyl-2H-pyrazol-3-yl)-amide (D-280);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (5-methyl-2-phenyl-2H-pyrazol-3-yl)-amide (D-281);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-pyridin-2-ylmethyl-benzamide (D-282);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2,6-dimethyl-phenyl)-amide (D-283);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-pyrimidin-2-yl-piperazin-1-yl)-methanone (D-284);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-pyridin-2-yl-piperazin-1-yl)-methanone (D-285);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-pyridin-4-yl-piperazin-1-yl)-methanone (D-286);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid 3-(4-methyl-piperazine-1-carbonyl)-benzylamide (D-287);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-(4-pyridin-4-yl-piperazin-1-yl)-methanone (D-288);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [2-methyl-5-(4-methyl-piperazin-1-yl)-phenyl]-amide (D-289);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [5-(4-ethyl-piperazin-1-yl)-2-methyl-phenyl]-amide (D-290);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [2-methyl-4-(4-methyl-piperazin-1-yl)-phenyl]-amide (D-291);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [4-(4-ethyl-piperazin-1-yl)-2-methyl-phenyl]-amide (D-292);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid 4-(4-methyl-piperazine-1-carbonyl)-benzylamide (D-293);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid {2-[4-(4-methyl-piperazine-1-carbonyl)-phenyl]-ethyl}-amide (D-294);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-{2-[4-(4-methyl-piperazine-1-carbonyl)-phenyl]-ethyl}-amide (D-295);
5-(7-{4-[2-(4-methyl-piperazine-1-sulfonyl)-ethyl]-phenyl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-296);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-[4-(4-methyl-piperazine-1-carbonyl)-benzyl]-amide (D-297);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-[3-(4-methyl-piperazine-1-carbonyl)-benzyl]-amide (D-298);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-diethylamino-2-methyl-phenyl)-amide (D-299);
{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-methoxy-phenyl}-morpholin-4-yl-methanone (D-300);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-N-pyridin-3-ylmethyl-benzamide (D-301);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-N-(2-pyridin-3-yl-ethyl)-benzamide (D-302);
3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-methyl-N-pyridin-3-ylmethyl-benzamide (D-303);
3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-methyl-N-(2-pyridin-3-yl-ethyl)-benzamide (D-304);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-pyridin-3-ylmethyl-benzamide (D-305);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-(2-pyridin-3-yl-ethyl)-benzamide (D-306);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-morpholin-4-yl-piperidin-1-yl)-methanone (D-307);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-morpholin-4-yl-piperidin-1-yl)-methanone (D-308);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-chloro-phenyl}-morpholin-4-yl-methanone (D-309);
{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-chloro-phenyl}-morpholin-4-yl-methanone (D-310);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-(4-pyridin-3-yl-piperazin-1-yl)-methanone (D-311);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-methyl-biphenyl-3-yl)-amide (D-312);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-methyl-5-pyridin-3-yl-phenyl)-amide (D-313);
5-[2-morpholin-4-yl-7-(5-trifluoromethyl-pyridin-3-yl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-314);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-pyridin-3-ylmethyl-piperazin-1-yl)-methanone (D-315);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-pyridin-4-ylmethyl-piperazin-1-yl)-methanone (D-316);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-methyl-N-pyridin-3-ylmethyl-benzamide (D-317);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-methyl-N-pyridin-3-ylmethyl-benzamide (D-318);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-methyl-N-(2-pyridin-3-yl-ethyl)-benzamide (D-319);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-methyl-N-(2-pyridin-3-yl-ethyl)-benzamide (D-320);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-pyridin-3-ylmethyl-piperazin-1-yl)-methanone (D-321);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-pyridin-4-ylmethyl-piperazin-1-yl)-methanone (D-322);

5-(2-morpholin-4-yl-4-pyridin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl)-pyrimidin-2-ylamine (D-323);

{6-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-naphthalen-2-yl}-(4-methyl-piperazin-1-yl)-methanone (D-324);

5-{7-[3-fluoro-4-(4-methyl-piperazin-1-ylmethyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-325);

5-{7-[2-fluoro-4-(4-methyl-piperazin-1-ylmethyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-326);

5-{2-morpholin-4-yl-7-[4-(4-propyl-piperazin-1-ylmethyl)-phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-327);

5-{7-[4-(4-isopropyl-piperazin-1-ylmethyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-328);

5-(7-{4-[4-(2-fluoroethyl)-piperazin-1-ylmethyl]-phenyl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-329);

5-(7-{4-[4-(4-fluorobutyl)-piperazin-1-ylmethyl]-phenyl}-2-

morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-330);
5-(2-morpholin-4-yl-7-{4-[4-(3,3,3-trifluoropropyl)piperazin-1-ylmethyl]-phenyl}-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-332);
5-{7-[6-(4-methyl-piperazin-1-ylmethyl)naphthalen-2-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-333);
5-{7-[4-(4-ethyl-piperazin-1-ylmethyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-334);
5-[7-(2-fluoro-4-morpholin-4-ylmethyl-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-335)

[0080]

4-(3-ethylaminocarbonyloxyphenyl)-2-(morpholin-4-yl)-7-(pyridin-4-yl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (E-01);
4-(3-methylaminocarbonyloxyphenyl)-2-(morpholin-4-yl)-7-(pyridin-4-yl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (E-02);
4-(3-acetoxyphenyl)-2-(morpholin-4-yl)-7-(pyridin-4-yl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (E-03);
2-morpholin-4-yl-7-pyridin-4-yl-4-[3-(2-pyridin-2-ylethoxy)phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (E-04);
2-morpholin-4-yl-7-pyridin-4-yl-4-[3-(3-pyridin-3-yl-propoxy)-phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (E-05);
2-morpholin-4-yl-7-pyridin-4-yl-4-[3-(pyridin-4-ylmethoxy)-phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (E-06);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-

pyrrolo[2,3-d]pyrimidin-4-yl)benzonitrile (E-07);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)benzylamine (E-08);
N-[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)benzyl]acetamide (E-9);
5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-2-pyrrolidin-1-ylmethylphenol (E-10);
2-diethylaminomethyl-5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)phenol (E-11);
5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-2-piperidin-1-ylmethyl-phenol (E-12);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenylamine (F-01);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid methyl ester (G-01);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid methyl ester (G-02);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid (G-03);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid (G-04);
N-(2-dimethylaminoethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-05);
N-(2-morpholin-4-yl-ethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-06);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-(2-pyridin-3-yl-ethyl)-

benzamide (G-07);
N-methyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-08);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-pyridin-3-ylmethyl-benzamide (G-09);
N-(2-dimethylamino-ethyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-10);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-(2-pyridin-4-yl-ethyl)-benzamide (G-11);

[0081]

N-(2-carbamoyl-ethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-12);
N-(2-morpholin-4-yl-ethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-13);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-(2-pyridin-3-yl-ethyl)-benzamide (G-14);
N-isobutyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-15);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-pyridin-3-ylmethyl-benzamide (G-16);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-propyl-benzamide (G-17);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-propyl-benzamide (G-18);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-(2-pyridin-4-yl-ethyl)-

benzamide (G-19);

N-benzyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-20);

N-(2-methoxy-ethyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-21);

N-(2-morpholin-4-yl-ethyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-22);

N-carbamoylmethyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-23);

N-(2-carbamoyl-ethyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-24);

3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-phenethyl-benzamide (G-25);

N-isobutyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-26);

3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid 2-dimethylamino-ethyl ester (G-27);

3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-28);

4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid methyl ester hydrochloride (G-29);

N-(2-dimethylamino-ethyl)-4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-30);

3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-31);

4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid methyl ester (G-32);

4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-33);
N-(2-morpholin-4-yl-ethyl)-4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-34);

[0082]
N-(2-morpholin-4-yl-ethyl)-4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-35);
4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-36);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid 2-dimethylamino-ethyl ester (G-37);
N,N-dimethyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-38);
N-methyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-39);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-phenyl-benzamide trifluoroacetic acid salt (G-40);
N-(3-dimethylamino-propyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-41);
N-carbamoylmethyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-42);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-phenyl-benzamide trifluoroacetic acid salt (G-43);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-

pyrrolo[2,3-d]pyrimidin-4-yl)-N-phenethyl-benzamide (G-44);
N-(2-methoxy-ethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-45);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-(2-piperidin-1-yl-ethyl)-benzamide (G-46);
N-(3-hydroxy-propyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-47);
N-(1-methyl-butyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-48);
N-(2-methoxy-ethyl)-N-methyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-49);
(4-methyl-piperazin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-50);
(4-hydroxy-piperidin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-51);
N-(3,3-dimethyl-butyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-52);
N-cyclopropylmethyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-propyl-benzamide (G-53);
N-((S)-2-hydroxy-1-phenyl-ethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-54);
N-(3-morpholin-4-yl-propyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-55);
N-(3-dimethylamino-propyl)-3-(2-morpholin-4-yl-7-pyridin-3-

yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-56);

[0083]

3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-pyridin-4-ylmethyl-benzamide (G-57);

N-cyclohexylmethyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-58);

N-(2-diethylamino-ethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-59);

N-isopropyl-N-methyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-60);

N-isobutyl-N-methyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-61);

N-ethyl-N-(2-hydroxy-ethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-62);

(3-hydroxy-pyrrolidin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone trifluoroacetic acid salt (G-63);

N-indan-2-yl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-64);

azetidin-1-yl-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-65);

(4-ethyl-piperazin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone trifluoroacetic acid salt (G-66);

N,N-diethyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-67);

((R)-2-hydroxymethyl-pyrrolidin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone trifluoroacetic acid salt (G-68);
[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-(4-pyrrolidin-1-yl-piperidin-1-yl)-methanone (G-69);
(3-hydroxy-piperidin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone trifluoroacetic acid salt (G-70);
N-cyclopentyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-71);
(2,5-dihydro-pyrrol-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-72);
[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-(4-phenyl-piperazin-1-yl)-methanone (G-73);
N-cyclohexyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-74);
(2,6-dimethyl-morpholin-4-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-75);
N-methyl-N-(3-methyl-butyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-76);
N-(2-dimethylamino-ethyl)-N-ethyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-77);
azetidin-1-yl-[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-78);

[0084]

N-(3-hydroxy-propyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-

6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-79);

N-cyclopentyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-80);

(3-hydroxy-pyrrolidin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone trifluoroacetic acid salt (G-81);

N-(2-methoxy-ethyl)-N-methyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-82);

(4-methyl-piperazin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-83);

(4-hydroxy-piperidin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone trifluoroacetic acid salt (G-84);

N-methyl-N-(3-methyl-butyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-85);

3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-pyridin-4-ylmethyl-benzamide (G-86);

(4-ethyl-piperazin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-87);

N-(2-diethylamino-ethyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-88);

N-(2-dimethylamino-ethyl)-N-methyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-89);

3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-(2-pyrrolidin-1-yl-ethyl)-

benzamide (G-90);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-(2-pyrrolidin-1-yl-ethyl)-benzamide (G-91);
N-(4,5-dimethyl-thiazol-2-yl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-92);
N-indan-2-yl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-93);
(3-hydroxy-piperidin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-94);
7-(2-chloro-pyridin-4-yl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine trifluoroacetic acid salt (H-01);
3-{7-[2-(3-hydroxy-propylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-02);
3-{7-[2-(isobutyl-methyl-amino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol (H-03);
3-{7-[2-(4-ethyl-piperazin-1-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol (H-04);
4'-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4-ol (H-05);
4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-ol (H-06);

[0085]

1-(4-{4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-piperazin-1-yl)-ethanone (H-07);

3-{7-[2-(2-hydroxy-ethylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-08);
3-{7-[2-(2-hydroxy-propylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-09);
3-{7-[2-(2-hydroxy-1-methyl-ethylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-10);
4'-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-3-ol (H-11);
3-{7-[2-(3-dimethylamino-propylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol (H-12);
3-{7-[2-(3-hydroxy-propylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-13);
3-(7-{2-[(2-hydroxy-ethyl)-methyl-amino]-pyridin-4-yl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (H-14);
3-(7-{2-[(2-methoxy-ethyl)-methyl-amino]-pyridin-4-yl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (H-15);
3-(7-{2-[(2-dimethylamino-ethyl)-ethyl-amino]-pyridin-4-yl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (H-16);
3-{7-[2-((R)-2-hydroxymethyl-pyrrolidin-1-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-17);
3-[2-morpholin-4-yl-7-(4-pyrrolidin-1-yl-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4'-yl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid

salt (H-18);

3-{7-[2-(cyclohexylmethyl-amino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-19);

3-{7-[2-(3,3-dimethyl-butylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-20);

3-{7-[2-(isobutyl-methyl-amino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol (H-21);

3-(7-{2-[methyl-(3-methyl-butyl)-amino]-pyridin-4-yl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (H-22);

1-{4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-pyrrolidin-3-ol (H-23);

3-{2-morpholin-4-yl-7-[2-(4-phenyl-piperazin-1-yl)-pyridin-4-yl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-24);

3-{7-[2-(cyclopropylmethyl-propyl-amino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-25);

3-{7-[2-(2,6-dimethyl-morpholin-4-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-26);

3-{2-morpholin-4-yl-7-[2-(3-morpholin-4-yl-propylamino)-pyridin-4-yl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-27);

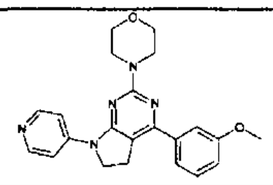
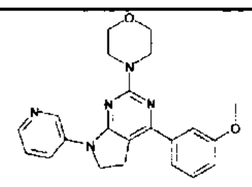
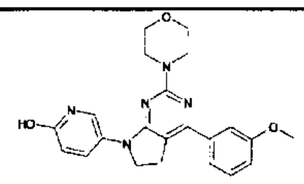
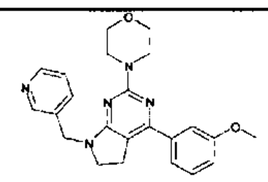
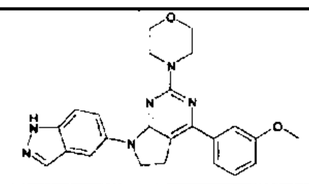
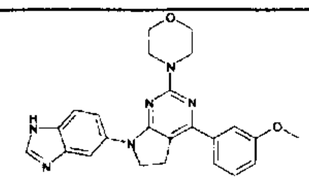
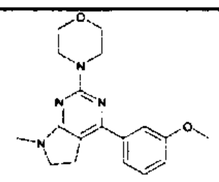
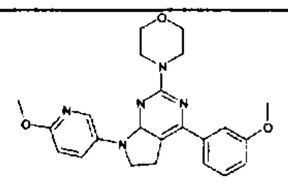
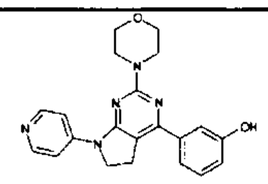
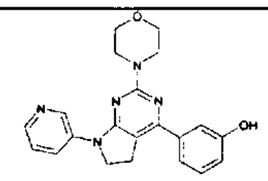
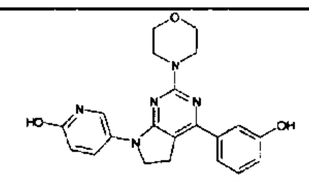
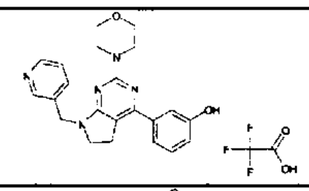
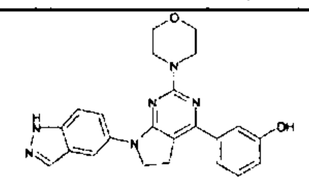
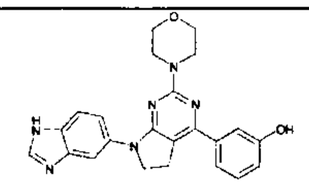
[0086]

3-{7-[2-(indan-2-ylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-28);

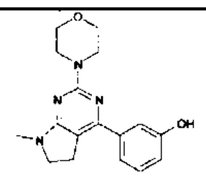
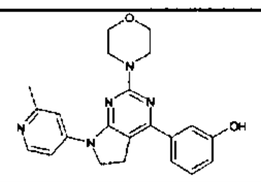
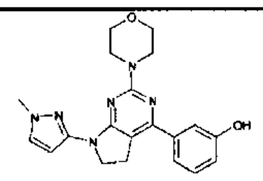
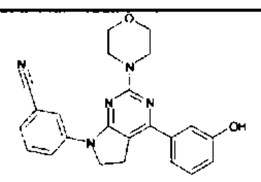
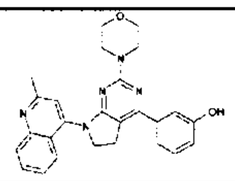
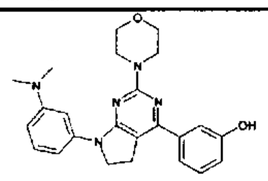
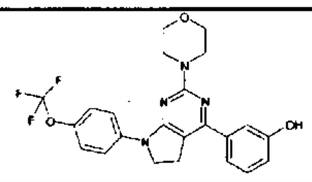
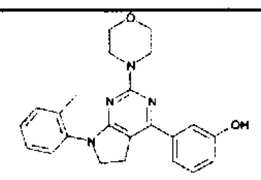
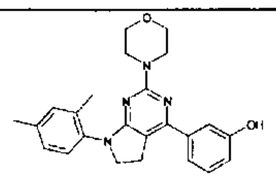
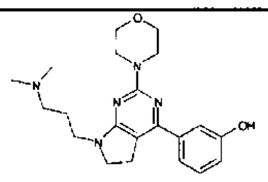
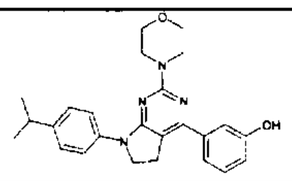
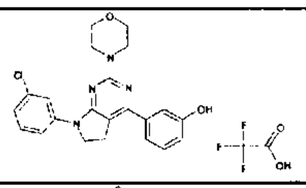
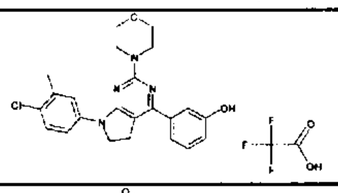
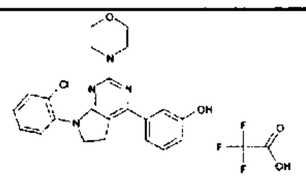
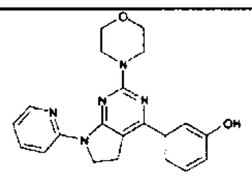
3-{7-[2-(2,5-dihydro-pyrrol-1-yl)-pyridin-4-yl]-2-

morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-29);
3-[7-(2-cyclohexylamino-pyridin-4-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid salt (H-30);
5-[2-morpholin-4-yl-7-(2-morpholin-4-yl-pyridin-4-yl)-6,7-dihydro-5-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (H-31);
5-[7-(2-dimethylaminoethoxy-pyridin-4-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (H-32);
N-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-N,N',N'-trimethyl-propan-1,3-diamine (H-33);
5-{7-[2-(4-ethyl-piperazin-1-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (H-34);
{4'-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4-yl}-dimethyl-amine (H-35);
5-{7-[2-(4-methyl-piperazin-1-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (H-36);
N-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-methanesulfonamide (I-01).

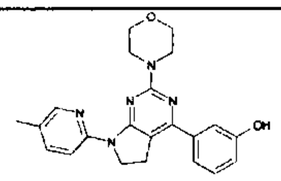
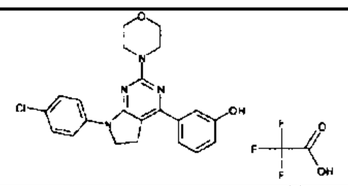
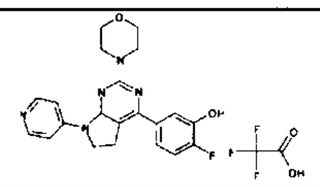
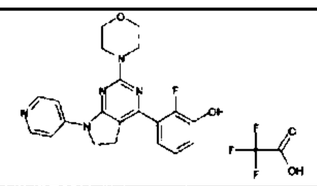
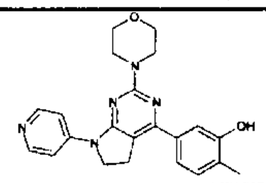
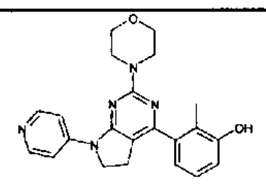
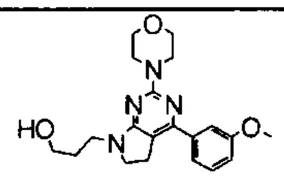
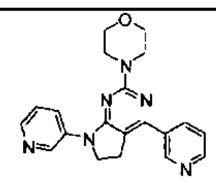
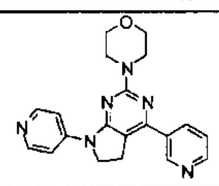
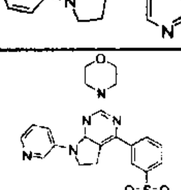
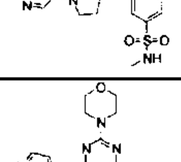
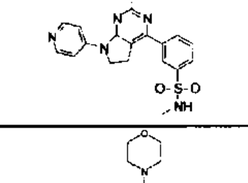
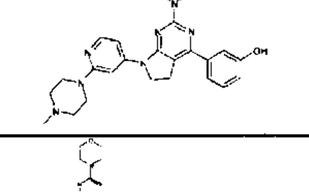
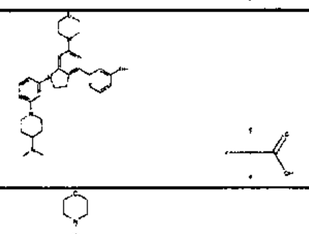
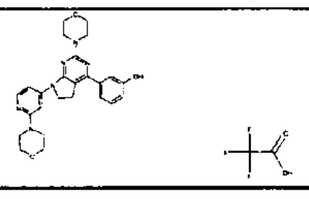
[0087]

Example No.	Compound No.	Structural formula
Example 1－A－01	(A－01)	
Example 1－A－02	(A－02)	
Example 1－A－03	(A－03)	
Example 1－A－04	(A－04)	
Example 1－A－05	(A－05)	
Example 1－A－06	(A－06)	
Example 1－A－07	(A－07)	
Example 1－A－08	(A－08)	
Example 1－A－09	(A－09)	
Example 1－A－10	(A－10)	
Example 1－A－11	(A－11)	
Example 1－A－12	(A－12)	
Example 1－A－13	(A－13)	
Example 1－A－14	(A－14)	

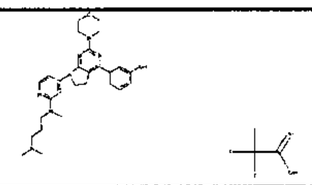
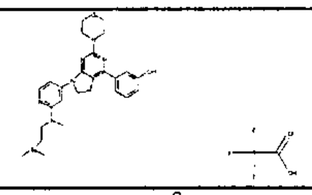
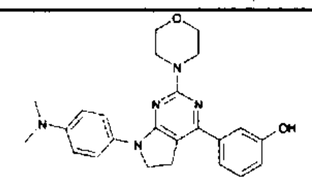
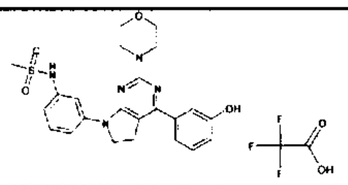
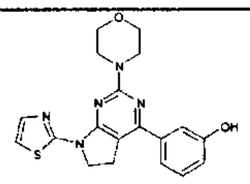
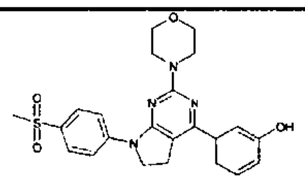
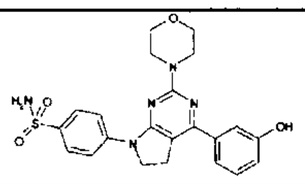
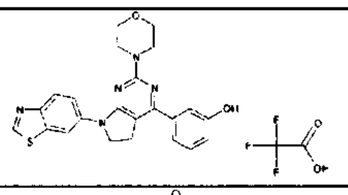
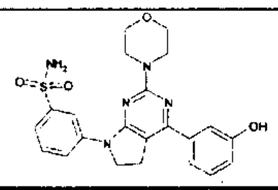
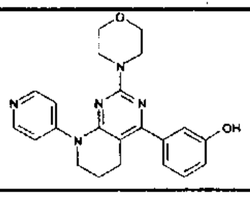
[0088]

Example 1－A－15	(A－15)	
Example 1－A－16	(A－16)	
Example 1－A－17	(A－17)	
Example 1－A－18	(A－18)	
Example 1－A－19	(A－19)	
Example 1－A－20	(A－20)	
Example 1－A－21	(A－21)	
Example 1－A－22	(A－22)	
Example 1－A－23	(A－23)	
Example 1－A－24	(A－24)	
Example 1－A－25	(A－25)	
Example 1－A－26	(A－26)	
Example 1－A－27	(A－27)	
Example 1－A－28	(A－28)	
Example 1－A－29	(A－29)	

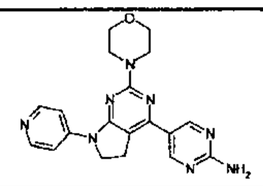
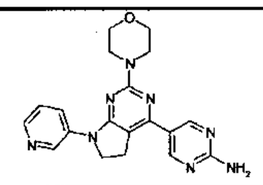
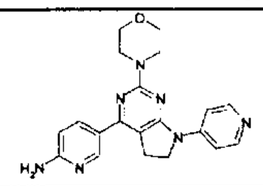
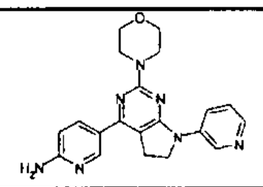
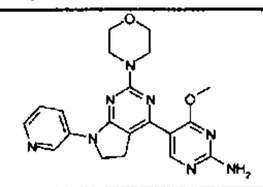
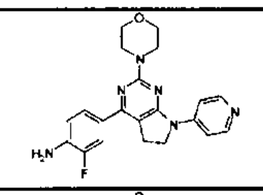
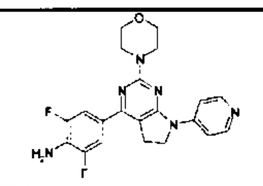
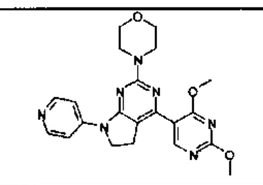
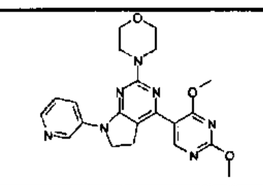
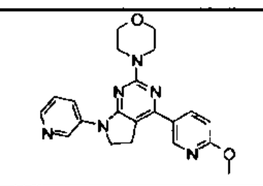
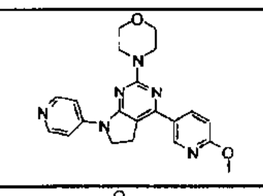
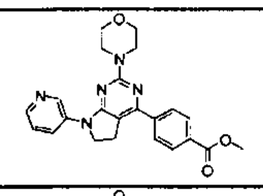
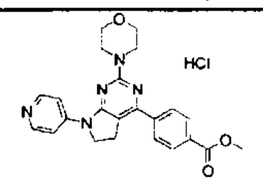
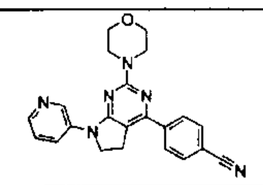
[0089]

Example 1－A－30	(A－30)	
Example 1－A－31	(A－31)	
Example 1－A－32	(A－32)	
Example 1－A－33	(A－33)	
Example 1－A－34	(A－34)	
Example 1－A－35	(A－35)	
Example 1－A－36	(A－36)	
Example 1－A－37	(A－37)	
Example 1－A－38	(A－38)	
Example 1－A－39	(A－39)	
Example 1－A－40	(A－40)	
Example 1－A－41	(A－41)	
Example 1－A－42	(A－42)	
Example 1－A－43	(A－43)	
Example 1－A－44	(A－44)	

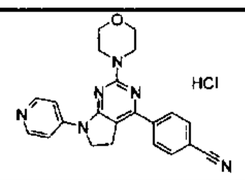
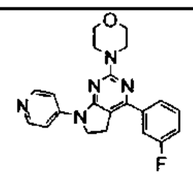
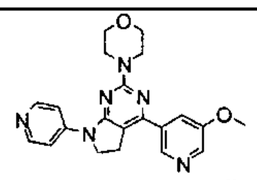
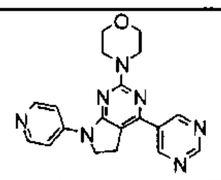
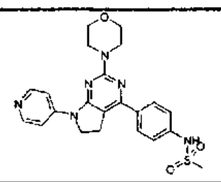
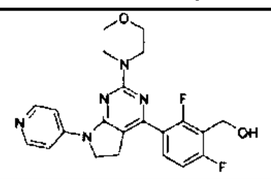
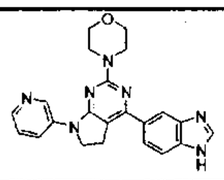
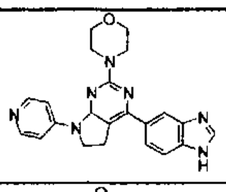
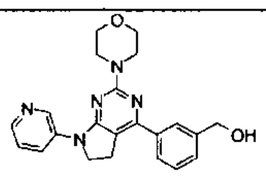
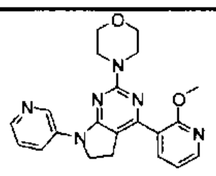
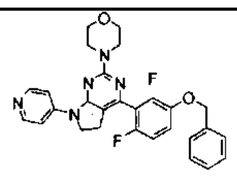
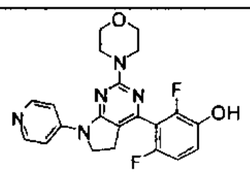
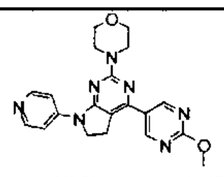
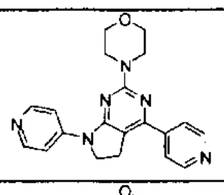
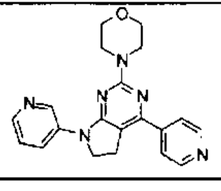
[0090]

Example 1－A－45	(A－45)	
Example 1－A－46	(A－46)	
Example 1－A－47	(A－47)	
Example 1－A－48	(A－48)	
Example 1－A－49	(A－49)	
Example 1－A－50	(A－50)	
Example 1－A－51	(A－51)	
Example 1－A－52	(A－52)	
Example 1－A－53	(A－53)	
Example 1－A－54	(A－54)	

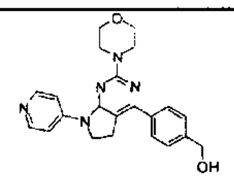
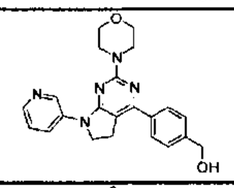
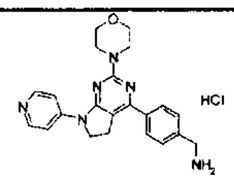
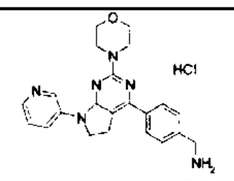
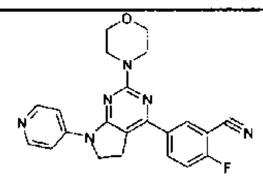
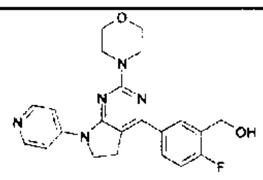
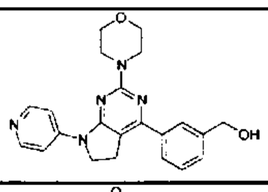
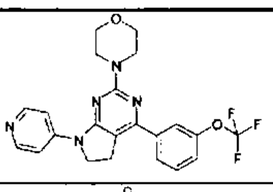
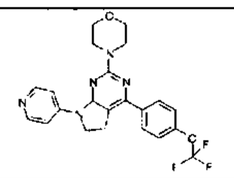
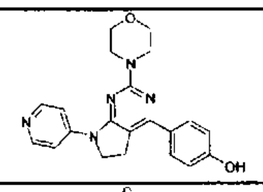
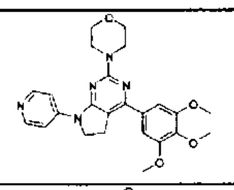
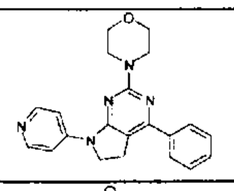
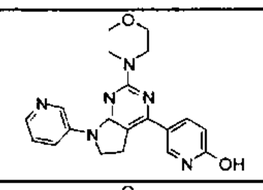
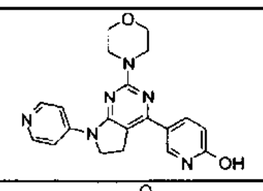
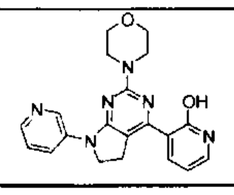
[0091]

Example No.	Compound No.	Structural formula
Example 1－B－01	(B－01)	
Example 1－B－02	(B－02)	
Example 1－B－03	(B－03)	
Example 1－B－04	(B－04)	
Example 1－B－05	(B－05)	
Example 1－B－06	(B－06)	
Example 1－B－07	(B－07)	
Example 1－B－08	(B－08)	
Example 1－B－09	(B－09)	
Example 1－B－10	(B－10)	
Example 1－B－11	(B－11)	
Example 1－B－12	(B－12)	
Example 1－B－13	(B－13)	
Example 1－B－14	(B－14)	

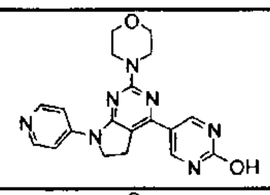
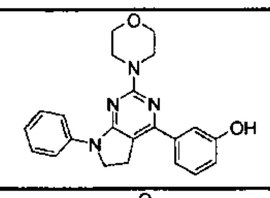
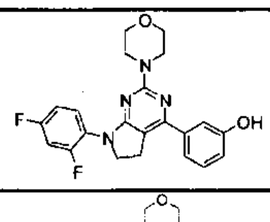
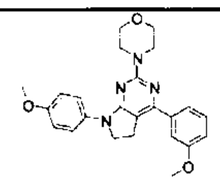
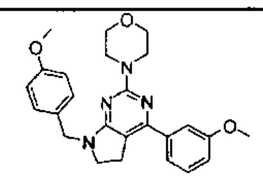
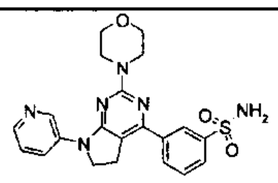
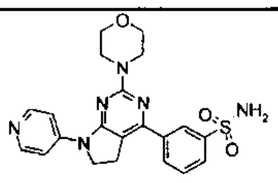
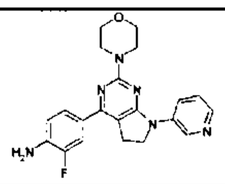
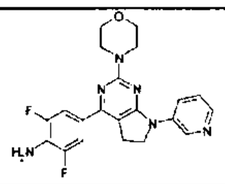
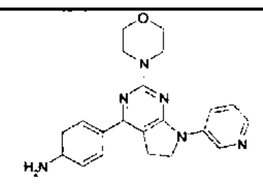
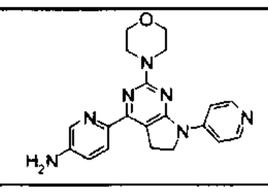
[0092]

Example 1－B－15	(B－15)	
Example 1－B－16	(B－16)	
Example 1－B－17	(B－17)	
Example 1－B－18	(B－18)	
Example 1－B－19	(B－19)	
Example 1－B－20	(B－20)	
Example 1－B－21	(B－21)	
Example 1－B－22	(B－22)	
Example 1－B－23	(B－23)	
Example 1－B－24	(B－24)	
Example 1－B－25	(B－25)	
Example 1－B－26	(B－26)	
Example 1－B－27	(B－27)	
Example 1－B－28	(B－28)	
Example 1－B－29	(B－29)	

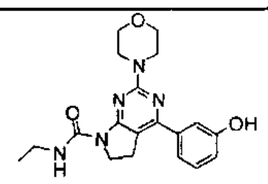
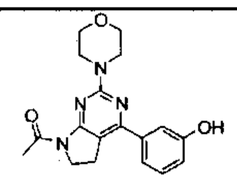
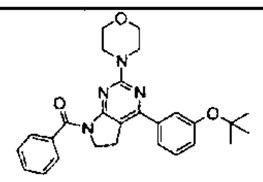
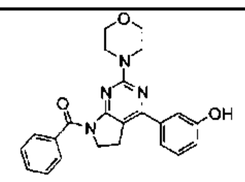
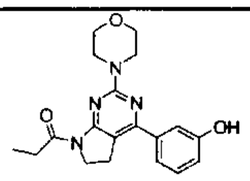
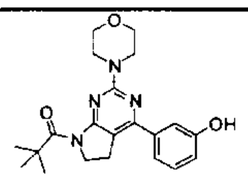
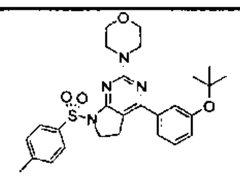
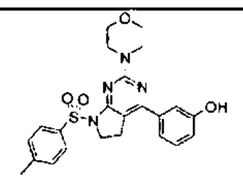
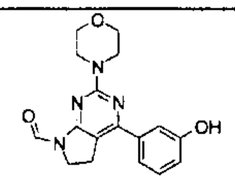
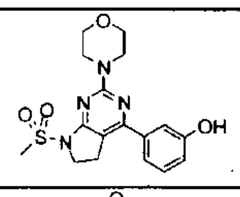
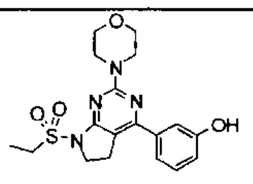
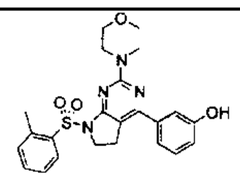
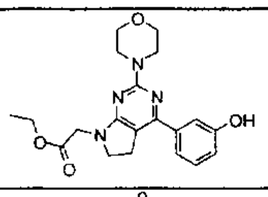
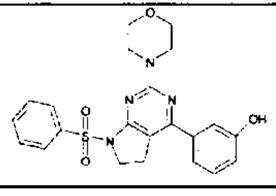
[0093]

Example 1－B－30	(B－30)	
Example 1－B－31	(B－31)	
Example 1－B－32	(B－32)	
Example 1－B－33	(B－33)	
Example 1－B－34	(B－34)	
Example 1－B－35	(B－35)	
Example 1－B－36	(B－36)	
Example 1－B－37	(B－37)	
Example 1－B－38	(B－38)	
Example 1－B－39	(B－39)	
Example 1－B－40	(B－40)	
Example 1－B－41	(B－41)	
Example 1－B－42	(B－42)	
Example 1－B－43	(B－43)	
Example 1－B－44	(B－44)	

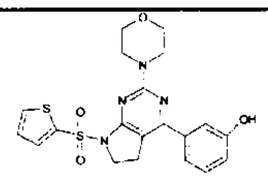
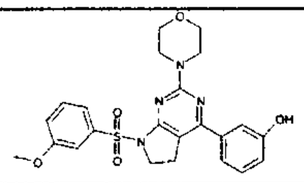
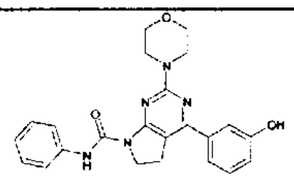
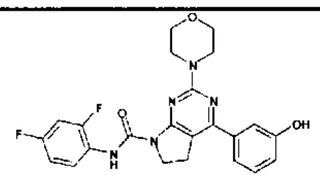
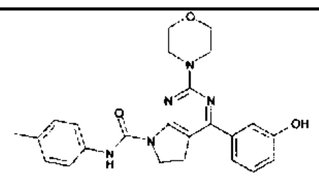
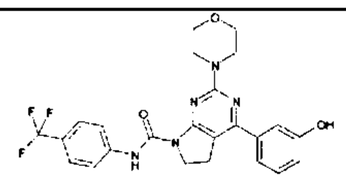
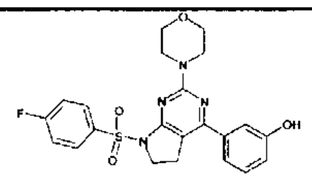
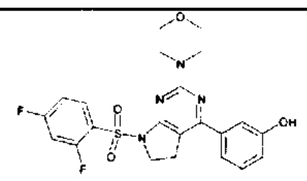
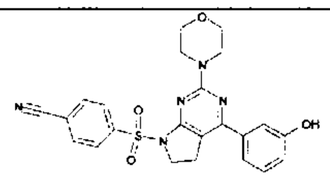
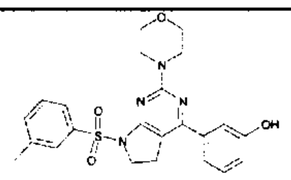
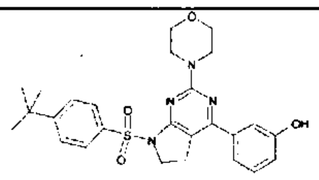
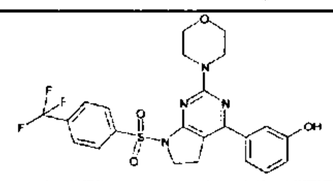
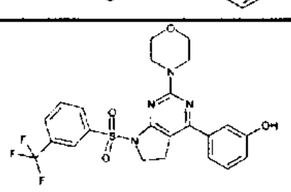
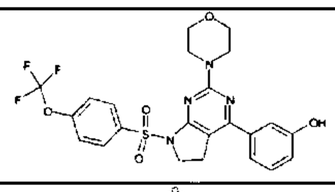
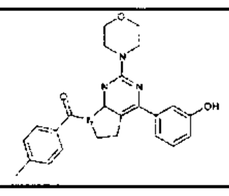
[0094]

Example 1－B－45	(B－45)	
Example 1－B－46	(B－46)	
Example 1－B－47	(B－47)	
Example 1－B－48	(B－48)	
Example 1－B－49	(B－49)	
Example 1－B－50	(B－50)	
Example 1－B－51	(B－51)	
Example 1－B－52	(B－52)	
Example 1－B－53	(B－53)	
Example 1－B－54	(B－54)	
Example 1－B－55	(B－55)	

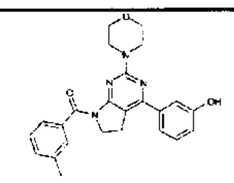
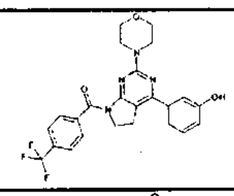
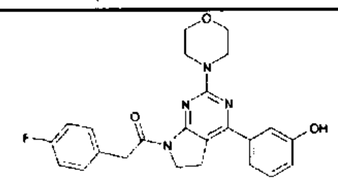
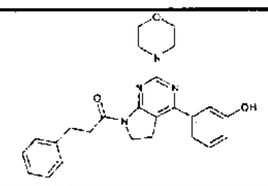
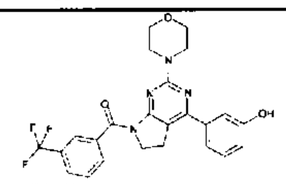
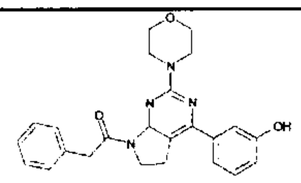
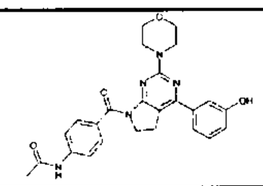
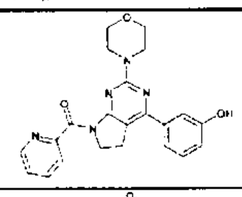
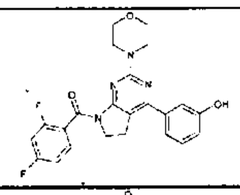
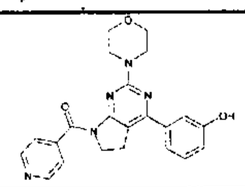
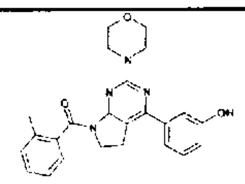
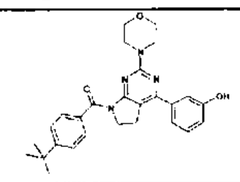
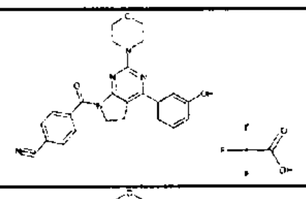
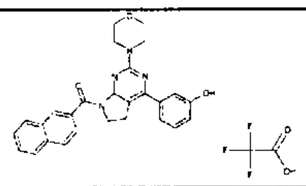
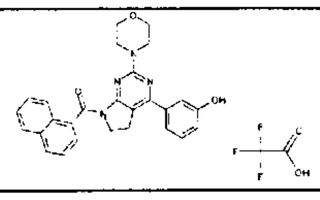
[0095]

Example No.	Compound No.	Structural formula
Example 1－C－01	(C－01)	
Example 1－C－02	(C－02)	
Example 1－C－03	(C－03)	
Example 1－C－04	(C－04)	
Example 1－C－05	(C－05)	
Example 1－C－06	(C－06)	
Example 1－C－07	(C－07)	
Example 1－C－08	(C－08)	
Example 1－C－09	(C－09)	
Example 1－C－10	(C－10)	
Example 1－C－11	(C－11)	
Example 1－C－12	(C－12)	
Example 1－C－13	(C－13)	
Example 1－C－14	(C－14)	

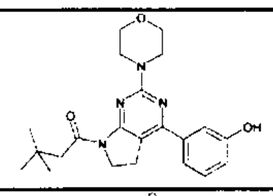
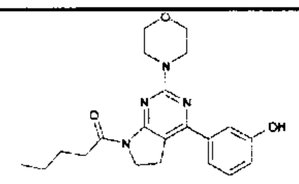
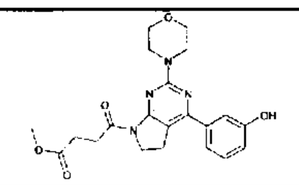
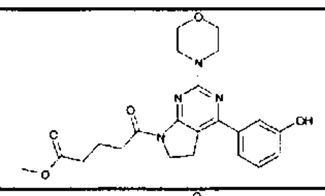
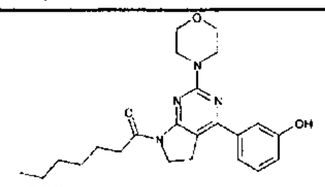
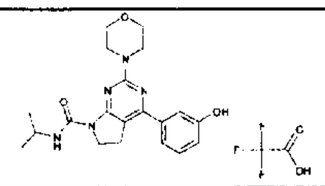
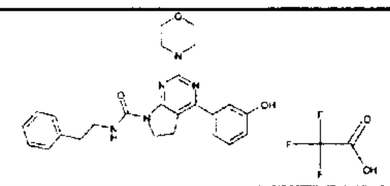
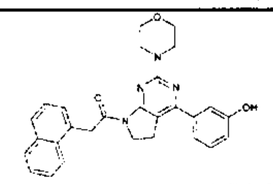
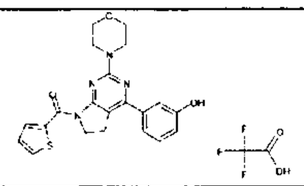
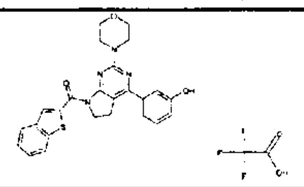
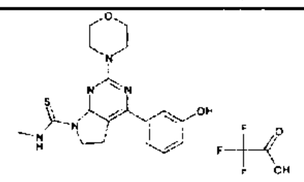
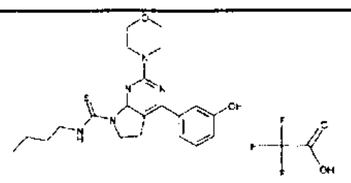
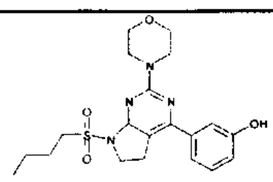
[0096]

Example 1－C－15	(C－15)	
Example 1－C－16	(C－16)	
Example 1－C－17	(C－17)	
Example 1－C－18	(C－18)	
Example 1－C－19	(C－19)	
Example 1－C－20	(C－20)	
Example 1－C－21	(C－21)	
Example 1－C－22	(C－22)	
Example 1－C－23	(C－23)	
Example 1－C－24	(C－24)	
Example 1－C－25	(C－25)	
Example 1－C－26	(C－26)	
Example 1－C－27	(C－27)	
Example 1－C－28	(C－28)	
Example 1－C－29	(C－29)	

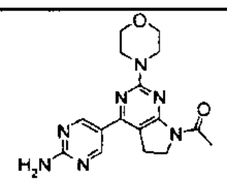
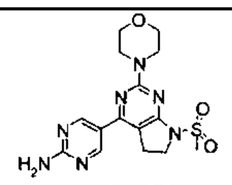
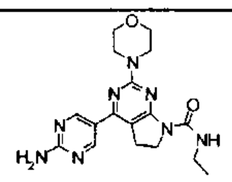
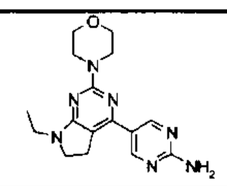
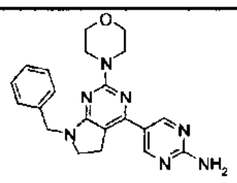
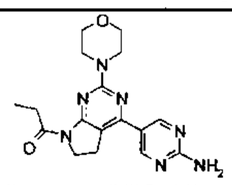
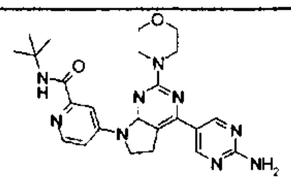
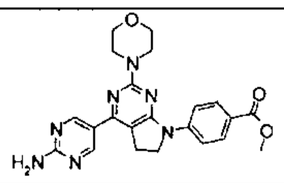
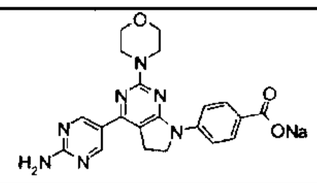
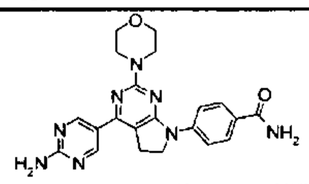
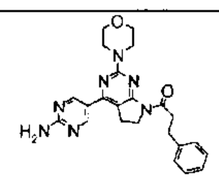
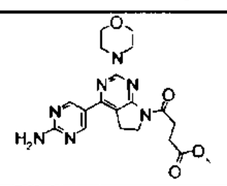
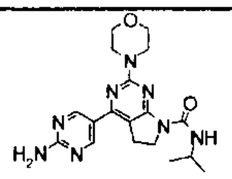
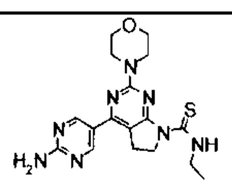
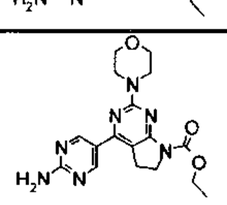
[0097]

Example 1－C－30	(C－30)	
Example 1－C－31	(C－31)	
Example 1－C－32	(C－32)	
Example 1－C－33	(C－33)	
Example 1－C－34	(C－34)	
Example 1－C－35	(C－35)	
Example 1－C－36	(C－36)	
Example 1－C－37	(C－37)	
Example 1－C－38	(C－38)	
Example 1－C－39	(C－39)	
Example 1－C－40	(C－40)	
Example 1－C－41	(C－41)	
Example 1－C－42	(C－42)	
Example 1－C－43	(C－43)	
Example 1－C－44	(C－44)	

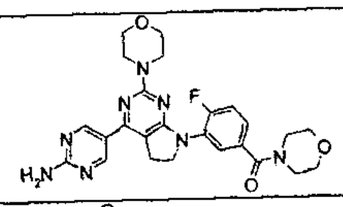
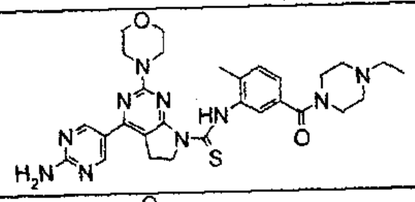
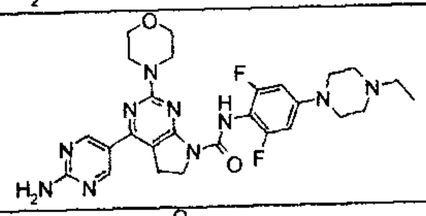
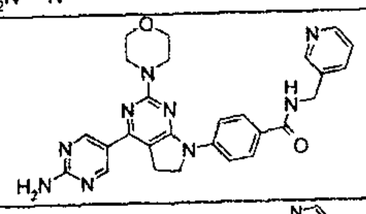
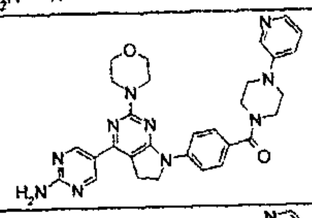
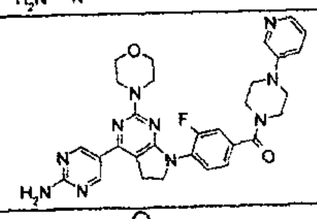
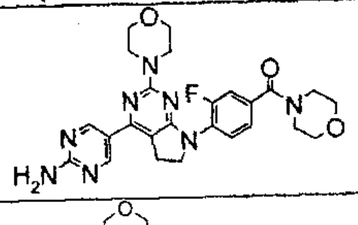
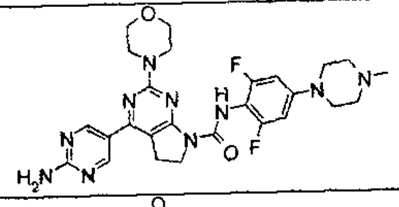
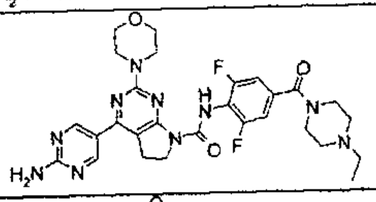
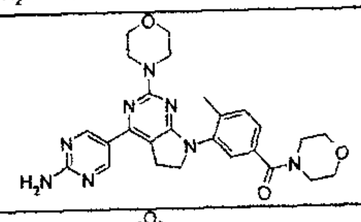
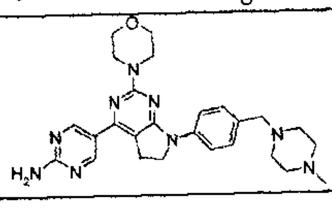
[0098]

Example 1－C－45	(C－45)	
Example 1－C－46	(C－46)	
Example 1－C－47	(C－47)	
Example 1－C－48	(C－48)	
Example 1－C－49	(C－49)	
Example 1－C－50	(C－50)	
Example 1－C－51	(C－51)	
Example 1－C－52	(C－52)	
Example 1－C－53	(C－53)	
Example 1－C－54	(C－54)	
Example 1－C－55	(C－55)	
Example 1－C－56	(C－56)	
Example 1－C－57	(C－57)	

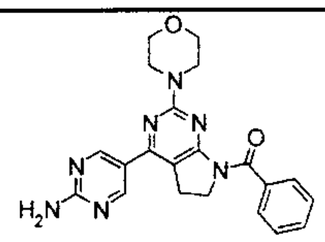
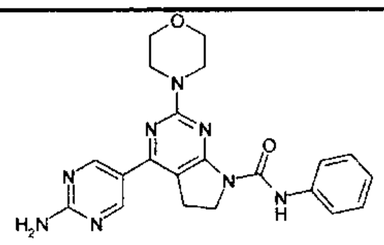
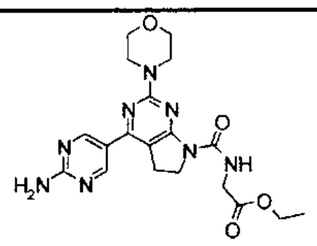
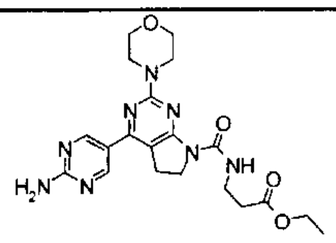
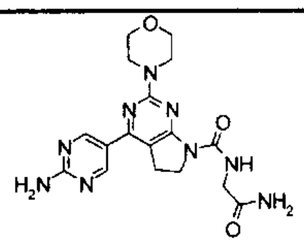
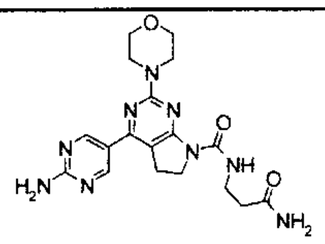
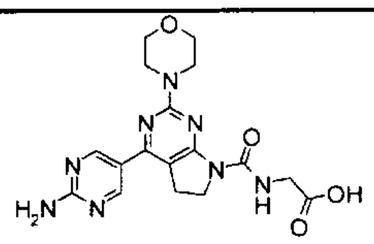
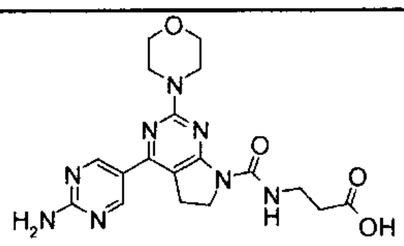
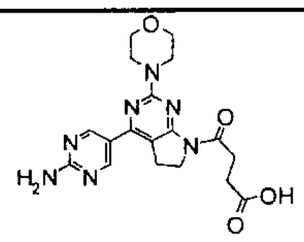
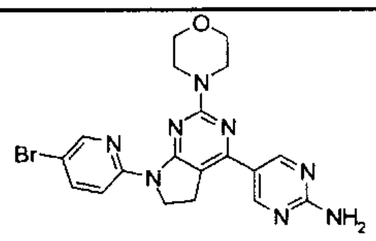
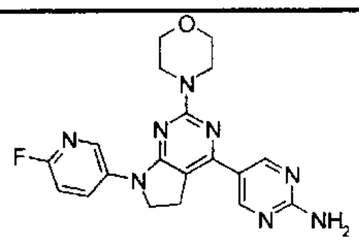
[0099]

Example No.	Compound No.	Structural formula
Example 1－D－01	(D－01)	
Example 1－D－02	(D－02)	
Example 1－D－03	(D－03)	
Example 1－D－04	(D－04)	
Example 1－D－05	(D－05)	
Example 1－D－06	(D－06)	
Example 1－D－07	(D－07)	
Example 1－D－08	(D－08)	
Example 1－D－09	(D－09)	
Example 1－D－10	(D－10)	
Example 1－D－11	(D－11)	
Example 1－D－12	(D－12)	
Example 1－D－13	(D－13)	
Example 1－D－14	(D－14)	
Example 1－D－15	(D－15)	

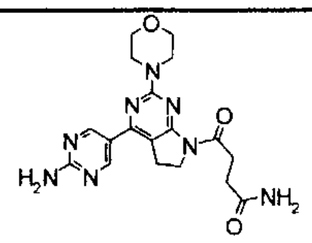
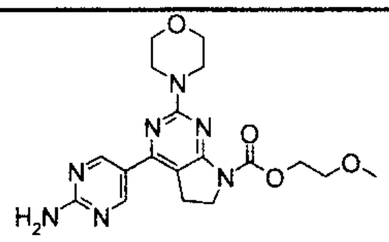
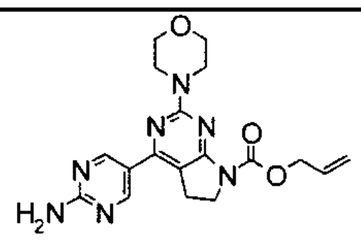
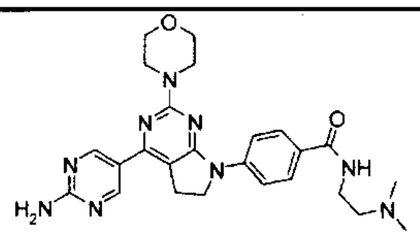
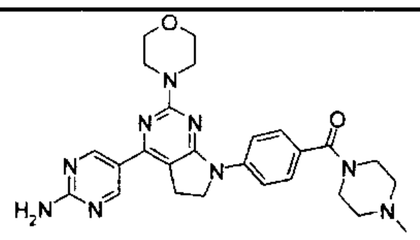
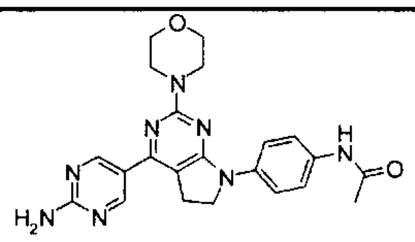
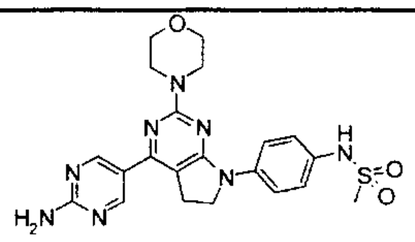
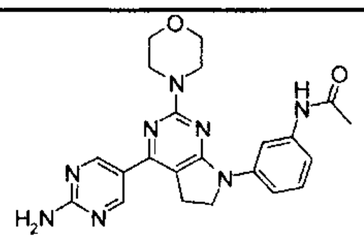
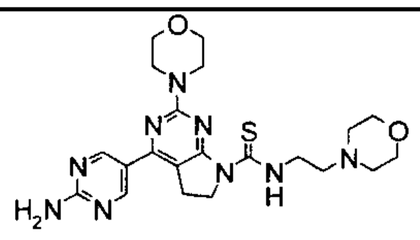
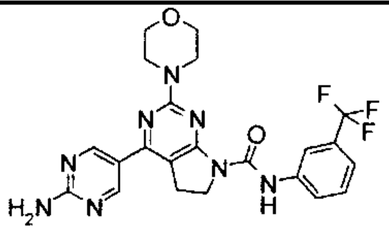
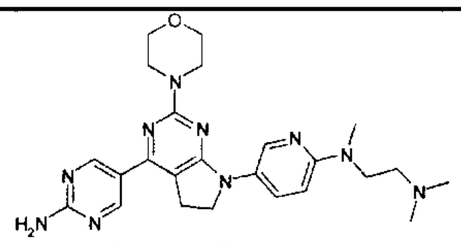
[0100]

Example 1－D－16	(D－16)	
Example 1－D－17	(D－17)	
Example 1－D－18	(D－18)	
Example 1－D－19	(D－19)	
Example 1－D－20	(D－20)	
Example 1－D－21	(D－21)	
Example 1－D－22	(D－22)	
Example 1－D－23	(D－23)	
Example 1－D－24	(D－24)	
Example 1－D－25	(D－25)	
Example 1－D－26	(D－26)	

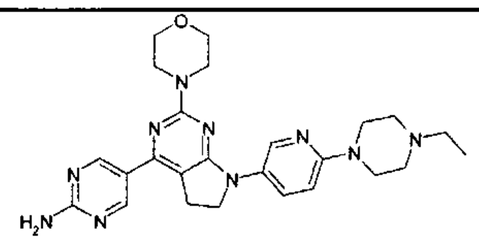
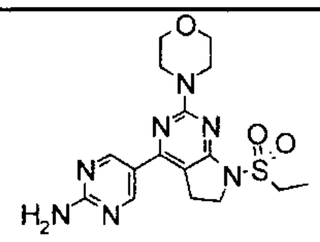
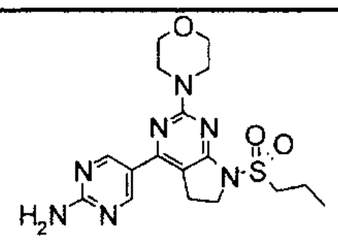
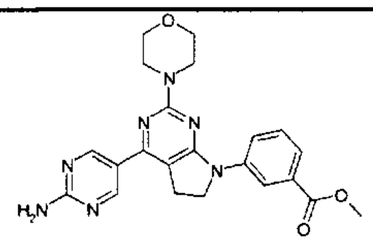
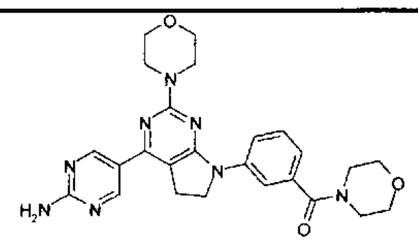
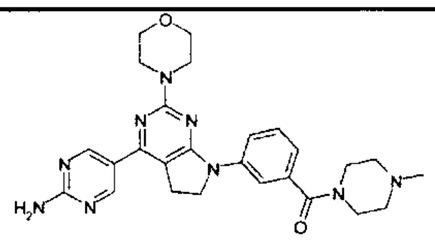
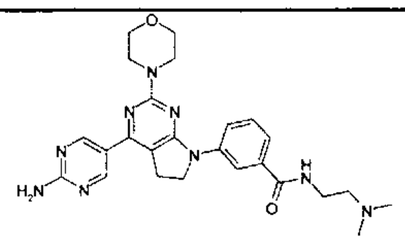
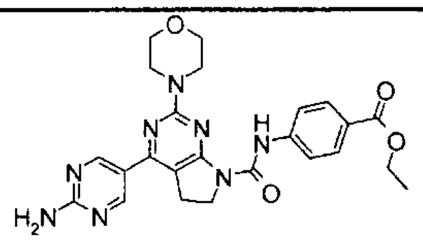
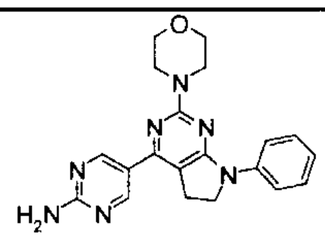
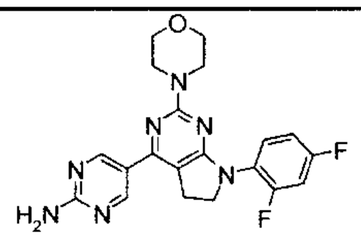
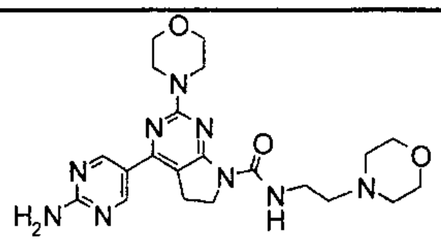
[0101]

Example 1－D－27	(D－27)	
Example 1－D－28	(D－28)	
Example 1－D－29	(D－29)	
Example 1－D－30	(D－30)	
Example 1－D－31	(D－31)	
Example 1－D－32	(D－32)	
Example 1－D－33	(D－33)	
Example 1－D－34	(D－34)	
Example 1－D－35	(D－35)	
Example 1－D－36	(D－36)	
Example 1－D－37	(D－37)	

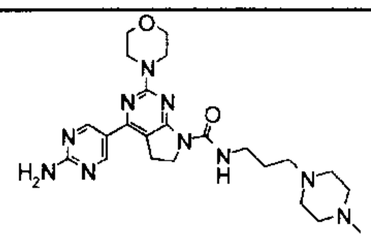
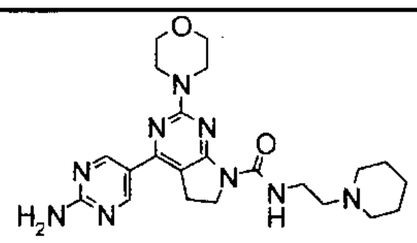
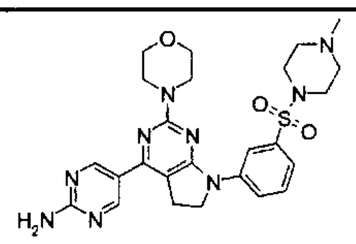
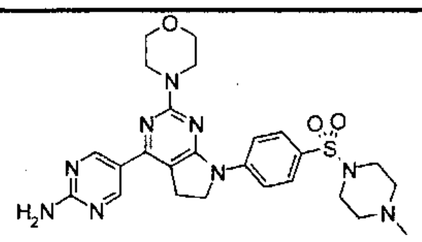
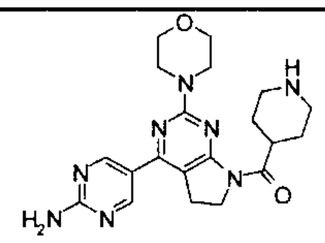
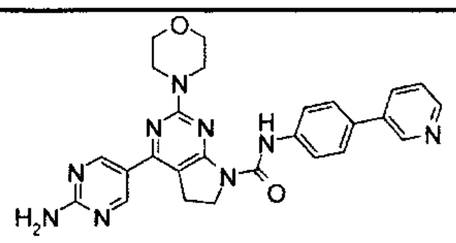
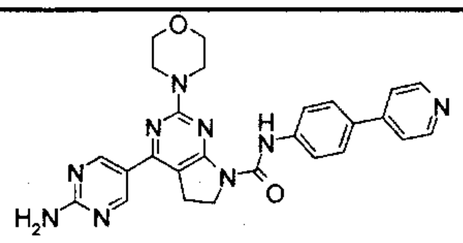
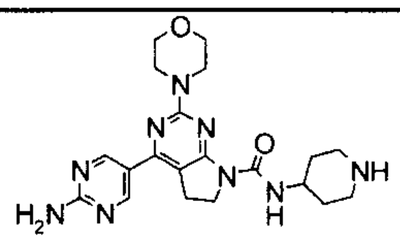
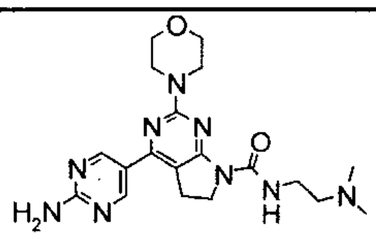
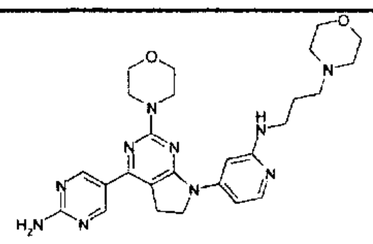
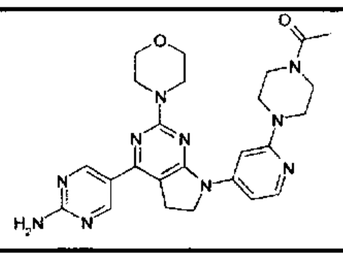
[0102]

Example 1－D－38	(D－38)	
Example 1－D－39	(D－39)	
Example 1－D－40	(D－40)	
Example 1－D－41	(D－41)	
Example 1－D－42	(D－42)	
Example 1－D－43	(D－43)	
Example 1－D－44	(D－44)	
Example 1－D－45	(D－45)	
Example 1－D－46	(D－46)	
Example 1－D－47	(D－47)	
Example 1－D－48	(D－48)	

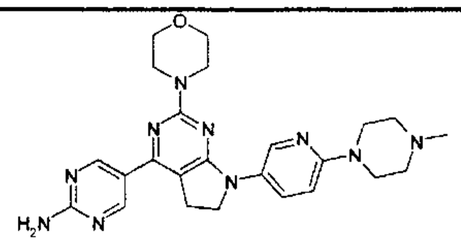
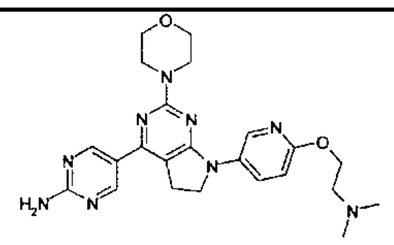
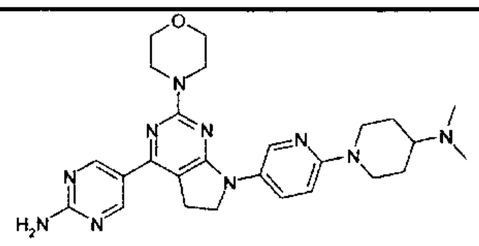
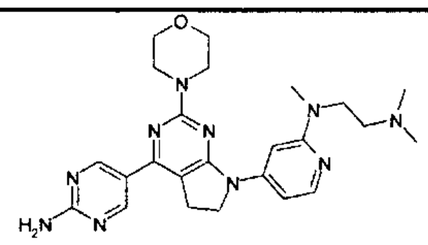
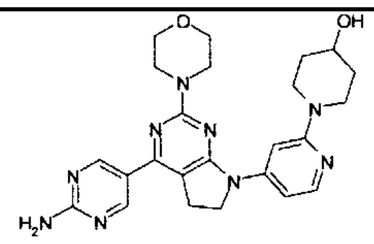
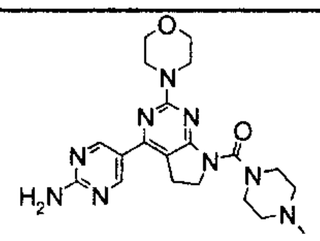
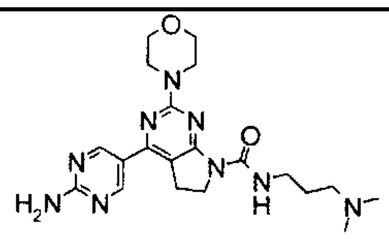
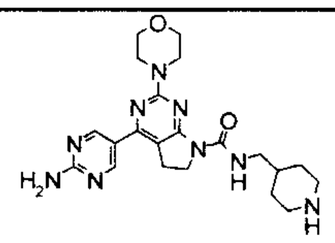
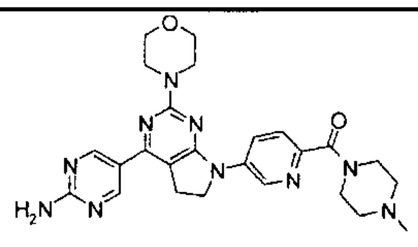
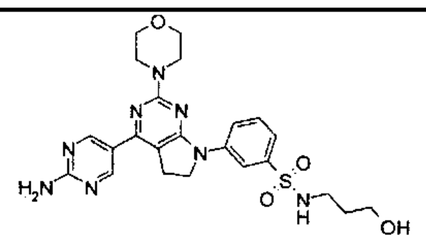
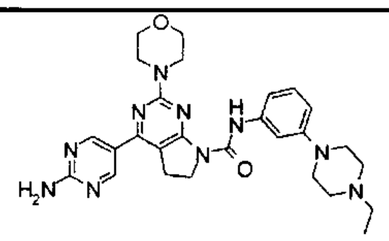
[0103]

Example 1－D－49	(D－49)	
Example 1－D－50	(D－50)	
Example 1－D－51	(D－51)	
Example 1－D－52	(D－52)	
Example 1－D－53	(D－53)	
Example 1－D－54	(D－54)	
Example 1－D－55	(D－55)	
Example 1－D－56	(D－56)	
Example 1－D－57	(D－57)	
Example 1－D－58	(D－58)	
Example 1－D－59	(D－59)	

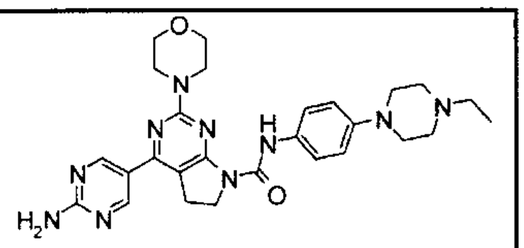
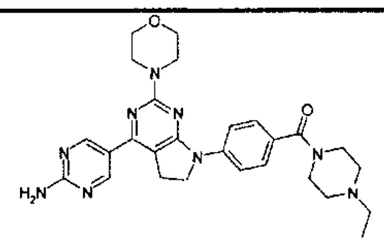
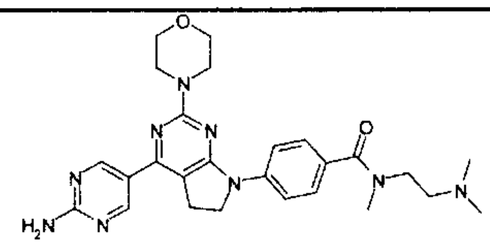
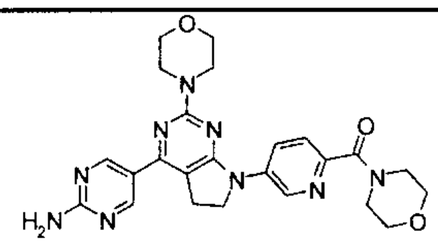
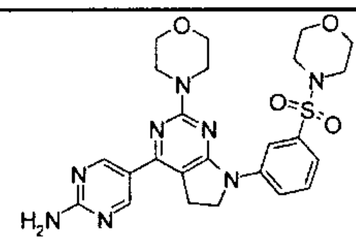
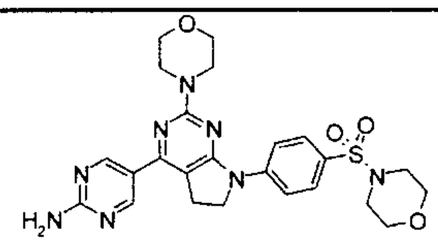
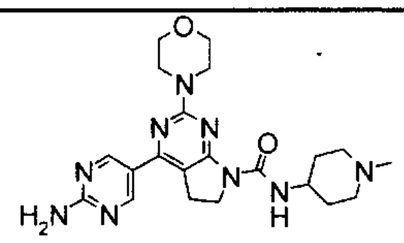
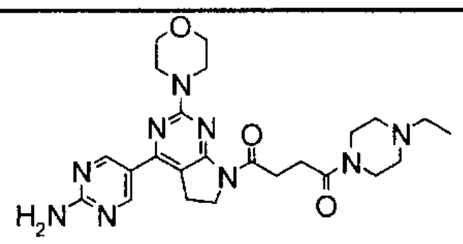
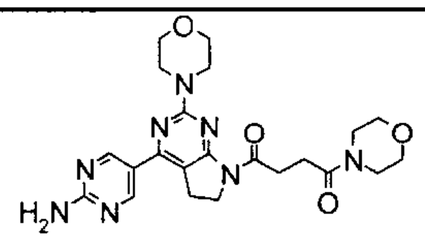
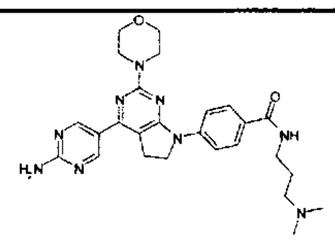
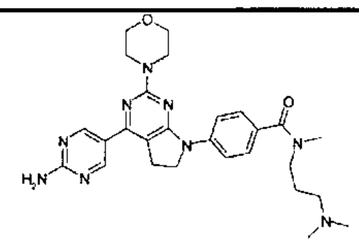
[0104]

Example 1－D－60	(D－60)	
Example 1－D－61	(D－61)	
Example 1－D－62	(D－62)	
Example 1－D－63	(D－63)	
Example 1－D－64	(D－64)	
Example 1－D－65	(D－65)	
Example 1－D－66	(D－66)	
Example 1－D－67	(D－67)	
Example 1－D－68	(D－68)	
Example 1－D－69	(D－69)	
Example 1－D－70	(D－70)	

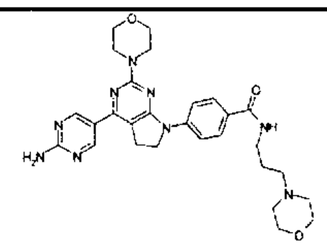
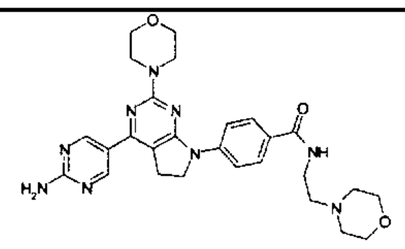
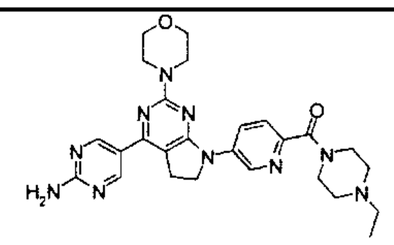
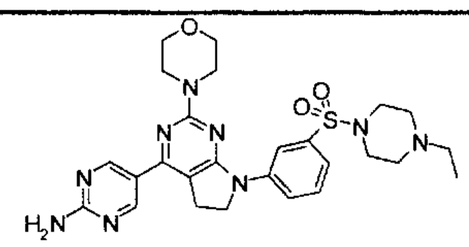
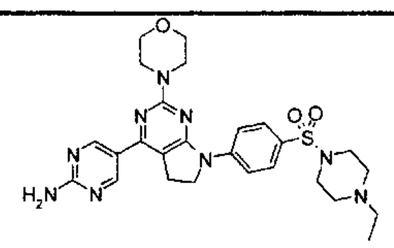
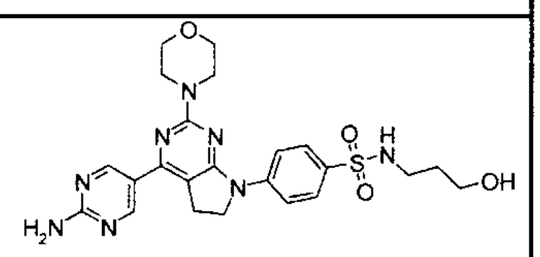
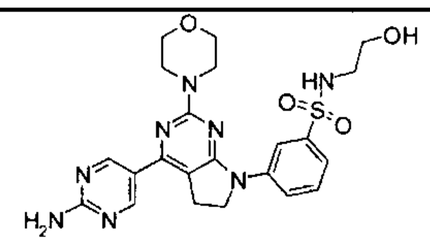
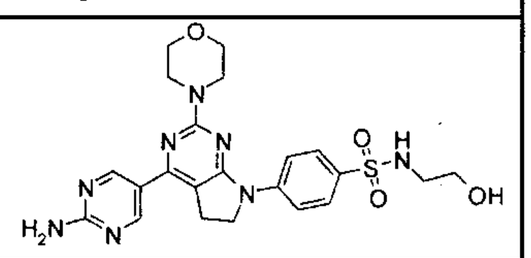
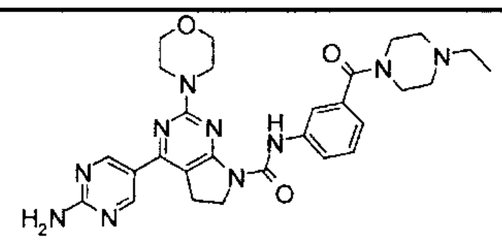
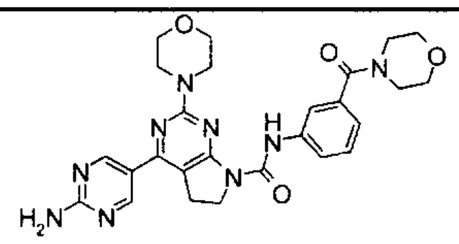
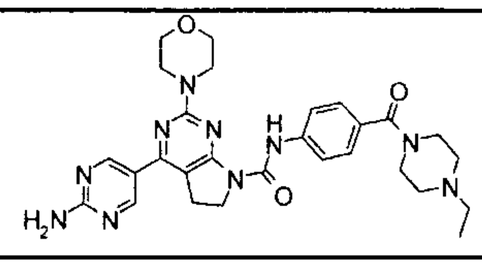
[0105]

Example 1－D－71	(D－71)	
Example 1－D－72	(D－72)	
Example 1－D－73	(D－73)	
Example 1－D－74	(D－74)	
Example 1－D－75	(D－75)	
Example 1－D－76	(D－76)	
Example 1－D－77	(D－77)	
Example 1－D－78	(D－78)	
Example 1－D－79	(D－79)	
Example 1－D－80	(D－80)	
Example 1－D－81	(D－81)	

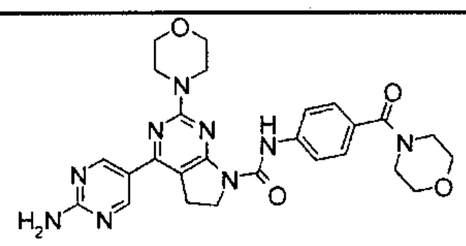
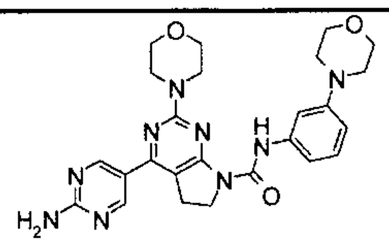
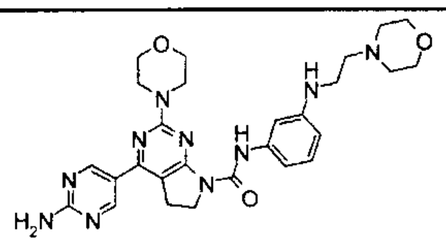
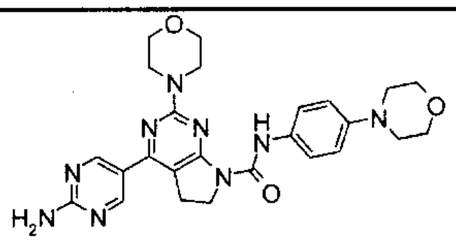
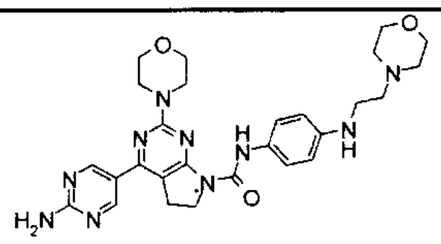
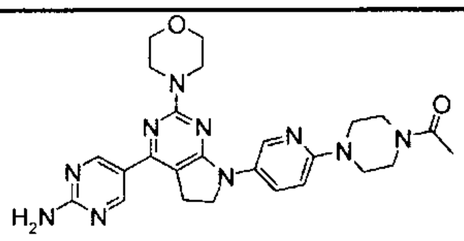
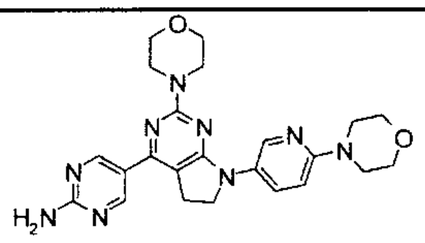
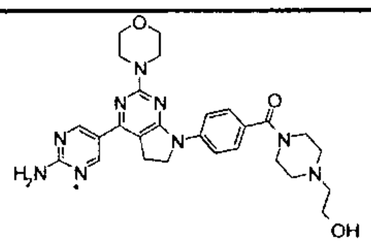
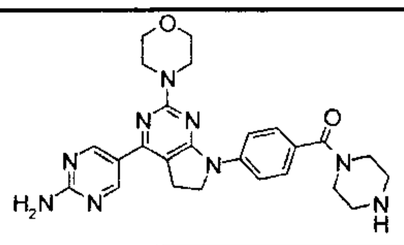
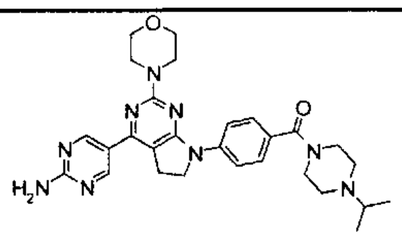
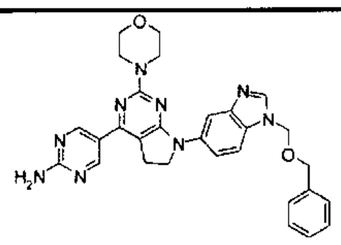
[0106]

Example 1－D－82	(D－82)	
Example 1－D－83	(D－83)	
Example 1－D－84	(D－84)	
Example 1－D－85	(D－85)	
Example 1－D－86	(D－86)	
Example 1－D－87	(D－87)	
Example 1－D－88	(D－88)	
Example 1－D－89	(D－89)	
Example 1－D－90	(D－90)	
Example 1－D－91	(D－91)	
Example 1－D－92	(D－92)	

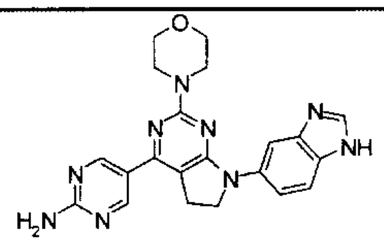
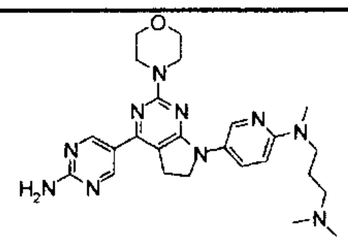
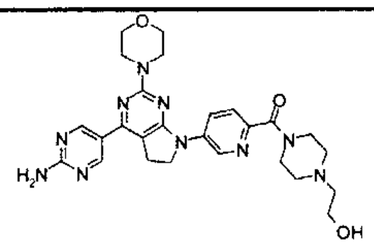
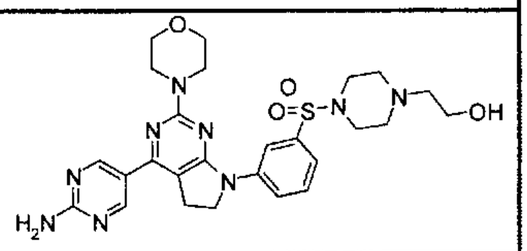
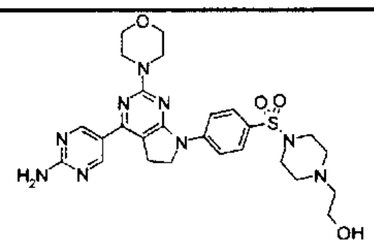
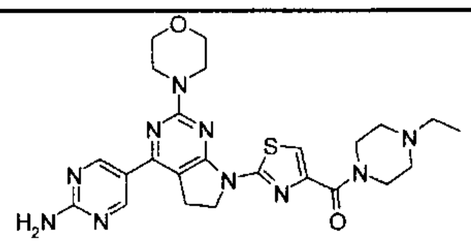
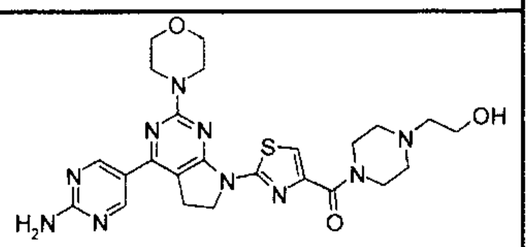
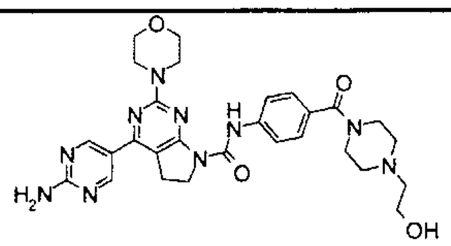
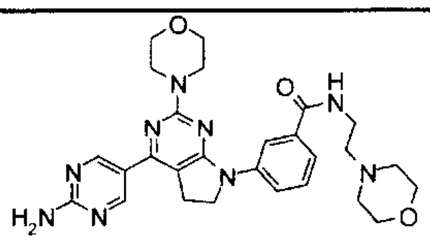
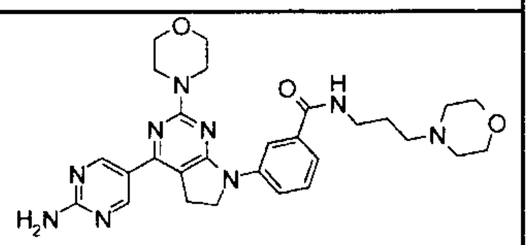
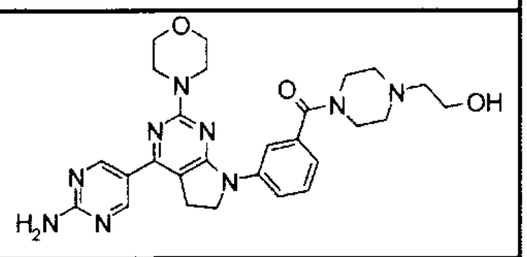
[0107]

Example 1－D－93	(D－93)	
Example 1－D－94	(D－94)	
Example 1－D－95	(D－95)	
Example 1－D－96	(D－96)	
Example 1－D－97	(D－97)	
Example 1－D－98	(D－98)	
Example 1－D－99	(D－99)	
Example 1－D－100	(D－100)	
Example 1－D－101	(D－101)	
Example 1－D－102	(D－102)	
Example 1－D－103	(D－103)	

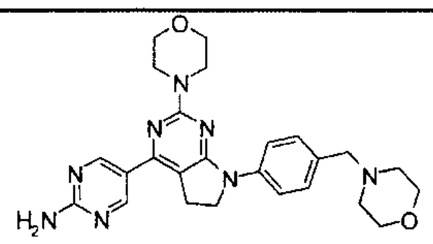
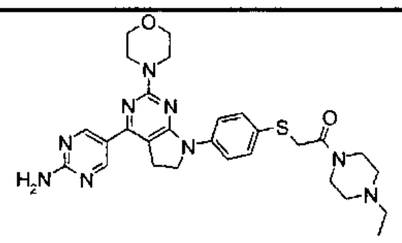
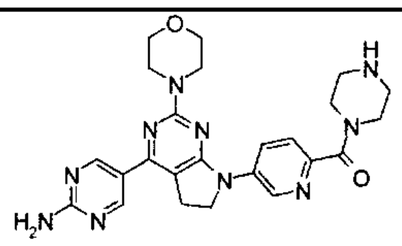
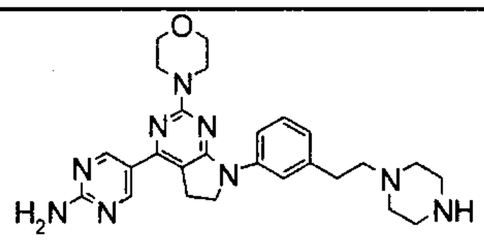
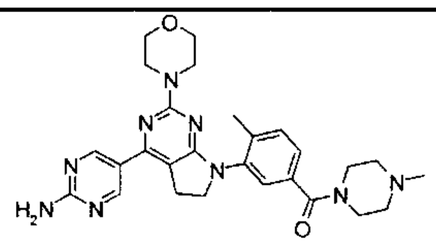
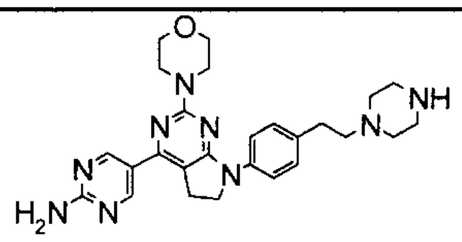
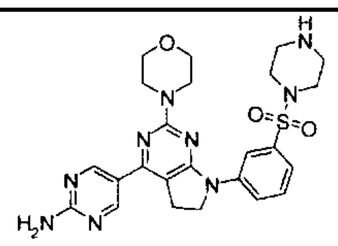
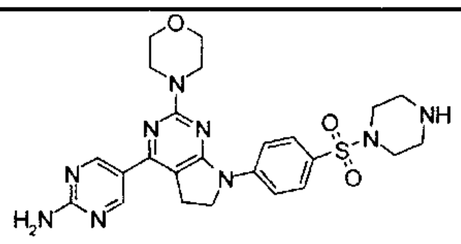
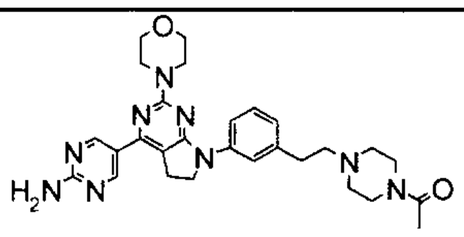
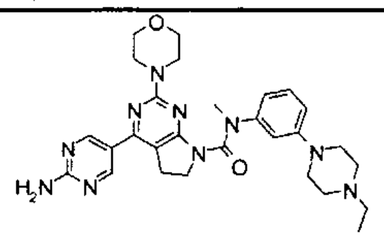
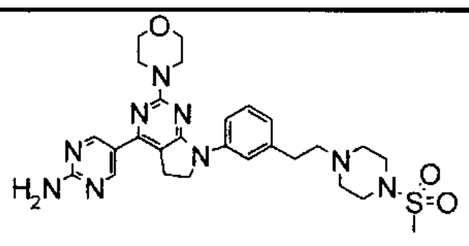
[0108]

Example 1－D－104	(D－104)	
Example 1－D－105	(D－105)	
Example 1－D－106	(D－106)	
Example 1－D－107	(D－107)	
Example 1－D－108	(D－108)	
Example 1－D－109	(D－109)	
Example 1－D－110	(D－110)	
Example 1－D－111	(D－111)	
Example 1－D－112	(D－112)	
Example 1－D－113	(D－113)	
Example 1－D－114	(D－114)	

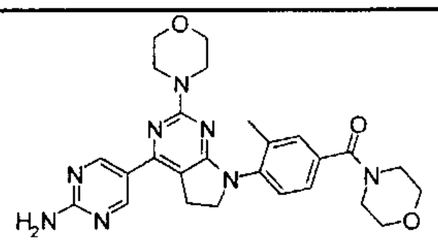
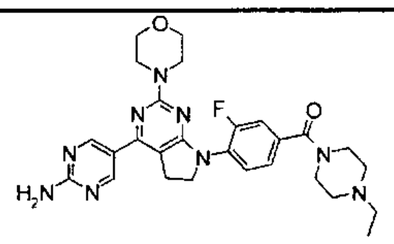
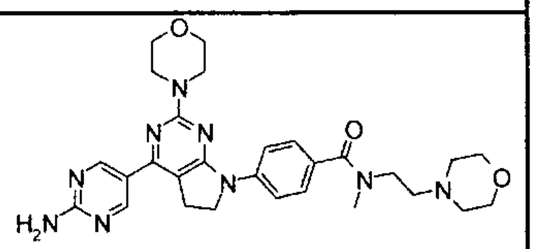
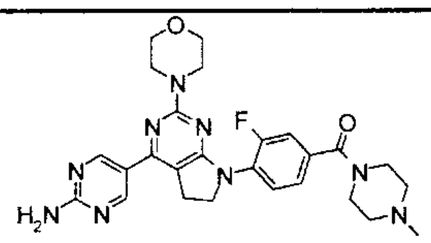
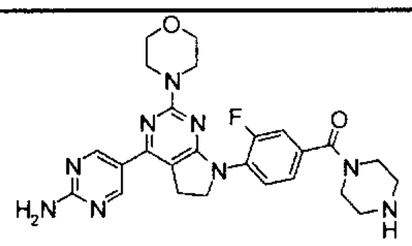
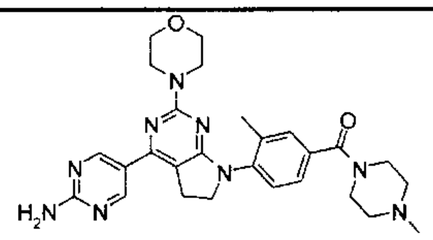
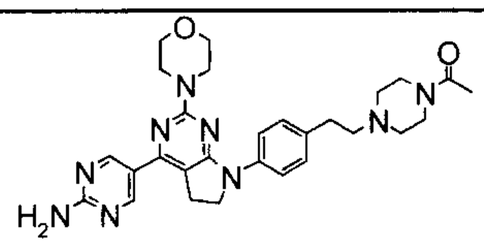
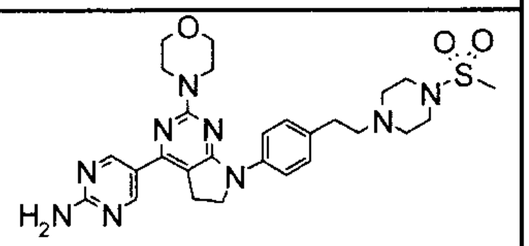
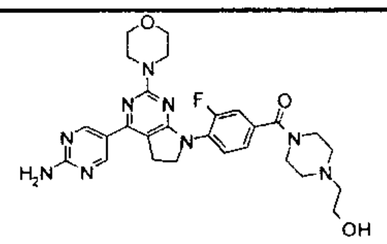
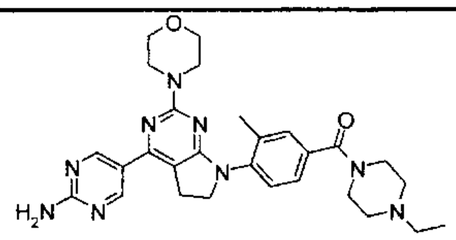
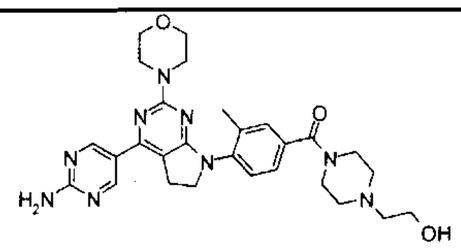
[0109]

Example 1－D－115	(D－115)	
Example 1－D－116	(D－116)	
Example 1－D－117	(D－117)	
Example 1－D－118	(D－118)	
Example 1－D－119	(D－119)	
Example 1－D－120	(D－120)	
Example 1－D－121	(D－121)	
Example 1－D－122	(D－122)	
Example 1－D－123	(D－123)	
Example 1－D－124	(D－124)	
Example 1－D－125	(D－125)	

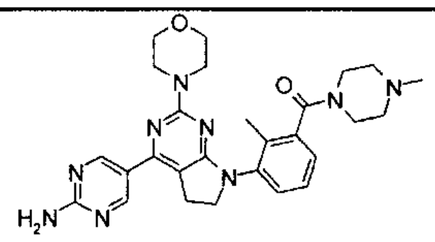
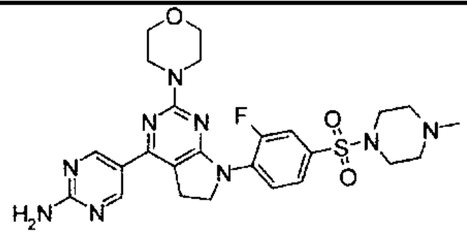
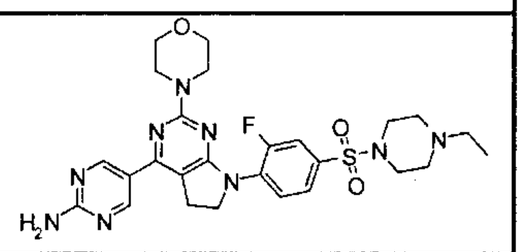
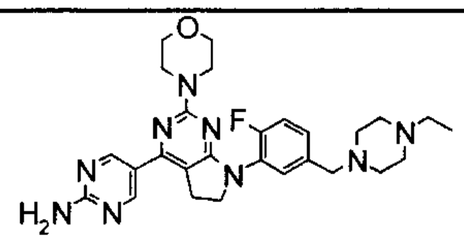
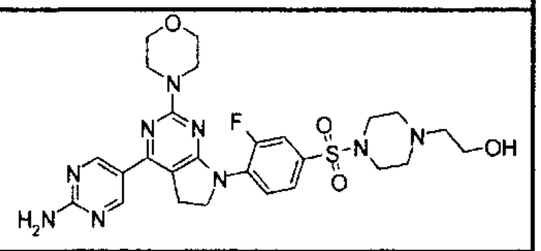
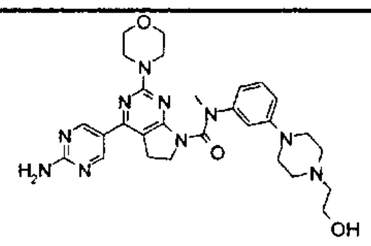
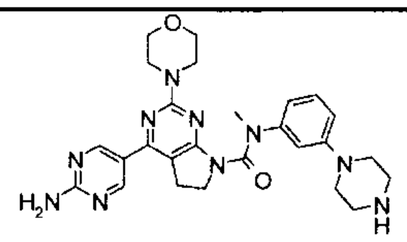
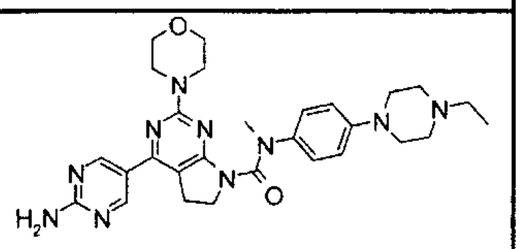
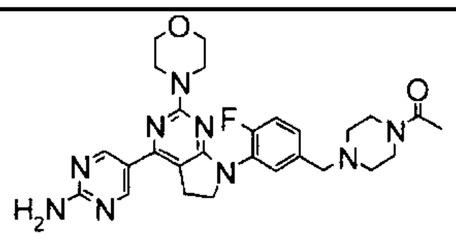
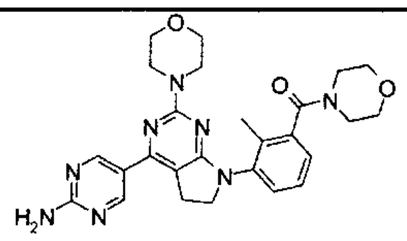
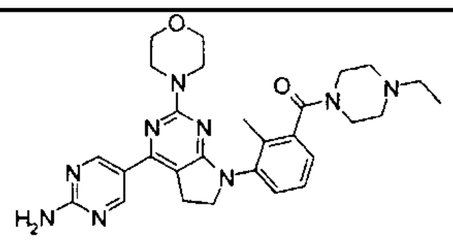
[0110]

Example 1－D－126	(D－126)	
Example 1－D－127	(D－127)	
Example 1－D－128	(D－128)	
Example 1－D－129	(D－129)	
Example 1－D－130	(D－130)	
Example 1－D－131	(D－131)	
Example 1－D－132	(D－132)	
Example 1－D－133	(D－133)	
Example 1－D－134	(D－134)	
Example 1－D－135	(D－135)	
Example 1－D－136	(D－136)	

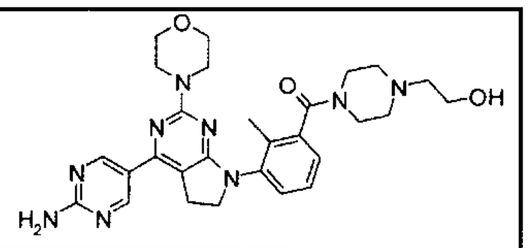
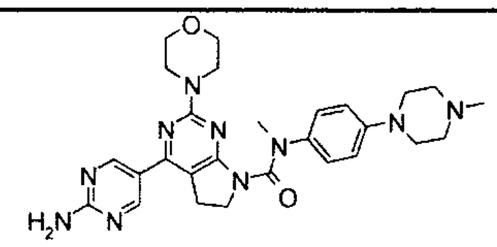
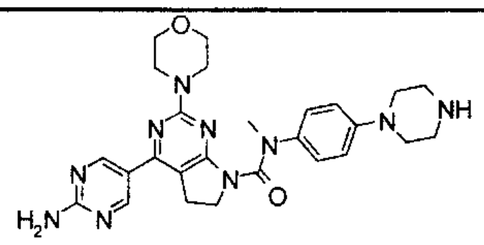
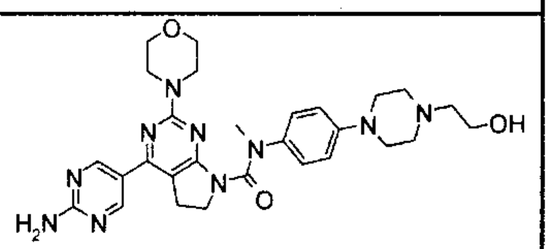
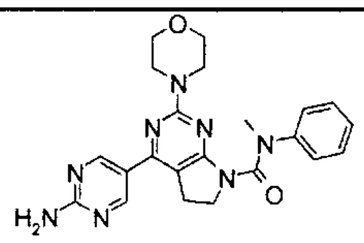
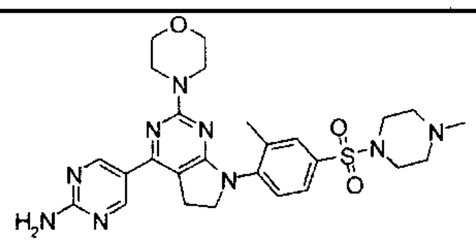
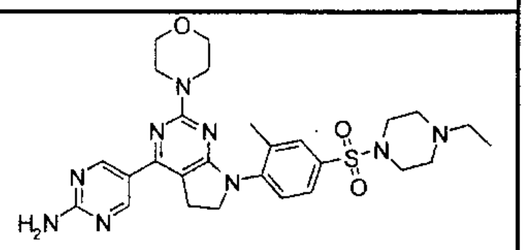
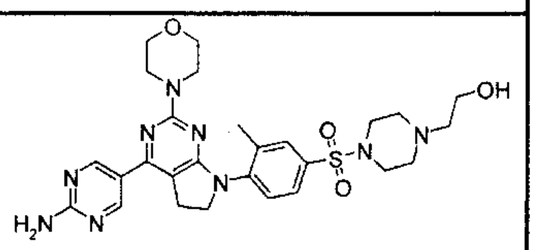
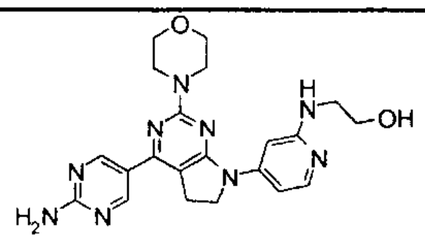
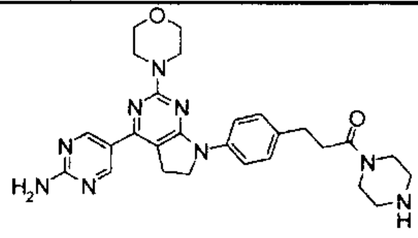
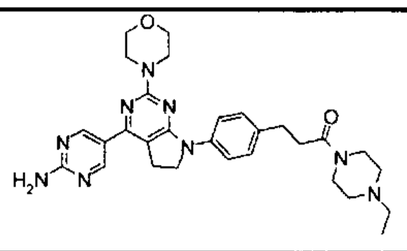
[0111]

Example 1－D－137	(D－137)	
Example 1－D－138	(D－138)	
Example 1－D－139	(D－139)	
Example 1－D－140	(D－140)	
Example 1－D－141	(D－141)	
Example 1－D－142	(D－142)	
Example 1－D－143	(D－143)	
Example 1－D－144	(D－144)	
Example 1－D－145	(D－145)	
Example 1－D－146	(D－146)	
Example 1－D－147	(D－147)	

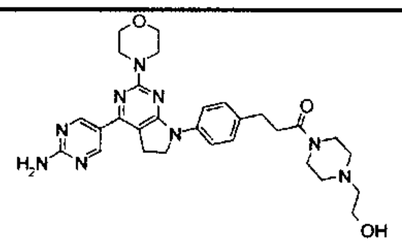
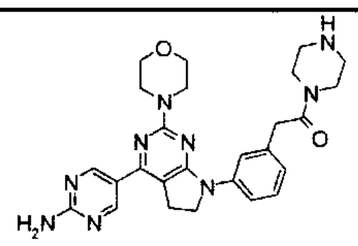
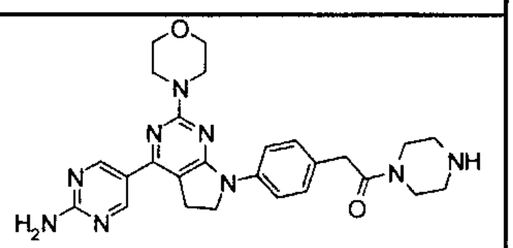
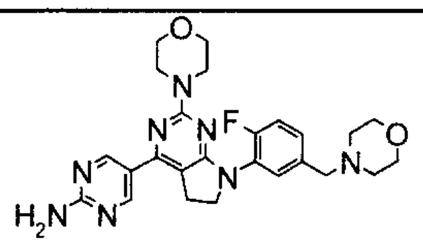
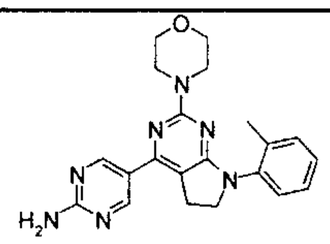
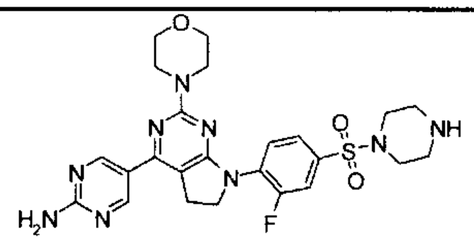
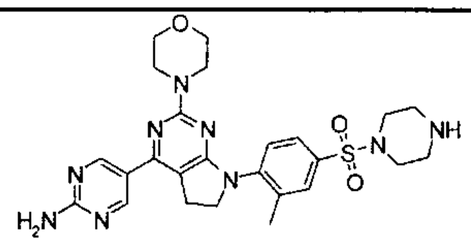
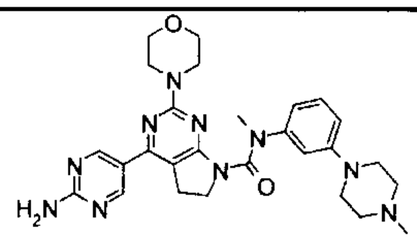
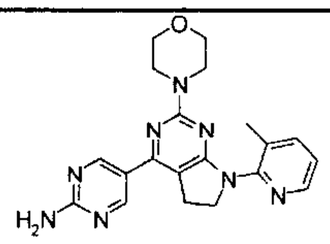
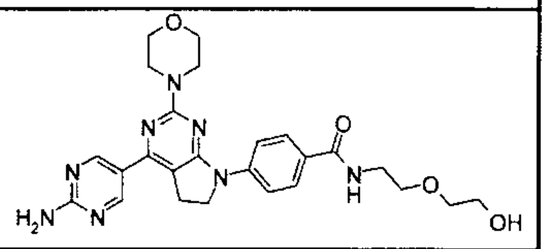
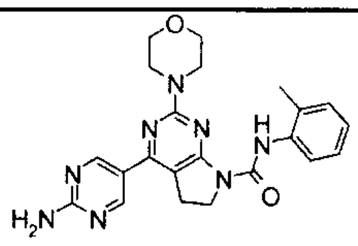
[0112]

Example 1－D－148	(D－148)	
Example 1－D－149	(D－149)	
Example 1－D－150	(D－150)	
Example 1－D－151	(D－151)	
Example 1－D－152	(D－152)	
Example 1－D－153	(D－153)	
Example 1－D－154	(D－154)	
Example 1－D－155	(D－155)	
Example 1－D－156	(D－156)	
Example 1－D－157	(D－157)	
Example 1－D－158	(D－158)	

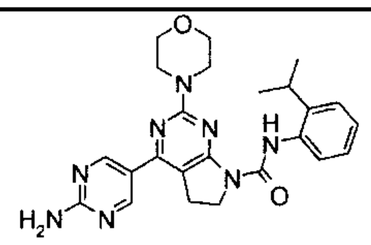
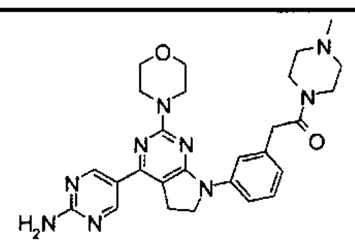
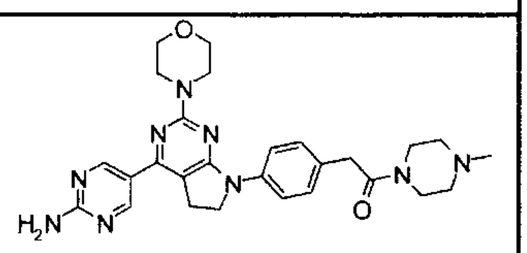
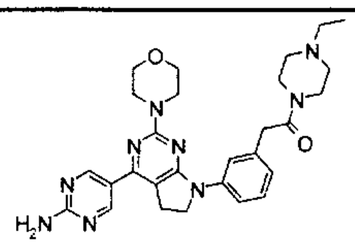
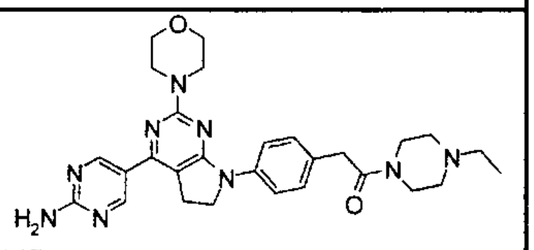
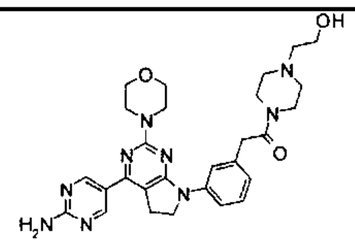
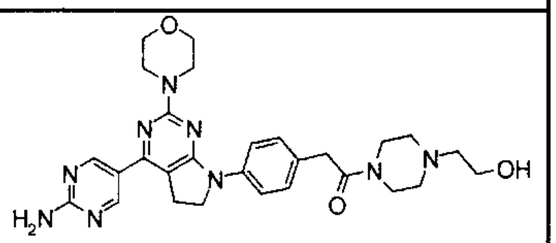
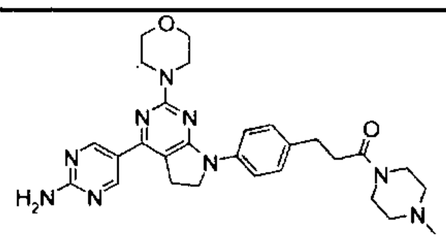
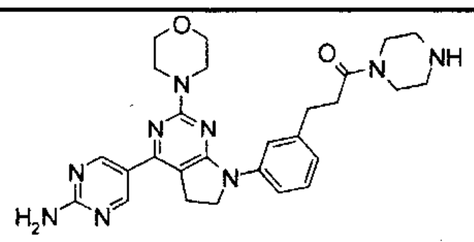
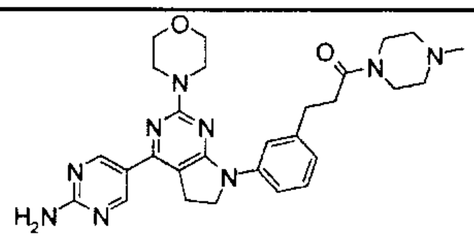
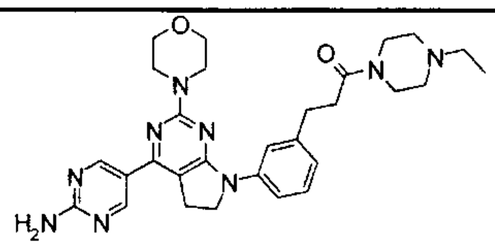
[0113]

Example 1－D－159	(D－159)	
Example 1－D－160	(D－160)	
Example 1－D－161	(D－161)	
Example 1－D－162	(D－162)	
Example 1－D－163	(D－163)	
Example 1－D－164	(D－164)	
Example 1－D－165	(D－165)	
Example 1－D－166	(D－166)	
Example 1－D－167	(D－167)	
Example 1－D－168	(D－168)	
Example 1－D－169	(D－169)	

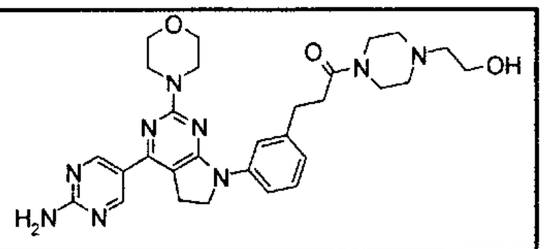
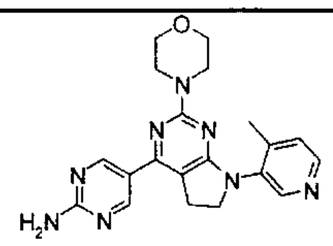
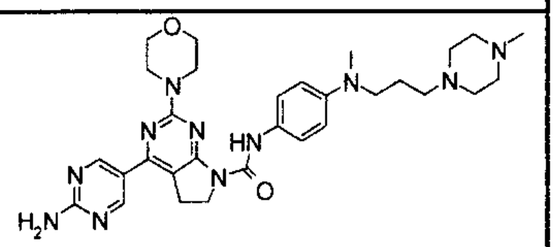
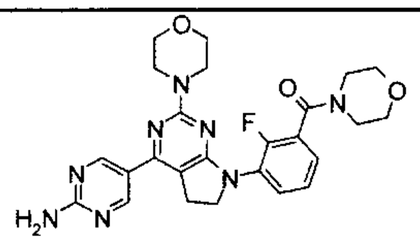
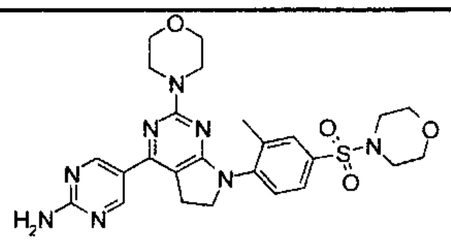
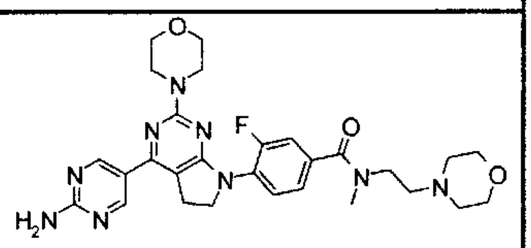
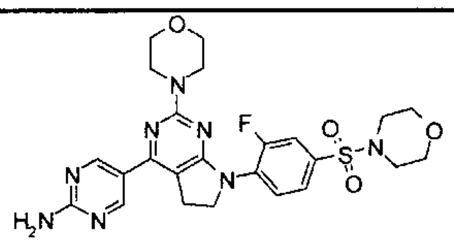
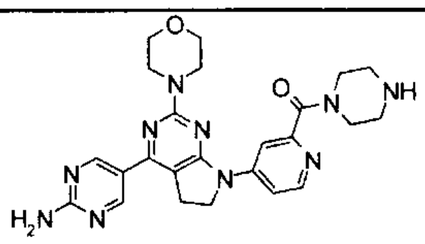
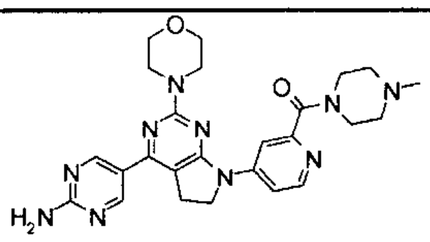
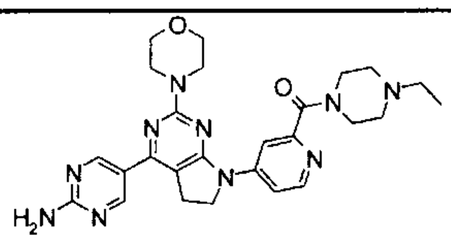
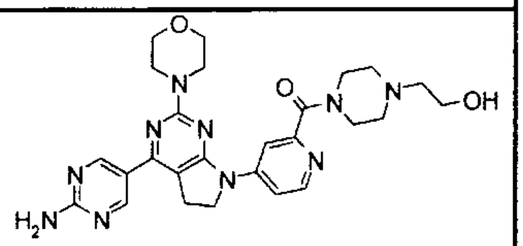
[0114]

Example 1－D－170	(D－170)	
Example 1－D－171	(D－171)	
Example 1－D－172	(D－172)	
Example 1－D－173	(D－173)	
Example 1－D－174	(D－174)	
Example 1－D－175	(D－175)	
Example 1－D－176	(D－176)	
Example 1－D－177	(D－177)	
Example 1－D－178	(D－178)	
Example 1－D－179	(D－179)	
Example 1－D－180	(D－180)	

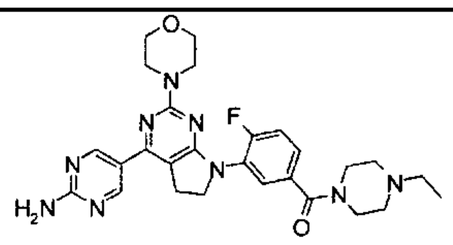
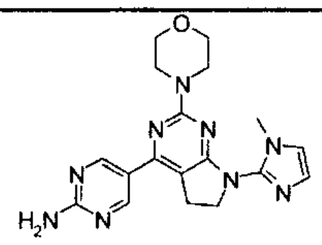
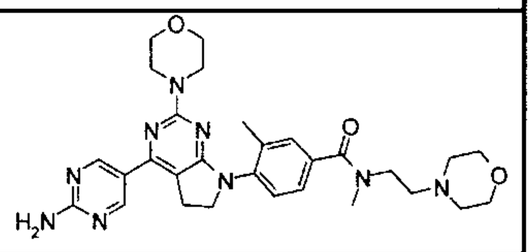
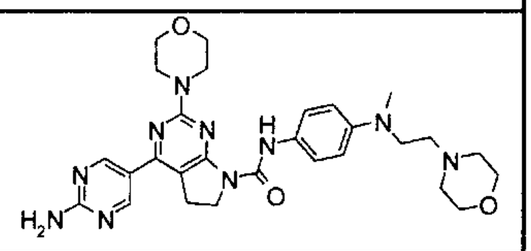
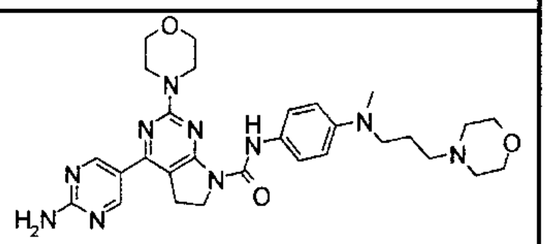
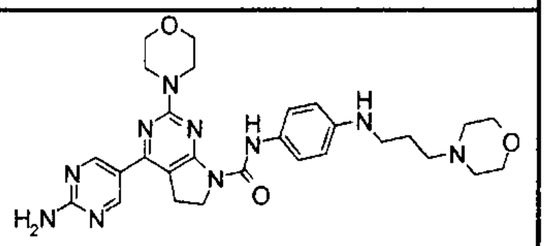
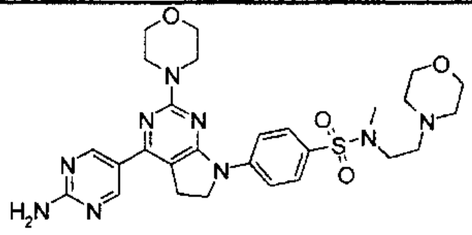
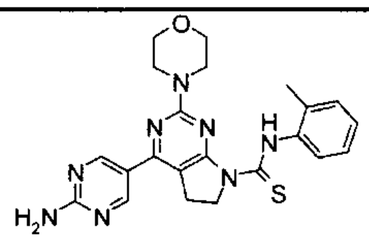
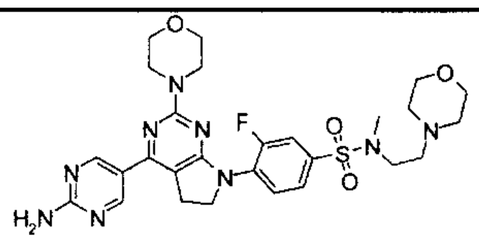
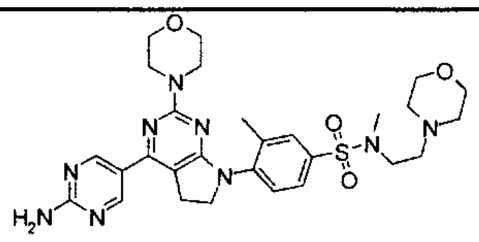
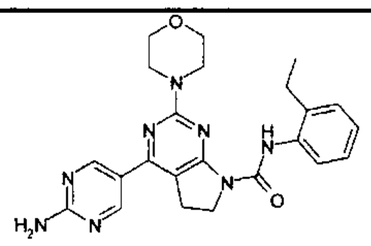
[0115]

Example 1－D－181	(D－181)	
Example 1－D－182	(D－182)	
Example 1－D－183	(D－183)	
Example 1－D－184	(D－184)	
Example 1－D－185	(D－185)	
Example 1－D－186	(D－186)	
Example 1－D－187	(D－187)	
Example 1－D－188	(D－188)	
Example 1－D－189	(D－189)	
Example 1－D－190	(D－190)	
Example 1－D－191	(D－191)	

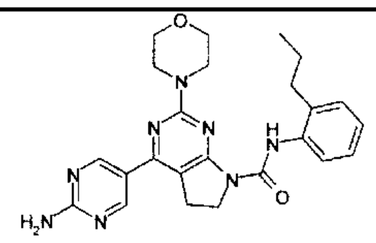
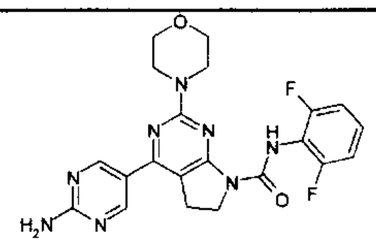
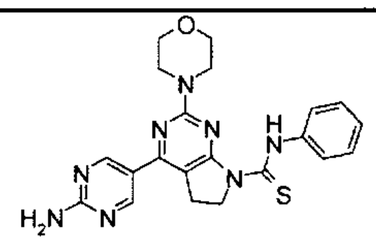
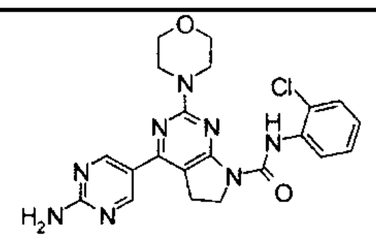
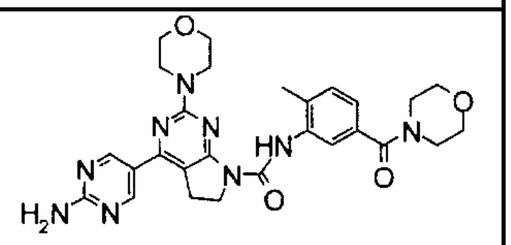
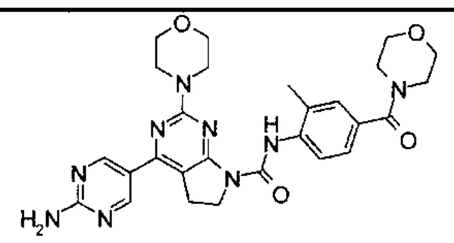
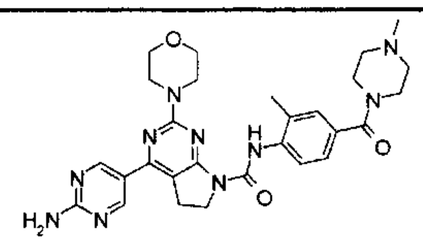
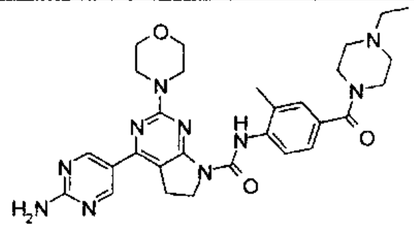
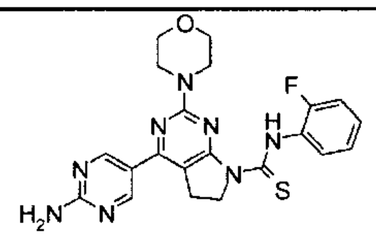
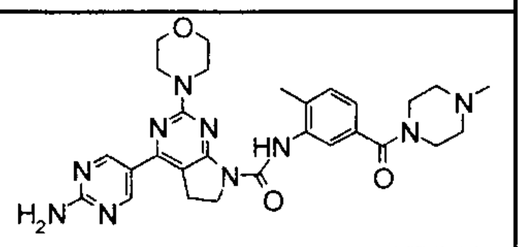
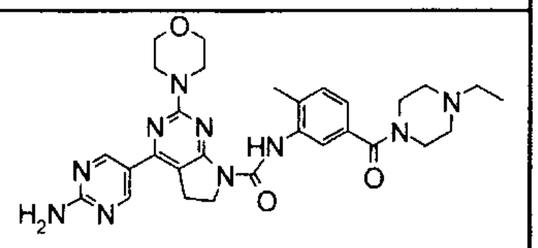
[0116]

Example 1－D－192	(D－192)	
Example 1－D－193	(D－193)	
Example 1－D－194	(D－194)	
Example 1－D－195	(D－195)	
Example 1－D－196	(D－196)	
Example 1－D－197	(D－197)	
Example 1－D－198	(D－198)	
Example 1－D－199	(D－199)	
Example 1－D－200	(D－200)	
Example 1－D－201	(D－201)	
Example 1－D－202	(D－202)	

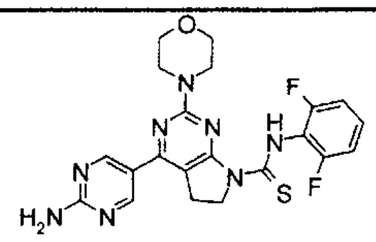
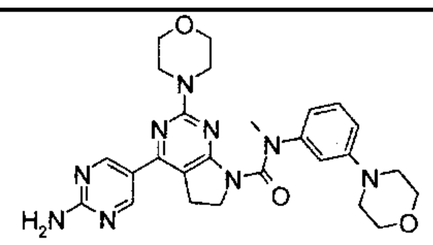
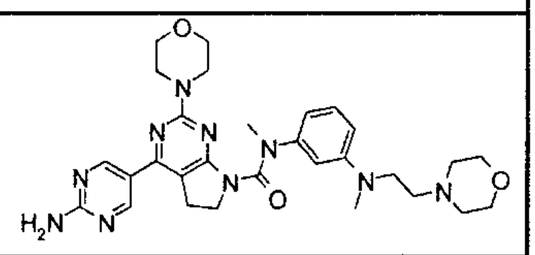
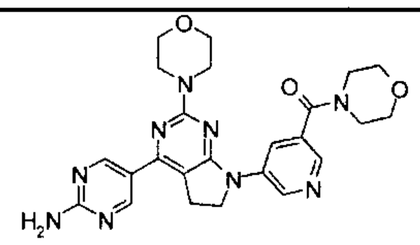
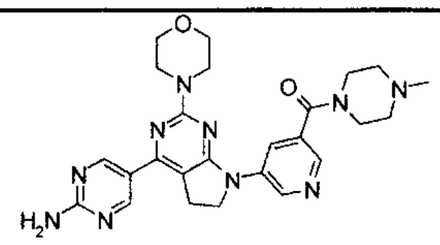
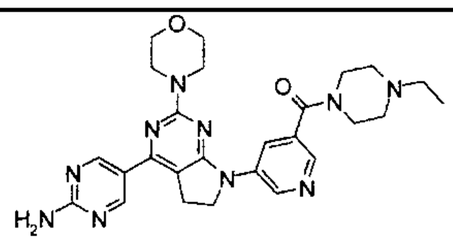
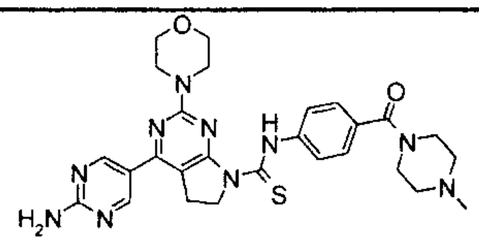
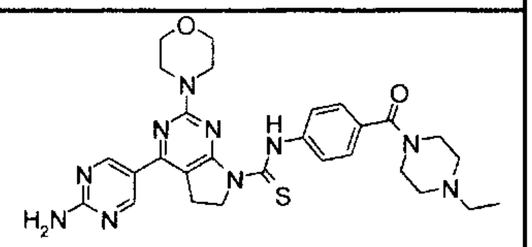
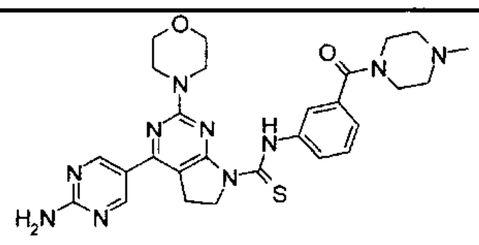
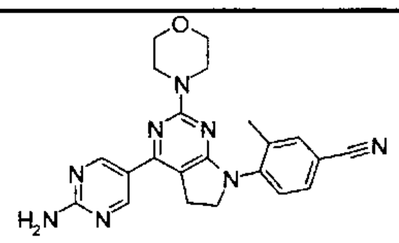
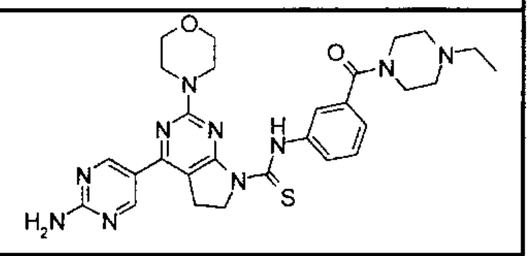
[0117]

Example 1－D－203	(D－203)	
Example 1－D－204	(D－204)	
Example 1－D－205	(D－205)	
Example 1－D－206	(D－206)	
Example 1－D－207	(D－207)	
Example 1－D－208	(D－208)	
Example 1－D－209	(D－209)	
Example 1－D－210	(D－210)	
Example 1－D－211	(D－211)	
Example 1－D－212	(D－212)	
Example 1－D－213	(D－213)	

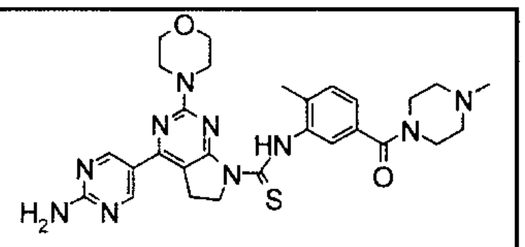
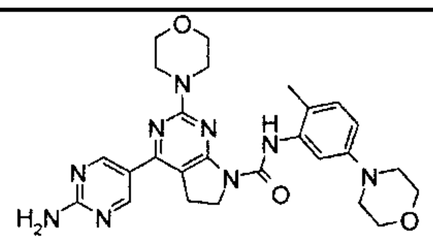
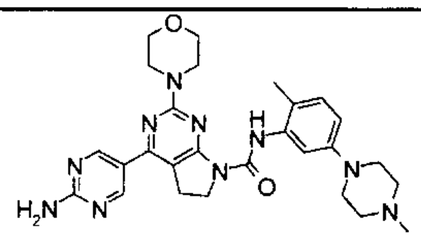
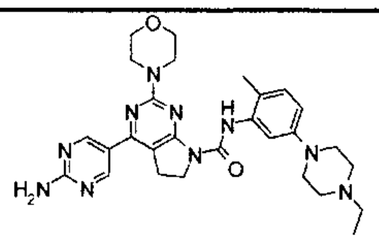
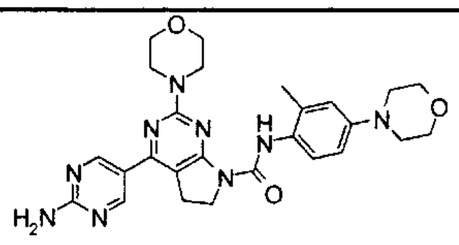
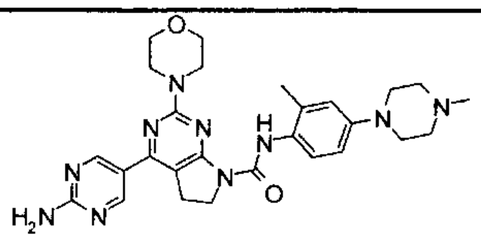
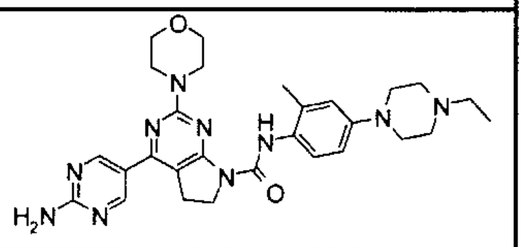
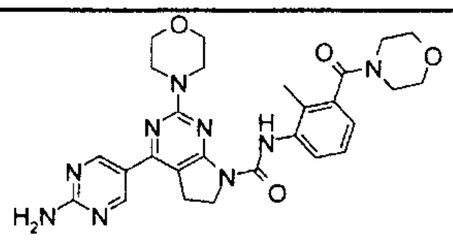
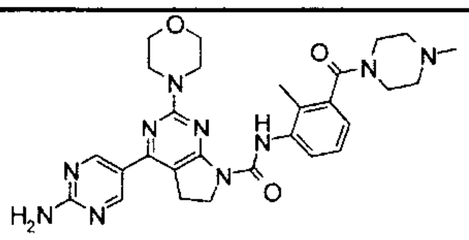
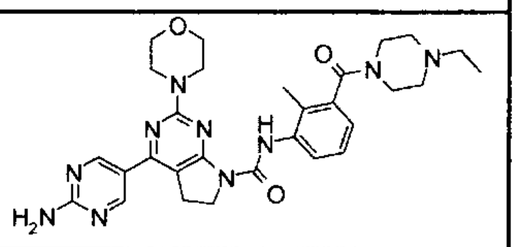
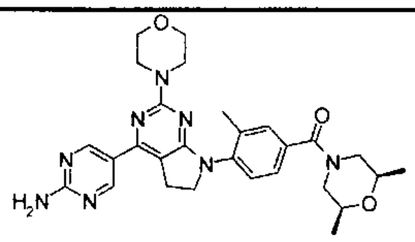
[0118]

Example 1－D－214	(D－214)	
Example 1－D－215	(D－215)	
Example 1－D－216	(D－216)	
Example 1－D－217	(D－217)	
Example 1－D－218	(D－218)	
Example 1－D－219	(D－219)	
Example 1－D－220	(D－220)	
Example 1－D－221	(D－221)	
Example 1－D－222	(D－222)	
Example 1－D－223	(D－223)	
Example 1－D－224	(D－224)	

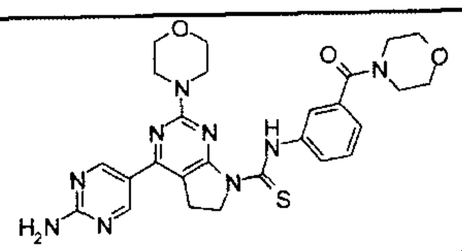
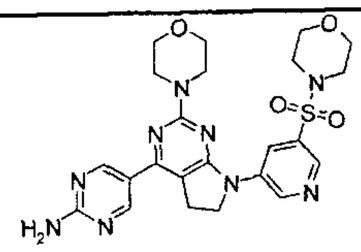
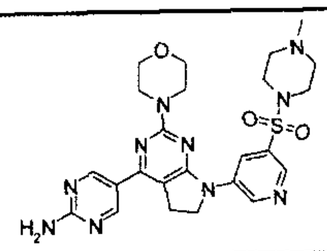
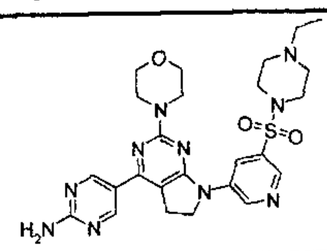
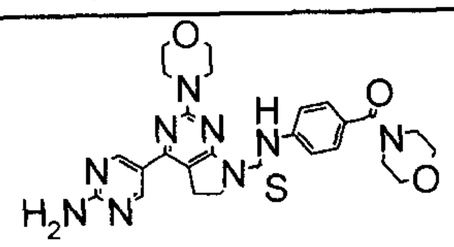
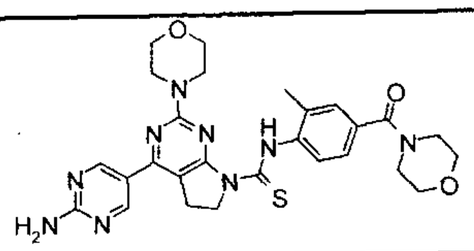
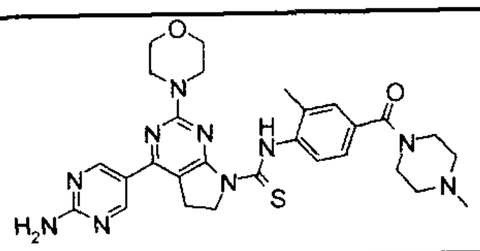
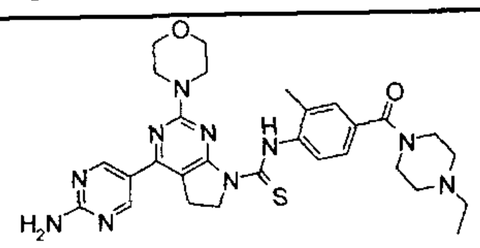
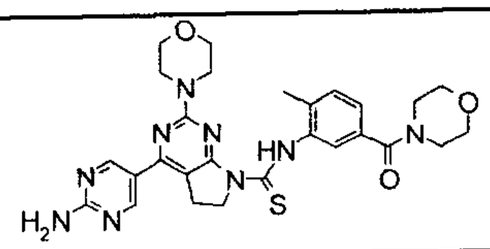
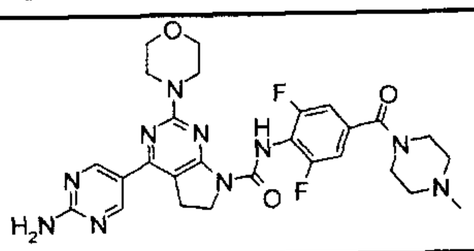
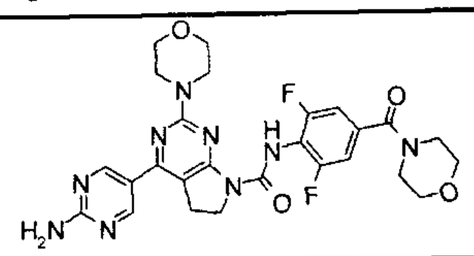
[0119]

Example 1－D－225	(D－225)	
Example 1－D－226	(D－226)	
Example 1－D－227	(D－227)	
Example 1－D－228	(D－228)	
Example 1－D－229	(D－229)	
Example 1－D－230	(D－230)	
Example 1－D－231	(D－231)	
Example 1－D－232	(D－232)	
Example 1－D－233	(D－233)	
Example 1－D－234	(D－234)	
Example 1－D－235	(D－235)	

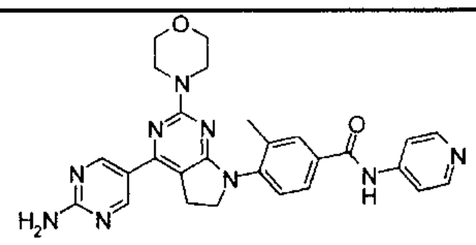
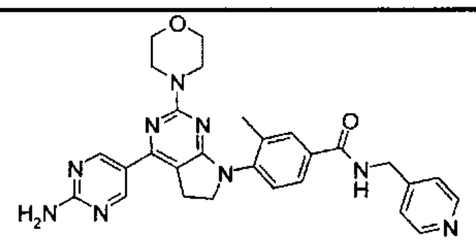
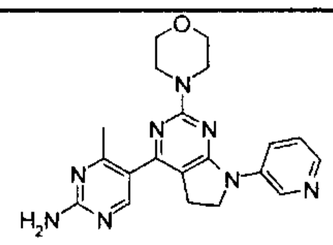
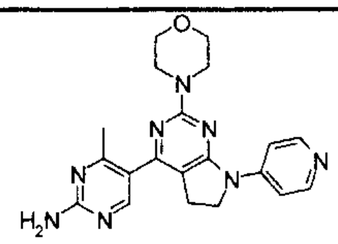
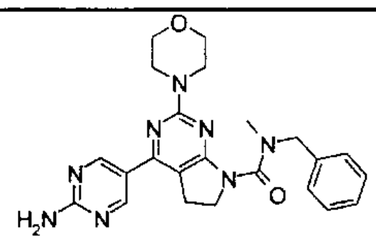
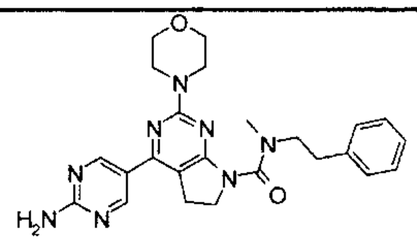
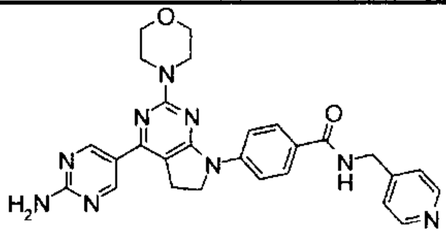
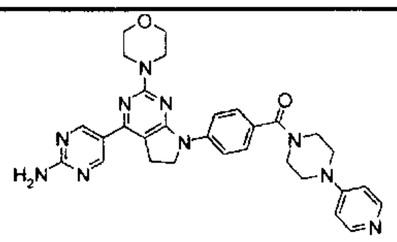
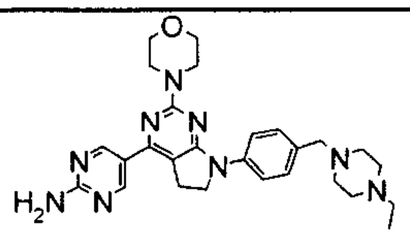
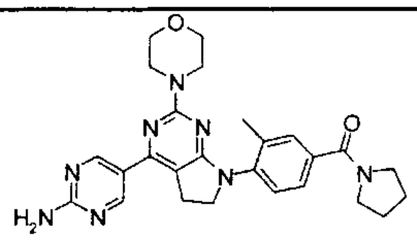
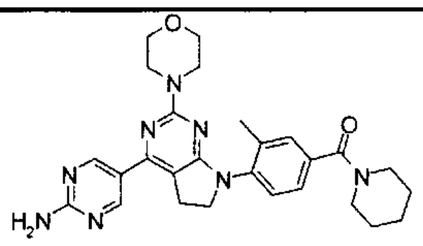
[0120]

Example 1－D－236	(D－236)	
Example 1－D－237	(D－237)	
Example 1－D－238	(D－238)	
Example 1－D－239	(D－239)	
Example 1－D－240	(D－240)	
Example 1－D－241	(D－241)	
Example 1－D－242	(D－242)	
Example 1－D－243	(D－243)	
Example 1－D－244	(D－244)	
Example 1－D－245	(D－245)	
Example 1－D－246	(D－246)	

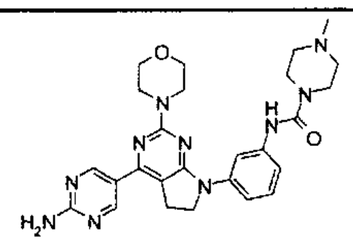
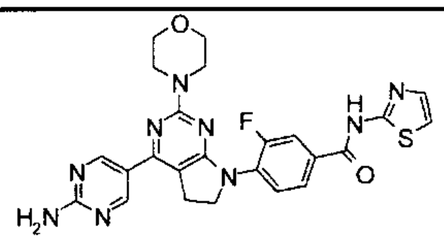
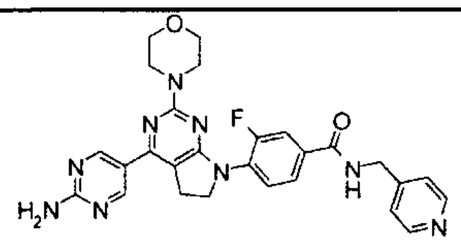
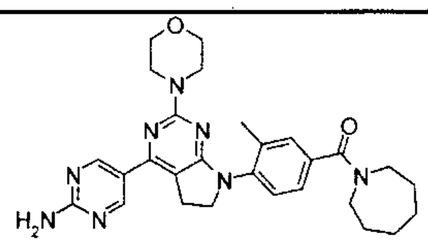
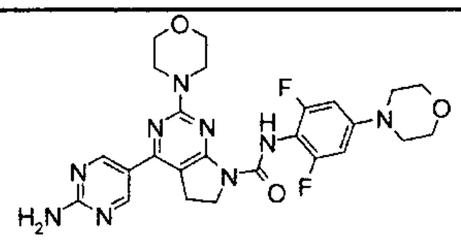
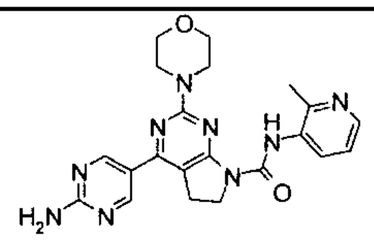
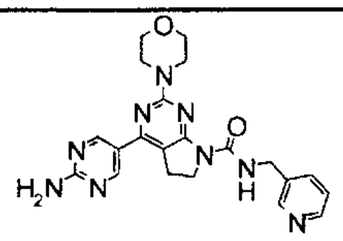
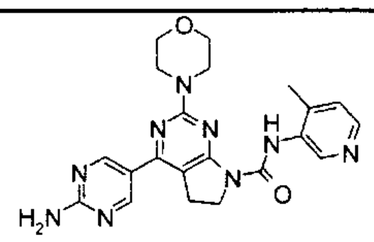
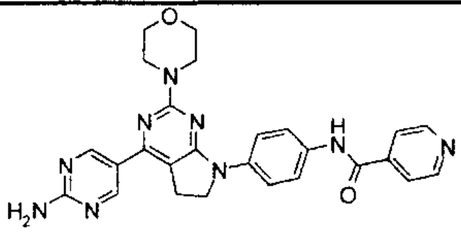
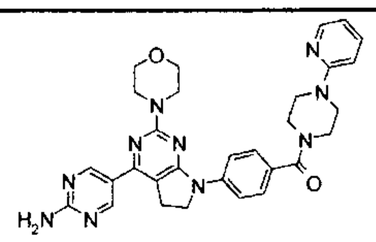
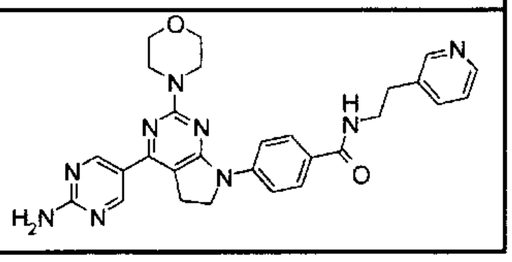
[0121]

Example 1－D－247	(D－247)	
Example 1－D－248	(D－248)	
Example 1－D－249	(D－249)	
Example 1－D－250	(D－250)	
Example 1－D－251	(D－251)	
Example 1－D－252	(D－252)	
Example 1－D－253	(D－253)	
Example 1－D－254	(D－254)	
Example 1－D－255	(D－255)	
Example 1－D－256	(D－256)	
Example 1－D－257	(D－257)	

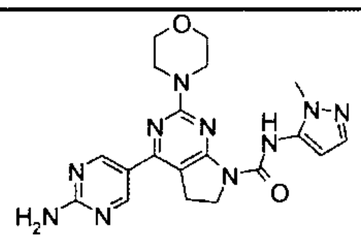
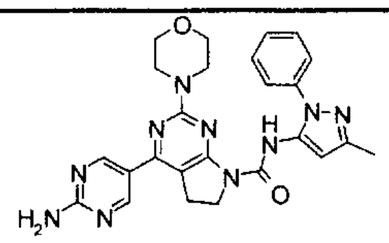
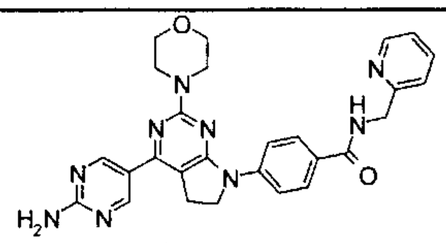
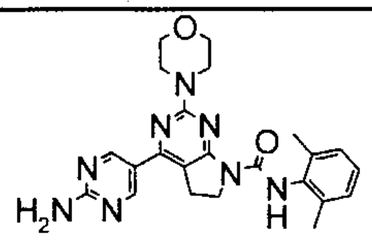
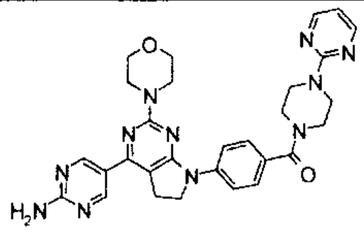
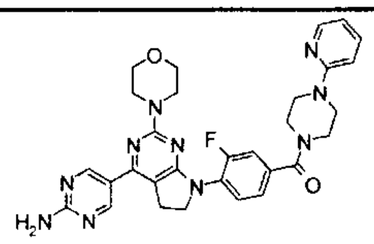
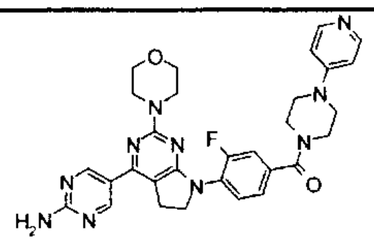
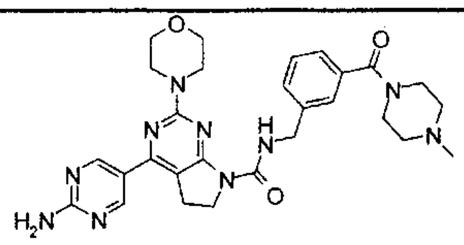
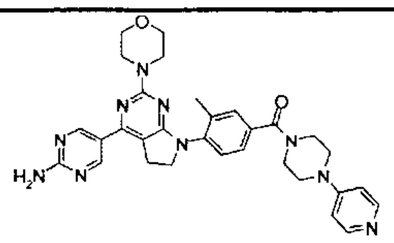
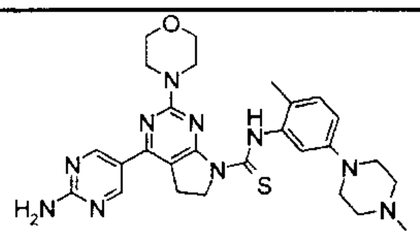
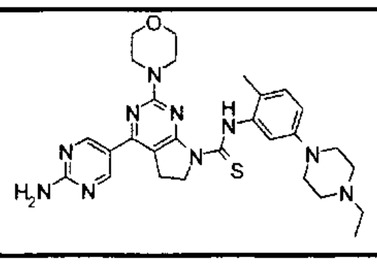
[0122]

Example 1－D－258	(D－258)	
Example 1－D－259	(D－259)	
Example 1－D－260	(D－260)	
Example 1－D－261	(D－261)	
Example 1－D－262	(D－262)	
Example 1－D－263	(D－263)	
Example 1－D－264	(D－264)	
Example 1－D－265	(D－265)	
Example 1－D－266	(D－266)	
Example 1－D－267	(D－267)	
Example 1－D－268	(D－268)	

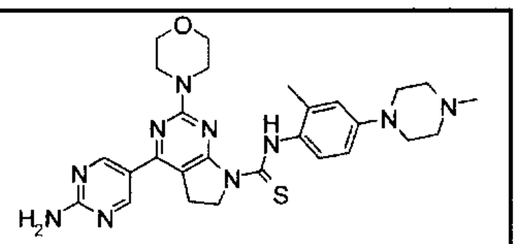
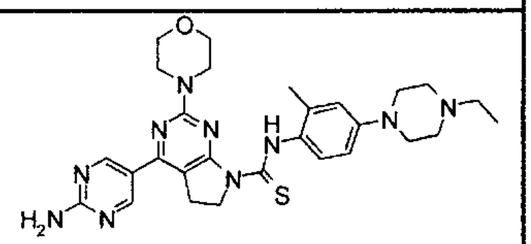
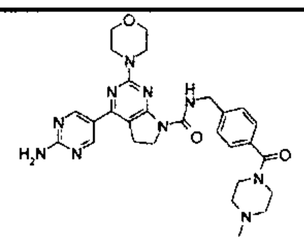
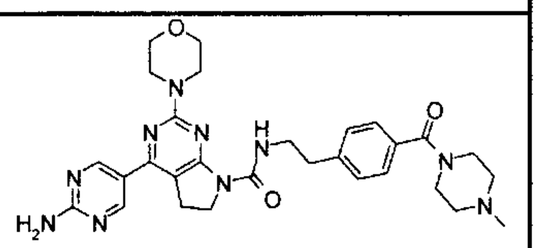
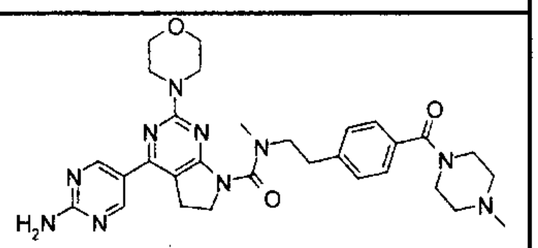
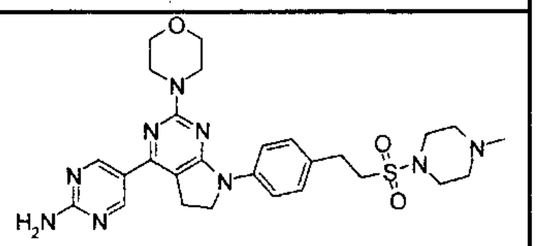
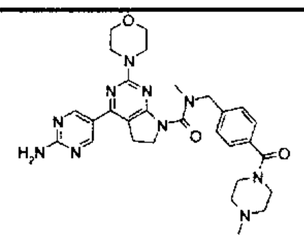
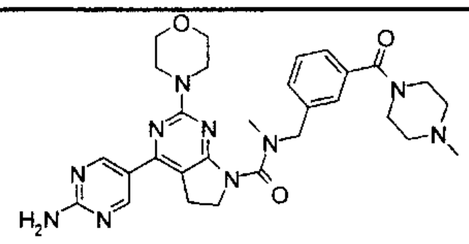
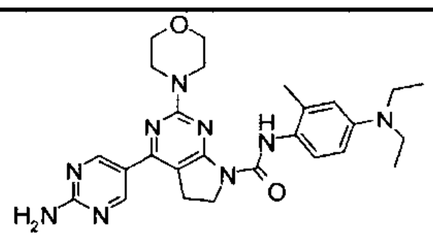
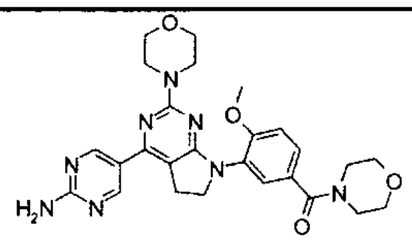
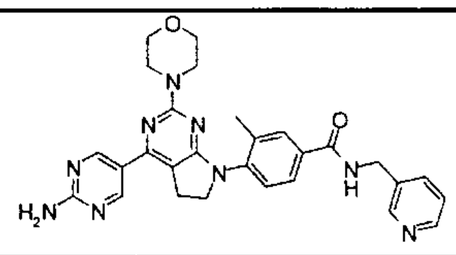
[0123]

Example 1－D－269	(D－269)	
Example 1－D－270	(D－270)	
Example 1－D－271	(D－271)	
Example 1－D－272	(D－272)	
Example 1－D－273	(D－273)	
Example 1－D－274	(D－274)	
Example 1－D－275	(D－275)	
Example 1－D－276	(D－276)	
Example 1－D－277	(D－277)	
Example 1－D－278	(D－278)	
Example 1－D－279	(D－279)	

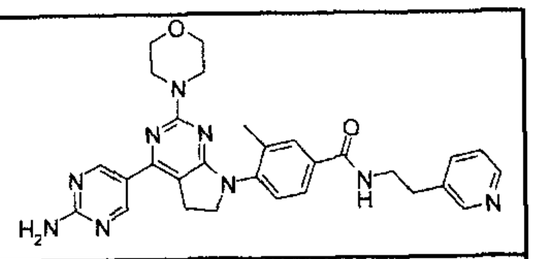
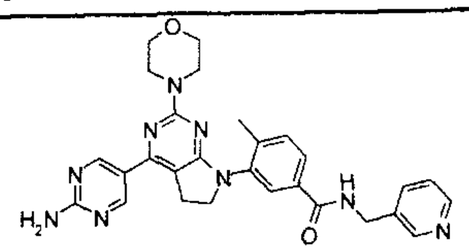
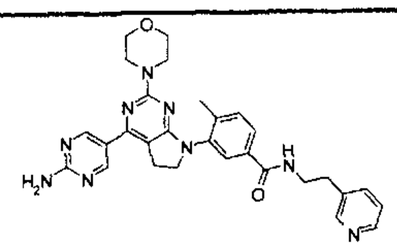
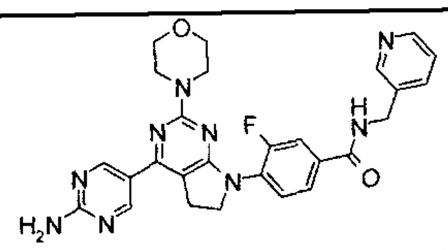
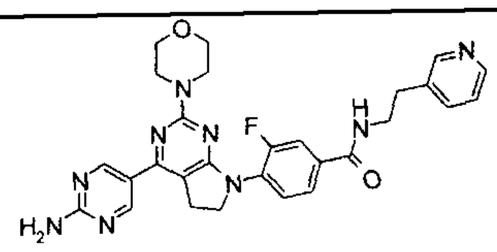
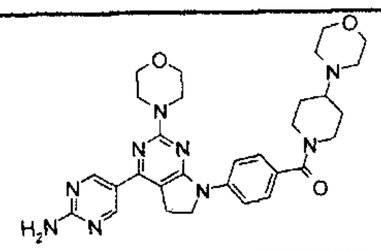
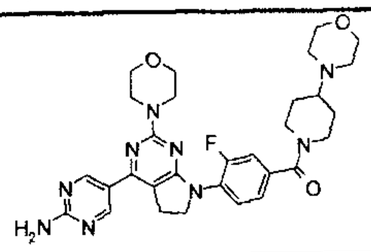
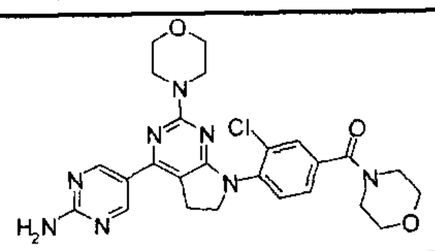
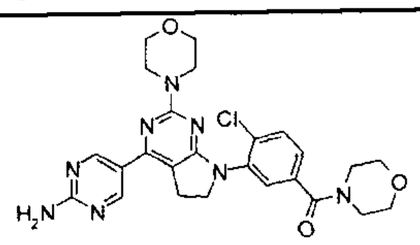
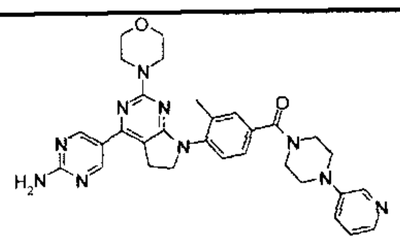
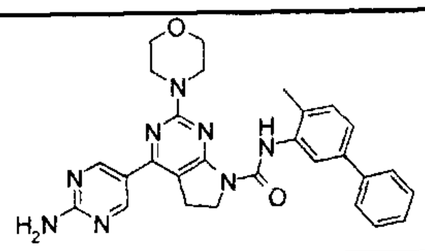
[0124]

Example 1－D－280	(D－280)	
Example 1－D－281	(D－281)	
Example 1－D－282	(D－282)	
Example 1－D－283	(D－283)	
Example 1－D－284	(D－284)	
Example 1－D－285	(D－285)	
Example 1－D－286	(D－286)	
Example 1－D－287	(D－287)	
Example 1－D－288	(D－288)	
Example 1－D－289	(D－289)	
Example 1－D－290	(D－290)	

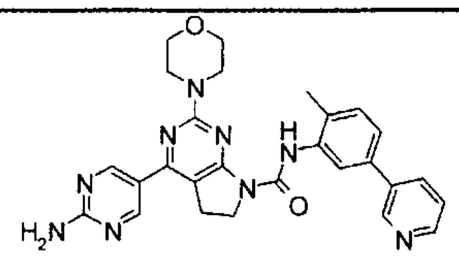
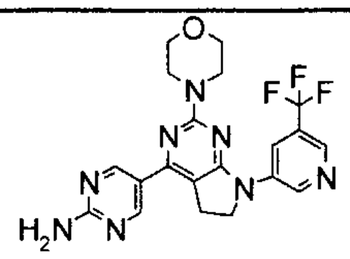
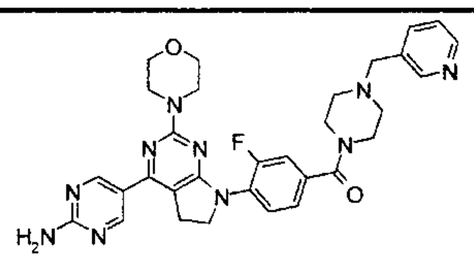
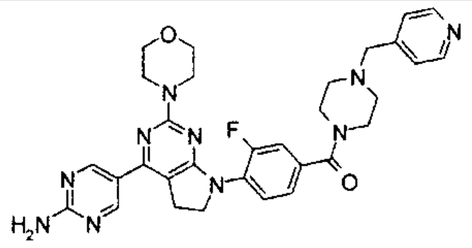
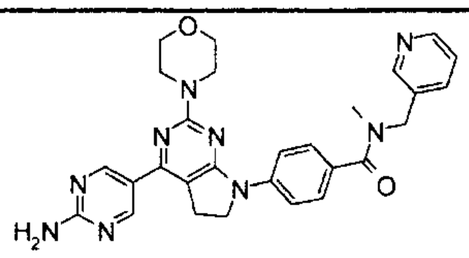
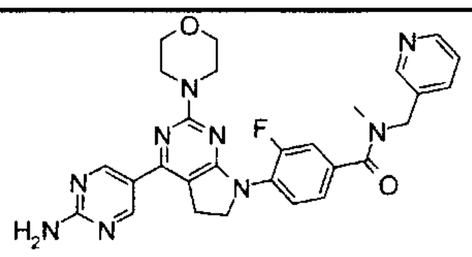
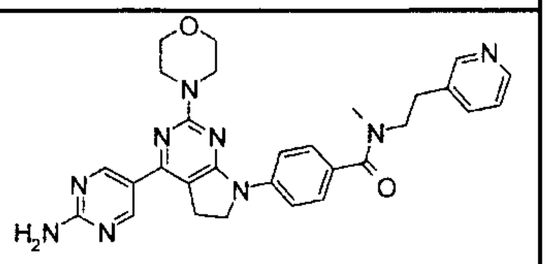
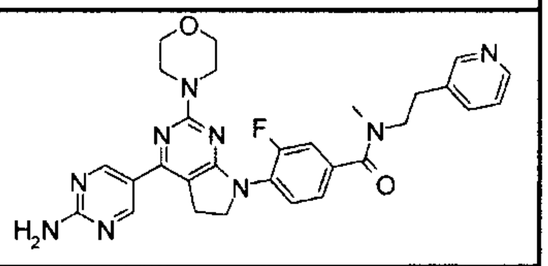
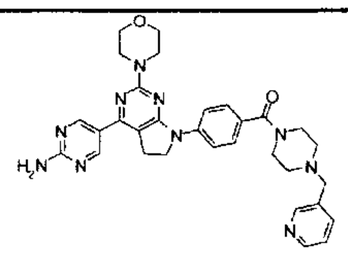
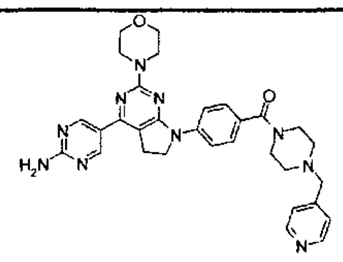
[0125]

Example 1－D－291	(D－291)	
Example 1－D－292	(D－292)	
Example 1－D－293	(D－293)	
Example 1－D－294	(D－294)	
Example 1－D－295	(D－295)	
Example 1－D－296	(D－296)	
Example 1－D－297	(D－297)	
Example 1－D－298	(D－298)	
Example 1－D－299	(D－299)	
Example 1－D－300	(D－300)	
Example 1－D－301	(D－301)	

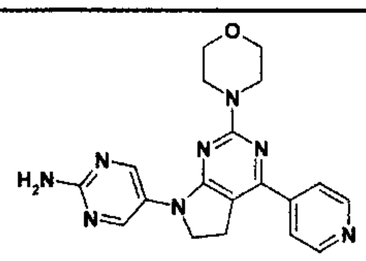
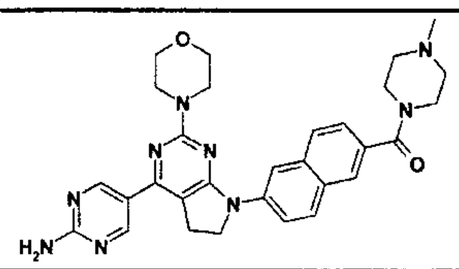
[0126]

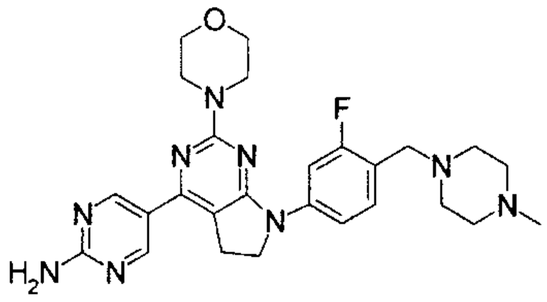
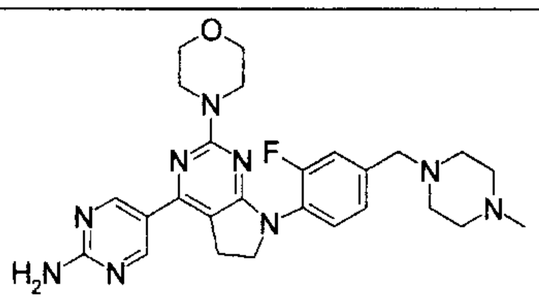
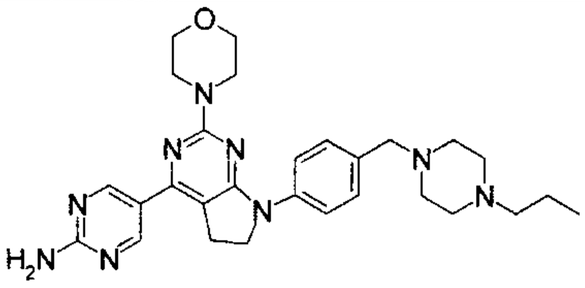
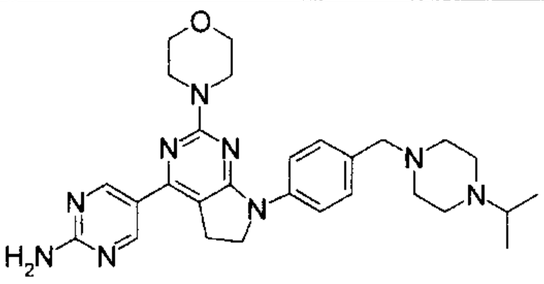
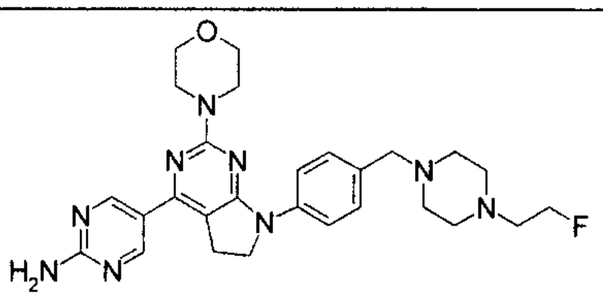
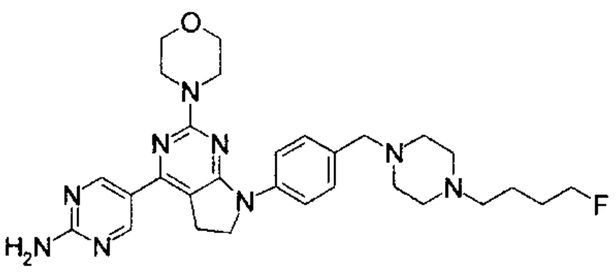
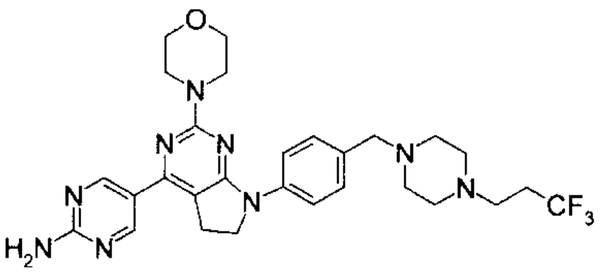
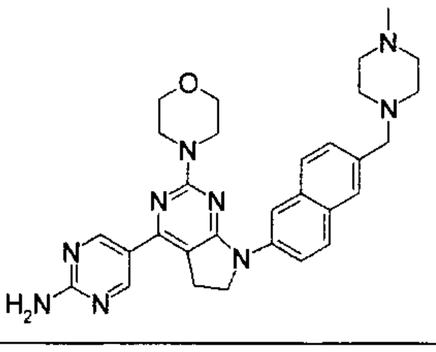
Example 1－D－302	(D－302)	
Example 1－D－303	(D－303)	
Example 1－D－304	(D－304)	
Example 1－D－305	(D－305)	
Example 1－D－306	(D－306)	
Example 1－D－307	(D－307)	
Example 1－D－308	(D－308)	
Example 1－D－309	(D－309)	
Example 1－D－310	(D－310)	
Example 1－D－311	(D－311)	
Example 1－D－312	(D－312)	

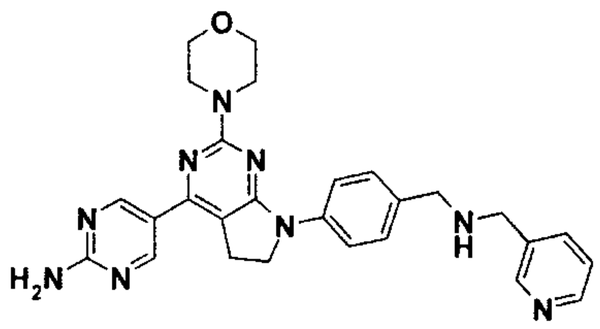
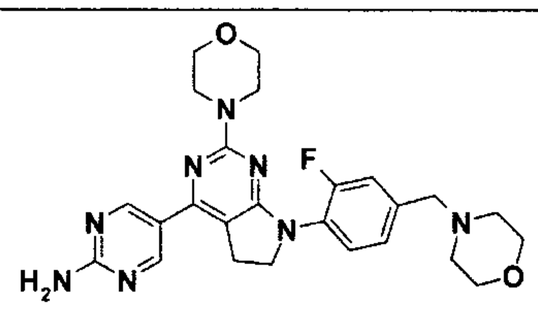
[0127]

Example 1－D－313	(D－313)	
Example 1－D－314	(D－314)	
Example 1－D－315	(D－315)	
Example 1－D－316	(D－316)	
Example 1－D－317	(D－317)	
Example 1－D－318	(D－318)	
Example 1－D－319	(D－319)	
Example 1－D－320	(D－320)	
Example 1－D－321	(D－321)	
Example 1－D－322	(D－322)	

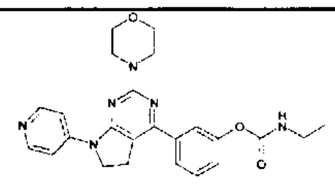
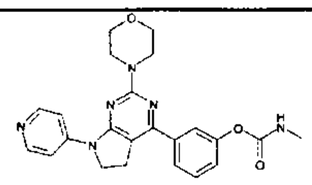
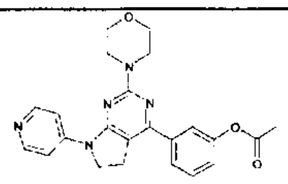
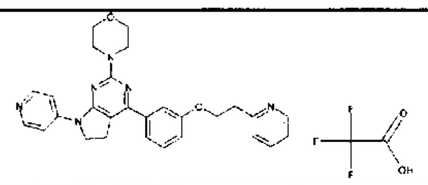
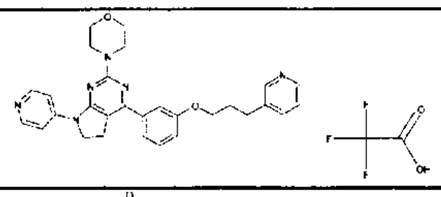
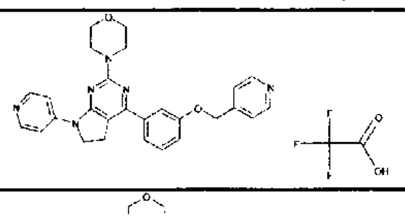
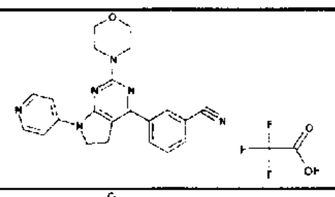
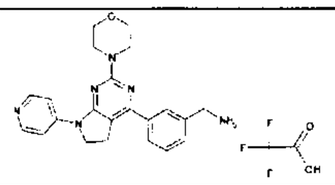
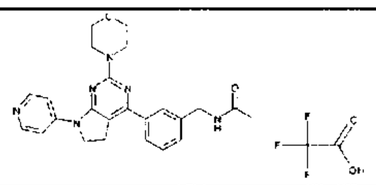
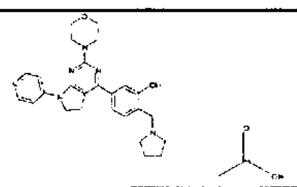
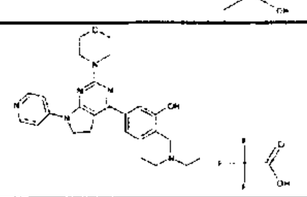
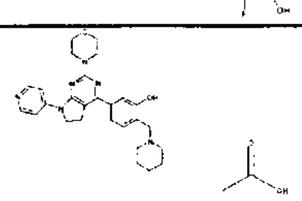
[0128]

Example 1－D－323	(D－323)	
Example 1－D－324	(D－324)	

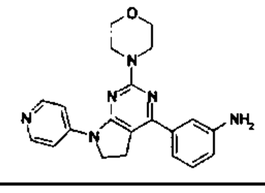
Example No.	Compound No.	Structure formula
Example 1－D－325	(D－325)	
Example 1－D－326	(D－326)	
Example 1－D－327	(D－327)	
Example 1－D－328	(D－328)	
Example 1－D－329	(D－329)	
Example 1－D－330	(D－330)	
Example 1－D－332	(D－332)	
Example 1－D－333	(D－333)	

Example 1－D－334	(D－334)	
Example 1－D－335	(D－335)	

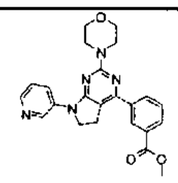
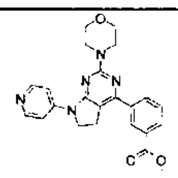
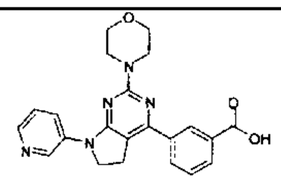
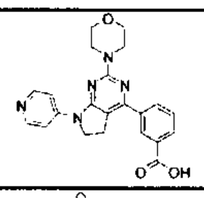
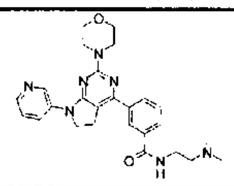
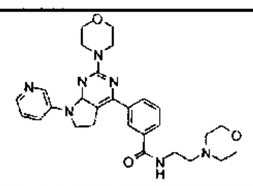
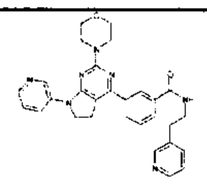
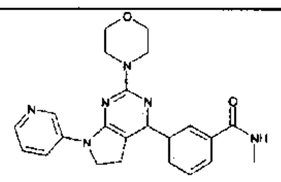
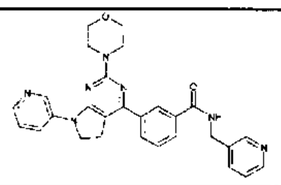
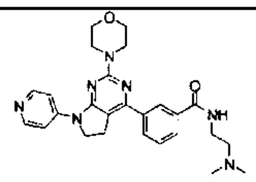
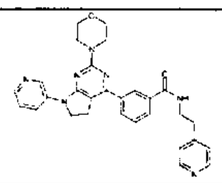
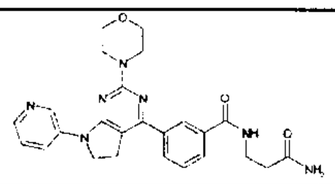
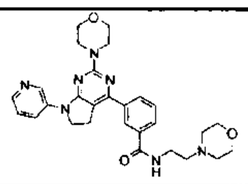
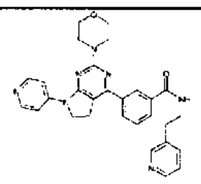
[0129]

Example No.	Compound No.	Structural formula
Example 1-E-01	(E-01)	
Example 1-E-02	(E-02)	
Example 1-E-03	(E-03)	
Example 1-E-04	(E-04)	
Example 1-E-05	(E-05)	
Example 1-E-06	(E-06)	
Example 1-E-07	(E-07)	
Example 1-E-08	(E-08)	
Example 1-E-09	(E-09)	
Example 1-E-10	(E-10)	
Example 1-E-11	(E-11)	
Example 1-E-12	(E-12)	

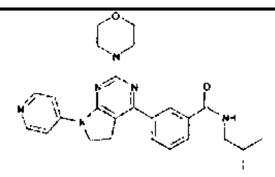
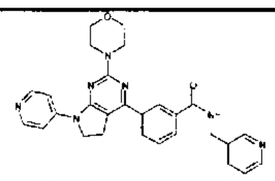
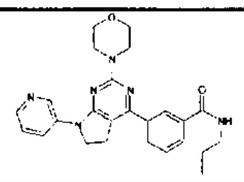
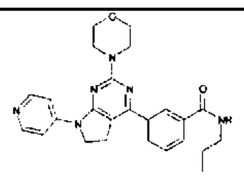
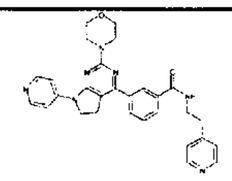
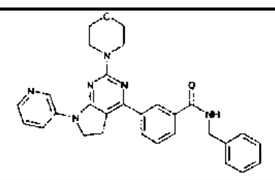
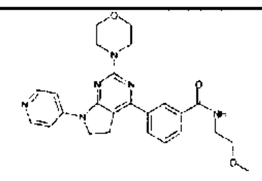
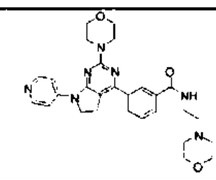
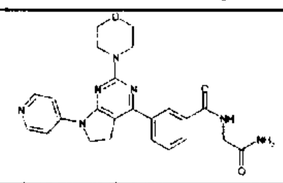
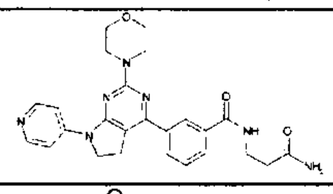
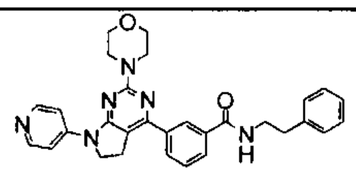
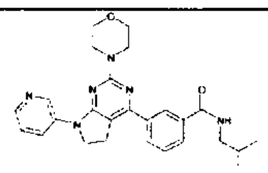
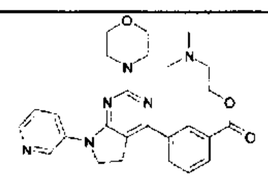
[0130]

Example No.	Compound No.	Structural formula
Example 1－F－01	(F－01)	

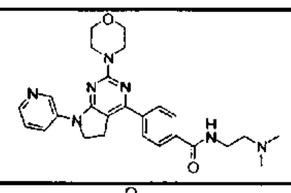
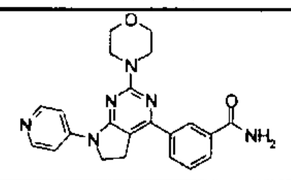
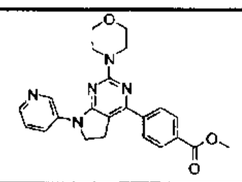
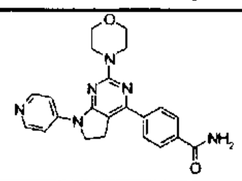
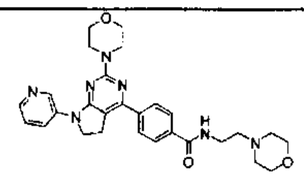
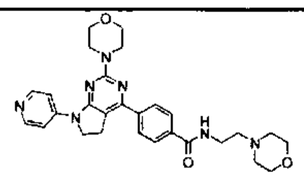
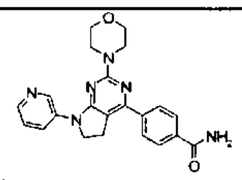
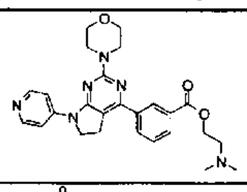
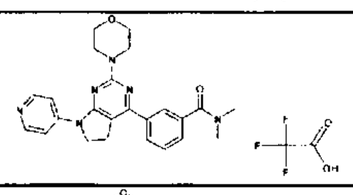
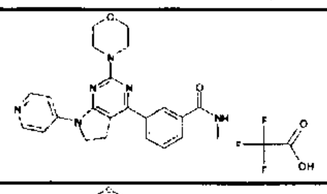
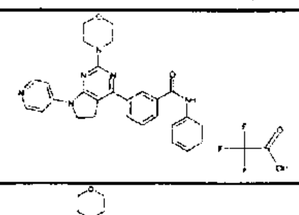
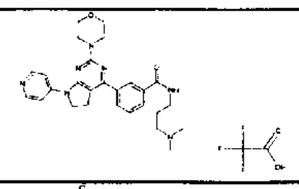
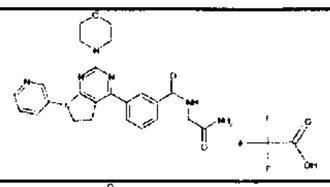
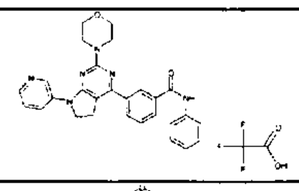
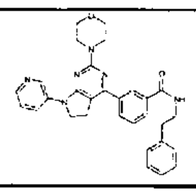
[0131]

Example No.	Compound No.	Structural formula
Example 1－G－01	(G－01)	
Example 1－G－02	(G－02)	
Example 1－G－03	(G－03)	
Example 1－G－04	(G－04)	
Example 1－G－05	(G－05)	
Example 1－G－06	(G－06)	
Example 1－G－07	(G－07)	
Example 1－G－08	(G－08)	
Example 1－G－09	(G－09)	
Example 1－G－10	(G－10)	
Example 1－G－11	(G－11)	
Example 1－G－12	(G－12)	
Example 1－G－13	(G－13)	
Example 1－G－14	(G－14)	

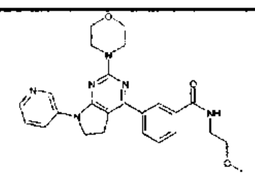
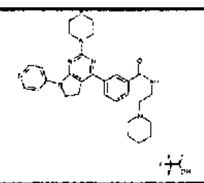
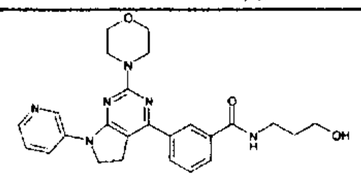
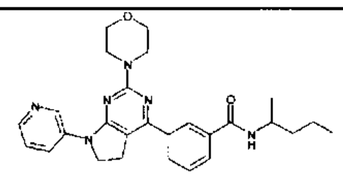
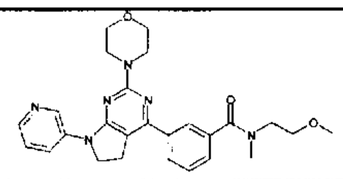
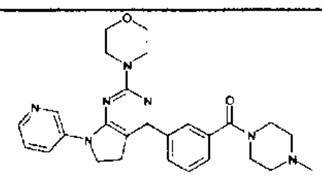
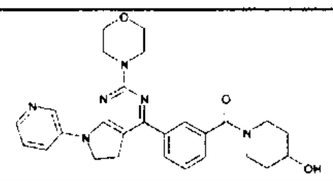
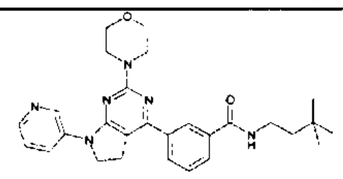
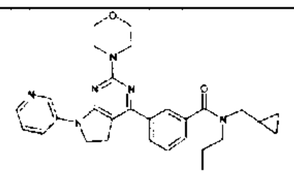
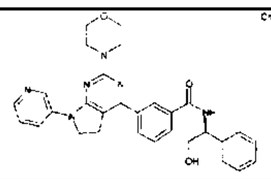
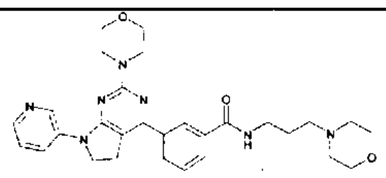
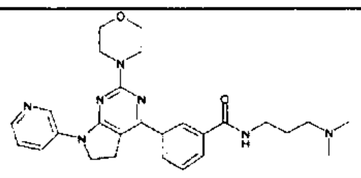
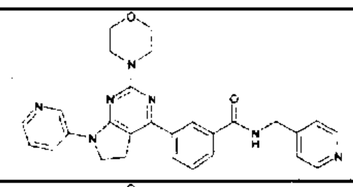
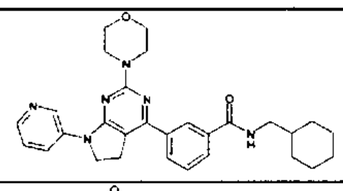
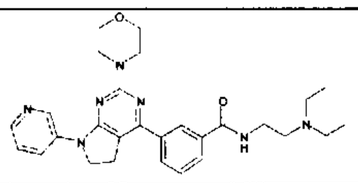
[0132]

Example 1－G－15	(G－15)	
Example 1－G－16	(G－16)	
Example 1－G－17	(G－17)	
Example 1－G－18	(G－18)	
Example 1－G－19	(G－19)	
Example 1－G－20	(G－20)	
Example 1－G－21	(G－21)	
Example 1－G－22	(G－22)	
Example 1－G－23	(G－23)	
Example 1－G－24	(G－24)	
Example 1－G－25	(G－25)	
Example 1－G－26	(G－26)	
Example 1－G－27	(G－27)	
Example 1－G－28	(G－28)	
Example 1－G－29	(G－29)	

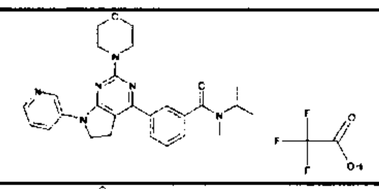
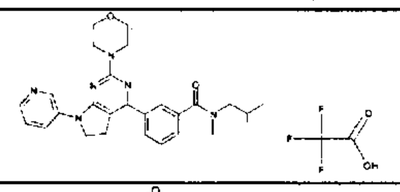
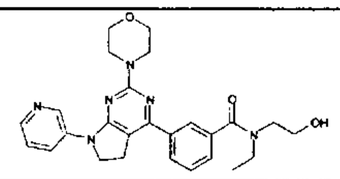
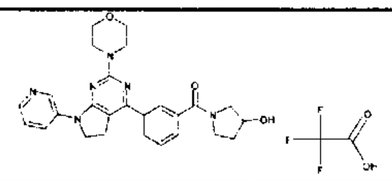
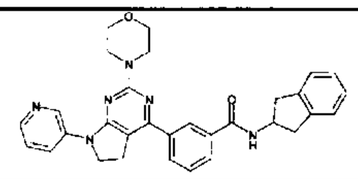
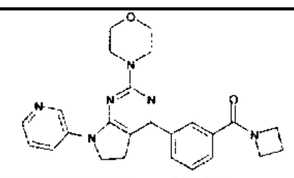
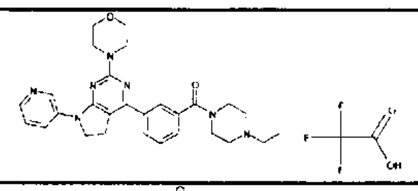
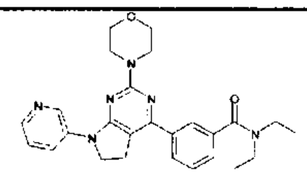
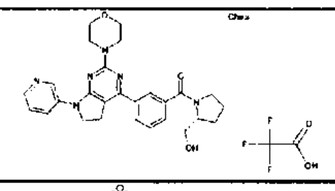
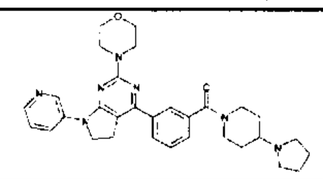
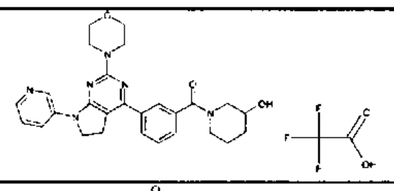
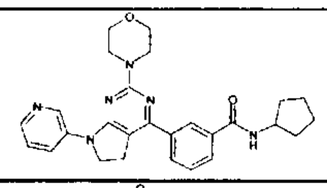
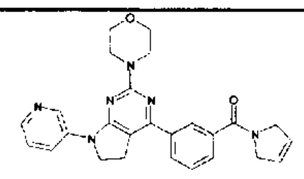
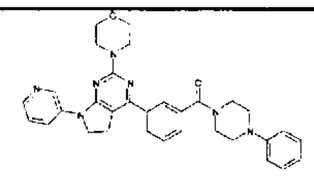
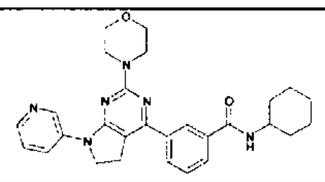
[0133]

Example 1－G－30	(G－30)	
Example 1－G－31	(G－31)	
Example 1－G－32	(G－32)	
Example 1－G－33	(G－33)	
Example 1－G－34	(G－34)	
Example 1－G－35	(G－35)	
Example 1－G－36	(G－36)	
Example 1－G－37	(G－37)	
Example 1－G－38	(G－38)	
Example 1－G－39	(G－39)	
Example 1－G－40	(G－40)	
Example 1－G－41	(G－41)	
Example 1－G－42	(G－42)	
Example 1－G－43	(G－43)	
Example 1－G－44	(G－44)	

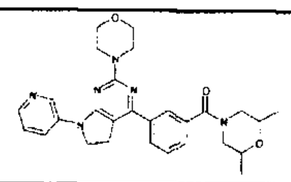
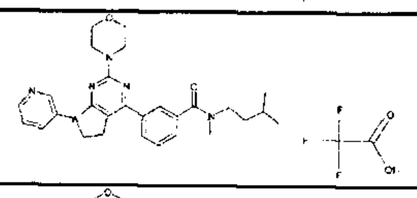
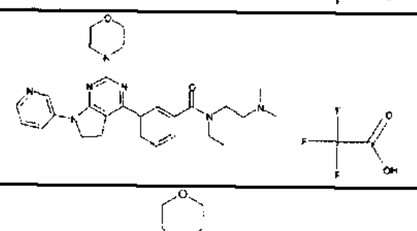
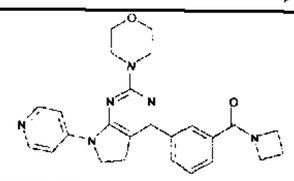
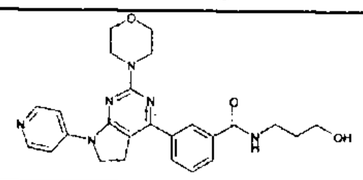
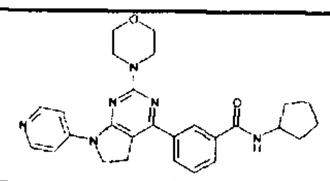
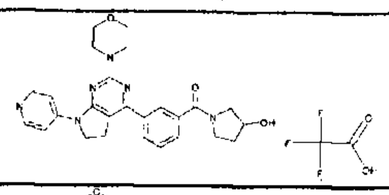
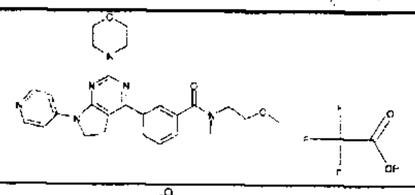
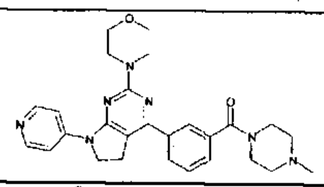
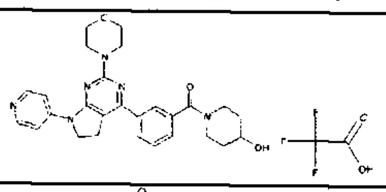
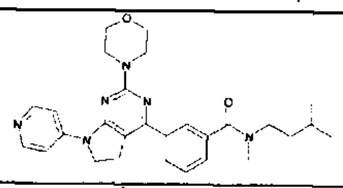
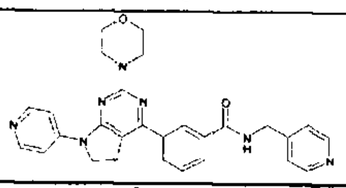
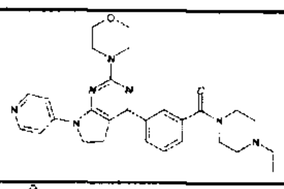
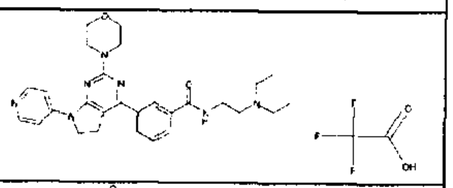
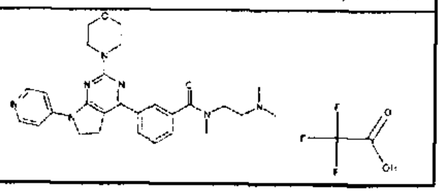
[0134]

Example 1－G－45	(G－45)	
Example 1－G－46	(G－46)	
Example 1－G－47	(G－47)	
Example 1－G－48	(G－48)	
Example 1－G－49	(G－49)	
Example 1－G－50	(G－50)	
Example 1－G－51	(G－51)	
Example 1－G－52	(G－52)	
Example 1－G－53	(G－53)	
Example 1－G－54	(G－54)	
Example 1－G－55	(G－55)	
Example 1－G－56	(G－56)	
Example 1－G－57	(G－57)	
Example 1－G－58	(G－58)	
Example 1－G－59	(G－59)	

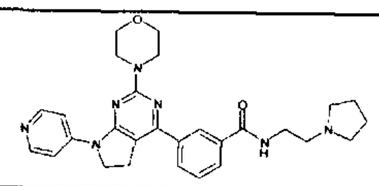
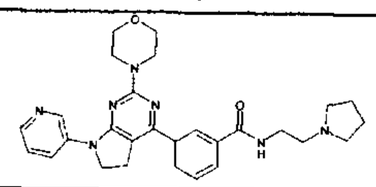
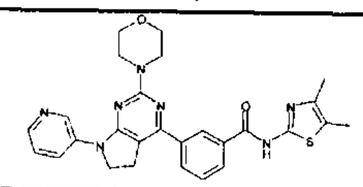
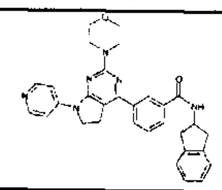
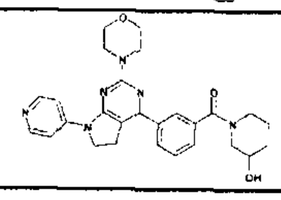
[0135]

Example 1－G－60	(G－60)	
Example 1－G－61	(G－61)	
Example 1－G－62	(G－62)	
Example 1－G－63	(G－63)	
Example 1－G－64	(G－64)	
Example 1－G－65	(G－65)	
Example 1－G－66	(G－66)	
Example 1－G－67	(G－67)	
Example 1－G－68	(G－68)	
Example 1－G－69	(G－69)	
Example 1－G－70	(G－70)	
Example 1－G－71	(G－71)	
Example 1－G－72	(G－72)	
Example 1－G－73	(G－73)	
Example 1－G－74	(G－74)	

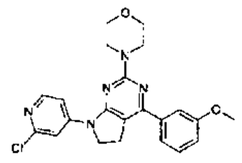
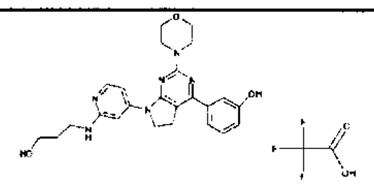
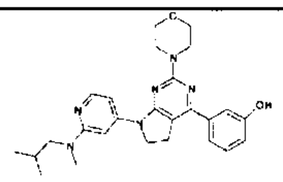
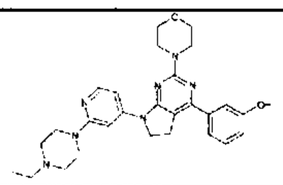
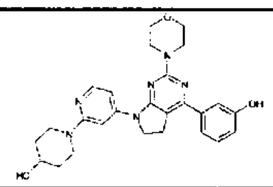
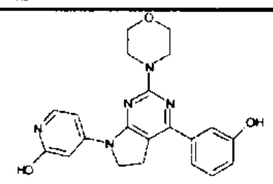
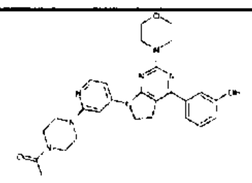
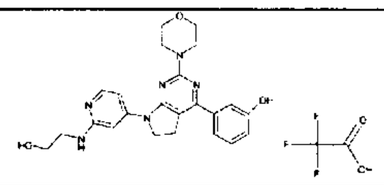
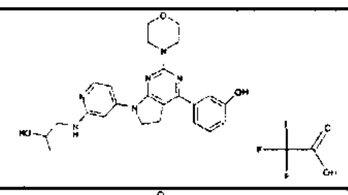
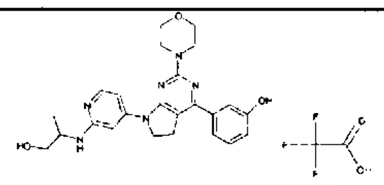
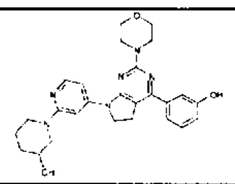
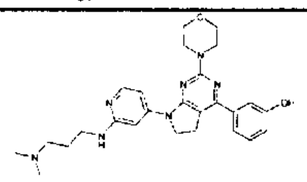
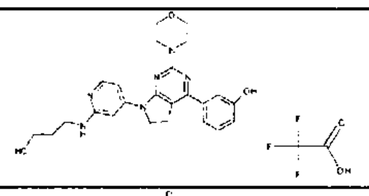
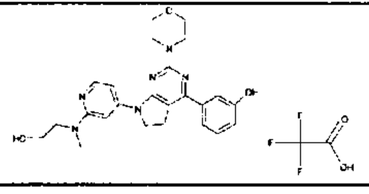
[0136]

Example 1－G－75	(G－75)	
Example 1－G－76	(G－76)	
Example 1－G－77	(G－77)	
Example 1－G－78	(G－78)	
Example 1－G－79	(G－79)	
Example 1－G－80	(G－80)	
Example 1－G－81	(G－81)	
Example 1－G－82	(G－82)	
Example 1－G－83	(G－83)	
Example 1－G－84	(G－84)	
Example 1－G－85	(G－85)	
Example 1－G－86	(G－86)	
Example 1－G－87	(G－87)	
Example 1－G－88	(G－88)	
Example 1－G－89	(G－89)	

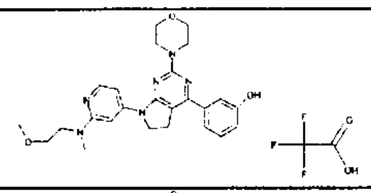
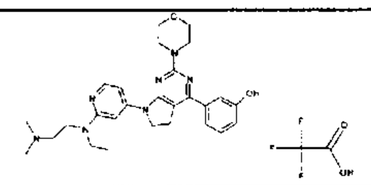
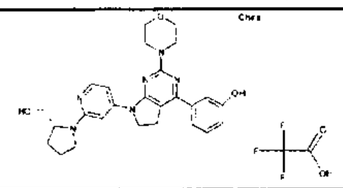
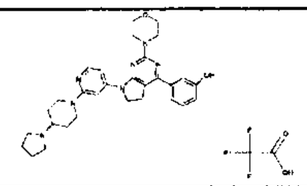
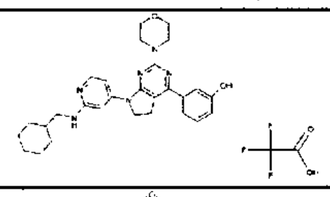
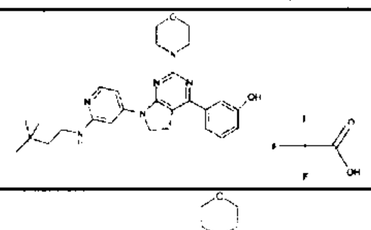
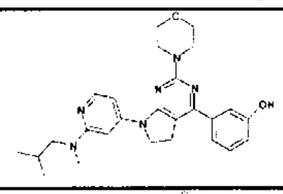
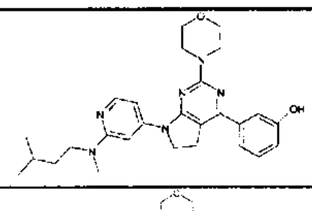
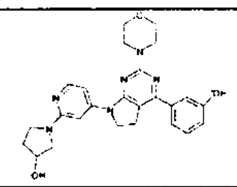
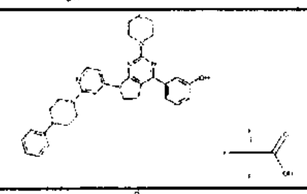
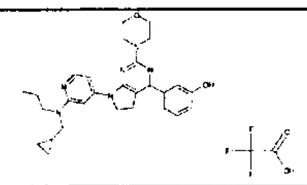
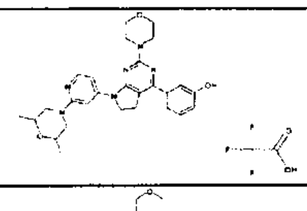
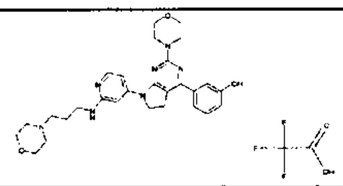
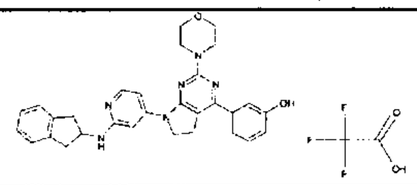
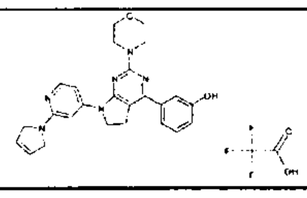
[0137]

Example 1－G－90	(G－90)	
Example 1－G－91	(G－91)	
Example 1－G－92	(G－92)	
Example 1－G－93	(G－93)	
Example 1－G－94	(G－94)	

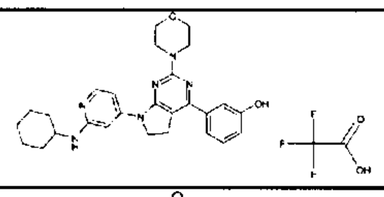
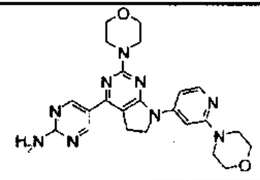
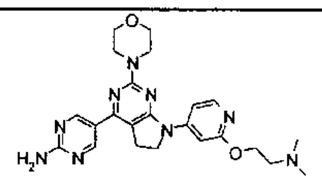
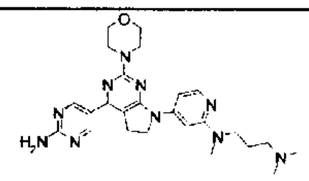
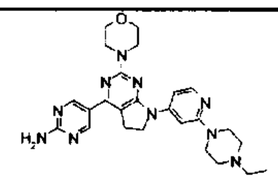
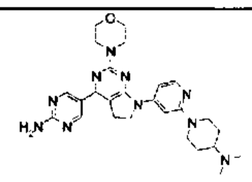
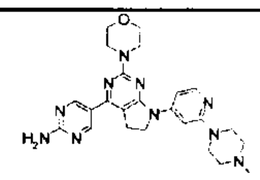
[0138]

Example No.	Compound No.	Structural formula
Example 1－H－01	(H－01)	
Example 1－H－02	(H－02)	
Example 1－H－03	(H－03)	
Example 1－H－04	(H－04)	
Example 1－H－05	(H－05)	
Example 1－H－06	(H－06)	
Example 1－H－07	(H－07)	
Example 1－H－08	(H－08)	
Example 1－H－09	(H－09)	
Example 1－H－10	(H－10)	
Example 1－H－11	(H－11)	
Example 1－H－12	(H－12)	
Example 1－H－13	(H－13)	
Example 1－H－14	(H－14)	

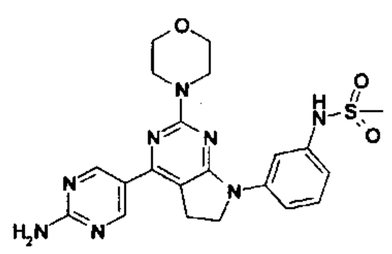
[0139]

Example 1－H－15	(H－15)	
Example 1－H－16	(H－16)	
Example 1－H－17	(H－17)	
Example 1－H－18	(H－18)	
Example 1－H－19	(H－19)	
Example 1－H－20	(H－20)	
Example 1－H－21	(H－21)	
Example 1－H－22	(H－22)	
Example 1－H－23	(H－23)	
Example 1－H－24	(H－24)	
Example 1－H－25	(H－25)	
Example 1－H－26	(H－26)	
Example 1－H－27	(H－27)	
Example 1－H－28	(H－28)	
Example 1－H－29	(H－29)	

[0140]

Example 1-H-30	(H-30)	
Example 1-H-31	(H-31)	
Example 1-H-32	(H-32)	
Example 1-H-33	(H-33)	
Example 1-H-34	(H-34)	
Example 1-H-35	(H-35)	
Example 1-H-36	(H-36)	

[0141]

Example No.	Compound No.	Structural formula
Example 1-1-01	(1-01)	

[0142]

In addition, examples of preferable compounds among the compounds of formula (I) of the present invention include the following compound numbers: A-01, A-02, A-03, A-04, A-09, A-10, A-11, A-12, A-13, A-14, A-15, A-16, A-17, A-18, A-19, A-20, A-21, A-22, A-23, A-24, A-32, A-33, A-37, A-38, A-39, A-41, A-42, A-43, A-44, A-45, A-46, A-48, A-49, A-50, A-51, A-52, A-53, B-01, B-02, B-03, B-04, B-05, B-06, B-07, B-08, B-09, B-13, B-15, B-17, B-18, B-19, B-20, B-21, B-22, B-23, B-25, B-27, B-29, B-31, B-32, B-33, B-35, B-36,

B-42, B-46, B-52, B-53, B-55, C-01, C-02, C-04, C-05, C-06, C-08, C-09, C-10, C-11, C-12, 13, C-14, C-15, C-16, C-17, C-18, C-19, C-20, C-21, C-22, C-23, C-24, C-25, C-26, C-27, C-28, C-29, C-30, C-31, C-32, C-33, C-34, C-35, C-36, C-37, C-38, C-39, C-40, C-41, C-42, C-44, C-45, C-46,C-47, C-48, C-49, C-50, C-51, C-52, C-53, C-55, C-56, C-57, D-01, D-02, D-03, D-04, D-05, D-06, D-07, D-08, D-09, D-10, D-11, D-12, D-13, D-14, D-15, D-16, D-17, D-18, D-19, D-20, D-21, D-22, D-23, D-24, D-25, D-26, D-27, D-28, D-29, D-30, D-31, D-32, D-33, D-34, D-35, D-36, D-37, D-38, D-39, D-40, D-41, D-42, D-43, D-44, D-45, D-46, D-47, D-48, D-49, D-50, D-51, D-52, D-53, D-54, D-55, D-56, D-57, D-58, D-59, D-60, D-61, D-62, D-63, D-64, D-65, D-66, D-67, D-68, D-69, D-70, D-71, D-72, D-73, D-74, D-75, D-76, D-77, D-78, D-79, D-80, D-81, D-82, D-83, D-84, D-85, D-86, D-87, D-88, D-89, D-90, D-91, D-92, D-93, D-94, D-95, D-96, D-97, D-98, D-99, D-100, D-101, D-102, D-103, D-104, D-105, D-106, D-107, D-108, D-109, D-110, D-111, D-112, D-113, D-114, D-115, D-116, D-117, D-118, D-119, D-120, D-121, D-122, D-123, D-124, D-125, D-126, D-127, D-128, D-129, D-130, D-131, D-132, D-133, D-134, D-135, D-136, D-137, D-138, D-139, D-140, D-141, D-142, D-143, D-144, D-145, D-146, D-147, D-148, D-149, D-150, D-151, D-152, D-153, D-154, D-155, D-156, D-157, D-158, D-159, D-160, D-161, D-162, D-163, D-164, D-165, D-166, D-167, D-168, D-169, D-170, D-171, D-172, D-173, D-174, D-175, D-176, D-177, D-178, D-179, D-180, D-181, D-182, D-183, D-184, D-185, D-186, D-187, D-188, D-189, D-190, D-191, D-192, D-193, D-194, D-195, D-196, D-197, D-198, D-199, D-200, D-201, D-202, D-203, D-204, D-205, D-206, D-207, D-208, D-209, D-210, D-211, D-212, D-213, D-214, D-215, D-216, D-217, D-218, D-219, D-220, D-221, D-222, D-223, D-224, D-225, D-226, D-227, D-228, D-229, D-230, D-231, D-232, D-233, D-234, D-235, D-236, D-237, D-

238, D-239, D-240, D-241, D-242, D-243, D-244, D-245, D-246, D-247, D-248, D-249, D-250, D-251, D-252, D-253, D-254, D-255, D-256, D-257, D-258, D-259, D-260, D-261, D-262, D-263, D-264, D-265, D-266, D-267, D-268, D-269, D-270, D-271, D-272, D-273, D-274, D-275, D-276, D-277, D-278, D-279, D-280, D-281, D-282, D-283, D-284, D-285, D-286, D-287, D-288, D-289, D-290, D-291, D-292, D-293, D-294, D-295, D-296, D-297, D-298, D-299, D-300, D-301, D-302, D-303, D-304, D-305, D-306, D-307, D-308, D-309, D-310, D-311, D-312, D-313, D-314, D-315, D-316, D-317, D-318, D-319, D-320, D-321, D-322, D-323, D-324, D-325, D-326, D-327, D-328, D-329, D-330, D-332, D-333, D-334, D-335, E-01, E-02, E-03, E-04, E-05, E-07, F-01, G-01, G-03, G-05, G-06, G-07, G-08, G-09, G-10, G-11, G-12, G-13, G-27, G-28, G-29, G-40, G-42, G-43, G-44, G-45, G-47, G-48, G-49, G-50, G-51, G-52, G-53, G-54, G-55, G-56, G-57, G-58, G-59, G-60, G-61, G-62, G-63, G-64, G-65, G-66, G-67, G-68, G-69, G-70, G-71, G-72, G-73, G-74, G-75, G-76, G-77, G-78, G-80, G-81, G-82, G-83, G-84, G-85, G-87, G-89, G-91, G-92, G-93, G-94, H-02, H-03, H-04, H-05, H-06, H-07, H-08, H-09, H-10, H-11, H-12, H-13, H-14, H-15, H-16, H-17, H-18, H-19, H-20, H-21, H-22, H-23, H-24, H-25, H-26, H-27, H-28, H-29, H-30, H-31, H-32, H-33, H-34, H-35, H-36, I-01.

[0143]

In addition, examples of more preferable compounds among the compounds of formula (I) of the present invention include the following compound numbers: A-01, A-03, A-09, A-10, A-11, A-13, A-14, A-16, A-17, A-18, A-19, A-20, A-32, A-33, A-41, A-42, A-43, A-44, A-45, A-46, A-48, A-49, A-50, A-51, A-52, A-53, B-01, B-02, B-03, B-04, B-05, B-08, B-09, B-18, B-22, B-23, B-25, B-27, B-29, B-32, B-33, B-35, B-36, B-52, B-53, B-55, C-01, C-02, C-04, C-05, C-06, C-09, C-10, C-11, C-12, C-29, C-30, C-32, C-33, C-34, C-35, C-36, C-37,

C-38, C-39, C-40, C-41, C-42, C-44, C-45, C-46, C-47, C-48, C-49, C-50, C-51, C-55, C-56, C-57, D-01, D-02, D-03, D-04, D-05, D-06, D-07, D-08, D-09, D-10, D-11, D-12, D-13, D-14, D-15, D-16, D-17, D-18, D-19, D-20, D-21, D-22, D-23, D-24, D-25, D-26, D-27, D-28, D-29, D-30, D-31, D-32, D-33, D-34, D-35, D-36, D-37, D-38, D-39, D-40, D-41, D-42, D-43, D-44, D-45, D-46, D-47, D-48, D-49, D-50, D-51, D-52, D-53, D-54, D-55, D-56, D-57, D-58, D-59, D-60, D-61, D-62, D-63, D-64, D-65, D-66, D-67, D-68, D-69, D-70, D-71, D-72, D-73, D-74, D-75, D-76, D-77, D-78, D-79, D-80, D-81, D-82, D-83, D-84, D-85, D-86, D-87, D-88, D-89, D-90, D-91, D-92, D-93, D-94, D-95, D-96, D-97, D-98, D-99, D-100, D-101, D-102, D-103, D-104, D-105, D-106, D-107, D-108, D-109, D-110, D-111, D-112, D-113, D-114, D-115, D-116, D-117, D-118, D-119, D-120, D-121, D-122, D-123, D-124, D-125, D-126, D-127, D-128, D-129, D-130, D-131, D-132, D-133, D-134, D-135, D-136, D-137, D-138, D-139, D-140, D-141, D-142, D-143, D-144, D-145, D-146, D-147, D-148, D-149, D-150, D-151, D-152, D-153, D-154, D-155, D-156, D-157, D-158, D-159, D-160, D-161, D-162, D-163, D-164, D-165, D-166, D-167, D-168, D-169, D-170, D-171, D-172, D-173, D-174, D-175, D-176, D-177, D-178, D-179, D-180, D-181, D-182, D-183, D-184, D-185, D-186, D-187, D-188, D-189, D-190, D-191, D-192, D-193, D-194, D-195, D-196, D-197, D-198, D-199, D-200, D-201, D-202, D-203, D-204, D-205, D-206, D-207, D-208, D-209, D-210, D-211, D-212, D-213, D-214, D-215, D-216, D-217, D-218, D-219, D-220, D-221, D-222, D-223, D-224, D-225, D-226, D-227, D-228, D-229, D-230, D-231, D-232, D-233, D-234, D-235, D-236, D-237, D-238, D-239, D-240, D-241, D-242, D-243, D-244, D-245, D-246, D-247, D-248, D-249, D-250, D-251, D-252, D-253, D-254, D-255, D-256, D-257, D-258, D-259, D-260, D-261, D-262, D-263, D-264, D-265, D-266, D-267, D-268, D-269, D-270, D-271, D-

272, D-273, D-274, D-275, D-276, D-277, D-278, D-279, D-280, D-281, D-282, D-283, D-284, D-285, D-286, D-287, D-288, D-289, D-290, D-291, D-292, D-293, D-294, D-295, D-296, D-297, D-298, D-299, D-300, D-301, D-302, D-303, D-304, D-305, D-306, D-307, D-308, D-309, D-310, D-311, D-312, D-313, D-314, D-315, D-316, D-317, D-318, D-319, D-320, D-321, D-322, D-323, D-324, D-325, D-326, D-327, D-328, D-329, D-330, D-332, D-333, D-334, D-335, G-05, G-07, G-08, G-09, G-10, G-11, G-27, G-49, G-51, G-59, G-67, G-75, G-77, H-02, H-03, H-04, H-05, H-06, H-07, H-08, H-09, H-10, H-11, H-12, H-13, H-14, H-15, H-16, H-17, H-18, H-20, H-21, H-22, H-23, H-24, H-25, H-26, H-27, H-29, H-30, H-31, H-32, H-33, H-34, H-35, H-36, I-01.

[0144]

Moreover, examples of particularly preferable compounds include the following compound numbers: A-09, A-14, A-32, A-44, A-48, B-02, B-03, B-09, B-22, B-32, B-35, B-55, C-55, D-01, D-02, D-03, D-16, D-17, D-18, D-19, D-20, D-21, D-22, D-23, D-24, D-25, D-26, D-42, D-95, D-101, D-102, D-103, D-104, D-108, D-128, D-137, D-138, D-139, D-172, D-223, D-231, D-237, D-242, D-264, D-265, D-273, D-286, D-290, D-307, D-318, D-325, D-326, D-327, D-328, D-329, D-330, D-332, D-333, D-334, G-05, G-27, H-12, H-32, K-34.

[0145]

Although stereoisomers and tautomers may exist for the compound of the present invention depending on the type of substituents, isolates or mixtures of these isomers are included in the present invention.

[0146]

Stereoisomers include, for example, enantiomers, diastereomers and cis- and trans- geometrical isomers. In addition, racemic forms and the other mixtures thereof are

included in these isomers. In particular, the compound of the formula (I) includes stereoisomers in the present invention.

[0147]

In addition, several tautomeric forms such as enol and imine forms, keto and enamine forms and mixtures thereof may exist for the compound of the present invention and a pharmaceutically acceptable salt thereof. Tautomers are present in solution as a mixture of tautomer set. In solid forms, one of the tautomers is usually dominant. Although one of the tautomers may be described, all tautomers of the compound of the present invention are included in the present invention.

[0148]

Moreover, atropisomers of the present invention are also included in the present invention. Atropisomers refer to Compound I represented by the formula (I) capable of being separated into isomers having limited rotation.

[0149]

In addition, the compound as claimed in the present invention, whether it be in a free form or in the form of a pharmaceutically acceptable salt, is included in the present invention. There are no particular limitations on this "salt" provided it forms a salt with the compound represented by formula (I) as claimed in the present invention (also referred to as Compound I) and is a pharmaceutically acceptable salt, and examples thereof include an acid salt formed by Compound I of the present invention and an acid, and a basic salt formed by Compound I of the present invention an a base.

[0150]

The acid used to prepare a pharmaceutically acceptable acid salt of Compound I of the present invention

is preferably that which reacts with Compound I of the present invention and forms a non-toxic acid salt. Examples of acid salts include hydrochlorides, hydrobromides, hydroiodides, nitrates, sulfates, bisulfates, phosphates, acid phosphates, acetates, lactates, citrates, acid citrates, tartrates, bitartrates, succinates, oxalates, malates, fumarates, gluconates, malonates, saccharates, benzoates, mandelates, salicylates, trifluoroacetates, propionates, glutarates, methane sulfonates, ethane sulfonates, benzene sulfonates, p-toluene sulfonates and 1,1'-methylene-bis-2-hydroxy-3-naphthoates.

[0151]

The base used to prepare a pharmaceutically acceptable basic salt of Compound I of the present invention is preferably that which reacts with Compound I of the present invention and forms a non-toxic basic salt. Examples of basic salts include alkaline metal salts such as sodium salts and potassium salts, alkaline earth metal salts such as calcium salts and magnesium salts, ammonium salts, water-soluble amine addition salts such as N-methylglucamine salts, a lower alkanol ammonium salts, and salts derived from other pharmaceutically acceptable bases of organic amines.

[0152]

In addition, since Compound I of the present invention may absorb moisture, become adhered with moisture and form a hydrate if allowed to stand in air, such salts are included in the present invention as salts of Compound I.

[0153]

Moreover, although Compound I of the present invention may also absorb some types of solvents resulting

in the formation of a solvate, such salts are also included in the present invention as salts of Compound I.

[0154]

Typical Process for Producing Compound of Formula (I)

Although the compound of the present invention represented by formula (I) can be produced according to ordinary organic synthesis means such as the process indicated below, the production process of compounds represented by formula (I) of the present invention is not limited thereto. Furthermore, in the production process indicated below, in the case defined groups are subjected to undesirable chemical conversion under the conditions of the process used, production can be carried out by using a means such as protection and deprotection of functional groups unless specifically stated otherwise in the description. An example of a procedure for selecting as well as attaching and removing protecting groups is the method described in Greene and Wuts, "Protective Groups in Organic Synthesis" (3rd edition, Wiley-VCH, Inc., 1999), and these methods may be suitably used depending on the reaction conditions. In addition, the order of the reaction steps, such as the introduction of substituents, can be changed as necessary. In addition, in the production process described below, a desired product can be obtained by carrying a functional group modification reaction at a suitable stage in a series of reaction steps after having carried out the reaction with a raw material having a functional group serving as a precursor. The functional group modification reaction can be carried out by the method described in, for example, Smith and March, "March's Advanced Organic Chemistry" (5th edition, Wiley-VCH, Inc., 2001) or Richard C. Larock, "Comprehensive Organic Transformations" (VCH Publishers, Inc., 1989).

Commercially available products may be used for the raw material compounds used during production, or the raw material compounds may also be produced in accordance with ordinary methods as necessary.

[0155]

Furthermore, in the following production process and explanation thereof, R^1' refers to the previously defined R^1 or R^1 protected with a protecting group. Specific examples of R^1 protected with a protecting group include cyclic substituents in which -COOH, -OH, $-CONH_2$, -CONRH or a primary or secondary amino group, contained in substituents -Cyc, $-C_{1-6}$ alkylene-OR, $-C_{1-6}$ alkylene-NRR', $-C_{1-6}$ alkylene-CONRR', $-C_{1-6}$ alkylene-NRCOR', $-C_{1-6}$ alkylene-Cyc, -OR, $-O-C_{1-6}$ alkylene-Cyc, -O-COOR, -O-COR, -O-CONRR', -NRR', $-NR-C_{1-6}$ alkylene-NR'R", $-NR-C_{1-6}$ alkylene-OR', $-CO-C_{1-6}$ alkylene-Cyc, -COOR, $-COO-C_{1-6}$ alkylene-OR, $-COO-C_{1-6}$ alkylene-NRR', $-COO-C_{1-6}$ alkylene-Cyc, -CONRR', $-CONR-C_{1-6}$ alkylene-OR', $-CONR-C_{1-6}$ alkylene-NR'R", $-CONR-C_{1-6}$ alkylene-CONR'R", -CONR-Cyc, $-CONR-C_{1-6}$ alkylene-Cyc, $-SO_2NRR'$, $-NRSO_2R'$ and $-NH-NH_2$ (where R, R', R" and Cyc are the same as previously defined) among substituent T, is protected by a protecting group.

In addition, X', Y' and Z' either have the same meanings as the X, Y and Z defined in general formula (I), or indicate X, Y and Z protected with a protecting group depending on the case. In addition, L refers to a leaving group, and represents, for example, a halogen atom (preferably, chlorine, bromine, iodine atom) a sulfonyloxy leaving group such as a -methanesulfonyloxy, -trifluoromethanesulfonyloxy or -p-toluenesulfonyloxy, a C_{1-4} alkoxy group such as methoxy, ethoxy or t-butoxy, a C_{1-4} alkylcarbonyloxy group such as acetyloxy, propionyloxy or t-butylcarbonyloxy, or a C_{1-4} alkoxycarbonyloxy group such

as methoxycarbonyloxy, ethoxycarbonyloxy or t-butoxycarbonyloxy (-O-Boc). In addition, Hal represents a halogen atom, examples of which include a chlorine atom, bromine atom and iodine atom, with a chlorine atom being preferable. In addition, PG represents a benzyl protecting group such as 2,4-dimethoxybenzyl or 4-methoxybenzyl, while PG_2 represents a protecting group of, for example, a C_1-C_6 alkylcarbonyl group such as an acetyl group, a C_1-C_6 alkoxycarbonyl group such as a t-butoxycarbonyl group, an aryl C_1-C_6 alkoxycarbonyl group such as a benzyloxycarbonyl group, or a C_1-C_6 alkylsilyl group such as a t-butyldimethylsilyl group.

[0156]

In addition, acylation refers to a reaction in which a desired substituent is added or substituted to a specific position through a carbonyl group.

[0157]

In addition, compounds represented by general formula (I) described in the following reaction steps are compounds of the present invention represented by general formula (I) or said compounds in which substituents are protected with suitable protecting groups. Among the compounds represented by general formula (I), said compounds protected with a protecting group allow compounds of the present invention represented by general formula (I) to be obtained by suitably going through a deprotection step in accordance with ordinary methods. In addition, protection steps and deprotection steps in accordance with ordinary methods are suitably included in the following reaction steps.

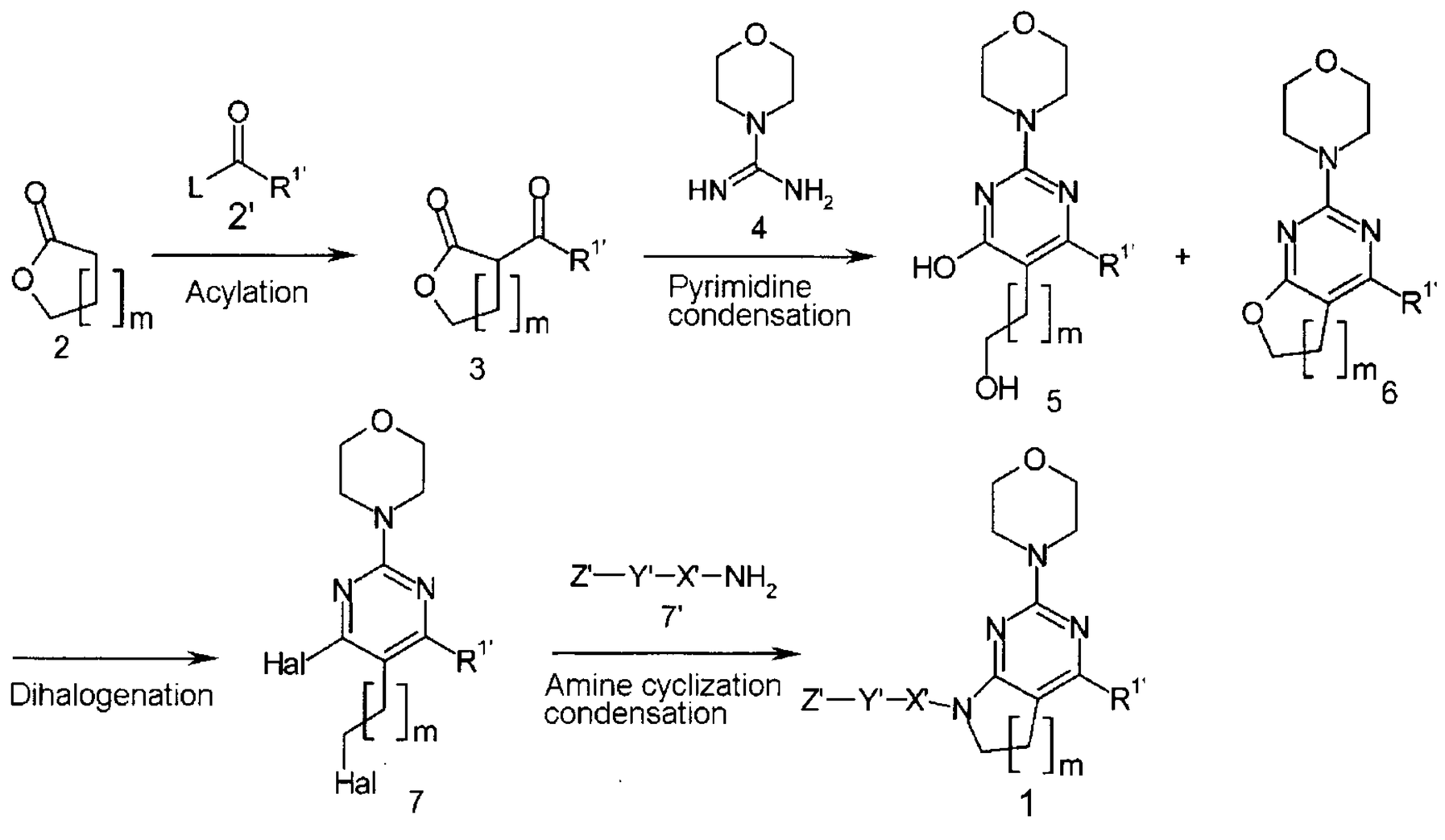
[0158]

In addition, T, n, m, X, Y, R^1 and R^1a are the same as in previously defined formula (I).

[0159]

[Typical Synthesis Method of Compound of Formula (I)]

Reaction Step 1A



In the formulae, L is a leaving group, preferably a halogen atom, C_{1-4} alkoxy group or C_{1-4} alkylcarbonyloxy group, and more preferably a chlorine atom, methoxy group or methylcarbonyloxy group. In addition, Hal, X', Y', Z', m and $R^{1'}$ are the same as previously defined.

[0160]

The present production process converts a pyrimidine derivative 5 or 6, obtained by condensing a 3-acyl-(γ or δ)-lactone derivative 3 and a guanidine derivative 4 (e.g., Lancaster Inc.) to a dihalogenated form 7 followed by cyclization and condensation with a primary amine to obtain Compound 1 of the present invention.

[0161]

3-acyl-(γ or δ)-lactone derivative 3 can be easily prepared by acylating a commercially available (γ or δ)-lactone 2 using a known method (T. Miyadera, et al., Chem. Pharm. Bull. Jpn., Vol. 12, pp. 1344, 1964; K. Zbigniew, et

al., J. Org. Chem., Vol. 52, pp. 4601, 1987; P.M. Pihko, et al., Synlett., Vol. 12, pp. 2115, 2004). Namely, a compound represented by formula 3 can be produced by reacting (γ or δ)-lactone 2 with an acylation agent 2' (such as carboxylic acid chloride, carboxylic acid ester or carboxylic acid anhydride) having a desired group R^{1}' in a suitable solvent (such as tetrahydrofuran, dioxane, diethyl ether, dimethoxyethane, toluene or benzene, and preferably tetrahydrofuran, diethyl ether, toluene or benzene) in the presence of a suitable base (such as sodium methoxide, sodium ethoxide, potassium hydride, sodium hydride, potassium bis-trimethylsilylamide, sodium metal, sodium bis-trimethylsilylamide, lithium diisopropylamide or lithium bis-trimethylsilylamide, and preferably lithium diisopropylamide, lithium bis-trimethylsilylamide, sodium methoxide or sodium metal) and at a suitable temperature (although varying according to the types of solvent and base and the like, the reaction temperature is normally from -78°C to room temperature and preferably -78 to 0°C). Although varying according to the reaction temperature and the like, the reaction time is normally 1 minute to 24 hours and preferably 30 minutes to 5 hours.

[0162]

Conversion from 3 obtained in the manner described above to pyrimidine derivative 5 or 6 can be carried out using a known aminic compound in the form of guanidine derivative 4 in compliance with a known condensation reaction (M. Samimi, et al., Tetrahedron Lett., Vol. 13, pp. 3457, 1972; A. Gangjee, et al., J. Med. Chem., Vol. 43, pp. 3837, 2000). Namely, a compound represented by formula 5 and formula 6 can be produced by reacting a compound represented by formula 3 with guanidine derivative 4 (including inorganic or organic acid salts thereof) in a

suitable solvent (such as methanol, ethanol, t-butanol, tetrahydrofuran, dioxane, dimethoxyethanol, diethyl ether, dimethoxyethane, dimethylformamide, dimethylacetamide, dimethylsulfoxide, acetonitrile, toluene or benzene, and preferably methanol, ethanol, t-butanol, tetrahydrofuran, dimethoxyethanol or 1,4-dioxane) and in the presence of a suitable base (such as sodium hydroxide, potassium hydroxide, sodium methoxide, sodium ethoxide, potassium methoxide, potassium ethoxide, potassium t-butoxide, potassium hydride, sodium hydride, potassium bis-trimethylsilylamide, sodium bis-trimethylsilylamide, sodium metal, lithium bis-trimethylsilylamide, lithium diisopropylamide or triethylamine, and preferably sodium methoxide, sodium ethoxide, potassium methoxide, potassium ethoxide, potassium t-butoxide or triethylamine) and at a suitable temperature (although varying according to the types of solvent and base and the like, the reaction temperature is normally room temperature to 150°C and preferably room temperature to 120°C). The reaction mixture may be irradiated with microwaves to accelerate the reaction.

[0163]

A compound represented by formula 7 can be produced by dihalogenating (and preferably dichlorinating) a pyrimidine derivative represented by formula 5 or 6, or a mixture thereof, according to a known method (A. Gangjee, et al., J. Med. Chem., Vol. 43, pp. 3837, 2000; P. Rajamanickam, et al., Indian J. Chem., Section B, Vol. 26B, pp. 910, 1987). Namely, a compound represented by formula 7 can be produced by reacting a pyrimidine derivative represented by formula 5 or 6 or a mixture thereof with a suitable halogenation agent (such as phosphorous oxychloride, thionyl chloride or Vilsmeier's reagent, and

preferably phosphorous oxychloride or Vilsmeier's reagent) in a suitable solvent (such as dimethylsulfoxide, dichloromethane, tetrahydrofuran, dioxane, diethyl ether, dimethoxyethane, dimethylformamide, dimethylacetamide, dimethylsulfoxide, acetone, acetonitrile, toluene, benzene or nitrobenzene, and preferably dimethylformamide or dichloromethane) or in the absence of solvent, and at a suitable temperature (although varying according to the types of solvent and base and the like, the reaction temperature is, for example, room temperature to 150°C and preferably room temperature to 120°C). In addition, although varying according to the reaction temperature and the like, the reaction time is normally 30 minutes to 200 hours and preferably 5 to 100 hours. The reaction mixture may be irradiated with microwaves to accelerate the reaction.

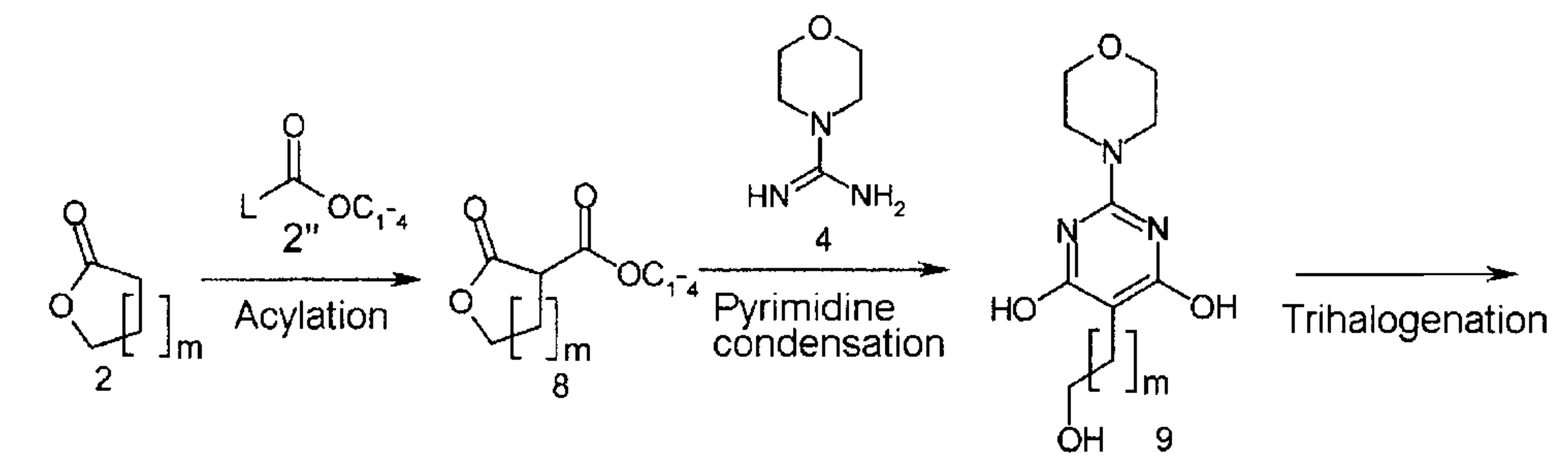
[0164]

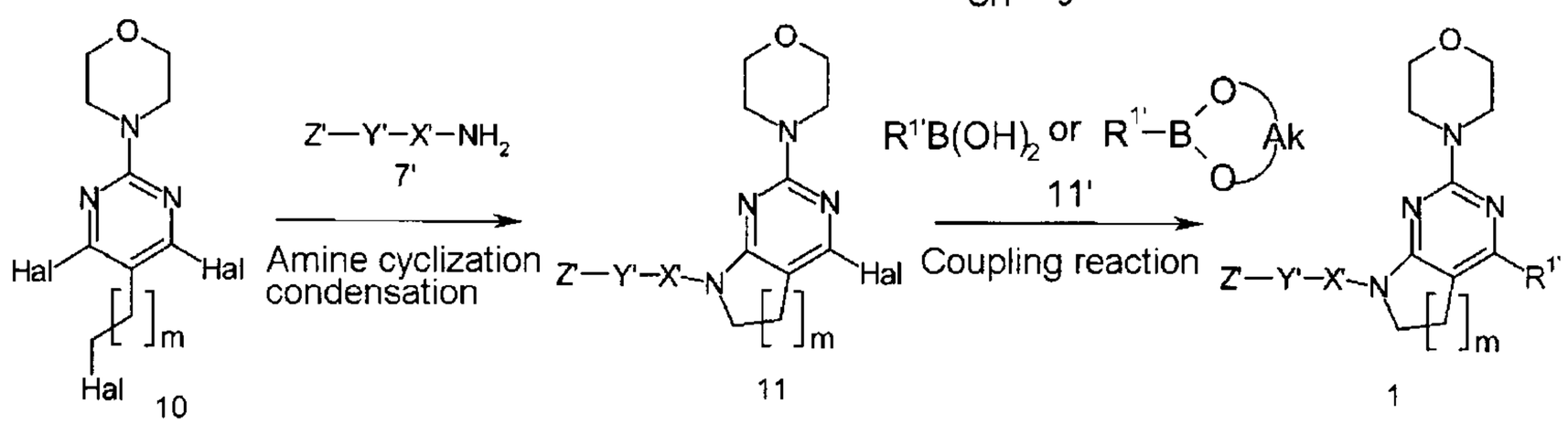
A compound represented by formula 1 can be obtained by a known condensation reaction (A. Gangjee, et al., J. Med. Chem., Vol. 43, pp. 3837, 2000; C.A. Leach, et al., J. Med. Chem., Vol. 35, pp. 1845, 1992) of a compound represented by formula 7 with a suitable primary amine derivative 7' having desired groups -X'-Y'-Z' acquired commercially or synthesized. Namely, a compound represented by formula 1 can be produced by reacting a compound represented by formula 7 with a suitable primary amine derivative 7' having desired groups in a suitable solvent (such as tetrahydrofuran, dioxane, diethyl ether, dimethoxyethane, dimethylformamide, dimethylacetamide, dimethylsulfoxide, acetone, acetonitrile, toluene or benzene, and preferably toluene, 1,4-dioxane, or dimethoxyethane) in the presence of a suitable palladium catalyst (such as $PdCl_2$, $Pd(OAc)_2$, $Pd(OH)_2$, Pd_2dba_3,

$PdCl_2[P(o\text{-}tol)_3]_2$, $Pd(O_2CCF_3)_2$, palladium carbon or palladium black, and preferably $PdCl_2$, $Pd(OAc)_2$, Pd_2dba_3, $PdCl_2[P(o\text{-}tol)_3]_2$ or $Pd(O_2CCF_3)_2$), a ligand (such as PPh_3, $P(o\text{-}tol)_3$, $P(t\text{-}Bu)_3$, dppf, BINAP, 2',6'-dimethoxy-2-(dicyclohexylphosphino)biphenyl (S-Phos), 2-dicyclohexylphosphino-2',4',6'-triisopropylbiphenyl (X-Phos), 4,5-bis(diphenylphosphino)-9,9-dimethylxanthene (Xantphos) or 1,3-bis(2,6-diisopropylphenyl)imidazole-2-ylidene), and a suitable base (such as sodium hydroxide, potassium hydroxide, sodium methoxide, sodium ethoxide, sodium t-butoxide, potassium t-butoxide, potassium bis-trimethylsilylamide, sodium bis-trimethylsilylamide, sodium metal, lithium bis-trimethylsilylamide, lithium diisopropylamide, cesium carbonate or potassium phosphate, and preferably cesium carbonate, sodium hydroxide, sodium t-butoxide, potassium phosphate or lithium bis-trimethylsilylamide). Although varying according to the types of solvent and base and the like, the reaction temperature is, for example, room temperature to 160°C and preferably 100 to 160°C. In addition, although varying according to the reaction temperature and the like, the reaction time is normally 30 minutes to 10 hours and preferably 30 minutes to 5 hours. The reaction mixture may be irradiated with microwaves to accelerate the reaction.

[0165]

Reaction Step 1B





[0166]

In the formulae, $-OC_{1-4}$ represents $-C_{1-4}$ alkyloxy (and preferably -methoxy), -Ak- represents a linear or branched alkylene chain composed of 1 to 6 carbon atoms (and preferably -(1,1,2,2-trimethyl-ethylene)-), and L, m, Hal, X', Y', Z' and $R^{1'}$ are as previously defined.

[0167]

The present production process is a process for obtaining compound 1 of the present invention by converting a trihydroxy derivative 9, obtained by condensing a 3-C_{1-4} alkoxycarbonyl-(γ or δ)-lactone 8 and guanidine derivative 4, to a trihalogen form (and preferably a trichloro form) 10, followed by carrying out a cyclization condensation reaction with a primary amine 7' having a desired group and a coupling reaction with a boronic acid derivative 11'.

[0168]

The 3-C_{1-4} alkoxycarbonyl-(γ or δ)-lactone 8 can be produced by reacting with a suitable acylation agent (acylation agent 2" having a $-C_{1-4}$ alkyloxy group instead of $-R^{1'}$ in acylation agent 2' in reaction step 1A (and at this time, L is preferably a chlorine atom, -methoxy or -

methylcarbonyloxy), such as methyl chloroformate or dimethyl carbonate, can be used) in compliance with the method for obtaining 3 from 2 in reaction step 1A.

[0169]

Conversion from the resulting 8 to the pyrimidine derivative 9 can be carried out by a condensation reaction with guanidine derivative 4 in compliance with the method for obtaining compound 5 or compound 6 from compound 3 of reaction step 1A (D.L. Dunn, et al., J. Org. Chem., Vol. 40, pp. 3713, 1975; K. Burdeska, et al., Helv. Chim. Acta., Vol. 64, pp. 113, 1981; P. Wang, et al., Huaxue Xuebao, Vol. 42, pp. 722, 1984). Namely, a compound represented by formula 9 can be produced by reacting a compound represented by formula 8 with guanidine derivative 4 (such as a guanidine derivative or inorganic acid salt or organic acid salt thereof) in a suitable solvent (such as methanol, ethanol, t-butanol, tetrahydrofuran, dimethoxyethanol or 1,4-dioxane) and in the presence of a suitable base (such as sodium methoxide, sodium ethoxide, potassium methoxide, potassium ethoxide, potassium t-butoxide or triethylamine) at a suitable temperature (from room temperature to the solvent boiling point).

[0170]

A trihalogen form 10 represented by formula 10 can be produced in compliance with the reaction step for converting compound 5 or compound 6, or a mixture of compound 5 and compound 6, to 7 in reaction step 1A. Namely, a compound represented by formula 10 can be obtained by halogenating a compound represented by formula 9 in a suitable solvent (such as dimethylformamide or dichloromethane) or in the absence of solvent with a suitable halogenation agent (such as phosphorous oxychloride or thionyl chloride) at a suitable temperature

(such as from room temperature to the solvent or reagent boiling point) (A. Gangjee, et al., J. Med. Chem., Vol. 43, pp. 3837, 2000; P. Rajamanickam, et al., Indian J. Chem., Section B, Vol. 26B, pp. 910, 1987).

[0171]

A compound represented by formula 11 can be obtained by a condensation reaction with a compound represented by formula 10 and a primary amine 7' having the desired group -X'-Y'-Z' in compliance with the reaction step from compound 7 to compound 1 in reaction step 1A (A. Gangjee, et al., J. Med. Chem., Vol. 43, pp. 3837, 2000; C.A. Leach, et al., J. Med. Chem., Vol. 35, pp. 1845, 1992). Namely, a compound represented by formula 11 can be produced by reacting a compound represented by formula 10 with the primary amine 7' in a suitable solvent (such as toluene, 1,4-dioxane or dimethoxyethane), in the presence of a suitable palladium catalyst (such as $PdCl_2$, $Pd(OAc)_2$, Pd_2dba_3, $PdCl_2[P(o\text{-}tol)_3]_2$ or $Pd(O_2CCF_3)_2$), ligand (such as PPh_3, $P(o\text{-}tol)_3$, $P(t\text{-}Bu)_3$, dppf, BINAP, or 2',6'-dimethoxy-2-(dicyclohexylphosphino)biphenyl (S-Phos)), and a suitable base (such as cesium carbonate, sodium hydroxide, potassium t-butoxide, sodium hydride, potassium phosphate or lithium bis-trimethylsilylamide ($LiN(TMS)_2$) at a suitable temperature (room temperature to the solvent/reagent boiling point).

[0172]

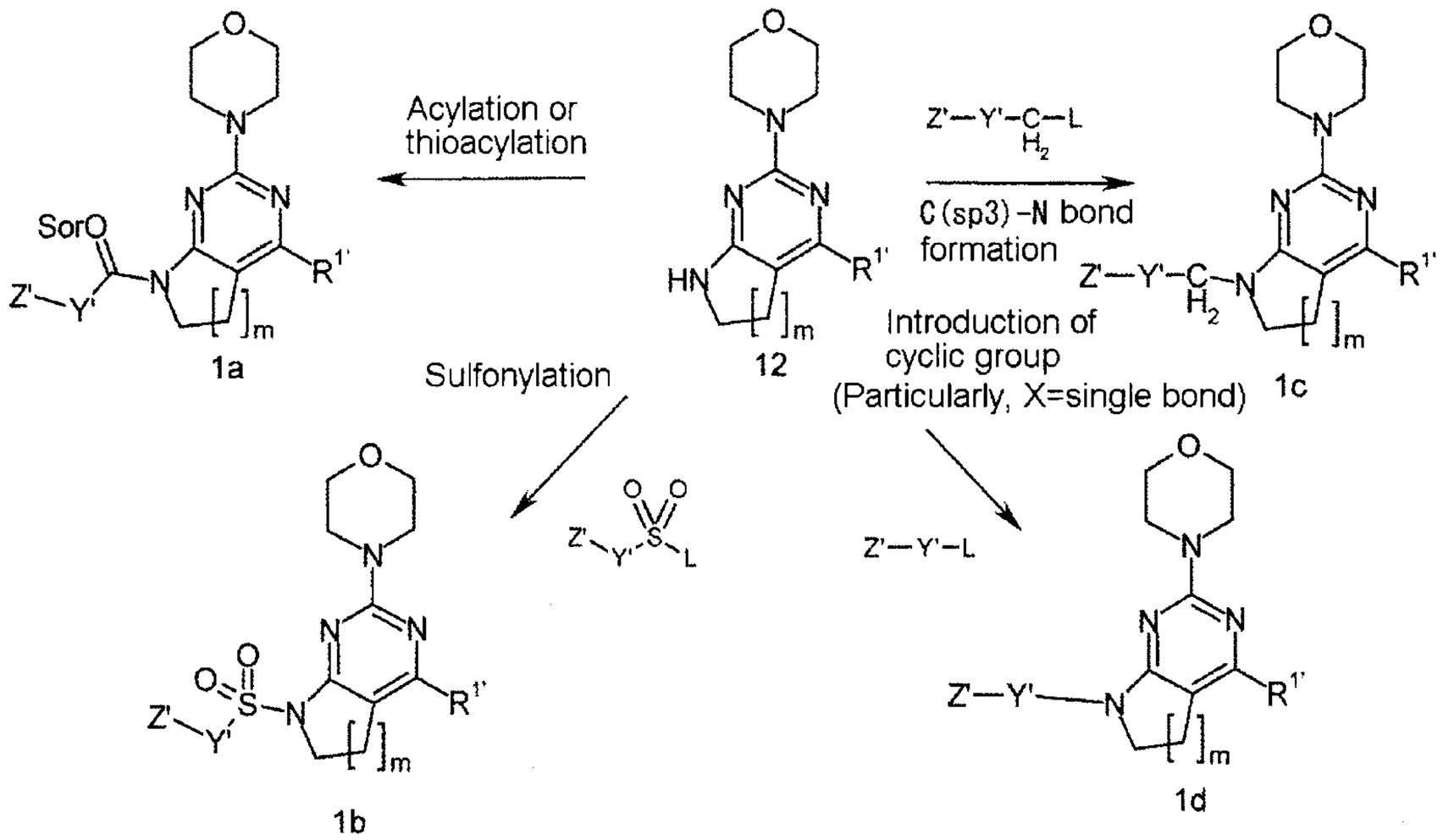
Furthermore, a compound represented by formula 11 can also be synthesized by carrying out a similar reaction in the absence of palladium catalyst and ligand in the reaction described above (E. Bisagni, et al., J. Org. Chem., Vol. 47, pp. 1500, 1982).

[0173]

A compound represented by formula 1 can be obtained

using a known condensation reaction between a compound represented by formula 11 and a boronic acid derivative having a desired group $R^{1'}$ represented by formula 11' (M. Havelkova, et at., Synlett., pp. 1145, 1999; G. Luo, et al., Tetrahedron Lett., Vol. 43, pp. 5739, 2002). Namely, in the reaction with boronic acid derivative 11', a compound represented by formula 1 can be produced by reacting a compound represented by formula 11 with the boronic acid derivative 11' (such as optionally substituted phenylboronic acid, optionally substituted heteroarylboronic acid or boronic acid ester such as arylboronic acid pinacol ester) in a suitable solvent (such as toluene, tetrahydrofuran, 1,4-dioxane or dimethoxyethane) and in the presence of a suitable palladium catalyst (such as $PdCl_2$, $Pd(OAc)_2$, Pd_2dba_3, $PdCl_2[P(o\text{-}tol)_3]_2$ or $Pd(O_2CCF_3)_2$), ligand (such as PPh_3, $P(o\text{-}tol)_3$, $P(t\text{-}Bu)_3$, dppf, BINAP, 2',6'-dimethoxy-2-(dicyclohexylphosphino)biphenyl (S-Phos), 1,3-bis(2,6-diisopropylphenyl)imidazol-2-ylidene)), and a suitable base (such as cesium carbonate, sodium hydroxide, potassium t-butoxide, potassium phosphate or lithium bis-trimethylsilylamide ($LiN(TMS)_2$) at a suitable temperature (0 to 110°C and preferably 25 to 110°C). In addition, a compound represented by formula 1 can also be produced by using a aryl zinc compound prepared with a known method instead of boronic acid (Metal-Catalyzed Cross-Coupling Reactions, 2nd ed., 2004, Vol. 2, pp. 815).

[0174]



[0175]

In the formulae, L, Y', Z', m and $R^{1'}$ are the same as previously defined. In addition, a "cyclic group" here refers to a desired cyclic group selected from the group of linking groups previously defined for Y.

[0176]

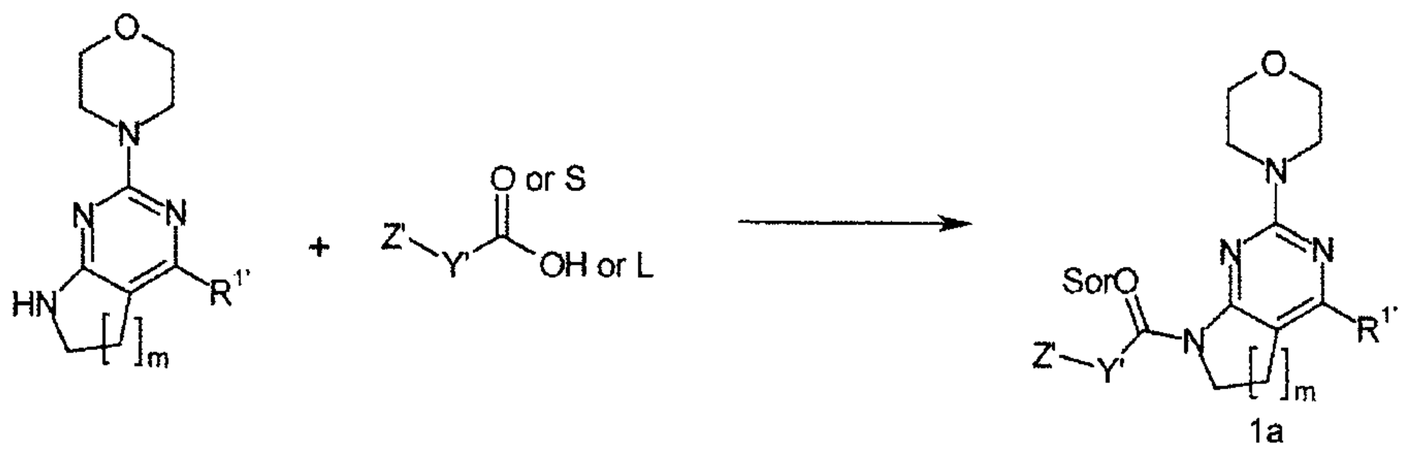
The present production process is a typical production process for producing various variations of general formula (I) having groups represented by Z'-Y'-CO-, Z'-Y'-CS-, Z'-Y'-SO_2-, Z'-Y'-CH_2- or Z'-Y'- (at this time X' is a single bond) for the aforementioned groups Z'-Y'-X'-. Namely, this process allows the obtaining of compounds 1a to 1d by subjecting an amino compound represented by formula 12 able to be produced in a reaction step 3C to be described later to acylation, thioacylation, sulfonylation, C(sp3)-N bond formation reaction or cyclic group introduction reaction using known methods.

[0177]

Production of Compounds Represented by Formula 1a (Part 1)

A compound represented by formula 1a can be easily prepared by acylating or thioacylating a compound

represented by formula 12 (which can be prepared in reaction step 3C to be described later) by a known method (acylation reaction in the presence of a carboxylic halide, carboxylic anhydride or condensation agent (acid halide method, mixed acid anhydride method or condensation method)) (Reference: Experimental Chemistry Course, 4th ed. (Maruzen), Vol. 22, pp. 137; Tetrahedron, Vol. 57, pp. 1551, 2001).



In the formulae, Y', Z', m and $R^{1'}$ are the same as previously defined, the L referred to here represents a leaving group (to be described in detail later), preferably represents a halogen atom, $-C_{1-4}$ alkoxy or $-C_{1-4}$ alkylcarbonyloxy, and more preferably a chlorine atom, -methoxy or -methylcarbonyloxy.

[0178]

This reaction is achieved by reacting a compound represented by formula 12 with a carboxylic acid having a desired Z'-Y'- or a reactive derivative of said carboxylic acid (acid halide, mixed acid anhydride or active ester) in the step for producing compound 1a.

[0179]

This reaction is carried out by, for example, an acid halide method, mixed acid anhydride method, active ester method or condensation method.

[0180]

The acid halide method is achieved by producing an acid halide (L is a halogen atom and preferably a chlorine

atom in the aforementioned formula Z'-Y'-CO-L or Z'-Y'-CS-L) by reacting a carboxylic acid (Z'-Y'-COOH), thiocarboxylic acid (Z'-Y'-CSOH) or dithiocarboxylic acid (Z'-Y'-CSSH) and the like having a desired Z'-Y'- with a halogenation agent (such as thionyl chloride, oxalic chloride or phosphorous pentachloride) in an inert solvent and then reacting this acid halide with a compound represented by formula 12 in an inert solvent. The reaction may be carried out in the presence of base at this time.

[0181]

Examples of inert solvents used include dichloromethane, tetrahydrofuran, dioxane, diethyl ether, dimethoxyethane, acetone, acetonitrile, dimethylformamide, dimethylacetamide, dimethylsulfoxide, toluene and benzene, while preferable examples include dichloromethane, tetrahydrofuran, dimethoxyethane, dimethylformamide and acetonitrile.

[0182]

Examples of bases used include triethylamine, diisopropylethylamine, pyridine, dimethylaminopyridine, potassium hydride, sodium hydride, potassium bis-trimethylsilylamide, sodium bis-trimethylsilylamide, sodium metal, potassium carbonate, cesium carbonate, lithium bis-trimethylsilylamide and lithium diisopropylamide, while preferable examples include triethylamine, diisopropyl ethylamine, pyridine, dimethylaminopyridine, potassium carbonate and cesium carbonate.

[0183]

Although varying according to the types of solvent and base and the like, the reaction temperature is, for example, -20°C to the boiling point of the solvent, and preferably room temperature to the boiling point of the

solvent for both the reaction with halogenation agent and the reaction between the acid halide and compound 12. Although varying according to the reaction temperature and the like, the reaction time is 15 minutes to 100 hours and preferably 30 minutes to 80 hours.

[0184]

The mixed acid anhydride method is achieved by reacting a C_{1-6} alkyl halogenoformate or C_{1-6} alkylcarboxylic anhydride (where, the C_{1-6} alkyl represents a linear or branched alkyl group having 1 to 6 carbon atoms) with a carboxylic acid having a desired Z'-Y'- (such as Z'-Y'-COOH or Z'-Y'-CSOH) to produce a mixed acid anhydride (at this time L represents C_{1-6} alkylcarbonyloxy and preferably methoxycarbonyloxy or ethoxycarbonyloxy in the aforementioned formula Z'-Y'-CO-L or Z'-Y'-CS-L) followed by reacting the mixed acid anhydride and a compound represented by formula 12. The reaction for producing the mixed acid anhydride is carried out by reacting a compound including a C_{1-6} alkyl halogenocarbonate such as methyl chlorocarbonate, ethyl chlorocarbonate, isobutyl chlorocarbonate or hexyl chlorocarbonate (and preferably ethyl chlorocarbonate or isobutyl chlorocarbonate), a C_{1-6} alkyl carboxylic anhydride such as acetic anhydride or propionic anhydride (and preferably acetic anhydride), and is preferably carried out in an inert solvent in the presence of base.

[0185]

The same bases and inert solvents used in the acid halide method of this step are used for the base and inert solvent. Although varying according to the type of solvent and the like, the reaction temperature is normally -20 to 50°C (and preferably 0 to 30°C). Although varying according to the reaction temperature and the like, the reaction time

is normally 15 minutes to 24 hours (and preferably 30 minutes to 15 hours).

The condensation method is carried out by directly reacting a compound represented by formula 12 with a carboxylic acid (Z'-Y'-COOH), thiocarboxylic acid (Z'-Y'-CSOH) or dithiocarboxylic acid (Z'-Y'-CSSH) having a desired Z'-Y'- in an inert solvent, in the presence of a condensation agent and in the presence or absence of a base (and preferably in the presence of a base).

[0186]

Examples of the inert solvents used include dichloromethane, tetrahydrofuran, dioxane, diethyl ether, dimethoxyethane, dimethylformamide, dimethylacetamide, dimethylsulfoxide, acetone, acetonitrile, toluene and benzene, while preferable examples include dichloromethane, tetrahydrofuran, dimethoxyethane, dimethylformamide and acetonitrile.

[0187]

In addition, examples of the condensation agents used include 1,3-dicyclohexylcarbodiimide (DCC), 2-ethoxy-1-ethoxycarbonyl-1,2-dihydroquinoline (EEDQ), bromo-tris(pyrrolidino)-phosphonium hexafluorophosphate (PyBrOP), 1-ethyl-3-(3'-dimethylaminopropyl)carbodiimide hydrochloride (WSCI) or (benzotriazolyloxy)tripyrrolidino-phosphonium hexafluorophosphate (PyBOP), 3-hydroxy-4-oxo-3,4-dihydro-1,2,3-benzotriazine (HODhBt) and hydroxybenzotriazole (HOBt). In addition, other examples include the combination of 1-ethyl-3-(3'-dimethylaminopropyl) carbodiimide (EDC) and N-hydroxybenzotriazole (HOBt) and the combination of 1-ethyl-3-(3'-dimethylaminopropyl) carbodiimide hydrochloride (WSCI) and 3-hydroxy-4-oxo-3,4-dihydro-1,2,3-benzotriazine (HODhBt).

[0188]

In addition, examples of bases used include diisopropylethylamine, triethylamine, pyridine, dimethylaminopyridine, potassium hydride, sodium hydride, potassium bistrimethylsilylamide, sodium bistrimethylsilylamide, sodium metal, potassium carbonate, cesium carbonate, lithium bistrimethylsilylamide, lithium diisopropylamide, and preferable examples include diisopropylethylamine, triethylamine, pyridine, potassium carbonate, cesium carbonate, sodium hydride.

[0189]

This reaction allows production by reacting at a suitable reaction temperature (although varying according to the types of solvent and base and the like, the reaction temperature is, for example, 0°C to the boiling point of the solvent and preferably room temperature to the boiling point of the solvent).

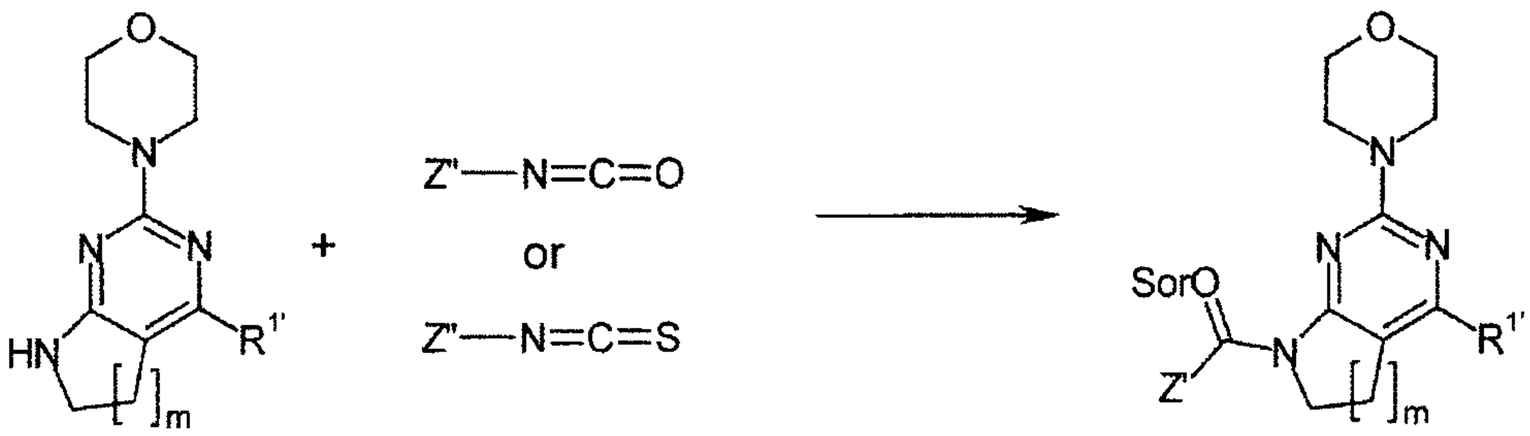
[0190]

Production of Compounds Represented by Formula 1a (Part 2)

Production examples of compounds represented by formula 1a in particular those having a group Z'-Y'-CO- and group Z'-Y'-CS- in which Y' is a single bond (compounds represented by the following formula 1a') (method using isocyanate or thioisocyanate, method using a carbonylation agent or thiocarbonylation agent, or method using a carbamoyl halide or thiocarbamoyl halide)

[0191]

Method Using Isocyanate or Thioisocyanate



12 1a'

The reaction indicated in the above reaction formula (wherein, Y', m and $R^{1'}$ are the same as previously defined, and Z' and Z" will be defined later) is a method for producing a compound represented by formula 1a' by reacting an isocyanate (Z"-N=C=O) or thioisocyanate (Z"-N=C=S) serving as a precursor able to be derived to a desired Z' with a compound represented by formula 12.

[0192]

A compound represented by formula 1a' is particularly a compound represented by formula 1a in which Y' is a single bond and has a group Z'-CO- and a group Z'-CS-, and at this time, Z' particularly refers to a group among the groups of Z selected from the following groups: -NRR', -NR-C_{1-6} alkylene-COOR', -NR-C_{1-6} alkylene -CONR'R", -NR-C_{1-6} alkylene-NR'R", -NR-C_{1-6} alkylene-NR'COR", -NR-C_{1-6} alkylene-OR', -NR-Cyc, -NR-Cyc-Cyc, -NR-Cyc-CO-Cyc, -NR-Cyc-CO-C_{1-6} alkylene-Cyc, -NR-Cyc-NR'-Cyc, -NR-Cyc-NR'-C_{1-6} alkylene-Cyc, -NR-C_{1-6} alkylene-Cyc, -NR-C_{1-6} alkylene-Cyc-CO-Cyc and -NR-C_{1-6} alkylene-Cyc-NR'-Cyc, or the above group protected with a suitable protecting group, and this method is a reaction for producing said compound.

[0193]

This reaction can be carried out by reacting a compound represented by formula 12 with an isocyanate (Z"-N=C=O) or thioisocyanate (Z"-N=C=S) that is a precursor for deriving to a desired Z' (and at this time, examples of Z"

include -R (and this R is not a hydrogen atom), -C_{1-6} alkylene-COOR', -C_{1-6} alkylene-CONR'R", -C_{1-6} alkylene-NR'R", -C_{1-6} alkylene-NR'COR", -C_{1-6} alkylene-OR', -Cyc, -Cyc-Cyc, -Cyc-CO-Cyc, -Cyc-CO-C_{1-6} alkylene-Cyc, -Cyc-NR'-Cyc, -Cyc-NR'-C_{1-6} alkylene-Cyc, -C_{1-6} alkylene-Cyc, -C_{1-6} alkylene-Cyc, -C_{1-6} alkylene-Cyc-CO-Cyc, -C_{1-6} alkylene-Cyc-NR'-Cyc or the above groups protected with a suitable protecting group) in an inert solvent and in the presence of base.

[0194]

Examples of inert solvents used include halogen-based solvents such as dichloromethane, chloroform, carbon tetrachloride or 1,2-dichloroethane, ether-based solvents such as diethyl ether, tetrahydrofuran, dioxane or dimethoxyethane, aromatic-based solvents such as benzene, toluene, xylene, quinoline or chlorobenzene, cyclohexane, dimethylsulfoxide, dimethylacetamide, dimethylimidazolidinone, dimethylformamide, N-methylpyrrolidone and acetonitrile, preferable examples include halogen-based solvents such as dichloromethane, chloroform, carbon tetrachloride or 1,2-dichloroethane, ether-based solvents such as diethyl ether, tetrahydrofuran, dioxane or dimethoxyethane, aromatic-based solvents such as benzene, toluene, xylene, quinoline or chlorobenzene, dimethylacetamide, dimethylformamide and N-methylpyrrolidone, and more preferable examples include 1,2-dichloroethane, tetrahydrofuran and toluene.

[0195]

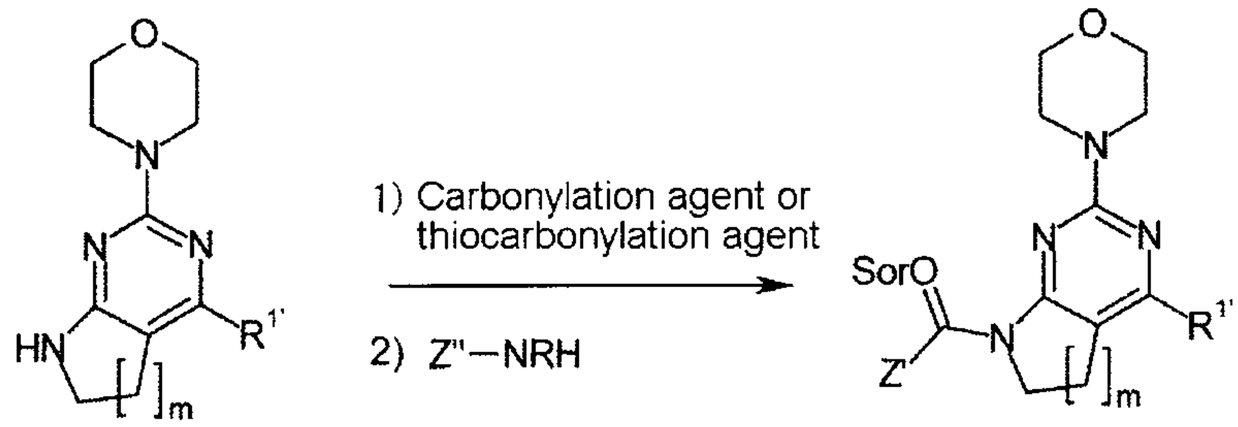
Examples of bases used include amines such as triethylamine, diisopropylethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene, pyridine, dimethylaminopyridine and pyrazine, while preferable examples include triethylamine and dimethylaminopyridine. Although varying according to the type of solvent used and

the like, the reaction temperature is normally -30 to 200°C and preferably 20 to 120°C. Although varying according to the reaction temperature and the like, the reaction time is normally 10 minutes to 48 hours and preferably 30 minutes to 48 hours.

The compounds synthesized with the aforementioned reaction can also be synthesized by alternative methods. The following provides a description of those alternative methods.

[0196]

[Alternative Method 1] Method Using Carbonylation Agent or Thiocarbonylation Agent



The reaction represented by the above reaction formula (wherein, Z', Z", m and $R^{1'}$ are the same as previously defined) is a reaction for producing a compound represented by the above formula 1a' by reacting a compound represented by formula 12 with an amine in the form of a precursor able to be derived to a desired Z'- (Z"-NHR) in an inert solvent and in the presence of a carbonylation agent or thiocarbonylation agent. In this reaction, Z"-NHR may be introduced to the compound represented by formula 12 after having reacted the carbonylation agent or thiocarbonylation agent, or the carbonylation agent or thiocarbonylation agent and Z"-NHR may be introduced simultaneously to the compound represented by formula 12. In addition, this reaction may also be carried out in the

presence of base (and preferably in the presence of base).

[0197]

Examples of the carbonylation agent include phosgene, triphosgene, carbonyldiimidazole, halogenoformic acid (and preferably chloroformic acid), halogenoformic acid C_{1-6} alkyl ester (preferably chloroformic acid C_{1-6} alkyl ester, and more preferably methyl chloroformate or ethyl chloroformate), halogenoformic acid nitrophenyl ester (and preferably 4-nitrophenyl chloroformate), C_{1-6} alkyl carboxylic acid anhydride (and preferably acetic anhydride), while preferable examples include phosgene, triphosgene, chloroformic acid, methyl chloroformate, ethyl chloroformate, 4-nitrophenyl chloroformate and acetic anhydride, and examples of thiocarbonylation agents include thiophosgene, with thiophosgene being used preferably.

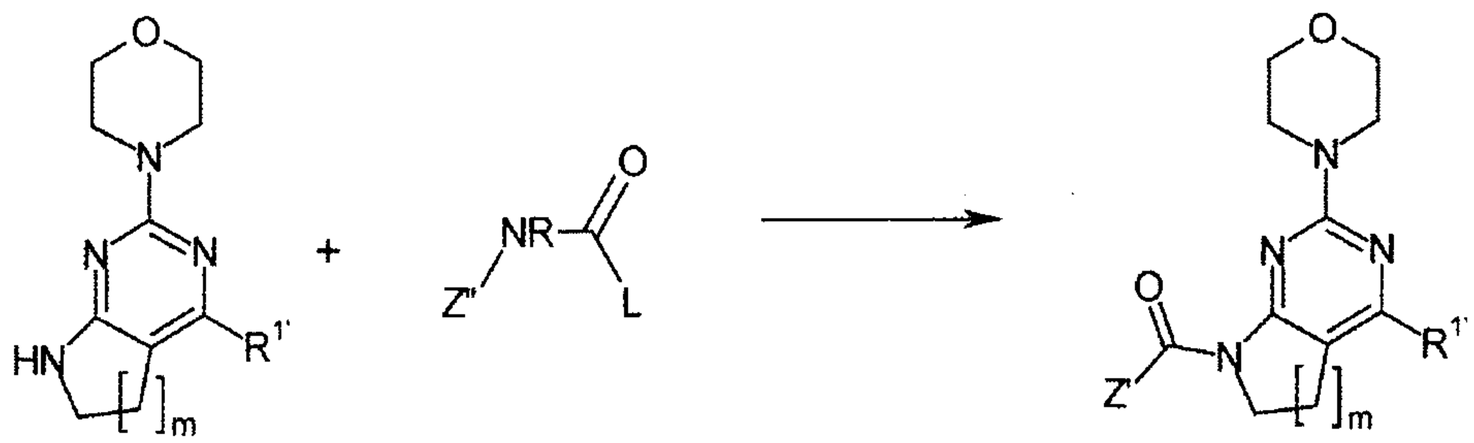
In the amine serving as a precursor of a desired -Z' (Z"-NHR), Z" is at this time defined by the aforementioned method that uses isocyanate or thioisocyanate, and R is the same as previously defined.

[0198]

The inert solvents and bases used are the same as those used in the method using isocyanate or thioisocyante described above, and although varying according to the type of solvent and the like, the reaction temperature is normally -30 to 200°C and preferably 20 to 120°C. The reaction time is normally 10 minutes to 48 hours and preferably 30 minutes to 48 hours although varying according to the reaction temperature and the like.

[0199]

[Alternative Method 2] Method Using Carbamoyl Derivative



12 1a'

The reaction represented by the above reaction formula (wherein, Z', Z", m and $R^{1'}$ are the same as previously defined, and at this time, L is as described later) is a reaction for producing a compound represented by formula 1a' (and particularly a compound in which X = CO) by reacting a carbamoyl derivative in the form of a precursor able to be derived to a desired Z' and a compound represented by formula 12 in an inert solvent. At this time, the reaction may be carried out in the presence of base.

[0200]

The carbamoyl derivative is represented by the above formula Z"-NR-CO-L and at this time, L is a halogen atom (and preferably a chlorine atom) or C_{1-6} alkoxy. A preferable example of the carbamoyl derivative is carbamoyl chloride.

[0201]

In addition, the inert solvents and bases used are the same as those used in the method using isocyanate or thioisocyante described above, and although varying according to the type of solvent and the like, the reaction temperature is normally -30 to 200°C and preferably 20 to 120°C. The reaction time is normally 10 minutes to 48 hours and preferably 30 minutes to 48 hours although varying according to the reaction temperature and the like.

[0202]

Production of Compounds Represented by Formula 1b

A compound represented by formula 1b can be easily prepared by sulfonylating a compound represented by formula 12 according to a known method (M. Loegers, et al., J. Am. Chem. Soc., Vol. 117, pp. 9139, 1995; H. Tanaka, et al., Bull. Chem. Soc. Jpn., Vol. 61, pp. 310, 1988; J.F. Rousseau, et al., Heterocycles, Vol. 55, pp. 2289, 2001). Namely, a compound represented by formula 1b can be produced by reacting compound 12 with a sulfonylation agent having a desired group -Y'-Z' (such as sulfonic acid chloride, sulfonic acid anhydride, sulfamoyl chloride, sulfonic acid imide or sulfamoyl ester, and preferably sulfonic acid chloride, sulfonic acid anhydride or sulfamoyl chloride) in a suitable solvent (such as dichloromethane, tetrahydrofuran, dioxane, diethyl ether, dimethoxyethane, dimethylformamide, dimethylacetamide, dimethylsulfoxide, acetone, acetonitrile, toluene or benzene, and preferably dichloromethane, tetrahydrofuran, dimethoxyethane, dimethylformamide or acetonitrile) in the presence of suitable a base (such as potassium hydride, sodium hydride, potassium bis-trimethylsilylamide, sodium bis-trimethylsilylamide, sodium metal, lithium bis-trimethylsilylamide, lithium diisopropylamide, triethylamine, potassium carbonate or cesium carbonate, and preferably triethylamine, potassium carbonate, cesium carbonate or sodium hydride) and at a suitable temperature (although varying according to the types of solvent, base and the like, the reaction temperature is, for example, 0°C to the boiling point of the solvent, and preferably room temperature to the boiling point of the solvent). In addition, although varying according to the reaction temperature and the like, the reaction time is normally 30 minutes to 48 hours and preferably 30 minutes to 10 hours.

[0203]

Production of Compounds Represented by Formula 1c

A compound 1c having a group Z'-Y'-CH_2- can be produced by subjecting Z'-Y'-CH_2-L having a desired group -Y'-Z' and a compound represented by formula 12 to a C-N bond formation reaction. This C-N bond formation reaction can be easily carried out by a known N-alkylation reaction (Handbook of Organic Chemistry Experimentation, 1st ed. (1990), Vol. 3, pp. 98). Namely, a compound represented by formula 1c can be produced by reacting compound 12 with a reagent Z'-Y'-CH_2-L having a desired group Z'-Y'- (wherein, L refers to a leaving group and particularly a halogen atom, sulfonic acid ester or dialkyl sulfate, and preferably an alkyl halide or sulfonic acid ester) in a suitable solvent (such as tetrahydrofuran, dioxane, diethyl ether, dimethoxyethane, dimethylformamide, dimethylacetoamide, dimethylsulfoxide, acetone, acetonitrile, toluene or benzene, and preferably tetrahydrofuran, dimethoxyethane, dimethylformamide, acetone or acetonitrile) in the presence of a suitable base (such as sodium hydroxide, potassium hydroxide, sodium methoxide, sodium ethoxide, potassium hydride, sodium hydride, potassium bis-trimethylsilylamide, sodium bis-trimethylsilylamide, sodium metal, lithium bis-trimethylsilylamide, lithium diisopropylamide, triethylamine, potassium carbonate, cesium carbonate or tributylphosphine, and preferably triethylamine, potassium carbonate, cesium carbonate, sodium hydroxide, sodium hydride or tributylphosphine) and at a suitable temperature (although varying according to the types of solvent, base and the like, the reaction temperature is, for example, 0°C to the boiling point of the solvent and preferably room temperature to the boiling point of the solvent). In

addition, although varying according to the reaction temperature and the like, the reaction time is normally 30 minutes to 48 hours and preferably 30 minutes to 10 hours.

[0204]

Production of Compounds Represented by Formula 1d (Part 1)

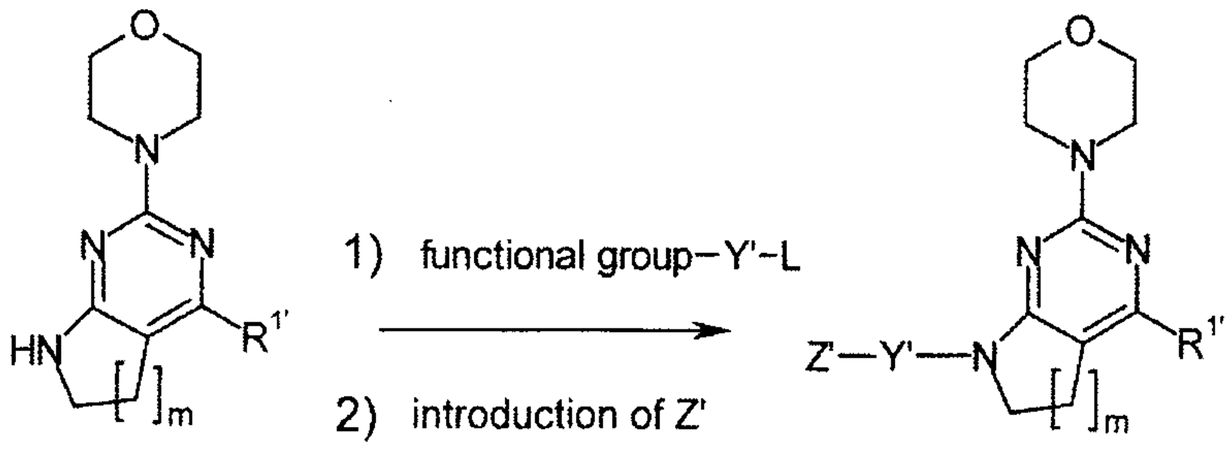
A compound 1d having a group Z'-Y'-X'- in which X' is a single bond can be produced by introducing a cyclic group by a coupling reaction between a compound represented by formula 12 and Z'-Y'-L having a desired cyclic group selected from the group of linking groups for Y of general formula (I) (wherein, L refers to a leaving group and particularly a halogen atom or -trifluoromethanesulfonyloxy, and preferably a bromine atom, iodine atom or -trifluoromethanesulfonyloxy). In other words, this coupling reaction is a reaction for introducing a cyclic group by, for example, a known coupling reaction with a halogenated cyclic group (Org. Lett., Vol. 2, pp. 1101, 2000; Tetrahedron Lett., Vol. 42, pp. 7155, 2001). Namely, the compound 1d can be produced by reacting compound 12 with Z'-Y'-L in a suitable solvent (such as tetrahydrofuran, dioxane, diethyl ether, dimethoxyethane, dimethylformamide, dimethylacetamide, dimethylsulfoxide, acetone, acetonitrile, toluene or benzene, and preferably toluene, 1,4-dioxane, dimethoxyethane, tetrahydrofuran or dimethylformamide) in the presence of a suitable palladium catalyst (such as $PdCl_2$, $Pd(OAc)_2$, Pd_2dba_3, $PdCl_2[P(o\text{-}tol)_3]_2$, $Pd(O_2CCF_3)_2$, palladium carbon, palladium black or $Pd(OH)_2$, and preferably $PdCl_2$, $Pd(OAc)_2$, Pd_2dba_3, $PdCl_2[P(o\text{-}tol)_3]_2$ or $Pd(O_2CCF_3)_2$), a ligand (such as $P(o\text{-}tol)_3$, BINAP, DPPF, $P(t\text{-}Bu)_3$, 2-dicyclohexylphosphino-2'- (N,N-dimethylamino)biphenyl, 2-(di-t-butylphosphino) biphenyl, 2-(dicyclohexylphosphino)biphenyl, 2',6'-dimethoxy-2-(dicyclohexylphosphino)biphenyl, 2',4',6'-triisopropyl-2-

(dicyclohexylphosphino)biphenyl, 4,5-bisdiphenylphosphanyl-9,9-dimethyl-9H-xanthene, 4,5-bis[bis(3,5-bistrifluoromethylphenyl)phosphanyl]-9,9- dimethyl-9H-xanthene or 1,3-diallyldihydroimidazolium salt, and preferably BINAP, 2',6'-dimethoxy-2-(dicyclohexylphosphino)biphenyl or 2',4',6'-triisopropyl-2-(dicyclohexylphosphino)biphenyl), and a suitable base (such as sodium hydroxide, potassium hydroxide, sodium methoxide, sodium ethoxide, potassium bis-trimethylsilylamide, sodium bis-trimethylsilylamide, lithium bis-trimethylsilylamide ($LiN(TMS)_2$), lithium diisopropylamide, cesium carbonate, potassium t-butoxide or potassium phosphate, and preferably cesium carbonate, sodium hydroxide, potassium t-butoxide, potassium phosphate or lithium bis-trimethylsilylamide) and at a suitable temperature (although varying according to the types of solvent, base and the like, the reaction temperature is, for example, 0°C to the boiling point of the solvent and preferably room temperature to the boiling point of the solvent). In addition, although varying according to the reaction temperature and the like, the reaction time is normally 30 minutes to 100 hours and preferably 30 minutes to 24 hours.

[0205]

Production of Compounds Represented by Formula 1d (Part 2)

A compound represented by formula 1d can also be produced by going through a two-step reaction in addition to the method described above.



12 1d

The reaction represented by the above reaction formula [wherein, Y', Z', m, $R^{1'}$ and L are the same as previously defined, and at this time, L particularly represents a halogen atom or trifluoromethanesulfonyloxy (and preferably a bromine atom or iodine atom), and the functional group is as described below] is a reaction for producing a compound represented by formula 1d by sequentially carrying out reactions for coupling a compound represented by formula 12 and a compound represented by (functional group)-Y'-L followed by introducing Z'.

The coupling reaction between a compound represented by formula 12 and a compound represented by (functional group)-Y'-L can be carried out in the same manner as the production process of a compound represented by formula 1d (part 1) as previously described. The functional group in this (functional group)-Y'-L is a functional group capable of being involved in a reaction for introducing Z' (including various coupling reactions by, for example, an acid halide method, active ester method, condensation method or reductive amination method), examples of which include substituents containing a group such as a halogen (chloro, bromo or iodo), carboxyl, C_{1-6} alkoxycarbonyl or formyl group (and at this time, the formyl group may be protected, and examples of protected formyl groups include di-C_{1-6} alkoxymethyl and cycloacetal groups, while preferable examples include dimethoxymethyl,

diethoxymethyl, 1,3-dioxan-2-yl and 1,3-dioxolan-2-yl groups). Preferable examples of functional groups capable of being involved in the reaction include chloro, carboxyl, methoxycarbonyl, ethoxycarbonyl and formyl groups (and these are preferably protected).

The reaction for continuously introducing Z' is achieved by carrying out a coupling reaction with a precursor derived to a desired Z' on a compound obtained in the coupling reaction between a compound represented by formula 12 and a compound represented by (functional group)-Y'-L.

For example, in the case the functional group capable of being involved in the reaction in the (functional group) is a carboxyl or C_{1-6} alkoxycarbonyl (and preferably a carboxyl or methoxycarbonyl), this reaction is achieved by an esterification or amidation reaction (and can be carried out in the same manner as the aforementioned acylation reaction, namely an acid halide method, mixed acid anhydride method, active ester method, condensation method and the like) with Z"-OH or Z"-NHR (where Z" is the same as previously defined, and R is as defined in claim 1).

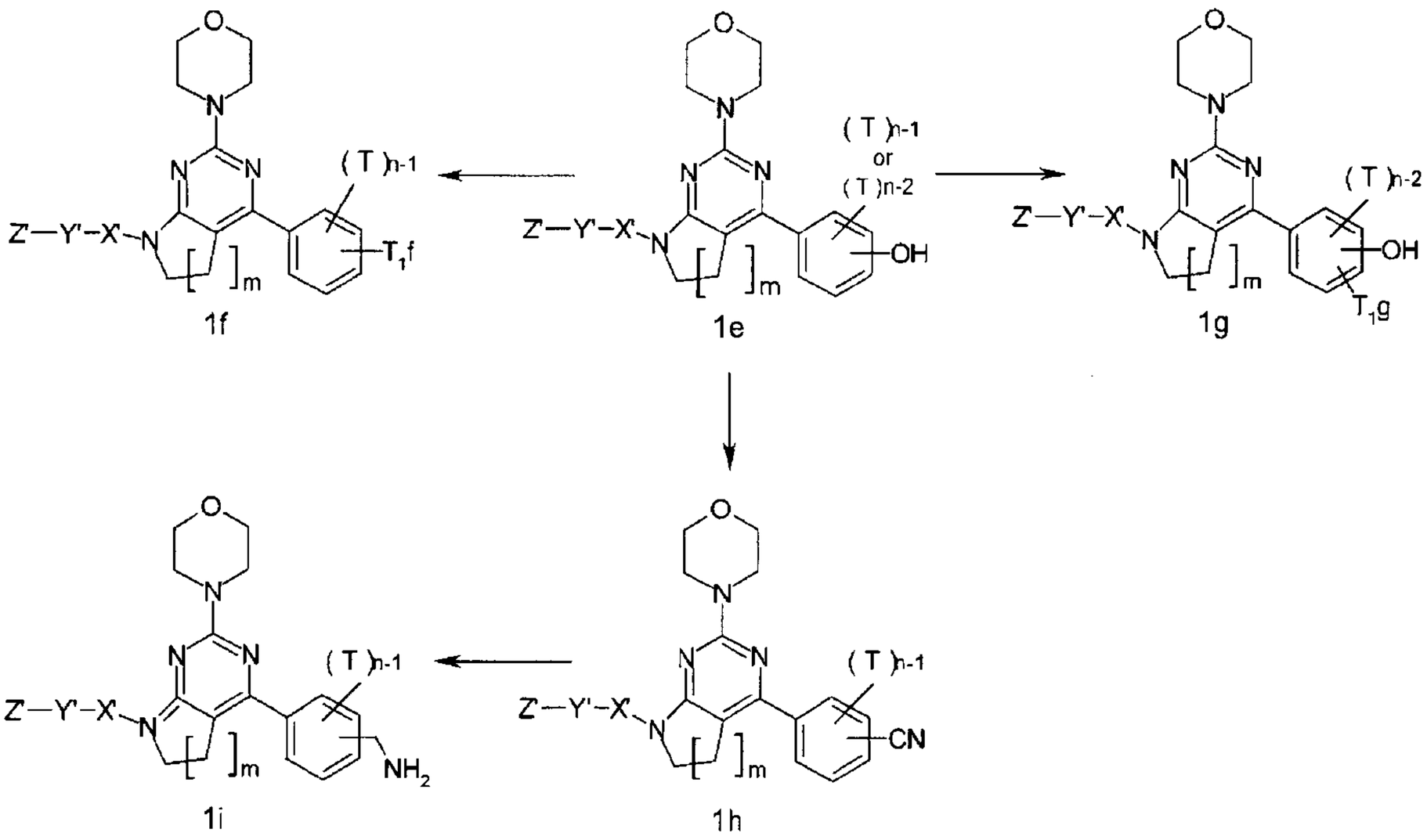
In addition, in the case the functional group able to be involved in the reaction in the (functional group) is a formyl group, this reaction can be achieved by a coupling reaction in the form of, for example, a reductive amination reaction with Z"-NHR or Cyc' (and at this time, Cyc' is a nitrogen-containing saturated hydrocarbon ring, may further contain 1 to 3 other heteroatoms such as a nitrogen atom, oxygen atom or sulfur atom, and said nitrogen-containing saturated hydrocarbon ring preferably has 5 to 6 members, examples of which include imidazolidine, oxazolidine, piperazine and morpholine). If the reductive amidation reaction is carried out in the presence of Z"-NHR (and at

this time, Z" and R are the same as previously defined) in addition to a hydride reducing agent, reductive amination occurs and the corresponding amine is obtained. Examples of hydride reducing agents include sodium cyanoborohydride and sodium triacetoxyborohydride, and sodium triacetoxyborohydride is preferable.

Moreover, in the case the function group capable of being involved in the reaction in the (functional group) is a halogen (and preferably chloro), the reaction can be carried out by a coupling reaction with Z'-H (and at this time, an example of Z' is -Cyc, and at this time Cyc is preferably a nitrogen-containing saturated hydrocarbon ring, may further contain 1 to 3 other heteroatoms such as a nitrogen atom, oxygen atom or sulfur atom, and said nitrogen-containing saturated hydrocarbon ring preferably has 5 to 6 members, and is more preferably pyrimidine, piperazine or morpholine and the like) in the same manner as the production process of a compound represented by formula 1d (part 1).

[0206]

Reaction Step 2A



In the above formulae, X', Y', Z', T, n and m are the same as previously defined. In addition, -T_1f represents particularly a group selected from -OR, -O-halogeno-C_{1-6} alkyl, -O-C_{1-6} alkylene-Cyc, -O-COOR, -O-COR and -O-CONRR' (and at this time, R, R' and Cyc are the same as previously defined) among the previously defined T, or -sulfonyloxy. Further, -T_1g represents particularly -halogen among the previously defined T, or -CH_2-NRR'.

This production process is a process for producing a compound in which R_1 in general formula (I) is R_1a in particular. This process includes a method for obtaining compound 1f by applying to O-alkylation, acylation and sulfonylation reactions using known methods, a method for obtaining compound 1g by applying to a reaction for introducing an electrophilic substituent into an aromatic ring having a hydroxy substituent, and a method for obtaining a corresponding amino compound Ii by converting compound 1e to a cyano compound represented by formula 1h

followed by reduction, with respect a hydroxy-substituted compound represented by formula 1e in particular among those compounds represented by general formula (I) capable of being synthesized in reaction step 1A to C.

[0207]

Preparation of O-Alkylated Compound Represented by Formula 1f

An O-alkylated compound represented by formula 1f (a compound in which R^1 represents a phenyl group (R_1a) and a substituent-T_1f thereof is -OR, -O-halogeno-C_{1-6} alkyl or -O-C_{1-6} alkylene-Cyc in particular among the previously defined T) can be produced by reacting a compound represented by formula 1e with an alkylation agent (such as an alkyl halide, sulfonic acid ester or epoxide) having a desired group (such as -R, -halogeno-C_{1-6} alkyl or -C_{1-6} alkylene-Cyc) in a suitable solvent (such as methanol, ethanol, tetrahydrofuran, dimethoxyethane, dimethylformamide, acetone or acetonitrile), in the presence of a suitable base (such as triethylamine, potassium carbonate, cesium carbonate, sodium hydroxide, sodium hydride or tributylphosphine) and at a suitable temperature (0°C to boiling point of the solvent). As an alternative method not using base, a compound represented by formula 1f can be synthesized by alkylation using a Mitsunobu reaction (Organic Reactions, New York, Vol. 42, pp. 335, 1992).

[0208]

Preparation of O-Acylated Compound Represented by Formula 1f

An O-acylated compound represented by formula 1f (a compound wherein R^1 is a phenyl group (R_1a) and a substituent -T_1f thereof is -O-COOR, -O-COR or -O-CONRR' in particular among the previously defined T) can be produced

by reacting a compound represented by formula 1e with a desired acylation agent (such as carboxylic acid chloride, carboxylic acid anhydride, chloroformic acid ester, carbamoyl chloride or isocyanate) in a suitable solvent (such as tetrahydrofuran, dimethoxyethane, dichloromethane, dimethylformamide, acetone or acetonitrile) and in the presence of a suitable base (such as triethylamine, pyridine, potassium carbonate, cesium carbonate, sodium hydroxide or sodium hydride) at a suitable temperature (0 to 150°C).

[0209]

Production of O-Sulfonylated Compound Represented by Formula 1f

An O-sulfonylated compound represented by formula 1f (a compound wherein R^1 is a phenyl group (R_1a) and a substituent -T_1f thereof is -sulfonyloxy in particular) can be produced by reacting compound 1e with a desired sulfonylation agent (such as sulfonic acid chloride, sulfonic acid anhydride or sulfamoyl chloride) in a suitable solvent (such as tetrahydrofuran, dimethoxyethane, dichloromethane, dimethylformamide, acetone or acetonitrile) and in the presence of a suitable base (such as triethylamine, pyridine, potassium carbonate, cesium carbonate, sodium hydroxide or sodium hydride) at a suitable temperature (0 to 150°C). Compounds having an -O-sulfonyl group as a substituent of the phenyl group are useful as intermediate compounds for obtaining compounds of formula (I) of the present invention.

[0210]

Preparation of Compounds Represented by Formula 1g

A compound represented by formula 1g (a compound wherein R^1 is a phenyl group (R_1a) and a substituent -T_1g thereof is -halogen, -CH_2-NRR' or -CH_2-(nitrogen-containing

heterocyclic ring) in particular among the previously defined T) can be synthesized by a known electrophilic substitution reaction on the aromatic ring having a hydroxy substituent of a compound represented by formula 1e (for example, Journal of Medicinal Chemistry, 46(23), 4933-4945, 2003). Namely, a halogenated compound represented by formula 1g can be obtained by reacting a compound represented by formula 1e with a suitable halogenation agent (such as a bromine molecule, N-bromosuccinimide (NBS), iodine molecule, iodine chloride, N-iodosuccinimide (NIS) or N-chlorosuccinimide (NCS)). In addition, a compound represented by formula 1g in which $-CH_2-NRR'$ or $-CH_2-$(nitrogen-containing heterocyclic ring) has been introduced can be produced by reacting a desired secondary amine (such as dimethylamine, diethylamine, piperidine, pyrrolidine, N-methylpiperazine or morpholine) and formaldehyde in the presence of a suitable acid catalyst (such as hydrochloric acid, sulfuric acid, acetic acid, trifluoroacetic acid or methanesulfonic acid).

[0211]

Preparation of Compounds Represented by Formula 1h

A compound represented by formula 1h (a compound in which R^1 is a phenyl group (R_1a) and a substituent T thereof is -CN in particular) can be produced by cyanating a hydroxy substituent of a compound represented by formula 1e using a known method. Namely, a compound represented by formula 1h can be produced by trifluoromethanesulfonylation of compound 1e with a trifluoromethanesulfonylation reagent (such as trifluoromethanesulfonic acid anhydride) in a suitable solvent (such as tetrahydrofuran) and in the presence of a suitable base (such as triethylamine or pyridine), and reacting the resulting trifluoromethanesulfonic acid ester with a cyanation agent

(such as zinc cyanide or sodium cyanide) in a suitable solvent (such as dimethylformamide, dimethyl ether or tetrahydrofuran) and in the presence of a suitable palladium catalyst (such as $PdCl_2$, $Pd(OAc)_2$, Pd_2dba_3, $PdCl_2[P(o\text{-}tol)_3]_2$ or $Pd(O_2CCF_3)_2$) and a ligand (such as $P(o\text{-}tol)_3$, BINAP, DPPF, $P(t\text{-}Bu)_3$ or 2-dicyclohexylphosphino-2'-(N,N-dimethylamino)biphenyl) at a suitable temperature (room temperature to the boiling point of the solvent/reagents). The compound having a cyano group as the substituent, this compound is useful as an intermediate compound for obtaining a compound represented by formula (I) of the present invention.

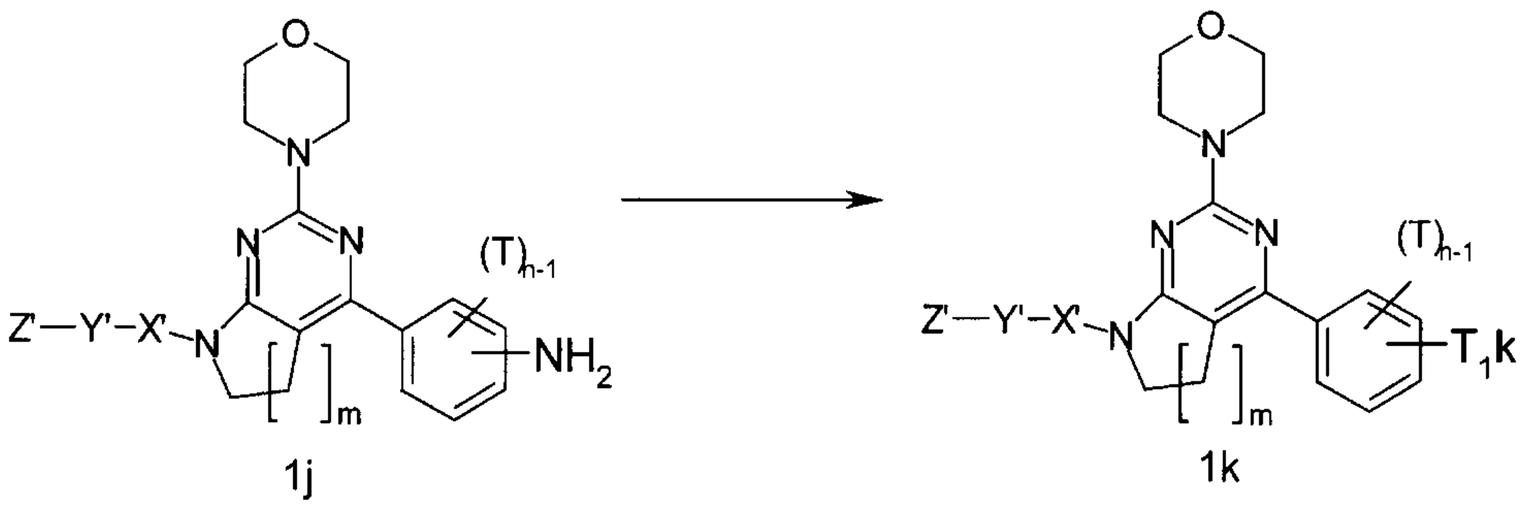
[0212]

Preparation of Compounds Represented by Formula 1i

Compound 1i in which substituent T is $-CH_2-NH_2$ in particular can be produced by carrying out reduction of the cyano group of compound 1h in a suitable solvent (such as methanol or tetrahydrofuran) and in the presence of a palladium catalyst (such as palladium carbon or palladium hydroxide) in a hydrogen atmosphere. The compound in which substituent T is $-CH_2-NH_2$ in particular is useful as an intermediate compound for obtaining a compound of formula (I) of the present invention.

[0213]

Reaction Step 2B



In the above formulae, X', Y', Z', m, n and T are the same as previously defined, and T_1k is particularly -

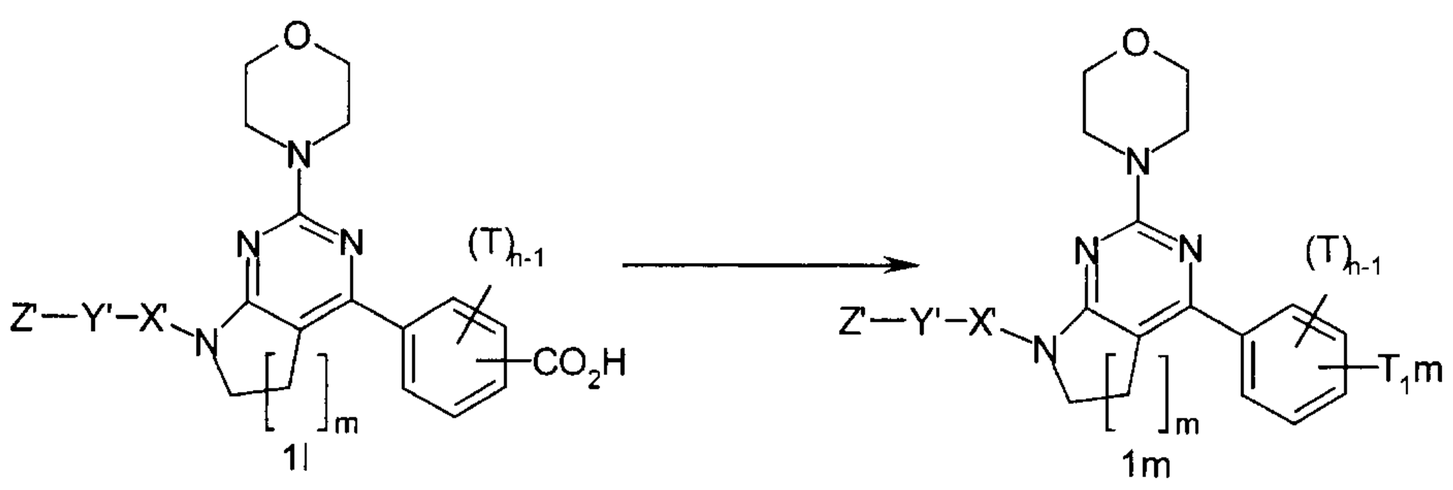
$NRSO_2R'$ or -NRCOR' among the previously defined T (and at this time, R and R' are the same as defined in formula (I)).

[0214]

This production process is a process for obtaining Compound 1k by subjecting an amino-substituted compound represented by formula 1j to an N-acylation (introduction of a $-CO-C_{1-6}$ alkyl group) or N-sulfonylation reaction using a known method. Production can be carried out using a known method similarly to the case of reaction step 1C (such as a condensation reaction using a carboxylic acid and the like and dicyclohexylcarbodiimide or using a water-soluble carbodiimide reagent and the like, or an acylation reaction using an acid anhydride or acid halide: Experimental Chemistry Course, 4th ed. (Maruzen), Vol. 22, pp. 137; Tetrahedron, Vol. 57, pp. 1551, 2001). A compound represented by formula 1k obtained in this manner is useful as a compound of formula (I) or as an intermediate compound for obtaining a compound of formula (I).

[0215]

Reaction Step 2C



In the above formulae, X', Y', Z', m, n and T are the same as previously defined, and $-T_1m$ refers particularly to a group selected from -COOR, $-COO-C_{1-6}$ alkylene-OR, $-COO-C_{1-6}$ alkylene-NRR', $-COO-C_{1-6}$ alkylene-Cyc, -CONRR', $-CONR-C_{1-6}$ alkylene-OR', $-CONR-C_{1-6}$ alkylene-NR'R", $-CONR-C_{1-6}$ alkylene-CONR'R", -CONR-Cyc or $-CONR-C_{1-6}$ alkylene-Cyc among the

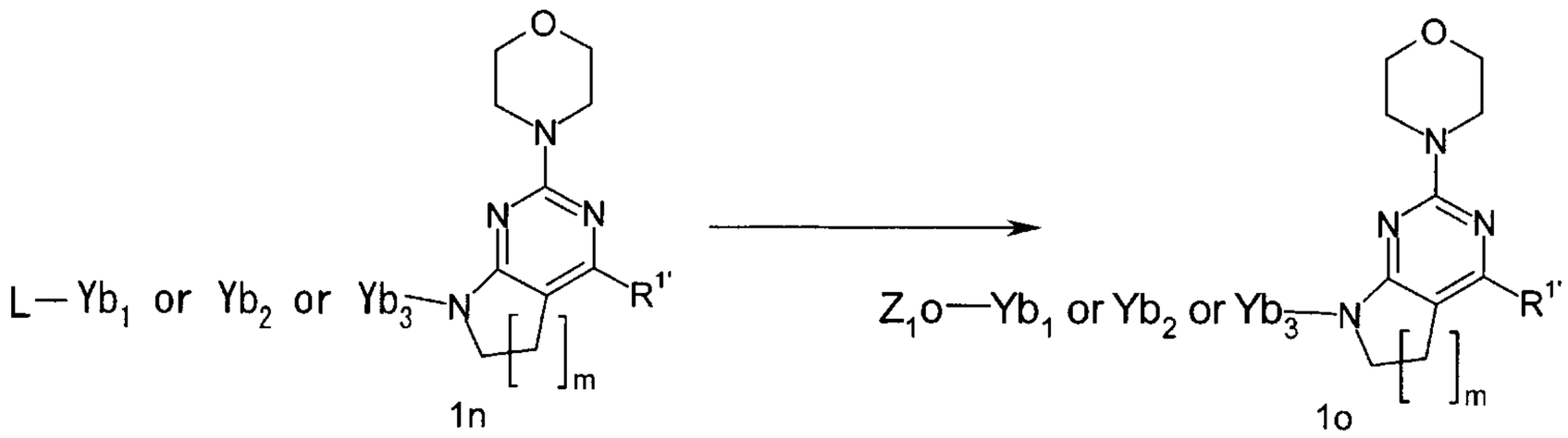
previously defined T.

[0216]

This production process is a process for producing a compound in which R_1 in general formula (I) is R_1a in particular. Among those compounds represented by general formula (I) able to be synthesized in compliance with reaction steps 1A to C, a compound represented by formula 1m can be obtained by carrying out an esterification or amidation reaction using a known method on a carboxylic acid compound represented by formula 1l. A compound represented by formula 1m can be produced by a condensation reaction (esterification or amidation reaction, Experimental Chemistry Course, 4th ed. (Maruzen), Vol. 22, pp. 137; Tetrahedron, Vol. 57, pp. 1551, 2001) between a carboxylic acid compound represented by formula 1l and an alcohol having a desired group (such as HOR, HO-C_{1-6} alkylene-OR, HO-C_{1-6} alkylene-NRR' or HO-C_{1-6} alkylene-Cyc) or an amine having a desired group (such as HNRR', HNR-C_{1-6} alkylene-OR', HNR-C_{1-6} alkylene-NR'R", NHR-C_{1-6} alkylene-CONR'R", HNR-Cyc or HNR-C_{1-6} alkylene-Cyc) using a condensation agent such as dicyclohexylcarbodiimide or water-soluble carbodiimide reagent.

[0217]

Reaction Step 2D



In the above formulae, Yb_1, Yb_2, Yb_3, m, $R^{1'}$ and L are the same as previously defined, and Z_1o is particularly a group selected from -OR, -O-halogeno-C_{1-6} alkyl, -NRR', -NR-

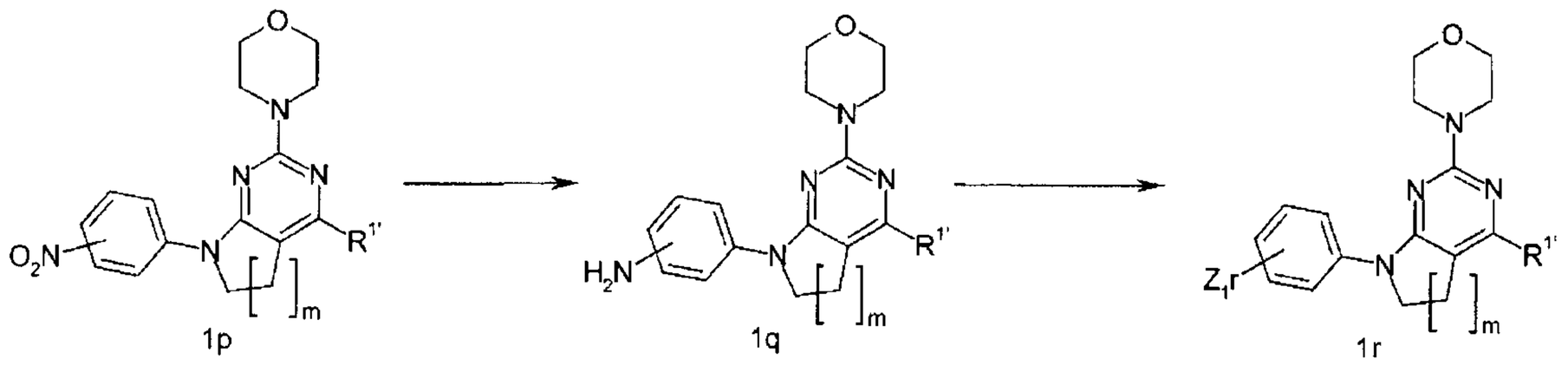
C_{1-6} alkylene-NR'R" or -NR-C_{1-6} alkylene-OR' among the previously defined Z (and R, R' and R" are the same as previously defined).

[0218]

This production process is a process for obtaining a compound represented by formula 1o by substituting an amino group (such as -NRR', -NR-C_{1-6} alkylene-NR'R" or -NR-C_{1-6} alkylene-OR') or an alkoxy group (such as -OR, -O-halogeno-C_{1-6} alkyl or -O-C_{1-6} alkylene-Cyc) into a compound represented by formula 1n that is one aspect of a compound of formula (I), in which X is particularly a single bond and Y is particularly Yb_1, Yb_2 or Yb_3 having a leaving group L (and particularly preferably a halogen atom and the like) on the aromatic ring represented by Yb_1, Yb_2 or Yb_3 using a known substitution method (example of amino group substitution: E. Bisagni, et al., J. Org. Chem., Vol. 47, pp. 1500, 1982; example pf alkoxy group substitution: L.W. Deady, et al., Australian J. Chem., Vol. 35, pp. 2025, 1982). In addition, an amino group-substituted compound 1m can also be produced by a coupling reaction with a desired amine using a palladium catalyst in the same manner as the production of Compound 1d in the previously described reaction step 1C.

[0219]

Reaction Step 2E



In the above formulae, m and $R^{1'}$ are the same as previously defined, and Z_1r is particularly a group

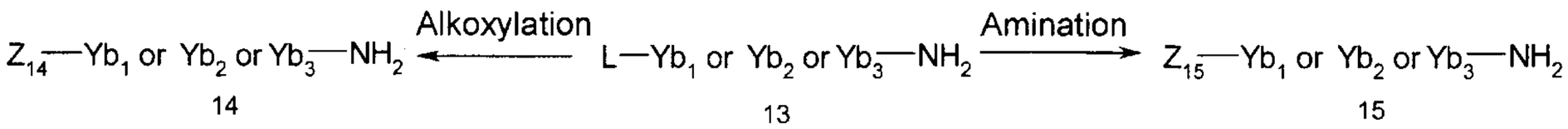
selected from -NRR', -NR-C_{1-6} alkylene-NR'R", -NR-C_{1-6} alkylene-OR' or -$NRSO_2R'$ among the previously defined Z (and R, R' and R" are the same as previously defined).

[0220]

This production process is a process for obtaining a corresponding amino compound 1q (one aspect of a compound of formula (I)) by reducing a nitro compound represented by formula 1p, and further obtaining a compound represented by formula 1r by amidation, carbamation, ureation or sulfonylation. These compounds can be produced using a known method similarly to the case of reaction step 1C. A compound represented by formula 1r obtained in this manner is useful as a compound of formula (I) or as an intermediate compound for obtaining a compound of formula (I).

[0221]

Reaction Step 3A General synthetic process of synthetic block - substituted aniline



[0222]

In the above formulae, Yb_1, Yb_2, Yb_3 and L are the same as previously defined, Z_{14} particularly refers to -OR or -O-halogeno-C_{1-6} alkyl among the previously defined Z, and Z_{15} particularly refers to a group selected from -NRR', -NR-C_{1-6} alkylene-NR'R" or -NR-C_{1-6} alkylene-OR' among the previously defined Z (and R, R' and R" are the same as previously define).

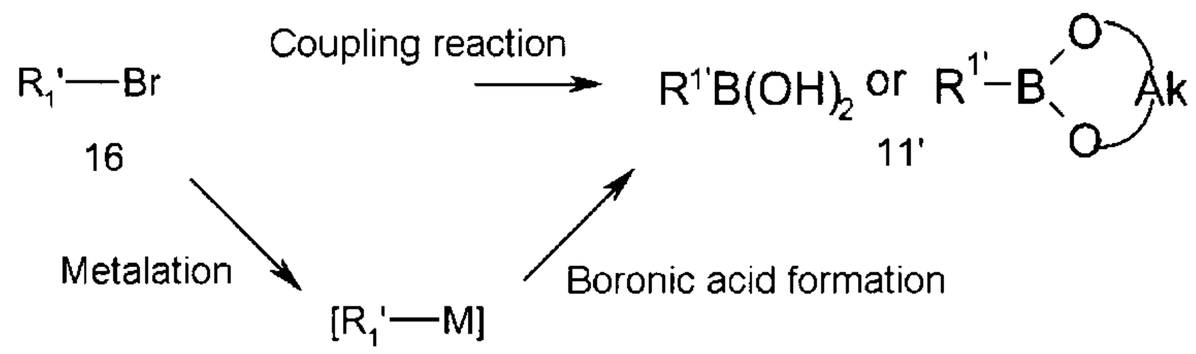
[0223]

This production process is a process for obtain a compound represented by formula 14 or formula 15, respectively, by substituting an amino group (such as -NRR', -NR-C_{1-6} alkylene-NR'R" or -NR-C_{1-6} alkylene-OR') or an

alkoxy group (such as -OR, -O-halogeno-C_{1-6} alkyl or -O-C_{1-6} alkylene-Cyc) into a compound having a leaving group (and particularly preferably a halogen atom) on the heterocyclic ring represented by formula 13 by a nucleophilic substitution reaction using a known method as explained in reaction step 2D.

[0224]

Reaction Step 3B: General synthetic process of synthetic block - boronic acid



In the above formulae, $R^{1'}$, boronic acid or a boronic acid ester represented by formula 11', and Ak are as previously defined, and M represents a group selected from -Li, -Mg-Br or -Mg-Cl.

[0225]

This production process is a process for obtaining a compound represented by formula 11' by converting a compound having a halogen atom such as a bromine atom on the ring of an aromatic compound represented by formula 16 to boronic acid using a known method (E. Tyrrell, et al., Synthesis, pp. 469, 2003; A. Suzuki et al., Chem. Rev., Vol. 95, pp. 2457, 1995).

[0226]

Namely, production of boronic acid and boronic acid ester 11' by a coupling reaction on aromatic halogen compound 16 using a palladium catalyst can be carried out by reacting compound 16 with an alkoxydiborane (such as bis(pinacholate)diborane or bis(neopentylglycolate)diborane) in a suitable solvent

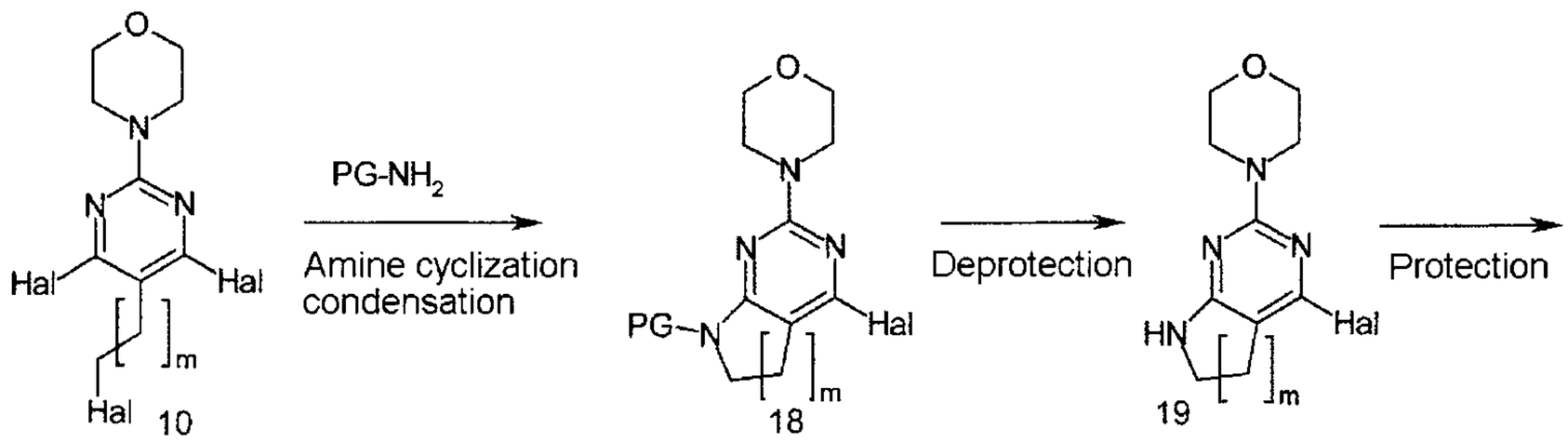
(such as toluene, 1,4-dioxane, dimethoxyethane, tetrahydrofuran, dimethylsulfoxide or dimethylformamide) and in the presence of a suitable palladium catalyst (such as $PdCl_2$, $Pd(OAc)_2$, Pd_2dba_3, $PdCl_2[P(o\text{-}tol)_3]_2$ or $Pd(O_2CCF_3)_2$), a ligand (such as $P(o\text{-}tol)_3$, BINAP, DPPF, $P(t\text{-}Bu)_3$, 2-dicyclohexylphosphino-2'-(N,N-dimethylamino)biphenyl), 2-(di-t-butylphosphino)biphenyl, 2-(dicyclohexylphoshino)biphenyl, 2',6'-dimethoxy-2-(dicyclohexylphosphino)biphenyl, 2',4',6'-triisopropyl-2-(dicyclohexylphosphino)biphenyl, or 1,3-diallyldihydroimidazolium salt) and a suitable base (such as sodium acetate, potassium acetate, cesium carbonate or potassium phosphate) at a suitable temperature (room temperature to the solvent/reagent boiling point).

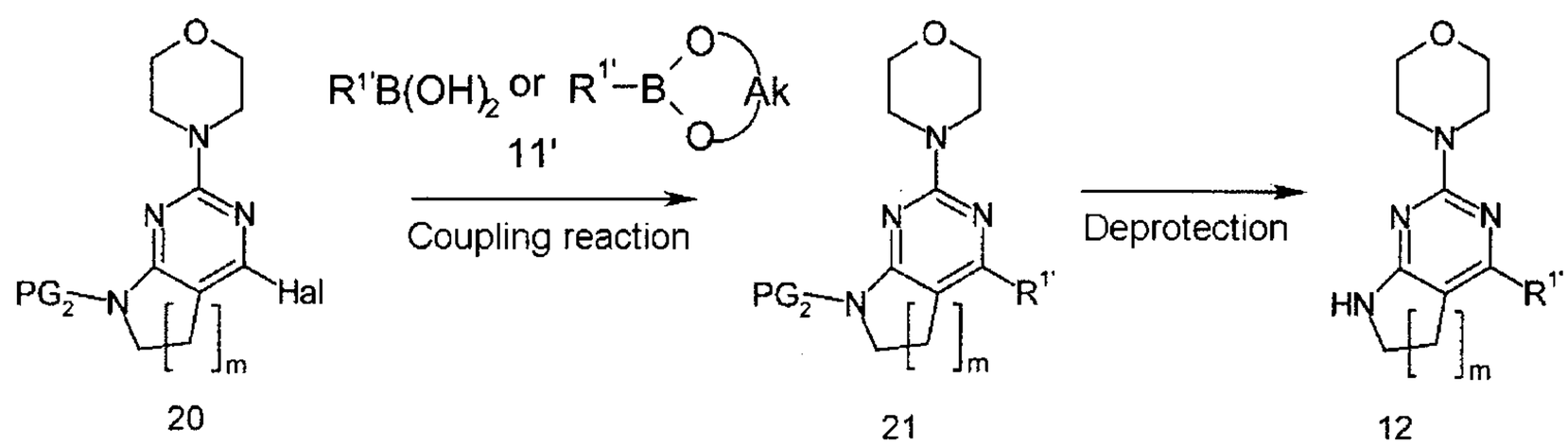
[0227]

In addition, boronic acid and boronic acid ester 11' can also be produced by treating compound 16 with an alkyl metal reagent (such as butyl lithium, isopropyl magnesium bromide or isopropyl magnesium chloride) in a suitable solvent (such as tetrahydrofuran, dimethyl ether or toluene) at a suitable temperature (-78°C to room temperature) followed by reacting with a boronic acid ester (such as trimethyl boronate, triethyl boronate or triisopropyl boronate).

[0228]

Reaction Step 3C: General synthetic process of synthetic block





In the above formulae, m, $R^{1'}$ and Hal are the same as previously defined, PG and PG_2 represent protecting groups for amine compounds, and PG and PG_2 are not the same.

[0229]

This production process is a process for obtaining a 2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d] pyrimidine derivative or 2-morpholin-4-yl-5,6,7,8-tetrahydropyrido [2,3-d] pyrimidine derivative represented by formula 12 from a trihalogeno compound represented by formula 10.

[0230]

A compound represented by formula 18 can be produced by a cyclization condensation reaction between a compound represented by formula 10 and an amine protected by PG (wherein examples of PG include amine protecting groups including carbamate-based protecting groups such as a methoxycarbonyl, ethoxycarbonyl, t-butoxycarbonyl, benzyloxycarbonyl or 9-fluorenylmethyloxycarbonyl (Fmoc) group, amide-based protecting groups such as a formyl, acetyl, chloroacetyl, trichloroacetyl, trifluoroacetyl or

benzoyl group, hydrocarbon chain-based protecting groups such as a methyl or allyl group, and benzyl-based protecting groups such as a benzyl, 4-methoxybenzyl or 2,4-dimethoxybenzyl group, preferably benzyl-based protecting groups, and more preferably an amine protected with a 2,4-dimethoxybenzyl or 4-methoxybenzyl group) under similar conditions as the conversion step in reaction step 1B described above (compound 10 → compound 11).

[0231]

A compound represented by formula 19 can be produced by a de-PG (deprotection) reaction of a compound represented by formula 18. For example, in the case the PG of the compound represented by formula 18 is a benzyl-based protecting group (and preferably a 2,4-dimethoxybenzyl or 4-methoxybenzyl group), a compound represented by formula 19 can be produced by treating a compound represented by formula 18 with an acid (such as trifluoroacetic acid, sulfuric acid, hydrochloric acid, formic acid or acetic acid, and two or more types of acids may be used. Trifluoroacetic acid or sulfuric acid are preferred) in the presence of a solvent (such as dichloromethane or ethyl acetate) or in the absence of a solvent at a reaction temperature (normally, 0 to 120°C, preferably room temperature to 80°C) (and a preferable treatment method is treatment with trifluoroacetic acid or treatment using ethyl acetate and sulfuric acid, and more preferably treatment with a solvent amount of trifluoroacetic acid, and even more preferably in the presence of a catalytic amount of concentrated sulfuric acid or N-acetylcysteine in an amount equal to or greater than the equivalent amount of the reactants), or by treating by catalytic hydrogen reduction using palladium carbon and the like.

[0232]

A compound represented by formula 20 (wherein PG_2 represents an amine protecting group, examples of which include carbamate-based protecting groups such as a methoxycarbonyl, ethoxycarbonyl, t-butoxycarbonyl, benzyloxycarbonyl or 9-fluorenylmethyloxycarbonyl (Fmoc) group, amide-based protecting groups such as a formyl, acetyl, chloroacetyl, trichloroacetyl, trifluoroacetyl or benzoyl group, hydrocarbon chain-based protecting groups such as a methyl or allyl group, and benzyl-based protecting groups such as a benzyl, 4-methoxybenzyl or 2,4-dimethoxybenzyl group, preferably acyl-based protecting groups, and more preferably an acetyl group) can be produced by reacting a compound represented by formula 19 with a suitable acetylation agent (such as acetyl chloride or acetic anhydride) under the same conditions as the previously described reaction steps 1C, 2B and 2E.

[0233]

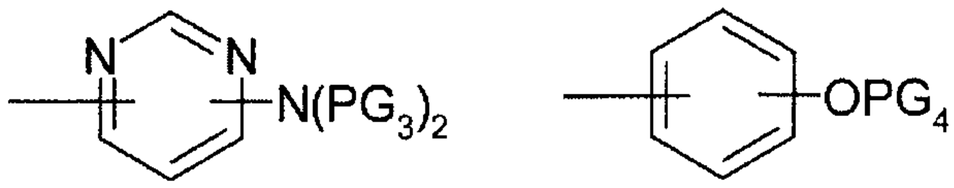
A compound represented by formula 21 can be produced by coupling a compound represented by formula 20 with a desired boronic acid or boronic acid ester having a desired group $R^{1\prime}$ represented by formula 11′ under the same conditions as the previously described reaction step 1B.

[0234]

A compound represented by formula 12 can be obtained by a deprotection reaction of PG_2 of a compound represented by formula 21. For example, in the case PG_2 is an amide-based protecting group (and preferably an acetyl group), a compound represented by formula 12 can be produced by treating a compound represented by formula 18 with a base (such as sodium hydroxide, lithium hydroxide or sodium carbonate) in a solvent (such as methanol, ethanol, tetrahydrofuran or water) at a suitable reaction

temperature (0 to 120°C and preferably room temperature to 100°C).

In addition, examples of $R^{1'}$ in the above production process include the groups indicated below.



R1'a R1'b

In the above formulae, PG_3 represents an amine protecting group, examples of which include carbamate-based protecting groups such as a methoxycarbonyl, ethoxycarbonyl, t-butoxycarbonyl, benzyloxycarbonyl or 9-fluorenylmethyloxycarbonyl (Fmoc) group, amide-based protecting groups such as a formyl, acetyl, chloroacetyl, trichloroacetyl, trifluoroacetyl or benzoyl group, hydrocarbon chain-based protecting groups such as a methyl or allyl group, and benzyl-based protecting groups such as a benzyl, 2-methoxybenzyl, 4-methoxybenzyl or 2,4-dimethoxybenzyl group, preferably benzyl-based protecting groups, and more preferably a 4-methoxybenzyl or 2,4-dimethoxybenzyl group. In addition, PG_4 represents a hydroxyl group protecting group, examples of which include ether-based protecting groups such as a methyl, t-butyl, methoxymethyl, methylthiomethyl, 2-methoxyethoxymethyl, benzyloxymethyl, tetrahydropyranyl (THP) or tetrahydrofuranyl group, silyl ether-based protecting groups such as a trimethylsilyl, triethylsilyl or t-butyldimethylsilyl group, ester-based protecting groups such as a formyl, acetyl, pivaloyl or benzoyl group, and carbonate-based protecting groups such as a methoxycarbonyl, ethoxycarbonyl or vinyloxycarbonyl group, and preferably an ether-based protecting group, and more preferably, a t-butyl group. In addition, PG_3 and PG_4 are

preferably not the same as PG_2.

With respect to the reaction of $R^{1'}$ to R^1 (deprotection reactions) in general formulas (1), (1a), (1b), (1c), (1d) and (1e) in the production processes described above, in the case $R^{1'}$ is the aforementioned $R^{1'}a$, for example, deprotection can be carried out by a suitable deprotection reaction on an amine protecting group. For example, in the case PG_3 is a benzyl-based protecting group (and preferably a 4-methoxybenzyl or 2,4-dimethoxybenzyl group), this deprotection reaction can be carried out by a method comprising treating with an acid (such as trifluoroacetic acid, sulfuric acid, hydrochloric acid, formic acid or acetic acid, two different types of acids may be used, and trifluoroacetic acid or sulfuric acid is used preferably) in the presence of a solvent (such as dichloromethane or ethyl acetate) or in the absence of a solvent normally at a reaction temperature of 0 to 120°C and preferably room temperature to 80°C (with preferable examples of this treatment including treating with trifluoroacetic acid or treating with ethyl acetate and sulfuric acid, more preferably treating with a solvent amount of trifluoroacetic acid, and even more preferably treating with a catalytic amount of concentrated sulfuric acid or in the presence of N-acetylcysteine in an amount equal to or greater than the equivalent amount of the reactants), or by a method comprising treating by catalytic hydrogen reduction using palladium carbon and the like.

In addition, in the case $R^{1'}$ is the aforementioned $R^{1'}b$, the deprotection reaction can be carried out by a suitable deprotection reaction on a hydroxyl group protecting group. For example, in the case PG_4 is an ether-based protecting group (and preferably a t-butyl group), the deprotection reaction can be carried out by

treating with an acid (such as trifluoroacetic acid, sulfuric acid, hydrochloric acid, formic acid or acetic acid, two different types of acids may be used, and trifluoroacetic acid or sulfuric acid is used preferably) in the presence of a solvent (such as dichloromethane or ethyl acetate) or in the absence of a solvent normally at a reaction temperature of 0 to 120°C and preferably room temperature to 80°C (with preferable examples of this treatment including treating with trifluoroacetic acid or treating with ethyl acetate and sulfuric acid, more preferably treating with a solvent amount of trifluoroacetic acid, and even more preferably treating with a catalytic amount of concentrated sulfuric acid).

[0235]

All stereoisomers of compounds of the present invention represented by formula (I) (such as enantiomers and diastereomers (including cis- and trans- geometrical isomers)), racemic forms of the isomers, and other mixtures thereof are included in the compounds of the present invention and pharmaceutically acceptable salts thereof. In the present invention, Compound I particularly includes stereoisomers.

[0236]

In addition, although several tautomeric forms such as enol and imine forms, keto and enamine forms and mixtures thereof may exist for the compounds of the present invention and pharmaceutically acceptable salts thereof, all tautomers of the compounds of the present invention are included in the present invention.

[0237]

Moreover, atropisomers of the present invention are also included in the present invention. Atropisomers refer to compounds represented by general formula (I) capable of

being separated into isomers having limited rotation.

[0238]

These isomers can be separated by ordinary methods utilizing differences in physicochemical properties between isomers. For example, racemic compounds can be converted to three-dimensionally pure isomers using a typical optical resolution method such as optical resolution by deriving to a diastereomer salt with an optically active acid such as tartaric acid. Mixtures of diastereomers can be separated by using fractional crystallization or various types of chromatography (such as thin layer chromatography, column chromatography or gas chromatography).

[0239]

In the case of obtaining a compound of formula (I) as claimed in the present invention in a free form, the free form can be converted to a salt optionally formed by a compound of formula (I) or a hydrate or solvate thereof in accordance with ordinary methods.

[0240]

In addition, in the case of obtaining a compound of formula (I) as claimed in the present invention in the form of a salt, hydrate or solvate of a compound of formula (I), that salt, hydrate or solvate can be converted to a free form of a compound of formula (I) in accordance with ordinary methods.

[0241]

Since a compound of formula (I) as claimed in the present invention, or pharmaceutically acceptable salt thereof, has superior PI3K inhibitory action, and particularly superior inhibitory action against the p110α of class Ia of PI3K, it is useful as a preventive agent or therapeutic agent of a proliferative disease, and is particularly useful as a preventive agent or therapeutic

agent of cancer among the proliferative disease as a result of using a compound of the present invention alone or using concomitantly with various types of anticancer agents.

[0242]

Herein, the "proliferative disease" refers to a disorder caused by deficiencies in the cellular signal transduction system or the signal transduction mechanism of a certain protein. The proliferative disease includes, for example, cancers, psoriasis, restenosis, autoimmune diseases, and atherosclerosis. Examples of cancer include solid cancers, while examples of solid cancers include colon cancer, prostate cancer and non-small cell lung cancer.

[0243]

In addition, a compound of formula (I) of the present invention is also useful as a preventive agent or therapeutic agent (and particularly a therapeutic agent) of psoriasis, restenosis, autoimmune diseases and atherosclerosis, as well as diseases such as heart failure sequela, xenograft rejections, osteoarthritis, rheumatoid arthritis, respiratory diseases such as asthma, cystic fibrosis, hepatoma, cardiomegaly, Alzheimer's disease, diabetes, septic shock, HIV infection, inflammations caused by allergies and heart disease.

[0244]

In particular, a compound of formula (I) of the present invention is useful as a preventive agent or therapeutic agent (and particularly a therapeutic agent) of cancers in which PI3K, and particularly the p110α in class Ia of PI3K, is highly expressed.

[0245]

Moreover, the present invention also relates to methods for preventing or treating the proliferative

diseases described above, for example, cancer. Another aspect of the present invention includes methods for preventing or treating solid or hematopoietic PI3K-related cancers.

[0246]

These methods include a step in which a pharmaceutical composition containing as an active ingredient thereof a compound of formula (I) or a pharmaceutically acceptable salt thereof, is administered to a patient requiring such treatment or a patient suffering from such a disease or condition.

[0247]

A pharmaceutical composition of the present invention can be formulated and administered orally or parenterally (such as intravenously, intramuscularly, subcutaneously, rectally, nasally, intracisternally, vaginally, abdominally, intracystically or locally). Examples of preparations for oral administration include tablets, capsules, granules, powders, pills, aqueous or non-aqueous oral solutions and suspensions. Examples of preparations for parenteral administration include injections, ointments, gels, creams, suppositories, oral or nasal sprays, emulsions, oily agents and suspending agents, as well as parenteral solutions filled into containers suitable for administration in individual small doses. In addition, the administration form can be adapted to various administration methods including controlled-release formulations in the manner of subcutaneous transplants.

[0248]

The aforementioned preparations can be produced according to known methods using additives ordinarily used in pharmaceutical preparations, examples of which include vehicles, lubricants (coating agents), binders,

disintegration agents, stabilizers, correctives, diluents, surfactants and emulsifiers.

[0249]

Examples of vehicles include starches such as starch, potato starch and cornstarch, lactose, crystalline cellulose and calcium hydrogen phosphate.

[0250]

Examples of coating agents include ethyl cellulose, hydroxypropyl cellulose, hydroxypropyl methyl cellulose, shellac, talc, Carnauba wax and paraffin.

[0251]

Examples of binders include polyvinyl pyrrolidone, Macrogol and the same compounds as listed for the aforementioned vehicles.

[0252]

Examples of disintegration agents include the same compounds as those listed for the aforementioned vehicles and chemically-modified starches and celluloses such as cross carmellose sodium, sodium carboxymethyl starch or crosslinked polyvinyl pyrrolidone.

[0253]

Examples of stabilizers include paraoxybenzoic acid esters such as methyl paraben or propyl paraben; alcohols such as chlorobutanol, benzyl alcohol or phenylethyl alcohol; benzalkonium chloride; phenols such as phenol or cresol; thimerosal; dehydroacetic acid; and sorbic acid.

[0254]

Examples of correctives include ordinarily used sweeteners, sour flavorings and fragrances.

[0255]

Examples of surfactants and emulsifiers include Polysorbate 80, Polyoxyl 40 Stearate and Lauromacrogol.

[0256]

In addition, examples of solvents able to be used for producing liquid preparations include ethanol, phenol, chlorocresol, purified water and distilled water.

[0257]

In the case of using a pharmaceutical composition of the present invention as a PI3K inhibitor or therapeutic agent or preventive agent of a proliferative diseases such as cancer, the amount of compound of formula (I) of the present invention, or pharmaceutically acceptable salt, used can be suitably altered according to symptoms, age, body weight, relative state of health, presence of other drugs, administration method and the like. For example, the typical effective amount for a patient (warm-blooded animal and particularly a human) as a compound of formula (I) in the case of an oral preparation is preferably 0.1 to 1000 mg, and more preferably 1 to 100 mg, per kg of body weight per day. In the case of parenteral administration, the typical effective amount is preferably 0.1 to 1000 mg and more preferably 1 to 100 mg per kg of body weight per day. This amount is preferably administered once a day or divided into several administrations according to symptoms.

[0258]

The pharmaceutical composition of the present invention can be used concomitantly with other radiotherapy, chemotherapy, vascularization inhibitors and anticancer agents.

Examples

[0259]

Hereinbelow, the present invention is described in more detail by Examples, but the present invention is not limited to these Examples. In the present specification, "N" means "normality", and "M" means "mol/L".

Further, NMR analysis was carried out using JNM-EX270

(270 MHz), JNM-GSX400 (400 MHz) from JEOL, Ltd. or NMR (400 MHz) from Bruker company, and NMR data is represented by ppm (parts per million). A deuterated lock signal from a sample solvent was referred to, with tetramethyl silane being set as an internal standard substance (0 ppm).

[0260]

Mass spectrum data was obtained using JMS-DX303, JMS-SX/SX102A from JEOL Ltd. or Quttromicro from Micromass Ltd., and mass spectrum data provided with high performance liquid chromatography was obtained using a micromass (ZMD from Micromass Ltd.) equipped with 996-600E gradient high performance liquid chromatography from Waters Corporation or a micromass (ZQ from Micromass Ltd.) equipped with 2525 gradient high performance liquid chromatography from Waters Corporation.

[0261]

For the condition for high performance liquid chromatography, any of the following conditions was used.

Condition 1 for high performance liquid chromatography

Column: Combi ODS (ODS, 5 μm, 4.6 mm I.D. x50 mm, from Wako Pure Chemicals Industries, Ltd.), COSMOSIL (ODS, 5 μm, 4.6 mmI.D. x50 mm, from Nacalai Tesque, Inc.), Inertsil C18 (ODS, 5 μm, 4.6 mm I.D.x50 mm, from GL SCIENCES INC.), or SunFire C18 (ODS, 5 μm, 4.6 mm I.D.x50 mm, from Waters Corporation)

Mobile phase: a water containing 0.05% trifluoroacetic acid (A) and acetonitrile containing 0.05% trifluoroacetic acid (B)

Elution method:stepwise solvent gradient elution from 10% of B to 95% of B (3.5 min.), from 95% of B to 10% of B (1 min.), kept at 10% of B (0.5 min.)

Flow rate: 4.0 mL/min..

[0262]

Condition 2 for high performance liquid chromatography

Column: Combi ODS (ODS, 5 μm, 4.6 mm I.D. x50 mm, Wako Pure Chemicals Industries, Ltd.), COSMOSIL (ODS, 5 μm, 4.6 mm I.D. x50 mm, from Nacalai Tesque, Inc.), Inertsil C18 (ODS, 5 μm, 4.6 mm I.D. x50 mm, from GL SCIENCES INC.), or SunFire C18 (ODS, 5 μm, 4.6 mm I.D. x50 mm, from Waters Corporation)

Mobile Phase:a water containing 0.05% trifluoroacetic acid (A) and acetonitrile containing 0.05% trifluoroacetic acid (B)

Elution method: stepwise solvent gradient elution from 30% of B to 35% of B (0.2 min.), from 35% of B to 98% of B (3.3 min.), from 98% of B to 30% of B (1 min.), kept at 30% of B (0.5 min.)

Flow rate: 4.0 mL/min.

[0263]

Condition 3 for high performance liquid chromatography

Column: Combi ODS (ODS, 5 μm, 4.6 mm I.D. x50 mm, Wako Pure Chemicals Industries, Ltd.), or SunFire C18 (ODS, 5 μm, 4.6 mm I.D. x50 mm, from Waters Corporation)

Mobile Phase:a water containing 0.05% trifluoroacetic acid (A) and acetonitrile containing 0.05% trifluoroacetic acid (B)

Elution method:stepwise solvent gradient elution from 10% of B to 95% of B (2 min.), kept at 95% of B (1.5 min.), from 95% of B to 10% of B (1 min.), kept at 10% of B (0.5 min.)

Flow rate: 4.0 mL/min.

Organic synthesis reaction was carried out without further purifying a commercially available reagent.

Room temperature refers to a range of about 20 to

25°C.

All the water-prohibiting reactions were carried out under an argon atmosphere. Concentration or distilling off of a solvent under reduced pressure was, unless otherwise mentioned, carried out using a rotary evaporator.

In preparation of a compound, a functional group was protected by a protective group as necessary, and a protected form of a target molecule was prepared, followed by removal of the protective group. Selection and desorption operation of a protective group were carried out according to the method described, for example, in Greene and Wuts, "Protective Groups in Organic Synthesis", 3rd edition, John Wiley & Sons, 1999.

[0264]

Condition for microwave reaction

All the microwave reactions were carried out according to CEM Explorer microwave system using a snap cap reaction vial. Setting of Powermax includes air cooling of a reaction vessel for avoiding temperature rise due to the microwave.

Further, for reagents or equipment to be used in Examples, the followings were used, unless otherwise mentioned.

· SCX resin (BOND ELUT® SCX from VARIAN, Inc.)

· Irradiation of ultrasonic wave: UT-105T from Sharp Corporation

· WSCI (1-ethyl-3-(3'-dimethylaminopropyl)carbodiimide hydrochloride)

Deprotection Method

Further, typical deprotection methods to be used in the following 1-D-01 to 1-D-335 are shown below. In a case where a protective group is generally a weak group to an acid (e.g., PMB (4-methoxy-benzyl) group, BOC group, or

THP (tetrahydropyran-2-yl) group, etc.), for a deprotection step, for example, deprotection methods by an acid as mentioned below can be used.

[Deprotection method 1]

The concerned compound is dissolved in a solvent amount of TFA, and a catalytic amount of concentrated sulfuric acid is added, followed by stirring at 40°C for a few hours. After completion of the reaction, TFA is concentrated followed by distilling off under reduced pressure, and water is added followed by neutralization with 1M NaOH aqueous solution. After the resulting solid is filtered off, stirring is carried out in, for example, dichloromethane or a mixed solvent of dichloromethane/hexane at room temperature, and the solid is filtered off again to obtain the desired compound.

[Deprotection method 1']

The concerned compound is dissolved in a solvent amount of TFA, and a catalytic amount of concentrated sulfuric acid is added, followed by stirring at 40°C for a few hours. After completion of the reaction, TFA is concentrated followed by distilling off under reduced pressure, and water is added followed by neutralization with 1M NaOH aqueous solution. After the resulting solid is filtered off, purification was carried out by silica gel chromatography, etc. (developing eluent: e.g., dichloromethane/2M ammonia methanol), to obtain the desired compound.

[Deprotection method 2]

The concerned compound is dissolved in a solvent amount of TFA, followed by heating to reflux for a few hours. After completion of the reaction, the reaction mixture is concentrated under reduced pressure, and the resulting residue is purified by silica gel chromatography,

etc. (developing solvent: e.g., dichloromethane/methanol), to obtain the desired compound.

[Deprotection method 3]

The concerned compound is dissolved in a solvent amount of TFA, followed by addition of more than an equivalent amount of N-Acetylcysteine, followed by heating to reflux for a few hours. The reaction mixture is concentrated under reduced pressure, and the resulting residue is purified by silica gel column chromatography, etc., to obtain the desired compound.

[0265]

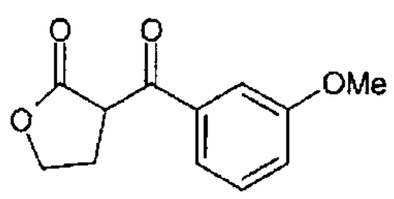
Example 1-A-01

Synthesis of 4-(3-methoxy-phenyl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-01)

[0266]

Step A

3-(3-Methoxybenzoyl)-dihydrofuran-2-one



A solution of γ-butyrolactone (2 g, 23.3 mmol) in dehydrated tetrahydrofuran (250 ml) was cooled to -78°C under a nitrogen atmosphere, and dehydrated tetrahydrofuran solution of 3-methoxybenzoyl chloride (4.17 g, 24.5 mmol) was added, followed by slow addition of lithium hexamethyldisilazide (LHMDS, 1M tetrahydrofuran solution, 46.6 ml, 46.6 mmol). After stirring for 1 hour, saturated sodium hydrogencarbonate aqueous solution (50 ml) was added at -78°C followed by quenching. After extraction with ethyl acetate (200 ml), the organic layer was washed with brine (2x200 ml), dried over sodium sulfate, and subsequently the solvent was removed under reduced

pressure, to obtain a crude product as a yellow oil. The crude was purified by silica gel column chromatography (hexane/ethyl acetate=50/50), to obtain the desired compound as a yellow solid (1.84 g, 36%).

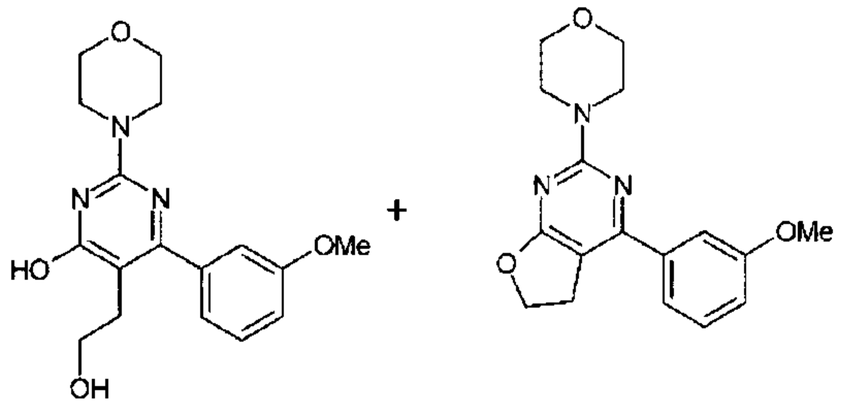
^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm) 7.66 (1H, td, J=7.7, 1.1Hz), 7.57 (1H, dd, J=2.5,1.7Hz), 7.42 (1H, t, J=8.0Hz), 7.16 (1H, ddd, J=8.3, 2.7, 0.9Hz), 4.48-4.58 (2H, m), 4.40-4.46 (1H, m), 3.86 (3H, s), 2.80-2.90 (1H, m), 2.48-2.57 (1H, m).

ESI (LC-MS positive mode) m/z 221$[M+H]^+$.

[0267]

Step B

5-(2-Hydroxyethyl)-6-(3-methoxyphenyl)-2-(morpholin-4-yl)-pyrimidin-4-ol and 4-(3-methoxyphenyl)-2-(morpholin-4-yl)-5,6-furo[2,3-d]pyrimidine



Morpholinoformamidine bromate salt (200 mg, 0.952 mmol), 3-(3-methoxybenzoyl)-dihydrofuran-2-one (419 mg, 1.904 mmol) and sodium t-butoxide (183 mg, 1.904 mmol) were added into a microwave reaction tube, followed by being dissolved in t-butanol (3 ml). After irradiation of microwave (200W, 120°C) for 1 hour, the solvent was removed under reduced pressure, to obtain a crude product as a brown solid. The crude was purified by silica gel column chromatography (DCM/MeOH=95/5), to obtain 5-(2-hydroxyethyl)-6-(3-methoxyphenyl)-2-(morpholin-4-yl)-pyrimidin-4-ol, and 4-(3-methoxyphenyl)-2-(morpholin-4-yl)-5,6-furo[2,3-d]pyrimidine as colorless solid.

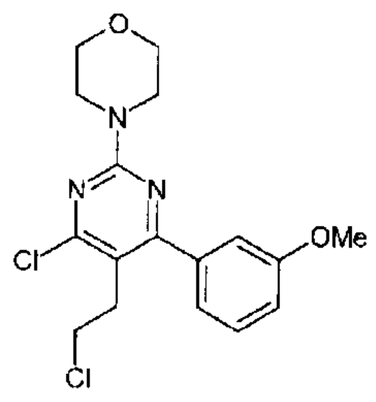
5-(2-hydroxyethyl)-6-(3-methoxyphenyl)-2-(morpholin-4-yl)-pyrimidin-4-ol (88 mg, 28%): ^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm) 7.33 (1H, t, 7.8Hz), 6.97-7.03 (2H, m), 6.91-6.97 (1H, m), 3.82 (3H, s), 3.74-3.81 (6H, m), 3.67-3.73 (4H, m), 2.70 (2H, t, J=5.5Hz); ESI (LC-MS positive mode) m/z 332$[M+H]^+$.

4-(3-methoxyphenyl)-2-(morpholin-4-yl)-5,6-furo[2,3-d]pyrimidine (93 mg, 31%): ^{1}H-NMR (400 MHz, $CDCl_3$) δ ppm) 7.51 (1H, dd, J=2.5,1.6Hz), 7.45 (1H, td, J=7.7,1.2Hz), 7.34 (1H, t, J=8.0Hz), 6.96 (1H, ddd, J=8.2, 2.7, 0.9Hz), 4.60 (2H, t, J=8.4Hz), 3.84 (3H, s), 3.80-3.83 (4H, m), 3.70-3.77 (4H, m), 3.36 (2H, t, J=8.4Hz); ESI (LC-MS positive mode) m/z 315 $[M+H]^+$.

[0268]

Step C

4-Chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-2-(morpholin-4-yl)-pyrimidine



[0269]

[Method C-1]

5-(2-Hydroxyethyl)-6-(3-methoxyphenyl)-2-(morpholin-4-yl)-pyrimidin-4-ol (220 mg, 0.66 mmol) was dissolved in phosphorus oxychloride (5 ml), followed by heating to 110°C for 24 hours in a sealed tube. After concentration under reduced pressure, a crude was obtained as a brown oil. This was purified by silica gel column chromatography (hexane/ethyl acetate=90/10), to obtain the desired compound as a yellow oil (244 mg, 100%).

^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm) 7.40 (1H, t, J=8.1Hz), 7.03-7.08 (1H, m), 6.97-7.03 (2H, m), 3.83 (3H, s), 3.75-3.81 (4H, m), 3.69-3.75 (4H, m), 3.55 (2H, t, J=8.0Hz), 3.06 (2H, t, J=8.0Hz).

ESI (LC-MS positive mode) m/z 368$[M+H]^+$.

[0270]

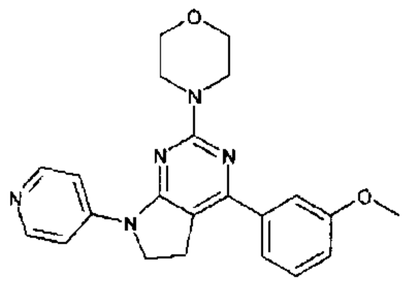
[Method C-2]

4-(3-Methoxyphenyl)-2-(morpholin-4-yl)-5,6-furo[2,3-d]pyrimidine (515 mg, 1.65 mmol) was dissolved in phosphorus oxychloride (12 ml), followed heating to 110°C for 96 hours in a sealed tube. After concentration under reduced pressure, a crude was obtained as a brown oil. This was purified by silica gel column chromatography (hexane/ethyl acetate=90/10), to obtain the desired compound as a yellow oil (550 mg, 91%).

[0271]

Step D

4-(3-Methoxy-phenyl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine



4-[4-Chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine (300 mg, 0.82 mmol), $Pd_2(dba)_3$ (37 mg, 0.04 mmol), 1,3-bis(2,6-diisopropylphenyl)imidazol-2-ylidene (53 mg, 0.12 mmol), sodium t-butoxide (183 mg, 1.904 mmol), and 4-aminopyridine (192 mg, 2.05 mmol) were added into a microwave reaction tube, and purged with nitrogen gas followed by dissolution in dioxane (3 ml). After irradiation of microwave (300 W, 160°C, powermax on) for 1 hour, the solvent was removed under reduced pressure,

to obtain a crude product as a yellow oil. This was purified by silica gel column chromatography (dichloromethane/methanol=9/1), to obtain a product as a yellow crystal. This was recrystallized from methanol, to obtain the desired compound as a colorless crystal (150 mg, yield 39%).

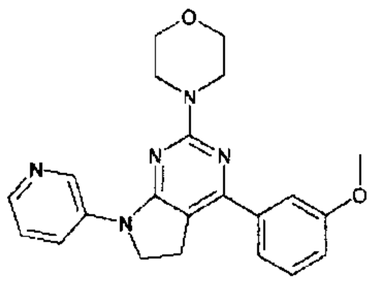
^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm): 8.51 (2H, dd, J=4.9, 1.5Hz), 7.73 (2H, dd, J=4.9, 1.5Hz), 7.39 (1H, t, J=7.9Hz), 7.44-7.50 (2H, m), 6.95-7.02 (1H, m), 4.05 (2H, m), 3.86 (11H, m), 3.36 (2H, m).

ESI (LC-MS positive mode) m/z 390$[M+H]^+$.

[0272]

Example 1-A-02

4-(3-Methoxy-phenyl)-2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-02)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 3-aminopyridine, the desired compound was obtained.

^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm): 9.11 (1H, d, J=2.6Hz), 8.29 (1H, dd, J=4.6, 1.1Hz), 8.14 (1H, ddd, J=8.4, 2.6,1.3Hz), 7.47-7.51 (1H, m), 7.42-7.47 (1H, m), 7.37 (1H, t, J=7.9Hz), 7.30, 1H, dd, J=8.5, 4.7Hz), 6.97 (1H, dd, J=8.1, 1.9Hz), 4.08 (2H, t, J=8.2Hz), 3.82-3.89 (7H, m), 3.76-3.82 (4H, m), 3.36 (2H, t, J=8.2Hz).

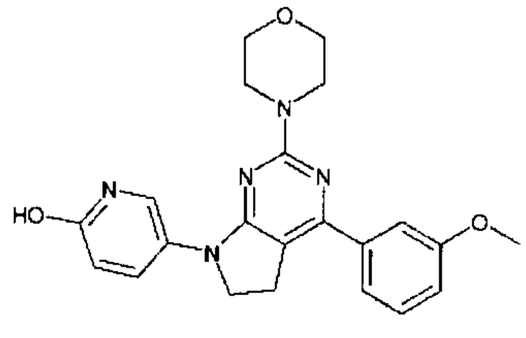
ESI (LC-MS positive mode) m/z 390$[M+H]^+$.

[0273]

Example 1-A-03

5-[4-(3-Methoxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-ol (A-03)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 2-hydroxy-pyridin-5-ylamine, the desired compound was obtained.

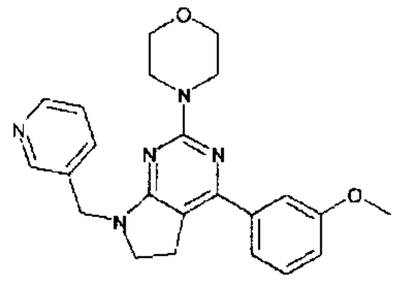
^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 8.01 (1H, dd, J=9.8, 3.0Hz), 7.74 (1H, d, J=2.6Hz), 7.42-7.50 (2H, m), 7.39 (1H, t, J=7.9Hz), 7.01 (1H, ddd, J=8.1, 2.6, 1.0Hz), 6.42 (1H, d, J=9.8Hz), 3.94 (2H, t, J=8.2Hz), 3.80 (3H, s), 3.65 (8H, s), 3.25 (2H, t, J=8.2Hz).

ESI (LC-MS positive mode) m/z 406 ($[M+H]^+$).

[0274]

Example 1-A-04

4-(3-Methoxy-phenyl)-2-morpholin-4-yl-7-pyridin-3-ylmethyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-04)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 3-aminomethylpyridine, the desired compound was obtained.

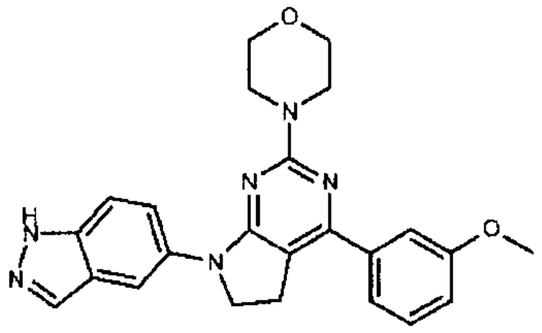
^{1}H-NMR (400 MHz, CD_3OD) δ (ppm): 8.74 (1H, s), 8.66 (1H, s), 8.16 (1H, d, J=7.9Hz), 7.67-7.77 (1H, m), 7.49 (1H, t, J=8.1Hz), 7.18-7.26 (2H, m), 7.15 (1H, ddd, J=8.3, 2.5, 0.8Hz), 4.90 (2H, s), 3.75-3.89(13H, m), 3.15 (2H, t, J=8.3Hz).

ESI (LC-MS positive mode) m/z 404 ($[M+H]^+$).

[0275]

Example 1-A-05

7-(1H-indazol-5-yl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-05)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 1H-indazol-5-ylamine, the desired compound was obtained.

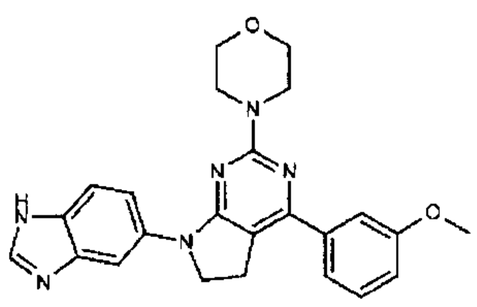
^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm): 10.10 (1H, s), 8.17 (1H, dd, J=9.1, 2.1Hz), 8.05 (1H, d, J=1.0Hz), 7.77 (1H, dd, J=2.0, 0.5Hz), 7.48-7.54 (2H, m), 7.44-7.48 (1H, m), 7.37 (1H, t, J=7.9Hz), 6.96 (1H, ddd, J=8.2, 2.6, 1.0Hz), 4.13 (2H, t, J=8.2Hz), 3.82-3.90 (7H, m), 3.76-3.82 (4H, m), 3.34 (2H, J=t, 8.2Hz).

ESI (LC-MS positive mode) m/z 429 ($[M+H]^+$).

[0276]

Example 1-A-06

7-(1H-benzimidazol-5-yl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-06)



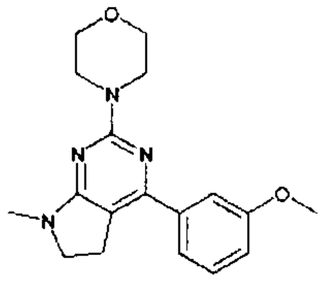
In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 1H-benzimidazol-5-ylamine, the desired compound was obtained.

^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 12.40 (1H, s), 7.74-8.25 (2H, m), 7.44-7.69 (4H, m), 7.40 (1H, t, J=7.9Hz), 7.02 (1H, dd, J=7.6, 2.1Hz), 4.14 (2H, t, J=8.2Hz), 3.81 (3H, s), 3.72 (4H, s), 3.69 (4H, s), 3.30 (2H, t, J=8.3Hz).
ESI (LC-MS positive mode) m/z 429 ($[M+H]^+$).

[0277]

Example 1-A-07

4-(3-Methoxy-phenyl)-7-methyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-07)



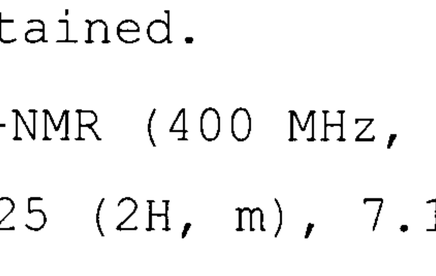
In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and methylamine, the desired compound was obtained.

^{1}H-NMR (400 MHz, CD_3OD) δ (ppm): 7.43-7.52 (1H, m), 7.17-7.25 (2H, m), 7.13 (1H, ddd, J=8.4, 2.6, 0.9Hz), 3.86 (3H, s), 3.73-3.85 (10H, m), 3.13 (3H, s), 3.06-3.14 (2H, m).
ESI (LC-MS positive mode) m/z 327 ($[M+H]^+$).

[0278]

Example 1-A-08

4-(3-Methoxy-phenyl)-7-(6-methoxy-pyridin-3-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-08)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 2-methoxy-pyridin-5-ylamine, the desired

compound was obtained.

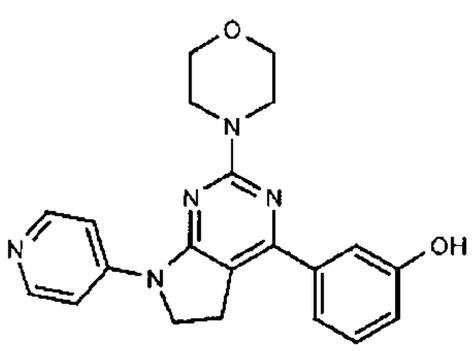
^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm): 8.43 (1H, d, J=2.4Hz), 8.19 (1H, dd, J=9.0,2.9Hz), 7.49 (1H, dd, J=2.5, 1.6Hz), 7.44 (1H, dt, J=7.8, 1.3, 1.1Hz), 7.36 (1H, t, J=7.9Hz), 6.95 (1H, ddd, J=8.1, 2.7, 1.0Hz), 6.78 (1H, dd, J=9.1, 0.5Hz), 4.02 (2H, t, J=8.2Hz), 3.93 (3H, s), 3.86 (3H, s), 3.80-3.85 (4H, m), 3.75-3.80 (4H, m), 3.32 (2H, t, J=8.3Hz).

ESI (LC-MS positive mode) m/z 420 ($[M+H]^+$).

[0279]

Example 1-A-09

3-(2-Morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-09)



A solution of the compound A-01 (50 mg, 0.13 mmol) prepared in Example 1-A-01 in dimethylformamide (3 ml) was heated to 150°C, and a drop of sodium ethanethiolate (105 mg, 0.123 mmol) was added for every 15 minutes in 3 portions. After heating at 150°C for 15 minutes followed by cooling, 1 ml of water was added followed by quenching. This was concentrated under reduced pressure, and purified by silica gel column chromatography (dichloromethane/methanol=94/6), to obtain a colorless crystal. This was washed with water, to obtain the desired compound (13 mg, 27%).

^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 9.60 (1H, s), 8.44 (2H, dd, J=4.9, 1.5Hz), 7.81 (2H, dd, J=5.0, 1.6Hz), 7.40 (1H, t, J=1.7Hz), 7.34 (1H, d, J=8.1Hz), 7.28 (1H, t, J=7.8Hz), 6.85 (1H, ddd, J=7.9, 2.3, 1.0Hz), 4.08 (2H, t, J=8.2Hz),

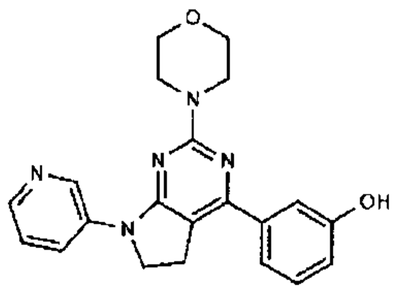
3.66-3.79 (8H, m), 3.28 (2H, t, J=8.1Hz).

ESI (LC-MS positive mode) m/z 376 ($[M+H]^+$).

[0280]

Example 1-A-10

3-(2-Morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-10)



In the same manner as Example 1-A-09, the desired compound was obtained from Compound A-02.

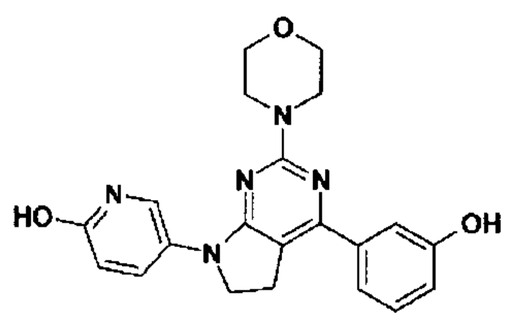
^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 8.31 (1H, d, J=5.9Hz), 7.78 (1H, dd, J=5.8, 1.9Hz), 7.58 (1H, s), 7.40 (1H, s), 7.32-7.36 (1H, m), 7.28 (1H, t, J=7.9Hz), 6.85 (1H, d, J=6.8Hz), 4.07 (2 H, t, J=8.1Hz), 3.73 (8H, d, J=6.6Hz), 3.25-3.32 (3H, m).

ESI (LC-MS positive mode) m/z 376 ($[M+H]^+$).

[0281]

Example 1-A-11

5-[4-(3-Hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-ol (A-11)



In the same manner as Example 1-A-09, the desired compound was obtained from Compound A-03.

^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 11.45 (1H, s), 9.52 (1H, s), 8.01 (1H, dd, J=9.8, 3.1Hz), 7.73 (1H, d, J=2.7Hz), 7.34-7.39 (1H, m), 7.31 (1H, d, J=7.9Hz), 7.25 (1H, t, J=7.8Hz), 6.81 (1H, ddd, J=7.9, 2.5, 1.1Hz), 6.41 (1H, d,

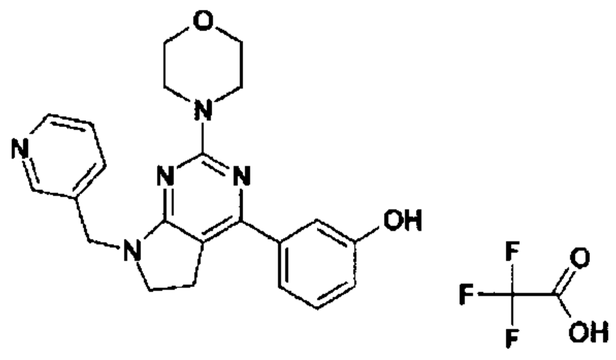
J=9.8Hz), 3.94 (2H, t, J=8.1Hz), 3.66 (8H, s), 3.22 (2H, t, J=8.2Hz).

ESI (LC-MS positive mode) m/z 392 ($[M+H]^+$).

[0282]

Example 1-A-12

3-(2-Morpholin-4-yl-7-pyridin-3-ylmethyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (A-12)



The reaction was carried out from Compound A-04 in the same manner as Example 1-A-09, and the resulting reaction crude product was further subjected to HPLC purification using an eluent containing trifluoroacetic acid, to obtain the desired compound as a trifluoroacetic acid salt.

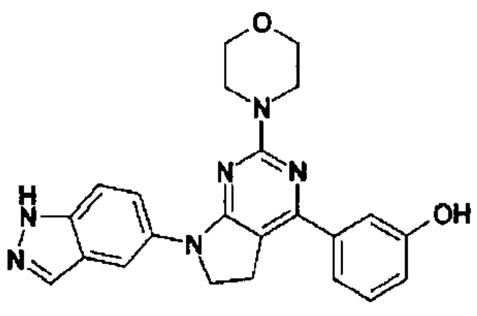
^{1}H-NMR (400 MHz, CD_3OD) δ (ppm): 8.59-8.99 (2H, m), 8.41 (1H, d, J=8.0Hz), 7.82-8.02 (1H, m), 7.38 (1H, t, J=8.0Hz), 7.11 (1H, ddd, J=7.7, 1.7, 1.0Hz), 7.06 (1H, t, J=2.0Hz), 6.99 (1H, ddd, J=8.2, 2.4, 0.9Hz), 4.96 (2H, s), 3.87 (2H, t, J=8.1Hz), 3.71-3.84 (8H, m), 3.16 (2H, t, J=8.3Hz).

ESI (LC-MS positive mode) m/z 390 ($[M+H]^+$).

[0283]

Example 1-A-13

3-[7-(1H-indazol-5-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-13)



In the same manner as Example 1-A-09, the desired compound was obtained from Compound A-05.

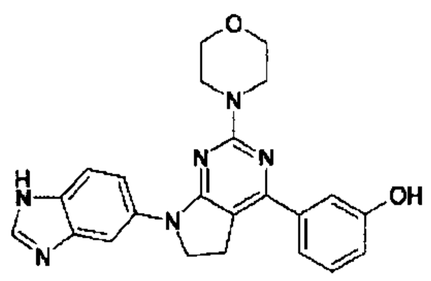
^{1}H-NMR (400 MHz, CD_3OD) δ (ppm): 8.11 (1H, s), 8.01 (1H, d, J=1.4Hz), 7.83 (1H, dd, J=9.1, 2.0Hz), 7.64 (1H, d, J=9.1Hz), 7.42 (1H, t, J=7.9Hz), 7.17 (1H, ddd,J=7.7, 1.6, 0.9Hz), 7.08-7.14 (1H, m), 7.02 (1H, ddd, J=8.2, 2.4, 0.8Hz), 4.40 (2H, t, J=7.9Hz), 3.77 (8H, s), 3.23-3.29 (2H, m).

ESI (LC-MS positive mode) m/z 415 ($[M+H]^+$).

[0284]

Example 1-A-14

3-[7-(1H-benzimidazol-5-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-14)



In the same manner as Example 1-A-09, the desired compound was obtained from Compound A-06.

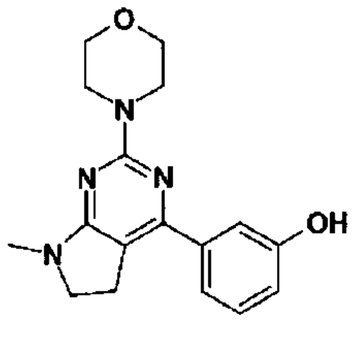
^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 12.40 (1H, s), 9.54 (1H, s), 8.14-8.22 (1H, m), 7.93-8.13 (1H, m), 7.46-7.86 (2H, m), 7.37-7.43 (1H, m), 7.34 (1H, d, J=7.9Hz), 7.27 (1H, t, J=7.8Hz), 6.83 (1H, dd, J=7.5,2.0Hz), 4.14 (2H, t, J=8.1Hz), 3.61-3.81 (8H, m), 3.28 (2H, t, J=8.1Hz).

ESI (LC-MS positive mode) m/z 415 ($[M+H]^+$).

[0285]

Example 1-A-15

3-(7-Methyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-15)



In the same manner as Example 1-A-09, the desired compound was obtained from Compound A-07.

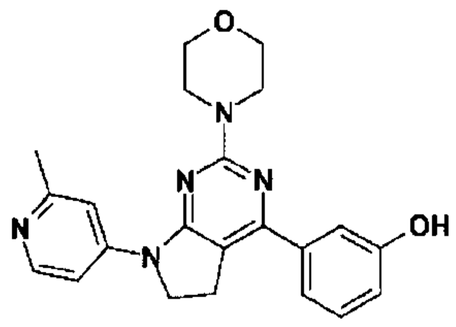
^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm): 7.19-7.30 (3H, m), 6.93 (1H, d, J=8.1Hz), 3.71-3.93 (10H, m), 3.11 (3H, s), 3.04-3.11 (1H, m), 2.66 (1H, s).

ESI (LC-MS positive mode) m/z 313 ($[M+H]^+$).

[0286]

Example 1-A-16

3-[7-(2-Methyl-pyridin-4-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-16)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 4-amino-1-methylpyridine, 4-(3-methoxy-phenyl)-7-(2-methyl-pyridin-4-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained, and subsequently, further in the same manner as Example 1-A-09, the desired compound was obtained.

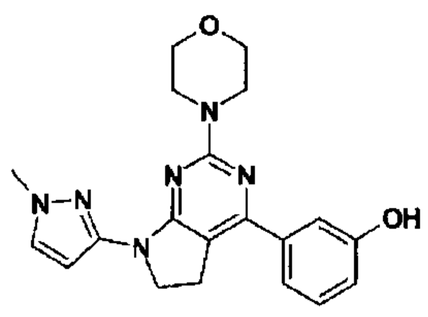
^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 8.31 (1H, d, J=5.9Hz), 7.78 (1H, dd, J=5.8, 1.9Hz), 7.58 (1H, s), 7.40 (1H, s), 7.32-7.36 (1H, m), 7.28 (1H, t, J=7.9Hz), 6.85 (1H, d, J=6.8Hz), 4.07 (2H, t, J=8.1Hz), 3.73 (8H, d, J=6.6Hz), 3.25-3.32 (3H, m).

ESI (LC-MS positive mode) m/z 390 ($[M+H]^+$).

[0287]

Example 1-A-17

3-[7-(1-Methyl-1H-pyrazol-3-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-17)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 1-methyl-1H-pyrazol-3-ylamine, 4-(3-methoxy-phenyl)-7-(1-methyl-1H-pyrazol-3-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained, and subsequently, further in the same manner as Example 1-A-09, the desired compound was obtained.

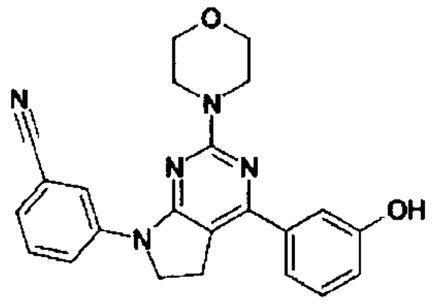
^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 9.60 (1H, br.s.), 7.64 (1H, d, J=2.2Hz), 7.40 (1H, s), 7.33-7.35 (1H, m), 7.26 (1H, t, J=7.9Hz), 6.82 (1H, dd, J=7.9, 1.5Hz), 6.78 (1H, d, J=2.2Hz), 4.05 (2 H, t, J=8.3 Hz), 3.78 (3H, s), 3.71 (8H, d, J=7.0Hz), 3.26 (2H, t, J=8.3Hz).

ESI (LC-MS positive mode) m/z 379 ($[M+H]^+$).

[0288]

Example 1-A-18

3-[4-(3-Hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzonitrile (A-18)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 3-cyanoaniline, 3-[4-(3-methoxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-

benzonitrile was obtained, and subsequently, further in the same manner as Example 1-A-09, the desired compound was obtained.

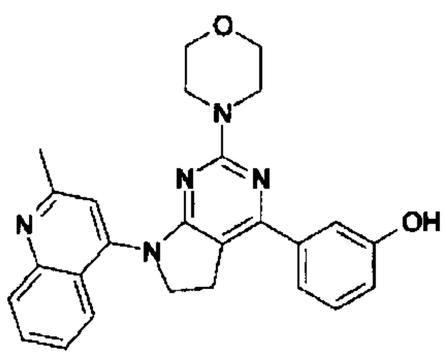
^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm): 8.19 (1H, s), 8.00 (1H, d, J=8.4Hz), 7.41-7.54 (2H, m), 7.35-7.40 (1H, m), 7.28-7.34 (2 H, m), 6.91 (1H, d, J=7.9Hz), 4.06 (2H, t, J=8.2Hz), 3.84 (8H, dd, J=14.4, 4.8Hz), 3.34 (2H, t, J=8.1Hz).

ESI (LC-MS positive mode) m/z 379 ($[M+H]^+$).

[0289]

Example 1-A-19

3-[7-(2-Methyl-quinolin-4-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-19)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 2-methyl-quinolin-4-ylamine, 4-[4-(3-methoxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-2-methyl-quinoline was obtained, and subsequently, further in the same manner as Example 1-A-09, the desired compound was obtained.

^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 7.92 (1H, d, J=8.1Hz), 7.87 (1H, d, J=7.7Hz), 7.70 (1H, t, J=7.0Hz), 7.39-7.48 (3H, m), 7.34-7.38 (1H, m), 7.30 (1H, t, J=7.9Hz), 6.86 (1H, dd, J=7.9, 1.3Hz), 4.20 (2H, t, J=7.9Hz), 3.45 (8H, dd, J=31.9, 3.9Hz), 3.31-3.33 (2H, m), 2.65 (3H, s).

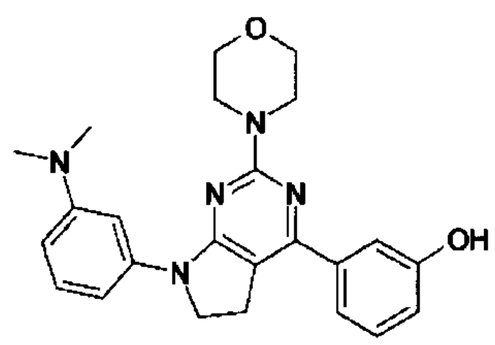
ESI (LC-MS positive mode) m/z 440 ($[M+H]^+$).

[0290]

Example 1-A-20

3-[7-(3-Dimethylamino-phenyl)-2-morpholin-4-yl-6,7-dihydro-

5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-20)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 3-N,N-dimethylaminoaniline, {3-[4-(3-methoxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-dimethyl-amine was obtained, and subsequently, further in the same manner as Example 1-A-09, the desired compound was obtained.

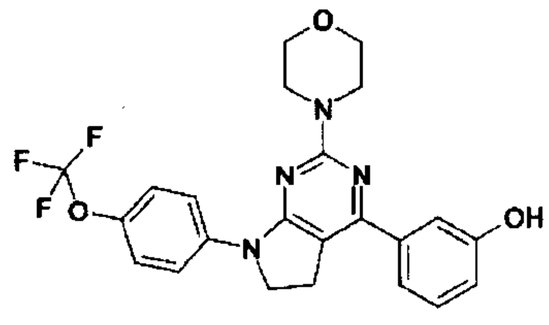
^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm): 7.57 (1H, s), 7.42 (2H, d, J=7.8Hz), 7.18-7.35 (2H, m), 6.87 (2H, d, J=7.9Hz), 6.50 (1H, dd, J=8.1, 2.2Hz), 4.09 (2H, t, J=7.9Hz), 3.84 (8H, dd, J=19.5, 4.9Hz), 3.28 (2H, t, J=7.3Hz), 2.99 (6H,s).

ESI (LC-MS positive mode) m/z 418 ($[M+H]^+$).

[0291]

Example 1-A-21

3-[2-Morpholin-4-yl-7-(4-trifluoromethoxy-phenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-21)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 4-trifluoromethoxyaniline, 4-(3-methoxy-phenyl)-2-morpholin-4-yl-7-(4-trifluoromethoxy-phenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained, and subsequently, further in the same manner as Example 1-A-09, the desired compound was obtained.

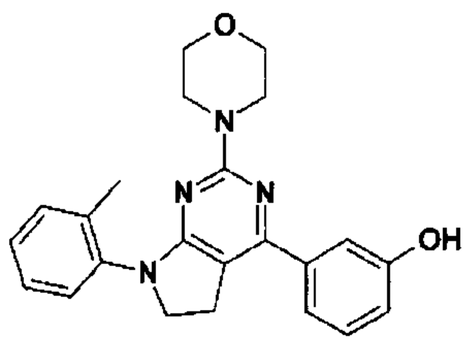
^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm): 7.82 (2H, d, J=9.1Hz), 7.47 (1H, s), 7.42 (1H, d, J=7.8Hz), 7.33 (1H, t, J=7.9Hz), 7.21-7.28 (2H, m), 6.90 (1H, d, J=8.0Hz), 4.07 (2H, t, J=8.2Hz), 3.85 (8H, dd, J=13.2, 4.6Hz), 3.34 (2H, t, J=8.2Hz).

ESI (LC-MS positive mode) m/z 459 ($[M+H]^+$).

[0292]

Example 1-A-22

3-(2-Morpholin-4-yl-7-o-tolyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-22)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 2-methylaniline, 4-(3-methoxy-phenyl)-2-morpholin-4-yl-7-o-tolyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained, and subsequently, further in the same manner as Example 1-A-09, the desired compound was obtained.

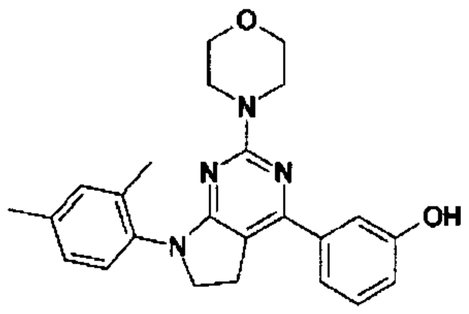
^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 9.52 (1H, s), 7.39 (1H, s), 7.15-7.35 (6H, m), 6.83 (1H, d, J=7.9Hz), 3.96 (2H, t, J=8.1Hz), 3.55 (8H, d, J=7.0Hz), 3.26-3.32 (2H, m), 2.21 (3H, s).

ESI (LC-MS positive mode) m/z 389 ($[M+H]^+$).

[0293]

Example 1-A-23

3-[7-(2,4-Dimethyl-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-23)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 2,4-dimethylaniline, 7-(2,4-dimethyl-phenyl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained, and subsequently, further in the same manner as Example 1-A-09, the desired compound was obtained.

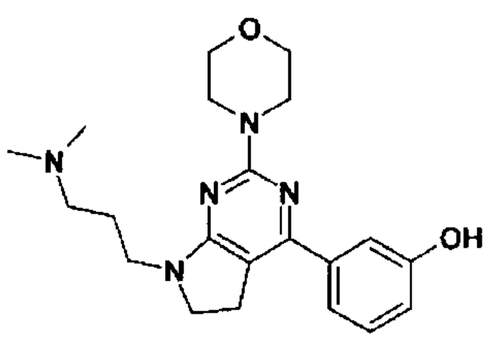
^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm): 7.47 (1H, s), 7.40 (1H, d, J=7.7Hz), 7.30 (1H, t, J=8.0Hz), 7.09-7.17 (2H, m), 7.05 (1H, d, J=8.0Hz), 6.86 (1H, d, J=8.1Hz), 3.93 (2H, t, J=8.1Hz), 3.72 (8H, s), 3.33 (2H, t, J=8.1Hz), 2.36 (3H, s), 2.23 (3H, s).

ESI (LC-MS positive mode) m/z 389 ($[M+H]^+$).

[0294]

Example 1-A-24

3-[7-(3-Dimethylamino-propyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-24)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and N,N-dimethyl-1,3-propanediamine, {3-[4-(3-methoxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-propyl}-dimethyl-amine was obtained, and subsequently, further in the same manner as Example 1-A-09, the desired compound was obtained.

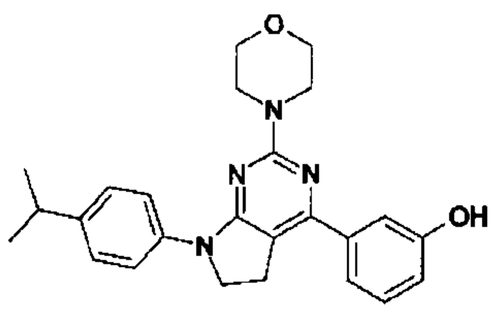
^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 9.48 (1H, brs), 7.35 (1H, s), 7.15-7.30 (2H, m), 6.79 (1H, d, J=8.9Hz), 3.66 (8H, d, J=6.5Hz), 3.56 (2H, t, J=8.1Hz), 3.11 (2H, t, J=8.1Hz), 2.27 (2H, t, J=7.0Hz), 2.16 (6H,s), 1.63-1.75 (2H, m).

ESI (LC-MS positive mode) m/z 384 ($[M+H]^+$).

[0295]

Example 1-A-25

3-[7-(4-Isopropyl-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-25)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 4-isopropylaniline, 7-(4-isopropyl-phenyl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained, and subsequently, further in the same manner as Example 1-A-09, the desired compound was obtained.

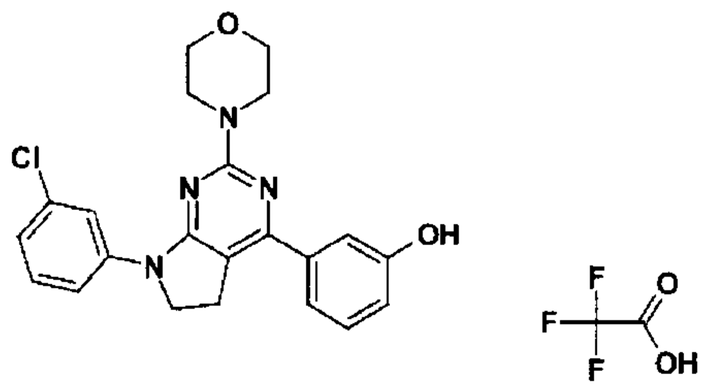
^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 9.54 (1H, s), 7.75 (2H, d, 8.8Hz), 7.39 (1H, s), 7.31-7.36 (1H, m), 7.23-7.30 (3H, m), 6.83 (1H, dd, J=7.9, 1.5Hz), 4.06 (2H, t, J=8.1Hz), 3.70 (8H, d, J=5.1Hz), 3.26 (2H, t, J=8.2Hz), 2.81-2.92 (1H, m), 1.21 (3H, s), 1.19 (3H, s).

ESI (LC-MS positive mode) m/z 417 ($[M+H]^+$).

[0296]

Example 1-A-26

3-[7-(3-Chloro-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid salt (A-26)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 3-chloroaniline, 7-(3-chloro-phenyl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained, and subsequently, further in the same manner as Example 1-A-09 and by HPLC purification, the desired compound was obtained as a trifluoroacetic acid salt.

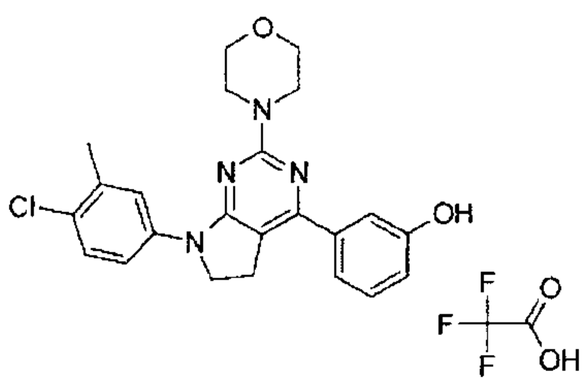
^{1}H-NMR (400 MHz, CD_3OD) δ (ppm): 7.72 (1H, s), 7.51-7.65(3H, m), 7.39-7.46 (2H, m), 7.35 (1H, t, J=7.9Hz), 6.91-7.02 (1H, m), 4.21-4.38 (2H, m), 3.62-3.88 (8H, m), 3.43-3.53 (2H, m).

ESI (LC-MS positive mode) m/z 409 ($[M+H]^+$).

[0297]

Example 1-A-27

3-[7-(4-Chloro-3-methyl-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid salt (A-27)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 4-chloro-3-methylaniline, 7-(4-chloro-3-methyl-phenyl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained, and

subsequently, further in the same manner as Example 1-A-09 and by HPLC purification, the desired compound was obtained as a trifluoroacetic acid salt.

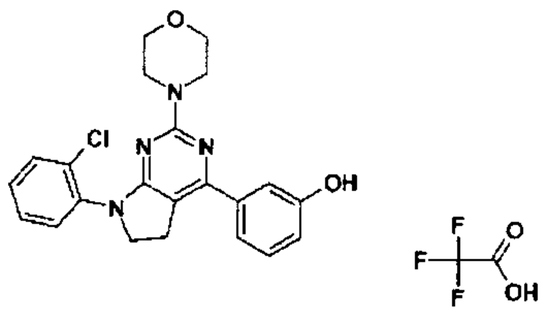
^{1}H-NMR (400 MHz, CD_3OD) δ (ppm): 7.53-7.62 (2H, m), 7.39-7.45 (3H, m), 7.34 (1H, t, J=8.1Hz), 6.96 (1H, dd, J=7.3, 2.7Hz), 4.29 (2H, t, J=8.3Hz), 3.63-3.87 (8H, m), 3.47 (2H, t, J=8.4Hz), 2.46 (3H, s).

ESI (LC-MS positive mode) m/z 423 ($[M+H]^+$).

[0298]

Example 1-A-28

3-[7-(2-Chloro-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid salt (A-28)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 2-chloroaniline, 7-(2-chloro-phenyl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained, and subsequently, further in the same manner as Example 1-A-09, the reaction was carried out, followed by HPLC purification, to obtain the desired compound as a trifluoroacetic acid salt.

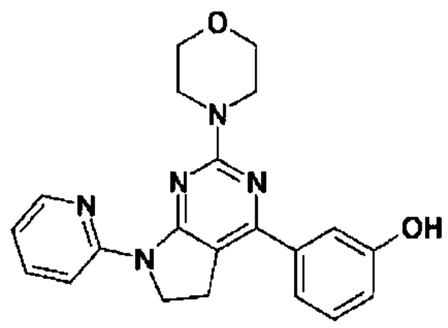
^{1}H-NMR (400 MHz, CD_3OD) δ (ppm): 7.76 (1H, dd, J=7.6,1.7Hz), 7.73 (1H, dd, J=8.1, 1.5Hz), 7.60-7.67 (1H, m), 7.55-7.60(1 H, m), 7.41-7.47 (2H, m), 7.35 (1H, t, J=7.9Hz), 6.95-7.00 (1H, m), 4.29-4.38 (1H, m), 4.18-4.29 (1H, m), 3.77-3.88 (4H, m), 3.65-3.72 (4H, m), 3.49-3.58 (2H, m).

ESI (LC-MS positive mode) m/z 409 ($[M+H]^+$).

[0299]

Example 1-A-29

3-(2-Morpholin-4-yl-7-pyridin-2-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-29)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 2-aminopyrimidine, 4-(3-methoxy-phenyl)-2-morpholin-4-yl-7-pyridin-2-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained, and subsequently, further in the same manner as Example 1-A-09, the desired compound was obtained.

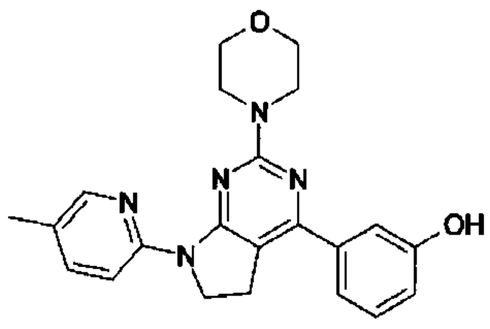
^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 9.57 (1H, s), 8.59 (1H, d, J=8.6Hz), 8.36 (1H, d, J=3.8Hz), 7.82 (1H, t, J=6.9Hz), 7.41 (1H, s), 7.33-7.38 (1H, m), 7.28 (1H, t, J=7.9Hz), 7.02 (1H, dd, J=7.1, 4.9Hz), 6.85 (1H, dd, J=8.0, 1.6Hz), 4.24 (2H, t, J=8.3Hz).

ESI (LC-MS positive mode) m/z 376 ($[M+H]^+$).

[0300]

Example 1-A-30

3-[7-(5-Methyl-pyridin-2-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-30)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 2-amino-5-methylpyrimidine, 4-(3-

methoxy-phenyl)-7-(5-methyl-pyridin-2-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained, and subsequently, further in the same manner as Example 1-A-09, the desired compound was obtained.

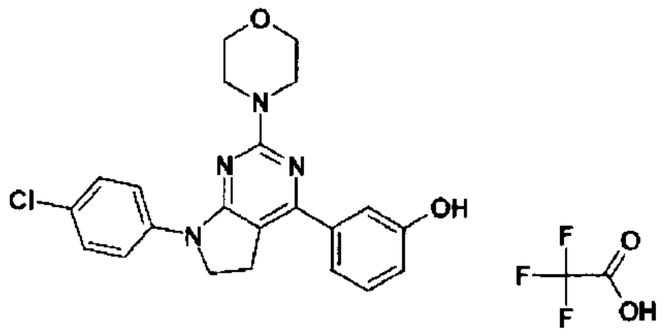
^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 8.45 (1H, d, J=8.6Hz), 8.16 (1H, s), 7.62 (1H, dd, J=8.6, 1.8Hz), 7.30 (1H, s), 7.17 (2H, d, J=4.8Hz), 6.67-6.81 (1H, m), 4.13 (2H, t, J=8.3Hz), 3.69 (8H, d, J=6.2Hz), 3.11-3.15 (2H, m), 2.24 (3H, s).

ESI (LC-MS positive mode) m/z 390 ($[M+H]^+$).

[0301]

Example 1-A-31

3-[7-(4-Chloro-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid salt (A-31)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 4-chloroaniline, 7-(4-chloro-phenyl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained. Further, in the same manner as Example 1-A-09, the reaction was carried out, followed by HPLC purification, to obtain the desired compound as a trifluoroacetic acid salt.

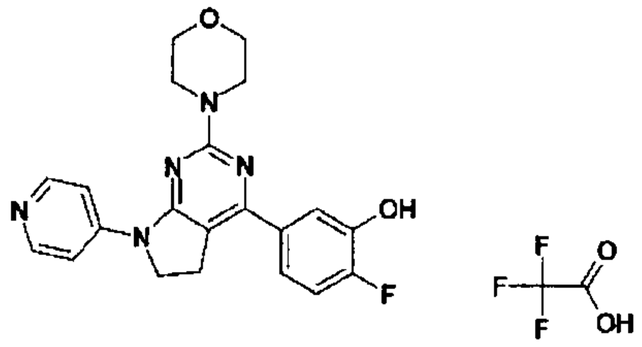
^{1}H-NMR (400 MHz, CD_3OD) δ (ppm): 7.61 (4H, d, J=2.9Hz), 7.39-7.46 (2H, m), 7.34 (1H, t, J=8.1Hz), 6.96 (1H, d, J=8.8Hz), 4.30 (2H, t, J=8.3Hz), 3.61-3.87 (8H, m), 3.48 (2H, t, J=8.1Hz).

ESI (LC-MS positive mode) m/z 409 ($[M+H]^+$).

[0302]

Example 1-A-32

2-Fluoro-5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (A-32)



Using as an acid chloride of the starting material an acid chloride prepared from 4-fluoro-3-methoxy-benzoic acid and thionyl chloride in stead of 3-methoxybenzoyl chloride, in the same manner as Example 1-A-01, 4-(4-fluoro-3-methoxy-phenyl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained, from which, the reaction was carried out in the same manner as Example 1-A-09, followed by HPLC purification, to obtain the desired compound.

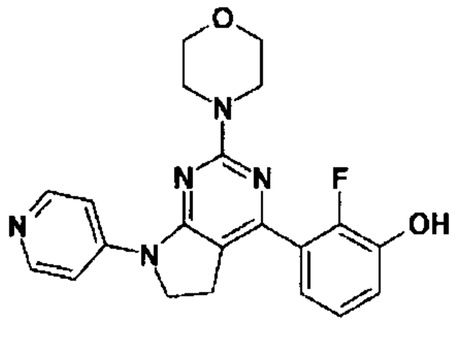
^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 10.19 (1H, brs), 8.65 (2H, d, J=7.3Hz), 8.28 (2H, brs),7.67 (1H, dd, J=8.7, 2.1Hz), 7.36-7.47 (1H, m), 7.27 (1H, dd, J=11.0, 8.6Hz), 4.23 (2H, t, J=8.1Hz), 3.76 (8H, dd, J=17.7, 5.0Hz), 3.33-3.39 (2H, m).

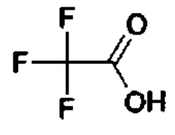
ESI (LC-MS positive mode) m/z 394 ($[M+H]^+$).

[0303]

Example 1-A-33

2-Fluoro-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (A-33)





Using as an acid chloride of the starting material, an acid chloride prepared from 2-fluoro-3-methoxy-benzoic acid and thionyl chloride instead of 3-methoxybenzoyl chloride, in the same manner as Example 1-A-01, 4-(2-fluoro-3-methoxy-phenyl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained, from which, the reaction was carried out in the same manner as Example 1-A-09, followed by HPLC purification, to obtain the desired compound.

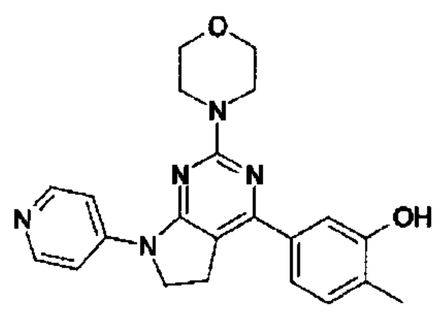
^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 10.14 (1H, brs), 8.67 (2H, d, J=7.3Hz), 8.29 (2H, brs),7.03-7.19 (2H, m), 6.99 (1H, t, J=6.8Hz), 4.22 (2H, t, J=8.1Hz), 3.73 (8H, dd, J=13.9, 4.8Hz), 3.05 (2H, t, J=8.1Hz).

ESI (LC-MS positive mode) m/z 394 ($[M+H]^+$).

[0304]

Example 1-A-34

2-Methyl-5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-34)



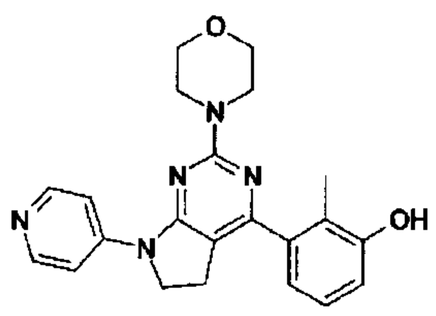
By using 4-methyl-3-methoxy-benzoic acid chloride for the reaction with γ-butyrolactone from Step A in Example 1-A-01, 2-morpholin-4-yl-7-pyridin-4-yl-4-(4-methyl-3-methoxy-phenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained, and subsequently, further in the same manner as Example 1-A-09, the desired compound was obtained.

^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 9.49 (1H, brs),8.44 (2H, d, J=6.3Hz), 7.82 (2H, d, J=6.4Hz), 7.49 (1H, s), 7.29 (1H, d, J=7.8Hz), 7.17 (1H, d, J=8.0Hz), 4.08 (2H, t, J=8.2Hz), 3.74 (8H, d, J=7.7Hz), 3.24-3.32 (3H, m), 2.17 (3H, s).
ESI (LC-MS positive mode) m/z 390 ($[M+H]^+$).

[0305]

Example 1-A-35

2-Methyl-3-(2-Morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-35)

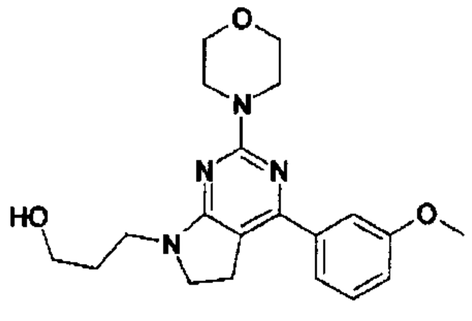


By using 2-methyl-3-methoxy-benzoic acid chloride for the reaction with γ-butyrolactone from Step A in Example 1-A-01, 2-morpholin-4-yl-7-pyridin-4-yl-4-(2-methyl-3-methoxy-phenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine was obtained, and subsequently, further in the same manner as Example 1-A-09, the desired compound was obtained.
^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 9.50 (1H, brs), 8.45 (2H, d, J=6.0Hz), 7.81 (2H, d, J=6.0Hz), 7.05 (1H, t, J=7.7Hz), 6.85 (1H, d, J=7.9Hz), 6.73 (1H, d, J=7.3Hz), 4.03 (2H, t, J=8.2Hz), 3.68 (8H, s), 2.81-2.94 (2H, m), 2.04 (3H, s).
ESI (LC-MS positive mode) m/z 390 ($[M+H]^+$).

[0306]

Example 1-A-36

3-[4-(3-Methoxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-propan-1-ol (A-36)



In the same manner as Example 1-A-01, from 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]-morpholine and 3-aminopropane-1-ol, the desired compound was obtained.

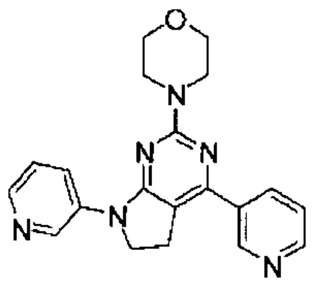
^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm): 7.32-7.49 (3H, m), 6.92-6.96 (1H, m), 4.60 (1H, brt), 3.86 (3H, s), 3.78 (8H, m), 3.49-3.64 (6H, m), 3.23 (2H, t, J=8.1Hz), 1.73-1.81 (2H, m).

ESI (LC-MS positive mode) m/z 371 ($[M+H]^+$).

[0307]

Example 1-A-37

2-Morpholin-4-yl-4,7-di-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-37)



By using nicotinic acid chloride for the reaction with γ-butyrolactone from Step A in Example 1-A-01, 4-[4-chloro-5-(2-chloro-ethyl)-6-pyrimidin-3-yl-pyrimidin-2-yl]-morpholine was obtained, which was subsequently reacted with 3-aminopyridine, to obtain the desired compound.

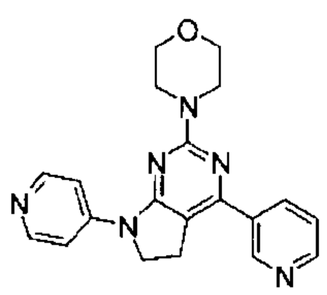
^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm): 3.39 (2H, t, J=7.9Hz), 3.79-3.90 (8H, m), 4.13 (2H, t, J=7.9Hz), 7.33 (1H, dd, J=8.4, 4.8Hz), 7.41 (1H, dd, J=8.1, 4.8Hz), 8.15 (1H, dq, J=8.4, 1.3Hz), 8.25 (1H, dt, J=8.1, 2.0Hz), 8.30 (1H, m), 8.67 (1H, dd, J=4.8, 1.7Hz), 9.14 (2H, m).

ESI (LC-MS positive mode) m/z 391 ($[M+H]^+$).

[0308]

Example 1-A-38

2-Morpholin-4-yl-4-pyridin-3-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-38)



Using 4-aminopyridine instead of 3-aminopyridine, in the same manner as Example 1-A-37, the desired compound was obtained as a yellow solid (yield 9%).

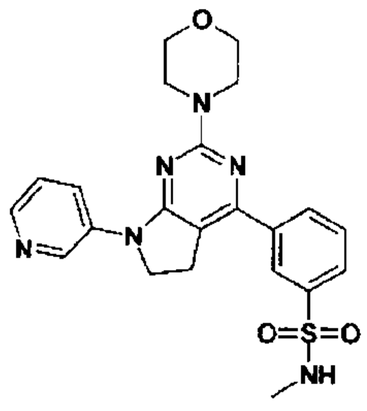
^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm): 3.38 (2H, t, J=8.7Hz), 3.80-3.92 (8H, m), 4.11 (2H, t, J=8.7Hz), 7.43 (1H, ddd, J=8.1, 4.8, 0.8Hz), 7.75 (2H, dd, J=5.0,1.7Hz), 8.26 (1H, dt, J=8.1, 2.3Hz), 8.53 (2H, dd, J=5.0,1.7Hz), 8.67 (1H, dd, J=4.8, 1.7Hz), 9.12 (1H, dd, J=2.3,0.8Hz)

ESI (LC-MS positive mode) m/z 391 ($[M+H]^+$).

[0309]

Example 1-A-39

N-methyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzenesulfonamide (A-39)



Using 3-[6-chloro-5-(2-chloro-ethyl)-2-morpholin-4-yl-pyrimidin-4-yl]-N-methyl-benzenesulfonamide instead of 4-[4-chloro-5-(2-chloro-ethyl)-6-phenyl-pyrimidin-2-yl]-morpholine, in the same manner as Example 1-A-37, the desired compound was obtained as a yellow solid (Yield 9%).

^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 9.10 (1H, d, J=2.6Hz), 8.32 (1H, t, J=1.6 Hz), 8.28-8.24 (2H, m), 8.20 (1H, d,

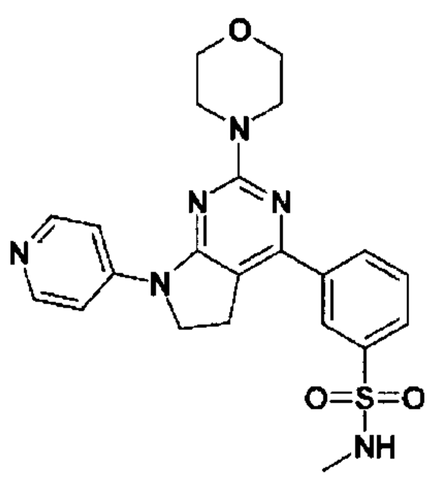
J=7.8Hz), 7.87 (1H, dt, J=7.8, 1.6Hz), 7.75 (1H, t, J=7.8Hz), 7.62 (1H, q, J=4.9Hz), 7.47-7.42 (1H, m), 4.17 (2H, t, J=8.2Hz), 3.78-3.66 (8H, m), 3.38 (2H, t, J=8.2Hz), 2.46 (3H, d, J=4.9 Hz).

ESI (LC-MS positive mode) m/z 453 ($[M+H]^+$).

[0310]

Example 1-A-40

N-methyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzenesulfonamide (A-40)



Using 4-aminopyridine instead of 3-aminopyridine, and 3-[6-chloro-5-(2-chloro-ethyl)-2-morpholin-4-yl-pyrimidin-4-yl]-N-methyl-benzenesulfonamide instead of 4-[4-chloro-5-(2-chloro-ethyl)-6-phenyl-pyrimidin-2-yl]-morpholine, in the same manner as Example 1-A-37, the desired compound was obtained as a yellow solid (yield 9%).

^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm): 8.49 (2H, d, J=5.4Hz), 8.31 (1H, s), 8.20 (1H, d, J=7.9 Hz), 7.87 (2H, d, J=5.4Hz), 7.76 (1H, td, J=7.9, 1.6Hz), 7.63 (1H, q, J=5.4Hz), 4.14 (2H, t, J=8.1Hz), 3.78-3.72 (8H, m), 3.37 (2H, t, J=8.1Hz), 2.45 (2H, d, J=4.9Hz).

ESI (LC-MS positive mode) m/z 453 ($[M+H]^+$).

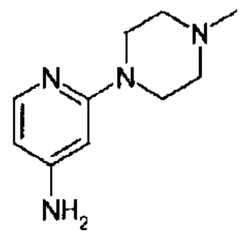
[0311]

Example 1-A-41

3-{7-[2-(4-Methyl-piperazin-1-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol (A-41)

Step A

2-(4-Methyl-piperazin-1-yl)-pyridin-4-ylamine



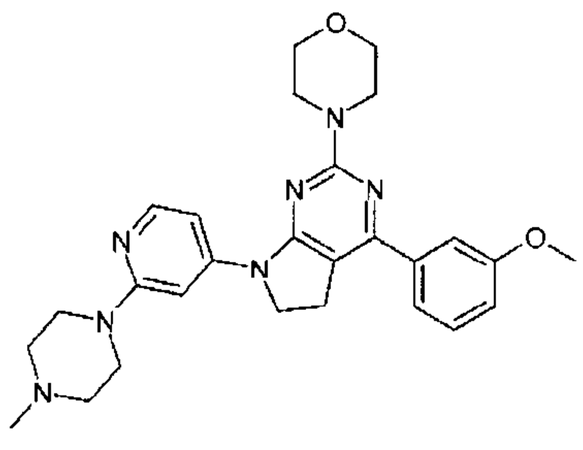
4-Amino-2-chloropyridine (180 mg, 1,4 mmol) was dissolved in 1-methylpiperazine (1 ml), followed by heating at 135°C for 16 hours in a pressure vessel. After cooling to room temperature, methanol (2 ml) and diethyl ether(2 ml) were added, and the deposited precipitate was filtered off. The resulting solid was washed with cooled diethyl ether followed by drying, to obtain a colorless crystal powder (50 mg, 18.6% yield).

^{1}H-NMR (400 MHz, CD_3OD) δ (ppm) 7.63 (1H, d, J=5.95Hz), 6.09 (1H, dd, J=5.95, 1.92Hz), 5.99 (1H, d, J=1.92Hz), 3.37-3.42 (4H, m), 2.52-2.58 (4H, m), 2.34 (3H, s).

[0312]

Step B

4-(3-Methoxy-phenyl)-7-[2-(4-methyl-piperazin-1-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine



Sodium hydride (108 mg, 60% mineral oil dispersion, 2.72 mmol) was placed in a dried flask under a nitrogen atmosphere, followed by sequential addition of anhydrous tetrahydrofuran(10 ml) and 2-(4-methylpiperazin-1-yl)pyridin-4-ylamine (62 mg, 0.32 mmol) with a syringe, and the resulting mixture was heated to reflux for 2 hours. 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-

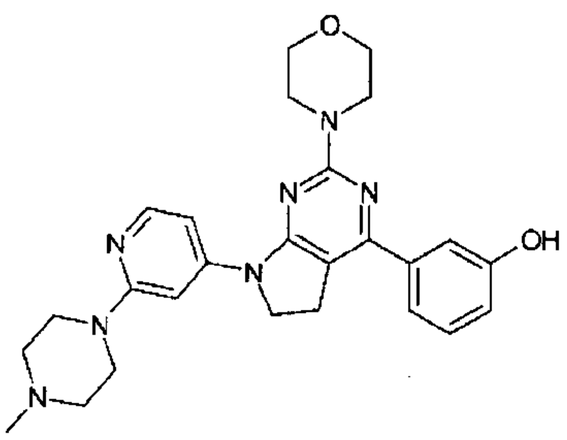
2-yl]morpholine (100 mg, 0.27 mmol) was added, followed by heating to reflux for 16 hours. The reaction mixture was cooled, which was subsequently added dropwise slowly onto ice water, followed by extraction twice with ethyl acetate (10 ml). The organic layer was washed with brine (10 ml), and dried over sodium sulfate, followed by distilling off under reduced pressure, to obtain a crude product as a red oil (107 mg).

ESI (LC-MS positive mode) m/z 975 [2M+H].

[0313]

Step C

3-{7-[2-(4-Methyl-piperazin-1-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol



4-(3-Methoxyphenyl)-7-[2-(4-methylpiperazin-1-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (107 mg, 0.22 mmol) was heated at 150°C in dimethylformamide (1 ml), and sodium ethanethiolate (275 mg, 3.3 mmol) was added at 15 minutes intervals in 3 portions. After heating at 150°C for further 15 minutes followed by cooling, water (1 ml) was added, followed by washing with ethyl acetate (2 ml). After the aqueous layer was left overnight, the deposited precipitate was filtered off, and washed with water followed by drying, whereby a colorless solid was obtained (18 mg, 17.3% yield).

^{1}H-NMR (400 MHz, DMSO-d_6) δ (ppm) 8.02 (1H, d, J=5.7Hz), 7.38 (2H, d, J=8.4Hz), 7.31-7.35 (1H, m), 7.28 (1H, t,

J=7.8Hz), 7.09 (1H, dd, J=5.9, 1.6Hz), 6.85 (1H, dd, J=7.5, 1.8Hz), 4.07 (2H, t, J=8.1Hz), 3.73 (8H, dd, J=15.4, 4.8Hz), 3.44-3.51 (4H, m), 3.24-3.30 (2H, m), 2.37-2.44 (4H, m), 2.22 (3H, s).

ESI (LC-MS positive mode) m/z 474 [M+H].

[0314]

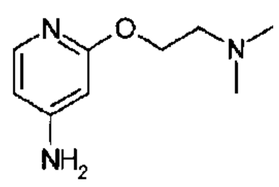
Example 1-A-42

3-{7-[2-(2-Dimethylamino-ethoxy)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol (A-42)

[0315]

Step A

2-(2-Dimethylamino-ethoxy)-pyridin-4-ylamine



Sodium hydride (159 mg, 60% mineral oil dispersion, 3.98 mmol) was placed in a dried flask under a nitrogen atmosphere, followed by sequential addition of anhydrous toluene (10 ml) and 2-dimethylaminoethanol (177 mg, 2.0 mmol) with a syringe. After the resulting mixture was stirred at room temperature for 40 minutes, 4-amino-2-chloropyridine (203 mg, 1.59 mmol) was added, followed by heating to reflux for 16 hours. The reaction mixture was cooled, which was subsequently added dropwise slowly onto ice water, followed by extraction twice with ethyl acetate (10 ml). The organic layer was washed with brine (10 ml), and dried over sodium sulfate, followed by distilling off under reduced pressure, to obtain a crude product as a pale brown solid (180 mg).

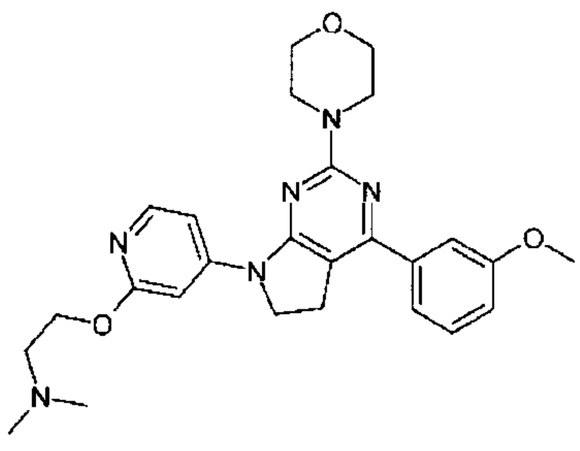
^{1}H-NMR (400 MHz, $CDCl_3$) δ (ppm) 7.78 (1H, d, J=5.76Hz), 6.18 (1H, dd, J=5.72, 2.06Hz), 5.96 (1H, d, J=2.01Hz), 4.34 (2H, t, J=5.67Hz), 4.14 (2H, br.s.), 2.63-2.73 (2 H, m),

2.31 (6H,s).

[0316]

Step B

(2-{4-[4-(3-Methoxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yloxy}-ethyl)-dimethyl-amine



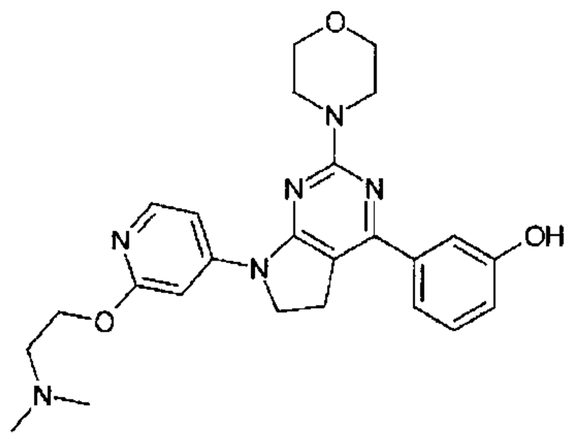
Sodium hydride (108 mg, 60% mineral oil dispersion, 2.72 mmol) was placed in a dried flask under a nitrogen atmosphere, followed by sequential addition of anhydrous tetrahydrofuran(10 ml) and 2-(4-methylpiperazin-1-yl)pyridin-4-ylamine (62 mg, 0.32 mmol) with a syringe. After the resulting mixture was stirred at room temperature for 2 hours, 4-[4-chloro-5-(2-chloroethyl)-6-(3-methoxyphenyl)-pyrimidin-2-yl]morpholine (100 mg, 0.27 mmol) was added, followed by heating to reflux for 4 hours. The reaction mixture was cooled, which was subsequently added dropwise slowly onto ice water, followed by extraction twice with ethyl acetate (10 ml). The organic layer was washed with brine (10 ml), and dried over sodium sulfate, followed by distilling off under reduced pressure, to obtain a crude product as a red oil (206 mg).

ESI (LC-MS positive mode) m/z 477 [M+H].

[0317]

Step C

3-{7-[2-(2-Dimethylamino-ethoxy)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol



4-(3-Methoxyphenyl)-7-[2-(2-dimethylaminoethoxy)pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (206 mg) was heated to 150°C in dimethylformamide (1 ml), and sodium ethanethiolate (275 mg, 3.3 mmol) was added at 15 minutes intervals in 3 portions. After heating at 150°C for further 15 minutes followed by cooling, water (1 ml) was added, followed by extraction with ethyl acetate (2 ml). The organic layer was separated, followed by concentration under reduced pressure, the resulting oil was purified by preparative HPLC, to obtain a trifluoroacetic acid salt of the desired compound as a pale yellow solid (14 mg, 9% yield).

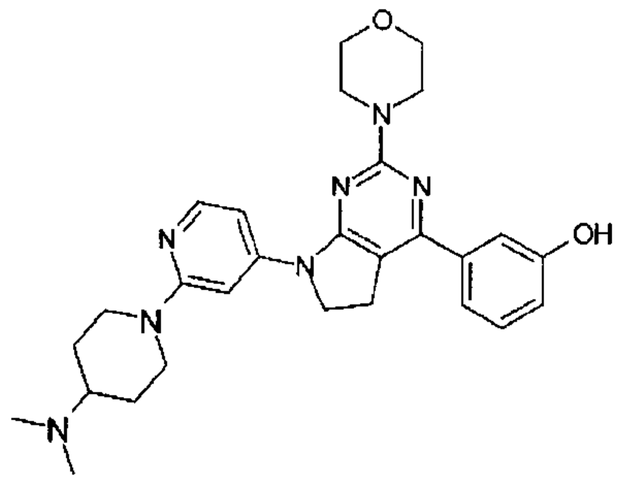
^{1}H-NMR (400 MHz, CD_3OD) δ (ppm) 8.17 (1H, d, J=6.0Hz), 7.76 (1H, d, J=4.1Hz), 7.37 (1H, t, J=8.1Hz), 7.22-7.30 (3H, m), 6.97 (1H, d, J=7.9Hz), 4.65-4.75 (2H, m), 4.24 (2H, t, J=8.1Hz), 3.85 (8H, dd, J=11.6, 3.7Hz), 3.57-3.68 (2H, m), 3.33-3.39 (2H, m), 3.01 (6H, s).

ESI (LC-MS positive mode) m/z 463 [M+H].

[0318]

Example 1-A-43

3-[7-(4-Dimethylamino-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4'-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-43)



In the same manner as Example 1-A-41, using 4-dimethylaminopiperidine instead of 1-methylpiperazine, the desired compound was obtained.

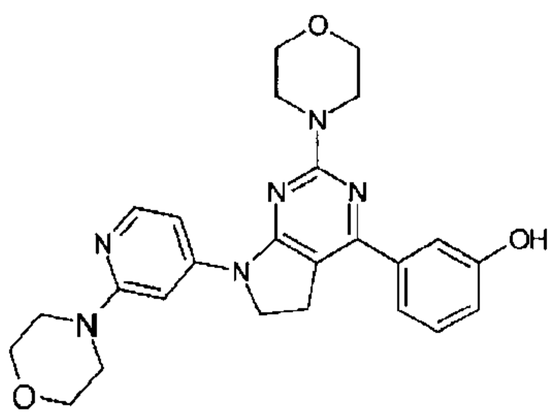
^{1}H-NMR (400 MHz, CD_3OD) δ (ppm) 7.92 (1H, d, J=7.3Hz), 7.81 (1H, br.s.), 7.57 (1H, br.s.), 7.42 (1H, s), 7.36-7.40 (1H, m), 7.31 (1H, t, J=7.8Hz), 6.91 (1H, d, J=6.5Hz), 4.18-4.36 (4H, m), 3.84 (8H, dd, J=19.3,5.3Hz), 3.52-3.66 (1H, m), 3.40 (2H, t, J=8.1Hz), 3.24-3.29 (2H, m), 2.93 (6H,s),2.28 (2H, d, J=13.4Hz), 1.77-1.99 (2H, m).

ESI (LC-MS positive mode) m/z 502 [M+H].

[0319]

Example 1-A-44

3-[2-Morpholin-4-yl-7-(2-morpholin-4-yl-pyridin-4-yl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-44)



In the same manner as Example 1-A-41, using morpholine instead of 1-methylpiperazine, the desired compound was obtained.

^{1}H-NMR (400 MHz, CD_3OD) δ (ppm) 7.80-7.96 (2H, m), 7.35-7.51 (3H, m), 7.31 (1H, t, J=7.9Hz), 6.90 (1H, d, J=8.1Hz), 4.21 (2H, t, J=8.2Hz), 3.72-3.94 (12H, m), 3.54- 3.63 (4H, m), 3.39 (2H, t, J=8.2Hz).

DEMANDES OU BREVETS VOLUMINEUX

LA PRÉSENTE PARTIE DE CETTE DEMANDE OU CE BREVETS COMPREND PLUS D'UN TOME.

CECI EST LE TOME __1___ DE __3___

NOTE: Pour les tomes additionels, veillez contacter le Bureau Canadien des Brevets.

JUMBO APPLICATIONS / PATENTS

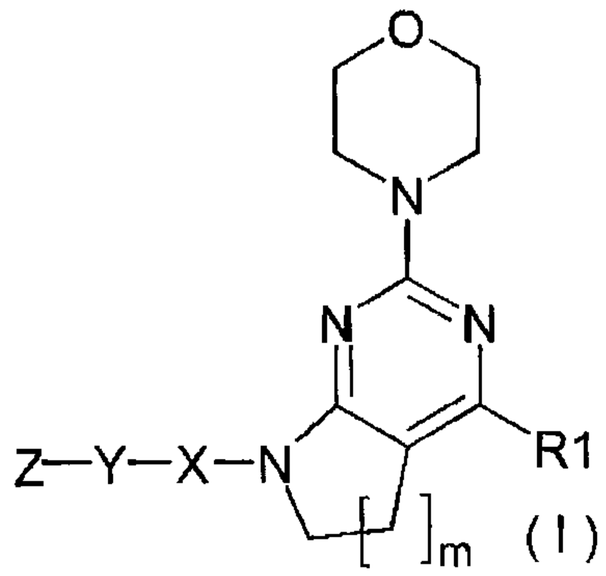
THIS SECTION OF THE APPLICATION / PATENT CONTAINS MORE THAN ONE VOLUME.

THIS IS VOLUME __1___ OF __3___

NOTE: For additional volumes please contact the Canadian Patent Office.

CLAIMS

1. A compound, represented by the following formula (I):



(I)

[wherein,

X represents a single bond, or a linking group selected from -CO-, $-SO_2-$, -CS- or $-CH_2-$;

Y represents a single bond or a divalent linking group derived from a ring selected from benzene, pyridine, pyrimidine, pyrazole, imidazole, oxazole, thiazole, furan, thiophene, quinoline, benzoimidazole, benzothiazole, benzopyrazole, naphthalene and benzothiophene (said linking group may be unsubstituted or substituted at 1 to 6 locations by a halogen atom, $-C_{1-6}$ alkyl or $-OC_{1-6}$ alkyl);

X and Y are not simultaneously single bonds;

Z represents a hydrogen atom or a substituent selected from the following group A:

Group A:

$-C_{1-6}$alkyl,

-ethynyl,

-halogenoC_{1-6}alkyl,

-Cyc,

-C_{1-6}alkylene-OR,

-C_{1-6}alkylene-COR,

-C_{1-6}alkylene-COOR,

-C_{1-6}alkylene-CONRR',

-C_{1-6}alkylene-NRR',

-C_{1-6}alkylene-Cyc,

-C_{1-6}alkylene-CO-Cyc,

-C_{1-6}alkylene-O-C_{1-6}alkylene-Cyc,

-C_{1-6}alkylene-SO_2R,

-C_{1-6}alkylene-SO_2-Cyc,

-halogen,

-CN,

-SO_2R,

-SO_2-NRR',

-SO_2-NR-Cyc,

-SO_2-NR-C_{1-6}alkylene-Cyc,

-SO_2-Cyc,

-COR,

-CO-Cyc,

-CO-Cyc-C_{1-6}alkylene-Cyc,

-CO-C_{1-6}alkylene-Cyc,

-CO-Cyc-Cyc,

-COOR,

-CONRR',

-CONR-C_{1-6}alkylene-OR',

-CONR-C_{1-6}alkylene-CONR'R",

-CONR-Cyc,

-CONR-C_{1-6}alkylene-Cyc,

-OR,

-O-allyl,

-O-halogenoC_{1-6}alkyl,

-O-C_{1-6}alkylene-NRR',

-O-C_{1-6}alkylene-CONRR',

-O-C_{1-6}alkylene-NRCOR',

-NRR',

-NH-NH_2,

-NRCOR',

-NRCO-Cyc,

-NRCO-C_{1-6}alkylene-Cyc,

-NRCO-C_{1-6}alkylene-OR',

-NR-C_{1-6}alkylene-COOR',

-NR-C_{1-6}alkylene-CONR'R",

-NR-C_{1-6}alkylene-NR'R",

-NR-C_{1-6}alkylene-NR'COR",

-NR-C_{1-6}alkylene-OR',

-NR-Cyc,

-NR-Cyc-Cyc,

-NR-Cyc-CO-Cyc,

-NR-Cyc-CO-C_{1-6}alkylene-Cyc,

-NR-Cyc-NR'-Cyc,

-NR-Cyc-NR'-C_{1-6}alkylene-Cyc,

-NR-C_{1-6}alkylene-Cyc,

-NR-C_{1-6}alkylene-Cyc-CO-Cyc,

-NR-C_{1-6}alkylene-Cyc-NR'-Cyc,

-$NRSO_2$R',

-S-C_{1-6}alkylene-CO-Cyc,

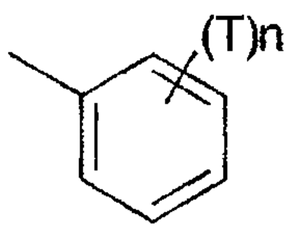
-S-C_{1-6}alkylene-COOR',

-S-C_{1-6}alkylene-NRCOR', and

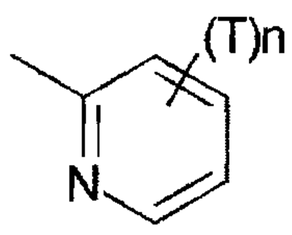
-S-C_{1-6}alkylene-CONRR';

m represents an integer of 1 or 2;

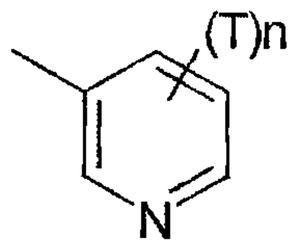
R^1 represents a cyclic substituent selected from the following group having n substituents T;



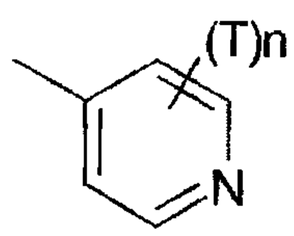
R_1a



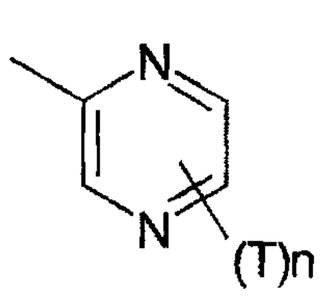
R_1b1



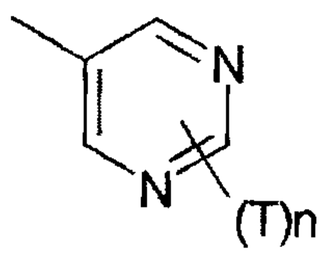
R_1b2



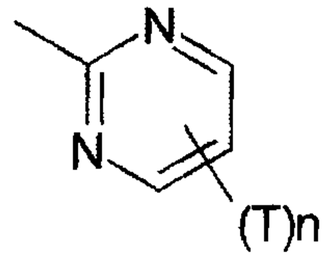
R_1b3



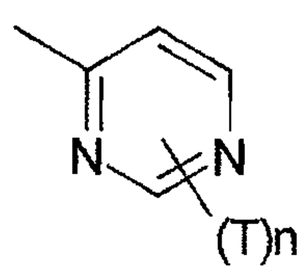
R_1c1



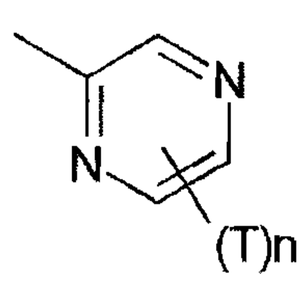
R_1c2



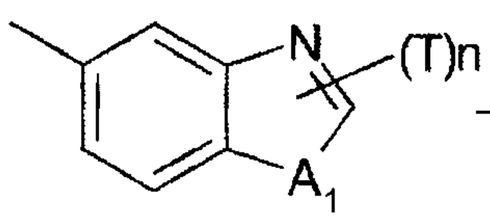
R_1c3



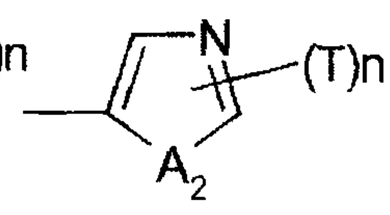
R_1c4



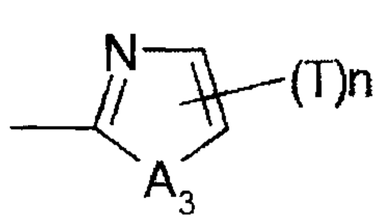
R_1c5



R_1d



R_1e



R_1f

A_1, A_2 and A_3 are respectively and independently selected from NH, S or O;

T represents a substituent selected from the following group B:

Group B:

-Cyc,

-C_{1-6}alkyl,

-C_{1-6}alkylene-OR,

-C_{1-6}alkylene-NRR',

-C_{1-6}alkylene-CONRR',

-C_{1-6}alkylene-NRCOR',

-C_{1-6}alkylene-Cyc,

-OR,

-O-halogenoC_{1-6}alkyl,

-O-C_{1-6}alkylene-Cyc,

-O-COOR,

-O-COR,

-O-CONRR',

-NRR',

-NR-C_{1-6}alkylene-NR'R",

-NR-C_{1-6}alkylene-OR',

-halogen,

-CO-Cyc,

-CO-Cyc-Cyc,

-CO-C_{1-6}alkylene-Cyc,

-COOR,

-COO-C_{1-6}alkylene-OR,

-COO-C_{1-6}alkylene-NRR',

-COO-C_{1-6}alkylene-Cyc,

-CONRR',

-CONR-C_{1-6}alkylene-OR',

-CONR-C_{1-6}alkylene-NR'R",

-CONR-C_{1-6}alkylene-CONR'R",

-CONR-Cyc,

-CONR-C_{1-6}alkylene-Cyc,

-SO_2NRR',

-NRSO_2R',

-CN, and

-NH-NH_2;

n represents an integer of 0, 1, 2, 3, 4 or 5 (T may be the same or different when n is 2 to 5);

in the aforementioned group A and group B,

R, R' and R" may be respectively and independently the same or different and represent a hydrogen atom or a -C_{1-6} alkyl (said -C_{1-6} alkyl may be substituted by a group selected from -OH, -O(C_{1-6} alkyl), -COOH, -COO(C_{1-6} alkyl), -$CONH_2$, -CONH(C_{1-6} alkyl), -CON(C_{1-6} alkyl)$_2$, -NHCO(C_{1-6} alkyl), -NH_2, -NH(C_{1-6} alkyl) and -N(C_{1-6} alkyl)$_2$);

Cyc represents a hydrocarbon ring or nitrogen-containing heterocyclic ring (said hydrocarbon ring and nitrogen-containing heterocylic ring may be substituted at

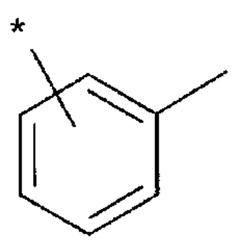
1 to 3 locations by a group selected from -R (R is not a hydrogen atom at this time), -CO-R, -COOR, -CONRR', -NRCOR', -halogeno C_{1-6} alkyl, halogen atom, -OR, -O-halogeno C_{1-6} alkyl, -NRR' and-SO_2R);

said C_{1-6} alkylene in the groups A and B may be substituted at 1 to 3 locations by a group selected from -C_{1-6} alkyl, -OH, -$CONH_2$, -NH_2, -NH(C_{1-6} alkyl) and -N(C_{1-6} alkyl)$_2$; and R, R' and R" in said -NRR', -NR'R" or -CONRR' in the group A, group B and Cyc may form a 3- to 7-member nitrogen-containing aturated hydrocarbon ring together with an adjacent N]

or a pharmaceutically acceptable salt thereof.

2. The compound according to claim 1, wherein Y is either a single bond or a divalent linking group selected from the following (said linking group may be unsubstituted or substituted at 1 to 6 locations by a halogen atom, -C_{1-6} alkyl or -OC_{1-6} alkyl, and an asterisk (*) in the following group of linking groups represents a bond with Z),

or a pharmaceutically acceptable salt thereof.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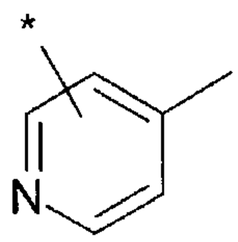
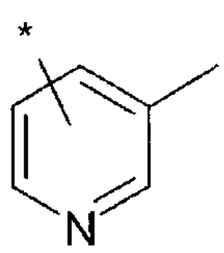
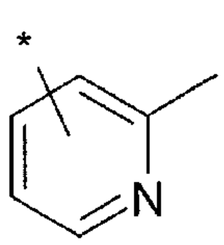

Yb_1


Yb_2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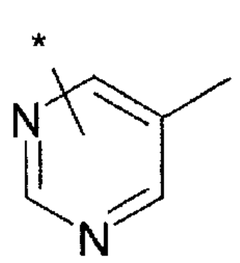
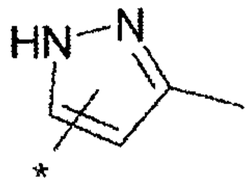
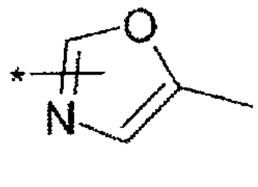
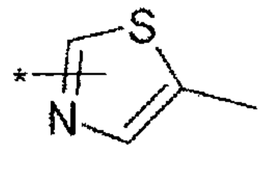
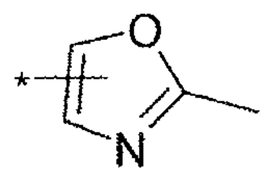
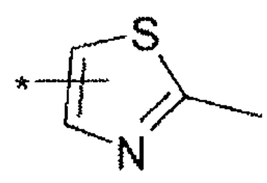

Yb_4

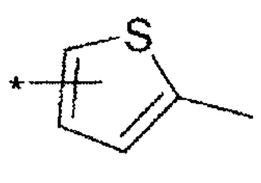

Yc_1


Yc_2


Yc_3


Yc_4


Yc_5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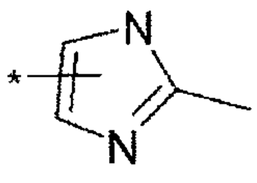
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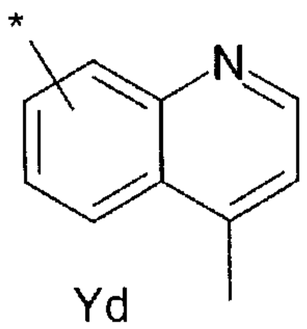
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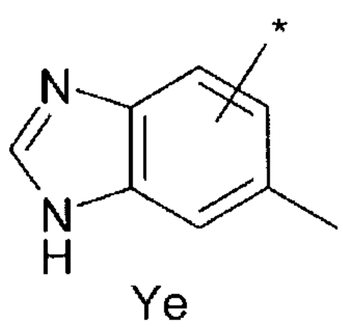
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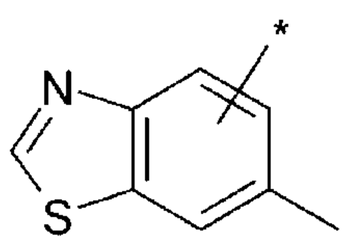
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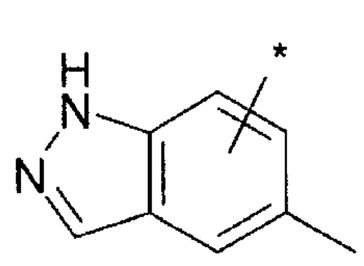
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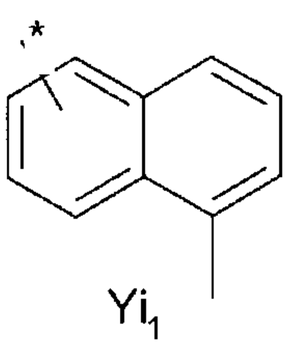
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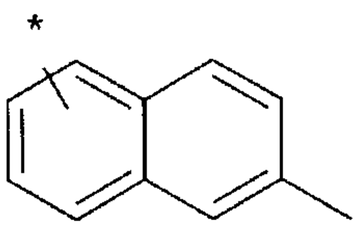
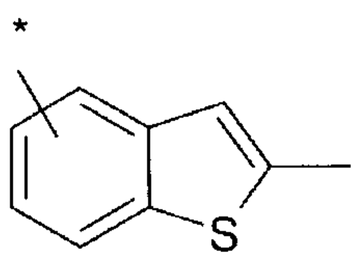

Yi_2


Yh

3. The compound according to claim 1, wherein X is a single bond, -CO- or -CS-,
or a pharmaceutically acceptable salt thereof.

4. The compound according to claim 1, wherein the linking group in Y is an unsubstituted linking group or a linking group substituted at 1 or 2 locations by -fluoro, -methyl or -methoxy,
or a pharmaceutically acceptable salt thereof.

5. The compound according to claim 2, wherein Y is a single bond or a linking group according to claim 2 selected from Ya, Yb_1, Yb_2, Yb_3 or Yb_4,
or a pharmaceutically acceptable salt thereof.

6. The compound according to claim 1, wherein m is 1, or a pharmaceutically acceptable salt thereof.

7. The compound according to claim 1, wherein n is 0, 1 or 2, or a pharmaceutically acceptable salt thereof.

8. The compound according to claim 1, wherein Cyc in the group A is a monovalent or divalent group derived from a hydrocarbon ring or nitrogen-containing heterocyclic ring selected from benzene, naphthalene, cyclopropane, cyclobutane, cyclopentane, cyclohexane, spiro[2,3]hexane, spiro[3,3]heptane, indane, tetrahydronaphthalene, cyclopropene, cyclobutene, cyclopentene, cyclohexene, pyrrole, pyrazole, imidazole, triazole, oxazole, isoxazole, indazole, thiazole, pyridine, pyridazine, pyrimidine, pyrazine, oxazine, triazine, indole, benzimidazole, benzoxazole, benzothiazole, benzopyrazole, quinoline, isoquinoline, quinoxaline, quinazoline, phthalazine, purine, pteridine, aziridine, azetidine, pyrrolidine, imidazoline, oxazoline, imidazolidine, oxazolidine, thiazine, piperidine, piperazine, morpholine or azepane (said Cyc may be respectively substituted at 1 to 3 locations by -OH, -O(C_{1-6} alkyl), -O-C_{1-6} alkylene-OH, -C_{1-6} alkyl, -C_{1-6} alkylene-OH, -C_{1-6} alkyl fluoride, -COO(C_{1-6} alkyl), -$CONH_2$, -CONH(C_{1-6} alkyl), -CON(C_{1-6} alkyl)$_2$, -NH_2, -NH(C_{1-6} alkyl), -N(C_{1-6} alkyl)$_2$, -SO_2(C_{1-6} alkyl) or -CO(C_{1-6} alkyl)),
or a pharmaceutically acceptable salt thereof.

9. The compound according to claim 1, wherein R^1 is R_1a, R_1b_1, R_1b_2, R_1b_3, R_1c_1, R_1c_2, R_1c_3, R_1c_4, R_1c_5, R_1d, R_1e or R_1f, and A_3 is S or O,

or a pharmaceutically acceptable salt thereof.

10. The compound according to claim 1, wherein R, R' and R" in group B of T, which are the same or different, are a hydrogen atom or C_{1-6} alkyl,
or a pharmaceutically acceptable salt thereof.

11. The compound according to claim 1, wherein Cyc in the group B is a monovalent or divalent group derived from a hydrocarbon ring or nitrogen-containing heterocyclic ring selected from benzene, naphthalene, cyclopropane, cyclobutane, cyclopentane, cyclohexane, spiro[2.3]hexane, spiro[3.3]heptane, indane, tetrahydronaphthalene, cyclopropene, cyclobutene, cyclopentene, cyclohexene, pyrrole, pyrazole, imidazole, triazole, oxazole, isoxazole, indazole, thiazole, pyridine, pyridazine, pyrimidine, pyrazine, oxazine, triazine, indole, benzimidazole, benzoxazole, benzothiazole, benzopyrazole, quinoline, isoquinoline, quinoxaline, quinazoline, phthalazine, purine, pteridine, aziridine, azetidine, pyrrolidine, imidazoline, oxazoline, imidazolidine, oxazolidine, thiazine, 2,5-dihydropyrrole, piperidine, piperazine, morpholine or azepane (said Cyc may be unsubstituted or respectively substituted at 1 to 3 locations by -OH, $-O(C_{1-6}$ alkyl), $-C_{1-6}$ alkyl, $-NH_2$, $-NH(C_{1-6}$ alkyl), $-N(C_{1-6}$ alkyl$)_2$ or $-CO(C_{1-6}$ alkyl)),
or a pharmaceutically acceptable salt thereof.

12. The compound according to claim 1, wherein X is a linking group selected from -CO- or -CS-, and Z is a group selected from the following groups when Y is a single bond:

-Cyc,

$-C_{1-6}$ alkylene-Cyc,

-C_{1-6} alkylene-CO-Cyc,

-C_{1-6} alkylene-O-C_{1-6} alkylene-Cyc,

-C_{1-6} alkylene-SO_2-Cyc,

-NRCO-Cyc,

-NRCO-C_{1-6} alkylene-Cyc,

-NR-Cyc,

-NR-Cyc-Cyc,

-NR-Cyc-CO-Cyc,

-NR-C_{1-6} alkylene-Cyc-CO-Cyc,

-NR-Cyc-CO-C_{1-6} alkylene-Cyc,

-NR-Cyc-NR'-Cyc,

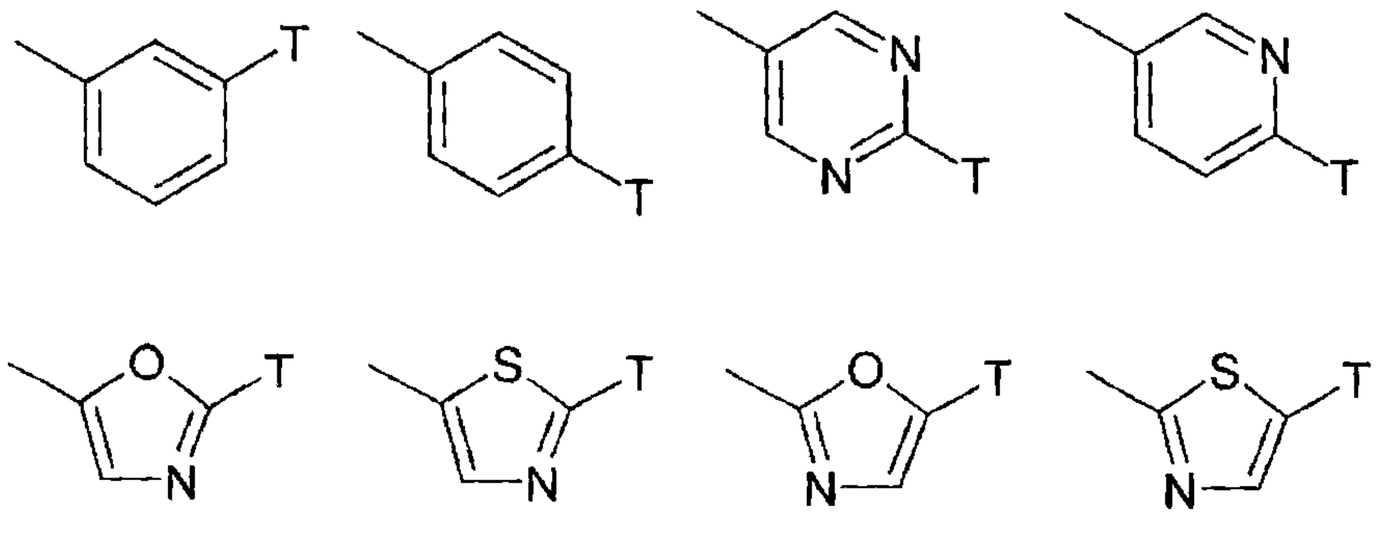
-NR-C_{1-6} alkylene-Cyc-NR'-Cyc,

-NR-Cyc-NR'-C_{1-6} alkylene-Cyc, and

-NR-C_{1-6} alkylene-Cyc,

or a pharmaceutically acceptable salt thereof.

13. The compound according to claim 1, wherein R^1 is a substituent selected from the following group of substituents,



or a pharmaceutically acceptable salt thereof.

14. The compound according to claim 1, wherein R^1 is -3-hydroxyphenyl or -2-amino-pyrimidin-5-yl,
or a pharmaceutically acceptable salt thereof.

15. A compound, selected from the following compounds:

4-(3-methoxy-phenyl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-01);
4-(3-methoxy-phenyl)-2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-02);
5-[4-(3-methoxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-ol (A-03);
4-(3-methoxy-phenyl)-2-morpholin-4-yl-7-pyridin-3-ylmethyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-04);
7-(1H-indazol-5-yl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-05);
7-(1H-benzimidazol-5-yl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-06);
4-(3-methoxy-phenyl)-7-methyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-07);
4-(3-methoxy-phenyl)-7-(6-methoxy-pyridin-3-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-08);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-09);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-10);
5-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-ol (A-11);
3-(2-morpholin-4-yl-7-pyridin-3-ylmethyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (A-12);
3-[7-(1H-indazol-5-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-13);
3-[7-(1H-benzimidazol-5-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-14);
3-(7-methyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-15);
3-[7-(2-methyl-pyridin-4-yl)-2-morpholin-4-yl-6,7-dihydro-

5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-16);
3-[7-(1-methyl-1H-pyrazol-3-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-17);
3-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzonitrile (A-18);
3-[7-(2-methyl-quinolin-4-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-19);
3-[7-(3-dimethylamino-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-20);
3-[2-morpholin-4-yl-7-(4-trifluoromethoxy-phenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-21);
3-(2-morpholin-4-yl-7-o-tolyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-22);
3-[7-(2,4-dimethyl-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-23);
3-[7-(3-dimethylamino-propyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-24);
3-[7-(4-isopropyl-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-25);
3-[7-(3-chloro-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid salt (A-26);
3-[7-(4-chloro-3-methyl-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid salt (A-27);
3-[7-(2-chloro-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid salt (A-28);
3-(2-morpholin-4-yl-7-pyridin-2-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-29);
3-[7-(5-methyl-pyridin-2-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-30);
3-[7-(4-chloro-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-

pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid salt (A-31);

2-fluoro-5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (A-32);

2-fluoro-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (A-33);

2-methyl-5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-34);

2-methyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-35);

3-[4-(3-methoxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-propan-1-ol (A-36);

2-morpholin-4-yl-4,7-di-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-37);

2-morpholin-4-yl-4-pyridin-3-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (A-38);

N-methyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzenesulfonamide (A-39);

N-methyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzenesulfonamide (A-40);

3-{7-[2-(4-methyl-piperazin-1-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol (A-41);

3-{7-[2-(2-dimethylamino-ethoxy)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol (A-42);

3-[7-(4-dimethylamino-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4'-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-43);

3-[2-morpholin-4-yl-7-(2-morpholin-4-yl-pyridin-4-yl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-44);

3-(7-{2-[(3-dimethylamino-propyl)-methyl-amino]-pyridin-4-yl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-45);
3-(7-{2-[(2-dimethylamino-ethyl)-methyl-amino]-pyridin-4-yl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-46);
3-[7-(4-dimethylamino-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-47);
N-{3-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-methanesulfonamide trifluoroacetic acid salt (A-48);
3-(2-morpholin-4-yl-7-thiazol-2-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (A-49);
3-[7-(4-methanesulfonyl-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (A-50);
4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzenesulfonamide (A-51);
3-(7-benzothiazol-6-yl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (A-52);
3-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzenesulfonamide (A-53);
3-(2-morpholin-4-yl-8-pyridin-4-yl-5,6,7,8-tetrahydro-pyrido[2,3-d]pyrimidin-4-yl)-phenol (A-54);
5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (B-01);
5-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (B-02);
5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyridin-2-ylamine (B-03);
5-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyridin-2-ylamine (B-04);
4-methoxy-5-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-

5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (B-05);

2-fluoro-4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenylamine (B-06);

2,6-difluoro-4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenylamine (B-07);

4-(2,4-dimethoxy-pyrimidin-5-yl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-08);

4-(2,4-dimethoxy-pyrimidin-5-yl)-2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-09);

4-(6-methoxy-pyridin-3-yl)-2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-10);

4-(6-methoxy-pyridin-3-yl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-11);

4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid methyl ester (B-12);

4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid methyl ester hydrochloride (B-13);

4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzonitrile (B-14);

4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzonitrile hydrochloride (B-15);

4-(3-fluoro-phenyl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-16);

4-(5-methoxy-pyridin-3-yl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-17);

2-morpholin-4-yl-7-pyridin-4-yl-4-pyrimidin-5-yl-6,7-

dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-18);
N-[4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanesulfonamide (B-19);
[2,6-difluoro-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanol (B-20);
4-(1H-benzimidazol-5-yl)-2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-21);
4-(1H-benzimidazol-5-yl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-22);
[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanol (B-23);
4-(2-methoxy-pyridin-3-yl)-2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-24);
4-(3-benzyloxy-2,6-difluoro-phenyl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-25);
2,4-difluoro-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (B-26);
4-(2-methoxy-pyrimidin-5-yl)-2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-27);
2-morpholin-4-yl-4,7-di-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-28);
2-morpholin-4-yl-4-pyridin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-29);
[4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanol (B-30);
[4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanol (B-31);
4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzylamine hydrochloride (B-32);

4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzylamine hydrochloride (B-33);

2-fluoro-5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzonitrile (B-34);

[2-fluoro-5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanol (B-35);

[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanol (B-36);

2-morpholin-4-yl-7-pyridin-4-yl-4-(3-trifluoromethoxy-phenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-37);

2-morpholin-4-yl-7-pyridin-4-yl-4-(4-trifluoromethoxy-phenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-38);

4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (B-39);

2-morpholin-4-yl-7-pyridin-4-yl-4-(3,4,5-trimethoxy-phenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-40);

2-morpholin-4-yl-4-phenyl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-41);

5-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyridin-2-ol (B-42);

5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyridin-2-ol (B-43);

3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyridin-2-ol (B-44);

5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ol (B-45);

3-(2-morpholin-4-yl-7-phenyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (B-46);

3-[7-(2,4-difluoro-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (B-47);

4-(3-methoxy-phenyl)-7-(4-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-48);

7-(4-methoxy-benzyl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (B-49);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzenesulfonamide (B-50);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzenesulfonamide (B-51);
2-fluoro-4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenylamine (B-52);
2,6-difluoro-4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenylamine (B-53);
4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenylamine (B-54);
6-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyridin-3-ylamine (B-55);
4-(3-hydroxyphenyl)-2-(morpholin-4-yl)-7-(ethylaminocarbonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (C-01);
1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-ethanone (C-02);
[4-(3-t-butoxyphenyl)-2-morpholin-4-yl-5,6-dihydropyrrolo[2,3-d]pyrimidin-7-yl]-phenylmethanone (C-03);
[4-(3-hydroxyphenyl)-2-morpholin-4-yl-5,6-dihydropyrrolo[2,3-d]pyrimidin-7-yl]-phenylmethanone (C-04);
1-[4-(3-hydroxyphenyl)-2-morpholin-4-yl-5,6-dihydropyrrolo[2,3-d]pyrimidin-7-yl]propan-1-one (C-05);
1-[4-(3-hydroxyphenyl)-2-morpholin-4-yl-5,6-dihydropyrrolo[2,3-d]pyrimidin-7-yl]-2,2-dimethyl-propan-1-one (C-06);
4-(3-t-butoxy-phenyl)-2-morpholin-4-yl-7-(toluene-4-sulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (C-07);

3-[2-morpholin-4-yl-7-(toluene-4-sulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-08);
4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-carbaldehyde (C-09);
3-(7-methanesulfonyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (C-10);
3-(7-ethanesulfonyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (C-11);
3-[2-morpholin-4-yl-7-(toluene-2-sulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-12);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-acetic acid ethyl ester (C-13);
3-(7-benzenesulfonyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (C-14);
3-[2-morpholin-4-yl-7-(thiophene-2-sulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-15);
3-[7-(3-methoxy-benzenesulfonyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-16);
4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid phenyl amide (C-17);
4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2,4-difluoro-phenyl)-amide (C-18);
4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid p-tolyl amide (C-19);
4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-trifluoromethyl-phenyl)-amide (C-20);
3-[7-(4-fluoro-benzenesulfonyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-21);

3-[7-(2,4-difluoro-benzenesulfonyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-22);
4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-sulfonyl]-benzonitrile (C-23);
3-[2-morpholin-4-yl-7-(toluene-3-sulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-24);
3-[7-(4-tert-butyl-benzenesulfonyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-25);
3-[2-morpholin-4-yl-7-(4-trifluoromethyl-benzenesulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-26);
3-[2-morpholin-4-yl-7-(3-trifluoromethyl-benzenesulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-27);
3-[2-morpholin-4-yl-7-(4-trifluoromethoxy-benzenesulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-28);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-p-tolyl-methanone (C-29);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-m-tolyl-methanone (C-30);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-(4-trifluoromethyl-phenyl)-methanone (C-31);
2-(4-fluoro-phenyl)-1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-ethanone (C-32);
1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-phenyl-propan-1-one (C-33);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-(3-trifluoromethyl-phenyl)-methanone (C-34);
1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-2-phenyl-ethanone (C-35);
N-{4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbonyl]-phenyl}-acetamide (C-

36);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl-methanone (C-37);
(2,4-difluoro-phenyl)-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-methanone (C-38);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-4-yl-methanone (C-39);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-o-tolyl-methanone (C-40);
(4-tert-butyl-phenyl)-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-methanone (C-41);
4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbonyl]-benzonitrile trifluoroacetic acid salt (C-42);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-naphthalen-2-yl-methanone trifluoroacetic acid salt (C-43);
[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-naphthalen-1-yl-methanone trifluoroacetic acid salt (C-44);
1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,3-dimethyl-butan-1-one (C-45);
1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pentan-1-one (C-46);
4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-oxo-butyric acid methyl ester (C-47);
5-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidin-7-yl]-5-oxo-pentanoic acid methyl ester (C-48);

1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-heptan-1-one (C-49);

4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid isopropylamide trifluoroacetic acid salt (C-50);

4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid phenethyl-amide trifluoroacetic acid salt (C-51);

1-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-2-naphthalen-1-yl-ethanone (C-52);

[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-thiophen-2-yl-methanone trifluoroacetic acid salt (C-53);

benzo[b]thiophen-2-yl-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-methanone trifluoroacetic acid salt (C-54);

4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid methyl amide trifluoroacetic acid salt (C-55);

4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid butyl amide trifluoroacetic acid salt (C-56);

3-[7-(butane-1-sulfonyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol (C-57);

1-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-ethanone (D-01);

5-(7-methanesulfonyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-02);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid ethyl amide (D-

03);
5-(7-ethyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-04);
5-(7-benzyl-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-05);
1-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-propan-1-one (D-06);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridine-2-carboxylic acid tert-butyl amide (D-07);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzoic acid methyl ester (D-08);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzoic acid sodium salt (D-09);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzamide (D-10);
1-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-phenylpropan-1-one (D-11);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-oxo-butyric acid methyl ester (D-12);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid isopropylamide (D-13);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-thiocarboxylic acid ethyl amide (D-14);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid ethyl ester (D-15);
{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-

dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-fluoro-phenyl}-morpholin-4-yl-methanone (D-16);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [5-(4-ethyl-piperazine-1-carbonyl)-2-methyl-phenyl]-amide (D-17);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-ethyl-piperazin-1-yl)-2,6-difluoro-phenyl]-amide (D-18);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-pyridin-3-ylmethyl-benzamide (D-19);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-pyridin-3-yl-piperazin-1-yl)-methanone (D-20);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-pyridin-3-yl-piperazin-1-yl)-methanone (D-21);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-morpholin-4-yl-methanone (D-22);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-methyl-piperazin-1-yl)-2,6-difluoro-phenyl]-amide (D-23);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-ethyl-piperazine-1-carbonyl)-2,6-difluoro-phenyl]-amide (D-24);
{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-methyl-phenyl}-morpholin-4-yl-methanone (D-25);
5-{7-[4-(4-methyl-piperazin-1-ylmethyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-26);
[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl-methanone (D-27);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid phenylamide (D-28);
{[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbonyl]-amino}-acetic acid ethyl ester (D-29);
3-{[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbonyl]-amino}-propionic acid ethyl ester (D-30);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid carbamoylmethyl-amide (D-31);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-carbamoyl-ethyl)-amide (D-32);
{[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbonyl]-amino}-acetic acid (D-33);
3-{[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbonyl]-amino}-propionic acid (D-34);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-oxo-butyric acid (D-35);
5-[7-(5-bromo-pyridin-2-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-36);
5-[7-(6-fluoro-pyridin-3-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-37);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-oxo-butyramide (D-38);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidine-7-carboxylic acid 2-methoxy-ethyl ester (D-39);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid allyl ester (D-40);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-dimethylamino-ethyl)-benzamide (D-41);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-methyl-piperazin-1-yl)-methanone (D-42);

N-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-acetamide (D-43);

N-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-methanesulfonamide (D-44);

N-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-acetamide (D-45);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid (2-morpholin-4-yl-ethyl)-amide (D-46);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (3-trifluoromethyl-phenyl)-amide (D-47);

N-{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-N,N',N'-trimethyl-ethane-1,2-diamine (D-48);

5-{7-[6-(4-ethyl-piperazin-1-yl)-pyridin-3-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-49);

5-(7-ethanesulfonyl-2-morpholin-4-yl-6,7-dihydro-5H-

pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-50);
5-[2-morpholin-4-yl-7-(propane-1-sulfonyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-51);
3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzoic acid methyl ester (D-52);
{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-morpholin-4-yl-methanone (D-53);
{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-methyl-piperazin-1-yl)-methanone (D-54);
3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-dimethylamino-ethyl)-benzamide (D-55);
4-{[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbonyl]-amino}-benzoic acid ethyl ester (D-56);
5-(2-morpholin-4-yl-7-phenyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-57);
5-[7-(2,4-difluoro-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-58);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-morpholin-4-yl-ethyl)-amide (D-59);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [3-(4-methyl-piperazin-1-yl)-propyl]-amide (D-60);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-piperidin-1-yl-ethyl)-amide (D-61);
5-{7-[3-(4-methyl-piperazine-1-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-

yl}-pyrimidin-2-ylamine (D-62);
5-{7-[4-(4-methyl-piperazine-1-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-63);
[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-piperidin-4-yl-methanone (D-64);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-pyridin-3-yl-phenyl)-amide (D-65);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-pyridin-4-yl-phenyl)-amide (D-66);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid piperidin-4-ylamide (D-67);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-dimethylamino-ethyl)-amide (D-68);
5-{2-morpholin-4-yl-7-[2-(3-morpholin-4-yl-propylamino)-pyridin-4-yl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-69);
1-(4-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-piperazin-1-yl)-ethanone (D-70);
5-{7-[6-(4-methyl-piperazin-1-yl)-pyridin-3-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-71);
5-{7-[6-(2-dimethylamino-ethoxy)-pyridin-3-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-72);
{5'-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,4,5,6-tetrahydro-

2H-[1,2']bipyridinyl-4-yl}-dimethyl-amine (D-73);
N-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-N,N',N'-trimethyl-ethane-1,2-diamine (D-74);
4'-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4-ol (D-75);
[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-(4-methyl-piperazin-1-yl)-methanone (D-76);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (3-dimethylamino-propyl)-amide (D-77);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (piperidin-4-ylmethyl)-amide (D-78);
{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-(4-methyl-piperazin-1-yl)-methanone (D-79);
3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(3-hydroxy-propyl)-benzenesulfonamide (D-80);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [3-(4-ethyl-piperazin-1-yl)-phenyl]-amide (D-81);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-ethyl-piperazin-1-yl)-phenyl]-amide (D-82);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-ethyl-piperazin-1-yl)-methanone (D-83);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-dimethylamino-ethyl)-N-

methyl-benzamide (D-84);

{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-morpholin-4-yl-methanone (D-85):

5-{7-[3-(morpholine-4-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-86);

5-{7-[4-(morpholine-4-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-87);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (1-methyl-piperidin-4-yl)-amide (D-88);

1-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-(4-ethyl-piperazin-1-yl)-butane-1,4-dione (D-89);

1-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-morpholin-4-yl-butane-1,4-dione (D-90);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(3-dimethylamino-propyl)-benzamide (D-91);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(3-dimethylamino-propyl)-N-methyl-benzamide (D-92);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(3-morpholin-4-yl-propyl)-benzamide (D-93);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-morpholin-4-yl-ethyl)-benzamide (D-94);

{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-(4-

ethyl-piperazin-1-yl)-methanone (D-95);
5-{7-[3-(4-ethyl-piperazine-1-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-96);
5-{7-[4-(4-ethyl-piperazine-1-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-97);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(3-hydroxy-propyl)-benzenesulfonamide (D-98);
3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-hydroxy-ethyl)-benzenesulfonamide (D-99);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-hydroxy-ethyl)-benzenesulfonamide (D-100);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [3-(4-ethyl-piperazine-1-carbonyl)-phenyl]-amide (D-101);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [3-(morpholine-4-carbonyl)-phenyl]-amide (D-102);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-ethyl-piperazine-1-carbonyl)-phenyl]-amide (D-103);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(morpholine-4-carbonyl)-phenyl]-amide (D-104);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (3-morpholin-4-yl-phenyl)-amide (D-105);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [3-(2-morpholin-

4-yl-ethylamino)-phenyl]-amide (D-106);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-morpholin-4-yl-phenyl)-amide (D-107);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(2-morpholin-4-yl-ethylamino)-phenyl]-amide (D-108);
1-(4-{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-piperazin-1-yl)-ethanone (D-109);
5-[2-morpholin-4-yl-7-(6-morpholin-4-yl-pyridin-3-yl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-110);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone (D-111);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-piperazin-1-yl-methanone (D-112);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-isopropyl-piperazin-1-yl)-methanone (D-113);
5-[7-(1-benzyloxymethyl-1H-benzimidazol-5-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-114);
5-[7-(1H-benzimidazol-5-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-115);
N-{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-N,N',N'-trimethyl-propan-1,3-diamine (D-116);
{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-[4-(2-

hydroxy-ethyl)-piperazin-1-yl]-methanone (D-117);
2-(4-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzenesulfonyl}-piperazin-1-yl)-ethanol (D-118);
2-(4-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-benzenesulfonyl}-piperazin-1-yl)-ethanol (D-119);
{2-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-thiazol-4-yl}-(4-ethyl-piperazin-1-yl)-methanone (D-120);
{2-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-thiazol-4-yl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone (D-121);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid {4-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-phenyl}-amide (D-122);
3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-morpholin-4-yl-ethyl)-benzamide (D-123);
3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(3-morpholin-4-yl-propyl)-benzamide (D-124);
{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone (D-125);
5-[2-morpholin-4-yl-7-(4-morpholin-4-ylmethyl-phenyl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-126);
2-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenylsulfanyl}-1-(4-ethyl-piperazin-1-yl)-ethanone (D-127);
{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-

dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-piperazin-1-yl-methanone (D-128);

5-{2-morpholin-4-yl-7-[3-(2-piperazin-1-yl-ethyl)-phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-129);

{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-methyl-phenyl}-(4-methyl-piperazin-1-yl)-methanone (D-130);

5-{2-morpholin-4-yl-7-[4-(2-piperazin-1-yl-ethyl)-phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-131);

5-{2-morpholin-4-yl-7-[3-(piperazine-1-sulfonyl)-phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-132);

5-{2-morpholin-4-yl-7-[4-(piperazine-1-sulfonyl)-phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-133);

1-[4-(2-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-ethyl)-piperazin-1-yl]-ethanone (D-134);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [3-(4-ethyl-piperazin-1-yl)-phenyl]-methyl-amide (D-135);

5-(7-{3-[2-(4-methanesulfonyl-piperazin-1-yl)-ethyl]-phenyl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-136);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-morpholin-4-yl-methanone (D-137);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-ethyl-piperazin-1-yl)-methanone (D-138);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidin-7-yl]-N-methyl-N-(2-morpholin-4-yl-ethyl)-benzamide (D-139);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-methyl-piperazin-1-yl)-methanone (D-140);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-piperazin-1-yl-methanone (D-141);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-(4-methyl-piperazin-1-yl)-methanone (D-142);

1-[4-(2-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-ethyl)-piperazin-1-yl]-ethanone (D-143);

5-(7-{4-[2-(4-methanesulfonyl-piperazin-1-yl)-ethyl]-phenyl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-144);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone (D-145);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-(4-ethyl-piperazin-1-yl)-methanone (D-146);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone (D-147);

{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-2-methyl-phenyl}-(4-methyl-piperazin-1-yl)-methanone (D-148);

5-{7-[2-fluoro-4-(4-methyl-piperazine-1-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-149);

5-{7-[4-(4-ethyl-piperazine-1-sulfonyl)-2-fluoro-phenyl]-2-

morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-150);

5-{7-[5-(4-ethyl-piperazin-1-ylmethyl)-2-fluoro-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-151);

2-(4-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-benzenesulfonyl}-piperazin-1-yl)-ethanol (D-152);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid {3-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-phenyl}-methyl-amide (D-153);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-(3-piperazin-1-yl-phenyl)-amide (D-154);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-ethyl-piperazin-1-yl)-phenyl]-methyl-amide (D-155);

1-(4-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-fluoro-benzyl}-piperazin-1-yl)-ethanone (D-156);

{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-2-methyl-phenyl}-morpholin-4-yl-methanone (D-157);

{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-2-methyl-phenyl}-(4-ethyl-piperazin-1-yl)-methanone (D-158);

{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-2-methyl-phenyl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone (D-159);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-[4-(4-methyl-piperazin-1-yl)-phenyl]-amide (D-160);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-(4-piperazin-1-yl-phenyl)-amide (D-161);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid {4-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-phenyl}-methyl-amide (D-162);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-phenyl-amide (D-163);
5-{7-[2-methyl-4-(4-methyl-piperazine-1-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-164);
5-{7-[4-(4-ethyl-piperazine-1-sulfonyl)-2-methyl-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-165);
2-(4-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-benzenesulfonyl}-piperazin-1-yl)-ethanol (D-166);
2-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-ylamino}-ethanol (D-167);
3-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-piperazin-1-yl-propan-1-one (D-168);
3-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-ethyl-piperazin-1-yl)-propan-1-one (D-169);
3-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-propan-1-one (D-170);
2-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-piperazin-

1-yl-ethanone (D-171);
2-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-piperazin-1-yl-ethanone (D-172);
5-[7-(2-fluoro-5-morpholin-4-ylmethyl-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-173);
5-(2-morpholin-4-yl-7-o-tolyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-174);
5-{7-[2-fluoro-4-(piperazine-1-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-175);
5-{7-[2-methyl-4-(piperazine-1-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-176);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-[3-(4-methyl-piperazin-1-yl)-phenyl]-amide (D-177);
5-[7-(3-methyl-pyridin-2-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-178);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-[2-(2-hydroxy-ethoxy)-ethyl]-benzamide (D-179);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid o-tolylamide (D-180);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-isopropyl-phenyl)-amide (D-181);
2-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-methyl-piperazin-1-yl)-ethanone (D-182);

2-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-methyl-piperazin-1-yl)-ethanone (D-183);
2-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-ethyl-piperazin-1-yl)-ethanone (D-184);
2-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-ethyl-piperazin-1-yl)-ethanone (D-185);
2-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-ethanone (D-186);
2-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-ethanone (D-187);
3-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-methyl-piperazin-1-yl)-propan-1-one (D-188);
3-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-piperazin-1-yl-propan-1-one (D-189);
3-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-methyl-piperazin-1-yl)-propan-1-one (D-190);
3-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-(4-ethyl-piperazin-1-yl)-propan-1-one (D-191);
3-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-1-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-propan-1-one (D-192);
5-[7-(4-methyl-pyridin-3-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-193);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-{methyl-[3-(4-methyl-piperazin-1-yl)-propyl]-amino}-phenyl)-amide (D-194);

{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-2-fluoro-phenyl}-morpholin-4-yl-methanone (D-195);

5-{7-[2-methyl-4-(morpholine-4-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-196);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-methyl-N-(2-morpholin-4-yl-ethyl)-benzamide (D-197);

5-{7-[2-fluoro-4-(morpholine-4-sulfonyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-198);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-piperazin-1-yl-methanone (D-199);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-(4-methyl-piperazin-1-yl)-methanone (D-200);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-(4-ethyl-piperazin-1-yl)-methanone (D-201);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone (D-202);

{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-fluoro-phenyl}-(4-ethyl-piperazin-1-yl)-methanone (D-203);

5-[7-(1-methyl-1H-imidazol-2-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-

ylamine (D-204);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,N-dimethyl-N-(2-morpholin-4-yl-ethyl)-benzamide (D-205);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid {4-[methyl-(2-morpholin-4-yl-ethyl)-amino]-phenyl}-amide (D-206);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid {4-[methyl-(3-morpholin-4-yl-propyl)-amino]-phenyl}-amide (D-207);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(3-morpholin-4-yl-propylamino)-phenyl]-amide (D-208);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-methyl-N-(2-morpholin-4-yl-ethyl)-benzenesulfonamide (D-209);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid o-tolylamide (D-210);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-methyl-N-(2-morpholin-4-yl-ethyl)-benzenesulfonamide (D-211);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,N-dimethyl-N-(2-morpholin-4-yl-ethyl)-benzenesulfonamide (D-212);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-ethyl-phenyl)-amide (D-213);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-propyl-phenyl)-amide (D-214);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2,6-difluoro-

phenyl)-amide (D-215);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid phenylamide (D-216);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-chloro-phenyl)-amide (D-217);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-5-(morpholine-4-carbonyl)-phenyl]-amide (D-218);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-4-(morpholine-4-carbonyl)-phenyl]-amide (D-219);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-4-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-220);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-ethyl-piperazine-1-carbonyl)-2-methyl-phenyl]-amide (D-221);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid (2-fluoro-phenyl)-amide (D-222);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-5-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-223);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [5-(4-ethyl-piperazine-1-carbonyl)-2-methyl-phenyl]-amide (D-224);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid (2,6-difluoro-phenyl)-amide (D-225);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-(3-

morpholin-4-yl-phenyl)-amide (D-226);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-{3-[methyl-(2-morpholin-4-yl-ethyl)-amino]-phenyl}-amide (D-227);
{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-3-yl}-morpholin-4-yl-methanone (D-228);
{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-3-yl}-(4-methyl-piperazin-1-yl)-methanone (D-229);
{5-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-3-yl}-(4-ethyl-piperazin-1-yl)-methanone (D-230);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [4-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-231);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [4-(4-ethyl-piperazine-1-carbonyl)-phenyl]-amide (D-232);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [3-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-233);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-benzonitrile(D-234);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [3-(4-ethyl-piperazine-1-carbonyl)-phenyl]-amide (D-235);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [2-methyl-5-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-236);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-methyl-5-

morpholin-4-yl-phenyl)-amide (D-237);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-5-(4-methyl-piperazin-1-yl)-phenyl]-amide (D-238);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [5-(4-ethyl-piperazin-1-yl)-2-methyl-phenyl]-amide (D-239);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-methyl-4-morpholin-4-yl-phenyl)-amide (D-240);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-4-(4-methyl-piperazin-1-yl)-phenyl]-amide (D-241);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [4-(4-ethyl-piperazin-1-yl)-2-methyl-phenyl]-amide (D-242);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-3-(morpholine-4-carbonyl)-phenyl]-amide (D-243);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2-methyl-3-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-244);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [3-(4-ethyl-piperazine-1-carbonyl)-2-methyl-phenyl]-amide (D-245);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-((2R,6S)-2,6-dimethyl-morpholin-4-yl)-methanone (D-246);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [3-(morpholine-4-carbonyl)-phenyl]-amide (D-247);
5-{7-[5-(morpholine-4-sulfonyl)-pyridin-3-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-

pyrimidin-2-ylamine (D-248);
5-{7-[5-(4-methyl-piperazine-1-sulfonyl)-pyridin-3-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-249);
5-{7-[5-(4-ethyl-piperazine-1-sulfonyl)-pyridin-3-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-250);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [4-(morpholine-4-carbonyl)-phenyl]-amide (D-251);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [2-methyl-4-(morpholine-4-carbonyl)-phenyl]-amide (D-252);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [2-methyl-4-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-253);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [4-(4-ethyl-piperazine-1-carbonyl)-2-methyl-phenyl]-amide (D-254);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [2-methyl-5-(morpholine-4-carbonyl)-phenyl]-amide (D-255);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2,6-difluoro-4-(4-methyl-piperazine-1-carbonyl)-phenyl]-amide (D-256);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid [2,6-difluoro-4-(morpholine-4-carbonyl)-phenyl]-amide (D-257);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-N-pyridin-4-yl-benzamide (D-258);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-N-pyridin-4-

ylmethyl-benzamide (D-259);
4-methyl-5-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-260);
4-methyl-5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-261);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid benzyl-methyl-amide (D-262);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-phenethyl-amide (D-263);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-pyridin-4-ylmethyl-benzamide (D-264);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-pyridin-4-yl-piperazin-1-yl)-methanone (D-265);
5-{7-[4-(4-ethyl-piperazin-1-ylmethyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-266);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-pyrrolidin-1-yl-methanone (D-267);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-piperidin-1-yl-methanone (D-268);
4-methyl-piperazine-1-carboxylic acid {3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-amide (D-269);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-thiazol-2-yl-benzamide (D-270);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-pyridin-4-ylmethyl-benzamide (D-271);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-azepan-1-yl-methanone (D-272);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2,6-difluoro-4-morpholin-4-yl-phenyl)-amide (D-273);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-methyl-pyridin-3-yl)-amide (D-274);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (pyridin-3-ylmethyl)-amide (D-275);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-methyl-pyridin-3-yl)-amide (D-276);

N-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-isonicotinamide (D-277);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-pyridin-2-yl-piperazin-1-yl)-methanone (D-278);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-(2-pyridin-3-yl-ethyl)-benzamide (D-279);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-methyl-2H-pyrazol-3-yl)-amide (D-280);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (5-methyl-2-phenyl-2H-pyrazol-3-yl)-amide (D-281);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidin-7-yl]-N-pyridin-2-ylmethyl-benzamide (D-282);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2,6-dimethyl-phenyl)-amide (D-283);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-pyrimidin-2-yl-piperazin-1-yl)-methanone (D-284);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-pyridin-2-yl-piperazin-1-yl)-methanone (D-285);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-pyridin-4-yl-piperazin-1-yl)-methanone (D-286);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid 3-(4-methyl-piperazine-1-carbonyl)-benzylamide (D-287);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-(4-pyridin-4-yl-piperazin-1-yl)-methanone (D-288);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [2-methyl-5-(4-methyl-piperazin-1-yl)-phenyl]-amide (D-289);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [5-(4-ethyl-piperazin-1-yl)-2-methyl-phenyl]-amide (D-290);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [2-methyl-4-(4-methyl-piperazin-1-yl)-phenyl]-amide (D-291);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carbothioic acid [4-(4-ethyl-piperazin-1-yl)-2-methyl-phenyl]-amide (D-292);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidine-7-carboxylic acid 4-(4-methyl-piperazine-1-carbonyl)-benzylamide (D-293);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid {2-[4-(4-methyl-piperazine-1-carbonyl)-phenyl]-ethyl}-amide (D-294);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-{2-[4-(4-methyl-piperazine-1-carbonyl)-phenyl]-ethyl}-amide (D-295);
5-(7-{4-[2-(4-methyl-piperazine-1-sulfonyl)-ethyl]-phenyl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-296);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-[4-(4-methyl-piperazine-1-carbonyl)-benzyl]-amide (D-297);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid methyl-[3-(4-methyl-piperazine-1-carbonyl)-benzyl]-amide (D-298);
4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-diethylamino-2-methyl-phenyl)-amide (D-299);
{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-methoxy-phenyl}-morpholin-4-yl-methanone (D-300);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-N-pyridin-3-ylmethyl-benzamide (D-301);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-N-(2-pyridin-3-yl-ethyl)-benzamide (D-302);
3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-methyl-N-pyridin-3-ylmethyl-benzamide (D-303);
3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-

pyrrolo[2,3-d]pyrimidin-7-yl]-4-methyl-N-(2-pyridin-3-yl-ethyl)-benzamide (D-304);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-pyridin-3-ylmethyl-benzamide (D-305);

4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-(2-pyridin-3-yl-ethyl)-benzamide (D-306);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-morpholin-4-yl-piperidin-1-yl)-methanone (D-307);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-morpholin-4-yl-piperidin-1-yl)-methanone (D-308);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-chloro-phenyl}-morpholin-4-yl-methanone (D-309);

{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-4-chloro-phenyl}-morpholin-4-yl-methanone (D-310);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-methyl-phenyl}-(4-pyridin-3-yl-piperazin-1-yl)-methanone (D-311);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (4-methyl-biphenyl-3-yl)-amide (D-312);

4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidine-7-carboxylic acid (2-methyl-5-pyridin-3-yl-phenyl)-amide (D-313);

5-[2-morpholin-4-yl-7-(5-trifluoromethyl-pyridin-3-yl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-314);

{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-

dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-pyridin-3-ylmethyl-piperazin-1-yl)-methanone (D-315);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-phenyl}-(4-pyridin-4-ylmethyl-piperazin-1-yl)-methanone (D-316);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-methyl-N-pyridin-3-ylmethyl-benzamide (D-317);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-methyl-N-pyridin-3-ylmethyl-benzamide (D-318);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-N-methyl-N-(2-pyridin-3-yl-ethyl)-benzamide (D-319);
4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3-fluoro-N-methyl-N-(2-pyridin-3-yl-ethyl)-benzamide (D-320);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-pyridin-3-ylmethyl-piperazin-1-yl)-methanone (D-321);
{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-(4-pyridin-4-ylmethyl-piperazin-1-yl)-methanone (D-322);
5-(2-morpholin-4-yl-4-pyridin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl)-pyrimidin-2-ylamine (D-323);
{6-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-naphthalen-2-yl}-(4-methyl-piperazin-1-yl)-methanone (D-324);
5-{7-[3-fluoro-4-(4-methyl-piperazin-1-ylmethyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-325);
5-{7-[2-fluoro-4-(4-methyl-piperazin-1-ylmethyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-

yl}-pyrimidin-2-ylamine (D-326);
5-{2-morpholin-4-yl-7-[4-(4-propyl-piperazin-1-ylmethyl)-phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-327);
5-{7-[4-(4-isopropyl-piperazin-1-ylmethyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-328);
5-(7-{4-[4-(2-fluoroethyl)-piperazin-1-ylmethyl]-phenyl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-329);
5-(7-{4-[4-(4-fluorobutyl)-piperazin-1-ylmethyl]-phenyl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-pyrimidin-2-ylamine (D-330);
5-(2-morpholin-4-yl-7-{4-[4-(3,3,3-trifluoropropyl)piperazin-1-ylmethyl]-phenyl}-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-332);
5-{7-[6-(4-methyl-piperazin-1-ylmethyl)naphthalen-2-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-333);
5-{7-[4-(4-ethyl-piperazin-1-ylmethyl)-phenyl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (D-334);
5-[7-(2-fluoro-4-morpholin-4-ylmethyl-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (D-335)
4-(3-ethylaminocarbonyloxyphenyl)-2-(morpholin-4-yl)-7-(pyridin-4-yl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (E-01);
4-(3-methylaminocarbonyloxyphenyl)-2-(morpholin-4-yl)-7-(pyridin-4-yl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (E-02);
4-(3-acetoxyphenyl)-2-(morpholin-4-yl)-7-(pyridin-4-yl)-

6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (E-03);
2-morpholin-4-yl-7-pyridin-4-yl-4-[3-(2-pyridin-2-ylethoxy)phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (E-04);
2-morpholin-4-yl-7-pyridin-4-yl-4-[3-(3-pyridin-3-yl-propoxy)-phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (E-05);
2-morpholin-4-yl-7-pyridin-4-yl-4-[3-(pyridin-4-ylmethoxy)-phenyl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine (E-06);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)benzonitrile (E-07);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)benzylamine (E-08);
N-[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)benzyl]acetamide (E-9);
5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-2-pyrrolidin-1-ylmethylphenol (E-10);
2-diethylaminomethyl-5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)phenol (E-11);
5-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-2-piperidin-1-ylmethyl-phenol (E-12);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenylamine (F-01);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid methyl ester (G-01);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid methyl ester (G-02);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid (G-03);

3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid (G-04);
N-(2-dimethylaminoethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-05);
N-(2-morpholin-4-yl-ethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-06);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-(2-pyridin-3-yl-ethyl)-benzamide (G-07);
N-methyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-08);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-pyridin-3-ylmethyl-benzamide (G-09);
N-(2-dimethylamino-ethyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-10);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-(2-pyridin-4-yl-ethyl)-benzamide (G-11);
N-(2-carbamoyl-ethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-12);
N-(2-morpholin-4-yl-ethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-13);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-(2-pyridin-3-yl-ethyl)-benzamide (G-14);
N-isobutyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-15);

3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-pyridin-3-ylmethyl-benzamide (G-16);

3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-propyl-benzamide (G-17);

3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-propyl-benzamide (G-18);

3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-(2-pyridin-4-yl-ethyl)-benzamide (G-19);

N-benzyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-20);

N-(2-methoxy-ethyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-21);

N-(2-morpholin-4-yl-ethyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-22);

N-carbamoylmethyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-23);

N-(2-carbamoyl-ethyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-24);

3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-phenethyl-benzamide (G-25);

N-isobutyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-26);

3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid 2-dimethylamino-ethyl ester (G-27);

3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-28);

4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid methyl ester

hydrochloride (G-29);
N-(2-dimethylamino-ethyl)-4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-30);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-31);
4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid methyl ester (G-32);
4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-33);
N-(2-morpholin-4-yl-ethyl)-4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-34);
N-(2-morpholin-4-yl-ethyl)-4-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-35);
4-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-36);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzoic acid 2-dimethylamino-ethyl ester (G-37);
N,N-dimethyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-38);
N-methyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-39);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-phenyl-benzamide trifluoroacetic acid salt (G-40);
N-(3-dimethylamino-propyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide

trifluoroacetic acid salt (G-41);
N-carbamoylmethyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-42);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-phenyl-benzamide trifluoroacetic acid salt (G-43);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-phenethyl-benzamide (G-44);
N-(2-methoxy-ethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-45);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-(2-piperidin-1-yl-ethyl)-benzamide (G-46);
N-(3-hydroxy-propyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-47);
N-(1-methyl-butyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-48);
N-(2-methoxy-ethyl)-N-methyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-49);
(4-methyl-piperazin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-50);
(4-hydroxy-piperidin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-51);
N-(3,3-dimethyl-butyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-52);
N-cyclopropylmethyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-propyl-benzamide

(G-53);

N-((S)-2-hydroxy-1-phenyl-ethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-54);

N-(3-morpholin-4-yl-propyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-55);

N-(3-dimethylamino-propyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-56);

3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-pyridin-4-ylmethyl-benzamide (G-57);

N-cyclohexylmethyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-58);

N-(2-diethylamino-ethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-59);

N-isopropyl-N-methyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-60);

N-isobutyl-N-methyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-61);

N-ethyl-N-(2-hydroxy-ethyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-62);

(3-hydroxy-pyrrolidin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone trifluoroacetic acid salt (G-63);

N-indan-2-yl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-64);

azetidin-1-yl-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-

dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-65);

(4-ethyl-piperazin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone trifluoroacetic acid salt (G-66);

N,N-diethyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-67);

((R)-2-hydroxymethyl-pyrrolidin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone trifluoroacetic acid salt (G-68);

[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-(4-pyrrolidin-1-yl-piperidin-1-yl)-methanone (G-69);

(3-hydroxy-piperidin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone trifluoroacetic acid salt (G-70);

N-cyclopentyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-71);

(2,5-dihydro-pyrrol-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-72);

[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-(4-phenyl-piperazin-1-yl)-methanone (G-73);

N-cyclohexyl-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-74);

(2,6-dimethyl-morpholin-4-yl)-[3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-75);

N-methyl-N-(3-methyl-butyl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-76);

N-(2-dimethylamino-ethyl)-N-ethyl-3-(2-morpholin-4-yl-7-

pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-77);

azetidin-1-yl-[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-78);

N-(3-hydroxy-propyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-79);

N-cyclopentyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-80);

(3-hydroxy-pyrrolidin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone trifluoroacetic acid salt (G-81);

N-(2-methoxy-ethyl)-N-methyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-82);

(4-methyl-piperazin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-83);

(4-hydroxy-piperidin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone trifluoroacetic acid salt (G-84);

N-methyl-N-(3-methyl-butyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-85);

3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-pyridin-4-ylmethyl-benzamide (G-86);

(4-ethyl-piperazin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-87);

N-(2-diethylamino-ethyl)-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide

trifluoroacetic acid salt (G-88);
N-(2-dimethylamino-ethyl)-N-methyl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide trifluoroacetic acid salt (G-89);
3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-(2-pyrrolidin-1-yl-ethyl)-benzamide (G-90);
3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-N-(2-pyrrolidin-1-yl-ethyl)-benzamide (G-91);
N-(4,5-dimethyl-thiazol-2-yl)-3-(2-morpholin-4-yl-7-pyridin-3-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-92);
N-indan-2-yl-3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-benzamide (G-93);
(3-hydroxy-piperidin-1-yl)-[3-(2-morpholin-4-yl-7-pyridin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenyl]-methanone (G-94);
7-(2-chloro-pyridin-4-yl)-4-(3-methoxy-phenyl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidine trifluoroacetic acid salt (H-01);
3-{7-[2-(3-hydroxy-propylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-02);
3-{7-[2-(isobutyl-methyl-amino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol (H-03);
3-{7-[2-(4-ethyl-piperazin-1-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol (H-04);
4'-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4-ol (H-05);

4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-ol (H-06);

1-(4-{4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-piperazin-1-yl)-ethanone (H-07);

3-{7-[2-(2-hydroxy-ethylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-08);

3-{7-[2-(2-hydroxy-propylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-09);

3-{7-[2-(2-hydroxy-1-methyl-ethylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-10);

4'-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-3-ol (H-11);

3-{7-[2-(3-dimethylamino-propylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol (H-12);

3-{7-[2-(3-hydroxy-propylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-13);

3-(7-{2-[(2-hydroxy-ethyl)-methyl-amino]-pyridin-4-yl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (H-14);

3-(7-{2-[(2-methoxy-ethyl)-methyl-amino]-pyridin-4-yl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (H-15);

3-(7-{2-[(2-dimethylamino-ethyl)-ethyl-amino]-pyridin-4-yl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol trifluoroacetic acid salt (H-16);

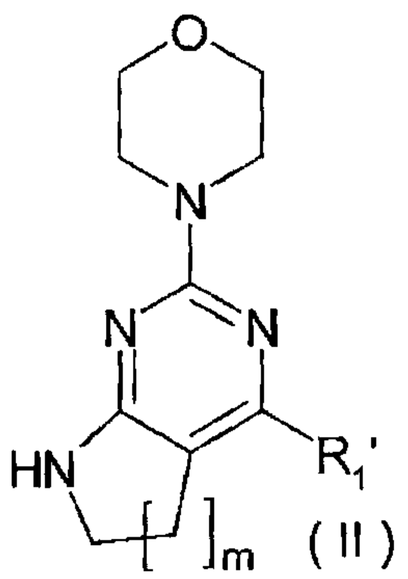
3-{7-[2-((R)-2-hydroxymethyl-pyrrolidin-1-yl)-pyridin-4-

yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-17);
3-[2-morpholin-4-yl-7-(4-pyrrolidin-1-yl-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4'-yl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid salt (H-18);
3-{7-[2-(cyclohexylmethyl-amino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-19);
3-{7-[2-(3,3-dimethyl-butylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-20);
3-{7-[2-(isobutyl-methyl-amino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol (H-21);
3-(7-{2-[methyl-(3-methyl-butyl)-amino]-pyridin-4-yl}-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl)-phenol (H-22);
1-{4-[4-(3-hydroxy-phenyl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-pyrrolidin-3-ol (H-23);
3-{2-morpholin-4-yl-7-[2-(4-phenyl-piperazin-1-yl)-pyridin-4-yl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-24);
3-{7-[2-(cyclopropylmethyl-propyl-amino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-25);
3-{7-[2-(2,6-dimethyl-morpholin-4-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-26);
3-{2-morpholin-4-yl-7-[2-(3-morpholin-4-yl-propylamino)-pyridin-4-yl]-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-27);

3-{7-[2-(indan-2-ylamino)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-28);
3-{7-[2-(2,5-dihydro-pyrrol-1-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-phenol trifluoroacetic acid salt (H-29);
3-[7-(2-cyclohexylamino-pyridin-4-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-phenol trifluoroacetic acid salt (H-30);
5-[2-morpholin-4-yl-7-(2-morpholin-4-yl-pyridin-4-yl)-6,7-dihydro-5-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (H-31);
5-[7-(2-dimethylaminoethoxy-pyridin-4-yl)-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrimidin-2-ylamine (H-32)
N-{4-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-pyridin-2-yl}-N,N',N'-trimethyl-propan-1,3-diamine (H-33);
5-{7-[2-(4-ethyl-piperazin-1-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (H-34);
{4'-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4-yl}-dimethyl-amine (H-35);
5-{7-[2-(4-methyl-piperazin-1-yl)-pyridin-4-yl]-2-morpholin-4-yl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl}-pyrimidin-2-ylamine (H-36);
N-{3-[4-(2-amino-pyrimidin-5-yl)-2-morpholin-4-yl-5,6-dihydro-pyrrolo[2,3-d]pyrimidin-7-yl]-phenyl}-methanesulfonamide (I-01);
or a pharmaceutically acceptable salt thereof.

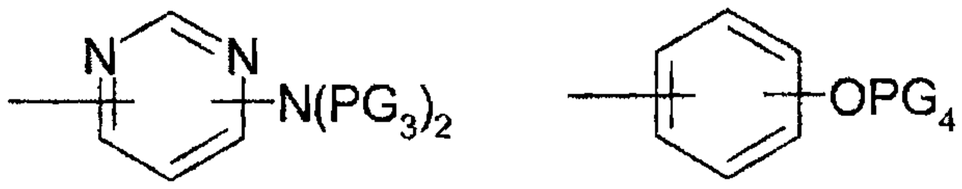
16. A compound represented by the following general

formula (II):



(wherein, m is the same as defined in formula (I) of claim 1, $R^{1'}$ represents a group having the same meaning as R^1 of formula (I) of claim 1, or R^1 protected with a protecting group).

17. The compound according to claim 16, wherein R1' is the following group:



R1'a

R1'b

[wherein, PG_3 represents an amine-protecting group selected from methoxycarbonyl, ethoxycarbonyl, t-butoxycarbonyl, benzyloxycarbonyl, 9-fluorenylmethyloxycarbonyl (Fmoc), formyl, acetyl, chloroacetyl, trichloroacetyl, trifluoroacetyl, benzoyl, methyl, allyl, benzyl, 2-methoxybenzyl, 4-methoxybenzyl or 2,4-dimethoxybenzyl; and

PG_4 represents a hydroxyl group-protecting group selected from methyl, t-butyl, methoxymethyl, methylthiomethyl, 2-methoxyethoxymethyl, benzyloxymethyl, tetrahydropyranyl (THP), tetrahydrofuranyl, trimethylsilyl, triethylsilyl, t-butyldimethylsilyl, formyl, acetyl, pivaloyl, benzoyl, methoxycarbonyl, ethoxycarbonyl or vinyloxycarbonyl].

18. The compound according to any one of claims 1 to 15 or a pharmaceutically acceptable salt thereof for use as a PI3K inhibitor.

19. The compound according to any one of claims 1 to 15 or a pharmaceutically acceptable salt thereof for use as a preventive agent or therapeutic agent of a proliferative disease.

20. The compound according to claim 19, wherein the proliferative disease is cancer.

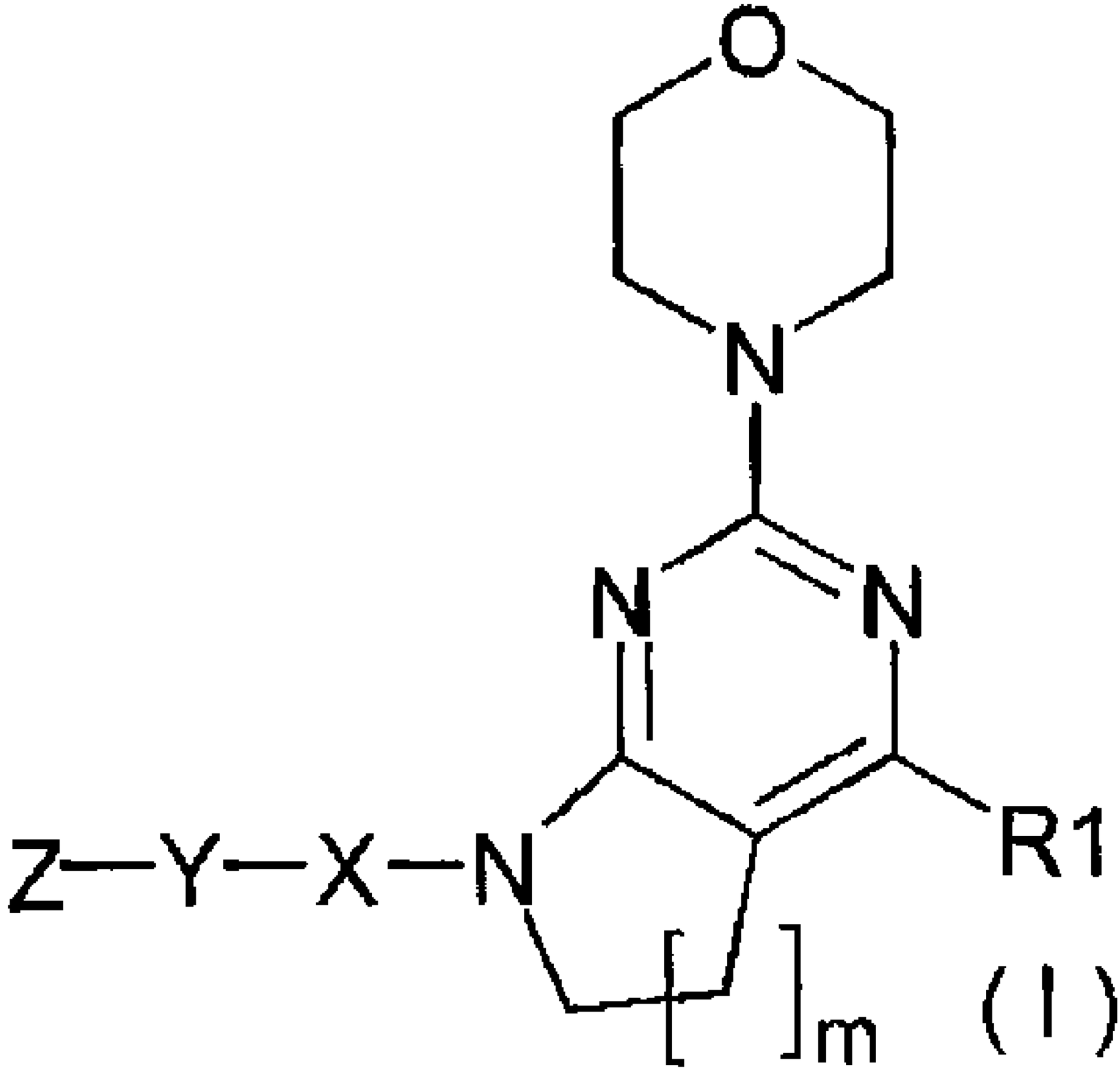
21. The compound according to claim 20, wherein the cancer is colon cancer, prostate cancer or non small cell lung cancer.

22. Use of the compound according to any one of claims 1 to 15 or a pharmaceutically acceptable salt thereof as a PI3K inhibitor.

23. Use of the compound according to any one of claims 1 to 15 or a pharmaceutically acceptable salt thereof as a preventive agent or therapeutic agent of a proliferative disease.

24. The use according to claim 23, wherein the proliferative disease is cancer.

25. The use according to claim 24, wherein the cancer is colon cancer, prostate cancer or non small cell lung cancer.



(I)