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LR, LT, LV, MA, MD, MG, MK, MN, MX, NI, NO, NZ,
OM, PG, PH, PL, RU, SC, SG, SY, TJ, TM, TN, TT, UA,
US, UZ, VC, VN, YU, ZA.

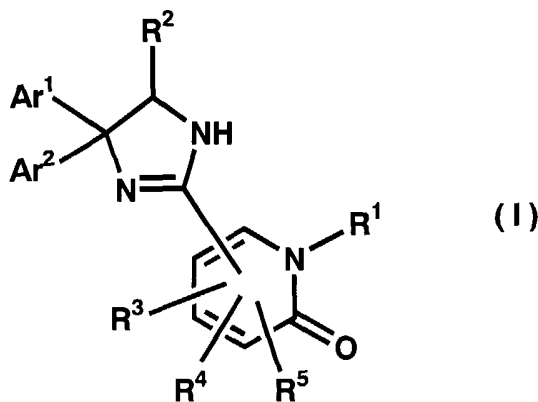
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SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— as to applicant's entitlement to apply for and be granted
a patent (Rule 4.17(ii)) for the following designations AE,
AG, AL, AM, AU, AZ, BA, BB, BR, BY, BZ, CA, CN, CO,
CR, CU, DM, DZ, EC, EG, GD, GH, HR, ID, IL, IN, IS, JP,
KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN,

[Continued on next page]

(54) Title: N-SUBSTITUTED-2-OXODIHYDROPYRIDINE DERIVATIVES AS NPY ANTAGONISTS



(57) Abstract: A compound of the formula (I): (wherein Ar¹
and Ar² are independently aryl or heteroaryl, any of which is
optionally substituted by a substituent selected from the group
consisting of cyano, halogen, nitro, lower alkyl, halo-lower
alkyl, hydroxy-lower alkyl, cyclo-lower alkyl, cyclo(lower
alkyl) -lower alkyl, lower alkenyl, lower alkylamino, di-lower
alkylamino, lower alkanoylamino, lower alkylsulfonylamino,
arylsulfonylamino, hydroxy, lower alkoxy, halo-lower alkoxy,
aryloxy, heteroaryloxy, lower alkylthio, carboxyl, formyl,
lower alkanoyl, lower alkoxy-carbonyl, carbamoyl, lower
alkylcarbamoyl, di-lower alkylcarbamoyl, lower alkylsulfonyl,
arylsulfonyl, aryl and heteroaryl; R¹ and R² are independently
lower alkyl, cyclo-lower alkyl, cyclo(lower alkyl)-lower alkyl
or lower alkoxy, any of which is optionally substituted by
a substituent selected from the group consisting of halogen,

lower alkylamino, di-lower alkylamino, lower alkanoylamino, hydroxy, lower alkoxy, formyl, lower alkoxy-carbonyl, lower
alkylcarbamoyl and di-lower alkylcarbamoyl; R¹, R⁴ and R⁵ are independently hydrogen, cyano, halogen hydroxy, or lower alkyl,
lower alkoxy or lower alkylthio, the last three groups being optionally substituted by a substituent selected from the group consisting
of halogen, lower alkylamino, di-lower alkylamino, lower alkanoylamino, hydroxy, lower alkoxy, formyl, lower alkoxy-carbonyl,
lower alkylcarbamoyl and di-lower alkylcarbamoyl), or a salt or ester thereof is useful as a neuropeptide Y receptor antagonist
agent and is also useful as an agent for the treatment of bulimia, obesity or diabetes.

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MX, NI, NO, NZ, OM, PG, PH, PL, RU, SC, SG, SY, TJ, TM, TN, TT, UA, UZ, VC, VN, YU, ZA, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

— *of inventorship (Rule 4.17(iv)) for US only*

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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/JP 03/12290

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D401/14 C07D401/04 C07D213/80 A61K31/44 A61P3/04

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 99/48887 A (SQUIBB BRISTOL MYERS CO) 30 September 1999 (1999-09-30) claims 1,7	1-41, 44, 45
X	WO 01/062738 A (BANYU PHARMA CO LTD ;KANATANI AKIO (JP); NAGAI KEITA (JP); OKAMOTO) 30 August 2001 (2001-08-30) cited in the application the whole document & US 2003/158418 A1 21 August 2003 (2003-08-21)	1-41, 44, 45
X	DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; XP002265820 Database accession no. 150480 abstract	42, 43

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

° Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- * & * document member of the same patent family

Date of the actual completion of the international search

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/JP 03/12290

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
	& BRADLOW ET AL.: "The oxidation of some beta-substituted pyridine alkoxides" J. ORG. CHEM., vol. 16, 1950, pages 73-83, -----	

INTERNATIONAL SEARCH REPORT

International Application No

PCT/JP 03/12290

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1-41, 44, 45

Remark on Protest

- The additional search fees were accompanied by the applicant's protest.
- No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-41,44,45

Compounds of formula (I), their preparation and use.

2. claims: 42,42

Intermediates according to formula III-1 (the different alternatives encompassed by these claims appear still not to be unitary, however, the claims could be searched without extra effort).

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/JP 03/12290

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