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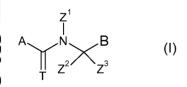
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(54) Title: FUNGICIDE N-6-MEMBERED FUSED (HETERO)ARYL-METHYLENE-N-CYCLOALKYL CARBOXAMIDE DERIVATIVES



(57) Abstract: The present invention relates N-(aromatic, 6-membered, fused, (hetero)aryl-methylene)-N-cycloalkyl carboxamide derivatives, their thiocarboxamide or N-substituted carboximidamide analogues, all of formula (I) wherein A represents a carbo-linked 5-mennbered heterocyclyl group; T represents O, S, N-R c , N-OR d , N-NR c R d or N-CN; Z 1 to Z 3 and B represents an aromatic, 6-membered, fused, (hetero)aryl; their process of preparation; their use as fungicide active agents, particularly in the form of fungicide compositions and methods for the control of phytopathogenic fungi, notably

of plants, using these compounds or compositions.

FUNGICIDE N-6-MEMBERED FUSED (HETERO)ARYL-METHYLENE-N-CYCLOALKYL CARBOXAMIDE DERIVATIVES

DESCRIPTION

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The present invention relates to N-(aromatic,6-membered, fused, (hetero)aryl-methylene)-N-cycloalkyl carboxamide derivatives, their thiocarboxamide or N-substituted carboximidamide analogues, their process of preparation, their use as fungicide active agents, particularly in the form of fungicide compositions, and methods for the control of phytopathogenic fungi, notably of plants, using these compounds or compositions.

International patent application WO-2001/11966 generically mentions certain haloalkyl-2-pyridyl-methylene-heterocyclyl-amide derivatives. However, there is no disclosure in this document of any such derivative substituted by any cycloalkyl group.

International patent application WO-2004/074259 discloses GABAA receptor-bondable compounds of the following formula:

$$Ar \underbrace{ \begin{array}{c} R^7 \\ N \end{array} }_{Q} \underbrace{ \begin{array}{c} R^7 \\ R^6 \end{array} }_{R^6} \underbrace{ \begin{array}{c} X \\ R^4 \end{array} }_{Q}$$

wherein Ar can represent a 5- to 10-heterocycle, R^7 can represent a C_3 - C_7 -cycloalkyl while X, Y and Z can represent N or CR^1 , at least one representing N.

However, there is no disclosure in this document of any compound including a 5-membered heterocycle or a cycloalkyl linked to the nitrogen atom.

International patent application WO-2006/120224 discloses 2-pyridyl-methylene-carboxamide derivatives of the following formula:

$$(X)_{n} \xrightarrow{Y} Z^{3} X^{3} X^{4} X^{2} X^{2} X^{1} X^{2} X^{$$

there was no hint in this document to prepare the fungicide bicyclic derivatives according to the invention.

International patent application WO-2007/117778 discloses quinoline derivatives useful as inducible nitric oxide synthase inhibitors, including N-cyclopropyl-N-((7,8-fluoro-2-oxo-I,2-

dihydroquinolin-4-yl)methyl)-4-methylthiazole-5-carboxamide and N-cyclopropyl-N-((8-fluoro-2-oxo-l,2-dihydroquinolin-4-yl)methyl)-4-methylthiazole-5- carboxamide. These compounds do not form part of the present invention.

It is always of high-interest in agriculture to use novel pesticide compounds in order to avoid or to control the development of resistant strains to the active ingredients. It is also of high-interest to use novel compounds being more active than those already known, with the aim of decreasing the amounts of active compound to be used, whilst at the same time maintaining effectiveness at least equivalent to the already known compounds. We have now found a new family of compounds that possess the above mentioned effects or advantages.

Accordingly, the present invention provides N-(aromatic, 6-membered, fused, (hetero)aryl-methylene)-N-cycloalkyl-carboxamide derivatives of formula (I)

$$\begin{array}{c|c}
Z^1 \\
N \\
X \\
Z^2
\end{array}$$
(I)

wherein

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- A represents a carbo-linked, unsaturated or partially saturated, 5-membered heterocyclyl group that can be substituted by up to four groups R^a;
- B represents

$$W^{5} = W^{4} + Y^{1} - [Y^{3}]_{p}$$
 $W^{5} = W^{4} + W^{3} + W^{2}$
 $W^{1} - W^{2}$

wherein

- o W¹ to W⁵ independently represent N or CR^{b1};
- o Y¹ to Y³ independently represent N, O, S, NR^{b2} or CR^{b3};
- \circ Y¹ to Y³ together with the atoms Wⁿ to which they are linked, form an aromatic 5-or 6-membered, fused heterocyclyl ring comprising up to four heteroatoms, or an aromatic 6-membered fused carbocyclyl ring;
- o p represents 1 or 2;

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- T represents O, S, N-R°, N-ORd, N-NR°Rd or N-CN;
- Z^1 represents a non substituted C_3 - C_7 -cycloalkyl or a C_3 - C_7 cycloalkyl substituted by up to 10 atoms or groups that can be the same or different and that can be selected in the list consisting of halogen atoms; cyano; C_1 - C_8 -alkyl; C_1 - C_8 -halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -alkoxy comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -alkoxycarbonyl; C_1 - C_8 -halogenoalkoxycarbonyl comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -alkylaminocarbonyl; di- $(C_1$ - C_8 -alkylaminocarbonyl;
- Z^2 and Z^3 independently represent a hydrogen atom; a halogen atom; C_1 - C_8 -alkyl; C_1 - C_8 -halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C_2 - C_8 -alkenyl; C_2 - C_8 -alkynyl; cyano; nitro; C_1 - C_8 -alkoxy; C_2 - C_8 -alkenyloxy; C_2 - C_8 -alkynyloxy; C_3 - C_7 -cycloalkyl; C_1 - C_8 -alkylsulphenyl; amino; C_1 - C_8 -alkylamino; di-(C_1 - C_8 -alkyl)amino; C_1 - C_8 -alkoxycarbonyl; C_1 - C_8 -alkylcarbonyl; or
- Z² and Z³ together with the carbon atom to which they are linked can form a substituted or non substituted C₃-C₇ cycloalkyl;

• Ra independently represents a hydrogen atom; halogen atom; cyano; nitro; amino; sulfanyl; hydroxyl; pentafluoro- λ -6-sulfanyl; C_1 - C_8 -alkylamino; di- $(C_1$ - C_8 -alkyl)amino; tri(C_1 - C_8 -alkyl)silyl; tri(C_1 - C_8 -alkyl)silyl- C_1 - C_8 -alkyl; C_1 - C_8 -alkylsulfanyl; C_1 - C_8 -halogenoalkylsulfanyl; comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -alkyl; C_1 - C_8 -halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C_2 - C_8 -halogenoalkenyl; C_2 - C_8 -halogenoalkynyl comprising up to 9 halogen atoms that can be the same or different; C_2 - C_8 -alkynyl; C_2 - C_8 -halogenoalkynyl comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -alkoxy; C_1 - C_8 -alkoxy- C_1 - C_8 -alkyl; C_1 - C_8 -halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different; C_2 - C_8 -alkylsulphinyl; C_3 - C_7 -cycloalkyl; C_3 - C_7 -cycloalkyl- C_1 - C_8 -alkyl; C_1 - C_8 -alkylsulphinyl; C_1 - C_8 -alkylsulphonyl; C_1 - C_8 -alkoxyimino; C_1 - C_8 -alkoxyimino)- C_1 - C_8 -alkoxyimino)- C_1 - C_8 -alkoxyimino)- C_1 - C_8 -alkylcarbonyl; C_1 - C_8 -halogenoalkylcarbonyl comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -alkoxyimino)- C_1 - C_8 -alkoxyi

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comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -alkylaminocarbonyl; di- $(C_1$ - C_8 -alkyl)aminocarbonyl;

- R° and Rd, that can be the same or different, represent a hydrogen atom; C_1 - C_8 -alkyl; C_1 - C_8 -halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -alkoxy- C_1 - C_8 -alkyl; C_2 - C_8 -alkenyl; C_2 - C_8 -halogenoalkenyl comprising up to 9 halogen atoms that can be the same or different; C_2 - C_8 -alkynyl; C_2 - C_8 -halogenoalkynyl comprising up to 9 halogen atoms that can be the same or different; C_3 - C_7 -cycloalkyl; C_3 - C_7 -cycloalkyl- C_1 - C_8 -alkyl; C_3 - C_7 -halogenocycloalkyl comprising up to 9 halogen atoms that can be the same or different; formyl; C_1 - C_8 -alkylcarbonyl; C_1 - C_8 -halogenoalkylcarbonyl comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -alkylsulphonyl; C_1 - C_8 -halogenoalkylsulphonyl comprising up to 9 halogen atoms that can be substituted by up to 5 groups C_1 ; phenylmethylene that can be substituted by up to 5 groups C_1 ; phenylmethylene that can be substituted by up to 5 groups C_1 ;
- R^{b1} and R^{b3} independently represent a hydrogen atom ; halogen atom ; nitro ; cyano ; hydroxyl; sulphanyl; amino; pentafluoro- λ 6-sulphanyl; C_1 - C_8 -alkyl; C_1 - C_8 halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkylamino ; di-(C₁-C₈-alkyl)amino ; C₁-C₈-alkoxy ; C₁-C₈-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkoxy-C₁-C₈-alkyl; C₁-C₈-alkylsulphanyl; C₁-C₈-halogenoalkylsulphanyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkylsulphenyl, C₁-C₈-halogenoalkylsulphenyl comprising up to 9 halogen atoms that can be the same or different, C₁-C₈alkylsulphinyl, C₁-C₈-halogenoalkylsulphinyl comprising up to 9 halogen atoms that can be the same or different, C₁-C₈-alkylsulphonyl, C₁ C₈-halogenoalkylsulphonyl comprising up to 9 halogen atoms that can be the same or different; C₂-C₈-alkenyl; C₂-C₈-halogenoalkenyl comprising up to 9 halogen atoms that can be the same or different; C₂-C₈-alkynyl; C₂-C₈-halogenoalkynyl comprising up to 9 halogen atoms that can be the same or different C2-C8-alkenyloxy; C2-C8-halogenoalkenyloxy comprising up to 9 halogen atoms that can be the same or different; C2-C8-alkinyloxy; C2-C8halogenoalkinyloxy comprising up to 9 halogen atoms that can be the same or different; C₃-C₇-cycloalkyl; C₃-C₇-cycloalkyl; C₃-C₇-halogenocycloalkyl comprising up to 9 halogen atoms that can be the same or different; formyl; formyloxy;

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formylamino: carboxy: carbamovl: N-hydroxycarbamovl: carbamate: (hydroxyimino)- C_1 - C_8 -alkyl; C_1 - C_8 -alkylcarbonyl; C_1 - C_8 -halogenoalkylcarbonyl comprising up to 9 halogen atoms that can be the same or different; N-C₁-C₈-alkyl-C₁-C₈alkoxycarbamoyl; C₁-C₈-alkoxycarbonyl; C₁-C₈-halogenoalkoxycarbonyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkylaminocarbonyl; di- $(C_1-C_8-alkyl)$ aminocarbonyl; $C_1-C_8-alkyl$ carbonyloxy; C_1-C_8-h alogenoalkylcarbonyloxy comprising up to 9 halogen atoms that can be the same or different; C1-C8alkylcarbonylamino; C₁-C₈-alkylaminocarbonyloxy; di-(C₁-C₈-alkyl)aminocarbonyloxy; C_1 - C_8 -alkyloxycarbonyloxy; C_1 - C_8 -alkoxyimino; $(C_1$ - C_8 -alkoxyimino)- C_1 - C_8 -alkyl; $(C_1$ -C₈-alkenyloxyimino)-C₁-C₈-alkyl ; (C₁-C₈-alkynyloxyimino)-C₁-C₈-alkyl (benzyloxyimino)- C_1 - C_8 -alkyl ; tri(C_1 - C_8 -alkyl)silyl ; tri(C_1 - C_8 -alkyl)silyl ; phenyl that can be substituted by up to 5 groups Q; benzyloxy that can be substituted by up to 5 groups Q; benzylsulphanyl that can be substituted by up to 5 groups Q; benzylamino that can be substituted by up to 5 groups Q; phenoxy that can be substituted by up to 5 groups Q; phenylamino that can be substituted by up to 5 groups Q; phenylsulphanyl that can be substituted by up to 5 groups Q; benzyl that can be substituted by up to 5 groups Q; pyridinyl that can be substituted by up to four groups Q and pyridinyloxy that can be substituted by up to four groups Q;

- R^{b2} represents a hydrogen atom ; C₁-C₈-alkyl ; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₈-alkoxy-C₁-C₈-alkyl ; C₂-C₈-alkenyl ; C₂-C₈-halogenoalkenyl comprising up to 9 halogen atoms that can be the same or different ; C₂-C₈-alkynyl ; C₂-C₈-halogenoalkynyl comprising up to 9 halogen atoms that can be the same or different ; C₃-C₇-cycloalkyl ; C₃-C₇-cycloalkyl-C₁-C₈-alkyl ; C₃-C₇-halogenocycloalkyl comprising up to 9 halogen atoms that can be the same or different ; formyl, C₁-C₈-alkylcarbonyl ; C₁-C₈-halogenoalkylcarbonyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₈-alkylsulphonyl ; C₁-C₈-halogenoalkylsulphonyl comprising up to 9 halogen atoms that can be the same or different ; phenylsulfonyl can be substituted by up to 5 groups Q ; benzyl that can be substituted by up to 5 groups Q ;
 - Q, that can be the same or different, represents a halogen atom; cyano; nitro; C₁-C₈-alkyl; C₁-C₈-alkoxy; C₁-C₈-alkylsulfanyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-halogenoalkoxy comprising up

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to 9 halogen atoms that can be the same or different; $tri(C_1-C_8)$ alkylsilyl and $tri(C_1-C_8)$ alkylsilyl- C_1-C_8 -alkyl; C_1-C_8 -alkoxyimino; $(C_1-C_8$ -alkoxyimino)- C_1-C_8 -alkyl;

as well as salts, N-oxides, metallic complexes, metalloidic complexes and optically active or geometric isomers thereof provided that compound of formula (I) differs from N-cyclopropyl-N-((6,8-dimethyl-2-oxo-1,2-dihydroquinolin-3-yl)methyl)-furane-2-carboxamide, N-cyclopropyl-N-((6-ethoxy-2-oxo-1,2-dihydroquinolin-3-yl)methyl)-furane-2-carboxamide, N-cyclopropyl-N-((6-methyl-2-oxo-1,2-dihydroquinolin-3-yl)methyl)-furane-2-carboxamide, N-cyclopropyl-N-((7,8-difluoro-2-oxo-1,2-dihydroquinolin-4-yl)methyl)-4-methylthiazole-5-carboxamide and from N-cyclopropyl-N-((8-fluoro-2-oxo-1,2-dihydroquinolin-4-yl)methyl)-4-methylthiazole-5-carboxamide.

Any of the compounds according to the invention can exist as one or more stereoisomers depending on the number of stereogenic units (as defined by the IUPAC rules) in the compound. The invention thus relates equally to all the stereoisomers, and to the mixtures of all the possible stereoisomers, in all proportions. The stereoisomers can be separated according to the methods that are known *per se* by the man ordinary skilled in the art.

According to the invention, the following generic terms are generally used with the following meanings:

- halogen means fluorine, chlorine, bromine or iodine;
- heteroatom can be nitrogen, oxygen or sulphur;
- halogenated groups, notably haloalkyl, haloalkoxy and cycloalkyl groups, can comprise
 up to nine identical or different a halogen atoms;
- Any alkyl, alkenyl or alkynyl group can be linear or branched;
- the term "aryl" means phenyl or naphthyl, optionally substituted by one to five groups selected in the list consisting of halogen, $[C_1-C_6]$ -alkyl, $[C_1-C_6]$ -haloalkyl, $[C_2-C_6]$ -alkenyl, $[C_2-C_6]$ -haloalkenyl, $[C_2-C_6]$ -alkynyl, $[C_1-C_6]$ -haloalkynyl, $[C_1-C_6]$ -alkoxy, $[C_1-C_4]$ -alkoxy- $[C_1-C_4]$ -alkoxy- $[C_1-C_4]$ -alkoxy, $[C_1-C_6]$ -haloalkoxy and $[C_1-C_4]$ -haloalkoxy- $[C_1-C_4]$ -alkyl;
- In the case of an amino group or the amino moiety of any other amino-comprising group, substituted by two substituents that can be the same or different, the two substituents together with the nitrogen atom to which they are linked can form a heterocyclyl group, preferably a 5- to 7-membered heterocyclyl group, that can be substituted or that can include other hetero atoms, for example a morpholino group or piperidinyl.

Preferred compounds of formula (I) according to the invention are those wherein A is selected in the list consisting of:

wherein:

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- -* represents the attachment point to the carbonyl moiety;
- V¹ represents O, S or NR^{a1};
- R^{a1} represents a hydrogen atom; C₁-C₈-alkyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkoxy-C₁-C₈-alkyl;
- $\begin{array}{lll} \bullet & \mathsf{R}^{\mathsf{a2}} \text{ and } \mathsf{R}^{\mathsf{a3}}, \text{ that can be the same or different represent a hydrogen atom }; \text{ a halogen atom }; \mathsf{cyano} \text{ }; \mathsf{C}_1\text{-}\mathsf{C}_8\text{-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different }; \mathsf{C}_1\text{-}\mathsf{C}_8\text{-alkoxy or }\mathsf{C}_1\text{-}\mathsf{C}_8\text{-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different }; \mathsf{C}_3\text{-}\mathsf{C}_7\text{-cycloalkyl}; \end{array}$
- R^{a4} represents a hydrogen atom, a halogen atom; cyano; C₁-C₈-alkyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkoxy or C₁-C₈-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different; C₃-C₇-cycloalkyl; C₁-C₈-alkylsulfanyl; amino; C₁-C₈-alkylamino; di-(C₁-C₈-alkyl)amino; C₁-C₈-alkyloxycarbonyl.

More preferred compounds of formula (I) according to the invention are those wherein A is selected in the list consisting of:

wherein:

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- -* represents the attachment point to the carbonyl group;
- V¹ represents O, S or NR^{a1};
- R^{a1} represents a hydrogen atom; C₁-C₈-alkyl; C₁ C₈-alkoxy-C₁-C₈-alkyl;
- R^{a2} represents C_1 - C_8 -alkyl; C_1 - C_8 -halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -alkoxy;
- R^{a3} represents a hydrogen atom; a halogen atom; C₁-C₈-alkyl;
- R^{a4} represents a hydrogen atom, a halogen atom; C₁-C₈-alkyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different.

Other more preferred compounds of formula (I) according to the invention are those wherein

- A represents A⁵;
- V¹ represents NR^{a1} ;
- R^{a1} represents C₁-C₈-alkyl;
- R^{a2} and R^{a3} , that can be the same or different represent a hydrogen atom; a halogen atom; C_1 - C_8 -alkyl; C_1 - C_8 -halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; or
- A represents A⁴;
- V¹ represents S;

- R^{a2} represents a C_1 - C_8 -alkyl; C_1 - C_8 -halogenoalkyl comprising up to 9 halogen atoms that can be the same or different;
- R^{a4} represents a hydrogen atom; C₁-C₈-alkyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different.

Other preferred compounds of formula (I) according to the invention are those wherein B is selected in the list consisting of :

wherein

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- W¹ to W⁵, Y^{1a}, Y^{2a}, Y^{3a} and Y^{3b} independently represent NR^{b2} or CR^{b3};
- Y^{2b} represents O, S or NR^{b2}.

Other more preferred compounds of formula (I) according to the invention are those wherein B represent B^1 or B^2 ; W^1 to W^5 , Y^{1a} , Y^{2a} , Y^{3a} and Y^{3b} independently represent CR^{b3} ; or B represent B^5 or B^6 ; W^1 to W^5 , Y^{1a} and Y^{3a} independently represent CR^{b1} ; Y^{2b} represents S.

Other preferred compounds of formula (I) according to the invention are those wherein T represents O or S.

Other preferred compounds of formula (I) according to the invention are those wherein Z^1 represents cyclopropyl.

Other preferred compounds of formula (I) according to the invention are those wherein Z^2 and Z^3 independently represent a hydrogen atom or C_1 - C_8 alkyl.

Other preferred compounds of formula (I) according to the invention are those wherein Q represents a halogen atom; C_1 - C_8 -alkyl; C_1 - C_8 -alkoxy; C_1 - C_8 -halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different.

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The above mentioned preferences with regard to the substituents of the compounds of formula (I) according to the invention can be combined in various manners, either individually, partially or entirely. These combinations of preferred features thus provide sub-classes of compounds according to the invention. Examples of such sub-classes of preferred compounds according to the invention can combine:

- preferred features of A with preferred features of one or more T, Z¹ to Z³, R^a, R^b, T, V¹ and Q;
- preferred features of T with preferred features of one or more A, Z¹ to Z³, R^a, R^b, V¹ and Q;
- preferred features of Z¹ with preferred features of one or more A, T, Z², Z³, R^a, R^b, V¹ and Q;
- preferred features of Z² with preferred features of one or more A, T, Z¹, Z³, R^a, R^b, V¹ and Q;
- preferred features of Z³ with preferred features of one or more A, T, Z¹, Z², R^a, R^b, V¹ and Q;
- preferred features of R^a with preferred features of one or more A, T, Z¹ to Z³, R^b, V¹ and Q;
- preferred features of R^b with preferred features of one or more A, T, Z¹ to Z³, R^a, V¹ and Q;
- preferred features of V¹ with preferred features of one or more A, T, Z¹ to Z³, R^a, R^b and Q;
- preferred features of Q with preferred features of one or more A, T, Z¹ to Z³, R^a, R^b and V¹;

In these combinations of preferred features of the substituents of the compounds according to the invention, the said preferred features can also be selected among the more preferred features of each of A, T, Z^1 to Z^3 , R^a , R^b , V^1 and Q; so as to form most preferred subclasses of compounds according to the invention.

The present invention also relates to a process for the preparation of compounds of formula (I).

Thus according to a further aspect of the present invention, there is provided a process P1 for the preparation of a compound of formula (I) wherein T represents O, as illustrated by the following reaction scheme:

Process P1

wherein

- A, Z¹ to Z³, W¹ to W⁵ and B are as herein-defined :
- U¹ represents a halogen atom or a leaving group.

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In process P1 according to the invention, step 1 can be performed if appropriate in the presence of a solvent and if appropriate in the presence of an acid binder.

N-cycloalkyl-amine derivatives of formula (II) are known or can be prepared by known processes such as reductive amination of aldehyde or ketone (Bioorganics and Medicinal Chemistry Letters, 2006, p 2014 synthesis of compounds 7 and 8), or reduction of imines (Tetrahedron, 2005, p 11689), or nucleophilic substitution of halogen, mesylate or tosylate (Journal of Medicinal Chemistry, 2002, p 3887 preparation of intermediate for compound 28).

Carboxylic acid derivatives of formula (III) are known or can be prepared by known processes (WO-93/11117; EP-545 099; Nucleosides & Nucleotides, 1987, p737-759, Bioorg. Med. Chem., 2002, p2105-2108).

Suitable acid binders for carrying out process P1 according to the invention are in each case all inorganic and organic bases that are customary for such reactions. Preference is given to using alkaline earth metal, alkali metal hydride, alkali metal hydroxides or alkali metal alkoxides, such as sodium hydroxide, sodium hydroxide, calcium hydroxide, potassium hydroxide, potassium tert-butoxide or other ammonium hydroxide, alkali metal carbonates, such as cesium carbonate, sodium carbonate, potassium carbonate, potassium bicarbonate, sodium bicarbonate, alkali metal or alkaline earth metal acetates, such as sodium acetate, potassium acetate, calcium acetate, and also tertiary amines, such as trimethylamine, triethylamine, diisopropylethylamine, tributylamine, N,N-dimethylaniline, pyridine, N methylpiperidine, N,N-dimethylaminopyridine, diazabicyclooctane (DABCO), diazabicyclononene (DBN) or diazabicycloundecene (DBU). It is also possible to work in the absence of an additional condensing agent or to employ an excess of the amine component, so that it simultaneously acts as acid binder agent.

Suitable solvents for carrying out process P1 according to the invention are in each case all customary inert organic solvents. Preference is given to using optionally halogenated aliphatic, alicyclic or aromatic hydrocarbons, such as petroleum ether, hexane, heptane, cyclohexane, methylcyclohexane, benzene, toluene, xylene or decalin; chlorobenzene, dichlorobenzene, dichloromethane, chloroform, carbon tetrachloride, dichlorethane or trichlorethane; ethers, such as diethyl ether, diisopropyl ether, methyl t-butyl ether, methyl t-amyl ether, dioxane,

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tetrahydrofuran, 1,2-dimethoxyethane, 1,2-diethoxyethane or anisole; nitriles, such as acetonitrile, propionitrile, n- or i-butyronitrile or benzonitrile; amides, such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylformanilide, N-methylpyrrolidone or hexamethylphosphoric triamide; esters, such as methyl acetate or ethyl acetate, sulphoxides, such as dimethyl sulphoxide, or sulphones, such as sulpholane.

When carrying out process P1 according to the invention, the reaction temperatures can independently be varied within a relatively wide range. Generally, processes according to the invention are carried out at temperatures between 0°C and 160°C, preferably between 10°C and 120°C. A way to control the temperature for the processes according to the invention is to use micro-wave technology.

Process P1 according to the invention is generally independently carried out under atmospheric pressure. However, in each case, it is also possible to operate under elevated or reduced pressure.

When carrying out step 1 of process P1 according to the invention, generally 1 mol or other an excess of the acid derivative of formula (III) and from 1 to 3 mol of acid binder are employed per mole of amine of formula (II). It is also possible to employ the reaction components in other ratios.

Work-up is carried out by customary methods. Generally, the reaction mixture is treated with water and the organic phase is separated off and, after drying, concentrated under reduced pressure. If appropriate, the remaining residue can be freed by customary methods, such as chromatography or recrystallization, from any impurities that can still be present.

According to a further aspect according to the invention, there is provided a process P2 for the preparation of a compound of formula (I), wherein T represents S, and illustrated according to the following reaction scheme:

A
$$Z^{1}$$
 Z^{1}
 Z^{2}
 Z^{3}
 Z^{3}
 Z^{2}
 Z^{3}
 Z^{2}
 Z^{3}
 Z^{2}
 Z^{3}
 Z^{2}
 Z^{3}
 Z^{2}
 Z^{3}

 $\frac{Process\;P2}{\text{wherein}\;A,\;Z^1\;\text{to}\;Z^3,\;W^1\;\text{to}\;W^5\;\text{and}\;B\;\text{are as herein-defined}.}$

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Process P2 can be performed in the presence of a thionating agent.

Starting amide derivatives of formula (I) can be prepared according to process P1.

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Suitable thionating agents for carrying out process P2 according to the invention can be sulphur (S), sulfhydric acid (H_2S), sodium sulfide (Na_2S), sodium hydrosulfide (Na_2S), boron trisulfide (Na_2S), bis (diethylaluminium) sulfide ((Na_2S), ammonium sulfide ((Na_2S), phosphorous pentasulfide (Na_2S), Lawesson's reagent (2,4-bis(4-methoxyphenyl)-1,2,3,4-dithiadiphosphetane 2,4-disulfide) or a polymer-supported thionating reagent such as described in *J.Chem.Soc. Perkin 1, (2001), 358.*

in the presence or in the absence, of a catalytic or stoechiometric or more, quantity of a base such as an inorganic and organic base. Preference is given to using alkali metal carbonates, such as sodium carbonate, potassium carbonate, potassium bicarbonate, sodium bicarbonate; heterocyclic aromatic bases, such as pyridine, picoline, lutidine, collidine; and also tertiary amines, such as trimethylamine, triethylamine, tributylamine, N,N-dimethylamiline, N,N-dimethylaminopyridine or N-methylpiperidine.

Suitable solvents for carrying out process P2 according to the invention can be customary inert organic solvents. Preference is given to using optionally halogenated aliphatic, alicyclic or aromatic hydrocarbons, such as petroleum ether, hexane, heptane, cyclohexane, methylcyclohexane, benzene, toluene, xylene or decalin; chlorobenzene, dichlorobenzene, dichloromethane, chloroform, carbon tetrachloride, dichlorethane or trichlorethane; ethers, such as diethyl ether, diisopropyl ether, methyl t-butyl ether, methyl t-amyl ether, dioxane, tetrahydrofuran, 1,2-dimethoxyethane or 1,2-diethoxyethane; nitriles, such as acetonitrile, propionitrile, n- or i-butyronitrile or benzonitrile; sulphurous solvents, such as sulpholane or carbon disufide.

When carrying out process P2 according to the invention, the reaction temperatures can be varied within a relatively wide range. In general, these processes are carried out at temperatures from 0°C to 160°C, preferably from 10°C to 120°C. A way to control the temperature for the processes according to the invention is to use micro-wave technology.

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Process P2 according to the invention is generally carried out under atmospheric pressure. It is also possible to operate under elevated or reduced pressure.

When carrying out process P2 according to the invention, 1 mole or an excess of the sulphur equivalent of the thionating agent and from 1 to 3 moles of the base can be employed per mole of the amide derivative (I).

It is also possible to employ the reaction components in other ratios. Work-up is carried out by known methods.

In general, the reaction mixture is concentrated under reduced pressure. The residue that remains can be freed by known methods, such as chromatography or recrystallization, from any impurities that can still be present.

According to a further aspect according to the invention, there is provided a process P3 for the preparation of a compound of formula (I), wherein T represents N-R°, N-ORd, N-NR°Rd or N-CN, and illustrated according to the following reaction scheme:

Process P3

wherein

- A, Z¹ to Z³, W¹ to W⁵ and B are as herein-defined;
- U² represents a chlorine atom or a methylsulfanyl goup,

In process P3 according to the invention, step 2 can be performed in the presence of an acid binder and in the presence of a solvent.

N-cycloalkyl-amine derivatives of formula (II) are known or can be prepared by known processes such as reductive amination of aldehyde or ketone (Bioorganics and Medicinal Chemistry Letters, 2006, p 2014 synthesis of compounds 7 and 8), or reduction of imines (Tetrahedron, 2005, p 11689), or nucleophilic substitution of halogen, mesylate or tosylate (Journal of Medicinal Chemistry, 2002, p 3887 preparation of intermediate for compound 28).

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N-substituted carboximidoyl chloride of formula (IV) are known or can be prepared by known processes, for example as described in Houben-Weyl, "Methoden der organischen Chemie" (1985), E5/1, p 628-633 and Patai, "The chemistry of amidines and imidates" (1975), p 296-301.

N-substituted or N,N-disubstituted hydrazonoyl chloride of formula (IV) are known or can be prepared by known processes, for example as described in Tetrahedron, 1991, <u>47</u>, p 447 and Journal of Heterocyclic Chemistry, 1983, 20, p 225

N-cyano carboximidoyl chloride of formula (IV) are known or can be prepared by known processes, for example as described in Tetrahedron Letters, 1968, p 5523 and Bioorganic and Medicinal Chemistry, 2006, p 4723.

Suitable acid binders for carrying out process P3 according to the invention can be inorganic and organic bases that are customary for such reactions. Preference is given to using alkaline earth metal or alkali metal hydroxides, such as sodium hydroxide, calcium hydroxide, potassium hydroxide or other ammonium hydroxide derivatives; alkali metal carbonates, such as sodium carbonate, potassium carbonate, potassium bicarbonate, sodium bicarbonate; alkali metal or alkaline earth metal acetates, such as sodium acetate, potassium acetate, calcium acetate; alkaline earth metal or alkali metal hydrides, such as sodium hydride or potassium hydride; alkaline earth metal or alkali metal alcoolates, such as sodium methylate, sodium ethylate, sodium propylate or potassium t-butylate; and also tertiary amines, such as trimethylamine, triethylamine, tributylamine, N,N-dimethylaniline, pyridine, N-methylpiperidine, N,N-dimethylaminopyridine, diazabicyclooctane (DABCO), diazabicyclononene (DBN) or diazabicycloundecene (DBU); or a polymer-supported acid scavenger (for example as detailed in http://www.iris-biotech.de/downloads/scavengers.pdf).

It is also possible to work in the absence of any additional acid binder.

Suitable solvents for carrying out process P3 according to the invention can be customary inert organic solvents. Preference is given to using optionally halogenated aliphatic, alicyclic or aromatic hydrocarbons, such as petroleum ether, hexane, heptane, cyclohexane, methylcyclohexane, benzene, toluene, xylene or decalin; chlorobenzene, dichlorobenzene, dichloromethane, chloroform, carbon tetrachloride, dichlorethane or trichlorethane; ethers, such as diethyl ether, diisopropyl ether, methyl t-butyl ether, methyl t-amyl ether, dioxane, tetrahydrofuran, 1,2-dimethoxyethane, 1,2-diethoxyethane or anisole; nitriles, such as acetonitrile, propionitrile, n- or i-butyronitrile or benzonitrile; amides, such as N,N-

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dimethylformamide, N,N-dimethylacetamide, N-methylformanilide, N-methylpyrrolidone or hexamethylphosphoric triamide; esters, such as methyl acetate or ethyl acetate, sulphoxides, such as dimethyl sulphoxide, or sulphones, such as sulpholane.

When carrying out process P3 according to the invention, the reaction temperatures can be varied within a relatively wide range. In general, these processes are carried out at temperatures from 0°C to 160°C, preferably from 10°C to 120°C. A way to control the temperature for the processes according to the invention is to use micro-wave technology.

Process P3 according to the invention is generally carried out under atmospheric pressure. It is also possible to operate under elevated or reduced pressure.

When carrying out process P3 according to the invention, the amine derivative of formula (III) can be employed as its salt, such as chlorhydate or any other convenient salt.

When carrying out process P3 according to the invention, 1 mole or an excess of the amine derivative of formula (II) and from 1 to 3 moles of the acid binder can be employed per mole of the N-substituted carboximidoyl chloride of formula (IV).

It is also possible to employ the reaction components in other ratios. Work-up is carried out by known methods.

In general, the reaction mixture is concentrated under reduced pressure. The residue that remains can be freed by known methods, such as chromatography or recrystallization, from any impurities that can still be present.

Compounds according to the invention can be prepared according to the above described process. 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 these processes according to the specifics of each of the compounds according to the invention that is desired to be synthesized.

In a further aspect, the present invention also relates to a fungicide composition comprising an effective and non-phytotoxic amount of an active compound of formula (I).

The expression "effective and non-phytotoxic amount" means an amount of composition according to the invention that is sufficient to control or destroy the fungi present or liable to appear on the crops, and that does not entail any appreciable 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 fungicide composition according to the invention. This amount can be determined by systematic field trials, that are within the capabilities of a person skilled in the art.

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Thus, according to the invention, there is provided a fungicide composition comprising, as an active ingredient, an effective amount of a compound of formula (I) as herein defined and an agriculturally acceptable support, carrier or filler.

According to the invention, the term "support" denotes a natural or synthetic, organic or inorganic compound with that the active compound of formula (I) is combined or associated 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 can 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 can also be used.

The composition according to the invention can also comprise additional components. In particular, the composition can 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 can 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 comprising sulphate, sulphonate and phosphate functions. The presence of at least one surfactant is generally essential when the active compound and/or the inert support are water-insoluble and when the vector agent for the application is water. Preferably, surfactant content can be comprised from 5% to 40% by weight of the composition.

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

of active compound, preferably 10 to 70% by weight.

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In general, the composition according to the invention can contain from 0.05 to 99% by weight

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Compositions according to the 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 (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 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 that are ready to be applied to the plant or seed to be treated by means of a suitable device, such as a spraying or dusting device, but also concentrated commercial compositions that must be diluted before application to the crop.

The compounds according to the invention can also be mixed with one or more insecticide, fungicide, bactericide, attractant, acaricide or pheromone active substance or other compounds with biological activity. The mixtures thus obtained have normally a broadened spectrum of activity. The mixtures with other fungicide compounds are particularly advantageous.

Examples of suitable fungicide mixing partners can be selected in the following lists:

- (1) Inhibitors of the nucleic acid synthesis, for example benalaxyl, benalaxyl-M, bupirimate, clozylacon, dimethirimol, ethirimol, furalaxyl, hymexazol, metalaxyl, metalaxyl-M, ofurace, oxadixyl and oxolinic acid.
- (2) Inhibitors of the mitosis and cell division, for example benomyl, carbendazim, chlorfenazole, diethofencarb, ethaboxam, fuberidazole, pencycuron, thiabendazole, thiophanate, thiophanatemethyl and zoxamide.
 - (3) Inhibitors of the respiration, for example diflumetorim as CI-respiration inhibitor; bixafen, boscalid, carboxin, fenfuram, flutolanil, fluopyram, furametpyr, furmecyclox, isopyrazam (9R-component), isopyrazam (9S-component), mepronil, oxycarboxin, penthiopyrad, thifluzamide as CII-respiration inhibitor; amisulbrom, azoxystrobin, cyazofamid, dimoxystrobin, enestroburin,

famoxadone, fenamidone, fluoxastrobin, kresoxim-methyl, metominostrobin, orysastrobin, picoxystrobin, pyraclostrobin, pyribencarb, trifloxystrobin as CIII-respiration inhibitor.

- (4) Compounds capable to act as an uncoupler, like for example binapacryl, dinocap, fluazinam and meptyldinocap.
- (5) Inhibitors of the ATP production, for example fentin acetate, fentin chloride, fentin hydroxide, and silthiofam.
 - (6) Inhibitors of the amino acid and/or protein biosynthesis, for example andoprim, blasticidin-S, cyprodinil, kasugamycin, kasugamycin hydrochloride hydrate, mepanipyrim and pyrimethanil.
 - (7) Inhibitors of the signal transduction, for example fenpicionil, fludioxonil and quinoxyfen.

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- (8) Inhibitors of the lipid and membrane synthesis, for example biphenyl, chlozolinate, edifenphos, etridiazole, iodocarb, iprobenfos, iprodione, isoprothiolane, procymidone, propamocarb, propamocarb hydrochloride, pyrazophos, tolclofos-methyl and vinclozolin.
- (9) Inhibitors of the ergosterol biosynthesis, for example 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, furconazole-cis, hexaconazole, imazalil, imazalil sulfate, imibenconazole, ipconazole, metconazole, myclobutanil, naftifine, nuarimol, oxpoconazole, paclobutrazol, pefurazoate, penconazole, piperalin, prochloraz, propiconazole, prothioconazole, pyributicarb, pyrifenox, quinconazole, simeconazole, spiroxamine, tebuconazole, terbinafine, tetraconazole, triadimefon, triadimenol, tridemorph, triflumizole, triforine, triticonazole, uniconazole, viniconazole and voriconazole.
- (10) Inhibitors of the cell wall synthesis, for example benthiavalicarb, dimethomorph, flumorph, iprovalicarb, mandipropamid, polyoxins, polyoxorim, prothiocarb, validamycin A, and valiphenal.
- 25 (11) Inhibitors of the melanine biosynthesis, for example carpropamid, diclocymet, fenoxanil, phthalide, pyroquilon and tricyclazole.
 - (12) Compounds capable to induce a host defence, like for example acibenzolar-S-methyl, probenazole, and tiadinil.
 - (13) Compounds capable to have a multisite action, like for example bordeaux mixture, captafol, captan, chlorothalonil, copper naphthenate, copper oxide, copper 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, propamidine, propineb, sulphur and sulphur preparations including calcium polysulphide, thiram, tolylfluanid, zineb and ziram.

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(14) Further compounds like for example 2.3-dibutyl-6-chlorothieno[2.3-dlpyrimidin-4(3H)-one. ethyl (2Z)-3-amino-2-cyano-3-phenylprop-2-enoate, N-[2-(1,3-dimethylbutyl)phenyl]-5-fluoro-1,3dimethyl-1H-pyrazole-4-carboxamide, N-{2-[1,1'-bi(cyclopropyl)-2-yl]phenyl}-3-(difluoromethyl)-1-methyl-1H-pyrazole-4-carboxamide, (2E)-2-(2-{[6-(3-chloro-2-methylphenoxy)-5-

fluoropyrimidin-4-yl]oxy}phenyl)-2-(methoxyimino)-N-methylethanamide, (2E)-2-{2-[({[(2E,3E)-4-(2,6-dichlorophenyl)but-3-en-2-ylidene]amino}oxy)methyl]phenyl}-2-(methoxyimino)-N-2-chloro-N-(1,1,3-trimethyl-2,3-dihydro-1H-inden-4-yl)pyridine-3methylethanamide, carboxamide, N-(3-ethyl-3,5,5-trimethylcyclohexyl)-3-(formylamino)-2-hydroxybenzamide, methoxy-2-methyl-4-(2-{[({(1E)-1-[3-

(trifluoromethyl)phenyl]ethylidene}amino)oxy]methyl}phenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one, 10 (2E)-2-(methoxyimino)-N-methyl-2-(2-{[({(1E)-1-[3-(trifluoromethyl)phenyl]ethylidene}amino)oxy]methyl}phenyl)ethanamide, (2E)-2-(methoxyimino)-N-methyl-2-{2-[(E)-({1-[3-

fluoro-2-phenylethenyl]oxy}phenyl)ethylidene]amino}oxy)methyl]phenyl}-2-(methoxyimino)-Nmethylethanamide, 1-(4-chlorophenyl)-2-(1H-1,2,4-triazol-1-yl)cycloheptanol, methyl 1-(2,2dimethyl-2,3-dihydro-1H-inden-1-yl)-1H-imidazole-5-carboxylate, N-ethyl-N-methyl-N'-{2-methyl-5-(trifluoromethyl)-4-[3-(trimethylsilyl)propoxy]phenyl}imidoformamide, N'-{5-(difluoromethyl)-2methyl-4-[3-(trimethylsilyl)propoxy]phenyl}-N-ethyl-N-methylimidoformamide, O-{1-[(4methoxyphenoxy)methyl]-2,2-dimethylpropyl} 1H-imidazole-1-carbothioate, N-[2-(4-{[3-(4chlorophenyl)prop-2-yn-1-yl]oxy}-3-methoxyphenyl)ethyl]-N2-(methylsulfonyl)valinamide, 5chloro-7-(4-methylpiperidin-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine, 5amino-1,3,4-thiadiazole-2-thiol, propamocarb-fosetyl, 1-[(4-methoxyphenoxy)methyl]-2,2dimethylpropyl 1H-imidazole-1-carboxylate, 1-methyl-N-[2-(1,1,2,2-tetrafluoroethoxy)phenyl]-3-(trifluoromethyl)-1H-pyrazole-4-carboxamide, 2,3,5,6-tetrachloro-4-(methylsulfonyl)pyridine, 2-

methyl-N-[2-(1,1,2,2-tetrafluoroethoxy)phenyl]-1H-pyrazole-4-carboxamide, trichloropyridine-2,6-dicarbonitrile, 3-[5-(4-chlorophenyl)-2,3-dimethylisoxazolidin-3-yl]pyridine, 3-chloro-5-(4-chlorophenyl)-4-(2,6-difluorophenyl)-6-methylpyridazine, 4-(4-chlorophenyl)-5-(2,6-difluorophenyl)-3,6-dimethylpyridazine, quinolin-8-ol, quinolin-8-ol sulfate (2:1) (salt), bethoxazin, capsimycin, carvone, chinomethionat, chloroneb, cufraneb, benthiazole, cyflufenamid, cymoxanil, cyprosulfamide, dazomet, debacarb, dichlorophen, diclomezine, dicloran, difenzoquat, difenzoquat methylsulphate, diphenylamine, ecomate, ferimzone, flumetover, fluopicolide, fluoroimide, flusulfamide, fosetyl-aluminium, fosetyl-calcium, fosetylsodium, hexachlorobenzene, irumamycin, isotianil, methasulfocarb, methyl (2E)-2-{2-

butoxy-6-iodo-3-propyl-4H-chromen-4-one, 2-phenylphenol and salts, 3-(difluoromethyl)-1-

 $[(\{cyclopropyl[(4-methoxyphenyl)imino]methyl\}thio)methyl]phenyl\}-3-methoxyacrylate, methyl isothiocyanate, metrafenone, (5-bromo-2-methoxy-4-methylpyridin-3-yl)(2,3,4-trimethoxy-6-methylphenyl)methanone, mildiomycin, tolnifanide, N-(4-chlorobenzyl)-3-[3-methoxy-4-(prop-2-yn-1-yloxy)phenyl]propanamide, N-[(4-chlorophenyl)(cyano)methyl]-3-[3-methoxy-4-(prop-2-yn-1-yloxy)phenyl]propanamide, N-[(5-bromo-3-chloropyridin-2-yl)methyl]-2,4-dichloropyridine-3-carboxamide, N-[1-(5-bromo-3-chloropyridin-2-yl)ethyl]-2,4-dichloropyridine-3-carboxamide, N-[1-(5-bromo-3-chloropyridin-2-yl)ethyl]-2-fluoro-4-iodopyridine-3-carboxamide, N-{(Z)-[(cyclopropylmethoxy)imino][6-(difluoromethoxy)-2,3-difluorophenyl]methyl}-2-phenylacetamide, N-{(E)-[(cyclopropylmethoxy)imino][6-(difluoromethoxy)-2,3-difluorophenyl]methyl}-2-$

phenylacetamide, natamycin, nickel dimethyldithiocarbamate, nitrothal-isopropyl, octhilinone, oxamocarb, oxyfenthiin, pentachlorophenol and salts, phenazine-1-carboxylic acid, phenothrin, phosphorous acid and its salts, propamocarb fosetylate, propanosine-sodium, proquinazid, pyrrolnitrine, quintozene, S-prop-2-en-1-yl 5-amino-2-(1-methylethyl)-4-(2-methylphenyl)-3-oxo-2,3-dihydro-1H-pyrazole-1-carbothioate, tecloftalam, tecnazene, triazoxide, trichlamide, 5-chloro-N'-phenyl-N'-prop-2-yn-1-ylthiophene-2-sulfonohydrazide and zarilamid.

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The composition according to the invention comprising a mixture of a compound of formula (I) with a bactericide compound can also be particularly advantageous. Examples of suitable bactericide mixing partners can 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 compounds of formula (I) and the fungicide composition according to the invention can be used to curatively or preventively control the phytopathogenic fungi of plants or crops.

Thus, according to a further aspect of the invention, there is provided a method for curatively or preventively controlling the phytopathogenic fungi of plants or crops characterised in that a compound of formula (I) or a fungicide composition according to the invention is applied to the seed, the plant or to the fruit of the plant or to the soil wherein the plant is growing or wherein it is desired to grow.

The method of treatment according to the invention can also be 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 invention can also be useful to treat the overground parts of the plant such as trunks, stems or stalks, leaves, flowers and fruit of the concerned plant.

Among the plants that may becan be protected by the method according to the invention, mention may becan 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), Ribesioidae sp., Juglandaceae sp., Betulaceae sp., Anacardiaceae sp., Fagaceae sp., Moraceae sp., Oleaceae sp., Actinidaceae sp., Lauraceae sp., Musaceae sp. (for instance banana trees and plantins), Rubiaceae sp., Theaceae sp., Sterculiceae 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., 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, rye, rice, barley and triticale), Asteraceae sp. (for instance sunflower), Cruciferae sp. (for instance colza), Fabacae sp. (for instance peanuts), Papilionaceae sp. (for instance soybean), Solanaceae sp. (for instance potatoes), Chenopodiaceae sp. (for instance beetroots), Elaeis sp. (for instance oil palm); 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 invention, mention can be made of :

20 Powdery Mildew Diseases such as

Blumeria diseases caused for example by Blumeria graminis;

Podosphaera diseases caused for example by Podosphaera leucotricha;

Sphaerotheca diseases caused for example by Sphaerotheca fuliginea;

Uncinula diseases caused for example by Uncinula necator;

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Rust Diseases such as

Gymnosporangium diseases caused for example by Gymnosporangium sabinae;

Hemileia diseases caused for example by Hemileia vastatrix;

Phakopsora diseases caused for example by Phakopsora pachyrhizi and Phakopsora meibomiae;

Puccinia diseases caused for example by Puccinia recondita, Puccinia graminis or Puccinia striiformis:

Uromyces diseases caused for example by Uromyces appendiculatus;

35 Oomycete Diseases such as

Albugo diseases caused for example by Albugo candida;

Bremia diseases caused for example by Bremia lactucae;

Peronospora diseases caused for example by Peronospora pisi and Peronospora brassicae;

Phytophthora diseases caused for example by Phytophthora infestans;

5 Plasmopara diseases caused for example by Plasmopara viticola;

Pseudoperonospora diseases caused for example by Pseudoperonospora humuli and Pseudoperonospora cubensis;

Pythium diseases caused for example by Pythium ultimum;

Leaf spot, Leaf blotch and Leaf Blight Diseases such as

Alternaria diseases caused for example by Alternaria solani;

Cercospora diseases caused for example by Cercospora beticola;

Cladiosporium diseases caused for example by Cladiosporium cucumerinum;

Cochliobolus diseases caused for example by Cochliobolus sativus (Conidiaform: Drechslera,

15 Syn: Helminthosporium) or Cochliobolus miyabeanus;

Colletotrichum diseases caused for example by Colletotrichum 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;

20 Gloeosporium diseases caused for example by Gloeosporium laeticolor;

Glomerella diseases caused for example by Glomerella cingulata;

Guignardia diseases caused for example by Guignardia bidwellii;

Leptosphaeria diseases caused for example by Leptosphaeria maculans and Leptosphaeria nodorum;

25 Magnaporthe diseases caused for example by Magnaporthe grisea;

Mycosphaerella diseases caused for example by Mycosphaerella graminicola, Mycosphaerella arachidicola and Mycosphaerella fijiensis;

Phaeosphaeria diseases caused for example by Phaeosphaeria nodorum;

Pyrenophora diseases caused for example by Pyrenophora teres or Pyrenophora tritici repentis;

Ramularia- diseases caused for example by Ramularia collo-cygni or Ramularia areola;

Rhynchosporium diseases caused for example by Rhynchosporium secalis;

Septoria diseases caused for example by Septoria apii and Septoria lycopersici;

Typhula diseases caused for example by Thyphula incarnata;

Venturia diseases caused for example by Venturia inaequalis;

Root-, Sheath and Stem Diseases such as

Corticium diseases caused for example by Corticium graminearum;

Fusarium diseases caused for example by Fusarium oxysporum;

Gaeumannomyces diseases caused for example by Gaeumannomyces graminis;

5 Rhizoctonia diseases caused for example by Rhizoctonia solani;

Sarocladium diseases caused for example by Sarocladium oryzae;

Sclerotium diseases caused for example by Sclerotium oryzae;

Tapesia diseases caused for example by Tapesia acuformis;

Thielaviopsis diseases caused for example by Thielaviopsis basicola;

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Ear and Panicle Diseases including Maize cob such as

Alternaria diseases caused for example by Alternaria spp.;

Aspergillus diseases caused for example by Aspergillus flavus;

Cladosporium diseases caused for example by Cladiosporium cladosporioides;

15 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;

20 Smut- and Bunt Diseases such as

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;

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Fruit Rot and Mould Diseases such as

Aspergillus diseases caused for example by Aspergillus flavus;

Botrytis diseases caused for example by Botrytis cinerea;

Penicillium diseases caused for example by Penicillium expansum and Penicillium

30 purpurogenum;

Rhizopus diseases caused by example by Rhizopus stolonifer

Sclerotinia diseases caused for example by Sclerotinia sclerotiorum;

Verticillium diseases caused for example by Verticillium alboatrum;

35 Seed- and Soilborne Decay, Mould, Wilt, Rot and Damping-off diseases

Alternaria diseases caused for example by Alternaria brassicicola;

Aphanomyces diseases caused for example by Aphanomyces euteiches;

Ascochyta diseases caused for example by Ascochyta lentis;

Aspergillus diseases caused for example by Aspergillus flavus;

5 Cladosporium diseases caused for example by Cladosporium herbarum;

Cochliobolus diseases caused for example by Cochliobolus sativus;

(Conidiaform: Drechslera, Bipolaris Syn: Helminthosporium);

Colletotrichum diseases caused for example by Colletotrichum coccodes;

Fusarium diseases caused for example by Fusarium culmorum;

Gibberella diseases caused for example by Gibberella zeae;

Macrophomina diseases caused for example by Macrophomina phaseolina;

Microdochium diseases caused for example by Microdochium nivale;

Monographella diseases caused for example by Monographella nivalis;

Penicillium diseases caused for example by Penicillium expansum;

15 Phoma diseases caused for example by Phoma lingam;

Phomopsis diseases caused for example by Phomopsis sojae;

Phytophthora diseases caused for example by Phytophthora cactorum;

Pyrenophora diseases caused for example by Pyrenophora graminea;

Pyricularia diseases caused for example by Pyricularia oryzae;

20 Pythium diseases caused for example by Pythium ultimum;

Rhizoctonia diseases caused for example by Rhizoctonia solani;

Rhizopus diseases caused for example by Rhizopus oryzae;

Sclerotium diseases caused for example by Sclerotium rolfsii;

Septoria diseases caused for example by Septoria nodorum;

25 Typhula diseases caused for example by Typhula incarnata;

Verticillium diseases caused for example by Verticillium dahliae;

Canker, Broom and Dieback Diseases such as

Nectria diseases caused for example by Nectria galligena;

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Blight Diseases such as

Monilinia diseases caused for example by Monilinia laxa;

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Leaf Blister or Leaf Curl Diseases including deformation of blooms and fruits such as

Exobasidium diseases caused for nexample by Exobasidium vexans.

Taphrina diseases caused for example by Taphrina deformans;

Decline Diseases of Wooden Plants such as

Esca disease caused for example by Phaeomoniella clamydospora, Phaeoacremonium aleophilum and Fomitiporia mediterranea;

Ganoderma diseases caused by example by Ganoderma boninense;

Diseases of Flowers and Seeds such as 10

Botrytis diseases caused for example by Botrytis cinerea;

Diseases of Tubers such as

Rhizoctonia diseases caused for example by Rhizoctonia solani;

Helminthosporium diseases caused for example by Helminthosporium solani; 15

Club root diseases such as

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Plasmodiophora diseases, cause for example by Plamodiophora brassicae.

Diseases caused by Bacterial Organisms such as 20

Xanthomanas species for example Xanthomonas campestris pv. oryzae;

Pseudomonas species for example Pseudomonas syringae pv. lachrymans;

Erwinia species for example Erwinia amylovora.

The fungicide composition according to the invention can also be used against fungal diseases 25 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 according to the invention, or a composition according to the invention; this includes for example direct application, spraying, 30 dipping, injection or any other suitable means.

The dose of active compound usually applied in the method of treatment according to the invention is generally and advantageously from 10 to 800 g/ha, preferably from 50 to 300 g/ha for applications in foliar treatment. The dose of active substance applied is generally and

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advantageously from 2 to 200 g per 100 kg of seed, preferably from 3 to 150 g per 100 kg of seed in the case of seed treatment.

It is clearly understood that the doses indicated herein are given as illustrative examples of the method according to the invention. A person skilled in the art will know how to adapt the application doses, notably according to the nature of the plant or crop to be treated.

The fungicide composition according to the invention can 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 genome of that a heterologous gene encoding a protein of interest has been stably integrated. The expression "heterologous gene encoding a protein of interest" essentially means genes that give the transformed plant new agronomic properties, or genes for improving the agronomic quality of the modified plant.

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

The various aspects of the invention will now be illustrated with reference to the following table of compound examples and the following preparation examples.

The following table illustrates in a non-limiting manner examples of compounds according to the invention.

In the following table, M+H (or M-H) means the molecular ion peak, plus or minus 1 a.m.u. (atomic mass unit) respectively, as observed in mass spectroscopy and M (Apcl+) means the molecular ion peak as it was found via positive atmospheric pressure chemical ionisation in mass spectroscopy.

In the following table, the logP values were determined in accordance with EEC Directive 79/831 Annex V.A8 by HPLC (High Performance Liquid Chromatography) on a reversed-phase column (C 18), using the method described below:

Temperature: 40°C; Mobile phases : 0.1% aqueous formic acid and acetonitrile; linear gradient from 10% acetonitrile to 90% acetonitrile.

Calibration was carried out using unbranched alkan-2-ones (comprising 3 to 16 carbon atoms) with known logP values (determination of the logP values by the retention times using linear interpolation between two successive alkanones).

The lambda max values were determined in the maxima of the chromatographic signals using the UV spectra from 190nm to 400nm.

The following examples illustrate in a non-limiting manner the preparation of the compounds of formula (I) according to the invention.

$$A \underbrace{\qquad \qquad }_{T} P \underbrace{\qquad \qquad }_{Z^{2}} B$$

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
1	A 5	N-Me	Ме		F	0	н	н			338
2	A 5	N-Me	Ме		F	0	Me	н			352
3	A 5	N-Me	Me	-	F	s	н	н			354
4	A 5	N-Me	Me	-	F	s	Me	н			368
5	A 5	N-Me	CHF2	-	н	0	н	н			356
6	A 5	N-Me	CHF2	-	н	0	Me	н			370
7	A 5	N-Me	OMe	-	н	0	н	н			336
8	A 5	N-Me	OMe		Ħ	0	Ме	Ħ			350
9	A 5	N-Me	Ме	,	F	0	Ŧ	Ħ			352
10	A 5	N-Me	Ме	-	F	s	н	н			368

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	\mathbf{Z}^3	В	LogP	М+Н
11	A 5	N-Me	CHF2	•	H	0	н	н			370
12	A 5	N-Me	CHF2	1	Ħ	0	Me	н			384
13	A2	N-Me	н	н	н	0	Me	н			333
14	A 1	0	Me	н	Me	0	Me	н			348
15	A2	ø	Me	н	н	0	Me	н			350
16	A 5	N-Me	Me		F	0	Me	н			366
17	A 5	N-Me	OMe	1	н	0	н	н			350
18	A 5	N-Me	CF3	1	Ľ	0	Ме	н			420
19	A 1	0	Me	н	H	0	Me	н			334
20	A 5	N-Me	ОМе	-	н	0	Me	н			364

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
21	A 1	N-Me	н	CF3	н	0	Ме	Ħ			401
22	A 5	N-Me	Me	•	F	0	Ħ	H			339
23	A 5	N-Me	CHF2	•	н	0	н	Ħ	Z .		357
24	A 5	N-Me	Ме	•	F	0	Ŧ	Ħ	Br		416
25	A 5	N-Me	Me	•	F	s	н	н	Br	4,39	
26	A 5	N-Me	CHF2	•	н	0	Ħ	н	Br	3,68	
27	A 5	N-Me	OMe	•	н	0	н	н	Br	3,24	
28	A 5	N-Me	OMe		н	s	Н	Н	Br	4,25	
29	A 5	N-Me	Ме	•	F	0	Н	н	FFF		407
30	A 5	N-Me	Et	-	F	0	н	н	F F		421

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z^3	В	LogP	М+Н
31	A 5	N-Me	CHF2	1	н	0	н	н	F F		425
32	A 5	N-Me	CHF2	1	Ħ	0	н	Ħ			406
33	A 5	N-Me	Me	-	F	0	н	н	O N 22		383
34	A 5	N-Me	CHF2	1	н	0	н	н	O_N = 2/2		401
35	A 5	N-Me	OMe	1	н	0	н	Ħ	O N 1/2		381
36	A 5	N-Me	Me	1	F	0	н	Ħ			352
37	A 5	N-Me	Me	ı	F	0	Me	Ħ			
38	A 5	N-Me	Me	ı	ŀ	ø	Me	I			
39	A 5	N-Me	Me	,	F	s	Me	I	N/A		
40	A 5	N-Me	CHF2	-	н	0	н	н		3,25	

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Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
41	A 5	N-Me	ОМе	ı	н	0	Ħ	Ħ	\(\rightarrow\)		350
42	A 5	N-Me	ОМе	•	н	ø	Ħ	Ħ			366
43	A 5	N-Me	OMe	-	н	0	Me	н			
44	A2	N-Me	Ħ	Ħ	н	0	Ŧ	Ħ			319
45	A 1	0	Me	н	Me	0	H	Ħ			334
46	A2	ø	Ме	Ŧ	н	0	I	Ħ			336
47	A 5	N-Me	CF3	ı	F	0	Ŧ	Ħ	***		406
48	A 1	0	Me	н	н	0	н	н			320
49	A 1	N-Me	н	CF3	н	0	Ħ	Ħ	N/A		387
50	A 5	N-Me	Ме	-	F	0	н	н			339

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
51	A 5	N-Me	CHF2	-	н	0	н	Н			357
52	A 5	N-Me	CHF2	1	н	ø	н	Н			373
53	A 5	N-Me	OMe	-	н	0	н	н			337
54	A 5	N-Me	Me	-	F	0	н	Н	Br Br	3,62	
55	A 5	N-Me	CHF2	1	н	0	н	н	⇒ Br	3,69	
56	A 5	N-Me	ОМе	•	н	0	I	н	ab ab		414
57	A 5	N-Me	Me	1	F	0	Ме	н	a a		430
58	A 5	N-Me	Et		F	0	Ме	н	Br Br		444
59	A 5	N-Me	CHF2	,	н	0	Ме	н	Br Br		448
60	A 1	o	Me	н	Me	0	Me	н	Br Br	5,2	

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z^3	В	LogP	М+Н
61	A 5	N-Me	CF3	1	н	0	COOMe	н			432
62	A 1	N-Me	н	CF3	н	0	COOMe	н			431
63	A 5	N-Me	Me	ı	F	0	COOMe	Ħ		2,92	
64	A 5	N-Me	Me	•	F	0	н	Ŧ			338
65	A 5	N-Me	Me	1	F	0	Ме	Ħ			352
66	A 5	N-Me	Ме		F	s	Ме	Н			368
67	A 5	N-Me	Et		F	0	Ме	Н			366
68	A 5	N-Me	Et	•	F	s	Ме	Н			382
69	A 5	N-Me	CHF2		н	0	COOMe	н		3,02	
70	A 5	N-Me	CHF2	-	н	o	н	н			356

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Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z^3	В	LogP	М+Н
71	A 5	N-Me	CHF2	1	н	0	Me	н			370
72	A 5	N-Me	CHF2	•	н	ø	н	Ħ			372
73	А5	N-Me	OMe	1	н	0	COOMe	н			394
74	А5	N-Me	OMe	•	н	0	н	н			336
75	A 5	N-Me	ОМе	•	н	0	Me	Ħ		2,86	
76	A2	N-Me	Ŧ	I	н	0	Ме	Ħ			319
77	A 1	0	Ме	I	Ме	0	Ме	Ħ			334
78	A2	ø	Me	I	н	0	Me	Ħ			336
79	A 5	N-Me	CF3	ı	F	0	Ме	Ħ			406
80	A 5	N-Me	Me	•	F	0	Ме	Ħ			

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	M+H
81	A 5	N-Me	Me	-	F	0	Ме	Ŧ			
82	A1	N-Me	н	CHF2	н	0	Ме	н			369
83	A 5	N-Me	Me	-	F	o	Et	н			366
84	A 1	0	Me	н	н	o	Me	н			320
85	A 5	N-Me	Et	-	F	o	Et	Н			380
86	A 5	N-Me	CHF2		н	0	Et	н			384
87	A 5	N-Me	Et	ı	L.	0	Ме	Ŧ			
88	A 5	N-Me	Et		F	0	Ме	н			
89	A 5	N-Me	CHF2	-	F	0	Ме	Ŧ			388
90	A1	N-Me	н	CF3	н	O	Me	н			387

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
91	A 5	N-Me	CF2Me	•	н	0	Me	н			384
92	A 5	N-Me	ОМе	•	н	0	Ħ	н	ŏ ∠ ∠ ∠ ↓ ↓		405
93	A2	N-Me	н	Ħ	н	0	н	н	C Z Z		374
94	A 1	0	Ме	I	Ме	0	Ŧ	н	o 2 3 3		389
95	A2	s	Ме	Ŧ	н	0	Ħ	н	o Z		391
96	A 5	N-Me	CF3	•	F	0	Ħ	н			461
97	A 5	N-Me	Me	•	F	0	н	Н	Z		407
98	A 5	N-Me	CHF2		н	0	Н	Н	N G		425
99	A1	0	Ме	н	н	0	н	н	N G		375
100	A1	N-Me	н	CF3	н	0	н	н	N G		442

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Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
101	A 5	N-Me	OMe	•	н	0	н	Н	2		337
102	A2	N-Me	н	н	н	0	н	Н			306
103	A 1	0	Me	н	Me	0	н	н			321
104	A2	s	Ме	т	н	0	н	н	\$ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\		323
105	A 5	N-Me	CF3	-	F	0	н	н			393
106	A 5	N-Me	Ме	•	F	0	Ħ	н			339
107	A 5	N-Me	CHF2	-	н	0	Н	Н			357
108	A1	0	Ме	н	н	0	Н	Н			307
109	A1	N-Me	н	CF3	н	0	н	н			374
110	A 5	N-Me	ОМе	-	н	0	н	н			366

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Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+H
111	A2	N-Me	н	н	н	0	н	Ħ			335
112	A 1	0	Me	н	Me	0	н	н			350
113	A 2	s	Me	н	н	o	н	н			352
114	А5	N-Me	CF3	•	F	0	н	н			422
115	A 5	N-Me	Me	•	F	0	н	H			368
116	A 5	N-Me	CHF2	·	н	0	н	Ŧ			386
117	A 1	0	Me	Ŧ	н	0	н	Ŧ			336
118	A 1	N-Me	н	CF3	н	0	н	н			403
119	A 5	N-Me	Me	-	F	0	Me	Ŧ			382
120	A 5	N-Me	Et	-	F	o	Ме	н			396

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Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+H
121	A 5	N-Me	CHF2	•	н	0	Ме	н			400
122	A 1	0	Me	н	Me	0	Me	Н			364
123	A 5	N-Me	OMe	-	н	0	н	н			366
124	A2	N-Me	н	Ħ	н	0	H	н			335
125	A 1	0	Ме	Ħ	Ме	0	Ħ	н			350
126	A2	ø	Ме	I	н	0	I	н			352
127	A 5	N-Me	CF3	1	F	0	Ŧ	н			422
128	A 5	N-Me	Me		F	0	н	н			368
129	A 5	N-Me	CHF2	,	н	0	Ħ	н			386
130	A1	0	Ме	н	н	0	н	н			336

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
131	A 1	N-Me	н	CF3	н	0	н	н			403
132	A 5	N-Me	ОМе	ı	н	0	H	H			396
133	A2	N-Me	н	н	н	0	H	Ħ			365
134	A 1	0	Ме	Ħ	Ме	0	Ŧ	Ħ			380
135	A2	ø	Me	н	н	0	H	Ħ			382
136	A 5	N-Me	CF3	·	F	0	Ι	Ħ			452
137	A 5	N-Me	Ме	ı	F	0	Ŧ	Ħ			398
138	A 5	N-Me	CHF2		н	0	н	н			416
139	A 1	0	Me	Ħ	н	0	Ξ	Ħ			366
140	A1	N-Me	н	CF3	н	0	н	н			433

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
141	A 5	N-Me	OMe	1	н	0	н	H	÷ N		379
142	A2	N-Me	н	Ħ	н	0	Ħ	Ħ	- 2		348
143	A 1	0	Me	Ħ	Me	0	H	Ħ	÷ N		363
144	A2	Ø	Ме	I	н	0	Ŧ	I	÷ N		365
145	A 5	N-Me	CF3	•	F	0	Ħ	Ħ	÷ N		435
146	A 5	N-Me	Me	•	F	0	н	н	÷ N		381
147	A 5	N-Me	CHF2	,	н	0	Ξ	Ŧ	÷ N		399
148	A 1	0	Me	н	н	0	н	н	÷ N		349
149	A1	N-Me	н	CF3	н	0	Ħ	Ŧ	÷		416
150	А5	N-Me	Me	-	F	0	Me	н	-\$N		395

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
151	A 5	N-Me	Et	1	F	0	Me	H	-\$N		409
152	A 5	N-Me	CHF2	•	н	0	Me	Ħ	- \$ - N		413
153	А5	N-Me	OMe	-	н	0	н	н	N. N		351
154	A 2	N-Me	н	н	н	0	н	н	N W		320
155	A 1	0	Me	н	Me	0	н	н			335
156	A2	ø	Ме	I	н	0	н	I			337
157	A 5	N-Me	CF3	1	F	0	н	Ŧ			407
158	A 5	N-Me	Me		F	0	н	н	N. N		353
159	A 5	N-Me	CHF2	,	н	0	Н	Ŧ			371
160	A 1	o	Me	н	н	0	н	н	N.		321

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z^3	В	LogP	М+Н
161	A 1	N-Me	н	CF3	н	0	н	Н			388
162	A 5	N-Me	OMe	•	н	0	Ħ	Н	a		415
163	A2	N-Me	н	н	н	0	н	н	a		384
164	A 1	0	Ме	Ħ	Ме	0	I	н	a		399
165	A2	ø	Ме	н	н	0	Ħ	Н	a		401
166	A 5	N-Me	CF3	•	F	0	Ħ	Н	a		471
167	A 5	N-Me	Ме	ı	F	0	Ħ	Н	a	2,9	
168	A 5	N-Me	CHF2		н	0	н	н	and the second s		435
169	A 1	0	Me	Ŧ	н	0	Ħ	н	a		385
170	A 1	N-Me	н	CF3	н	o	н	н	D TO THE TOTAL TOT		452

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
171	A 5	N-Me	Me	•	F	0	н	н	N N N N N N N N N N N N N N N N N N N		405
172	A 5	N-Me	ОМе	ı	н	0	H	Ħ	F F		404
173	A2	N-Me	н	н	Н	0	н	н	F F		373
174	A 1	0	Ме	Ħ	Ме	0	Ŧ	I	F F		388
175	A2	ø	Me	н	н	0	H	H	F F		390
176	A 5	N-Me	CF3	•	ŀ	0	I	I	F		460
177	A 5	N-Me	Ме	ı	L.	0	Ŧ	Ŧ	F		406
178	A 5	N-Me	CHF2		н	0	н	н	F F		424
179	A1	0	Me	н	н	0	Ħ	Ŧ	F F		374
180	A 1	N-Me	н	CF3	н	0	н	н	F F		441

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
181	A 5	N-Me	OMe	-	н	0	Ħ	Ħ	Z		337
182	A2	N-Me	н	H	н	0	Ħ	I	Z		306
183	A 1	0	Ме	Ŧ	Ме	0	Ħ	I	Z		321
184	A2	Ø	Ме	Ħ	н	0	Ŧ	I	Z		323
185	A 5	N-Me	CF3	ı	F	0	Ħ	Ħ	Z		393
186	A 5	N-Me	Ме	-	F	0	Н	Н	Z =		339
187	A 5	N-Me	CHF2	-	н	0	Н	Н	Z		357
188	A 1	0	Me	н	н	0	н	Н	Z		307
189	A1	N-Me	н	CF3	н	0	н	н	Z		374
190	A 5	N-Me	ОМе	-	н	0	н	н			337

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+H
191	A2	N-Me	н	н	н	0	Н	Н	N N		306
192	A 1	0	Me	н	Me	0	н	Н			321
193	A2	s	Me	н	н	0	н	Н	N N		323
194	A 5	N-Me	CF3	-	F	0	н	н			393
195	A 5	N-Me	Me	•	F	0	н	н			339
196	A 5	N-Me	CHF2	•	н	0	Ħ	н			357
197	A 1	0	Me	Ħ	н	0	Ħ	н			307
198	A 1	N-Me	Ħ	CF3	н	0	Ħ	н			374
199	A 5	N-Me	OMe	•	н	0	Ħ	н	0		366
200	A2	N-Me	н	н	н	0	н	Н			335

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
201	A 1	0	Me	н	Me	0	н	н	0		350
202	A2	s	Me	н	н	0	н	н	0		352
203	A 5	N-Me	CF3	1	F	0	Ŧ	Ħ	0		422
204	A 5	N-Me	Ме		F	0	Ŧ	Ħ	0		368
205	A 5	N-Me	CHF2	-	н	0	Ħ	Ħ	0—————————————————————————————————————		386
206	A 1	0	Ме	н	н	0	Ħ	н	0		336
207	A 1	N-Me	н	CF3	н	0	н	н	0		403
208	A 5	N-Me	OMe	-	н	0	Н	Н	Br W		414
209	A2	N-Me	н	н	н	0	н	н	Br Wa		383
210	A 1	o	Me	н	Me	0	н	н	Br 3½		398

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Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
211	A2	s	Me	Ħ	н	0	н	Ħ	Br W		400
212	A 5	N-Me	CF3	•	F	0	Ħ	H	br W		470
213	A 5	N-Me	Me	•	F	0	н	Ħ	Br 1/1.		416
214	A 5	N-Me	CHF2	•	н	0	Ŧ	Ħ	Br 1 ₁ ₁ ₁ ₁		434
215	A 1	0	Me	Ŧ	н	0	Ħ	Ħ	Br 1 ₂ ₁ ₁		384
216	A 1	N-Me	Ħ	CF3	н	0	I	Ħ	Br W		451
217	A 5	N-Me	ОМе	1	н	0	Ŧ	Ħ			396
218	A2	N-Me	Ħ	I	н	0	Ŧ	Ħ			365
219	A1	0	Me	I	Me	0	Ħ	Ħ			380
220	A2	s	Me	н	н	0	н	н			382

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Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
221	A 5	N-Me	CF3	•	F	0	н	н			452
222	A 5	N-Me	Me	•	F	0	Ħ	н		2,96	
223	А5	N-Me	CHF2	-	н	0	н	н			416
224	A 1	0	Me	Ħ	н	0	H	Ħ			366
225	A 1	N-Me	н	CF3	н	0	Ħ	Ħ			433
226	A 5	N-Me	Ме	•	ŀ	0	I	Ħ	7/1·		341
227	A 5	N-Me	CHF2	1	Ħ	0	Ŧ	Ħ	N N		359
228	A 5	N-Me	Me		F	0	н	н	2-2-2-N		341
229	A 5	N-Me	CHF2	,	н	0	Ħ	Ħ			359
230	A 5	N-Me	Me	-	F	0	н	н	N CI		373

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
231	A 5	N-Me	Et	•	F	0	н	Ħ	, CI		387
232	A 1	0	Me	н	Me	0	Ħ	н	Z C		355
233	A 5	N-Me	Me	•	F	0	н	н			344
234	A 5	N-Me	Et	•	F	0	Ŧ	Ħ	9		358
235	A 5	N-Me	CHF2	•	н	0	н	н	8		362
236	A 5	N-Me	Ме	•	F	0	Ħ	н	0		382
237	A 5	N-Me	Et	•	F	0	н	н	0		396
238	A 5	N-Me	CHF2	-	н	0	Н	Н	OO		400
239	A 1	o	Me	н	Me	0	Ħ	Ħ	0		364
240	A 5	N-Me	Me	-	F	0	Ме	н			370

Ex. N°	Α	V ¹	R ^{a2}	R ^{a3}	R ^{a4}	Т	Z ²	Z ³	В	LogP	М+Н
241	A 5	N-Me	CHF2	,	н	0	Ме	Ħ	F		388
242	A 5	N-Me	Et	•	F	0	Ме	Ŧ	The state of the s		384
243	A 5	N-Me	Ме	•	F	0	н	н	Br		416
244	A 5	N-Me	Et	-	F	0	Н	н	Br My		430
245	A 5	N-Me	CHF2	-	н	0	Н	н	Br		434
246	A1	o	Me	н	Me	0	н	н	Br My		398

General preparation example 1: preparation of an amide derivative of formula (I) on Optimizer™ micro-waves apparatus

In a 8 ml Optimizer™ vial is weighted 1.7 mmole of amine (II). 2 ml of a 1 molar solution of the acyl chloride (III) (2 mmoles) in acetonitrile are added followed by 1 ml of triethylamine. The vial is sealed, pre-stirred 10 s at ambient temperature then heated at 180 °C for 60 s under microwaves. After cooling, the vial is opened and poured onto 10 ml of a saturated solution of potassium carbonate. The watery layer is extracted twice by 5 ml of dichloromethane. The organic phases are dried over magnesium sulfate. The solvents are removed and the crude amide is analyzed by LCMS and NMR. Insufficiently pure compounds are further purified by preparative LCMS.

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General preparation example 2: thionation of an amide derivative of formula (I) on Chemspeed™ apparatus

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In a 13 ml Chemspeed™ vial is weighted 0.27 mmole of phosphorous pentasulfide (P₂S₅). 3 ml of a 0.18 molar solution of the amide (I) (0.54 mmole) in dioxane is added and the mixture is heated at reflux for two hours. The temperature is then cooled to 80°C and 2.5 ml of water are added. The mixture is heated at 80°C for one more hour. 2 ml of water are then added and the reaction mixture is extracted twice by 4 ml of dichloromethane. The organic phase is deposited on a basic alumina cardridge (2g) and eluted twice by 8 ml of dichloromethane. The solvents are removed and the crude thioamide is analyzed by LCMS and NMR. Insufficiently pure compounds are further purified by preparative LCMS.

Efficacy example A : in vivo preventive test on Pyrenophora teres (Barley net blotch)

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.

Barley plants (Express variety) in starter cups, sown on a 50/50 peat soil-pozzolana substrate 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.

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 15-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. Under these conditions, good (at least 70%) or total protection is observed at a dose of 500 ppm with the following compounds:. 1, 2, 3, 6, 8, 9, 11, 12, 16, 18, 24, 25, 26, 27, 33, 36, 40, 42, 47, 50, 54, 55, 57, 58, 59, 64, 65, 66, 67, 69, 71, 72, 75, 79, 80, 81, 82, 83, 85, 86, 87, 88, 89, 100, 109, 116, 119, 120, 145, 149, 166, 167, 168, 176, 177, 178, 204, 213, 214, 222, 226, 227, 228, 240, 241 and 242.

Efficacy example B: in vivo preventive test on Sphaerotheca fuliginea (cucurbit powdery mildew)

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.

Gherkin plants (Vert petit de Paris variety) in starter cups, sown on a 50/50 peat soil-pozzolana substrate and grown at 20°C/23°C, are treated at the 2 first leaves stage by spraying with the aqueous suspension 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 *Sphaerotheca fuliginea* spores (100,000 spores per ml). The spores are collected from a contaminated plants .The contaminated gherkin plants are incubated at about 20°C/25°C and at 60/70% relative humidity.

Grading (% of efficacy) is carried out 11-12 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:. 2, 6, 8, 11, 12, 17, 18, 22, 23, 25, 26, 36, 40, 41, 42, 47, 49, 50, 51, 53, 55, 56, 57, 58, 59, 63, 64, 65, 66, 67, 69, 70, 71, 72, 74, 75, 79, 80, 81, 82, 83, 85, 86, 87, 88, 89, 100, 105, 109, 120, 128, 129, 136, 137, 138, 149, 157, 158, 159, 166, 167, 168, 176, 177, 178, 180, 185, 194, 195, 196, 198, 204, 205, 221, 222, 240, 241 and 242.

Efficacy example C: in vivo preventive test on Mycosphaerella graminicola (wheat leaf spot)

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The active ingredients tested are prepared by homogenisation in a mixture of acetone/tween/DMSO, and 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 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 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.

Under these conditions, good (at least 70%) or total protection is observed at a dose of 500 ppm with the following compounds: 1, 2, 5, 6, 7, 8, 9, 11, 17, 18, 22, 23, 24, 26, 27, 32, 33, 34, 36, 40, 41, 47, 48, 49, 50, 51, 53, 54, 55, 56, 57, 58, 59, 63, 64, 65, 67, 69, 70, 71, 74, 75, 79, 80, 81, 83, 84, 85, 86, 87, 88, 89, 94, 96, 97, 100, 105, 109, 115, 116, 119, 120, 128, 129, 134, 136, 137, 138, 140, 145, 146, 147, 149, 155, 157, 158, 161, 164, 166, 167, 168, 170, 172, 174, 176, 177, 178, 180, 183, 185, 186, 187, 190, 192, 194, 195, 221, 225, 226, 227, 228, 229, 240, 241 and 242.

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Efficacy example D: in vivo test on Puccinia recondita f. Sp. tritici (wheat brown rust).

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) in starter cups, sown on 50/50 peat soil-pozzolana substrate 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.

After 24 hours, the plants are contaminated by spraying the leaves with an aqueous suspension of *Puccinia recondita* spores (100,000 spores per ml). The spores are collected from a 10-day-old contaminated wheat and are suspended in water containing 2.5 ml/l of tween 80 10%. The contaminated wheat plants are incubated for 24 hours at 20°C and at 100% relative humidity, and then for 10 days at 20°C and at 70% relative humidity. Grading is carried out 10 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, 2, 9, 16, 24, 25, 26, 36, 47, 49, 54, 57, 58, 63, 64, 65, 66, 67, 80, 83, 85, 87, 88, 89, 94, 100, 109, 119, 120, 134, 136, 137, 138, 140, 149, 158, 167, 176, 177, 178, 240 and 242.

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

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

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/water not containing the active material.

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.

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

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Under these conditions, good protection (at least 70%) is observed at a dose of 500ppm with the following compounds: 2, 6, 12, 16, 18, 26, 47, 49, 57, 65, 66, 67, 69, 79, 80, 83, 166, 167, 176, 204, 213, 214 and 240.

5 Example F: in vivo test on Botrytis cinerea (Grey mould)

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

Gherkin plants (Vert petit de Paris variety), sown on a 50/50 peat soil-pozzolana substrate in starter cups and grown at 18- 20°C, are treated at the cotyledon Z11 stage by spraying with the active ingredient prepared as described above.

Plants, used as controls, are treated with an aqueous solution not containing the active material.

After 24 hours, the plants are contaminated by depositing drops of an aqueous suspension of Botrytis cinerea spores (150,000 spores per ml) on upper surface of the leaves. The spores are collected from a 15-day-old culture and are suspended in a nutrient solution composed of:

- 20 g/L of gelatin;

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- 50 g/L of D-fructose;
- 2 g/L of NH4NO3;
- 1 g/L of KH2PO4.

The contaminated cucumber plants are settled for 5-7 days in a climatic room at 15-11°C (day/night) and at 80% relative humidity.

Grading is carried out 5/7 days after the contamination, in comparison with the control plants.

Under these conditions, good (at least 70%) protection is observed at a dose of 500ppm with the following compounds: 36, 64, 65, 67,80 and 89.

Example G: In vivo test on Peronospora parasitica (Crucifer downy mildew)

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

Cabbage plants (Eminence variety) in starter cups, sown on a 50/50 peat soil-pozzolana substrate and grown at 18-20°C, are treated at the cotyledon stage by spraying with the aqueous suspension described above. Plants, used as controls, are treated with an aqueous solution not containing the active material. After 24 hours, the plants are contaminated by spraying them with an aqueous suspension of Peronospora parasitica spores (50 000 spores per ml). The spores are collected from infected plant. The contaminated cabbage plants are incubated for 5 days at 20°C, under a humid atmosphere. Grading is carried out 5 days after the contamination, in comparison with the control plants.

Under these conditions, good (at least 70% of disease control) to total protection (100% of disease control) is observed at a dose of 500 ppm with the following compounds: 2, 6, 8, 19, 27, 48, 49, 57, 73, 75, 83, 84, 87, 88, 94, 99, 108, 109, 129, 134, 135, 136, 149, 155, 164, 169, 174, 176, 179, 183, 198, 219 and 240 according to the invention whereas weak protection (less than 30% of disease control) to no protection at all is observed at a dose of 500 ppm with the compounds of examples 5, 45 and 50 disclosed in patent application WO-2006/120224

Examples 5, 45 and 50 disclosed in patent application WO-2006/120224 correspond, respectively, to following compounds:

N-cyclopropyl-N-[(3-Chloro-5-trifluoromethyl-pyridin-2-yl)-methylene]-2,5-dimethyl-furane-3-carboxamide;

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N-cyclopropyl-N-[(3-Chloro-5-trifluoromethyl-pyridin-2-yl)-methylene]-5-fluoro-1,3-dimethyl-1H-pyrazole-4-carboxamide;

N-cyclopropyl-N-[(3-Chloro-5-trifluoromethyl-pyridin-2-yl)-methylene]-5-fluoro-3-(trifluoromethyl)-1-methyl -1H-pyrazole-4-carboxamide;

These results show that the compounds according to the invention have a much better biological activity than the structurally closest compounds disclosed in WO-2006/120224

CLAIMS

1. A compound of formula (I)

$$A \xrightarrow{Z^1} B$$

$$T Z^2 Z^3$$
(I)

wherein

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 A represents a carbo-linked, unsaturated or partially saturated, 5-membered heterocyclyl group that can be substituted by up to four groups R^a;

• B represents

$$W_1^{5}$$
 W_2^{4} W_1^{7} W_2^{7} W_1^{7} W_2^{7} W_2^{7} W_2^{7}

wherein

- o W¹ to W⁵ independently represent N or CR^{b1};
- o Y¹ to Y³ independently represent N, O, S, NR^{b2} or CR^{b3};
- o Y¹ to Y³ together with the atoms Wⁿ to which they are linked, form an aromatic 5or 6-membered, fused heterocyclyl ring comprising up to four heteroatoms, or an aromatic 6-membered fused carbocyclyl ring;
- o p represents 1 or 2;
- T represents O, S, N-R^c, N-OR^d, N-NR^cR^d or N-CN;
 - Z^1 represents a non substituted C_3 - C_7 -cycloalkyl or a C_3 - C_7 cycloalkyl substituted by up to 10 atoms or groups that can be the same or different and that can be selected in the list consisting of halogen atoms; cyano; C_1 - C_8 -alkyl; C_1 - C_8 -halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -alkoxy; C_1 - C_8 -halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -alkoxycarbonyl; C_1 - C_8 -halogenoalkoxycarbonyl comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -alkylaminocarbonyl; di- $(C_1$ - C_8 -alkylaminocarbonyl;

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- Z^2 and Z^3 independently represent a hydrogen atom; a halogen atom; C_1 - C_8 -alkyl; C_1 - C_8 -halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C_2 - C_8 -alkenyl; C_2 - C_8 -alkynyl; cyano; nitro; C_1 - C_8 -alkoxy; C_2 - C_8 -alkenyloxy; C_2 - C_8 -alkynyloxy; C_3 - C_7 -cycloalkyl; C_1 - C_8 -alkylsulphenyl; amino; C_1 - C_8 -alkylamino; di-(C_1 - C_8 -alkyl)amino; C_1 - C_8 -alkoxycarbonyl; C_1 - C_8 -alkylcarbamoyl; or
- Z² and Z³ together with the carbon atom to which they are linked can form a substituted or non substituted C₃-C₇ cycloalkyl;
- R^a independently represents a hydrogen atom; halogen atom; cyano; nitro; amino; sulfanyl; hydroxyl; pentafluoro- λ -6-sulfanyl; C_1 - C_8 -alkylamino; di- $(C_1$ - C_8 -alkyl)amino; $tri(C_1-C_8-alkyl)silyl \hspace{0.2cm} ; \hspace{0.2cm} tri(C_1-C_8-alkyl)silyl-C_1-C_8-alkyl \hspace{0.2cm} ; \hspace{0.2cm} C_1-C_8-alkylsulfanyl \hspace{0.2cm} ; \hspace{0.2cm} C_1-C_8-al$ halogenoalkylsulfanyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C2-C8-alkenyl; C2-C8-halogenoalkenyl comprising up to 9 halogen atoms that can be the same or different; C₂-C₈-alkynyl; C₂-C₈-halogenoalkynyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkoxy; C₁-C₈-alkoxy-C₁-C₈-alkyl; C₁-C₈-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different; C₂ C₈-alkenyloxy; C₂-C₈-alkynyloxy; C₃-C₇-cycloalkyl; C_3 - C_7 -cycloalkyl- C_1 - C_8 -alkyl ; C_1 - C_8 -alkylsulphinyl ; C_1 - C_8 -alkylsulphonyl ; C_1 - C_8 alkoxyimino ; (C_1 - C_8 -alkoxyimino)- C_1 - C_8 -alkyl ; (benzyloxyimino)- C_1 - C_8 -alkyl ; C_1 - C_8 alkylcarbonyl; C₁-C₈-halogenoalkylcarbonyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₈-alkoxycarbonyl ; C₁-C₈-halogenoalkoxycarbonyl comprising up to 9 halogen atoms that can be the same or different; C1-C8alkylaminocarbonyl; di-(C₁-C₈-alkyl)aminocarbonyl;
- R^c and R^d, that can be the same or different, represent a hydrogen atom; C₁-C₈-alkyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkoxy-C₁-C₈-alkyl; C₂-C₈-alkenyl; C₂-C₈-halogenoalkenyl comprising up to 9 halogen atoms that can be the same or different; C₂-C₈-alkynyl; C₂-C₈-halogenoalkynyl comprising up to 9 halogen atoms that can be the same or different; C₃-C₇-cycloalkyl; C₃-C₇-cycloalkyl-C₁-C₈-alkyl; C₃-C₇-halogenocycloalkyl comprising up to 9 halogen atoms that can be the same or different; formyl; C₁-C₈-alkylcarbonyl; C₁-C₈-halogenoalkylcarbonyl comprising up to 9 halogen atoms that can be the same or

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different ; C_1 - C_8 -alkylsulphonyl ; C_1 - C_8 -halogenoalkylsulphonyl comprising up to 9 halogen atoms that can be the same or different ; phenyl that can be substituted by up to 5 groups Q ; naphthyl that can be substituted by up to 6 groups Q ; phenylmethylene that can be substituted by up to 5 groups Q ; phenylsulphonyl that can be substituted by up to 5 groups Q ;

 R^{b1} and R^{b3} independently represent a hydrogen atom; halogen atom; nitro; cyano; hydroxyl; sulphanyl; amino; pentafluoro- λ 6-sulphanyl; C_1 - C_8 -alkyl; C_1 - C_8 halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkylamino ; di-(C₁-C₈-alkyl)amino ; C₁-C₈-alkoxy ; C₁-C₈-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkoxy-C₁-C₈-alkyl; C₁-C₈-alkylsulphanyl; C₁-C₈-halogenoalkylsulphanyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkylsulphenyl, C₁-C₈-halogenoalkylsulphenyl comprising up to 9 halogen atoms that can be the same or different, C₁-C₈alkylsulphinyl, C₁-C₈-halogenoalkylsulphinyl comprising up to 9 halogen atoms that can be the same or different, C₁-C₈-alkylsulphonyl, C₁ C₈-halogenoalkylsulphonyl comprising up to 9 halogen atoms that can be the same or different; C₂-C₈-alkenyl; C₂-C₈-halogenoalkenyl comprising up to 9 halogen atoms that can be the same or different; C₂-C₈-alkynyl; C₂-C₈-halogenoalkynyl comprising up to 9 halogen atoms that can be the same or different C2-C8-alkenyloxy; C2-C8-halogenoalkenyloxy comprising up to 9 halogen atoms that can be the same or different; C2-C8-alkinyloxy; C2-C8halogenoalkinyloxy comprising up to 9 halogen atoms that can be the same or different; C₃-C₇-cycloalkyl; C₃-C₇-cycloalkyl-C₁-C₈-alkyl; C₃-C₇-halogenocycloalkyl comprising up to 9 halogen atoms that can be the same or different; formyl; formyloxy; formylamino; carboxy; carbamoyl; N-hydroxycarbamoyl; carbamate; (hydroxyimino)- C_1 - C_8 -alkyl; C_1 - C_8 -alkylcarbonyl; C_1 - C_8 -halogenoalkylcarbonyl comprising up to 9 halogen atoms that can be the same or different; N-C₁-C₈-alkyl-C₁-C₈alkoxycarbamoyl; C₁-C₈-alkoxycarbonyl; C₁-C₈-halogenoalkoxycarbonyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkylaminocarbonyl; di- $(C_1-C_8-alkyl)$ aminocarbonyl; $C_1-C_8-alkyl$ carbonyloxy; C_1-C_8-h alogenoalkylcarbonyloxy comprising up to 9 halogen atoms that can be the same or different; C1-C8alkylcarbonylamino; C₁-C₈-alkylaminocarbonyloxy; di-(C₁-C₈-alkyl)aminocarbonyloxy; C_1 - C_8 -alkyloxycarbonyloxy; C_1 - C_8 -alkoxyimino; $(C_1$ - C_8 -alkoxyimino)- C_1 - C_8 -alkyl; $(C_1$ -C₈-alkenyloxyimino)-C₁-C₈-alkyl $(C_1-C_8-alkynyloxyimino)$ -C₁-C₈-alkyl (benzyloxyimino)- C_1 - C_8 -alkyl; tri(C_1 - C_8 -alkyl)silyl; tri(C_1 - C_8 -alkyl)silyl- C_1 - C_8 -alkyl;

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phenyl that can be substituted by up to 5 groups Q; benzyloxy that can be substituted by up to 5 groups Q; benzylsulphanyl that can be substituted by up to 5 groups Q; benzylamino that can be substituted by up to 5 groups Q; phenoxy that can be substituted by up to 5 groups Q; phenylsulphanyl that can be substituted by up to 5 groups Q; phenylsulphanyl that can be substituted by up to 5 groups Q; pyridinyl that can be substituted by up to four groups Q and pyridinyloxy that can be substituted by up to four groups Q;

• R^{b2} represents a hydrogen atom ; C₁-C₈-alkyl ; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₈-alkoxy-C₁-C₈-alkyl ; C₂-C₈-alkenyl ; C₂-C₈-halogenoalkenyl comprising up to 9 halogen atoms that can be the same or different ; C₂-C₈-alkynyl ; C₂-C₈-halogenoalkynyl comprising up to 9 halogen atoms that can be the same or different ; C₃-C₇-cycloalkyl ; C₃-C₇-cycloalkyl-C₁-C₈-alkyl ; C₃-C₇-halogenocycloalkyl comprising up to 9 halogen atoms that can be the same or different ; formyl, C₁-C₈-alkylcarbonyl ; C₁-C₈-halogenoalkylcarbonyl comprising up to 9 halogen atoms that can be the same or different ; C₁-C₈-alkylsulphonyl ; C₁-C₈-halogenoalkylsulphonyl comprising up to 9 halogen atoms that can be the same or different ; phenylsulfonyl can be substituted by up to 5 groups Q ; benzyl that can be substituted by up to 5 groups Q ;

• Q, that can be the same or different, represents a halogen atom; cyano; nitro; C₁-C₈-alkyl; C₁-C₈-alkoxy; C₁-C₈-alkylsulfanyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different; tri(C₁-C₈)alkylsilyl and tri(C₁-C₈)alkylsilyl-C₁-C₈-alkyl; C₁-C₈-alkoxyimino; (C₁-C₈-alkoxyimino)- C₁-C₈-alkyl;

as well as salts, N-oxides, metallic complexes, metalloidic complexes and optically active or geometric isomers thereof provided that compound of formula (I) differs from N-cyclopropyl-N-((6,8-dimethyl-2-oxo-1,2-dihydroquinolin-3-yl)methyl)-furane-2-carboxamide, N-cyclopropyl-N-((6-ethoxy-2-oxo-1,2-dihydroquinolin-3-yl)methyl)-furane-2-carboxamide, N-cyclopropyl-N-((6-methyl-2-oxo-1,2-dihydroquinolin-3-yl)methyl)-furane-2-carboxamide, N-cyclopropyl-N-((7,8-difluoro-2-oxo-1,2-dihydroquinolin-4-yl)methyl)-4-methylthiazole-5-carboxamide and from N-cyclopropyl-N-((8-fluoro-2-oxo-1,2-dihydroquinolin-4-yl)methyl)-4-methylthiazole-5-carboxamide.

2. A compound according to claim 1 wherein A is selected in the list consisting of:

wherein:

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- -* represents the attachment point to the carbonyl moiety;
- V¹ represents O, S or NR^{a1};
- R^{a1} represents a hydrogen atom; C₁-C₈-alkyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkoxy-C₁-C₈-alkyl;
- R^{a2} and R^{a3}, that can be the same or different represent a hydrogen atom; a halogen atom; cyano; C₁-C₈-alkyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkoxy or C₁-C₈-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different; C₃-C₇-cycloalkyl;
- R^{a4} represents a hydrogen atom, a halogen atom; cyano; C₁-C₈-alkyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C₁-C₈-alkoxy or C₁-C₈-halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different; C₃-C₇-cycloalkyl; C₁-C₈-alkylsulfanyl; amino; C₁-C₈-alkylamino; di-(C₁-C₈-alkyl)amino; C₁-C₈-alkyloxycarbonyl.
- 3. A compound according to claims 1 or 2 wherein A is selected in the list consisting of:

wherein:

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- -* represents the attachment point to the carbonyl group;
- V¹ represents O, S or NR^{a1};
- R^{a1} represents a hydrogen atom; C₁-C₈-alkyl; C₁ C₈-alkoxy-C₁-C₈-alkyl;
- R^{a2} represents C_1 - C_8 -alkyl; C_1 - C_8 -halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -alkoxy;
- R^{a3} represents a hydrogen atom; a halogen atom; C₁-C₈-alkyl;
- R^{a4} represents a hydrogen atom, a halogen atom; C₁-C₈-alkyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different.

4. A compound according to claims 1 to 3 wherein

- A represents A⁵;
- V¹ represents NR^{a1} ;
- R^{a1} represents C₁-C₈-alkyl;
- R^{a2} and R^{a3} , that can be the same or different represent a hydrogen atom; a halogen atom; C_1 - C_8 -alkyl; C_1 - C_8 -halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; or
- A represents A⁴;
- V¹ represents S;

- R^{a2} represents a C_1 - C_8 -alkyl ; C_1 - C_8 -halogenoalkyl comprising up to 9 halogen atoms that can be the same or different ;
- R^{a4} represents a hydrogen atom; C₁-C₈-alkyl; C₁-C₈-halogenoalkyl comprising up to 9 halogen atoms that can be the same or different.

5. A compound according to claims 1 to 4 wherein B is selected in the list consisting of :

$$W^{5} \qquad W^{4} \qquad W^{3} \qquad W^{5} \qquad W^{4} \qquad W^{5} \qquad W^{5} \qquad W^{5} \qquad W^{4} \qquad W^{5} \qquad W^{5$$

 B^5

wherein

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• W¹ to W⁵, Y¹a, Y²a, Y³a and Y³b independently represent NRb² or CRb³;

 B^4

Y^{2b} represents O, S or NR^{b2}.

 B^3

6. A compound according to claim 5 wherein

- B represent B¹ or B²;
- W^1 to W^5 , Y^{1a} , Y^{2a} , Y^{3a} and Y^{3b} independently represent CR^{b3} ; or
- B represent B⁵ or B⁶;
- W¹ to W⁵, Y^{1a} and Y^{3a} independently represent CR^{b1};
- Y^{2b} represents S.
- 7. A compound according to claims 1 to 6 wherein T represents O or S.

8. A compound according to claims 1 to 7 wherein Z¹ represents cyclopropyl.

9. A compound according to claims 1 to 8 wherein Z^2 and Z^3 independently represent a hydrogen atom or C_1 - C_8 alkyl.

10. A compound according to claims 1 to 9 wherein Q represents a halogen atom; C_1 - C_8 -alkyl; C_1 - C_8 -alkoxy; C_1 - C_8 -halogenoalkyl comprising up to 9 halogen atoms that can be the same or different; C_1 - C_8 -halogenoalkoxy comprising up to 9 halogen atoms that can be the same or different.

11. A fungicide composition comprising, as an active ingredient, an effective amount of a compound of formula (I) according to claims 1 to 10 and an agriculturally acceptable support, carrier or filler.

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12. A method for controlling phytopathogenic fungi of crops, characterized in that an agronomically effective and substantially non-phytotoxic quantity of a compound according to claims 1 to 10 or a composition according to claim 11 is applied to the soil where plants grow or are capable of growing, to the leaves and/or the fruit of plants or to the seeds of plants.

INTERNATIONAL SEARCH REPORT

International application No PCT/EP2008/060040

CLASSIFICATION OF SUBJECT MATTER VV. CO7D207/34 CO7D2 INV. CO7D417/12 C07D231/20 C07D401/12 A01N43/56 CO7D231/16 A01N43/36 A01N43/78 C07D231/14 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) CO7D 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 C. DOCUMENTS CONSIDERED TO BE RELEVANT Category* Citation of document, with indication, where appropriate, of the relevant passages Relevant to claim No. Α WO 2006/120224 A (BAYER CROPSCIENCE SA 1-12 [FR]; GARY STEPHANIE [FR]; HILL BRIAN [GB]; PEREZ) 16 November 2006 (2006-11-16) claim 1 and abstract P,X WO 2007/087906 A (BAYER CROPSCIENCE SA 1-7,9,10[FR]; MANSFIELD DARREN [DE]; COQUERON PIERRE-YVES) 9 August 2007 (2007-08-09) claim 1 and examples 37, 40, 78, 81, 111, 114, 141, 145, 188, 191, 304-306, 313, 316, 319, 344, 347 P,X WO 2008/065500 A (PFIZER PROD INC [US]; 1-7,9,10LOWE III JOHN ADAMS [US]; SAKYA SUBAS MAN [US];) 5 June 2008 (2008-06-05) example 129 Further documents are listed in the continuation of Box C. See patent family annex. Special categories of cited documents : '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 *A* document defining the general state of the art which is not considered to be of particular relevance invention earlier document but published on or after the international *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to 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) 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 docu-O' document referring to an oral disclosure, use, exhibition or ments, such combination being obvious to a person skilled other means in the art. document published prior to the international filing date but later than the priority date claimed *&* document member of the same patent family Date of the actual completion of the international search Date of mailing of the international search report 27/11/2008 14 November 2008 Authorized officer Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016 Sahagún Krause, H

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