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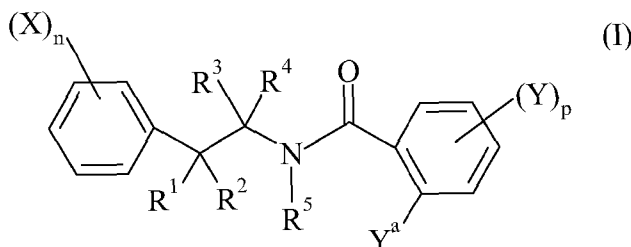
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(54) Title: NEW N-(1-METHYL-2-PHENYLETHYL)BENZAMIDE DERIVATIVES



(57) Abstract: A compound of general formula (I). A process for preparing this compound. A fungicidal composition comprising a compound of general formula (I). A method for treating plants by applying a compound of general formula (I) or a composition comprising it.

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New N-(1methyl-2phenylethyl)benzamide derivatives

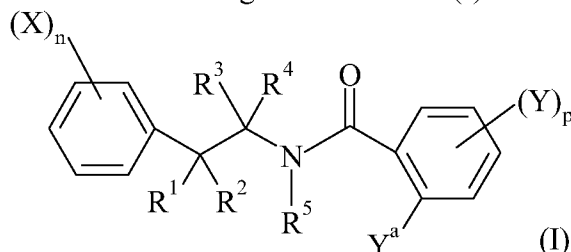
The present invention relates to novel N-(1methyl-2phenylethyl)benzamide
 5 derivatives, their process of preparation, their use as fungicides, particularly in the
 form of fungicidal compositions, and methods for the control of phytopathogenic
 fungi of plants using these compounds or their compositions.

International patent application WO 97/08135 discloses preparation of
 10 acylaminosalicylamides derivatives and their use as fungicide. However, compounds
 according to the present invention are not covered neither disclosed in that patent
 application.

It is always of high-interest in the field of agrochemicals to use novel
 15 pesticidal compounds with a high efficacy to limit and reduce the risk of appearance
 of resistant strains in the fungi to be treated.

We have now found a new family of compounds which shows a fungicidal
 activity.

20 Accordingly, the present invention relates to a N-(1methyl-
 2phenylethyl)benzamide derivative of general formula (I)



in which :

- n is 1, 2, 3, 4 or 5;
- 25 - p is 1, 2, 3 or 4;
- X is the same or different and is a halogen atom, a nitro group, a cyano
 group, an amino group, a sulfanyl group, a pentafluoro- λ^6 -sulfanyl group, a formyl
 group, a formyloxy group, a formylamino group, a carbamoyl group, a N-
 hydroxycarbamoyl group, a carbamate group, a (hydroxyimino)-C₁-C₆-alkyl group, a
 30 C₁-C₈-alkyl, a C₁-C₈-halogenoalkyl having 1 to 5 halogen atoms, a C₂-C₈-alkenyl, a
 C₂-C₈-alkynyl, a C₁-C₈-alkylamino, a di-C₁-C₈-alkylamino, a C₁-C₈-alkoxy, a C₁-C₈-
 halogenoalkoxy having 1 to 5 halogen atoms, a C₁-C₈-alkylsulfanyl, a C₁-C₈-

halogenoalkylsulfanyl having 1 to 5 halogen atoms, a C₂-C₈-alkenyloxy, a C₂-C₈-halogenoalkenyloxy having 1 to 5 halogen atoms, a C₃-C₈-alkynyloxy, a C₃-C₈-halogenoalkynyloxy having 1 to 5 halogen atoms, a C₃-C₈-cycloalkyl, a C₃-C₈-halogenocycloalkyl having 1 to 5 halogen atoms, a C₁-C₈-alkylcarbonyl, a C₁-C₈-halogenoalkylcarbonyl having 1 to 5 halogen atoms, a C₁-C₈-alkylcarbamoyle, a di-C₁-C₈-alkylcarbamoyle, a N-C₁-C₈-alkyloxy carbamoyle, a C₁-C₈-alkoxy carbamoyle, a N-C₁-C₈-alkyl-C₁-C₈-alkoxy carbamoyle, a C₁-C₈-alkoxy carbonyl, a C₁-C₈-halogenoalkoxy carbonyl having 1 to 5 halogen atoms, a C₁-C₈-alkylcarbonyloxy, a C₁-C₈-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, a C₁-C₈-alkylcarbonylamino, a C₁-C₈-halogenoalkylcarbonylamino having 1 to 5 halogen atoms, a C₁-C₈-alkylaminocarbonyloxy, a di-C₁-C₈-alkylaminocarbonyloxy, a C₁-C₈-alkyloxy carbonyloxy, a C₁-C₈-alkylsulphenyl, a C₁-C₈-halogenoalkylsulphenyl having 1 to 5 halogen atoms, a C₁-C₈-alkylsulphinyl, a C₁-C₈-halogenoalkylsulphinyl having 1 to 5 halogen atoms, a C₁-C₈-alkylsulphonyl, a C₁-C₈-halogenoalkylsulphonyl having 1 to 5 halogen atoms, a (C₁-C₆-alkoxyimino)-C₁-C₆-alkyl, a (C₁-C₆-alkenyloxyimino)-C₁-C₆-alkyl, a (C₁-C₆-alkynyloxyimino)-C₁-C₆-alkyl, a (benzyloxyimino)-C₁-C₆-alkyl, a benzyloxy, a benzylsulfanyl, a benzylamino, a phenoxy, a phenylsulfanyl or a phenylamino ;

- R¹ and R² are the same or different and are a hydrogen atom, a C₁-C₆-alkyl or a C₁-C₆-alkyl-C₃-C₇-cycloalkyl;

- R³ and R⁴ are the same or different and are a hydrogen atom, a C₁-C₆-alkyl or a C₁-C₆-alkyl-C₃-C₇-cycloalkyl;

- R⁵ is a hydrogen atom, a C₁-C₆-alkyl or a C₃-C₇-cycloalkyl;

- Y is the same or different and is a hydrogen atom, a halogen atom, a C₁-C₆-alkyl or a C₁-C₆-halogenoalkyl; and

- Y^a is a halogen atom, a C₁-C₆-alkyl or a C₁-C₆-halogenoalkyl;

as well as its salts, N-oxydes, metallic complexes, metalloidal complexes and optically active isomers;

with the proviso that compound of general formula (I) is different from :

- 2,3,4,5,6-pentafluoro-N-[2-(2,5-dimethoxyphenyl)-1-methylethyl]-benzamide;
- 2,3,4,5,6-pentafluoro-N-[2-(4-methoxyphenyl)-1-methylethyl]-benzamide;
- 2,3,4,5,6-pentafluoro-N-[1-methyl-2-(3,4,5-trimethoxyphenyl)ethyl]-benzamide;
- 2,3,4,5,6-pentafluoro-N-[1-(phenylmethyl)ethyl-2,2,2-d₃]-benzamide;
- 2,3,4,5,6-pentafluoro-N-[2-(4-methoxyphenyl)-1-methylethyl]-N-methylbenzamide;
- 2,3,4,5,6-pentafluoro-N-[2-(2-methoxyphenyl)-1-methylethyl]-N-methyl-

- benzamide;
- 2,3,4,5,6-pentafluoro-N-[2-(4-chlorophenyl)-1-methylethyl]-benzamide;
 - 2-chloro-N-[2-(3-chloro-4-methoxyphenyl)ethyl]-benzamide;
 - N-[2-(2-bromophenyl)ethyl]-2-iodobenzamide;
 - 5 - 2-bromo-N-[2-(3,4-dimethoxyphenyl)ethyl]-benzamide;
 - 2,4-dichloro-N-[1-methyl-2-(3-trifluoromethylphenyl)ethyl]-benzamide;
 - 2-chloro-N-[2-(3,4-dimethoxyphenyl)ethyl]-benzamide;
 - 2-chloro-N-[2-(4-chlorophenyl)-1-methyl-ethyl]-benzamide;
 - N-[2-(3-chloro-4-methoxyphenyl)ethyl]-2-methylbenzamide;
 - 10 - N-[2-(3,4-dimethoxyphenyl)ethyl]-2-methylbenzamide;
 - 2-(2-chloroethyl)-N-[2-(4-methoxyphenyl)ethyl]-benzamide; and
 - N-[2-(3-chloro-4-methoxyphenyl)ethyl]-2,6-dimethylbenzamide.

In the context of the present invention :

- 15 - halogen means fluorine, bromine, chlorine or iodine.
- carboxy means $-C(=O)OH$;
- carbonyl means $-C(=O)-$;
- carbamoyl means $-C(=O)NH_2$;
- N-hydroxycarbamoyl means $-C(=O)NHOH$;
- 20 - an alkyl group, an alkenyl group, and an alkynyl group as well as moieties containing these terms, can be linear or branched; and
- heteroatom means sulphur, nitrogen or oxygen.

In the context of the present invention, it has also to be understood that in the case of di-substituted amino and of di-substituted carbamoyl radicals, the two
25 substituents may form together with the nitrogen atom bearing them a saturated heterocyclic ring containing 3 to 7 atoms.

Any of the compounds of the present invention can exist in one or more optical or chiral isomer forms depending on the number of asymmetric centres in the compound. The invention thus relates equally to all the optical isomers and to their
30 racemic or scalemic mixtures (the term "scalemic" denotes a mixture of enantiomers in different proportions), and to the mixtures of all the possible stereoisomers, in all proportions. The diastereoisomers and/or the optical isomers can be separated according to the methods which are known *per se* by the man ordinary skilled in the art.

35 Any of the compounds of the present invention can also exist in one or more geometric isomer forms depending on the number of double bonds in the compound.

The invention thus relates equally to all geometric isomers and to all possible mixtures, in all proportions. The geometric isomers can be separated according to general methods, which are known *per se* by the man ordinary skilled in the art.

Any of the compounds of general formula (I) wherein X represents a hydroxy, a sulfanyl group or an amino group may be found in its tautomeric form resulting from the shift of the proton of said hydroxy, sulfanyl or amino group. Such tautomeric forms of such compounds are also part of the present invention. More generally speaking, all tautomeric forms of compounds of general formula (I) wherein X represents a hydroxy, a sulfanyl group or an amino group, as well as the tautomeric forms of the compounds which can optionally be used as intermediates in the preparation processes, and which will be defined in the description of these processes, are also part of the present invention.

According to the present invention, the phenyl group may be substituted in any position by (X)_n, in which X and n are as defined above. Preferably, the present invention relates to N-(1methyl-2phenylethyl)benzamide derivative of general formula (I) in which the different characteristics may be chosen alone or in combination as being :

- as regards n, n is 1, 2 or 3. More preferably n is 1 or 2; and
- as regards X, X is chosen as being as being a halogen atom, a (hydroxyimino)-C₁-C₆-alkyl group, a C₁-C₈-alkyl, a C₁-C₈-halogenoalkyl having 1 to 5 halogen atoms, a (C₁-C₆-alkoxyimino)-C₁-C₆-alkyl, a (C₁-C₆-alkenyloxyimino)-C₁-C₆-alkyl or a (C₁-C₆-alkynyloxyimino)-C₁-C₆-alkyl. More preferably X is chosen as being a halogen atom or a C₁-C₈-halogenoalkyl having 1 to 5 halogen atoms.

According to the present invention, the carbon atoms of the carboxamide moiety of the compound of formula (I) are substituted by R¹, R², R³ and R⁴; R¹, R², R³ and R⁴ being as defined above. Preferably, the present invention also relates to N-(1methyl-2phenylethyl)benzamide derivative of general formula (I) in which the different characteristics may be chosen alone or in combination as being :

- as regards R¹ and R², R¹ and R² are chosen, independently of each other, as being a hydrogen atom or a halogen atom;
- as regards R³ and R⁴, R³ and R⁴ are chosen, independently of each other, as being a hydrogen atom or C₁-C₈-alkyl. More preferably R³ is chosen as being a methyl group and R⁴ is chosen as being a hydrogen atom.

According to the present invention, the nitrogen atom of the carboxamide moiety of the compound of formula (I) is substituted by R⁵, R⁵ being a hydrogen atom, a C₁-C₆-alkyl or a C₃-C₇-cycloalkyl. Preferably, the C₃-C₇-cycloalkyl is cyclopropyl.

5

According to the present invention, the ortho-substituted phenyl group may be substituted in ortho position by Y^a and in any other position by (Y)_p, in which Y^a, Y and p are as defined above. Preferably, the present invention relates to N-(1methyl-2phenylethyl)benzamide derivative of general formula (I) in which the

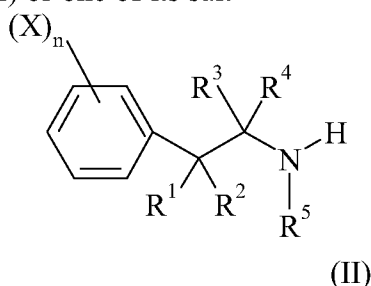
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- as regards Y^a, Y^a is chosen as being a halogen atom, a C₁-C₈-alkyl or a C₁-C₈-halogenoalkyl having 1 to 5 halogen atoms;
- as regards p, p is 1 or 2. More preferably p is 1; and
- as regards Y, Y is chosen as being a hydrogen atom or a halogen atom.

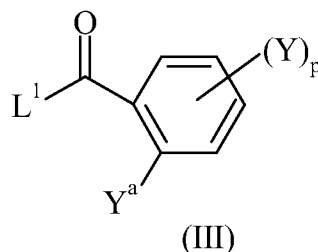
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The present invention also relates to a process for the preparation of the compound of general formula (I). Thus, according to a further aspect of the present invention there is provided a process for the preparation of compound of general formula (I) as defined above, which comprises reacting a 1-alkyl-2phenylethylamine derivative of general formula (II) or one of its salt

20



in which R¹, R², R³, R⁴, R⁵, X and n, are as defined above;
with a carboxylic acid derivative of the general formula (III)

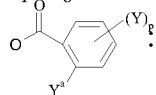


25

in which :

- Y^a, Y and p are as defined above; and

- L¹ is a leaving group chosen as being a halogen atom, a hydroxyl group, -OR⁶, -OCOR⁶, R⁶ being a C₁-C₆ alkyl, a C₁-C₆ haloalkyl, a benzyl, 4-methoxybenzyl, pentafluorophenyl or a group of formula

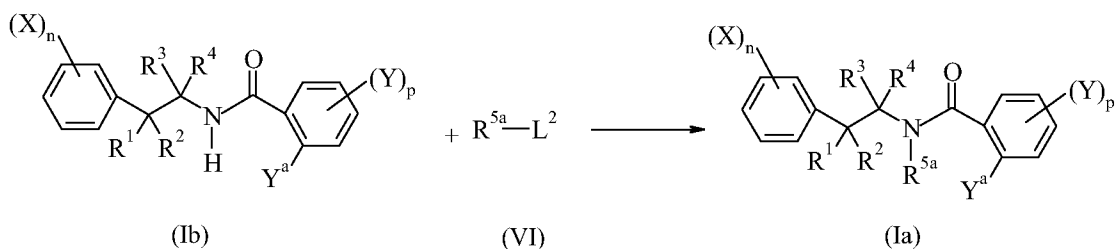


5 in the presence of a catalyst and, if L¹ is a hydroxyl group, in the presence of a condensing agent.

The process according to the present invention is conducted in the presence of a catalyst. Suitable catalyst may be chosen as being 4-dimethyl-aminopyridine, 1-
10 hydroxy-benzotriazole or dimethylformamide.

In case L¹ is a hydroxyl group, the process according to the present invention is conducted in the presence of condensing agent. Suitable condensing agent may be chosen as being acid halide former, such as phosgene, phosphorous tribromide, phosphorous trichloride, phosphorous pentachloride, phosphorous trichloride oxide
15 or thionyl chloride; anhydride former, such as ethyl chloroformate, methyl chloroformate, isopropyl chloroformate, isobutyl chloroformate or methanesulfonyl-chloride; carbodiimides, such as N,N'-dicyclohexylcarbodiimide (DCC) or other customary condensing agents, such as phosphorous pentoxide, polyphosphoric acid, N,N'-carbonyl-diimidazole, 2-ethoxy-N-ethoxycarbonyl-1,2-dihydroquinoline
20 (EEDQ), triphenylphosphine/tetrachloromethane, 4-(4,6-dimethoxy[1.3.5]triazin-2-yl)-4-methylmorpholinium chloride hydrate or bromo-tripyrrolidino-phosphonium-hexafluorophosphate.

When R⁵ is a hydrogen atom, the above mentioned process for the
25 preparation of compound of general formula (I) may optionally be completed by a further step according to the following reaction scheme :



in which : - R¹, R², R³, R⁴, X, n, Y^a, Y and p are as defined above;

30 - L² is a leaving group chosen as being a halogen atom, a 4-methyl phenylsulfonyloxy or a methylsulfonyloxy; and

- R^{5a} is a C₁-C₆-alkyl group or a C₃-C₇-cycloalkyl;

comprising the reaction of a compound of general formula (Ib) with a compound of general formula (VI) to provide a compound of general formula (Ia).

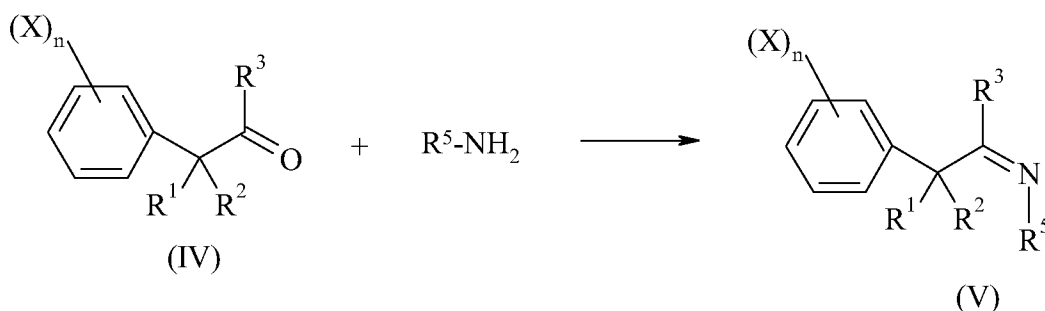
Depending on the definition of R^1 , R^2 , R^3 , R^4 , R^5 , X, and n, amine derivatives of general formula (II) may be prepared by different processes. One example (A) of such a process may be when :

- R^1 , R^2 , R^3 , R^5 , X and n are as defined above; and
- R^4 is a hydrogen atom;

then, the amine derivative of general formula (II) may be prepared according to a process which comprises :

- a first step according to reaction scheme A-1 :

Scheme A-1

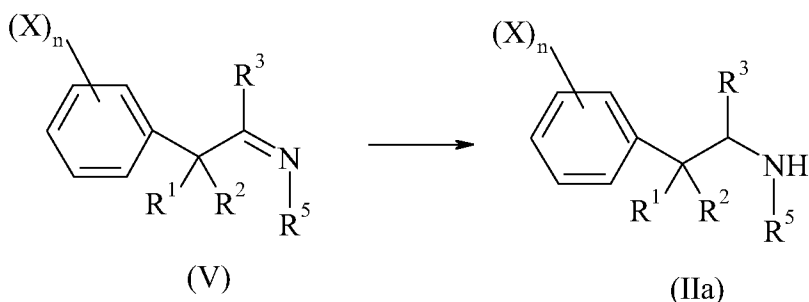


in which R^1 , R^2 , R^3 , R^5 , X and n are as defined above;

comprising the reaction of a compound of general formula (IV) with an amine of formula R^5-NH_2 to provide an imine derivative of general formula (V);

- a second step according to scheme A-2 :

Scheme A-2



in which R^1 , R^2 , R^3 , R^5 , X and n are as defined above;

comprising the reduction of an imine derivative of general formula (V) by hydrogenation or by an hydride donor, in the same or a different pot to provide an

amine derivative of general formula (IIa) or one of its salt. Preferably, the hydride donor is chosen as being metal or metalloid hydrides such as LiAlH_4 , NaBH_4 , NaBH_3CN , KBH_4 , B_2H_6 .

5 The compound according to the present invention can be prepared according to the general processes of preparation described above. It will nevertheless be understood that, on the basis of his general knowledge and of available publications, the skilled worker will be able to adapt this method according to the specifics of each of the compounds, which it is desired to synthesise.

10 On the basis of his general knowledge and of available publications, the skilled worker will also be able to prepare intermediate compound of formula (V) according to the present invention.

 The present invention also relates to a fungicidal composition comprising an effective amount of an active material of general formula (I). Thus, according to the present invention, there is provided a fungicidal composition comprising, as an active ingredient, an effective amount of a compound of general formula (I) as defined above and an agriculturally acceptable support, carrier or filler.

20 In the present specification, the term "support" denotes a natural or synthetic, organic or inorganic material with which the active material is combined to make it easier to apply, notably to the parts of the plant. This support is thus generally inert and should be agriculturally acceptable. The support may be a solid or a liquid. Examples of suitable supports include clays, natural or synthetic silicates, silica, resins, waxes, solid fertilisers, water, alcohols, in particular butanol, organic solvents, mineral and plant oils and derivatives thereof. Mixtures of such supports may also be used.

 The composition may also comprise additional components. In particular, the composition may further comprise a surfactant. The surfactant can be an emulsifier, a dispersing agent or a wetting agent of ionic or non-ionic type or a mixture of such surfactants. Mention may be made, for example, of polyacrylic acid salts, lignosulphonic acid salts, phenolsulphonic or naphthalenesulphonic acid salts, polycondensates of ethylene oxide with fatty alcohols or with fatty acids or with fatty amines, substituted phenols (in particular alkylphenols or arylphenols), salts of sulphosuccinic acid esters, taurine derivatives (in particular alkyl taurates), phosphoric esters of polyoxyethylated alcohols or phenols, fatty acid esters of polyols, and derivatives of the above compounds containing sulphate, sulphonate and

phosphate functions. The presence of at least one surfactant is generally essential when the active material and/or the inert support are water-insoluble and when the vector agent for the application is water. Preferably, surfactant content may be comprised between 5% and 40% by weight of the composition.

5 Optionally, additional components may also be included, e.g. protective colloids, adhesives, thickeners, thixotropic agents, penetration agents, stabilisers, sequestering agents. More generally, the active materials can be combined with any solid or liquid additive, which complies with the usual formulation techniques.

 In general, the composition according to the invention may contain from 0.05
10 to 99% (by weight) of active material, preferably 10 to 70% by weight.

 Compositions according to the present invention can be used in various forms such as aerosol dispenser, capsule suspension, cold fogging concentrate, dustable powder, emulsifiable concentrate, emulsion oil in water, emulsion water in oil, encapsulated granule, fine granule, flowable concentrate for seed treatment, gas
15 (under pressure), gas generating product, granule, hot fogging concentrate, macrogranule, microgranule, oil dispersible powder, oil miscible flowable concentrate, oil miscible liquid, paste, plant rodlet, powder for dry seed treatment, seed coated with a pesticide, soluble concentrate, soluble powder, solution for seed
20 treatment, suspension concentrate (flowable concentrate), ultra low volume (ulv) liquid, ultra low volume (ulv) suspension, water dispersible granules or tablets, water dispersible powder for slurry treatment, water soluble granules or tablets, water soluble powder for seed treatment and wettable powder.

 These compositions include not only compositions which are ready to be applied to the plant or seed to be treated by means of a suitable device, such as a
25 spraying or dusting device, but also concentrated commercial compositions which must be diluted before application to the crop.

 The compounds of the invention can also be mixed with one or more insecticides, fungicides, bactericides, attractant acaricides or pheromones or other
30 compounds with biological activity. The mixtures thus obtained have a broadened spectrum of activity. The mixtures with other fungicides are particularly advantageous. Examples of suitable fungicide mixing partners may be selected in the following lists :

 1) a compound capable to inhibit the nucleic acid synthesis like benalaxyl, benalaxyl-M, bupirimate, chiralaxyl, clozylacon, dimethirimol, ethirimol, furalaxyl,
35 hymexazol, mefenoxam, metalaxyl, metalaxyl-M, ofurace, oxadixyl, oxolinic acid ;

2) a compound capable to inhibit the mitosis and cell division like benomyl, carbendazim, diethofencarb, ethaboxam, fuberidazole, pencycuron, thiabendazole thiophanate-methyl, zoxamide;

3) a compound capable to inhibit the respiration for example
5 as CI-respiration inhibitor like diflumetorim;

as CII-respiration inhibitor like boscalid, carboxin, fenfuram, flutolanil, furametpyr, furnecyclox, mepronil, oxycarboxine, penthiopyrad, thifluzamide;

as CIII-respiration inhibitor like amisulbrom, azoxystrobin, cyazofamid, dimoxystrobin, enestrobin, famoxadone, fenamidone, fluoxastrobin, kresoxim-
10 methyl, metominostrobin, orysastrobin, picoxystrobin, pyraclostrobin, trifloxystrobin;

4) a compound capable of to act as an uncoupler like dinocap, fluazinam, meptyldinocap;

5) a compound capable to inhibit ATP production like fentin acetate, fentin
15 chloride, fentin hydroxide, silthiofam;

6) a compound capable to inhibit AA and protein biosynthesis like andoprim, blasticidin-S, cyprodinil, kasugamycin, kasugamycin hydrochloride hydrate, mepanipyrim, pyrimethanil;

7) a compound capable to inhibit the signal transduction like fenpiclonil,
20 fludioxonil, quinoxifen;

8) a compound capable to inhibit lipid and membrane synthesis like biphenyl, chlozolate, edifenphos, etridiazole, iodocarb, iprobenfos, iprodione, isoprothiolane, procymidone, propamocarb, propamocarb hydrochloride, pyrazophos, tolclofos-
methyl, vinclozolin ;

9) a compound capable to inhibit ergosterol biosynthesis like aldimorph, azaconazole, bitertanol, bromuconazole, cyproconazole, diclobutrazole, difenoconazole, diniconazole, diniconazole-M, dodemorph, dodemorph acetate, epoxiconazole, etaconazole, fenarimol, fenbuconazole, fenhexamid, fenpropidin, fenpropimorph, fluquinconazole, flurprimidol, flusilazole, flutriafol, furconazole,
30 furconazole-cis, hexaconazole, imazalil, imazalil sulfate, imibenconazole, ipconazole, metconazole, myclobutanil, naftifine, nuarimol, oxpoconazole, paclobutrazol, pefurazoate, penconazole, prochloraz, propiconazole, prothioconazole, pyributicarb, pyrifenox, simeconazole, spiroxamine, tebuconazole, terbinafine, tetraconazole, triadimefon, triadimenol, tridemorph, triflumizole, triforine,
35 triticonazole, uniconazole, viniconazole, voriconazole;

10) a compound capable to inhibit cell wall synthesis like bentiavalicarb, bialaphos, dimethomorph, flumorph, iprovalicarb, mandipropamid, polyoxins, polyoxorim, validamycin A;

11) a compound capable to inhibit melanine biosynthesis like carpropamid,
5 diclocymet, fenoxanil, phthalide, pyroquilon, tricyclazole;

12) a compound capable to induce a host defence like acibenzolar-S-methyl, probenazole, tiadinil;

13) a compound capable to have a multisite action like Bordeaux mixture, captafol, captan, chlorothalonil, copper naphthenate, copper oxide, copper
10 oxychloride, copper preparations such as copper hydroxide, copper sulphate, dichlofluanid, dithianon, dodine, dodine free base, ferbam, fluorofolpet, folpet, guazatine, guazatine acetate, iminoctadine, iminoctadine albesilate, iminoctadine triacetate, mancopper, mancozeb, maneb, metiram, metiram zinc, oxine-copper, propineb, sulphur and sulphur preparations including calcium polysulphide, thiram,
15 tolylfluanid, zineb, ziram;

14) a compound selected in the following list: (2E)-2-(2-{[6-(3-chloro-2-methylphenoxy)-5-fluoropyrimidin-4-yl]oxy}phenyl)-2-(methoxyimino)-N-methylacetamide,
(2E)-2-{2-[[{(1E)-1-(3-{{(E)-1-fluoro-2-phenylvinyl]oxy}phenyl)ethylidene]amino}oxy)methyl]phenyl}-2-(methoxyimino)-
20 N-methylacetamide, 1-(4-chlorophenyl)-2-(1H-1,2,4-triazol-1-yl)cycloheptanol, 1-[(4-methoxyphenoxy)methyl]-2,2-dimethylpropyl-1H-imidazole-1-carboxylate, 2,3,5,6-tetrachloro-4-(methylsulfonyl)pyridine, 2-butoxy-6-iodo-3-propyl-4H-chromen-4-one, 2-chloro-N-(1,1,3-trimethyl-2,3-dihydro-1H-inden-4-yl)nicotinamide, 2-phenylphenol and salts, 3,4,5-trichloropyridine-2,6-dicarbonitrile,
25 3,4-dichloro-N-(2-cyanophenyl)isothiazole-5-carboxamide, 3-[5-(4-chlorophenyl)-2,3-dimethylisoxazolidin-3-yl]pyridine, 5-chloro-6-(2,4,6-trifluorophenyl)-N-[(1R)-1,2,2-trimethylpropyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-7-(4-methylpiperidin-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine, 5-chloro-N-[(1R)-1,2-dimethylpropyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-
30 a]pyrimidin-7-amine, 8-hydroxyquinoline sulfate, benthiazole, bethoxazin, capsimycin, carvone, chinomethionat, cufraneb, cyflufenamid, cymoxanil, dazomet, debacarb, dichlorophen, diclomezine, dicloran, difenzoquat, difenzoquat methylsulphate, diphenylamine, ferimzone, flumetover, fluopicolide, fluoroimide, flusulfamide, fosetyl-aluminium, fosetyl-calcium, fosetyl-sodium,
35 hexachlorobenzene, irumamycin, isotianil, methasulfocarb, methyl (2E)-2-{2-[[{(cyclopropyl[(4-methoxyphenyl)imino]methyl}thio)methyl]phenyl]-3-

methoxyacrylate, methyl 1-(2,2-dimethyl-2,3-dihydro-1H-inden-1-yl)-1H-imidazole-5-carboxylate, methyl isothiocyanate, metrafenone, mildiomycin, N-(3',4'-dichloro-5-fluorobiphenyl-2-yl)-3-(difluoromethyl)-1-methyl-1H-pyrazole-4-carboxamide, N-(3-ethyl-3,5,5-trimethylcyclohexyl)-3-(formylamino)-2-hydroxybenzamide, N-(4-chloro-2-nitrophenyl)-N-ethyl-4-methylbenzenesulfonamide, N-(4-chlorobenzyl)-3-[3-methoxy-4-(prop-2-yn-1-yloxy)phenyl]propanamide, N-[(4-chlorophenyl)(cyano)methyl]-3-[3-methoxy-4-(prop-2-yn-1-yloxy)phenyl]propanamide, N-[(5-bromo-3-chloropyridin-2-yl)methyl]-2,4-dichloronicotinamide, N-[1-(5-bromo-3-chloropyridin-2-yl)ethyl]-2,4-dichloronicotinamide, N-[1-(5-bromo-3-chloropyridin-2-yl)ethyl]-2-fluoro-4-iodonicotinamide, N-[2-(4-{{3-(4-chlorophenyl)prop-2-yn-1-yl}oxy}-3-methoxyphenyl)ethyl]-N<-(methylsulfonyl)valinamide, N-{{(Z)-[(cyclopropylmethoxy)imino][6-(difluoromethoxy)-2,3-difluorophenyl]methyl}-2-phenylacetamide, N-{{2-[1,1'-bi(cyclopropyl)-2-yl]phenyl}-3-(difluoromethyl)-, 1-methyl-1H-pyrazole-4-carboxamide, N-{{2-[3-chloro-5-(trifluoromethyl)pyridin-2-yl]ethyl}-2-(trifluoromethyl)benzamide, natamycin, N-ethyl-N-methyl-N'-{{2-methyl-5-(trifluoromethyl)-4-[3-(trimethylsilyl)propoxy]phenyl}imidoforamamide, N-ethyl-N-methyl-N'-{{2-methyl-5-(difluoromethyl)-4-[3-(trimethylsilyl)propoxy]phenyl}imidoforamamide, nickel dimethyldithiocarbamate, nitrothal-isopropyl, O-{{1-[(4-methoxyphenoxy)methyl]-2,2-dimethylpropyl}1H-imidazole-1-carbothioate, octhilinone, oxamocarb, oxyfenthiin, pentachlorophenol and salts, phosphorous acid and its salts, piperalin, propamocarb fosetylalate, propanosine-sodium, proquinazid, pyribencarb, pyrrolnitrine, quintozone, tecloftalam, tecnazene, triazoxide, trichlamide, valiphenal, zarilamid.

25

The composition according to the invention comprising a mixture of a compound of formula (I) with a bactericide compound may also be particularly advantageous. Examples of suitable bactericide mixing partners may be selected in the following list : bronopol, dichlorophen, nitrapyrin, nickel dimethyldithiocarbamate, kasugamycin, octhilinone, furancarboxylic acid, oxytetracycline, probenazole, streptomycin, tecloftalam, copper sulphate and other copper preparations.

The fungicidal compositions of the present invention can be used to curatively or preventively control the phytopathogenic fungi of crops. Thus, according to a further aspect of the present invention, there is provided a method for curatively or

35

preventively controlling the phytopathogenic fungi of crops characterised in that a fungicidal composition as hereinbefore defined is applied to the seed, the plant and/or to the fruit of the plant or to the soil in which the plant is growing or in which it is desired to grow.

5 The composition as used against phytopathogenic fungi of crops comprises an effective and non-phytotoxic amount of an active material of general formula (I).

 The expression "effective and non-phytotoxic amount" means an amount of composition according to the invention which is sufficient to control or destroy the fungi present or liable to appear on the crops, and which does not entail any appreciable
10 symptom of phytotoxicity for the said crops. Such an amount can vary within a wide range depending on the fungus to be controlled, the type of crop, the climatic conditions and the compounds included in the fungicidal composition according to the invention.

 This amount can be determined by systematic field trials, which are within the capabilities of a person skilled in the art.

15 The method of treatment according to the present invention is useful to treat propagation material such as tubers or rhizomes, but also seeds, seedlings or seedlings pricking out and plants or plants pricking out. This method of treatment can also be useful to treat roots. The method of treatment according to the present invention can also be useful to treat the overground parts of the plant such as trunks,
20 stems or stalks, leaves, flowers and fruits of the concerned plant.

 Among the plants that can be protected by the method according to the present invention, mention may be made of cotton; flax; vine; fruit or vegetable crops such as *Rosaceae sp.* (for instance pip fruit such as apples and pears, but also stone fruit such as apricots, almonds and peaches), *Ribesioideae sp.*, *Juglandaceae sp.*,
25 *Betulaceae sp.*, *Anacardiaceae sp.*, *Fagaceae sp.*, *Moraceae sp.*, *Oleaceae sp.*, *Actinidaceae sp.*, *Lauraceae sp.*, *Musaceae sp.* (for instance banana trees and plantains), *Rubiaceae sp.*, *Theaceae sp.*, *Sterculiaceae sp.*, *Rutaceae sp.* (for instance lemons, oranges and grapefruit); *Solanaceae sp.* (for instance tomatoes), *Liliaceae sp.*, *Asteraceae sp.* (for instance lettuces), *Umbelliferae sp.*, *Cruciferae sp.*,
30 *Chenopodiaceae sp.*, *Cucurbitaceae sp.*, *Papilionaceae sp.* (for instance peas), *Rosaceae sp.* (for instance strawberries); major crops such as *Graminae sp.* (for instance maize, lawn or cereals such as wheat, rice, barley and triticale), *Asteraceae sp.* (for instance sunflower), *Cruciferae sp.* (for instance colza), *Fabaceae sp.* (for instance peanuts), *Papilionaceae sp.* (for instance soybean), *Solanaceae sp.* (for
35 instance potatoes), *Chenopodiaceae sp.* (for instance beetroots); horticultural and forest crops; as well as genetically modified homologues of these crops.

Among the diseases of plants or crops that can be controlled by the method according to the present invention, mention may be made of :

Powdery mildew diseases such as :

- Blumeria diseases, caused for example by *Blumeria graminis*;
- 5 Podosphaera diseases, caused for example by *Podosphaera leucotricha*;
- Sphaerotheca diseases, caused for example by *Sphaerotheca fuliginea*;
- Uncinula diseases, caused for example by *Uncinula necator*;

Rust diseases such as :

- Gymnosporangium diseases, caused for example by *Gymnosporangium*
10 *sabinae*;
- Hemileia diseases, caused for example by *Hemileia vastatrix*;
- Phakopsora diseases, caused for example by *Phakopsora pachyrhizi* or
Phakopsora meibomiae;
- Puccinia diseases, caused for example by *Puccinia recondita*;
- 15 Uromyces diseases, caused for example by *Uromyces appendiculatus*;

Oomycete diseases such as :

- Bremia diseases, caused for example by *Bremia lactucae*;
- Peronospora diseases, caused for example by *Peronospora pisi* or *P. brassicae*;
- Phytophthora diseases, caused for example by *Phytophthora infestans*;
- 20 Plasmopara diseases, caused for example by *Plasmopara viticola*;
- Pseudoperonospora diseases, caused for example by *Pseudoperonospora*
humuli or *Pseudoperonospora cubensis*;

- Pythium diseases, caused for example by *Pythium ultimum*;

Leafspot, leaf blotch and leaf blight diseases such as :

- 25 Alternaria diseases, caused for example by *Alternaria solani*;
- Cercospora diseases, caused for example by *Cercospora beticola*;
- Cladosporium diseases, caused for example by *Cladosporium cucumerinum*;
- Cochliobolus diseases, caused for example by *Cochliobolus sativus*;
- Colletotrichum diseases, caused for example by *Colletotrichum*
30 *lindemuthianum*;
- Cycloconium diseases, caused for example by *Cycloconium oleaginum*;
- Diaporthe diseases, caused for example by *Diaporthe citri*;
- Elsinoe diseases, caused for example by *Elsinoe fawcettii*;
- Gloeosporium diseases, caused for example by *Gloeosporium laeticolor*;
- 35 Glomerella diseases, caused for example by *Glomerella cingulata*;
- Guignardia diseases, caused for example by *Guignardia bidwelli*;

- Leptosphaeria diseases, caused for example by *Leptosphaeria maculans*;
Leptosphaeria nodorum;
- Magnaporthe diseases, caused for example by *Magnaporthe grisea*;
- Mycosphaerella diseases, caused for example by *Mycosphaerella graminicola*;
5 *Mycosphaerella arachidicola*; *Mycosphaerella fijiensis*;
- Phaeosphaeria diseases, caused for example by *Phaeosphaeria nodorum*;
- Pyrenophora diseases, caused for example by *Pyrenophora teres*;
- Ramularia diseases, caused for example by *Ramularia collo-cygni*;
- Rhynchosporium diseases, caused for example by *Rhynchosporium secalis*;
- 10 Septoria diseases, caused for example by *Septoria apii* or *Septoria lycopersici*;
- Typhula diseases, caused for example by *Typhula incarnata*;
- Venturia diseases, caused for example by *Venturia inaequalis*;
- Root and stem diseases such as :
- Corticium diseases, caused for example by *Corticium graminearum*;
- 15 Fusarium diseases, caused for example by *Fusarium oxysporum*;
- Gaeumannomyces diseases, caused for example by *Gaeumannomyces graminis*;
- Rhizoctonia diseases, caused for example by *Rhizoctonia solani*;
- Tapesia diseases, caused for example by *Tapesia acuformis*;
- 20 Thielaviopsis diseases, caused for example by *Thielaviopsis basicola*;
- Ear and panicle diseases such as :
- Alternaria diseases, caused for example by *Alternaria spp.*;
- Aspergillus diseases, caused for example by *Aspergillus flavus*;
- Cladosporium diseases, caused for example by *Cladosporium spp.*;
- 25 Claviceps diseases, caused for example by *Claviceps purpurea*;
- Fusarium diseases, caused for example by *Fusarium culmorum*;
- Gibberella diseases, caused for example by *Gibberella zeae*;
- Monographella diseases, caused for example by *Monographella nivalis*;
- Smut and bunt diseases such as :
- 30 Sphacelotheca diseases, caused for example by *Sphacelotheca reiliana*;
- Tilletia diseases, caused for example by *Tilletia caries*;
- Urocystis diseases, caused for example by *Urocystis occulta*;
- Ustilago diseases, caused for example by *Ustilago nuda*;
- Fruit rot and mould diseases such as :
- 35 Aspergillus diseases, caused for example by *Aspergillus flavus*;
- Botrytis diseases, caused for example by *Botrytis cinerea*;

- Penicillium diseases, caused for example by *Penicillium expansum*;
Sclerotinia diseases, caused for example by *Sclerotinia sclerotiorum*;
Verticillium diseases, caused for example by *Verticillium alboatrum*;
Seed and soilborne decay, mould, wilt, rot and damping-off diseases :
- 5 Fusarium diseases, caused for example by *Fusarium culmorum*;
Phytophthora diseases, caused for example by *Phytophthora cactorum*;
Pythium diseases, caused for example by *Pythium ultimum*;
Rhizoctonia diseases, caused for example by *Rhizoctonia solani*;
Sclerotium diseases, caused for example by *Sclerotium rolfsii*;
- 10 Microdochium diseases, caused for example by *Microdochium nivale*;
Canker, broom and dieback diseases such as :
Nectria diseases, caused for example by *Nectria galligena*;
Blight diseases such as :
Monilinia diseases, caused for example by *Monilinia laxa*;
- 15 Leaf blister or leaf curl diseases such as :
Taphrina diseases, caused for example by *Taphrina deformans*;
Decline diseases of wooden plants such as :
Esca diseases, caused for example by *Phaemoniella clamydospora*;
Diseases of flowers and Seeds such as :
- 20 Botrytis diseases, caused for example by *Botrytis cinerea*;
Diseases of tubers such as :
Rhizoctonia diseases, caused for example by *Rhizoctonia solani*.

The fungicide composition according to the present invention may also be
25 used against fungal diseases liable to grow on or inside timber. The term "timber"
means all types of species of wood, and all types of working of this wood intended
for construction, for example solid wood, high-density wood, laminated wood, and
plywood. The method for treating timber according to the invention mainly consists
in contacting one or more compounds of the present invention, or a composition
30 according to the invention; this includes for example direct application, spraying,
dipping, injection or any other suitable means.

The dose of active material usually applied in the treatment according to the
present invention is generally and advantageously between 10 and 800 g/ha, preferably
35 between 50 and 300 g/ha for applications in foliar treatment. The dose of active
substance applied is generally and advantageously between 2 and 200 g per 100 kg of

seed, preferably between 3 and 150 g per 100 kg of seed in the case of seed treatment. It is clearly understood that the doses indicated above are given as illustrative examples of the invention. A person skilled in the art will know how to adapt the application doses according to the nature of the crop to be treated.

5

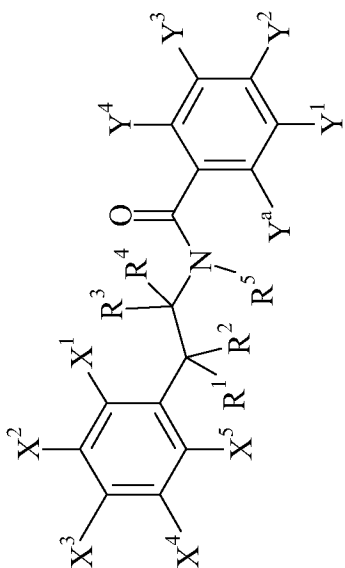
The fungicidal composition according to the present invention may also be used in the treatment of genetically modified organisms with the compounds according to the invention or the agrochemical compositions according to the invention. Genetically modified plants are plants into whose genome a heterologous gene encoding a protein of interest has been stably integrated. The expression
10 “heterologous gene encoding a protein of interest” essentially means genes which give the transformed plant new agronomic properties, or genes for improving the agronomic quality of the transformed plant.

15

The compositions according to the present invention may also be used for the preparation of composition useful to curatively or preventively treat human and animal fungal diseases such as, for example, mycoses, dermatoses, trichophyton diseases and candidiases or diseases caused by *Aspergillus spp.*, for example *Aspergillus fumigatus*.

20

The aspects of the present invention will now be illustrated with reference to the following tables of compounds and examples. The following Table illustrates in a non-limiting manner examples of fungicidal compounds according to the present invention. In the following Examples, M+1 (or M-1) means the molecular ion peak, plus or minus 1 a.m.u. (atomic mass units) respectively, as observed in mass
25 spectroscopy and M (ApcI+) means the molecular ion peak as it was found via positive atmospheric pressure chemical ionisation in mass spectroscopy.



Compound	R ¹	R ²	R ³	R ⁴	R ⁵	X ¹	X ²	X ³	X ⁴	X ⁵	Y ¹	Y ²	Y ³	Y ⁴	Y ^a	(M+1)
1	H	H	Me	H	H	H	H	Cl	H	H	H	H	H	H	CF ₃	342
2	H	H	Me	H	Cyclopropyl	H	H	Cl	H	H	H	H	H	H	CF ₃	382
3	H	H	Me	H	Cyclopropyl	H	H	Cl	H	H	H	H	H	H	Br	392
4	H	H	Me	H	Cyclopropyl	H	H	Cl	H	H	H	H	H	H	I	440
5	H	H	Me	H	Cyclopropyl	H	H	Cl	H	H	H	H	H	H	Cl	348
6	H	H	Me	H	Cyclopropyl	H	H	Cl	H	H	H	H	H	H	Me	328
7	H	H	Me	H	Cyclopropyl	H	H	Cl	H	H	H	H	H	H	CHF ₂	363
8	H	H	Me	H	H	H	H	Cl	H	H	H	H	H	Cl	Cl	342
9	H	H	Me	H	H	H	H	Cl	H	H	H	H	H	Br	Br	352
10	H	H	Me	H	H	H	H	Cl	H	H	H	H	H	CHF ₂	CHF ₂	324

Examples of process for the preparation of the compound of general formula (I)**Preparation of 2,6-dichloro-N-[2-(4-chlorophenyl)-1-methylethyl]benzamide, (Compound 8)**

100 mg of 1-(4-chlorophenyl)propan-2-amine hydrochloride (0.728 mmol) and 0.10 ml of triethylamine (0.728 mmol) are diluted in 3 ml of dichloromethane at room temperature, 152 mg of 2,6-dichlorobenzoyl chloride (0.728 mmol) are added to the reaction mixture. After 24 hours of stirring, 20ml of DCM and 10ml of saturated solution of ammonium chloride are added to the reaction mixture .

After separation, the organic phase is washed with 10 ml of a saturated solution of sodium bicarbonate.

After separation over magnesium sulphate, filtration and concentration *in vacuo*, 0.24g of essentially pure 2,6-dichloro-N-[2-(4-chlorophenyl)-1-methylethyl]benzamide are obtained (yield = 93%).

[M + 1] = 342

Preparation of N-[2-(4-chlorophenyl)-1-methylethyl]-N-cyclopropyl-2-(difluoromethyl)benzamide (Compound 7)**Preparation of N-[2-(4-chlorophenyl)-1-methylethyl]cyclopropanamine hydrochloride**

Under argon are mixed, in 150ml of methanol, 10.1g of cyclopropylamine (0.177mol) and 12.6ml of acetic acid (0.221 mol). 15.0g of 1-(4-chlorophenyl)acetone (0.088mol) and 15g of 3 Å molecular sieves are then added. The reaction mixture is refluxed for 3 hours. After cooling to room temperature, 8.34g of sodium cyanoborohydride (0.13mol) are added to the reaction mixture which is refluxed again for 3 hours. After one night at room temperature, 3g of cyanoborohydride (0.048mol) and 8 ml of acetic acid (0.126mol) are added to the reaction mixture which is refluxed for three hours. After cooling to room temperature, filtration over celite and concentration *in vacuo*, 250 ml of dichloromethane and 400ml of NaOH 1M are added to the reaction mixture.

After separation, the aqueous phase is extracted with 250 ml of dichloromethane, the combined organic phases are washed with 1550ml of water and 200ml of brine.

After drying over magnesium sulphate, filtration and concentration, the crude amine is precipitated with HCl 2M in ether to yield to 19.06g of N-[2-(4-chlorophenyl)-1-methylethyl]cyclopropanamine hydrochloride (yield = 88%).

[M+1-HCl] = 210.

5

Preparation of N-[2-(4-chlorophenyl)-1-methylethyl]-N-cyclopropyl-2-(difluoromethyl)benzamide

300mg of N-[2-(4-chlorophenyl)-1-methylethyl]cyclopropanamine hydrochloride (1.218mmol), 220mg of 2-(difluoromethyl)benzoic acid (1.28mmol),
10 16mg of 1-hydroxybenzotriazole (0.12mmol), 256mg of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (1.34mmol) and 0.171ml of TEA (1.22mmol) are refluxed in 10ml of dichloromethane for one hour. After 48 hours at room temperature, the reaction is quenched with 5 ml of HCl 0.5M.

After separation, the organic phase is washed with 5 ml of water and 5ml of
15 a saturated solution of sodium bicarbonate, dried over magnesium sulphate, filtered and concentrated *in vacuo*. The crude product is flash-chromatographed on silica with heptane/EtOAc to yield to 149 mg of N-[2-(4-chlorophenyl)-1-methylethyl]-N-cyclopropyl-2-(difluoromethyl)benzamide (yield = 37%).

[M+1] = 363.

Examples of biological activity of the compound of general formula (I)

Example A : *in vivo* test on *Alternaria brassicae* (Leaf spot of crucifers)

5

The active ingredients tested are prepared by homogenisation in a mixture of acetone/tween/DMSO, then diluted with water to obtain the desired active material concentration.

10 Radish plants (Pernot variety), sown on a 50/50 peat soil-pozzolana substrate in starter cups and grown at 18-20°C, are treated at the cotyledon stage by spraying with the active ingredient prepared as described above.

Plants, used as controls, are treated with the mixture of acetone/tween/DMSO/water not containing the active material.

15 After 24 hours, the plants are contaminated by spraying them with an aqueous suspension of *Alternaria brassicae* spores (40,000 spores per cm³). The spores are collected from a 12 to 13 days-old culture.

The contaminated radish plants are incubated for 6-7 days at about 18°C, under a humid atmosphere.

20 Grading is carried out 6 to 7 days after the contamination, in comparison with the control plants.

Under these conditions, good (at least 70%) or total protection is observed at a dose of 500 ppm with the following compounds : 1, 9 and 10.

Example B : *in vivo* test on *Pyrenophora teres* (Barley Net blotch)

25

The active ingredients tested are prepared by homogenisation in a mixture of acetone/tween/DMSO, then diluted with water to obtain the desired active material concentration.

30 Barley plants (Express variety), sown on a 50/50 peat soil-pozzolana substrate in starter cups and grown at 12°C, are treated at the 1-leaf stage (10 cm tall) by spraying with the active ingredient prepared as described above. Plants, used as controls, are treated with the mixture of acetone/tween/DMSO/water not containing the active material.

35 After 24 hours, the plants are contaminated by spraying them with an aqueous suspension of *Pyrenophora teres* spores (12,000 spores per ml). The spores are collected from a 12-day-old culture .The contaminated barley plants are incubated

for 24 hours at about 20°C and at 100% relative humidity, and then for 12 days at 80% relative humidity.

Grading is carried out 12 days after the contamination, in comparison with the control plants.

5 Under these conditions, good (at least 70%) or total protection is observed at a dose of 500 ppm with the following compound : 1.

Example C: : *in vivo* test on *Mycosphaerella graminicola* (wheat leaf spot)

10 The active ingredients tested are prepared by homogenisation in a mixture of acetone/tween/DMSO, then diluted with water to obtain the desired active material concentration.

Wheat plants (Scipion variety), sown on a 50/50 peat soil-pozzolana substrate in starter cups and grown at 12°C, are treated at the 1-leaf stage (10 cm tall) by
15 spraying with the active ingredient prepared as described above.

Plants, used as controls, are treated with the mixture of acetone/tween/DMSO/water not containing the active material.

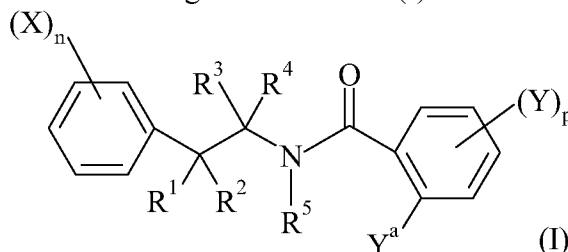
After 24 hours, the plants are contaminated by spraying them with an aqueous suspension of *Mycosphaerella graminicola* spores (500 000 spores per ml). The
20 spores are collected from a 7-day-old culture .The contaminated wheat plants are incubated for 72 hours at 18°C and at 100% relative humidity, and then for 21 to 28 days at 90% relative humidity.

Grading (% of efficacy) is carried out 21 to 28 days after the contamination, in comparison with the control plants.

25 Under these conditions, good (at least 70%) or total protection is observed at a dose of 500 ppm with the following compounds : 1, 9 and 10.

CLAIMS

1. A compound derivative of general formula (I)



5

in which :

- n is 1, 2, 3, 4 or 5;
 - p is 1, 2, 3 or 4;
 - X is the same or different and is a halogen atom, a nitro group, a cyano group, an amino group, a sulfanyl group, a pentafluoro- λ^6 -sulfanyl group, a formyl group, a formyloxy group, a formylamino group, a carbamoyl group, a N-hydroxycarbamoyl group, a carbamate group, a (hydroxyimino)-C₁-C₆-alkyl group, a C₁-C₈-alkyl, a C₁-C₈-halogenoalkyl having 1 to 5 halogen atoms, a C₂-C₈-alkenyl, a C₂-C₈-alkynyl, a C₁-C₈-alkylamino, a di-C₁-C₈-alkylamino, a C₁-C₈-alkoxy, a C₁-C₈-halogenoalkoxy having 1 to 5 halogen atoms, a C₁-C₈-alkylsulfanyl, a C₁-C₈-halogenoalkylsulfanyl having 1 to 5 halogen atoms, a C₂-C₈-alkenyloxy, a C₂-C₈-halogenoalkenyloxy having 1 to 5 halogen atoms, a C₃-C₈-alkynyloxy, a C₃-C₈-halogenoalkynyloxy having 1 to 5 halogen atoms, a C₃-C₈-cycloalkyl, a C₃-C₈-halogenocycloalkyl having 1 to 5 halogen atoms, a C₁-C₈-alkylcarbonyl, a C₁-C₈-halogenoalkylcarbonyl having 1 to 5 halogen atoms, a C₁-C₈-alkylcarbamoyl, a di-C₁-C₈-alkylcarbamoyl, a N-C₁-C₈-alkyloxycarbamoyl, a C₁-C₈-alkoxycarbamoyl, a N-C₁-C₈-alkyl-C₁-C₈-alkoxycarbamoyl, a C₁-C₈-alkoxycarbonyl, a C₁-C₈-halogenoalkoxycarbonyl having 1 to 5 halogen atoms, a C₁-C₈-alkylcarbonyloxy, a C₁-C₈-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, a C₁-C₈-alkylcarbonylamino, a C₁-C₈-halogenoalkylcarbonylamino having 1 to 5 halogen atoms, a C₁-C₈-alkylaminocarbonyloxy, a di-C₁-C₈-alkylaminocarbonyloxy, a C₁-C₈-alkyloxycarbonyloxy, a C₁-C₈-alkylsulphenyl, a C₁-C₈-halogenoalkylsulphenyl having 1 to 5 halogen atoms, a C₁-C₈-alkylsulphinyl, a C₁-C₈-halogenoalkylsulphinyl having 1 to 5 halogen atoms, a C₁-C₈-alkylsulphonyl, a C₁-C₈-halogenoalkylsulphonyl having 1 to 5 halogen atoms, a (C₁-C₆-alkoxyimino)-C₁-C₆-alkyl, a (C₁-C₆-alkenyloxyimino)-C₁-C₆-alkyl, a (C₁-C₆-alkynyloxyimino)-C₁-C₆-alkyl, a
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(benzyloxyimino)-C₁-C₆-alkyl, a benzyloxy, a benzylsulfanyl, a benzylamino, a phenoxy, a phenylsulfanyl or a phenylamino ;

- R¹ and R² are the same or different and are a hydrogen atom, a C₁-C₆-alkyl or a C₁-C₆-alkyl-C₃-C₇-cycloalkyl;

5 - R³ and R⁴ are the same or different and are a hydrogen atom, a C₁-C₆-alkyl or a C₁-C₆-alkyl-C₃-C₇-cycloalkyl;

- R⁵ is a hydrogen atom, a C₁-C₆-alkyl or a C₃-C₇-cycloalkyl;

- Y is the same or different and is a hydrogen atom, a halogen atom, a C₁-C₆-alkyl or a C₁-C₆-halogenoalkyl; and

10 - Y^a is a halogen atom, a C₁-C₆-alkyl or a C₁-C₆-halogenoalkyl;

as well as its salts, N-oxydes, metallic complexes, metalloidal complexes and optically active isomers;

with the proviso that compound of general formula (I) is different from :

- 2,3,4,5,6-pentafluoro-N-[2-(2,5-dimethoxyphenyl)-1-methylethyl]-benzamide;
- 15 - 2,3,4,5,6-pentafluoro-N-[2-(4-methoxyphenyl)-1-methylethyl]-benzamide;
- 2,3,4,5,6-pentafluoro-N-[1-methyl-2-(3,4,5-trimethoxyphenyl)ethyl]-benzamide;
- 2,3,4,5,6-pentafluoro-N-[1-(phenylmethyl)ethyl-2,2,2-d₃]-benzamide;
- 2,3,4,5,6-pentafluoro-N-[2-(4-methoxyphenyl)-1-methylethyl]-N-methyl-benzamide;
- 20 - 2,3,4,5,6-pentafluoro-N-[2-(2-methoxyphenyl)-1-methylethyl]-N-methyl-benzamide;
- 2,3,4,5,6-pentafluoro-N-[2-(4-chlorophenyl)-1-methylethyl]-benzamide;
- 2-chloro-N-[2-(3-chloro-4-methoxyphenyl)ethyl]-benzamide;
- N-[2-(2-bromophenyl)ethyl]-2-iodobenzamide;
- 25 - 2-bromo-N-[2-(3,4-dimethoxyphenyl)ethyl]-benzamide;
- 2,4-dichloro-N-[1-methyl-2-(3-trifluoromethylphenyl)ethyl]-benzamide;
- 2-chloro-N-[2-(3,4-dimethoxyphenyl)ethyl]-benzamide;
- 2-chloro-N-[2-(4-chlorophenyl)-1-methyl-ethyl]-benzamide;
- N-[2-(3-chloro-4-methoxyphenyl)ethyl]-2-methylbenzamide;
- 30 - N-[2-(3,4-dimethoxyphenyl)ethyl]-2-methylbenzamide;
- 2-(2-chloroethyl)-N-[2-(4-methoxyphenyl)ethyl]-benzamide; and
- N-[2-(3-chloro-4-methoxyphenyl)ethyl]-2,6-dimethylbenzamide.

2. A compound according to claim 1, characterised in that n is 1, 2 or 3.

3. A compound according to claim 1 or 2, characterised in that X is chosen as being as being a halogen atom, a (hydroxyimino)-C₁-C₆-alkyl group, a C₁-C₈-alkyl, a C₁-C₈-halogenoalkyl having 1 to 5 halogen atoms, a (C₁-C₆-alkoxyimino)-C₁-C₆-alkyl, a (C₁-C₆-alkenyloxyimino)-C₁-C₆-alkyl or a (C₁-C₆-alkynyloxyimino)-C₁-C₆-alkyl.

4. A compound according to any of the claims 1 to 3, characterised in that R¹ and R² are chosen, independently of each other, as being a hydrogen atom or a halogen atom.

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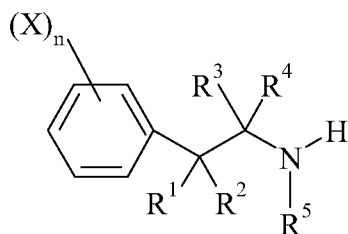
5. A compound according to any of the claims 1 to 4, characterised in that R³ and R⁴ are chosen, independently of each other, as being a hydrogen atom or C₁-C₈-alkyl.

15 6. A compound according to any of the claims 1 to 5, characterised in that Y^a is chosen as being a halogen atom, a C₁-C₈-alkyl or a C₁-C₈-halogenoalkyl having 1 to 5 halogen atoms.

7. A compound according to any of the claims 1 to 6, characterised in that p is 1 or 2.

8. A compound according to any of the claims 1 to 7, characterised in that Y is chosen as being a hydrogen atom or a halogen atom.

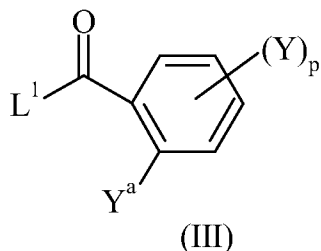
25 9. A process for the preparation of compound of general formula (I) as defined in claim 1, which comprises a 1-alkyl-2-phenylethylamine derivative of general formula (II) or one of its salt



(II)

in which R¹, R², R³, R⁴, R⁵, X and n, are as defined in claim 1;

30 with a carboxylic acid derivative of the general formula (III)



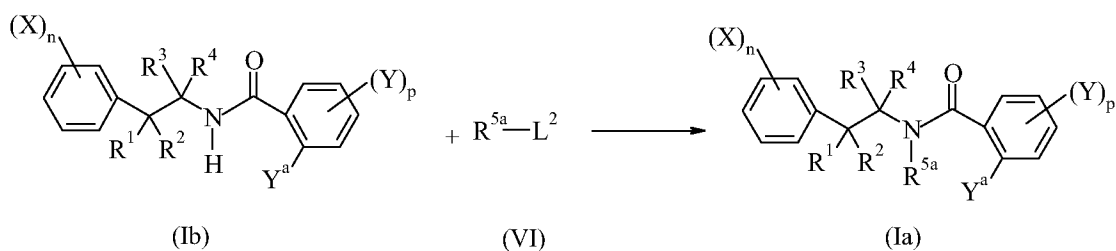
in which :

- Y^a , Y and p are as defined in claim 1; and
- L^1 is a leaving group chosen as being a halogen atom, a hydroxyl group, -OR⁶, -OCOR⁶, R⁶ being a C₁-C₆ alkyl, a C₁-C₆ haloalkyl, a benzyl, 4-methoxybenzyl, pentafluorophenyl or a group of formula

in the presence of a catalyst and, if L^1 is a hydroxyl group, in the presence of a condensing agent.

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10. A process according to claim 9, characterised in that R^5 is a hydrogen atom and that the process is completed by a further step according to the following reaction scheme :



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in which : - $R^1, R^2, R^3, R^4, X, n, Y^a, Y$ and p are as defined in claim 1;

- L^2 is a leaving group chosen as being a halogen atom, a 4-methyl phenylsulfonyloxy or a methylsulfonyloxy; and

- R^{5a} is a C₁-C₆-alkyl group or a C₃-C₇-cycloalkyl;

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comprising the reaction of a compound of general formula (Ib) with a compound of general formula (VI) to provide a compound of general formula (Ia).

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11. A fungicide composition comprising an effective amount of a compound according to any of the claims 1 to 8 and an agriculturally acceptable support.

12. A method for preventively or curatively combating the phytopathogenic fungi of crops, characterised in that an effective and non-phytotoxic amount of a

composition according to claim 11 is applied to the plant seeds or to the plant leaves and/or to the fruits of the plants or to the soil in which the plants are growing or in which it is desired to grow them.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2006/068717

A. CLASSIFICATION OF SUBJECT MATTER INV. C07C233/64 A01N37/18		
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) C07C A01N		
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, WPI Data, PAJ		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	BORGNA, P. ET AL.: IL FARMACO, ED. SC., vol. 33, no. 7, 1978, pages 510-515, XP009066592 page 510, "Summary"; pages 513-514, "Tabella 1"; pages 514-515, "Conclusioni"	1-12
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 9572000 XP002381078 abstract & FERNANDEZ-FERRI, PATRICIA ET AL.: EUR. J. MED. CHEM. CHIM. THER.;, vol. 38, no. 3, 2003, pages 289-296, ----- -/--	1-8
<input checked="" type="checkbox"/> Further documents are listed in the continuation of Box C.		
<input checked="" type="checkbox"/> See patent family 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 19 April 2007		Date of mailing of the international search report 07/05/2007
Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016		Authorized officer Sen, Alina

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2006/068717

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 2699915 XP002381079 abstract & BHATTACHARYA;: INDIAN JOURNAL CHEMISTRY, vol. 6, 1968, pages 341-343, -----	1-8
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 2143583 XP002381080 abstract & BORGNA ET AL: FARMACO ED. SCI., vol. 33, 1978, pages 510-513, -----	1-8
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 8494699 XP002381081 abstract & JULLIAN, VALERIE ETAL.: JOURNAL ORGANIC CHEMISTRY, vol. 7, 2000, pages 1319-1326, -----	1-8
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 6728087 XP002381082 abstract & OKAZAKI, RENJI ET AL: J. CHEM. SOC. CHEM. COMMUN., vol. 3, 1984, pages 192-193, -----	1-8
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 6345320 XP002381083 abstract & WIPF, PETER ET AL: JOURNAL ORGANIC CHEMISTRY, vol. 58, no. 12, 1993, pages 3455-3459, -----	1-8
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 4258720 XP002381084 abstract ----- -/--	1-8

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2006/068717

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	& KITA, YASUYUKI ET AL: JOURNAL ORGANIC CHEMISTRY, vol. 56, no. 1, 1991, pages 435-438, ----- DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 3071952 XP002381085 abstract & MATIN, S.B. ET AL: J. PHARM. SCI., vol. 61, 1972, pages 1235-1240, -----	1-8
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 8496320 XP002381086 abstract & HOFFMANN, BRIAN ET AL.: J. MED. CHEM., vol. 42, no. 17, 1999, pages 3217-3226, -----	1-18
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 7545284 XP002381087 abstract & KAUFMAN, M.S.: J. MASS. SPECTROM., vol. 31, no. 6, 1996, pages 913-920, -----	1-8
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 5820099 XP002381088 abstract & LAMAS, CARLOS ET AL: TETRAHEDRON LETTERS, vol. 33, no. 38, 1992, pages 5653-5654, -----	1-8
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; beilstein Registry Number 5087725 XP002381089 abstract & BARKER, JOHN M. ET AL: J. CHEM. SOC. PERKIN TRANS., vol. 1, 1985, pages 275-282, ----- -/--	1-8

INTERNATIONAL SEARCH REPORT

international application No
PCT/EP2006/068717

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 3595966 XP002381090 abstract & COQUEREL, GERARD ET AL.: TETRAHEDRON LETTERS, vol. 31, no. 15, 1990, pages 2143-2144, -----	1-8
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 3074174 XP002381091 abstract & MATIN, S.B. ET AL: J. PHARM. SCI., vol. 61, 1972, pages 1235-1240, -----	1-8
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 2157436 XP002381092 abstract & VIEL, C. ET AL.: BULL. SOC. CHIM. FR., 1966, pages 1956-1966, -----	1-8
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 2701217 XP002381093 abstract & BHATTACHARYA: INDIAN J. CHEM., vol. 6, 1968, pages 341-343, -----	1-8
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 8496470 XP002381094 abstract & HOFFMANN, B. ET AL: J. MED. CHEM., vol. 42, no. 17, 1999, pages 3217-3226, -----	1-8
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 7138838 XP002381095 abstract & CORTES, EDUARDO C: ET AL: J. HETEROCYCL. CHEM., vol. 31, no. 6, 1994, pages 1425-1428, -----	1-8

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INTERNATIONAL SEARCH REPORT

International application No

PCT/EP2006/068717

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 6216660 XP002381096 abstract & MEISE W. ET AL.: ARCH. PHARM., vol. 322, 1989, pages 245-252, -----	1-8
X	DATABASE BEILSTEIN Institut zur Foerderung der Chemischen Wissenschaften; Beilstein Registry Number 8497220 XP002381097 abstract & HOFFMANN, BRIAN ET AL.: J. MED. CHEM., vol. 42, no. 17, 1999, pages 3217-3226, -----	1-8
X	US 4 221 815 A (WEYER ET AL) 9 September 1980 (1980-09-09) claims 1-3 -----	1-8
A	EP 1 389 614 A (BAYER CROPSCIENCE S.A) 18 February 2004 (2004-02-18) claims -----	1-12

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2006/068717

Patent document cited in search report	Publication date	Patent family member(s)	Publication date				
US 4221815	A	09-09-1980	AT 347922 B 25-01-1979				
			AT 1876 A 15-06-1978				
			AU 501010 B2 07-06-1979				
			AU 8796475 A 07-07-1977				
			BE 837311 A1 05-07-1976				
			CA 1064933 A1 23-10-1979				
			CH 619209 A5 15-09-1980				
			DE 2500157 A1 22-07-1976				
			DK 276 A 04-07-1976				
			EG 12067 A 31-12-1978				
			ES 443910 A1 16-04-1977				
			FI 753721 A 04-07-1976				
			FR 2296407 A1 30-07-1976				
			GB 1538482 A 17-01-1979				
			HU 174325 B 28-12-1979				
			IE 42391 B1 30-07-1980				
			IL 48749 A 30-09-1979				
			IT 1052091 B 20-06-1981				
			JP 51131846 A 16-11-1976				
			LU 74129 A1 11-11-1976				
			NL 7515129 A 06-07-1976				
			NO 760003 A 06-07-1976				
			PT 64670 A 01-05-1976				
			SE 7600012 A 05-07-1976				
			ZA 7600007 A 29-12-1976				
<table style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 25%;">EP 1389614</td> <td style="width: 5%;">A</td> <td style="width: 25%;">18-02-2004</td> <td style="width: 45%;">NONE</td> </tr> </table>				EP 1389614	A	18-02-2004	NONE
EP 1389614	A	18-02-2004	NONE				