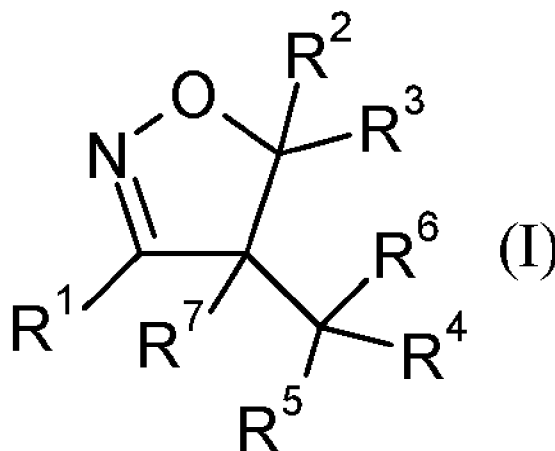




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(54) Title: 4,5-DIHYDRO-ISOXAZOLE DERIVATIVES AS FUNGICIDES



(57) Abstract: Compounds of Formula (I), wherein the other substituents R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> and R<sup>7</sup> are as defined in claim 1, and their use as microbiocides.



GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

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## 4,5-DIHYDRO-ISOXAZOLE DERIVATIVES AS FUNGICIDES

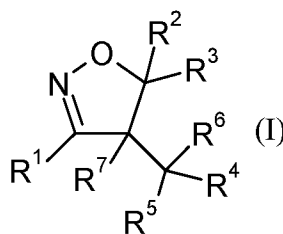
The present invention relates to novel 4,5-dihydro-isoxazol moieties containing compounds, especially 3-aryl or heteroarylsubstituted -5,5-disubstituted-4,5-dihydro-isoxazol-4-yl)-  
 5 heteroaryl-3-yl-methanol moieties containing compounds or 3- aryl or heteroarylsubstituted -5,5- disubstituted -4-methylene-4,5-dihydro-isoxazole moieties containing compounds their use in compositions and methods for the control and/or prevention of microbial infection, particularly fungal infection, in plants and to processes for the preparation of these compounds.

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The incidence of serious microbial infections, particularly fungal infections, either systemic or topical, continues to increase for plants.

Fungicides are compounds, of natural or synthetic origin, which act to protect plants  
 15 against damage caused by fungi. Current methods of agriculture rely heavily on the use of fungicides. In fact, some crops cannot be grown usefully without the use of fungicides. Using fungicides allows a grower to increase the yield of the crop and consequently, increase the value of the crop. Numerous fungicidal agents have been developed. However, the treatment of fungal infestations continues to be a major problem. Furthermore, fungicide  
 20 resistance has become a serious problem, rendering these agents ineffective for some agricultural uses. As such, a need exists for the development of new fungicidal compounds.

Accordingly, the present invention provides a compound of formula (I)



25 wherein

$R^1$  is aryl or heteroaryl, or substituted aryl or substituted heteroaryl each optionally substituted by one to five substituents  $R^{8a}$ , which may be the same or different;

$R^2$  and  $R^3$  independently are H, aryl or Heterocyclyl, substituted aryl or substituted heteroaryl each optionally substituted by one to five substituents  $R^8$ , which may be the same or

- different; C<sub>1</sub>-C<sub>8</sub>alkyl, C<sub>2</sub>-C<sub>6</sub>alkenyl, C<sub>2</sub>-C<sub>6</sub>alkynyl, C<sub>3</sub>-C<sub>6</sub>cycloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>2</sub>-C<sub>6</sub>haloalkenyl, C<sub>2</sub>-C<sub>6</sub>haloalkynyl, C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkoxy, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkylthio, or substituted C<sub>1</sub>-C<sub>8</sub>alkyl, substituted C<sub>2</sub>-C<sub>6</sub>alkenyl, substituted C<sub>2</sub>-C<sub>6</sub>alkynyl, substituted C<sub>3</sub>-C<sub>6</sub>cycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkenyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkynyl, substituted C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkylthio, substituted C<sub>1</sub>-C<sub>6</sub>haloalkylthio each substituted by one to five substituents R<sup>9</sup>, which may be the same or different; provided that only one of the substituents R<sup>2</sup> and R<sup>3</sup> is H;
- 10 or R<sup>2</sup> and R<sup>3</sup> form together a 3 to 14 membered ring which may be monocyclic or polycyclic, preferably monocyclic, bicyclic or tricyclic, more preferably monocyclic or bicyclic, even more preferably monocyclic, and the ring formed by R<sup>2</sup> and R<sup>3</sup> together may be a alicyclic systems or heteroalicyclic systems wherein the carbocyclic ring structure which may comprise at least one endocyclic double bond or at least one endocyclic triple bond) wherein
- 15 in the case of the heteroalicyclic systems optionally one or more of the carbon atoms are replaced by a heteroatom selected from O, N and S and wherein the alicyclic systems or heteroalicyclic systems are unsubstituted or substituted by one to five substituents R<sup>9</sup>; R<sup>4</sup> is heteroaryl, or substituted heteroaryl substituted by one to five substituents R<sup>8</sup>, which may be the same or different;
- 20 R<sup>5</sup> is H, OH; C<sub>1</sub>-C<sub>6</sub>alkoxy or C<sub>1</sub>-C<sub>6</sub>alkylcarbonyl, or substituted C<sub>1</sub>-C<sub>6</sub>alkoxy or substituted C<sub>1</sub>-C<sub>6</sub>alkylcarbonyl each substituted by one to five substituents R<sup>9</sup>, which may be the same or different;
- R<sup>6</sup> is H or forms together with R<sup>7</sup> a bond;
- R<sup>7</sup> is H, OH, CN, halogen; C<sub>1</sub>-C<sub>8</sub>alkyl, C<sub>2</sub>-C<sub>6</sub>alkenyl, C<sub>2</sub>-C<sub>6</sub>alkynyl, C<sub>3</sub>-C<sub>6</sub>cycloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>2</sub>-C<sub>6</sub>haloalkenyl, C<sub>2</sub>-C<sub>6</sub>haloalkynyl, C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkoxy, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkylthio, or substituted C<sub>1</sub>-C<sub>8</sub>alkyl, substituted C<sub>2</sub>-C<sub>6</sub>alkenyl, substituted C<sub>2</sub>-C<sub>6</sub>alkynyl, substituted C<sub>3</sub>-C<sub>6</sub>cycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkenyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkynyl, substituted C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkylthio, substituted C<sub>1</sub>-C<sub>6</sub>haloalkylthio each substituted by one to five substituents R<sup>9</sup>, which may be the same or different; or forms together with R<sup>6</sup> a bond;
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- each R<sup>8</sup> is independently selected from cyano, amino, nitro, hydroxy, halogen, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>haloalkyl, C<sub>2</sub>-C<sub>4</sub>alkenyl, C<sub>2</sub>-C<sub>4</sub>haloalkenyl, C<sub>2</sub>-C<sub>4</sub>alkynyl, C<sub>2</sub>-C<sub>4</sub>haloalkynyl, C<sub>3</sub>-C<sub>6</sub>cycloalkyl, C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, C<sub>1</sub>-C<sub>3</sub>alkoxy, C<sub>1</sub>-C<sub>3</sub>haloalkoxy, C<sub>1</sub>-C<sub>3</sub>alkylthio, C<sub>1</sub>-C<sub>3</sub>haloalkylthio, C<sub>1</sub>-C<sub>3</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>3</sub>haloalkylsulfinyl, C<sub>1</sub>-C<sub>3</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>3</sub>haloalkylsulfonyl, C<sub>1</sub>-C<sub>4</sub>alkylamino, di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino, C<sub>1</sub>-C<sub>4</sub>alkylcarbonyl, C<sub>1</sub>-C<sub>4</sub>alkylcarbonyloxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl, C<sub>1</sub>-C<sub>4</sub>alkylcarbonylamino and phenyl or phenyl substituted by one to five R<sup>8</sup> but not phenyl or substituted phenyl;
- each R<sup>8a</sup> is independently selected from cyano, amino, nitro, hydroxy, halogen, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>haloalkyl, C<sub>2</sub>-C<sub>4</sub>alkenyl, C<sub>2</sub>-C<sub>4</sub>haloalkenyl, C<sub>2</sub>-C<sub>4</sub>alkynyl, C<sub>2</sub>-C<sub>4</sub>haloalkynyl, C<sub>3</sub>-C<sub>6</sub>cycloalkyl, C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, C<sub>1</sub>-C<sub>3</sub>alkoxy, C<sub>1</sub>-C<sub>3</sub>haloalkoxy, C<sub>1</sub>-C<sub>3</sub>alkylthio, C<sub>1</sub>-C<sub>3</sub>haloalkylthio, C<sub>1</sub>-C<sub>3</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>3</sub>haloalkylsulfinyl, C<sub>1</sub>-C<sub>3</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>3</sub>haloalkylsulfonyl, C<sub>1</sub>-C<sub>4</sub>alkylamino, di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino, C<sub>1</sub>-C<sub>4</sub>alkylcarbonyl, C<sub>1</sub>-C<sub>4</sub>alkylcarbonyloxy, C<sub>1</sub>-C<sub>4</sub>alkylcarbonylamino and phenyl or phenyl substituted by one to five R<sup>8</sup> but not phenyl or substituted phenyl;
- each R<sup>9</sup> is independently halogen, cyano, nitro, hydroxy, C<sub>1</sub>-C<sub>8</sub>alkoxy, C<sub>1</sub>-C<sub>8</sub>haloalkoxy-, C<sub>1</sub>-C<sub>8</sub>alkylthio-, C<sub>1</sub>-C<sub>8</sub>haloalkylthio-, C<sub>1</sub>-C<sub>8</sub>alkylsulfinyl-, C<sub>1</sub>-C<sub>8</sub>haloalkylsulfinyl-, C<sub>1</sub>-C<sub>8</sub>alkylsulfonyl-, C<sub>1</sub>-C<sub>8</sub>haloalkylsulfonyl-, C<sub>1</sub>-C<sub>8</sub>alkylcarbonyl-, C<sub>1</sub>-C<sub>8</sub>alkoxycarbonyl-, aryl or aryl substituted by one to five R<sup>9</sup>, or heterocyclyl or heterocyclyl substituted by one to five R<sup>8</sup>;
- or an agrochemically acceptable salt or N-oxides thereof.

The invention covers all agronomically acceptable salts, isomers, structural isomers, stereoisomers, diastereoisomers, enantiomers, tautomers and N-oxides of those compounds. The compounds of formula (I) may exist in different geometric or optical isomeric forms or in different tautomeric forms. One or more centres of chirality may be present, in which case compounds of the formula I may be present as pure enantiomers, mixtures of enantiomers, pure diastereomers or mixtures of diastereomers. There may be double bonds present in the molecule, such as C=C or C=N bonds, in which case compounds of formula I may exist as single isomers or mixtures of isomers. Centres of tautomerisation may be present. This invention covers all such isomers and tautomers and mixtures thereof in all proportions as well as isotopic forms such as deuterated compounds. Also atropisomerism may occur as a result of a restricted rotation about a single bond and cationic compounds of formula (I).

Suitable salts of the compounds of formula (I) include acid addition salts such as those with an inorganic acid such as hydrochloric, hydrobromic, sulphuric, nitric or phosphoric acid, or an organic carboxylic acid such as oxalic, tartaric, lactic, butyric, toluic, hexanoic or phthalic acid, or a sulphonic acid such as methane, benzene or toluene sulphonic acid. Other  
5 examples of organic carboxylic acids include haloacids such as trifluoroacetic acid.

N-oxides are oxidised forms of tertiary amines or oxidised forms of nitrogen containing heteroaromatic compounds. They are described in many books for example in "Heterocyclic N-oxides" by Angelo Albini and Silvio Pietra, CRC Press, Boca Raton, Florida, 1991.

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The alkyl groups occurring in the definitions of the substituents can be straight-chain or branched and are, for example, methyl, ethyl, *n*-propyl, *n*-butyl, *n*-pentyl, *n*-hexyl, *iso*-propyl, *n*-butyl, *sec*-butyl, *iso*-butyl or *tert*-butyl. Alkoxy, alkenyl and alkynyl radicals are derived from the alkyl radicals mentioned. The alkenyl and alkynyl groups can be mono- or  
15 di-unsaturated. The cycloalkyl groups are, for example, cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl. Halogen is generally fluorine, chlorine, bromine or iodine, preferably fluorine, bromine or chlorine more preferably chlorine or fluorine. This also applies, correspondingly, to halogen in combination with other meanings, such as halogenalkyl or halogenalkoxy.

Haloalkyl groups preferably have a chain length of from 1 to 6 carbon atoms and comprise at  
20 least one halogen atom up to perhalogenated alkyl group. Haloalkyl is, for example, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2,2,2-trifluoroethyl, 2-fluoroethyl, 2-chloroethyl, pentafluoroethyl, 1,1-difluoro-2,2,2-trichloroethyl, 2,2,3,3-tetrafluoroethyl and 2,2,2-trichloroethyl; preferably trichloromethyl, difluorochloromethyl, difluoromethyl, trifluoromethyl and  
25 dichlorofluoromethyl. Alkoxy is, for example, methoxy, ethoxy, propoxy, *i*-propoxy, *n*-butoxy, isobutoxy, *sec*-butoxy and *tert*-butoxy; preferably methoxy and ethoxy.

Halogenalkoxy is, for example, fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2,2,2-trifluoroethoxy, 1,1,2,2-tetrafluoroethoxy, 2-fluoroethoxy, 2-chloroethoxy, 2,2-difluoroethoxy and 2,2,2-trichloroethoxy; preferably difluoromethoxy, 2-chloroethoxy and  
30 trifluoromethoxy. Thioalkyl is, for example, methylthio, ethylthio, propylthio, *tert*-butylthio, hexylthio. Alkylamino is, for example, methylamino, ethylamino, propylamino, *tert*-butylamino, hexylamino as well as, for example dimethylamino, diethylamino, dipropylamino, *tert*-butylamino, dihexylamino or trimethylamino, triethylamino,

tripropylamino, tri tert-butylamino, trihexylamino or 2- to 3-fold substituted amines with different alkyl groups.

The aryl groups are groups derived from monocyclic and polycyclic aromatic hydrocarbons by removal of a hydrogen atom from a ring carbon atom. The heterocyclyl groups are derived from heteroarenes by removal of a hydrogen atom from any ring atom and the heteroarenes are monocyclic and polycyclic aromatic hydrocarbons wherein one or more methine ( $-C=$ ) and/or vinylene ( $-CH=CH-$ ) groups by trivalent or divalent heteroatoms, respectively, in such a way as to maintain the continuous  $\pi$ -electron system characteristic of aromatic systems. Heteroaryl means a mono-, bi- or tricyclic, aromatic hydrocarbon, containing 3 to 14, preferably 5 to 10, more preferably 6 to 8, ring-atoms, including 1 to 6, preferably 1 to 4, heteroatoms independently selected from nitrogen, oxygen and sulfur. The aryl and heteroaryl groups are, for example, acridinyl, anthracenyl, benzimidazolyl, benzisoxazolyl, benzo[c]thiophenyl, benzofuranyl, benzothiazolyl, benzothiophenyl, benzoxazolyl, cinnolinyl, furyl, imidazolyl, indazolyl, indolyl, isobenzofuranyl, isoindolyl, isoquinolinyl, isothiazolyl, isoxazolyl, naphthalenyl, naphthyl, oxadiazolyl, oxazolyl, phenyl, preferably these groups are optionally mono-, purinyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, quinazolinyl, quinolinyl, quinoxalinyl, thiadiazolyl, thiazoyl, thienyl, which can be optionally substituted, preferably these groups are optionally mono-, di- or trisubstituted.

Heterocyclyl, as used herein, are cyclic compounds having as ring members atoms of at least two different elements, e.g. quinoline, 1,2-thiazole, bicyclo[3.3.1]tetrasiloxane includes therefore heteroaryl preferably those containing from 3 to 10 ring-atoms up to 4 of which are heteroatoms selected from nitrogen, oxygen and sulfur, and in addition non aromatic heterocyclic compounds which may be a saturated or partially unsaturated cyclic hydrocarbon containing from 3 to 10 ring-atoms up to 4 of which are heteroatoms selected from nitrogen, oxygen and sulfur, and the heterocyclyl substituent may be optionally substituted by one or more groups independently selected from halogen, nitro, cyano, alkyl, alkoxy or as indicated in the claims or in the other parts of the description. Examples of non-aromatic heterocyclyl groups are oxiranyl, azetidiny, tetrahydrofuranyl, thiolanyl, pyrrolidinyl, pyrrolinyl, imidazolidinyl, imidazoliny, sulfolanyl, dioxolanyl, dihydropyranyl,

tetrahydropyranyl, piperidinyl, pyrazolinyl, pyrazolidinyl, dioxanyl, morpholinyl, dithianyl, thiomorpholinyl, piperazinyl, azepinyl, oxazepinyl, thiazepinyl, thiazolinyl and diazapanyl.

Heteroalkencycyl, as used herein, includes non aromatic heterocyclic compounds which  
 5 may be a saturated or partially unsaturated cyclic hydrocarbon containing from 3 to 10 ring-atoms up to 4 of which are heteroatoms selected from nitrogen, oxygen and sulfur, and may be optionally substituted by one or more groups independently selected from halogen, nitro, cyano, alkyl, alkoxy and may be optionally substituted by one or more groups independently selected from halogen, nitro, cyano, alkyl, alkoxy or as indicated in the claims or in the other  
 10 parts of the description

Preferred values of  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$  and  $R^9$  are, in any combination, as set out below.

15 In a preferred embodiment  $R^1$  is aryl or substituted aryl substituted by one to five substituents  $R^{8a}$ , which may be the same or different; More preferably  $R^1$  is phenyl or substituted aryl substituted by one to five substituents  $R^{8a}$ , which may be the same or different; Preferably  $R^1$  is 4-chloro-phenyl, 4-chloro-2-fluoro-phenyl, 2,4-difluoro-phenyl, 2,4-dichloro-phenyl, 2-fluoro-phenyl, 5-chloro-2-thiophenyl, 4-chloro-benzyl, cyclohexyl;

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In a preferred embodiment  $R^2$  and  $R^3$  independently are aryl or heterocyclyl, or substituted aryl or substituted heterocyclyl each substituted by one to five substituents  $R^8$ , which may be the same or different;  $C_1$ - $C_8$ alkyl,  $C_2$ - $C_6$ alkenyl,  $C_2$ - $C_6$ alkynyl,  $C_3$ - $C_6$ cycloalkyl,  $C_1$ - $C_6$ haloalkyl,  $C_2$ - $C_6$ haloalkenyl,  $C_2$ - $C_6$ haloalkynyl,  $C_3$ - $C_6$ halocycloalkyl,  $C_1$ - $C_6$ alkoxy,  $C_1$ - $C_6$ alkoxy- $C_1$ - $C_6$ alkyl,  $C_1$ - $C_6$ haloalkoxy,  $C_1$ - $C_6$ alkylthio,  $C_1$ - $C_6$ haloalkylthio, or substituted  $C_1$ - $C_8$ alkyl, substituted  $C_2$ - $C_6$ alkenyl, substituted  $C_2$ - $C_6$ alkynyl, substituted  $C_3$ - $C_6$ cycloalkyl, substituted  $C_1$ - $C_6$ haloalkyl, substituted  $C_2$ - $C_6$ haloalkenyl, substituted  $C_2$ - $C_6$ haloalkynyl, substituted  $C_3$ - $C_6$ halocycloalkyl, substituted  $C_1$ - $C_6$ alkoxy, substituted  $C_1$ - $C_6$ alkoxy- $C_1$ - $C_6$ alkyl, substituted  $C_1$ - $C_6$ haloalkoxy, substituted  $C_1$ - $C_6$ alkylthio, substituted  $C_1$ - $C_6$ haloalkylthio each substituted by one to five substituents  $R^9$ , which may be the same or  
 25 different; Preferably  $R^2$  and  $R^3$  independently are aryl or heterocyclyl, or substituted aryl or substituted heterocyclyl each substituted by one to five substituents  $R^8$ , which may be the same or different;  $C_1$ - $C_8$ alkyl,  $C_2$ - $C_6$ alkenyl,  $C_2$ - $C_6$ alkynyl,  $C_3$ - $C_6$ cycloalkyl,  $C_1$ - $C_6$ haloalkyl,

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C<sub>2</sub>-C<sub>6</sub>haloalkenyl, C<sub>2</sub>-C<sub>6</sub>haloalkynyl, C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkoxy, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkylthio, or substituted C<sub>1</sub>-C<sub>8</sub>alkyl, substituted C<sub>2</sub>-C<sub>6</sub>alkenyl, substituted C<sub>2</sub>-C<sub>6</sub>alkynyl, substituted C<sub>3</sub>-C<sub>6</sub>cycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkenyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkynyl, substituted C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkylthio, substituted C<sub>1</sub>-C<sub>6</sub>haloalkylthio each substituted by one to five substituents R<sup>9</sup>,

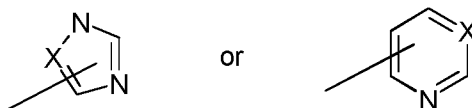
In a preferred embodiment wherein R<sup>2</sup> and R<sup>3</sup> form together a 5 to 14 membered ring which may be bicyclic or tricyclic, more preferably monocyclic or bicyclic, even more preferably monocyclic, and the 5 to 8 membered ring may be a alicyclic systems or heteroalicyclic systems wherein in the case of the heteroalicyclic systems optionally one or more of the carbon atoms are replaced by a heteroatom selected from O, N and S and wherein the alicyclic systems or heteroalicyclic systems are optionally substituted by one to five substituents R<sup>9</sup>; more preferred wherein R<sup>2</sup> and R<sup>3</sup> form together a 5 to 6 membered ring which may more preferably monocyclic or bicyclic, even more preferably monocyclic, and the 5 to 8 membered ring may be a alicyclic systems or heteroalicyclic systems wherein the carbocyclic ring structure which may comprise at least one endocyclic double bond or at least one endocyclic triple bond wherein in the case of the heteroalicyclic systems optionally one or more of the carbon atoms are replaced by a heteroatom selected from O, N and S and wherein the alicyclic systems or heteroalicyclic systems are optionally substituted by one to five substituents R<sup>9</sup>;

In a preferred embodiment wherein R<sup>2</sup> and R<sup>3</sup> independently H, C<sub>1</sub>-C<sub>8</sub>alkyl, C<sub>2</sub>-C<sub>6</sub>alkenyl, C<sub>2</sub>-C<sub>6</sub>alkynyl, C<sub>3</sub>-C<sub>6</sub>cycloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>2</sub>-C<sub>6</sub>haloalkenyl, C<sub>2</sub>-C<sub>6</sub>haloalkynyl, C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkoxy, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkylthio, or substituted C<sub>1</sub>-C<sub>8</sub>alkyl, substituted C<sub>2</sub>-C<sub>6</sub>alkenyl, substituted C<sub>2</sub>-C<sub>6</sub>alkynyl, substituted C<sub>3</sub>-C<sub>6</sub>cycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkenyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkynyl, substituted C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkylthio, substituted C<sub>1</sub>-C<sub>6</sub>haloalkylthio, each substituted by one to five substituents R<sup>9</sup>, provided that only one of the substituents R<sup>2</sup> and R<sup>3</sup> is H; more preferred wherein R<sup>2</sup> and R<sup>3</sup> independently are H, C<sub>1</sub>-C<sub>8</sub>alkyl, C<sub>2</sub>-C<sub>6</sub>alkenyl, C<sub>2</sub>-C<sub>6</sub>alkynyl, C<sub>3</sub>-C<sub>6</sub>cycloalkyl, C<sub>1</sub>-

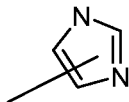
C<sub>6</sub>haloalkyl, C<sub>2</sub>-C<sub>6</sub>haloalkenyl, C<sub>2</sub>-C<sub>6</sub>haloalkynyl, C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkoxy, or substituted C<sub>1</sub>-C<sub>8</sub>alkyl, substituted C<sub>2</sub>-C<sub>6</sub>alkenyl, substituted C<sub>2</sub>-C<sub>6</sub>alkynyl, substituted C<sub>3</sub>-C<sub>6</sub>cycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkenyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkynyl, substituted C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkoxy each substituted by one to five substituents R<sup>9</sup>, provided that only one of the substituents R<sup>2</sup> and R<sup>3</sup> is H;

In an even more preferred embodiment wherein R<sup>2</sup> and R<sup>3</sup> independently C<sub>1</sub>-C<sub>8</sub>alkyl, C<sub>2</sub>-C<sub>6</sub>alkenyl, C<sub>2</sub>-C<sub>6</sub>alkynyl, C<sub>3</sub>-C<sub>6</sub>cycloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>2</sub>-C<sub>6</sub>haloalkenyl, C<sub>2</sub>-C<sub>6</sub>haloalkynyl, C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkoxy, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkylthio, or substituted C<sub>1</sub>-C<sub>8</sub>alkyl, substituted C<sub>2</sub>-C<sub>6</sub>alkenyl, substituted C<sub>2</sub>-C<sub>6</sub>alkynyl, substituted C<sub>3</sub>-C<sub>6</sub>cycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkenyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkynyl, substituted C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkylthio, substituted C<sub>1</sub>-C<sub>6</sub>haloalkylthio each substituted by one to five substituents R<sup>9</sup>; more preferred wherein R<sup>2</sup> and R<sup>3</sup> independently are H, C<sub>1</sub>-C<sub>8</sub>alkyl, C<sub>2</sub>-C<sub>6</sub>alkenyl, C<sub>2</sub>-C<sub>6</sub>alkynyl, C<sub>3</sub>-C<sub>6</sub>cycloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>2</sub>-C<sub>6</sub>haloalkenyl, C<sub>2</sub>-C<sub>6</sub>haloalkynyl, C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkoxy, or substituted C<sub>1</sub>-C<sub>8</sub>alkyl, substituted C<sub>2</sub>-C<sub>6</sub>alkenyl, substituted C<sub>2</sub>-C<sub>6</sub>alkynyl, substituted C<sub>3</sub>-C<sub>6</sub>cycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkenyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkynyl, substituted C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkoxy each substituted by one to five substituents R<sup>9</sup>;

In a preferred embodiment R<sup>4</sup> is a monocyclic heteroaryl, or a substituted monocyclic heteroaryl substituted by one to five substituents R<sup>8</sup>, which may be the same or different; more preferably R<sup>4</sup> is a monocyclic 5 to 6 membered heteroaryl comprising one to three heteroatoms selected from S, N more preferably the one to three heteroatoms are selected from N, which may be unsubstituted or substituted by one to five substituents R<sup>8</sup>, which may be the same or different; most preferably R<sup>4</sup> is

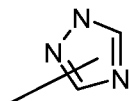


wherein X has the meaning of C-H or N each may be substituted by one substituent  $R^8$ ; In a further preferred embodiment  $R^4$  is



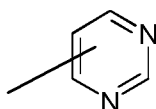
which may be substituted by one to three substituents  $R^8$ , which may be the same or different.

In a further preferred embodiment  $R^4$  is

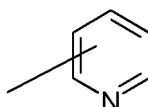


which may be substituted by one to three substituents  $R^8$ , which may be the same or different.

10 In a further preferred embodiment  $R^4$  is



which may be substituted by one to four substituents  $R^8$ , which may be the same or different. In a further preferred embodiment  $R^4$  is



15 which may be substituted by one to three substituents  $R^8$ , which may be the same or different.

In a further preferred embodiment  $R^4$  is pyrid-3-yl which may be substituted by one to three substituents  $R^8$ , which may be the same or different

Preferably the heteroaryl moieties of  $R^4$  are not further substituted.

20 In a preferred embodiment when  $R^6$  are not forming together with  $R^7$  a bond then  $R^5$  is H, OH;  $C_1$ - $C_6$ alkoxy or  $C_1$ - $C_6$ alkylcarbonyl, or substituted  $C_1$ - $C_6$ alkoxy or substituted  $C_1$ - $C_6$ alkylcarbonyl each substituted by one to five substituents  $R^9$ , which may be the same or different; more preferably when  $R^6$  are not forming together with  $R^7$  a bond then  $R^5$  is OH.

In a further preferred embodiment  $R^6$  is H and  $R^7$  is H, OH, halogen;  $C_1$ - $C_8$ alkyl,  $C_2$ - $C_6$ alkenyl,  $C_2$ - $C_6$ alkynyl,  $C_3$ - $C_6$ cycloalkyl,  $C_1$ - $C_6$ haloalkyl,  $C_2$ - $C_6$ haloalkenyl,  $C_2$ - $C_6$ haloalkynyl,  $C_3$ - $C_6$ halocycloalkyl,  $C_1$ - $C_6$ alkoxy,  $C_1$ - $C_6$ alkoxy- $C_1$ - $C_6$ alkyl,  $C_1$ - $C_6$ haloalkoxy,  $C_1$ - $C_6$ alkylthio,  $C_1$ - $C_6$ haloalkylthio, or substituted  $C_1$ - $C_8$ alkyl, substituted  $C_2$ -

C<sub>6</sub>alkenyl, substituted C<sub>2</sub>-C<sub>6</sub>alkynyl, substituted C<sub>3</sub>-C<sub>6</sub>cycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkenyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkynyl, substituted C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkoxy, C<sub>1</sub>-C<sub>6</sub>alkylthio, substituted C<sub>1</sub>-C<sub>6</sub>haloalkylthio each substituted by one to five substituents

5 R<sup>9</sup>, which may be the same or different; preferably R<sup>6</sup> is H and R<sup>7</sup> is H, OH, halogen; C<sub>1</sub>-C<sub>8</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, or substituted C<sub>1</sub>-C<sub>8</sub>alkyl, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy each substituted by one to five substituents R<sup>9</sup>, which may be the same or different; more preferably preferably R<sup>6</sup> is H and R<sup>7</sup> is H, OH, C<sub>1</sub>-C<sub>2</sub>alkyl, C<sub>1</sub>-C<sub>2</sub>alkoxy, or substituted C<sub>1</sub>-C<sub>2</sub>alkyl, substituted C<sub>1</sub>-C<sub>2</sub>alkoxy each substituted by one substituent R<sup>9</sup>, which may be the same or different; Even

10 more preferably R<sup>7</sup> is H, OH, OMe, Me, Et, F, Cl, Br, CF<sub>3</sub>, CN, OAc

In a further preferred embodiment R<sup>6</sup> forms together with R<sup>7</sup> a bond and R<sup>7</sup> forms together with R<sup>6</sup> a bond, respectively. Preferably if R<sup>6</sup> forms together with R<sup>7</sup> a bond then R<sup>5</sup> is H;

In a preferred embodiment each R<sup>8</sup> is independently selected from cyano, amino, nitro, hydroxy, halogen, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>haloalkyl, C<sub>2</sub>-C<sub>4</sub>alkenyl, C<sub>2</sub>-C<sub>4</sub>haloalkenyl, C<sub>2</sub>-

15 C<sub>4</sub>alkynyl, C<sub>2</sub>-C<sub>4</sub>haloalkynyl, C<sub>3</sub>-C<sub>6</sub>cycloalkyl, C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, C<sub>1</sub>-C<sub>3</sub>alkoxy, C<sub>1</sub>-C<sub>3</sub>haloalkoxy;

In a preferred embodiment each R<sup>9</sup> is independently halogen, cyano, nitro, hydroxy, C<sub>1</sub>-C<sub>3</sub>alkoxy, or C<sub>1</sub>-C<sub>3</sub>haloalkoxy, C<sub>1</sub>-C<sub>3</sub>alkylthio, C<sub>1</sub>-C<sub>3</sub>haloalkylthio, C<sub>1</sub>-C<sub>3</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>3</sub>haloalkylsulfinyl, C<sub>1</sub>-C<sub>3</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>3</sub>haloalkylsulfonyl, C<sub>1</sub>-C<sub>3</sub>alkylcarbonyl, C<sub>1</sub>-C<sub>8</sub>-C<sub>1</sub>-C<sub>3</sub> alkoxycarbonyl, aryl or aryl substituted by one to five R<sup>9</sup>, or heterocyclyl or heterocyclyl substituted by one to five R<sup>8</sup>; In a more preferred embodiment each R<sup>9</sup> is independently halogen, cyano, nitro, hydroxy, C<sub>1</sub>-C<sub>8</sub>alkoxy-, C<sub>1</sub>-C<sub>8</sub>haloalkoxy-, C<sub>1</sub>-C<sub>8</sub>alkylcarbonyl-, C<sub>1</sub>-C<sub>8</sub>alkoxycarbonyl-, aryl or aryl substituted by one to five R<sup>9</sup>, or

20 C<sub>1</sub>-C<sub>8</sub>alkylcarbonyl-, C<sub>1</sub>-C<sub>8</sub>alkoxycarbonyl-, aryl or aryl substituted by one to five R<sup>9</sup>, or heterocyclyl or heterocyclyl substituted by one to five R<sup>8</sup>;

25 heterocyclyl or heterocyclyl substituted by one to five R<sup>8</sup>;

In a preferred embodiment aryl signifies phenyl, naphthyl, anthracenyl, indenyl, anphenanthrenyl, with phenyl being preferred. The aryl groups may be substituted as mentioned above.

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In a preferred embodiment heteroaryl signifies a mono-, bi- or tricyclic, aromatic hydrocarbon, containing 5 to 14, preferably 5 to 10, more preferably 6 to 8, ring-atoms, including 1 to 6, preferably 1 to 4, heteroatoms independently selected from nitrogen,

oxygen and sulfur; preferably a mono- or bicyclic, aromatic hydrocarbon, containing 5 to 14, preferably 5 to 10, more preferably 6 to 8, ring-atoms, including 1 to 6, preferably 1 to 4, heteroatoms independently selected from nitrogen, oxygen and sulfur; more preferably pyridyl, pyrimidyl or 1,2,4-triazolyl which may be substituted as mentioned above

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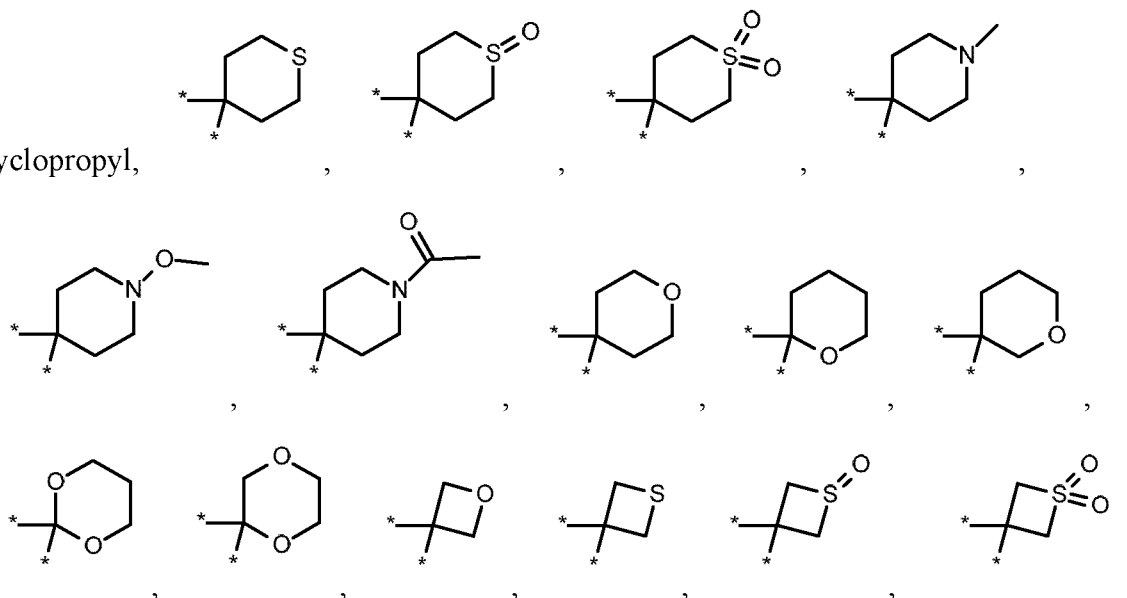
In a preferred embodiment heterocyclyl signifies heteroaryl, and in addition may be a saturated or partially unsaturated cyclic hydrocarbon containing from 3 to 10 ring-atoms up to 4 of which are heteroatoms selected from nitrogen, oxygen and sulfur, and may be optionally substituted

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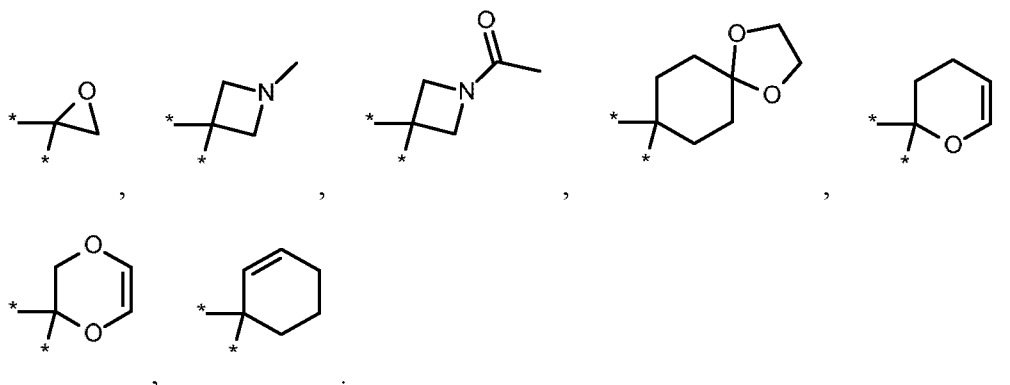
Preferably  $R^1$  signifies phenyl or phenyl substituted by halogen.

Preferably  $R^2$  signifies Me, Et, cyclohexyl, cyclopentyl, cyclobutyl, cyclopropyl, *tert*-butyl, *sec*-butyl, isoamyl, *iso*-propyl,  $CF_3$ , 4-chloro-phenyl, 3-chloro-phenyl, 2-chloro-phenyl, 2,4-  
 15 dichloro-phenyl, 2-thiophenyl, 5-chloro-2-thiophenyl, 5-bromo-2-thiophenyl, 4-fluoro-phenyl, 3-fluoro-phenyl, 2-fluoro-phenyl, 2,4-difluoro-phenyl, 2-fluoro-4-chloro-phenyl, 2-chloro-4-fluoro-phenyl, 4-trifluoromethyl-phenyl, 3-trifluoromethyl-phenyl, 2-trifluoromethyl-phenyl, 4-bromo-phenyl, phenyl, 4-chloro-benzyl, or if  $R^2$  and  $R^3$  together form cycle the cycle formed is selected from cyclohexyl, cyclopentyl, cyclobutyl,

20 cyclopropyl,



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- 5 Preferably  $R^3$  signifies H, Me Et.

In an preferred Embodiment (E1)

$R^1$  is aryl or aryl substituted by one to five substituents  $R^{8a}$ , which may be the same or different;

- 10  $R^2$  and  $R^3$  independently are aryl or heterocyclyl, or substituted aryl or substituted heterocyclyl each optionally substituted by one to five substituents  $R^8$

In an further preferred Embodiment (E2)

$R^1$  is phenyl or phenyl substituted by one to five substituents  $R^{8a}$ , which may be the same or different;

- 15  $R^2$  and  $R^3$  independently are aryl or heterocycl, or substituted aryl or substituted heterocyclyl each substituted by one to five substituents  $R^8$

In an further preferred Embodiment (E3)

- 20  $R^1$  is aryl or aryl substituted by one to four substituents  $R^{8a}$ , which may be the same or different;

$R^2$  and  $R^3$  form together a 3 to 14 membered ring which may be polycyclic, more preferably monocyclic or bicyclic, even more preferably monocyclic, and the 5 to 8 membered ring may be a alicyclic systems or heteroalicyclic systems wherein in the case of the heteroalicyclic systems optionally one or more of the carbon atoms are replaced by a heteroatom selected from O, N and S and wherein the alicyclic systems or systems are optionally substituted by one to five substituents  $R^9$ ;

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In an further preferred Embodiment (E4)

$R^1$  is phenyl or penyl substituted by one to four substituents  $R^{8a}$ , which may be the same or different;

- 5  $R^2$  and  $R^3$  form together a 3 to 14 membered ring which may be bicyclic, more preferably monocyclic or bicyclic, even more preferably monocyclic, and the 5 to 8 membered ring may be a alicyclic systems or heteroalicyclic systems wherein in the case of the heteroalicyclic systems optionally one or more of the carbon atoms are replaced by a heteroatom selected from O, N and S and wherein the alicyclic systems or heteroalicyclic systems are optionally
- 10 substituted by one to four substituents  $R^9$ ;

In an further preferred Embodiment (E5)

$R^1$  is aryl aryl substituted by one to four substituents  $R^8$ , which may be the same or different;

- $R^2$  and  $R^3$  independently H,  $C_1$ - $C_8$ alkyl,  $C_2$ - $C_6$ alkenyl,  $C_2$ - $C_6$ alkynyl,  $C_3$ - $C_6$ cycloalkyl,  $C_1$ - $C_6$ haloalkyl,  $C_2$ - $C_6$ haloalkenyl,  $C_2$ - $C_6$ haloalkynyl,  $C_3$ - $C_6$ halocycloalkyl,  $C_1$ - $C_6$ alkoxy,  $C_1$ - $C_6$ alkoxy- $C_1$ - $C_6$ alkyl,  $C_1$ - $C_6$ haloalkoxy,  $C_1$ - $C_6$ alkylthio,  $C_1$ - $C_6$ haloalkylthio, or substituted  $C_1$ - $C_8$ alkyl, substituted  $C_2$ - $C_6$ alkenyl, substituted  $C_2$ - $C_6$ alkynyl, substituted  $C_3$ - $C_6$ cycloalkyl, substituted  $C_1$ - $C_6$ haloalkyl, substituted  $C_2$ - $C_6$ haloalkenyl, substituted  $C_2$ - $C_6$ haloalkynyl, substituted  $C_3$ - $C_6$ halocycloalkyl, substituted  $C_1$ - $C_6$ alkoxy, substituted  $C_1$ - $C_6$ alkoxy- $C_1$ - $C_6$ alkyl, substituted  $C_1$ - $C_6$ haloalkoxy, substituted  $C_1$ - $C_6$ alkylthio, substituted  $C_1$ - $C_6$ haloalkylthio each substituted by one to five substituents  $R^9$ , provided that only one of the substituents  $R^2$  and  $R^3$  is H;
- 15
- 20

In an further preferred Embodiment (E6)

- 25  $R^1$  is phenyl or phenyl substituted by one to four substituents  $R^8$ , which may be the same or different;
- $R^2$  and  $R^3$  independently are H,  $C_1$ - $C_8$ alkyl,  $C_2$ - $C_6$ alkenyl,  $C_2$ - $C_6$ alkynyl,  $C_3$ - $C_6$ cycloalkyl,  $C_1$ - $C_6$ haloalkyl,  $C_2$ - $C_6$ haloalkenyl,  $C_2$ - $C_6$ haloalkynyl,  $C_3$ - $C_6$ halocycloalkyl,  $C_1$ - $C_6$ alkoxy,  $C_1$ - $C_6$ alkoxy- $C_1$ - $C_6$ alkyl,  $C_1$ - $C_6$ haloalkoxy, or substituted  $C_1$ - $C_8$ alkyl, substituted  $C_2$ - $C_6$ alkenyl,
- 30 substituted  $C_2$ - $C_6$ alkynyl, substituted  $C_3$ - $C_6$ cycloalkyl, substituted  $C_1$ - $C_6$ haloalkyl, substituted  $C_2$ - $C_6$ haloalkenyl, substituted  $C_2$ - $C_6$ haloalkynyl, substituted  $C_3$ - $C_6$ halocycloalkyl, substituted  $C_1$ - $C_6$ alkoxy, substituted  $C_1$ - $C_6$ alkoxy- $C_1$ - $C_6$ alkyl, substituted  $C_1$ - $C_6$ haloalkoxy

each substituted by one to five substituents  $R^9$ , provided that only one of the substituents  $R^2$  and  $R^3$  is H;

5 In a preferred embodiment (E1.A)  $R^4$  is heteroaryl, or heteroaryl substituted by one to five substituents  $R^8$ , which may be the same or different and the further substituents have the meaning given in the embodiment (E1).

In a preferred embodiment (E2.A)  $R^4$  is heteroaryl, or heteroaryl substituted by one to five substituents  $R^8$ , which may be the same or different and the further substituents have the meaning given in the embodiment (E2).

10 In a preferred embodiment (E3.A)  $R^4$  is heteroaryl, or heteroaryl substituted by one to five substituents  $R^8$ , which may be the same or different and the further substituents have the meaning given in the embodiment (E3).

In a preferred embodiment (E4.A)  $R^4$  is heteroaryl, or heteroaryl substituted by one to five substituents  $R^8$ , which may be the same or different and the further substituents have the meaning given in the embodiment (E4).

In a preferred embodiment (E5.A)  $R^4$  is heteroaryl, or heteroaryl substituted by one to five substituents  $R^8$ , which may be the same or different and the further substituents have the meaning given in the embodiment (E5).

15 In a preferred embodiment (E6.A)  $R^4$  is heteroaryl, each optionally substituted by one to five substituents  $R^8$ , which may be the same or different and the further substituents have the meaning given in the embodiment (E6).

25 In a preferred embodiments (E1.B)  $R^4$  is a monocyclic heteroaryl, or monocyclic heteroaryl substituted by one to five substituents  $R^8$ , which may be the same or different, which may be the same or different and the further substituents have the meaning given in the embodiment (E1).

In a preferred embodiment (E2.B) the substituents are as given in (E1.B) but the meaning of the substituents given in (E1) have the meaning of (E2).

30 In a preferred embodiment (E3.B) the substituents are as given in (E1.B) but the meaning of the substituents given in (E1) have the meaning of (E3).

In a preferred embodiment (E4.B) the substituents are as given in (E1.B) but the meaning of the substituents given in (E1) have the meaning of (E4).

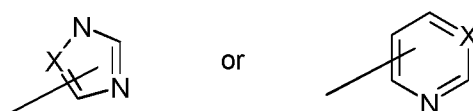
In a preferred embodiment (E5.B) the substituents are as given in (E1.B) but the meaning of the substituents given in (E1) have the meaning of (E5).

In a preferred embodiment (E6.B) the substituents are as given in (E1.B) but the meaning of the substituents given in (E1) have the meaning of (E6).

- 5 In a preferred embodiment (E7.B) the substituents are as given in (E1.B) but the meaning of the substituents given in (E1) have the meaning of (E7).

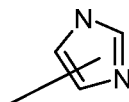
In a preferred embodiment (E8.B) the substituents are as given in (E1.B) but the meaning of the substituents given in (E1) have the meaning of (E8).

- 10 In the embodiment (E1.C) to (E8.C) have the same meaning as in the corresponding embodiments (E1.B) to (E8.B) but  $R^4$  is

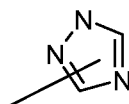


wherein X has the meaning of C-H or N each may be substituted substituted by one substituent  $R^8$

- 15 In the embodiment (E1.D) to (E8.D) have the same meaning as in the corresponding embodiments (E1.B) to (E8.B) but  $R^4$  is

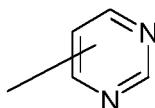


In the embodiment (E1.E) to (E8.E) have the same meaning as in the corresponding embodiments (E1.B) to (E8.B) but  $R^4$  is



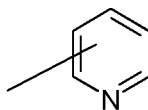
20

In the embodiment (E1.F) to (E8.F) have the same meaning as in the corresponding embodiments (E1.B) to (E8.B) but  $R^4$  is



- 25 In the embodiment (E1.G) to (E8.G) have the same meaning as in the corresponding embodiments (E1.B) to (E8.B) but  $R^4$  is

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In the embodiment (E1.H) to (E8.H) have the same meaning as in the corresponding embodiments (E1.B) to (E8.B) but  $R^4$  is pyrid-3-yl.

- 5 In a further preferred embodiment (E1.A.1) to (E8.H.1) the substituents have the meaning of the corresponding embodiments (E1.A) to (E8.H) and when  $R^6$  are not forming together with  $R^7$  a bond then  $R^5$  is H, OH;  $C_1$ - $C_6$ alkoxy or  $C_1$ - $C_6$ alkylcarbonyl, or substituted  $C_1$ - $C_6$ alkoxy or substituted  $C_1$ - $C_6$ alkylcarbonyl each substituted by one to five substituents  $R^9$ , which may be the same or different;  $R^6$  is H and  $R^7$  is H, OH, halogen;  $C_1$ - $C_8$ alkyl,  $C_2$ - $C_6$ alkenyl,  $C_2$ -  
 10  $C_6$ alkynyl,  $C_3$ - $C_6$ cycloalkyl,  $C_1$ - $C_6$ haloalkyl,  $C_2$ - $C_6$ haloalkenyl,  $C_2$ - $C_6$ haloalkynyl,  $C_3$ - $C_6$ halocycloalkyl,  $C_1$ - $C_6$ alkoxy,  $C_1$ - $C_6$ alkoxy- $C_1$ - $C_6$ alkyl,  $C_1$ - $C_6$ haloalkoxy,  $C_1$ - $C_6$ alkylthio,  $C_1$ - $C_6$ haloalkylthio, or substituted  $C_1$ - $C_8$ alkyl, substituted  $C_2$ - $C_6$ alkenyl, substituted  $C_2$ - $C_6$ alkynyl, substituted  $C_3$ - $C_6$ cycloalkyl, substituted  $C_1$ - $C_6$ haloalkyl, substituted  $C_2$ - $C_6$ haloalkenyl, substituted  $C_2$ - $C_6$ haloalkynyl, substituted  $C_3$ - $C_6$ halocycloalkyl, substituted  
 15  $C_1$ - $C_6$ alkoxy, substituted  $C_1$ - $C_6$ alkoxy- $C_1$ - $C_6$ alkyl, substituted  $C_1$ - $C_6$ haloalkoxy, substituted  $C_1$ - $C_6$ alkylthio, substituted  $C_1$ - $C_6$ haloalkylthio each substituted by one to five substituents  $R^9$ , which may be the same or different;

- In a further preferred embodiment (E1.A.2) to (E8.H.2) the substituents have the meaning of the corresponding embodiments (E1.A) to (E8.H) and when  $R^6$  are not forming together with  
 20  $R^7$  a bond then  $R^5$  is OH;  $R^6$  is H and  $R^7$  is H, OH, halogen;  $C_1$ - $C_8$ alkyl,  $C_1$ - $C_6$ alkoxy, or substituted  $C_8$ alkyl, substituted  $C_1$ - $C_6$ alkoxy each substituted by one to five substituents  $R^9$ , which may be the same or different; more preferably preferably  $R^6$  is H and  $R^7$  is H, OH,  $C_1$ - $C_2$ alkyl,  $C_1$ - $C_2$ alkoxy, or substituted  $C_1$ - $C_2$ alkyl, substituted  $C_1$ - $C_2$ alkoxy each substituted by one substituent  $R^9$ , which may be the same or different;

25

- In a further preferred embodiment (E1.A.1) to (E8.H.1) the substituents have the meaning of the corresponding embodiments (E1.A) to (E8.H) and  $R^5$  is H, OH;  $C_1$ - $C_6$ alkoxy or  $C_1$ - $C_6$ alkylcarbonyl, or  $C_1$ - $C_6$ alkoxy or  $C_1$ - $C_6$ alkylcarbonyl each substituted by one to five substituents  $R^9$ , which may be the same or different;  $R^6$  forms together with  $R^7$  a bond and  
 30  $R^7$  forms together with  $R^6$  a bond, respectively;

In a further preferred embodiment (E1.A.2) to (E8.H.2) the substituents have the meaning of the corresponding embodiments (E1.A) to (E8.H) and  $R^5$  is H, OH;  $R^6$  forms together with  $R^7$  a bond and  $R^7$  forms together with  $R^6$  a bond, respectively;

- 5 In a preferred embodiment (E1) to (E8.H.2) each  $R^8$  and  $R^{8a}$  is independently selected from cyano, amino, nitro, hydroxy, halogen,  $C_1$ - $C_4$ alkyl,  $C_1$ - $C_4$ haloalkyl,  $C_2$ - $C_4$ alkenyl,  $C_2$ - $C_4$ haloalkenyl,  $C_2$ - $C_4$ alkynyl,  $C_2$ - $C_4$ haloalkynyl,  $C_3$ - $C_6$ cycloalkyl,  $C_3$ - $C_6$ halocycloalkyl,  $C_1$ - $C_3$ alkoxy,  $C_1$ - $C_3$ haloalkoxy;

- 10 In a preferred embodiment (E1) to (E8.H.2) each  $R^9$  is independently halogen, cyano, nitro, hydroxy,  $C_1$ - $C_8$ alkoxy-,  $C_1$ - $C_8$ haloalkoxy-,  $C_1$ - $C_8$ alkylcarbonyl-,  $C_1$ - $C_8$ alkoxycarbonyl-, aryl or aryl substituted by one to five  $R^9$ , or heterocyclyl or heterocyclyl substituted by one to five  $R^8$ ;

- 15 In a more preferred embodiment (E1) to (E8.H.2) each  $R^8$  and  $R^{8a}$  is independently selected from cyano, amino, nitro, hydroxy, halogen,  $C_1$ - $C_4$ alkyl,  $C_1$ - $C_4$ haloalkyl,  $C_2$ - $C_4$ alkenyl,  $C_2$ - $C_4$ haloalkenyl,  $C_2$ - $C_4$ alkynyl,  $C_2$ - $C_4$ haloalkynyl,  $C_3$ - $C_6$ cycloalkyl,  $C_3$ - $C_6$ halocycloalkyl,  $C_1$ - $C_3$ alkoxy,  $C_1$ - $C_3$ haloalkoxy;

- 20 In a more preferred embodiment (E1) to (E8.H.2) each  $R^9$  is independently halogen, cyano, nitro, hydroxy,  $C_1$ - $C_8$ alkoxy-,  $C_1$ - $C_8$ haloalkoxy-,  $C_1$ - $C_8$ alkylcarbonyl-,  $C_1$ - $C_8$ alkoxycarbonyl-, aryl or aryl substituted by one to five  $R^9$ , or heterocyclyl or heterocyclyl substituted by one to five  $R^8$ ;

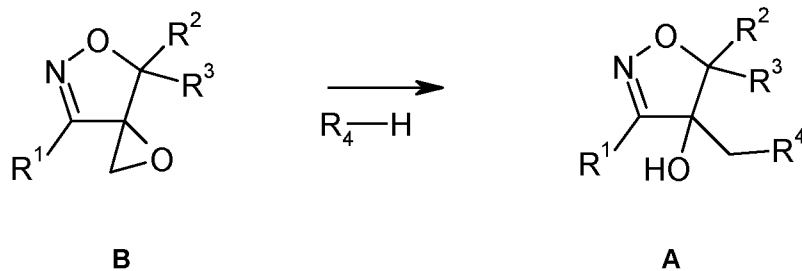
In a most preferred embodiment (E1) to (E8.H.2) each  $R^8$  and  $R^{8a}$  is independently selected from cyano, amino, nitro, hydroxy, halogen;

- 25 In a most preferred embodiment (E1) to (E8.H.2) each  $R^9$  is independently halogen, cyano, nitro, hydroxy;

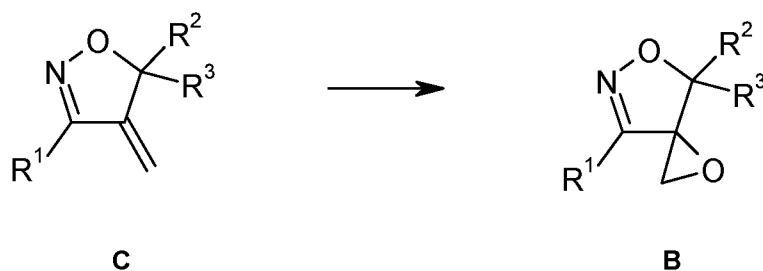
Compounds of formula (I) may be prepared as shown in the following schemes.

- 30 Compounds of formula (A) can be prepared by reacting compound of type (B) with a substrate  $R_4H$  (triazole, imidazole, tetrazole, benzoimidazole, etc) in the presence of base such as potassium carbonate in a suitable solvent such as dimethylformamide at a temperature between 0°C and 50°C. For example of analogous methods see: DE 94-4425949, WO2007107556, WO2008124922.

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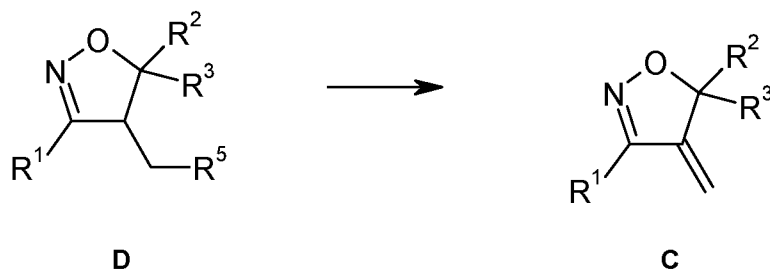


Compounds of formula (B) may be prepared by epoxidation of compounds of formula (C) in the presence of peracids like *m*-CPBA (*meta*-Chloroperoxybenzoic acid) in a suitable solvent such as dichloromethane at a temperature between 0°C and 100°C. For example of analogous method see D. Swern *Organic Peroxides*, 2, 355, Interscience Publishers, NY, 1971; D. I. Metelitsa *Russ. Chem. Rev.* **1972**, 41, 807; D. Swern *Org. React.* **1953**, 7, 378.



10

Compounds of formula (C) may be prepared by treated compounds of formula (D) where R5 is a suitable leaving group like a mesylate with a suitable base like DBU (1,8-Diazabicyclo[5.4.0]undec-7-en) in a suitable solvent like dichloromethane at a temperature between 0°C and 100°C:

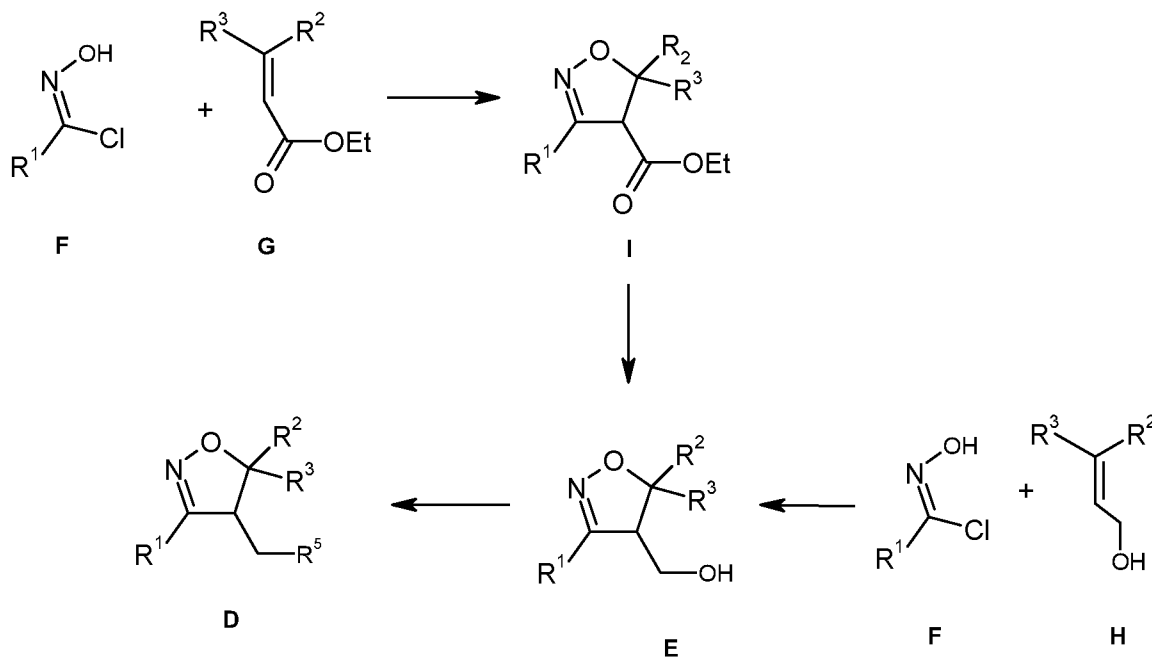


15

Compounds of formula (D) are known, or may be made from known compounds by known methods (Wada et al. *Tet. Lett.* **1992**, 33, 1357; Hori et al. *JACS* **1994**, 116, 2324). A typical sequence for the preparation of (D) will involve the preparation of the intermediate alcohol E through a cycloaddition step involving the chloro oxime (F) with appropriate alkene (H) in the presence of a base in an inert solvent at the temperature between -10°C and 100°C.

20

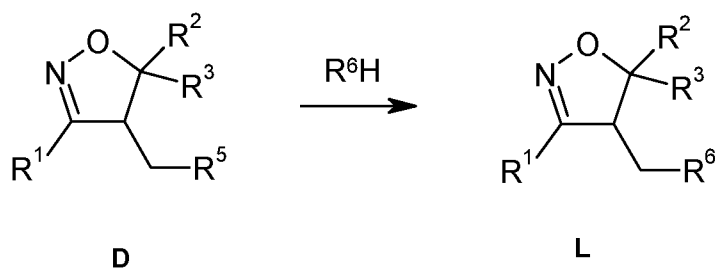
Alternatively, E can be prepared by reduction of the ester I in the presence of an appropriate reducing agent like lithium aluminium hydride in a suitable solvent like THF at the temperature between -10°C and 100°C. Compounds of formula (I) may be prepared by cycloaddition between the chloro oxime (F) and alkene (G) as depicted in the scheme below.



5

Substrate (D) may undergo directly to nucleophilic substitution to generate L in the presence of an appropriate base like potassium carbonate in a suitable solvent like dimethylsulfoxide at a temperature between 0°C and 50°C.

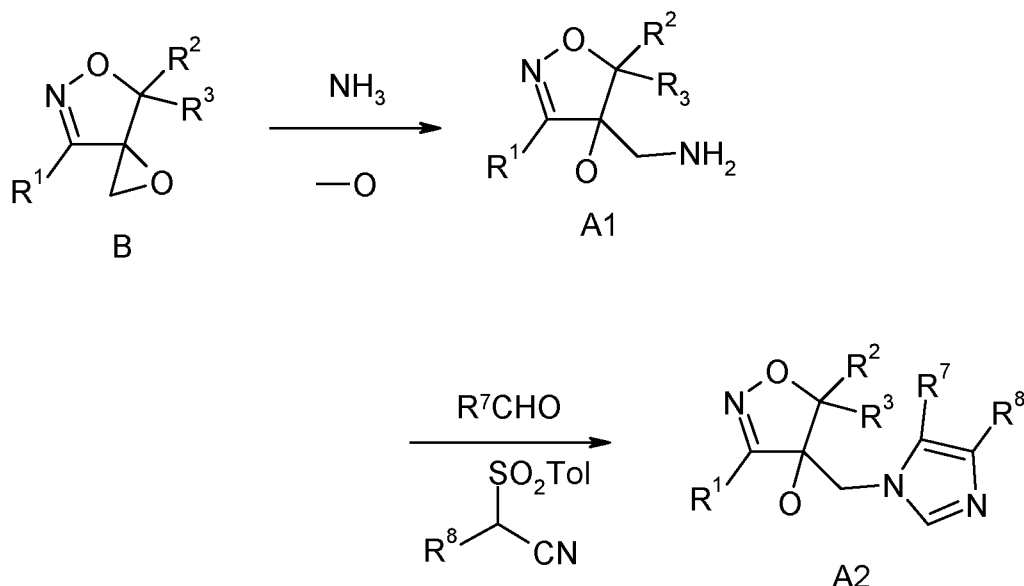
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15

In a further approach, compound of type (A) when R<sub>4</sub>= Imidazole, could be prepared by using the following scheme. The epoxide (**B**) can be reacted with ammonia to form (**A1**) that could then undergo to the Van Leusen synthesis by cycloaddition with aldehyde R<sub>7</sub> and tosylmethyl isocyanides (TosMIC) to give the desired product **A2**. For example of analogous methods see: Van Leusen et al. *JOC* **1977**, 42, 1153; Olsen et al. *JOC* **2000**, 65, 1516; Gracias et al. *Org. Lett.* **2005**, 7, 3183.

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The reactions to give compounds of formula (I) are advantageously carried out in aprotic  
 5 inert organic solvents. Such solvents are hydrocarbons such as benzene, toluene, xylene or cyclohexane, chlorinated hydrocarbons such as dichloromethane, trichloromethane, tetrachloromethane or chlorobenzene, ethers such as diethyl ether, ethylene glycol dimethyl ether, diethylene glycol dimethyl ether, tetrahydrofuran or dioxane, nitriles such as acetonitrile or propionitrile, amides such as N,N-dimethylformamide, diethylformamide or  
 10 N-methylpyrrolidinone. The reaction temperatures are advantageously between -20°C and +120°C. In general, the reactions are slightly exothermic and, as a rule, they can be carried out at ambient temperature. To shorten the reaction time, or else to start the reaction, the mixture may be heated briefly to the boiling point of the reaction mixture. The reaction times can also be shortened by adding a few drops of base as reaction catalyst. Suitable bases are,  
 15 in particular, tertiary amines such as trimethylamine, triethylamine, quinuclidine, 1,4-diazabicyclo[2.2.2]octane, 1,5-diazabicyclo[4.3.0]non-5-ene or 1,8-diazabicyclo[5.4.0]undec-7-ene. However, inorganic bases such as hydrides, e.g. sodium hydride or calcium hydride, hydroxides, e.g. sodium hydroxide or potassium hydroxide, carbonates such as sodium carbonate and potassium carbonate, or hydrogen carbonates such as  
 20 potassium hydrogen carbonate and sodium hydrogen carbonate may also be used as bases. The bases can be used as such or else with catalytic amounts of a phase-transfer catalyst, for example a crown ether, in particular 18-crown-6, or a tetraalkylammonium salt.

The compounds of formula (I) and, where appropriate, the tautomers thereof, can, if appropriate, also be obtained in the form of hydrates and/or include other solvents, for example those which may have been used for the crystallization of compounds which are present in solid form.

5

It has now been found that the compounds of formula (I) according to the invention have, for practical purposes, a very advantageous spectrum of activities for protecting useful plants against diseases that are caused by phytopathogenic microorganisms, such as fungi, bacteria or viruses.

10

The invention therefore also relates to a method of controlling or preventing infestation of useful plants by phytopathogenic microorganisms, wherein a compound of formula (I) is applied as active ingredient to the plants, to parts thereof or the locus thereof. The compounds of formula (I) according to the invention are distinguished by excellent activity at low rates of application, by being well tolerated by plants and by being environmentally safe. They have very useful curative, preventive and systemic properties and are used for protecting numerous useful plants. The compounds of formula (I) can be used to inhibit or destroy the diseases that occur on plants or parts of plants (fruit, blossoms, leaves, stems, tubers, roots) of different crops of useful plants, while at the same time protecting also those parts of the plants that grow later e.g. from phytopathogenic microorganisms.

15

It is also possible to use compounds of formula (I) as dressing agents for the treatment of plant propagation material, in particular of seeds (fruit, tubers, grains) and plant cuttings (e.g. rice), for the protection against fungal infections as well as against phytopathogenic fungi occurring in the soil.

20

Furthermore, the compounds of formula (I) according to the invention may be used for controlling fungi in related areas, for example in the protection of technical materials, including wood and wood related technical products, in food storage or in hygiene management.

25

The compounds of formula (I) are, for example, effective against the phytopathogenic fungi of the following classes: Fungi imperfecti (e.g. Botrytis, Pyricularia, Helminthosporium,

Fusarium, Septoria, Cercospora and Alternaria) and Basidiomycetes (e.g. Rhizoctonia, Hemileia, Puccinia). Additionally, they are also effective against the Ascomycetes classes (e.g. Venturia and Erysiphe, Podosphaera, Monilinia, Uncinula) and of the Oomycetes classes (e.g. Phytophthora, Pythium, Plasmopara). Furthermore, the novel compounds of formula (I) are effective against phytopathogenic bacteria and viruses (e.g. against Xanthomonas spp, Pseudomonas spp, Erwinia amylovora as well as against the tobacco mosaic virus). The compounds of formula (I) are also effective against Asian soybean rust (Phakopsora pachyrhizi).

Within the scope of the invention, useful plants to be protected typically comprise the following species of plants: cereal (wheat, barley, rye, oat, rice, maize, sorghum and related species); beet (sugar beet and fodder beet); pomes, drupes and soft fruit (apples, pears, plums, peaches, almonds, cherries, strawberries, raspberries and blackberries); leguminous plants (beans, lentils, peas, soybeans); oil plants (rape, mustard, poppy, olives, sunflowers, coconut, castor oil plants, cocoa beans, groundnuts); cucumber plants (pumpkins, cucumbers, melons); fibre plants (cotton, flax, hemp, jute); citrus fruit (oranges, lemons, grapefruit, mandarins); vegetables (spinach, lettuce, asparagus, cabbages, carrots, onions, tomatoes, potatoes, paprika); lauraceae (avocado, cinnamomum, camphor) or plants such as tobacco, nuts, coffee, eggplants, sugar cane, tea, pepper, vines, hops, bananas and natural rubber plants, as well as ornamentals.

The term "useful plants" is to be understood as including also useful plants that have been rendered tolerant to herbicides like bromoxynil or classes of herbicides (such as, for example, HPPD inhibitors, ALS inhibitors, for example primisulfuron, prosulfuron and trifloxysulfuron, EPSPS (5-enol-pyrovyl-shikimate-3-phosphate-synthase) inhibitors, GS (glutamine synthetase) inhibitors or PPO (protoporphyrinogen-oxidase) inhibitors) as a result of conventional methods of breeding or genetic engineering. An example of a crop that has been rendered tolerant to imidazolinones, e.g. imazamox, by conventional methods of breeding (mutagenesis) is Clearfield® summer rape (Canola). Examples of crops that have been rendered tolerant to herbicides or classes of herbicides by genetic engineering methods include glyphosate- and glufosinate-resistant maize varieties commercially available under the trade names RoundupReady®, Herculex I® and LibertyLink®.

The term "useful plants" is to be understood as including also useful plants which have been so transformed by the use of recombinant DNA techniques that they are capable of synthesising one or more selectively acting toxins, such as are known, for example, from toxin-producing bacteria, especially those of the genus *Bacillus*.

5

Examples of such plants are: YieldGard® (maize variety that expresses a CryIA(b) toxin); YieldGard Rootworm® (maize variety that expresses a CryIIIB(b1) toxin); YieldGard Plus® (maize variety that expresses a CryIA(b) and a CryIIIB(b1) toxin); Starlink® (maize variety that expresses a Cry9(c) toxin); Herculex I® (maize variety that expresses a CryIF(a2) toxin and the enzyme phosphinothricine N-acetyltransferase (PAT) to achieve tolerance to the herbicide glufosinate ammonium); NuCOTN 33B® (cotton variety that expresses a CryIA(c) toxin); Bollgard I® (cotton variety that expresses a CryIA(c) toxin); Bollgard II® (cotton variety that expresses a CryIA(c) and a CryIIA(b) toxin); VIPCOT® (cotton variety that expresses a VIP toxin); NewLeaf® (potato variety that expresses a CryIIIA toxin); NatureGard® Agrisure® GT Advantage (GA21 glyphosate-tolerant trait), Agrisure® CB Advantage (Bt11 corn borer (CB) trait), Agrisure® RW (corn rootworm trait) and Protecta®.

The term "useful plants" is to be understood as including also useful plants which have been so transformed by the use of recombinant DNA techniques that they are capable of synthesising antipathogenic substances having a selective action, such as, for example, the so-called "pathogenesis-related proteins" (PRPs, see e.g. EP-A-0 392 225). Examples of such antipathogenic substances and transgenic plants capable of synthesising such antipathogenic substances are known, for example, from EP-A-0 392 225, WO 95/33818, and EP-A-0 353 191. The methods of producing such transgenic plants are generally known to the person skilled in the art and are described, for example, in the publications mentioned above.

The term "locus" of a useful plant as used herein is intended to embrace the place on which the useful plants are growing, where the plant propagation materials of the useful plants are sown or where the plant propagation materials of the useful plants will be placed into the soil. An example for such a locus is a field, on which crop plants are growing.

The term "plant propagation material" is understood to denote generative parts of the plant, such as seeds, which can be used for the multiplication of the latter, and vegetative material,

such as cuttings or tubers, for example potatoes. There may be mentioned for example seeds (in the strict sense), roots, fruits, tubers, bulbs, rhizomes and parts of plants. Germinated plants and young plants which are to be transplanted after germination or after emergence from the soil, may also be mentioned. These young plants may be protected before  
5 transplantation by a total or partial treatment by immersion. Preferably "plant propagation material" is understood to denote seeds.

The compounds of formula I can be used in unmodified form or, preferably, together with carriers and adjuvants conventionally employed in the art of formulation.

10

The term "plant" or "useful plants" as used herein includes seedlings, bushes and trees. The term "crops" is to be understood as including also crop plants which have been so transformed by the use of recombinant DNA techniques that they are capable of synthesising one or more selectively acting toxins, such as are known, for example, from toxin-producing  
15 bacteria, especially those of the genus *Bacillus*.

Toxins that can be expressed by such transgenic plants include, for example, insecticidal proteins, from *Bacillus cereus* or *Bacillus popilliae*; or insecticidal proteins from *Bacillus thuringiensis*, such as  $\delta$ -endotoxins, e.g. Cry1Ab, Cry1Ac, Cry1F, Cry1Fa2, Cry2Ab, Cry3A, Cry3Bb1 or Cry9C, or vegetative insecticidal proteins (Vip), e.g. Vip1, Vip2, Vip3 or Vip3A; or insecticidal proteins of bacteria colonising nematodes, for example *Photorhabdus* spp. or *Xenorhabdus* spp., such as *Photorhabdus luminescens*, *Xenorhabdus nematophilus*; toxins produced by animals, such as scorpion toxins, arachnid toxins, wasp toxins and other insect-specific neurotoxins; toxins produced by fungi, such as *Streptomyces* toxins, plant  
25 lectins, such as pea lectins, barley lectins or snowdrop lectins; agglutinins; proteinase inhibitors, such as trypsin inhibitors, serine protease inhibitors, patatin, cystatin, papain inhibitors; ribosome-inactivating proteins (RIP), such as ricin, maize-RIP, abrin, luffin, saporin or bryodin; steroid metabolism enzymes, such as 3-hydroxysteroidoxidase, ecdysteroid-UDP-glycosyl-transferase, cholesterol oxidases, ecdysone inhibitors, HMG-COA-reductase, ion channel blockers, such as blockers of sodium or calcium channels,  
30 juvenile hormone esterase, diuretic hormone receptors, stilbene synthase, bibenzyl synthase, chitinases and glucanases.

In the context of the present invention there are to be understood by  $\delta$ -endotoxins, for example Cry1Ab, Cry1Ac, Cry1F, Cry1Fa2, Cry2Ab, Cry3A, Cry3Bb1 or Cry9C, or vegetative insecticidal proteins (Vip), for example Vip1, Vip2, Vip3 or Vip3A, expressly also hybrid toxins, truncated toxins and modified toxins. Hybrid toxins are produced  
5 recombinantly by a new combination of different domains of those proteins (see, for example, WO 02/15701). Truncated toxins, for example a truncated Cry1Ab, are known. In the case of modified toxins, one or more amino acids of the naturally occurring toxin are replaced. In such amino acid replacements, preferably non-naturally present protease recognition sequences are inserted into the toxin, such as, for example, in the case of  
10 Cry3A055, a cathepsin-G-recognition sequence is inserted into a Cry3A toxin (see WO 03/018810).

Examples of such toxins or transgenic plants capable of synthesising such toxins are disclosed, for example, in EP-A-0 374 753, WO 93/07278, WO 95/34656, EP-A-0 427 529,  
15 EP-A-451 878 and WO 03/052073.

The processes for the preparation of such transgenic plants are generally known to the person skilled in the art and are described, for example, in the publications mentioned above. CryI-type deoxyribonucleic acids and their preparation are known, for example, from WO  
20 95/34656, EP-A-0 367 474, EP-A-0 401 979 and WO 90/13651.

The toxin contained in the transgenic plants imparts to the plants tolerance to harmful insects. Such insects can occur in any taxonomic group of insects, but are especially commonly found in the beetles (Coleoptera), two-winged insects (Diptera) and butterflies  
25 (Lepidoptera).

Transgenic plants containing one or more genes that code for an insecticidal resistance and express one or more toxins are known and some of them are commercially available. Examples of such plants are: YieldGard® (maize variety that expresses a Cry1Ab toxin); YieldGard Rootworm® (maize variety that expresses a Cry3Bb1 toxin); YieldGard Plus®  
30 (maize variety that expresses a Cry1Ab and a Cry3Bb1 toxin); Starlink® (maize variety that expresses a Cry9C toxin); Herculex I® (maize variety that expresses a Cry1Fa2 toxin and the enzyme phosphinothricine N-acetyltransferase (PAT) to achieve tolerance to the herbicide glufosinate ammonium); NuCOTN 33B® (cotton variety that expresses a Cry1Ac toxin);

Bollgard I® (cotton variety that expresses a Cry1Ac toxin); Bollgard II® (cotton variety that expresses a Cry1Ac and a Cry2Ab toxin); VipCot® (cotton variety that expresses a Vip3A and a Cry1Ab toxin); NewLeaf® (potato variety that expresses a Cry3A toxin); NatureGard®; Agrisure® GT Advantage (GA21 glyphosate-tolerant trait), Agrisure® CB Advantage (Bt11 corn borer (CB) trait) and Protecta®.

Further examples of such transgenic crops are:

1. **Bt11 Maize** from Syngenta Seeds SAS, Chemin de l'Hobit 27, F-31 790 St. Sauveur, France, registration number C/FR/96/05/10. Genetically modified *Zea mays* which has been rendered resistant to attack by the European corn borer (*Ostrinia nubilalis* and *Sesamia nonagrioides*) by transgenic expression of a truncated Cry1Ab toxin. Bt11 maize also transgenically expresses the enzyme PAT to achieve tolerance to the herbicide glufosinate ammonium.
2. **Bt176 Maize** from Syngenta Seeds SAS, Chemin de l'Hobit 27, F-31 790 St. Sauveur, France, registration number C/FR/96/05/10. Genetically modified *Zea mays* which has been rendered resistant to attack by the European corn borer (*Ostrinia nubilalis* and *Sesamia nonagrioides*) by transgenic expression of a Cry1Ab toxin. Bt176 maize also transgenically expresses the enzyme PAT to achieve tolerance to the herbicide glufosinate ammonium.
3. **MIR604 Maize** from Syngenta Seeds SAS, Chemin de l'Hobit 27, F-31 790 St. Sauveur, France, registration number C/FR/96/05/10. Maize which has been rendered insect-resistant by transgenic expression of a modified Cry3A toxin. This toxin is Cry3A055 modified by insertion of a cathepsin-G-protease recognition sequence. The preparation of such transgenic maize plants is described in WO 03/018810.
4. **MON 863 Maize** from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/DE/02/9. MON 863 expresses a Cry3Bb1 toxin and has resistance to certain Coleoptera insects.
5. **IPC 531 Cotton** from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/ES/96/02.

6. **1507 Maize** from Pioneer Overseas Corporation, Avenue Tedesco, 7 B-1160 Brussels, Belgium, registration number C/NL/00/10. Genetically modified maize for the expression of the protein Cry1F for achieving resistance to certain Lepidoptera insects and of the PAT protein for achieving tolerance to the herbicide glufosinate ammonium.

7. **NK603 × MON 810 Maize** from Monsanto Europe S.A. 270-272 Avenue de Tervuren, B-1150 Brussels, Belgium, registration number C/GB/02/M3/03. Consists of conventionally bred hybrid maize varieties by crossing the genetically modified varieties NK603 and MON 810. NK603 × MON 810 Maize transgenically expresses the protein CP4 EPSPS, obtained from *Agrobacterium sp.* strain CP4, which imparts tolerance to the herbicide Roundup® (contains glyphosate), and also a Cry1Ab toxin obtained from *Bacillus thuringiensis subsp. kurstaki* which brings about tolerance to certain Lepidoptera, include the European corn borer.

Crops are also to be understood as being those which have been rendered resistant to harmful insects by genetic engineering methods, for example Bt maize (resistant to European corn borer), Bt cotton (resistant to cotton boll weevil) and also Bt potatoes (resistant to Colorado beetle). Examples of Bt maize are the Bt 176 maize hybrids of NK® (Syngenta Seeds). Examples of transgenic plants comprising one or more genes that code for an insecticidal resistance and express one or more toxins are KnockOut® (maize), Yield Gard® (maize), NuCOTIN33B® (cotton), Bollgard® (cotton), NewLeaf® (potatoes), NatureGard® and Protexcta®.

Plant crops or seed material thereof can be both resistant to herbicides and, at the same time, resistant to insect feeding ("stacked" transgenic events). For example, seed can have the ability to express an insecticidal Cry3 protein while at the same time being tolerant to glyphosate.

Crops are also to be understood as being those which are obtained by conventional methods of breeding or genetic engineering and contain so-called output traits (e.g. improved storage stability, higher nutritional value and improved flavour).

In order to apply a compound of formula (I) as an insecticide, acaricide, nematocide or molluscicide to a pest, a locus of pest, or to a plant susceptible to attack by a pest, a compound of formula (I) is usually formulated into a composition which includes, in addition to the compound of formula (I), a suitable inert diluent or carrier and, optionally, a surface

active agent (SFA). SFAs are chemicals which are able to modify the properties of an interface (for example, liquid/solid, liquid/air or liquid/liquid interfaces) by lowering the interfacial tension and thereby leading to changes in other properties (for example dispersion, emulsification and wetting). It is preferred that all compositions (both solid and liquid formulations) comprise, by weight, 0.0001 to 95%, more preferably 1 to 85%, for example 5 to 60%, of a compound of formula (I). The composition is generally used for the control of pests such that a compound of formula (I) is applied at a rate of from 0.1g to 10kg per hectare, preferably from 1g to 6kg per hectare, more preferably from 1g to 1kg per hectare.

When used in a seed dressing, a compound of formula (I) is used at a rate of 0.0001g to 10g (for example 0.001g or 0.05g), preferably 0.005g to 10g, more preferably 0.005g to 4g, per kilogram of seed.

In another aspect the present invention provides an insecticidal, acaricidal, nematocidal or molluscicidal composition comprising an insecticidally, acaricidally, nematocidally or molluscicidally effective amount of a compound of formula (I) and a suitable carrier or diluent therefor. The composition is preferably an insecticidal, acaricidal, nematocidal or molluscicidal composition.

The compositions can be chosen from a number of formulation types, including dustable powders (DP), soluble powders (SP), water soluble granules (SG), water dispersible granules (WG), wettable powders (WP), granules (GR) (slow or fast release), soluble concentrates (SL), oil miscible liquids (OL), ultra low volume liquids (UL), emulsifiable concentrates (EC), dispersible concentrates (DC), emulsions (both oil in water (EW) and water in oil (EO)), micro-emulsions (ME), suspension concentrates (SC), aerosols, fogging/smoke formulations, capsule suspensions (CS) and seed treatment formulations. The formulation type chosen in any instance will depend upon the particular purpose envisaged and the physical, chemical and biological properties of the compound of formula (I).

Dustable powders (DP) may be prepared by mixing a compound of formula (I) with one or more solid diluents (for example natural clays, kaolin, pyrophyllite, bentonite, alumina, montmorillonite, kieselguhr, chalk, diatomaceous earths, calcium phosphates, calcium and magnesium carbonates, sulfur, lime, flours, talc and other organic and inorganic solid carriers) and mechanically grinding the mixture to a fine powder.

Soluble powders (SP) may be prepared by mixing a compound of formula (I) with one or more water-soluble inorganic salts (such as sodium bicarbonate, sodium carbonate or magnesium sulfate) or one or more water-soluble organic solids (such as a polysaccharide)

and, optionally, one or more wetting agents, one or more dispersing agents or a mixture of said agents to improve water dispersibility/solubility. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water soluble granules (SG).

Wettable powders (WP) may be prepared by mixing a compound of formula (I) with  
5 one or more solid diluents or carriers, one or more wetting agents and, preferably, one or more dispersing agents and, optionally, one or more suspending agents to facilitate the dispersion in liquids. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water dispersible granules (WG).

Granules (GR) may be formed either by granulating a mixture of a compound of  
10 formula (I) and one or more powdered solid diluents or carriers, or from pre-formed blank granules by absorbing a compound of formula (I) (or a solution thereof, in a suitable agent) in a porous granular material (such as pumice, attapulgite clays, fuller's earth, kieselguhr, diatomaceous earths or ground corn cobs) or by adsorbing a compound of formula (I) (or a solution thereof, in a suitable agent) on to a hard core material (such as sands, silicates,  
15 mineral carbonates, sulfates or phosphates) and drying if necessary. Agents which are commonly used to aid absorption or adsorption include solvents (such as aliphatic and aromatic petroleum solvents, alcohols, ethers, ketones and esters) and sticking agents (such as polyvinyl acetates, polyvinyl alcohols, dextrans, sugars and vegetable oils). One or more other additives may also be included in granules (for example an emulsifying agent, wetting  
20 agent or dispersing agent).

Dispersible Concentrates (DC) may be prepared by dissolving a compound of formula (I) in water or an organic solvent, such as a ketone, alcohol or glycol ether. These solutions may contain a surface active agent (for example to improve water dilution or prevent crystallisation in a spray tank).

25 Emulsifiable concentrates (EC) or oil-in-water emulsions (EW) may be prepared by dissolving a compound of formula (I) in an organic solvent (optionally containing one or more wetting agents, one or more emulsifying agents or a mixture of said agents). Suitable organic solvents for use in ECs include aromatic hydrocarbons (such as alkylbenzenes or alkylnaphthalenes, exemplified by SOLVESSO 100, SOLVESSO 150 and SOLVESSO 200;  
30 SOLVESSO is a Registered Trade Mark), ketones (such as cyclohexanone or methylcyclohexanone) and alcohols (such as benzyl alcohol, furfuryl alcohol or butanol), N-alkylpyrrolidones (such as N-methylpyrrolidone or N-octylpyrrolidone), dimethyl amides of fatty acids (such as C<sub>8</sub>-C<sub>10</sub> fatty acid dimethylamide) and chlorinated hydrocarbons. An

EC product may spontaneously emulsify on addition to water, to produce an emulsion with sufficient stability to allow spray application through appropriate equipment. Preparation of an EW involves obtaining a compound of formula (I) either as a liquid (if it is not a liquid at room temperature, it may be melted at a reasonable temperature, typically below 70°C) or in solution (by dissolving it in an appropriate solvent) and then emulsifying the resultant liquid or solution into water containing one or more SFAs, under high shear, to produce an emulsion. Suitable solvents for use in EWs include vegetable oils, chlorinated hydrocarbons (such as chlorobenzenes), aromatic solvents (such as alkylbenzenes or alkylnaphthalenes) and other appropriate organic solvents which have a low solubility in water.

Microemulsions (ME) may be prepared by mixing water with a blend of one or more solvents with one or more SFAs, to produce spontaneously a thermodynamically stable isotropic liquid formulation. A compound of formula (I) is present initially in either the water or the solvent/SFA blend. Suitable solvents for use in MEs include those hereinbefore described for use in ECs or in EWs. An ME may be either an oil-in-water or a water-in-oil system (which system is present may be determined by conductivity measurements) and may be suitable for mixing water-soluble and oil-soluble pesticides in the same formulation. An ME is suitable for dilution into water, either remaining as a microemulsion or forming a conventional oil-in-water emulsion.

Suspension concentrates (SC) may comprise aqueous or non-aqueous suspensions of finely divided insoluble solid particles of a compound of formula (I). SCs may be prepared by ball or bead milling the solid compound of formula (I) in a suitable medium, optionally with one or more dispersing agents, to produce a fine particle suspension of the compound. One or more wetting agents may be included in the composition and a suspending agent may be included to reduce the rate at which the particles settle. Alternatively, a compound of formula (I) may be dry milled and added to water, containing agents hereinbefore described, to produce the desired end product.

Aerosol formulations comprise a compound of formula (I) and a suitable propellant (for example *n*-butane). A compound of formula (I) may also be dissolved or dispersed in a suitable medium (for example water or a water miscible liquid, such as *n*-propanol) to provide compositions for use in non-pressurised, hand-actuated spray pumps.

A compound of formula (I) may be mixed in the dry state with a pyrotechnic mixture to form a composition suitable for generating, in an enclosed space, a smoke containing the compound.

Capsule suspensions (CS) may be prepared in a manner similar to the preparation of EW formulations but with an additional polymerisation stage such that an aqueous dispersion of oil droplets is obtained, in which each oil droplet is encapsulated by a polymeric shell and contains a compound of formula (I) and, optionally, a carrier or diluent therefore. The polymeric shell may be produced by either an interfacial polycondensation reaction or by a coacervation procedure. The compositions may provide for controlled release of the compound of formula (I) and they may be used for seed treatment. A compound of formula (I) may also be formulated in a biodegradable polymeric matrix to provide a slow, controlled release of the compound.

A composition may include one or more additives to improve the biological performance of the composition (for example by improving wetting, retention or distribution on surfaces; resistance to rain on treated surfaces; or uptake or mobility of a compound of formula (I)). Such additives include surface active agents, spray additives based on oils, for example certain mineral oils or natural plant oils (such as soy bean and rape seed oil), and blends of these with other bio-enhancing adjuvants (ingredients which may aid or modify the action of a compound of formula (I)).

A compound of formula (I) may also be formulated for use as a seed treatment, for example as a powder composition, including a powder for dry seed treatment (DS), a water soluble powder (SS) or a water dispersible powder for slurry treatment (WS), or as a liquid composition, including a flowable concentrate (FS), a solution (LS) or a capsule suspension (CS). The preparations of DS, SS, WS, FS and LS compositions are very similar to those of, respectively, DP, SP, WP, SC and DC compositions described above. Compositions for treating seed may include an agent for assisting the adhesion of the composition to the seed (for example a mineral oil or a film-forming barrier).

Wetting agents, dispersing agents and emulsifying agents may be surface SFAs of the cationic, anionic, amphoteric or non-ionic type.

Suitable SFAs of the cationic type include quaternary ammonium compounds (for example cetyltrimethyl ammonium bromide), imidazolines and amine salts.

Suitable anionic SFAs include alkali metals salts of fatty acids, salts of aliphatic monoesters of sulfuric acid (for example sodium lauryl sulfate), salts of sulfonated aromatic compounds (for example sodium dodecylbenzenesulfonate, calcium dodecylbenzenesulfonate, butyl naphthalene sulfonate and mixtures of sodium di-*isopropyl*- and tri-*isopropyl*-naphthalene sulfonates), ether sulfates, alcohol ether sulfates

(for example sodium laureth-3-sulfate), ether carboxylates (for example sodium laureth-3-carboxylate), phosphate esters (products from the reaction between one or more fatty alcohols and phosphoric acid (predominately mono-esters) or phosphorus pentoxide (predominately di-esters), for example the reaction between lauryl alcohol and  
5 tetraphosphoric acid; additionally these products may be ethoxylated), sulfosuccinamates, paraffin or olefine sulfonates, taurates and lignosulfonates.

Suitable SFAs of the amphoteric type include betaines, propionates and glycines.

Suitable SFAs of the non-ionic type include condensation products of alkylene oxides, such as ethylene oxide, propylene oxide, butylene oxide or mixtures thereof, with  
10 fatty alcohols (such as oleyl alcohol or cetyl alcohol) or with alkylphenols (such as octylphenol, nonylphenol or octylcresol); partial esters derived from long chain fatty acids or hexitol anhydrides; condensation products of said partial esters with ethylene oxide; block polymers (comprising ethylene oxide and propylene oxide); alkanolamides; simple esters (for example fatty acid polyethylene glycol esters); amine oxides (for example lauryl dimethyl  
15 amine oxide); and lecithins.

Suitable suspending agents include hydrophilic colloids (such as polysaccharides, polyvinylpyrrolidone or sodium carboxymethylcellulose) and swelling clays (such as bentonite or attapulgate).

A compound of formula (I) may be applied by any of the known means of applying  
20 pesticidal compounds. For example, it may be applied, formulated or unformulated, to the pests or to a locus of the pests (such as a habitat of the pests, or a growing plant liable to infestation by the pests) or to any part of the plant, including the foliage, stems, branches or roots, to the seed before it is planted or to other media in which plants are growing or are to be planted (such as soil surrounding the roots, the soil generally, paddy water or hydroponic  
25 culture systems), directly or it may be sprayed on, dusted on, applied by dipping, applied as a cream or paste formulation, applied as a vapour or applied through distribution or incorporation of a composition (such as a granular composition or a composition packed in a water-soluble bag) in soil or an aqueous environment.

The compounds of formula (I) or compositions, comprising a compound of formula  
30 (I) as active ingredient and an inert carrier, can be applied to the locus of the plant or plant to be treated, simultaneously or in succession with further compounds. These further compounds can be e.g. fertilizers or micronutrient donors or other preparations which influence the growth of plants. They can also be selective herbicides as well as insecticides,

fungicides, bactericides, nematocides, molluscicides or mixtures of several of these preparations, if desired together with further carriers, surfactants or application promoting adjuvants customarily employed in the art of formulation.

5           A preferred method of applying a compound of formula (I), or a composition, comprising a compound of formula (I) as active ingredient and an inert carrier, is foliar application. The frequency of application and the rate of application will depend on the risk of infestation by the corresponding pathogen. However, the compounds of formula (I) can also penetrate the plant through the roots via the soil (systemic action) by drenching the  
10       locus of the plant with a liquid formulation, or by applying the compounds in solid form to the soil, e.g. in granular form (soil application). In crops of water rice such granulates can be applied to the flooded rice field. The compounds of formula (I) may also be applied to seeds (coating) by impregnating the seeds or tubers either with a liquid formulation of the fungicide or coating them with a solid formulation.

15           A formulation, i.e. a composition comprising the compound of formula (I) and, if desired, a solid or liquid adjuvant, is prepared in a known manner, typically by intimately mixing and/or grinding the compound with extenders, for example solvents, solid carriers and, optionally, surface-active compounds (surfactants).

          The agrochemical formulations will usually contain from 0.1 to 99% by weight, preferably from 0.1 to 95% by weight, of the compound of formula (I), 99.9 to 1% by  
20       weight, preferably 99.8 to 5% by weight, of a solid or liquid adjuvant, and from 0 to 25% by weight, preferably from 0.1 to 25% by weight, of a surfactant.

          Whereas it is preferred to formulate commercial products as concentrates, the end user will normally use dilute formulations.

25           Advantageous rates of application are normally from 5g to 2kg of active ingredient (a.i.) per hectare (ha), preferably from 10g to 1kg a.i./ha, most preferably from 20g to 600g a.i./ha. When used as seed drenching agent, convenient rates of application are from 10mg to 1g of active substance per kg of seeds. The rate of application for the desired action can be determined by experiments. It depends for example on the type of action, the developmental  
30       stage of the useful plant, and on the application (location, timing, application method) and can, owing to these parameters, vary within wide limits.

A compound of formula (I) may also be injected into plants or sprayed onto vegetation using electrodynamic spraying techniques or other low volume methods, or applied by land or aerial irrigation systems.

Compositions for use as aqueous preparations (aqueous solutions or dispersions) are generally supplied in the form of a concentrate containing a high proportion of the active ingredient, the concentrate being added to water before use. These concentrates, which may include DCs, SCs, ECs, EWs, MEs, SGs, SPs, WPs, WGs and CSs, are often required to withstand storage for prolonged periods and, after such storage, to be capable of addition to water to form aqueous preparations which remain homogeneous for a sufficient time to enable them to be applied by conventional spray equipment. Such aqueous preparations may contain varying amounts of a compound of formula (I) (for example 0.0001 to 10%, by weight) depending upon the purpose for which they are to be used.

A compound of formula (I) may be used in mixtures with fertilisers (for example nitrogen-, potassium- or phosphorus-containing fertilisers). Suitable formulation types include granules of fertiliser. The mixtures preferably contain up to 25% by weight of the compound of formula (I).

The invention therefore also provides a fertiliser composition comprising a fertiliser and a compound of formula (I).

The compositions of this invention may contain other compounds having biological activity, for example micronutrients or compounds having fungicidal activity or which possess plant growth regulating, herbicidal, insecticidal, nematicidal or acaricidal activity.

The compounds of formula (I), or a pharmaceutical salt thereof, described above may also have an advantageous spectrum of activity for the treatment and/or prevention of microbial infection in an animal. "Animal" can be any animal, for example, insect, mammal, reptile, fish, amphibian, preferably mammal, most preferably human. "Treatment" means the use on an animal which has microbial infection in order to reduce or slow or stop the increase or spread of the infection, or to reduce the infection or to cure the infection. "Prevention" means the use on an animal which has no apparent signs of microbial infection in order to prevent any future infection, or to reduce or slow the increase or spread of any future infection.

According to the present invention there is provided the use of a compound of formula (I) in the manufacture of a medicament for use in the treatment and/or prevention of microbial

infection in an animal. There is also provided the use of a compound of formula (I) as a pharmaceutical agent. There is also provided the use of a compound of formula (I) as an antimicrobial agent in the treatment of an animal. According to the present invention there is also provided a pharmaceutical composition comprising as an active ingredient a compound of formula (I), or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable diluent or carrier. This composition can be used for the treatment and/or prevention of antimicrobial infection in an animal. This pharmaceutical composition can be in a form suitable for oral administration, such as tablet, lozenges, hard capsules, aqueous suspensions, oily suspensions, emulsions dispersible powders, dispersible granules, syrups and elixirs. Alternatively this pharmaceutical composition can be in a form suitable for topical application, such as a spray, a cream or lotion. Alternatively this pharmaceutical composition can be in a form suitable for parenteral administration, for example injection. Alternatively this pharmaceutical composition can be in inhalable form, such as an aerosol spray.

The compounds of formula (I) may be effective against various microbial species able to cause a microbial infection in an animal. Examples of such microbial species are those causing brown rust such as *Puccinia recondita*, those that are causing leaf blotch such as *Septoria tritici*, those that are causing gray mould such as *Botrytis cinerea*, those causing Aspergillois such as *Aspergillus fumigatus*, *A. flavus*, *A. terreus*, *A. nidulans* and *A. niger*; those causing Blastomycosis such as *Blastomyces dermatitidis*; those causing Candidiasis such as *Candida albicans*, *C. glabrata*, *C. tropicalis*, *C. parapsilosis*, *C. krusei* and *C. lusitaniae*; those causing Coccidioidomycosis such as *Coccidioides immitis*; those causing Cryptococcosis such as *Cryptococcus neoformans*; those causing Histoplasmosis such as *Histoplasma capsulatum* and those causing Zygomycosis such as *Absidia corymbifera*, *Rhizomucor pusillus* and *Rhizopus arrhizus*. Further examples are *Fusarium* Spp such as *Fusarium oxysporum* and *Fusarium solani* and *Scedosporium* Spp such as *Scedosporium apiospermum* and *Scedosporium prolificans*. Still further examples are *Microsporium* Spp, *Trichophyton* Spp, *Epidermophyton* Spp, *Mucor* Spp, *Sporothrix* Spp, *Phialophora* Spp, *Cladosporium* Spp, *Petriellidium* spp, *Paracoccidioides* Spp and *Histoplasma* Spp.

It has been found that the use of a further, other compound or compositions (e.g. a further, other biocidally active ingredients or compositions) in combination with the compound of

formula (I) surprisingly and substantially enhance the effectiveness of the latter against fungi, and vice versa. Additionally, the method of the invention is effective against a wider spectrum of such fungi that can be combated with the active ingredients of this method, when used solely.

5

The method of the invention comprises applying to the useful plants, the locus thereof or propagation material thereof in admixture or separately, a synergistically effective aggregate amount of a compound of formula (I) and a further, other compound or compositions (e.g. a further, other biocidally active ingredients or compositions).

10

Some of said combinations according to the invention have a systemic action and can be used as foliar, soil and seed treatment fungicides.

With the combinations according to the invention it is possible to inhibit or destroy the  
15 phytopathogenic microorganisms which occur in plants or in parts of plants (fruit, blossoms, leaves, stems, tubers, roots) in different useful plants, while at the same time the parts of plants which grow later are also protected from attack by phytopathogenic microorganisms.

The combinations of the present invention are of particular interest for controlling a large  
20 number of fungi in various useful plants or their seeds, especially in field crops such as potatoes, tobacco and sugarbeets, and wheat, rye, barley, oats, rice, maize, lawns, cotton, soybeans, oil seed rape, pulse crops, sunflower, coffee, sugarcane, fruit and ornamentals in horticulture and viticulture, in vegetables such as cucumbers, beans and cucurbits.

25 However, besides the actual synergistic action with respect to fungicidal activity, the compositions according to the invention can also have further surprising advantageous properties. Examples of such advantageous properties that may be mentioned are: more advantageous degradability; improved toxicological and/or ecotoxicological behaviour; or improved characteristics of the useful plants including: emergence, crop yields, more  
30 developed root system, tillering increase, increase in plant height, bigger leaf blade, less dead basal leaves, stronger tillers, greener leaf colour, less fertilizers needed, less seeds needed, more productive tillers, earlier flowering, early grain maturity, less plant verse (lodging), increased shoot growth, improved plant vigor, and early germination.

Some compositions according to the invention have a systemic action and can be used as foliar, soil and seed treatment fungicides.

5           The compound of formula I (herein after abbreviated by the term "TX" thus means a compound encompassed by the compounds of formula I, or preferably the term "TX" refers to a compound selected from the Tables 1 and 2 and Tables TA01.01 to TA09.11 and Tables TB01.01 to TB01.11) may be the sole active ingredient of the composition or it may be admixed with one or more additional active ingredients such as a pesticide (insect, acarine,  
10 mollusc and nematode pesticide), fungicide, synergist, herbicide, safener or plant growth regulator where appropriate. The activity of the compositions according to the invention may thereby be broadened considerably and may have surprising advantages which can also be described, in a wider sense, as synergistic activity. An additional active ingredient may: provide a composition having a broader spectrum of activity or increased persistence at a  
15 locus; provide a composition demonstrating better plant/crop tolerance by reducing phytotoxicity; provide a composition controlling insects in their different development stages; synergise the activity or complement the activity (for example by increasing the speed of effect or overcoming repellency) of the TX; or help to overcome or prevent the development of resistance to individual components. The particular additional active  
20 ingredient will depend upon the intended utility of the composition. Examples of suitable pesticides include the following:

a) Pyrethroids, such as permethrin, cypermethrin, fenvalerate, esfenvalerate, deltamethrin, cyhalothrin (in particular lambda-cyhalothrin), bifenthrin, fenpropathrin, cyfluthrin, tefluthrin, fish safe pyrethroids (for example ethofenprox), natural pyrethrin,  
25 tetramethrin, s-bioallethrin, fenfluthrin, prallethrin or 5-benzyl-3-furylmethyl-(E)-(1R,3S)-2,2-dimethyl- 3-(2-oxothiolan-3-ylidenemethyl)cyclopropane carboxylate;

b) Organophosphates, such as, profenofos, sulprofos, acephate, methyl parathion, azinphos-methyl, demeton-s-methyl, heptenophos, thiometon, fenamiphos, monocrotophos,  
30 profenofos, triazophos, methamidophos, dimethoate, phosphamidon, malathion, chlorpyrifos, phosalone, terbufos, fensulfothion, fonofos, phorate, phoxim, pirimiphos-methyl, pirimiphos-ethyl, fenitrothion, fosthiazate or diazinon;

- c) Carbamates (including aryl carbamates), such as pirimicarb, triazamate, cloethocarb, carbofuran, furathiocarb, ethiofencarb, aldicarb, thiofurox, carbosulfan, bendiocarb, fenobucarb, propoxur, methomyl or oxamyl;
- d) Benzoyl ureas, such as diflubenzuron, triflumuron, hexaflumuron, flufenoxuron or chlorfluazuron;
- e) Organic tin compounds, such as cyhexatin, fenbutatin oxide or azocyclotin;
- f) Pyrazoles, such as tebufenpyrad and fenpyroximate;
- g) Macrolides, such as avermectins or milbemycins, for example abamectin, emamectin benzoate, ivermectin, milbemycin, or spinosad, spinetoram or azadirachtin;
- h) Hormones or pheromones;
- i) Organochlorine compounds such as endosulfan, benzene hexachloride, DDT, chlordane or dieldrin;
- j) Amidines, such as chlordimeform or amitraz;
- k) Fumigant agents, such as chloropicrin, dichloropropane, methyl bromide or metam;
- l) Neonicotinoid compounds such as imidacloprid, thiacloprid, acetamiprid, clothianidin, nitenpyram, dinotefuran or thiamethoxam;
- m) Diacylhydrazines, such as tebufenozide, chromafenozide or methoxyfenozide;
- n) Diphenyl ethers, such as diofenolan or pyriproxifen;
- o) Indoxacarb;
- p) Chlorfenapyr;
- q) Pymetrozine or pyrifluquinazon;
- r) Spirotetramat, spiroticlofen or spiromesifen;
- s) Flubendiamide, chloranthraliniprole, or cyanthraniliprole;
- t) Cyenopyrafen or cyflumetofen; or
- u) Sulfoxaflor.

In addition to the major chemical classes of pesticide listed above, other pesticides having particular targets may be employed in the composition, if appropriate for the intended utility of the composition. For instance, selective insecticides for particular crops, for example stemborer specific insecticides (such as cartap) or hopper specific insecticides (such as buprofezin) for use in rice may be employed. Alternatively insecticides or acaricides specific for particular insect species/stages may also be included in the compositions (for example acaricidal ovo-larvicides, such as clofentezine, flubenzimine, hexythiazox or tetradifon;

acaricidal motilicides, such as dicofol or propargite; acaricides, such as bromopropylate or chlorobenzilate; or growth regulators, such as hydramethylnon, cyromazine, methoprene, chlorfluazuron or diflubenzuron).

- 5 The following mixtures of the compounds of formula I with active ingredients are preferred, wherein, preferably, the term "TX" refers to a compound covered by the compounds of formula I or preferably the term "TX" refers to a compound selected from the Tables 1 to 12, A, B and C and the following List shows specific examples of mixtures comprising the component TX and the component (B):
- 10 an adjuvant selected from the group of substances consisting of petroleum oils (alternative name) (628) + TX,  
an acaricide selected from the group of substances consisting of 1,1-bis(4-chlorophenyl)-2-ethoxyethanol (IUPAC name) (910) + TX, 2,4-dichlorophenyl benzenesulfonate (IUPAC/Chemical Abstracts name) (1059) + TX, 2-fluoro-*N*-methyl-*N*-1-naphthylacetamide
- 15 (IUPAC name) (1295) + TX, 4-chlorophenyl phenyl sulfone (IUPAC name) (981) + TX, abamectin (1) + TX, acequinocyl (3) + TX, acetoprole [CCN] + TX, acrinathrin (9) + TX, aldicarb (16) + TX, aldoxycarb (863) + TX, alpha-cypermethrin (202) + TX, amidithion (870) + TX, amidoflumet [CCN] + TX, amidothioate (872) + TX, amiton (875) + TX, amiton hydrogen oxalate (875) + TX, amitraz (24) + TX, aramite (881) + TX, arsenous oxide
- 20 (882) + TX, AVI 382 (compound code) + TX, AZ 60541 (compound code) + TX, azinphos-ethyl (44) + TX, azinphos-methyl (45) + TX, azobenzene (IUPAC name) (888) + TX, azocyclotin (46) + TX, azothoate (889) + TX, benomyl (62) + TX, benoxafos (alternative name) [CCN] + TX, benzoximate (71) + TX, benzyl benzoate (IUPAC name) [CCN] + TX, bifenazate (74) + TX, bifenthrin (76) + TX, binapacryl (907) + TX, brofenvalerate
- 25 (alternative name) + TX, bromocyclen (918) + TX, bromophos (920) + TX, bromophos-ethyl (921) + TX, bromopropylate (94) + TX, buprofezin (99) + TX, butocarboxim (103) + TX, butoxycarboxim (104) + TX, butylpyridaben (alternative name) + TX, calcium polysulfide (IUPAC name) (111) + TX, camphechlor (941) + TX, carbanolate (943) + TX, carbaryl (115) + TX, carbofuran (118) + TX, carbophenothion (947) + TX, CGA 50'439
- 30 (development code) (125) + TX, chinomethionat (126) + TX, chlorbenside (959) + TX, chlordimeform (964) + TX, chlordimeform hydrochloride (964) + TX, chlorfenapyr (130) + TX, chlorfenethol (968) + TX, chlorfenson (970) + TX, chlorfensulphide (971) + TX, chlorfenvinphos (131) + TX, chlorobenzilate (975) + TX, chloromebuform (977) + TX,

chloromethiuron (978) + TX, chloropropylate (983) + TX, chlorpyrifos (145) + TX,  
chlorpyrifos-methyl (146) + TX, chlorthiophos (994) + TX, cinerin I (696) + TX, cinerin II  
(696) + TX, cinerins (696) + TX, clofentezine (158) + TX, closantel (alternative name)  
[CCN] + TX, coumaphos (174) + TX, crotamiton (alternative name) [CCN] + TX,  
5 crotoxyphos (1010) + TX, cufraneb (1013) + TX, cyanthoate (1020) + TX, cyflumetofen  
(CAS Reg. No.: 400882-07-7) + TX, cyhalothrin (196) + TX, cyhexatin (199) + TX,  
cypermethrin (201) + TX, DCPM (1032) + TX, DDT (219) + TX, demephion (1037) + TX,  
demephion-O (1037) + TX, demephion-S (1037) + TX, demeton (1038) + TX, demeton-  
methyl (224) + TX, demeton-O (1038) + TX, demeton-O-methyl (224) + TX, demeton-S  
10 (1038) + TX, demeton-S-methyl (224) + TX, demeton-S-methylsulphon (1039) + TX,  
diafenthiuron (226) + TX, dialifos (1042) + TX, diazinon (227) + TX, dichlofluanid (230) +  
TX, dichlorvos (236) + TX, dicliphos (alternative name) + TX, dicofol (242) + TX,  
dicrotophos (243) + TX, dienochlor (1071) + TX, dimefox (1081) + TX, dimethoate (262) +  
TX, dinactin (alternative name) (653) + TX, dinex (1089) + TX, dinex-diclexine (1089) +  
15 TX, dinobuton (269) + TX, dinocap (270) + TX, dinocap-4 [CCN] + TX, dinocap-6 [CCN] +  
TX, dinocton (1090) + TX, dinopenton (1092) + TX, dinosulfon (1097) + TX, dinoterbon  
(1098) + TX, dioxathion (1102) + TX, diphenyl sulfone (IUPAC name) (1103) + TX,  
disulfiram (alternative name) [CCN] + TX, disulfoton (278) + TX, DNOC (282) + TX,  
dofenapyn (1113) + TX, doramectin (alternative name) [CCN] + TX, endosulfan (294) +  
20 TX, endothion (1121) + TX, EPN (297) + TX, eprinomectin (alternative name) [CCN] + TX,  
ethion (309) + TX, ethoate-methyl (1134) + TX, etoxazole (320) + TX, etrimfos (1142) +  
TX, fenazaflor (1147) + TX, fenazaquin (328) + TX, fenbutatin oxide (330) + TX,  
fenothiocarb (337) + TX, fenpropathrin (342) + TX, fenpyrad (alternative name) + TX, fen-  
pyroximate (345) + TX, fenson (1157) + TX, fentrifanil (1161) + TX, fenvalerate (349) +  
25 TX, fipronil (354) + TX, fluacrypyrim (360) + TX, fluazuron (1166) + TX, flubenzimine  
(1167) + TX, flucycloxuron (366) + TX, flucythrinate (367) + TX, fluenetil (1169) + TX,  
flufenoxuron (370) + TX, flumethrin (372) + TX, fluorbenside (1174) + TX, fluvalinate  
(1184) + TX, FMC 1137 (development code) (1185) + TX, formetanate (405) + TX,  
formetanate hydrochloride (405) + TX, formothion (1192) + TX, formparanate (1193) + TX,  
30 gamma-HCH (430) + TX, glyodin (1205) + TX, halfenprox (424) + TX, heptenophos (432)  
+ TX, hexadecyl cyclopropanecarboxylate (IUPAC/Chemical Abstracts name) (1216) + TX,  
hexythiazox (441) + TX, iodomethane (IUPAC name) (542) + TX, isocarbophos (alternative  
name) (473) + TX, isopropyl *O*-(methoxyaminothiophosphoryl)salicylate (IUPAC name)

(473) + TX, ivermectin (alternative name) [CCN] + TX, jasmolin I (696) + TX, jasmolin II (696) + TX, jodfenphos (1248) + TX, lindane (430) + TX, lufenuron (490) + TX, malathion (492) + TX, malonoben (1254) + TX, mecarbarn (502) + TX, mephosfolan (1261) + TX, mesulfen (alternative name) [CCN] + TX, methacrifos (1266) + TX, methamidophos (527) + TX, methidathion (529) + TX, methiocarb (530) + TX, methomyl (531) + TX, methyl bromide (537) + TX, metolcarb (550) + TX, mevinphos (556) + TX, mexacarbate (1290) + TX, milbemectin (557) + TX, milbemycin oxime (alternative name) [CCN] + TX, mipafox (1293) + TX, monocrotophos (561) + TX, morphothion (1300) + TX, moxidectin (alternative name) [CCN] + TX, naled (567) + TX, NC-184 (compound code) + TX, NC-512 (compound code) + TX, nifluridide (1309) + TX, nikkomycins (alternative name) [CCN] + TX, nitrilacarb (1313) + TX, nitrilacarb 1:1 zinc chloride complex (1313) + TX, NNI-0101 (compound code) + TX, NNI-0250 (compound code) + TX, omethoate (594) + TX, oxamyl (602) + TX, oxydeprofos (1324) + TX, oxydisulfoton (1325) + TX, pp'-DDT (219) + TX, parathion (615) + TX, permethrin (626) + TX, petroleum oils (alternative name) (628) + TX, phenkapton (1330) + TX, phenthoate (631) + TX, phorate (636) + TX, phosalone (637) + TX, phosfolan (1338) + TX, phosmet (638) + TX, phosphamidon (639) + TX, phoxim (642) + TX, pirimiphos-methyl (652) + TX, polychloroterpenes (traditional name) (1347) + TX, polynactins (alternative name) (653) + TX, proclonol (1350) + TX, profenofos (662) + TX, promacyl (1354) + TX, propargite (671) + TX, propetamphos (673) + TX, propoxur (678) + TX, prothidathion (1360) + TX, prothoate (1362) + TX, pyrethrin I (696) + TX, pyrethrin II (696) + TX, pyrethrins (696) + TX, pyridaben (699) + TX, pyridaphenthion (701) + TX, pyrimidifen (706) + TX, pyrimitate (1370) + TX, quinalphos (711) + TX, quintiofos (1381) + TX, R-1492 (development code) (1382) + TX, RA-17 (development code) (1383) + TX, rotenone (722) + TX, schradan (1389) + TX, sebufos (alternative name) + TX, selamectin (alternative name) [CCN] + TX, SI-0009 (compound code) + TX, sophamide (1402) + TX, spirodiclofen (738) + TX, spiromesifen (739) + TX, SSI-121 (development code) (1404) + TX, sulfiram (alternative name) [CCN] + TX, sulfluramid (750) + TX, sulfotep (753) + TX, sulphur (754) + TX, SZI-121 (development code) (757) + TX, tau-fluvalinate (398) + TX, tebufenpyrad (763) + TX, TEPP (1417) + TX, terbam (alternative name) + TX, tetrachlorvinphos (777) + TX, tetradifon (786) + TX, tetranactin (alternative name) (653) + TX, tetrasul (1425) + TX, thiafenox (alternative name) + TX, thiocarboxime (1431) + TX, thiofanox (800) + TX, thiometon (801) + TX, thioquinox (1436) + TX, thuringiensin (alternative name) [CCN] + TX, triamiphos (1441) + TX, triarathene (1443) + TX,

triazophos (820) + TX, triazuron (alternative name) + TX, trichlorfon (824) + TX, trifenofos (1455) + TX, trinactin (alternative name) (653) + TX, vamidothion (847) + TX, vaniliprole [CCN] and YI-5302 (compound code) + TX,

an algicide selected from the group of substances consisting of bethoxazin [CCN] + TX,

5 copper dioctanoate (IUPAC name) (170) + TX, copper sulfate (172) + TX, cybutryne [CCN] + TX, dichlone (1052) + TX, dichlorophen (232) + TX, endothal (295) + TX, fentin (347) + TX, hydrated lime [CCN] + TX, nabam (566) + TX, quinoclamine (714) + TX, quinonamid (1379) + TX, simazine (730) + TX, triphenyltin acetate (IUPAC name) (347) and triphenyltin hydroxide (IUPAC name) (347) + TX,

10 an anthelmintic selected from the group of substances consisting of abamectin (1) + TX, crufoamate (1011) + TX, doramectin (alternative name) [CCN] + TX, emamectin (291) + TX, emamectin benzoate (291) + TX, eprinomectin (alternative name) [CCN] + TX, ivermectin (alternative name) [CCN] + TX, milbemycin oxime (alternative name) [CCN] + TX, moxidectin (alternative name) [CCN] + TX, piperazine [CCN] + TX, selamectin (alternative name) [CCN] + TX, spinosad (737) and thiophanate (1435) + TX,

an avicide selected from the group of substances consisting of chloralose (127) + TX, endrin (1122) + TX, fenthion (346) + TX, pyridin-4-amine (IUPAC name) (23) and strychnine (745) + TX,

a bactericide selected from the group of substances consisting of 1-hydroxy-1*H*-pyridine-2-thione (IUPAC name) (1222) + TX, 4-(quinoxalin-2-ylamino)benzenesulfonamide (IUPAC name) (748) + TX, 8-hydroxyquinoline sulfate (446) + TX, bronopol (97) + TX, copper dioctanoate (IUPAC name) (170) + TX, copper hydroxide (IUPAC name) (169) + TX, cresol [CCN] + TX, dichlorophen (232) + TX, dipyrithione (1105) + TX, dodicin (1112) + TX, fenaminosulf (1144) + TX, formaldehyde (404) + TX, hydrargaphen (alternative name) [CCN] + TX, kasugamycin (483) + TX, kasugamycin hydrochloride hydrate (483) + TX, nickel bis(dimethyldithiocarbamate) (IUPAC name) (1308) + TX, nitrapyrin (580) + TX, octhilinone (590) + TX, oxolinic acid (606) + TX, oxytetracycline (611) + TX, potassium hydroxyquinoline sulfate (446) + TX, probenazole (658) + TX, streptomycin (744) + TX, streptomycin sesquisulfate (744) + TX, tecloftalam (766) + TX, and thiomersal (alternative name) [CCN] + TX,

30 a biological agent selected from the group of substances consisting of *Adoxophyes orana* GV (alternative name) (12) + TX, *Agrobacterium radiobacter* (alternative name) (13) + TX, *Amblyseius* spp. (alternative name) (19) + TX, *Anagrapha falcifera* NPV (alternative name)

- (28) + TX, *Anagrus atomus* (alternative name) (29) + TX, *Aphelinus abdominalis* (alternative name) (33) + TX, *Aphidius colemani* (alternative name) (34) + TX, *Aphidoletes aphidimyza* (alternative name) (35) + TX, *Autographa californica* NPV (alternative name) (38) + TX, *Bacillus firmus* (alternative name) (48) + TX, *Bacillus sphaericus* Neide (scientific name) (49) + TX, *Bacillus thuringiensis* Berliner (scientific name) (51) + TX, *Bacillus thuringiensis* subsp. *aizawai* (scientific name) (51) + TX, *Bacillus thuringiensis* subsp. *israelensis* (scientific name) (51) + TX, *Bacillus thuringiensis* subsp. *japonensis* (scientific name) (51) + TX, *Bacillus thuringiensis* subsp. *kurstaki* (scientific name) (51) + TX, *Bacillus thuringiensis* subsp. *tenebrionis* (scientific name) (51) + TX, *Beauveria bassiana* (alternative name) (53) + TX, *Beauveria brongniartii* (alternative name) (54) + TX, *Chrysoperla carnea* (alternative name) (151) + TX, *Cryptolaemus montrouzieri* (alternative name) (178) + TX, *Cydia pomonella* GV (alternative name) (191) + TX, *Dacnusa sibirica* (alternative name) (212) + TX, *Diglyphus isaea* (alternative name) (254) + TX, *Encarsia formosa* (scientific name) (293) + TX, *Eretmocerus eremicus* (alternative name) (300) + TX, *Helicoverpa zea* NPV (alternative name) (431) + TX, *Heterorhabditis bacteriophora* and *H. megidis* (alternative name) (433) + TX, *Hippodamia convergens* (alternative name) (442) + TX, *Leptomastix dactylopii* (alternative name) (488) + TX, *Macrolophus caliginosus* (alternative name) (491) + TX, *Mamestra brassicae* NPV (alternative name) (494) + TX, *Metaphycus helvolus* (alternative name) (522) + TX, *Metarhizium anisopliae* var. *acridum* (scientific name) (523) + TX, *Metarhizium anisopliae* var. *anisopliae* (scientific name) (523) + TX, *Neodiprion sertifer* NPV and *N. lecontei* NPV (alternative name) (575) + TX, *Orius* spp. (alternative name) (596) + TX, *Paecilomyces fumosoroseus* (alternative name) (613) + TX, *Phytoseiulus persimilis* (alternative name) (644) + TX, *Spodoptera exigua* multicapsid nuclear polyhedrosis virus (scientific name) (741) + TX, *Steinernema bibionis* (alternative name) (742) + TX, *Steinernema carpocapsae* (alternative name) (742) + TX, *Steinernema feltiae* (alternative name) (742) + TX, *Steinernema glaseri* (alternative name) (742) + TX, *Steinernema riobrave* (alternative name) (742) + TX, *Steinernema riobrave* (alternative name) (742) + TX, *Steinernema scapterisci* (alternative name) (742) + TX, *Steinernema* spp. (alternative name) (742) + TX, *Trichogramma* spp. (alternative name) (826) + TX, *Typhlodromus occidentalis* (alternative name) (844) and *Verticillium lecanii* (alternative name) (848) + TX,
- a soil sterilant selected from the group of substances consisting of iodomethane (IUPAC name) (542) and methyl bromide (537) + TX,

a chemosterilant selected from the group of substances consisting of apholate [CCN] + TX, bisazir (alternative name) [CCN] + TX, busulfan (alternative name) [CCN] + TX, diflubenzuron (250) + TX, dimatif (alternative name) [CCN] + TX, hemel [CCN] + TX, hempa [CCN] + TX, metepa [CCN] + TX, methiotepa [CCN] + TX, methyl apholate [CCN] + TX, morzid [CCN] + TX, penfluron (alternative name) [CCN] + TX, tepa [CCN] + TX, thiohempa (alternative name) [CCN] + TX, thiotepa (alternative name) [CCN] + TX, tretamine (alternative name) [CCN] and uredepa (alternative name) [CCN] + TX, an insect pheromone selected from the group of substances consisting of (*E*)-dec-5-en-1-yl acetate with (*E*)-dec-5-en-1-ol (IUPAC name) (222) + TX, (*E*)-tridec-4-en-1-yl acetate (IUPAC name) (829) + TX, (*E*)-6-methylhept-2-en-4-ol (IUPAC name) (541) + TX, (*E,Z*)-tetradeca-4,10-dien-1-yl acetate (IUPAC name) (779) + TX, (*Z*)-dodec-7-en-1-yl acetate (IUPAC name) (285) + TX, (*Z*)-hexadec-11-enal (IUPAC name) (436) + TX, (*Z*)-hexadec-11-en-1-yl acetate (IUPAC name) (437) + TX, (*Z*)-hexadec-13-en-11-yn-1-yl acetate (IUPAC name) (438) + TX, (*Z*)-icos-13-en-10-one (IUPAC name) (448) + TX, (*Z*)-tetradec-7-en-1-ol (IUPAC name) (782) + TX, (*Z*)-tetradec-9-en-1-ol (IUPAC name) (783) + TX, (*Z*)-tetradec-9-en-1-yl acetate (IUPAC name) (784) + TX, (*7E,9Z*)-dodeca-7,9-dien-1-yl acetate (IUPAC name) (283) + TX, (*9Z,11E*)-tetradeca-9,11-dien-1-yl acetate (IUPAC name) (780) + TX, (*9Z,12E*)-tetradeca-9,12-dien-1-yl acetate (IUPAC name) (781) + TX, 14-methyloctadec-1-ene (IUPAC name) (545) + TX, 4-methylnonan-5-ol with 4-methylnonan-5-one (IUPAC name) (544) + TX, alpha-multistriatin (alternative name) [CCN] + TX, brevicomin (alternative name) [CCN] + TX, codlure (alternative name) [CCN] + TX, codlemone (alternative name) (167) + TX, cuelure (alternative name) (179) + TX, disparlure (277) + TX, dodec-8-en-1-yl acetate (IUPAC name) (286) + TX, dodec-9-en-1-yl acetate (IUPAC name) (287) + TX, dodeca-8 + TX, 10-dien-1-yl acetate (IUPAC name) (284) + TX, dominicalure (alternative name) [CCN] + TX, ethyl 4-methyloctanoate (IUPAC name) (317) + TX, eugenol (alternative name) [CCN] + TX, frontalin (alternative name) [CCN] + TX, gossyplure (alternative name) (420) + TX, grandlure (421) + TX, grandlure I (alternative name) (421) + TX, grandlure II (alternative name) (421) + TX, grandlure III (alternative name) (421) + TX, grandlure IV (alternative name) (421) + TX, hexalure [CCN] + TX, ipsdienol (alternative name) [CCN] + TX, ipsenol (alternative name) [CCN] + TX, japonilure (alternative name) (481) + TX, lineatin (alternative name) [CCN] + TX, litlure (alternative name) [CCN] + TX, looplure (alternative name) [CCN] + TX, medlure [CCN] + TX, megatomoic acid (alternative name) [CCN] + TX, methyl eugenol (alternative name)

- (540) + TX, muscalure (563) + TX, octadeca-2,13-dien-1-yl acetate (IUPAC name) (588) + TX, octadeca-3,13-dien-1-yl acetate (IUPAC name) (589) + TX, orfralure (alternative name) [CCN] + TX, oryctalure (alternative name) (317) + TX, ostramone (alternative name) [CCN] + TX, siglure [CCN] + TX, sordidin (alternative name) (736) + TX, sulcatol (alternative name) [CCN] + TX, tetradec-11-en-1-yl acetate (IUPAC name) (785) + TX, trimedlure (839) + TX, trimedlure A (alternative name) (839) + TX, trimedlure B<sub>1</sub> (alternative name) (839) + TX, trimedlure B<sub>2</sub> (alternative name) (839) + TX, trimedlure C (alternative name) (839) and trunc-call (alternative name) [CCN] + TX,
- an insect repellent selected from the group of substances consisting of 2-(octylthio)ethanol (IUPAC name) (591) + TX, butopyronoxyl (933) + TX, butoxy(polypropylene glycol) (936) + TX, dibutyl adipate (IUPAC name) (1046) + TX, dibutyl phthalate (1047) + TX, dibutyl succinate (IUPAC name) (1048) + TX, diethyltoluamide [CCN] + TX, dimethyl carbate [CCN] + TX, dimethyl phthalate [CCN] + TX, ethyl hexanediol (1137) + TX, hexamide [CCN] + TX, methoquin-butyl (1276) + TX, methylneodecanamide [CCN] + TX, oxamate [CCN] and picaridin [CCN] + TX,
- an insecticide selected from the group of substances consisting of 1-dichloro-1-nitroethane (IUPAC/Chemical Abstracts name) (1058) + TX, 1,1-dichloro-2,2-bis(4-ethylphenyl)ethane (IUPAC name) (1056), + TX, 1,2-dichloropropane (IUPAC/Chemical Abstracts name) (1062) + TX, 1,2-dichloropropane with 1,3-dichloropropene (IUPAC name) (1063) + TX, 1-bromo-2-chloroethane (IUPAC/Chemical Abstracts name) (916) + TX, 2,2,2-trichloro-1-(3,4-dichlorophenyl)ethyl acetate (IUPAC name) (1451) + TX, 2,2-dichlorovinyl 2-ethylsulphinyethyl methyl phosphate (IUPAC name) (1066) + TX, 2-(1,3-dithiolan-2-yl)phenyl dimethylcarbamate (IUPAC/ Chemical Abstracts name) (1109) + TX, 2-(2-butoxyethoxy)ethyl thiocyanate (IUPAC/Chemical Abstracts name) (935) + TX, 2-(4,5-dimethyl-1,3-dioxolan-2-yl)phenyl methylcarbamate (IUPAC/ Chemical Abstracts name) (1084) + TX, 2-(4-chloro-3,5-xylyloxy)ethanol (IUPAC name) (986) + TX, 2-chlorovinyl diethyl phosphate (IUPAC name) (984) + TX, 2-imidazolidone (IUPAC name) (1225) + TX, 2-isovalerylindan-1,3-dione (IUPAC name) (1246) + TX, 2-methyl(prop-2-ynyl)aminophenyl methylcarbamate (IUPAC name) (1284) + TX, 2-thiocyanatoethyl laurate (IUPAC name) (1433) + TX, 3-bromo-1-chloroprop-1-ene (IUPAC name) (917) + TX, 3-methyl-1-phenylpyrazol-5-yl dimethylcarbamate (IUPAC name) (1283) + TX, 4-methyl(prop-2-ynyl)amino-3,5-xylyl methylcarbamate (IUPAC name) (1285) + TX, 5,5-dimethyl-3-oxocyclohex-1-enyl dimethylcarbamate (IUPAC name) (1085) + TX, abamectin

- (1) + TX, acephate (2) + TX, acetamiprid (4) + TX, acethion (alternative name) [CCN] + TX, acetoprole [CCN] + TX, acrinathrin (9) + TX, acrylonitrile (IUPAC name) (861) + TX, alanycarb (15) + TX, aldicarb (16) + TX, aldoxycarb (863) + TX, aldrin (864) + TX, allethrin (17) + TX, allosamidin (alternative name) [CCN] + TX, allyxycarb (866) + TX,
- 5 alpha-cypermethrin (202) + TX, alpha-ecdysone (alternative name) [CCN] + TX, aluminium phosphide (640) + TX, amidithion (870) + TX, amidothioate (872) + TX, aminocarb (873) + TX, amiton (875) + TX, amiton hydrogen oxalate (875) + TX, amitraz (24) + TX, anabasine (877) + TX, athidathion (883) + TX, AVI 382 (compound code) + TX, AZ 60541 (compound code) + TX, azadirachtin (alternative name) (41) + TX, azamethiphos (42) + TX,
- 10 azinphos-ethyl (44) + TX, azinphos-methyl (45) + TX, azothoate (889) + TX, *Bacillus thuringiensis* delta endotoxins (alternative name) (52) + TX, barium hexafluorosilicate (alternative name) [CCN] + TX, barium polysulfide (IUPAC/Chemical Abstracts name) (892) + TX, barthrin [CCN] + TX, Bayer 22/190 (development code) (893) + TX, Bayer 22408 (development code) (894) + TX, bendiocarb (58) + TX, benfuracarb (60) + TX,
- 15 bensultap (66) + TX, beta-cyfluthrin (194) + TX, beta-cypermethrin (203) + TX, bifenthrin (76) + TX, bioallethrin (78) + TX, bioallethrin *S*-cyclopentenyl isomer (alternative name) (79) + TX, bioethanomethrin [CCN] + TX, biopermethrin (908) + TX, bioresmethrin (80) + TX, bis(2-chloroethyl) ether (IUPAC name) (909) + TX, bistrifluron (83) + TX, borax (86) + TX, brofenvalerate (alternative name) + TX, bromfeninfos (914) + TX, bromocyclen (918)
- 20 + TX, bromo-DDT (alternative name) [CCN] + TX, bromophos (920) + TX, bromophos-ethyl (921) + TX, bufencarb (924) + TX, buprofezin (99) + TX, butacarb (926) + TX, butathiofos (927) + TX, butocarboxim (103) + TX, butonate (932) + TX, butoxycarboxim (104) + TX, butylpyridaben (alternative name) + TX, cadusafos (109) + TX, calcium arsenate [CCN] + TX, calcium cyanide (444) + TX, calcium polysulfide (IUPAC name)
- 25 (111) + TX, camphechlor (941) + TX, carbanolate (943) + TX, carbaryl (115) + TX, carbofuran (118) + TX, carbon disulfide (IUPAC/Chemical Abstracts name) (945) + TX, carbon tetrachloride (IUPAC name) (946) + TX, carbophenothion (947) + TX, carbosulfan (119) + TX, cartap (123) + TX, cartap hydrochloride (123) + TX, cevadine (alternative name) (725) + TX, chlorbicyclen (960) + TX, chlordane (128) + TX, chlordecone (963) +
- 30 TX, chlordimeform (964) + TX, chlordimeform hydrochloride (964) + TX, chlorethoxyfos (129) + TX, chlorfenapyr (130) + TX, chlorfenvinphos (131) + TX, chlorfluazuron (132) + TX, chlormephos (136) + TX, chloroform [CCN] + TX, chloropicrin (141) + TX, chlorphoxim (989) + TX, chlorprazophos (990) + TX, chlorpyrifos (145) + TX, chlorpyrifos-

methyl (146) + TX, chlorthiophos (994) + TX, chromafenozide (150) + TX, cinerin I (696) + TX, cinerin II (696) + TX, cinerins (696) + TX, cis-resmethrin (alternative name) + TX, cismethrin (80) + TX, clocythrin (alternative name) + TX, cloethocarb (999) + TX, closantel (alternative name) [CCN] + TX, clothianidin (165) + TX, copper acetoarsenite [CCN] + TX, copper arsenate [CCN] + TX, copper oleate [CCN] + TX, coumaphos (174) + TX, coumithoate (1006) + TX, crotamiton (alternative name) [CCN] + TX, crotoxyphos (1010) + TX, cruformate (1011) + TX, cryolite (alternative name) (177) + TX, CS 708 (development code) (1012) + TX, cyanofenphos (1019) + TX, cyanophos (184) + TX, cyanthoate (1020) + TX, cyclethrin [CCN] + TX, cycloprothrin (188) + TX, cyfluthrin (193) + TX, cyhalothrin (196) + TX, cypermethrin (201) + TX, cyphenothrin (206) + TX, cyromazine (209) + TX, cythioate (alternative name) [CCN] + TX, *d*-limonene (alternative name) [CCN] + TX, *d*-tetramethrin (alternative name) (788) + TX, DAEP (1031) + TX, dazomet (216) + TX, DDT (219) + TX, decarbofuran (1034) + TX, deltamethrin (223) + TX, demephion (1037) + TX, demephion-O (1037) + TX, demephion-S (1037) + TX, demeton (1038) + TX, demeton-methyl (224) + TX, demeton-O (1038) + TX, demeton-O-methyl (224) + TX, demeton-S (1038) + TX, demeton-S-methyl (224) + TX, demeton-S-methylsulphon (1039) + TX, diafenthiuron (226) + TX, dialifos (1042) + TX, diamidafos (1044) + TX, diazinon (227) + TX, dicapthon (1050) + TX, dichlofenthion (1051) + TX, dichlorvos (236) + TX, dicliphos (alternative name) + TX, dicresyl (alternative name) [CCN] + TX, dicrotophos (243) + TX, dicyclanil (244) + TX, dieldrin (1070) + TX, diethyl 5-methylpyrazol-3-yl phosphate (IUPAC name) (1076) + TX, diflubenzuron (250) + TX, dilor (alternative name) [CCN] + TX, dimefluthrin [CCN] + TX, dimefox (1081) + TX, dimetan (1085) + TX, dimethoate (262) + TX, dimethrin (1083) + TX, dimethylvinphos (265) + TX, dimetilan (1086) + TX, dinex (1089) + TX, dinex-diclexine (1089) + TX, dinoprop (1093) + TX, dinosam (1094) + TX, dinoseb (1095) + TX, dinotefuran (271) + TX, diofenolan (1099) + TX, dioxabenzofos (1100) + TX, dioxacarb (1101) + TX, dioxathion (1102) + TX, disulfoton (278) + TX, dithicrofos (1108) + TX, DNOC (282) + TX, doramectin (alternative name) [CCN] + TX, DSP (1115) + TX, ecdysterone (alternative name) [CCN] + TX, EI 1642 (development code) (1118) + TX, emamectin (291) + TX, emamectin benzoate (291) + TX, EMPC (1120) + TX, empenthrin (292) + TX, endosulfan (294) + TX, endothion (1121) + TX, endrin (1122) + TX, EPBP (1123) + TX, EPN (297) + TX, epofenonane (1124) + TX, eprinomectin (alternative name) [CCN] + TX, esfenvalerate (302) + TX, etaphos (alternative name) [CCN] + TX, ethiofencarb (308) + TX, ethion (309) + TX, ethiprole (310) + TX, ethoate-methyl

- (1134) + TX, ethoprophos (312) + TX, ethyl formate (IUPAC name) [CCN] + TX, ethyl-DDD (alternative name) (1056) + TX, ethylene dibromide (316) + TX, ethylene dichloride (chemical name) (1136) + TX, ethylene oxide [CCN] + TX, etofenprox (319) + TX, etrimfos (1142) + TX, EXD (1143) + TX, famphur (323) + TX, fenamiphos (326) + TX, fenazaflor (1147) + TX, fenchlorphos (1148) + TX, fenethacarb (1149) + TX, fenfluthrin (1150) + TX, fenitrothion (335) + TX, fenobucarb (336) + TX, fenoxacrim (1153) + TX, fenoxycarb (340) + TX, fenpirithrin (1155) + TX, fenpropathrin (342) + TX, fenpyrad (alternative name) + TX, fensulfothion (1158) + TX, fenthion (346) + TX, fenthion-ethyl [CCN] + TX, fenvalerate (349) + TX, fipronil (354) + TX, flonicamid (358) + TX, flubendiamide (CAS. Reg. No.: 272451-65-7) + TX, flucofuron (1168) + TX, flucycloxuron (366) + TX, flucythrinate (367) + TX, fluenetil (1169) + TX, flufenerim [CCN] + TX, flufenoxuron (370) + TX, flufenprox (1171) + TX, flumethrin (372) + TX, fluvalinate (1184) + TX, FMC 1137 (development code) (1185) + TX, fonofos (1191) + TX, formetanate (405) + TX, formetanate hydrochloride (405) + TX, formothion (1192) + TX, formparanate (1193) + TX, fosmethilan (1194) + TX, fospirate (1195) + TX, fosthiazate (408) + TX, fosthietan (1196) + TX, furathiocarb (412) + TX, furethrin (1200) + TX, gamma-cyhalothrin (197) + TX, gamma-HCH (430) + TX, guazatine (422) + TX, guazatine acetates (422) + TX, GY-81 (development code) (423) + TX, halfenprox (424) + TX, halofenozide (425) + TX, HCH (430) + TX, HEOD (1070) + TX, heptachlor (1211) + TX, heptenophos (432) + TX, heterophos [CCN] + TX, hexaflumuron (439) + TX, HHDN (864) + TX, hydramethylnon (443) + TX, hydrogen cyanide (444) + TX, hydroprene (445) + TX, hyquincarb (1223) + TX, imidacloprid (458) + TX, imiprothrin (460) + TX, indoxacarb (465) + TX, iodomethane (IUPAC name) (542) + TX, IPSP (1229) + TX, isazofos (1231) + TX, isobenzan (1232) + TX, isocarbophos (alternative name) (473) + TX, isodrin (1235) + TX, isofenphos (1236) + TX, isolane (1237) + TX, isoprocab (472) + TX, isopropyl *O*-(methoxy-aminothiophosphoryl)salicylate (IUPAC name) (473) + TX, isoprothiolane (474) + TX, isothioate (1244) + TX, isoxathion (480) + TX, ivermectin (alternative name) [CCN] + TX, jasmolin I (696) + TX, jasmolin II (696) + TX, jodfenphos (1248) + TX, juvenile hormone I (alternative name) [CCN] + TX, juvenile hormone II (alternative name) [CCN] + TX, juvenile hormone III (alternative name) [CCN] + TX, kelevan (1249) + TX, kinoprene (484) + TX, lambda-cyhalothrin (198) + TX, lead arsenate [CCN] + TX, lepimectin (CCN) + TX, leptophos (1250) + TX, lindane (430) + TX, lirimfos (1251) + TX, lufenuron (490) + TX, lythidathion (1253) + TX, *m*-cumenyl methylcarbamate (IUPAC name) (1014) + TX,

magnesium phosphide (IUPAC name) (640) + TX, malathion (492) + TX, malonoben (1254) + TX, mazidox (1255) + TX, mecarbam (502) + TX, mecarphon (1258) + TX, menazon (1260) + TX, mephosfolan (1261) + TX, mercurous chloride (513) + TX, mesulfenfos (1263) + TX, metaflumizone (CCN) + TX, metam (519) + TX, metam-potassium (alternative name) (519) + TX, metam-sodium (519) + TX, methacrifos (1266) + TX, methamidophos (527) + TX, methanesulphonyl fluoride (IUPAC/Chemical Abstracts name) (1268) + TX, methidathion (529) + TX, methiocarb (530) + TX, methocrotophos (1273) + TX, methomyl (531) + TX, methoprene (532) + TX, methoquin-butyl (1276) + TX, methothrin (alternative name) (533) + TX, methoxychlor (534) + TX, methoxyfenozide (535) + TX, methyl bromide (537) + TX, methyl isothiocyanate (543) + TX, methylchloroform (alternative name) [CCN] + TX, methylene chloride [CCN] + TX, metofluthrin [CCN] + TX, metolcarb (550) + TX, metoxadiazone (1288) + TX, mevinphos (556) + TX, mexacarbate (1290) + TX, milbemectin (557) + TX, milbemycin oxime (alternative name) [CCN] + TX, mipafox (1293) + TX, mirex (1294) + TX, monocrotophos (561) + TX, morphothion (1300) + TX, moxidectin (alternative name) [CCN] + TX, naftalofos (alternative name) [CCN] + TX, naled (567) + TX, naphthalene (IUPAC/Chemical Abstracts name) (1303) + TX, NC-170 (development code) (1306) + TX, NC-184 (compound code) + TX, nicotine (578) + TX, nicotine sulfate (578) + TX, nifluridide (1309) + TX, nitenpyram (579) + TX, nithiazine (1311) + TX, nitrilacarb (1313) + TX, nitrilacarb 1:1 zinc chloride complex (1313) + TX, NNI-0101 (compound code) + TX, NNI-0250 (compound code) + TX, normicotine (traditional name) (1319) + TX, novaluron (585) + TX, noviflumuron (586) + TX, *O*-5-dichloro-4-iodophenyl *O*-ethyl ethylphosphonothioate (IUPAC name) (1057) + TX, *O,O*-diethyl *O*-4-methyl-2-oxo-2*H*-chromen-7-yl phosphorothioate (IUPAC name) (1074) + TX, *O,O*-diethyl *O*-6-methyl-2-propylpyrimidin-4-yl phosphorothioate (IUPAC name) (1075) + TX, *O,O,O',O'*-tetrapropyl dithiopyrophosphate (IUPAC name) (1424) + TX, oleic acid (IUPAC name) (593) + TX, omethoate (594) + TX, oxamyl (602) + TX, oxydemeton-methyl (609) + TX, oxydeprofos (1324) + TX, oxydisulfoton (1325) + TX, pp'-DDT (219) + TX, para-dichlorobenzene [CCN] + TX, parathion (615) + TX, parathion-methyl (616) + TX, penfluron (alternative name) [CCN] + TX, pentachlorophenol (623) + TX, pentachlorophenyl laurate (IUPAC name) (623) + TX, permethrin (626) + TX, petroleum oils (alternative name) (628) + TX, PH 60-38 (development code) (1328) + TX, phenkapton (1330) + TX, phenothrin (630) + TX, phenthoate (631) + TX, phorate (636) + TX, phosalone (637) + TX, phosfolan (1338) + TX, phosmet (638) + TX, phosnichlor (1339) + TX,

phosphamidon (639) + TX, phosphine (IUPAC name) (640) + TX, phoxim (642) + TX, phoxim-methyl (1340) + TX, pirimetaphos (1344) + TX, pirimicarb (651) + TX, pirimiphos-ethyl (1345) + TX, pirimiphos-methyl (652) + TX, polychlorodicyclopentadiene isomers (IUPAC name) (1346) + TX, polychloroterpenes (traditional name) (1347) + TX, potassium arsenite [CCN] + TX, potassium thiocyanate [CCN] + TX, prallethrin (655) + TX, precocene I (alternative name) [CCN] + TX, precocene II (alternative name) [CCN] + TX, precocene III (alternative name) [CCN] + TX, primidophos (1349) + TX, profenofos (662) + TX, profluthrin [CCN] + TX, promacyl (1354) + TX, promecarb (1355) + TX, propaphos (1356) + TX, propetamphos (673) + TX, propoxur (678) + TX, prothidathion (1360) + TX, prothiofos (686) + TX, prothoate (1362) + TX, protrifenbute [CCN] + TX, pymetrozine (688) + TX, pyraclofos (689) + TX, pyrazophos (693) + TX, pyresmethrin (1367) + TX, pyrethrin I (696) + TX, pyrethrin II (696) + TX, pyrethrins (696) + TX, pyridaben (699) + TX, pyridalyl (700) + TX, pyridaphenthion (701) + TX, pyrimidifen (706) + TX, pyrimitate (1370) + TX, pyriproxyfen (708) + TX, quassia (alternative name) [CCN] + TX, quinalphos (711) + TX, quinalphos-methyl (1376) + TX, quinothion (1380) + TX, quintiofos (1381) + TX, R-1492 (development code) (1382) + TX, rafoxanide (alternative name) [CCN] + TX, resmethrin (719) + TX, rotenone (722) + TX, RU 15525 (development code) (723) + TX, RU 25475 (development code) (1386) + TX, ryania (alternative name) (1387) + TX, ryanodine (traditional name) (1387) + TX, sabadilla (alternative name) (725) + TX, schradan (1389) + TX, sebufos (alternative name) + TX, selamectin (alternative name) [CCN] + TX, SI-0009 (compound code) + TX, SI-0205 (compound code) + TX, SI-0404 (compound code) + TX, SI-0405 (compound code) + TX, silafluofen (728) + TX, SN 72129 (development code) (1397) + TX, sodium arsenite [CCN] + TX, sodium cyanide (444) + TX, sodium fluoride (IUPAC/Chemical Abstracts name) (1399) + TX, sodium hexafluorosilicate (1400) + TX, sodium pentachlorophenoxide (623) + TX, sodium selenate (IUPAC name) (1401) + TX, sodium thiocyanate [CCN] + TX, sophamide (1402) + TX, spinosad (737) + TX, spiromesifen (739) + TX, spirotetmat (CCN) + TX, sulcofuron (746) + TX, sulcofuron-sodium (746) + TX, sulfluramid (750) + TX, sulfotep (753) + TX, sulphuryl fluoride (756) + TX, sulprofos (1408) + TX, tar oils (alternative name) (758) + TX, tau-fluvalinate (398) + TX, tazimcarb (1412) + TX, TDE (1414) + TX, tebufenozide (762) + TX, tebufenpyrad (763) + TX, tebupirimfos (764) + TX, teflubenzuron (768) + TX, tefluthrin (769) + TX, temephos (770) + TX, TEPP (1417) + TX, terallethrin (1418) + TX, terbam (alternative name) + TX, terbufos (773) + TX, tetrachloroethane [CCN] + TX, tetrachlorvinphos (777) +

TX, tetramethrin (787) + TX, theta-cypermethrin (204) + TX, thiacloprid (791) + TX, thiafenox (alternative name) + TX, thiamethoxam (792) + TX, thicrofos (1428) + TX, thiocarboxime (1431) + TX, thiocyclam (798) + TX, thiocyclam hydrogen oxalate (798) + TX, thiodicarb (799) + TX, thiofanox (800) + TX, thiometon (801) + TX, thionazin (1434) + TX, thiosultap (803) + TX, thiosultap-sodium (803) + TX, thuringiensin (alternative name) [CCN] + TX, tolfenpyrad (809) + TX, tralomethrin (812) + TX, transluthrin (813) + TX, transpermethrin (1440) + TX, triamiphos (1441) + TX, triazamate (818) + TX, triazophos (820) + TX, triazuron (alternative name) + TX, trichlorfon (824) + TX, trichlormetaphos-3 (alternative name) [CCN] + TX, trichloronat (1452) + TX, trifenofos (1455) + TX, triflumuron (835) + TX, trimethacarb (840) + TX, triprene (1459) + TX, vamidothion (847) + TX, vaniliprole [CCN] + TX, veratridine (alternative name) (725) + TX, veratrine (alternative name) (725) + TX, XMC (853) + TX, xylylcarb (854) + TX, YI-5302 (compound code) + TX, zeta-cypermethrin (205) + TX, zetamethrin (alternative name) + TX, zinc phosphide (640) + TX, zolaprofos (1469) and ZXI 8901 (development code) (858) + TX, cyantraniliprole [736994-63-19] + TX, chlorantraniliprole [500008-45-7] + TX, cyenopyrafen [560121-52-0] + TX, cyflumetofen [400882-07-7] + TX, pyrifluquinazon [337458-27-2] + TX, spinetoram [187166-40-1 + 187166-15-0] + TX, spirotetramat [203313-25-1] + TX, sulfoxaflor [946578-00-3] + TX, flufiprole [704886-18-0] + TX, meperfluthrin [915288-13-0] + TX, tetramethylfluthrin [84937-88-2] + TX, a molluscicide selected from the group of substances consisting of bis(tributyltin) oxide (IUPAC name) (913) + TX, bromoacetamide [CCN] + TX, calcium arsenate [CCN] + TX, cloethocarb (999) + TX, copper acetoarsenite [CCN] + TX, copper sulfate (172) + TX, fentin (347) + TX, ferric phosphate (IUPAC name) (352) + TX, metaldehyde (518) + TX, methiocarb (530) + TX, niclosamide (576) + TX, niclosamide-olamine (576) + TX, pentachlorophenol (623) + TX, sodium pentachlorophenoxide (623) + TX, tazimcarb (1412) + TX, thiodicarb (799) + TX, tributyltin oxide (913) + TX, trifenmorph (1454) + TX, trimethacarb (840) + TX, triphenyltin acetate (IUPAC name) (347) and triphenyltin hydroxide (IUPAC name) (347) + TX, pyriprole [394730-71-3] + TX, a nematocide selected from the group of substances consisting of AKD-3088 (compound code) + TX, 1,2-dibromo-3-chloropropane (IUPAC/Chemical Abstracts name) (1045) + TX, 1,2-dichloropropane (IUPAC/ Chemical Abstracts name) (1062) + TX, 1,2-dichloropropane with 1,3-dichloropropene (IUPAC name) (1063) + TX, 1,3-dichloropropene (233) + TX, 3,4-dichlorotetrahydrothiophene 1,1-dioxide (IUPAC/Chemical Abstracts name) (1065) + TX, 3-

(4-chlorophenyl)-5-methylrhodanine (IUPAC name) (980) + TX, 5-methyl-6-thioxo-1,3,5-thiadiazinan-3-ylacetic acid (IUPAC name) (1286) + TX, 6-isopentenylaminopurine (alternative name) (210) + TX, abamectin (1) + TX, acetoprole [CCN] + TX, alanycarb (15) + TX, aldicarb (16) + TX, aldoxycarb (863) + TX, AZ 60541 (compound code) + TX,

5    benclothiaz [CCN] + TX, benomyl (62) + TX, butylpyridaben (alternative name) + TX, cadusafos (109) + TX, carbofuran (118) + TX, carbon disulfide (945) + TX, carbosulfan (119) + TX, chloropicrin (141) + TX, chlorpyrifos (145) + TX, cloethocarb (999) + TX, cytokinins (alternative name) (210) + TX, dazomet (216) + TX, DBCP (1045) + TX, DCIP (218) + TX, diamidafos (1044) + TX, dichlofenthion (1051) + TX, dicliphos (alternative

10    name) + TX, dimethoate (262) + TX, doramectin (alternative name) [CCN] + TX, emamectin (291) + TX, emamectin benzoate (291) + TX, eprinomectin (alternative name) [CCN] + TX, ethoprophos (312) + TX, ethylene dibromide (316) + TX, fenamiphos (326) + TX, fenpyrad (alternative name) + TX, fensulfothion (1158) + TX, fosthiazate (408) + TX, fosthietan (1196) + TX, furfural (alternative name) [CCN] + TX, GY-81 (development code)

15    (423) + TX, heterophos [CCN] + TX, iodomethane (IUPAC name) (542) + TX, isamidofos (1230) + TX, isazofos (1231) + TX, ivermectin (alternative name) [CCN] + TX, kinetin (alternative name) (210) + TX, mecarphon (1258) + TX, metam (519) + TX, metam-potassium (alternative name) (519) + TX, metam-sodium (519) + TX, methyl bromide (537) + TX, methyl isothiocyanate (543) + TX, milbemycin oxime (alternative name) [CCN] +

20    TX, moxidectin (alternative name) [CCN] + TX, *Myrothecium verrucaria* composition (alternative name) (565) + TX, NC-184 (compound code) + TX, oxamyl (602) + TX, phorate (636) + TX, phosphamidon (639) + TX, phosphocarb [CCN] + TX, sebufos (alternative name) + TX, selamectin (alternative name) [CCN] + TX, spinosad (737) + TX, terbam (alternative name) + TX, terbufos (773) + TX, tetrachlorothiophene (IUPAC/ Chemical

25    Abstracts name) (1422) + TX, thiafenox (alternative name) + TX, thionazin (1434) + TX, triazophos (820) + TX, triazuron (alternative name) + TX, xyleneols [CCN] + TX, YI-5302 (compound code) and zeatin (alternative name) (210) + TX, fluensulfone [318290-98-1] + TX,

a nitrification inhibitor selected from the group of substances consisting of potassium

30    ethylxanthate [CCN] and nitrapyrin (580) + TX,

a plant activator selected from the group of substances consisting of acibenzolar (6) + TX, acibenzolar-*S*-methyl (6) + TX, probenazole (658) and *Reynoutria sachalinensis* extract (alternative name) (720) + TX,

- a rodenticide selected from the group of substances consisting of 2-isovalerylindan-1,3-dione (IUPAC name) (1246) + TX, 4-(quinoxalin-2-ylamino)benzenesulfonamide (IUPAC name) (748) + TX, alpha-chlorohydrin [CCN] + TX, aluminium phosphide (640) + TX, antu (880) + TX, arsenous oxide (882) + TX, barium carbonate (891) + TX, bithiosemi (912) + TX,
- 5 brodifacoum (89) + TX, bromadiolone (91) + TX, bromethalin (92) + TX, calcium cyanide (444) + TX, chloralose (127) + TX, chlorophacinone (140) + TX, cholecalciferol (alternative name) (850) + TX, coumachlor (1004) + TX, coumafuryl (1005) + TX, coumatetralyl (175) + TX, crimidine (1009) + TX, difenacoum (246) + TX, difethialone (249) + TX, diphacinone (273) + TX, ergocalciferol (301) + TX, flocoumafen (357) + TX, fluoroacetamide (379) +
- 10 TX, flupropadine (1183) + TX, flupropadine hydrochloride (1183) + TX, gamma-HCH (430) + TX, HCH (430) + TX, hydrogen cyanide (444) + TX, iodomethane (IUPAC name) (542) + TX, lindane (430) + TX, magnesium phosphide (IUPAC name) (640) + TX, methyl bromide (537) + TX, norbormide (1318) + TX, phosacetim (1336) + TX, phosphine (IUPAC name) (640) + TX, phosphorus [CCN] + TX, pindone (1341) + TX, potassium arsenite [CCN] +
- 15 TX, pyrinuron (1371) + TX, scilliroside (1390) + TX, sodium arsenite [CCN] + TX, sodium cyanide (444) + TX, sodium fluoroacetate (735) + TX, strychnine (745) + TX, thallium sulfate [CCN] + TX, warfarin (851) and zinc phosphide (640) + TX,
- a synergist selected from the group of substances consisting of 2-(2-butoxyethoxy)ethyl piperonylate (IUPAC name) (934) + TX, 5-(1,3-benzodioxol-5-yl)-3-hexylcyclohex-2-enone
- 20 (IUPAC name) (903) + TX, farnesol with nerolidol (alternative name) (324) + TX, MB-599 (development code) (498) + TX, MGK 264 (development code) (296) + TX, piperonyl butoxide (649) + TX, piprotal (1343) + TX, propyl isomer (1358) + TX, S421 (development code) (724) + TX, sesamex (1393) + TX, sesasmolin (1394) and sulfoxide (1406) + TX,
- an animal repellent selected from the group of substances consisting of anthraquinone (32) +
- 25 TX, chloralose (127) + TX, copper naphthenate [CCN] + TX, copper oxychloride (171) + TX, diazinon (227) + TX, dicyclopentadiene (chemical name) (1069) + TX, guazatine (422) + TX, guazatine acetates (422) + TX, methiocarb (530) + TX, pyridin-4-amine (IUPAC name) (23) + TX, thiram (804) + TX, trimethacarb (840) + TX, zinc naphthenate [CCN] and ziram (856) + TX,
- 30 a virucide selected from the group of substances consisting of imanin (alternative name) [CCN] and ribavirin (alternative name) [CCN] + TX,
- a wound protectant selected from the group of substances consisting of mercuric oxide (512) + TX, octhilinone (590) and thiophanate-methyl (802) + TX,

and biologically active compounds selected from the group consisting of azaconazole [60207-31-0] + TX, bitertanol [70585-36-3] + TX, bromuconazole [116255-48-2] + TX, cyproconazole [94361-06-5] + TX, difenoconazole [119446-68-3] + TX, diniconazole [83657-24-3] + TX, epoxiconazole [106325-08-0] + TX, fenbuconazole [114369-43-6] + TX, fluquinconazole [136426-54-5] + TX, flusilazole [85509-19-9] + TX, flutriafol [76674-21-0] + TX, hexaconazole [79983-71-4] + TX, imazalil [35554-44-0] + TX, imibenconazole [86598-92-7] + TX, ipconazole [125225-28-7] + TX, metconazole [125116-23-6] + TX, myclobutanil [88671-89-0] + TX, pefurazoate [101903-30-4] + TX, penconazole [66246-88-6] + TX, prothioconazole [178928-70-6] + TX, pyrifenox [88283-41-4] + TX, prochloraz [67747-09-5] + TX, propiconazole [60207-90-1] + TX, simeconazole [149508-90-7] + TX, tebuconazole [107534-96-3] + TX, tetraconazole [112281-77-3] + TX, triadimefon [43121-43-3] + TX, triadimenol [55219-65-3] + TX, triflumizole [99387-89-0] + TX, triticonazole [131983-72-7] + TX, ancymidol [12771-68-5] + TX, fenarimol [60168-88-9] + TX, nuarimol [63284-71-9] + TX, bupirimate [41483-43-6] + TX, dimethirimol [5221-53-4] + TX, ethirimol [23947-60-6] + TX, dodemorph [1593-77-7] + TX, fenpropidine [67306-00-7] + TX, fenpropimorph [67564-91-4] + TX, spiroxamine [118134-30-8] + TX, tridemorph [81412-43-3] + TX, cyprodinil [121552-61-2] + TX, mepanipyrim [110235-47-7] + TX, pyrimethanil [53112-28-0] + TX, fenpiclonil [74738-17-3] + TX, fludioxonil [131341-86-1] + TX, benalaxyl [71626-11-4] + TX, furalaxyl [57646-30-7] + TX, metalaxyl [57837-19-1] + TX, R-metalaxyl [70630-17-0] + TX, ofurace [58810-48-3] + TX, oxadixyl [77732-09-3] + TX, benomyl [17804-35-2] + TX, carbendazim [10605-21-7] + TX, debacarb [62732-91-6] + TX, fuberidazole [3878-19-1] + TX, thiabendazole [148-79-8] + TX, chlozoline [84332-86-5] + TX, dichlozoline [24201-58-9] + TX, iprodione [36734-19-7] + TX, myclozoline [54864-61-8] + TX, procymidone [32809-16-8] + TX, vinclozoline [50471-44-8] + TX, boscalid [188425-85-6] + TX, carboxin [5234-68-4] + TX, fenfuram [24691-80-3] + TX, flutolanil [66332-96-5] + TX, mepronil [55814-41-0] + TX, oxycarboxin [5259-88-1] + TX, penthiopyrad [183675-82-3] + TX, thifluzamide [130000-40-7] + TX, guazatine [108173-90-6] + TX, dodine [2439-10-3] [112-65-2] (free base) + TX, iminoctadine [13516-27-3] + TX, azoxystrobin [131860-33-8] + TX, dimoxystrobin [149961-52-4] + TX, enestroburin {Proc. BCPC, Int. Congr., Glasgow, 2003, 1, 93} + TX, fluoxastrobin [361377-29-9] + TX, kresoxim-methyl [143390-89-0] + TX, metominostrobin [133408-50-1] + TX, trifloxystrobin [141517-21-7] + TX, orysastrobin [248593-16-0] + TX, picoxystrobin [117428-22-5] + TX, pyraclostrobin [175013-18-0] + TX, ferbam [14484-64-1] + TX,

mancozeb [8018-01-7] + TX, maneb [12427-38-2] + TX, metiram [9006-42-2] + TX, propineb [12071-83-9] + TX, thiram [137-26-8] + TX, zineb [12122-67-7] + TX, ziram [137-30-4] + TX, captafol [2425-06-1] + TX, captan [133-06-2] + TX, dichlofluanid [1085-98-9] + TX, fluoroimide [41205-21-4] + TX, folpet [133-07-3] + TX, tolylfluanid [731-27-1] + TX, bordeaux mixture [8011-63-0] + TX, copperhydroxid [20427-59-2] + TX, copperoxychlorid [1332-40-7] + TX, coppersulfat [7758-98-7] + TX, copperoxid [1317-39-1] + TX, mancopper [53988-93-5] + TX, oxine-copper [10380-28-6] + TX, dinocap [131-72-6] + TX, nitrothal-isopropyl [10552-74-6] + TX, edifenphos [17109-49-8] + TX, iprobenphos [26087-47-8] + TX, isoprothiolane [50512-35-1] + TX, phosdiphen [36519-00-3] + TX, pyrazophos [13457-18-6] + TX, tolclofos-methyl [57018-04-9] + TX, acibenzolar-S-methyl [135158-54-2] + TX, anilazine [101-05-3] + TX, benthiavalicarb [413615-35-7] + TX, blasticidin-S [2079-00-7] + TX, chinomethionat [2439-01-2] + TX, chloroneb [2675-77-6] + TX, chlorothalonil [1897-45-6] + TX, cyflufenamid [180409-60-3] + TX, cymoxanil [57966-95-7] + TX, dichlone [117-80-6] + TX, diclocymet [139920-32-4] + TX, diclomezine [62865-36-5] + TX, dicloran [99-30-9] + TX, diethofencarb [87130-20-9] + TX, dimethomorph [110488-70-5] + TX, SYP-LI90 (Flumorph) [211867-47-9] + TX, dithianon [3347-22-6] + TX, ethaboxam [162650-77-3] + TX, etridiazole [2593-15-9] + TX, famoxadone [131807-57-3] + TX, fenamidone [161326-34-7] + TX, fenoxanil [115852-48-7] + TX, fentin [668-34-8] + TX, ferimzone [89269-64-7] + TX, fluazinam [79622-59-6] + TX, fluopicolide [239110-15-7] + TX, flusulfamide [106917-52-6] + TX, fenhexamid [126833-17-8] + TX, fosetyl-aluminium [39148-24-8] + TX, hymexazol [10004-44-1] + TX, iprovalicarb [140923-17-7] + TX, IKF-916 (Cyazofamid) [120116-88-3] + TX, kasugamycin [6980-18-3] + TX, methasulfocarb [66952-49-6] + TX, metrafenone [220899-03-6] + TX, pencycuron [66063-05-6] + TX, phthalide [27355-22-2] + TX, polyoxins [11113-80-7] + TX, probenazole [27605-76-1] + TX, propamocarb [25606-41-1] + TX, proquinazid [189278-12-4] + TX, pyroquilon [57369-32-1] + TX, quinoxifen [124495-18-7] + TX, quintozone [82-68-8] + TX, sulphur [7704-34-9] + TX, tiadinil [223580-51-6] + TX, triazoxide [72459-58-6] + TX, tricyclazole [41814-78-2] + TX, triforine [26644-46-2] + TX, validamycin [37248-47-8] + TX, zoxamide (RH7281) [156052-68-5] + TX, mandipropamid [374726-62-2] + TX, isopyrazam [881685-58-1] + TX, sedaxane [874967-67-6] + TX, 3-difluoromethyl-1-methyl-1H-pyrazole-4-carboxylic acid (9-dichloromethylene-1,2,3,4-tetrahydro-1,4-methano-naphthalen-5-yl)-amide (disclosed in WO 2007/048556) + TX, 3-difluoromethyl-1-methyl-1H-pyrazole-4-carboxylic acid [2-(2,4-dichlorophenyl)-2-methoxy-

1-methyl-ethyl]-amide (disclosed in WO 2008/148570) + TX, 1-[4-[4-[(5S)-5-(2,6-difluorophenyl)-4,5-dihydro-1,2-oxazol-3-yl]-1,3-thiazol-2-yl]piperidin-1-yl]-2-[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]ethanone + TX, 1-[4-[4-[5-(2,6-difluorophenyl)-4,5-dihydro-1,2-oxazol-3-yl]-1,3-thiazol-2-yl]piperidin-1-yl]-2-[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]ethanone [1003318-67-9], both disclosed in WO 2010/123791, WO 5 2008/013925, WO 2008/013622 and WO 2011/051243 page 20) + TX, S)-[3-(4-Chloro-2-fluoro-phenyl)-5-(2,4-difluoro-phenyl)-isoxazol-4-yl]-pyridin-3-yl-methanol + TX, 3-(4-Chloro-2-fluoro-phenyl)-5-(2,4-difluoro-phenyl)-isoxazol-4-yl]-pyridin-3-yl-methanol + TX, 3-difluoromethyl-1-methyl-1H-pyrazole-4-carboxylic acid (3',4',5'-trifluoro-biphenyl-2-yl)-amide (disclosed in WO 2006/087343) + TX, 3-(difluoromethyl)-N-methoxy-1-methyl-N-[1-methyl-2-(2,4,6-trichlorophenyl)ethyl]-1H-Pyrazole-4-carboxamide + TX, 4-[(5S)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]-2-methyl-N-(thietan-3-yl)benzamide (WO2011/104089) + TX, 4-[(5R)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]-2-methyl-N-(thietan-3-yl)benzamide (WO2011/104089) + TX, 4-[(5S)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]-2-methyl-N-(cis-1-oxo-thietan-3-yl)benzamide (WO2011/104089) + TX, 4-[(5R)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]-2-methyl-N-(cis-1-oxo-thietan-3-yl)benzamide (WO2011/104089) + TX, 4-[(5S)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]-2-methyl-N-(trans-1-oxo-thietan-3-yl)benzamide (WO2011/104089) + TX, 4-[(5R)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]-2-methyl-N-(trans-1-oxo-thietan-3-yl)benzamide (WO2011/104089) + TX, 4-[(5S)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]-N-(1,1-dioxothietan-3-yl)-2-methyl-benzamide (WO2011/104089) + TX, 4-[(5R)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]-N-(1,1-dioxothietan-3-yl)-2-methyl-benzamide (WO2011/104089) + TX, 4-[(5S)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]-2-methyl-N-[2-oxo-2-(2,2,2-trifluoroethylamino)ethyl]benzamide (WO2011/104089) + TX, 4-[(5R)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]-2-methyl-N-[2-oxo-2-(2,2,2-trifluoroethylamino)ethyl]benzamide (WO2011/104089) + TX, Penflufen [494793-67-8] and TX, 5-[(5S)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]-2-(1,2,4-triazol-1-yl)benzonitrile (WO2007/075459) + TX, 5-[(5R)-5-(3,5-dichlorophenyl)-5-(trifluoromethyl)-4H-isoxazol-3-yl]-2-(1,2,4-triazol-1-yl)benzonitrile (WO2007/075459) + TX.

The components (B) are known. The references in brackets behind the active ingredients, e.g. [3878-19-1] refer to the Chemical Abstracts Registry number. The above described mixing partners are known. Where the active ingredients are included in "The Pesticide Manual" [The Pesticide Manual - A World Compendium; Thirteenth Edition; Editor: C. D. S. Tomlin; The British Crop Protection Council], they are described therein under the entry number given in round brackets hereinabove for the particular compound; for example, the compound "abamectin" is described under entry number (1). Where "[CCN]" is added hereinabove to the particular compound, the compound in question is included in the "Compendium of Pesticide Common Names", which is accessible on the internet under the internet address <http://www.alanwood.net/pesticides/> [A. Wood; Compendium of Pesticide Common Names, Copyright © 1995-2012]; or preferably one of the further pesticides listed below.

In the above different lists of active ingredients to be mixed with a TX, the compound of the formula I is preferably a compound selected from the Tables 1 and 2 and Tables TA01.01 to TA09.11 and Tables TB01.01 to TB01.11; more preferably a compound selected from T1.14, T1.124, T1.1, T1.13, T1.14, T1.15, T1.16, T1.17, T1.2, T1.20, T1.22, T1.23, T1.24, T1.25, T1.28, T1.3, T1.35, T1.42, T1.43, T1.5, T1.6, T1.8, T1.9, T2.1, T2.10, T2.9

In the above-mentioned mixtures of compounds of formula I, in particular a compound selected from said Tables 1 and 2 and Tables TA01.01 to TA09.11 and Tables TB01.01 to TB01.11, with other insecticides, fungicides, herbicides, safeners, adjuvants and the like, the mixing ratios can vary over a large range and are, preferably 100:1 to 1:6000, especially 50:1 to 1:50, more especially 20:1 to 1:20, even more especially 10:1 to 1:10. Those mixing ratios are understood to include, on the one hand, ratios by weight and also, on other hand, molar ratios.

The mixtures comprising a TX selected from Tables 1 and 2 and Tables TA01.01 to TA09.11 and Tables TB01.01 to TB01.11 and one or more active ingredients as described above comprises a compound selected from table P and an active ingredient as described above preferably in a mixing ratio of from 100:1 to 1:6000, especially from 50:1 to 1:50, more especially in a ratio of from 20:1 to 1:20, even more especially from 10:1 to 1:10, very especially from 5:1 and 1:5, special preference being given to a ratio of from 2:1 to 1:2, and a

ratio of from 4:1 to 2:1 being likewise preferred, above all in a ratio of 1:1, or 5:1, or 5:2, or 5:3, or 5:4, or 4:1, or 4:2, or 4:3, or 3:1, or 3:2, or 2:1, or 1:5, or 2:5, or 3:5, or 4:5, or 1:4, or 2:4, or 3:4, or 1:3, or 2:3, or 1:2, or 1:600, or 1:300, or 1:150, or 1:35, or 2:35, or 4:35, or 1:75, or 2:75, or 4:75, or 1:6000, or 1:3000, or 1:1500, or 1:350, or 2:350, or 4:350, or 1:750, or 2:750, or 4:750. Those mixing ratios are understood to include, on the one hand, ratios by weight and also, on other hand, molar ratios.

The mixtures as described above can be used in a method for controlling pests, which comprises applying a composition comprising a mixture as described above to the pests or their environment, with the exception of a method for treatment of the human or animal body by surgery or therapy and diagnostic methods practised on the human or animal body.

The mixtures can advantageously be used in the above-mentioned formulations (in which case "active ingredient" relates to the respective mixture of TX with the mixing partner).

Some mixtures may comprise active ingredients which have significantly different physical, chemical or biological properties such that they do not easily lend themselves to the same conventional formulation type. In these circumstances other formulation types may be prepared. For example, where one active ingredient is a water insoluble solid and the other a water insoluble liquid, it may nevertheless be possible to disperse each active ingredient in the same continuous aqueous phase by dispersing the solid active ingredient as a suspension (using a preparation analogous to that of an SC) but dispersing the liquid active ingredient as an emulsion (using a preparation analogous to that of an EW). The resultant composition is a suspoemulsion (SE) formulation.

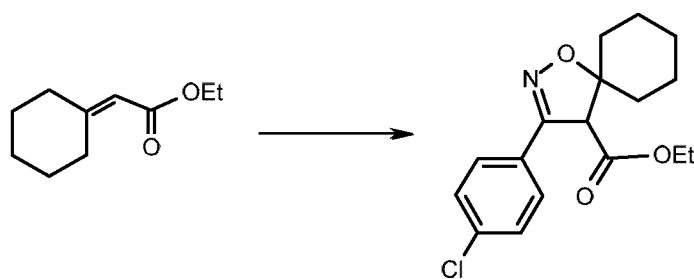
The mixtures comprising a TX selected from Tables 1 and 2 and Tables TA01.01 to TA09.11 and Tables TB01.01 to TB01.11 and one or more active ingredients as described above can be applied, for example, in a single "ready-mix" form, in a combined spray mixture composed from separate formulations of the single active ingredient components, such as a "tank-mix", and in a combined use of the single active ingredients when applied in a sequential manner, i.e. one after the other with a reasonably short period, such as a few hours or days. The order of applying the compounds of formula I selected from Tables 1 and

2 and Tables TA01.01 to TA09.11 and Tables TB01.01 to TB01.11 and the active ingredients as described above is not essential for working the present invention.

The following non-limiting examples illustrate the above-described invention in greater  
5 detail without limiting it.

**Preparatory examples:**

**Preparation of ethyl 3-(4-chlorophenyl)-1-oxa-2-azaspiro[4.5]dec-2-ene-4-carboxylate**

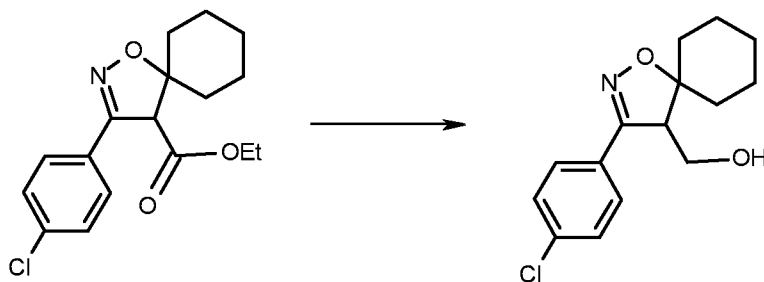


A solution of (*E*)-4-chloro-*N*-hydroxybenzimidoyl chloride (7.60 g; 40 mmol) and ethyl 2-cyclohexylideneacetate (20.19 g; 120 mmol) in *i*-PrOH (100 ml) was treated with sodium  
15 carbonate (6.72 g; 80 mmol) and the resulting mixture was heated at 60°C for 16 h.

The mixture was quenched with water (100 ml) and diluted with DCM (75 ml). The aqueous layer was extracted with DCM (3x75 ml) and the combined organic layers were dried over Na<sub>2</sub>SO<sub>4</sub>. The drying agent was filtered off and after concentration, the residue was purified by column chromatography (heptane/AcOEt = 9/1) to give 9 g of the desired product as a  
20 colorless oil (58%).

**Preparation of 2-(4-chlorophenyl)-4-oxa-3-azaspiro[4.5]dec-2-en-1-yl]methanol**

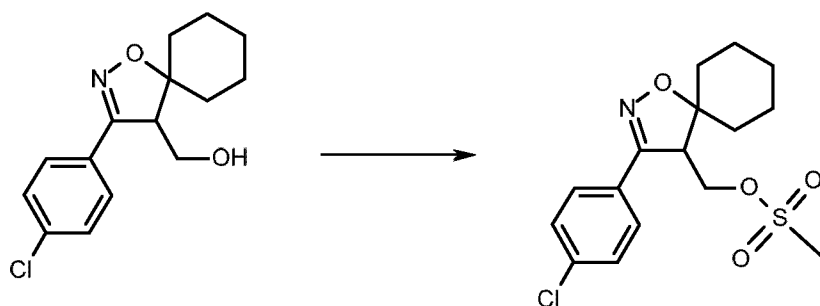
-60-



A solution of ethyl 3-(4-chlorophenyl)-1-oxa-2-azaspiro[4.5]dec-2-ene-4-carboxylate (7.5 g; 23.31 mmol) in dry THF (35 ml) was cooled to 0°C. A THF solution of lithium aluminum hydride (2.4 M; 23.28 mmol; 9.7 ml) was added dropwise over a 15 min. period. The resulting mixture was stirred for 30 min. at 0°C and then quenched with water (3.6 ml) and 2N NaOH (1.2 ml).

After filtration over a plug of Na<sub>2</sub>SO<sub>4</sub>, the organic layer was concentrated and the residue was purified by column chromatography (heptane/AcOEt = 4/1) to afford 1.55 g (23%) of 2-(4-chlorophenyl)-4-oxa-3-azaspiro[4.5]dec-2-en-1-ylmethanol.

#### Preparation of [2-(4-chlorophenyl)-4-oxa-3-azaspiro[4.5]dec-2-en-1-yl]methyl methanesulfonate



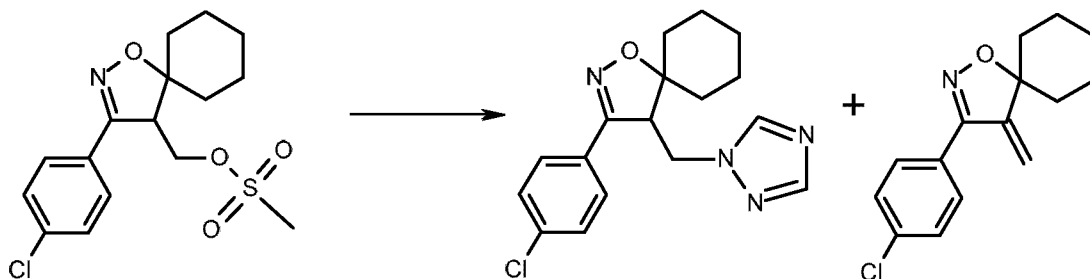
15

To a solution of (3-(4-chlorophenyl)-1-oxa-2-azaspiro[4.5]dec-2-en-4-yl)methanol (1.54 g; 5.5 mmol) and triethylamine (2.3 ml; 16.51 mmol) in dry DCM (25 ml) was added a solution of methanesulfonyl chloride (0.70 g; 6.1 mmol) in dry DCM (5 ml). The mixture was stirred at room temperature for 1 h, diluted with DCM and then successively washed with 0.5N HCl, sat. NaHCO<sub>3</sub>, brine and dried over Na<sub>2</sub>SO<sub>4</sub>. After filtration and concentration under reduced pressure, the desired product was obtained as a pale yellow solid (1.91 g, 97%).

20

**Preparation of 2-(4-chlorophenyl)-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-ene and 2-(4-chlorophenyl)-1-methylene-4-oxa-3-azaspiro[4.5]dec-2-ene**

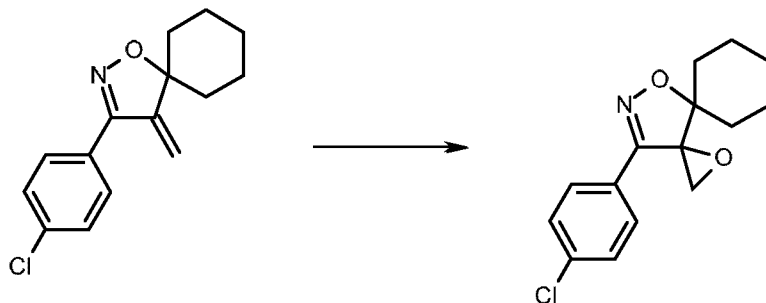
5



To a solution of [2-(4-chlorophenyl)-4-oxa-3-azaspiro[4.5]dec-2-en-1-yl]methyl methanesulfonate (1.91 g; 5.34 mmol) in DMSO (15 ml) were added 1*H*-1,2,4-triazole (442 mg; 6.40 mmol) and powdered potassium carbonate (1.11 g; 8.01 mmol). The mixture was stirred at room temperature overnight and then diluted with water (125 ml) and extracted with AcOEt (150 ml). The organic layer was washed with brine (2x125 ml), dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated *in vacuo*. The residue was purified by flash column chromatography (heptane/AcOEt = 8/2). 2-(4-chlorophenyl)-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-ene was obtained as white solid (367 mg, 20%, LCMS: [MH<sup>+</sup>] = 331/333, mp:113-114°C) together with a major amount of 2-(4-chlorophenyl)-1-methylene-4-oxa-3-azaspiro[4.5]dec-2-ene (903 mg, 65%).

20

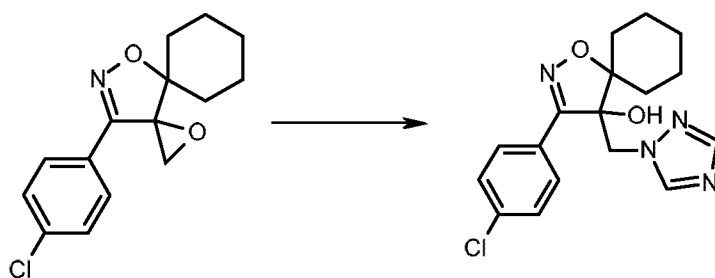
**Preparation of 12-(4-chlorophenyl)-2,10-dioxo-11-azadispiro[2.0.5<sup>4</sup>3<sup>3</sup>]dodec-11-ene**



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To a solution of 2-(4-chlorophenyl)-1-methylene-4-oxa-3-azaspiro[4.5]dec-2-ene (0.85 g; 3.25 mmol) in DCM (10 ml) was added *m*-CPBA (70% in water; 1.20 g; 4.87 mmol) and the mixture was stirred at room temperature for 3 days. The reaction mixture was diluted with DCM (15 ml), washed with sat. NaHCO<sub>3</sub> (3x25 ml), brine (25 ml), dried over Na<sub>2</sub>SO<sub>4</sub>,  
 5 filtered and concentrated under reduced pressure. The residue was purified by flash column chromatography using a mixture of heptane/AcOEt (95/5). The desired product was obtained as white crystalline solid (652 mg, 72%, LCMS [MH<sup>+</sup>] = 278/280)

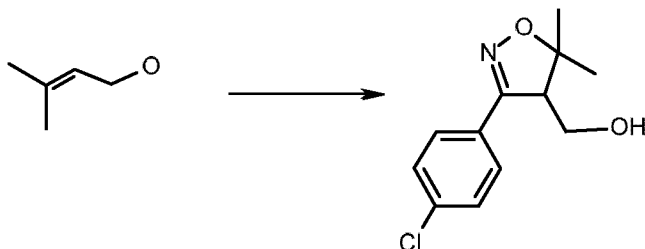
10 **Preparation of 4-((1H-1,2,4-triazol-1-yl)methyl)-3-(4-chlorophenyl)-1-oxa-2-azaspiro[4.5]dec-2-en-4-ol**



To an ice-cooled solution of 1H-1,2,4-triazole (138 mg; 2.0 mmol) in dry DMF (3 ml) under nitrogen was added NaH (60% in mineral oil; 80 mg; 2.0 mmol) and the mixture was stirred  
 15 for 15 min. at 0°C. To this mixture, a solution of 12-(4-chlorophenyl)-2,10-dioxo-11-azadispiro[2.0.5<sup>4</sup>.3<sup>3</sup>]dodec-11-ene (278 mg; 1.0 mmol) in dry DMF (1 ml) was added dropwise and the stirring was continued at room temperature for 2 h. The reaction mixture was quenched with water (30 ml) and AcOEt (30 ml). After separation, the organic layer was  
 20 washed with water (30 ml), brine (30 ml), dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated *in vacuo*. The residue was purified by column chromatography (heptane/AcOEt = 1/1). The desired product was obtained as a white solid (50 mg, 14%, LCMS [MH<sup>+</sup>] = 347/349, mp: 220 – 221°C).

25 **Preparation of [3-(4-chlorophenyl)-5,5-dimethyl-4H-isoxazol-4-yl]methanol**

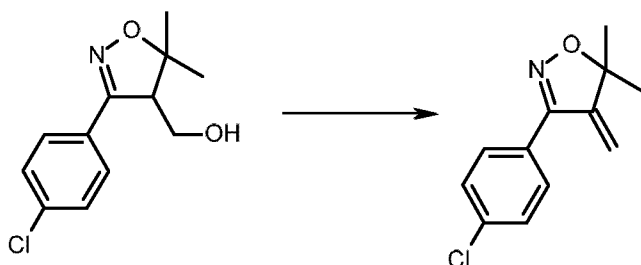
-63-



To a solution of 4-chloro-N-hydroxybenzimidoyl chloride (41.2 g; 217 mmol) and 3-methylbut-2-en-1-ol (110 ml; 1.08 mol) in *i*-PrOH (650 ml) was added sodium bicarbonate (36.4 g; 433 mmol) and the mixture was heated at 65°C for 6 h. The reaction mixture was concentrated and partitioned between AcOEt (1000 ml) and water (1000 ml). After separation, the organic layers were washed with brine (1000 ml), dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated under reduced pressure. The residue was purified by column chromatography (heptane/AcOEt = 8/2) affording 23.8 g (46%) of the desired compound as white crystalline solid.

10

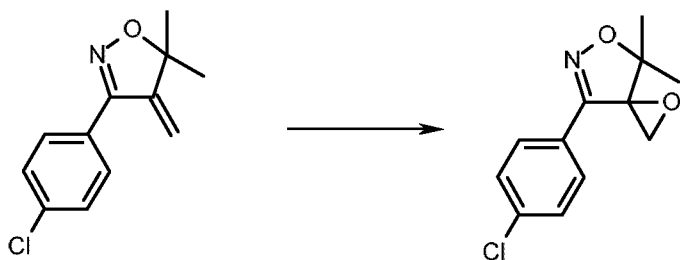
#### Preparation of 3-(4-chlorophenyl)-5,5-dimethyl-4-methylene-isoxazole



A solution of [3-(4-chlorophenyl)-5,5-dimethyl-4H-isoxazol-4-yl]methanol (45.0 g; 188 mmol) and triethylamine (79 ml; 563 mmol) in dry DCM (700 ml) was cooled at 0°C under nitrogen. To this mixture, a solution of mesyl chloride (23.7 g; 207 mmol) in dry DCM (200 ml) was added drop-wise. After complete addition, the stirring was continued at 0°C for 30 min. before addition of DBU (141 ml; 939 mmol). The resulting mixture was stirred at room temperature overnight and then slowly quenched with 2N HCl (700 ml). After separation, the organic layer was washed with water (750 ml), sat. aq. NaHCO<sub>3</sub> (750 ml), brine (750 ml), dried over Na<sub>2</sub>SO<sub>4</sub> and filtered. After concentration, the desired compound was obtained as a pale yellow solid (40 g, 97%).

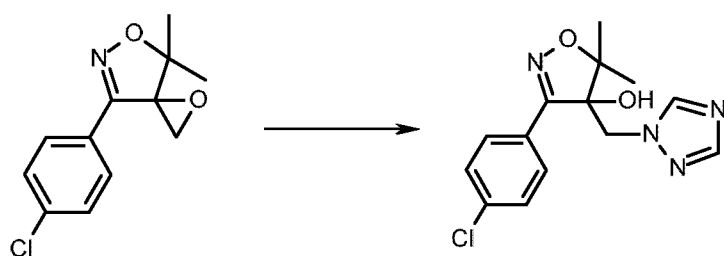
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### Preparation of 4-(4-chlorophenyl)-7,7-dimethyl-2,6-dioxa-5-azaspiro[2.4]hept-4-ene



3-(4-chlorophenyl)-5,5-dimethyl-4-methylene-isoxazole (82.1 g; 0.37 mol) was dissolved in DCM (1000 ml) and *m*-CPBA (140 g; 0.57 mol) was added portion wise. The mixture was stirred for 4 days at room temperature. The precipitate was filtered off and washed with DCM and the filtrate was cooled in ice and carefully quenched with 0.5 M sodium metabisulfite (1000 ml). DCM (1000 ml) and water (1000 ml) were added and after separation, the organic layer was washed successively with sat. aq. NaHCO<sub>3</sub> (2x2000 ml), 0.25 M sodium metabisulfite (2000 ml), brine (2000 ml), dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and then concentrated *in vacuo*. The residue was recrystallised from heptane obtaining 71.6 g (81%) of the desired product as white crystals.

### Preparation of 3-(4-chlorophenyl)-5,5-dimethyl-4-(1,2,4-triazol-1-ylmethyl)isoxazol-4-ol



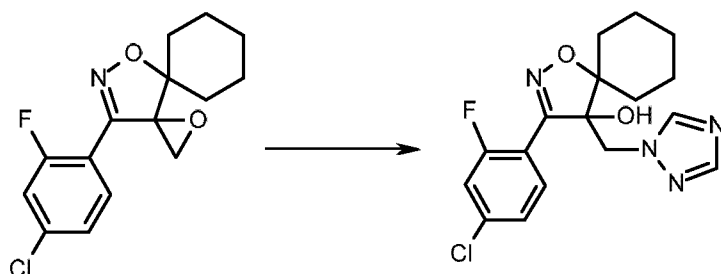
4-(4-chlorophenyl)-7,7-dimethyl-2,6-dioxa-5-azaspiro[2.4]hept-4-ene (36.3 g; 153 mmol) was dissolved in dry DMF (450 ml) and to this solution, 1*H*-1,2,4-triazole (13.7 g; 0.20 mol) and potassium carbonate (27.4 g; 0.20 mmol) were added. The mixture was stirred overnight at room temperature.

DMF was removed *in vacuo* and the residue was then dissolved in AcOEt (1000 ml) and water (1000 ml). After separation, the organic layer was washed with brine (2x 750 ml), dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated *in vacuo*. The residue was purified by column

-65-

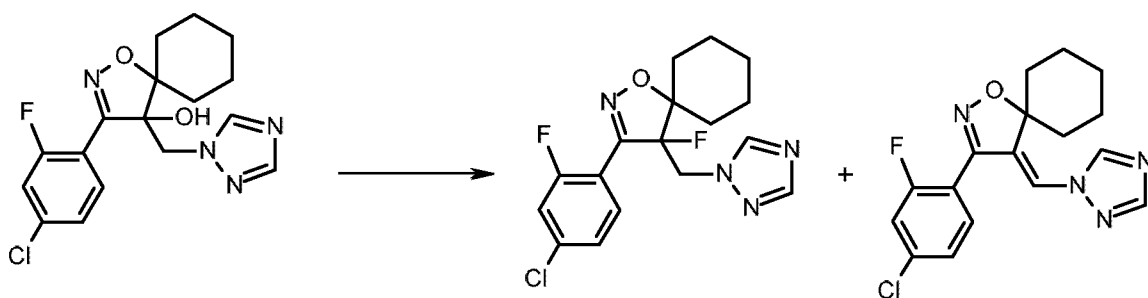
chromatography (heptane/AcOEt = 1/1). After recrystallisation from heptane, the product was obtained as a white solid (35.4 g, 75%, LCMS  $[MH^+] = 307/309$ , mp: 177-178°C)

**Preparation of 2-(4-chloro-2-fluoro-phenyl)-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol**



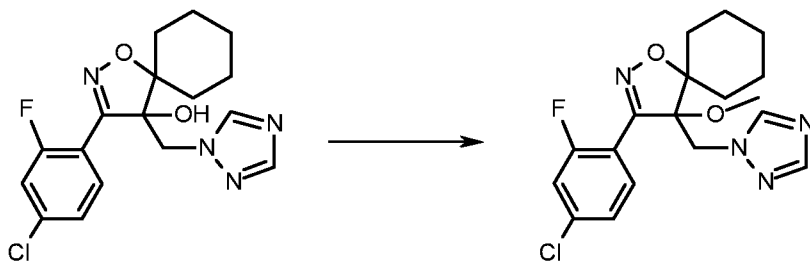
12-(4-chloro-2-fluoro-phenyl)-2,10-dioxo-11-azadispiro[2.0.5.3]dodec-11-ene (296 mg; 1 mmol), 1*H*-1,2,4-triazole (83 mg; 1.2 mmol) and ethyltriphenyl phosphonium bromide (18 mg; 0.05 mmol) were dissolved in dry acetonitrile (0.5 ml). The reaction mixture was stirred at 90°C for 3 h. The reaction was then allowed to reach room temperature and the formation of a white crystalline product was observed. The solid was filtered off, washed with acetonitrile and dried to afford the title compound as white crystals (197 mg, 54 %, mp: 198-199°C).

**Preparation of 2-(4-chloro-2-fluoro-phenyl)-1-fluoro-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-ene and (Z)-2-(4-chloro-2-fluoro-phenyl)-1-(1,2,4-triazol-1-ylmethylene)-4-oxa-3-azaspiro[4.5]dec-2-ene**



2-(4-chloro-2-fluoro-phenyl)-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol (110 mg; 0.3 mmol) was dissolved in DCM (2 ml) and the resulting solution was cooled to -40°C under inert atmosphere. (Diethylamino)sulfur trifluoride (DAST) (48 mg; 0.3 mmol) was added dropwise with a syringe to the solution. After addition, the mixture was allowed to warm up to room temperature during 2 h. The reaction was quenched with a mixture of ice-water (5 ml) and the organic layer was then washed with water, brine and dried over Na<sub>2</sub>SO<sub>4</sub>. The solvent was evaporated and the residue was separated by column chromatography (hexane/AcOEt = 1/1). Two compounds were isolated: (Z)-2-(4-chloro-2-fluoro-phenyl)-1-(1,2,4-triazol-1-ylmethylene)-4-oxa-3-azaspiro[4.5]dec-2-ene (19 mg, 18 %, mp: 139-143°C) and 2-(4-chloro-2-fluoro-phenyl)-1-fluoro-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-ene (62 mg, 56 %, amorphous).

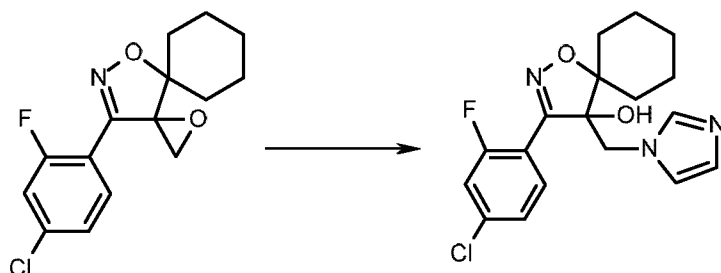
**Preparation of 2-(4-chloro-2-fluoro-phenyl)-1-methoxy-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-ene**



To a solution of 2-(4-chloro-2-fluoro-phenyl)-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol (120 mg; 3.3 mmol) in dry THF (10 ml) at -10°C was added NaH (60 % in mineral oil, 15.8 mg; 3.9 mmol). The mixture was stirred at this temperature for 20 min. before the addition of methyl iodide (55.3 mg; 3.9 mmol). The reaction was allowed to reach room temperature and then stirred overnight. The solution was poured into water (20 ml) and extracted with AcOEt (3x10 ml). The combined organic layers were washed with water, brine, dried over Na<sub>2</sub>SO<sub>4</sub> and filtered. After evaporation, the residue was purified by column chromatography (hexane/AcOEt = 1/1) to afford 52 mg (41%) of desired compound as amorphous material.

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**Preparation of 2-(4-chloro-2-fluoro-phenyl)-1-(imidazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol**



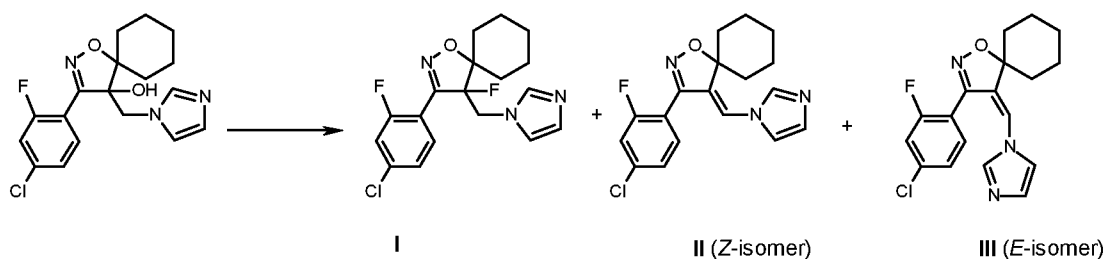
5

A mixture of 12-(4-chloro-2-fluoro-phenyl)-2,10-dioxo-11-azadispiro[2.0.5.3]dodec-11-ene (592 mg; 2 mmol), imidazole (150 mg; 2.2 mmol) and ethyltriphenyl phosphonium bromide (37 mg; 0.1 mmol) were dissolved in dry acetonitrile (1.5 ml). The reaction mixture was heated at 90°C for 1 h. After cooling, the precipitation of a white crystalline solid was observed and was filtered off, washed with acetonitrile and dried. The desired compound was isolated as a crystalline material (450 mg, 62 %, mp: 221-222°C).

10

**Preparation of 2-(4-chloro-2-fluoro-phenyl)-1-fluoro-1-(imidazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-ene, (Z)-2-(4-chloro-2-fluoro-phenyl)-1-(imidazol-1-ylmethylene)-4-oxa-3-azaspiro[4.5]dec-2-ene and (E)-2-(4-chloro-2-fluoro-phenyl)-1-(imidazol-1-ylmethylene)-4-oxa-3-azaspiro[4.5]dec-2-ene**

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20

To a solution of 2-(4-chloro-2-fluoro-phenyl)-1-(imidazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol (500 mg; 1.37 mmol) in DCM (10 ml) under inert atmosphere at -40°C was added (diethylamino)sulfur trifluoride (DAST) (331 mg; 2.05 mmol) followed by triethylamine (416 mg; 4.11 mmol). The mixture was stirred at 2 h at this temperature and

-68-

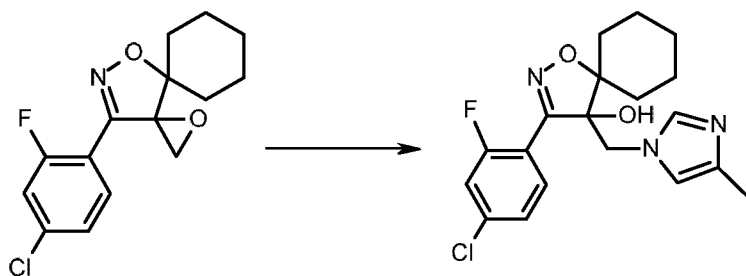
then allowed to warm up to room temperature over 4 h. The clear solution was poured into ice-water (10 ml) and extracted with DCM (3x10 ml). After separation, the combined organic layers were washed with water, brine, dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated *in vacuo*. The crude residue was separated by column chromatography (hexane/AcOEt = 1/2) isolating three compounds:

**I** (50 mg, 10 %, mp: 185-188°C)

**II** (220 mg, 46.4 %, mp: 106-110°C)

**III** (32 mg, 6.7 %, mp: 153-155°C)

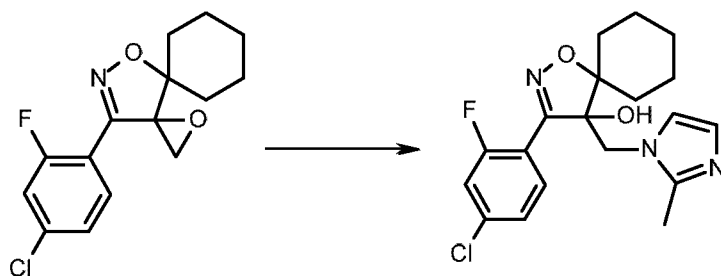
**Preparation of 2-(4-chloro-2-fluoro-phenyl)-1-[(4-methylimidazol-1-yl)methyl]-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol**



To a solution of 12-(4-chloro-2-fluoro-phenyl)-2,10-dioxo-11-azadispiro[2.0.5.3]dodec-11-ene (200 mg; 0.676 mmol) and 4-methyl-imidazole (83 mg; 1.01 mmol) in *N*-methyl-2-pyrrolidone (1.5 ml) was added ethyltriphenyl phosphonium bromide (12 mg; 0.034 mmol). The reaction mixture was submitted to microwave irradiation at 80°C over 105 min. Water (5 ml) was then added to the solution, followed by AcOEt (10 ml). After separation, the organic layer was washed with brine, dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated *in vacuo*. The residue was purified by column chromatography (AcOEt/MeOH = 99/1) to furnish the title product as a crystalline material (58 mg, 24 %, mp: 214-216°C).

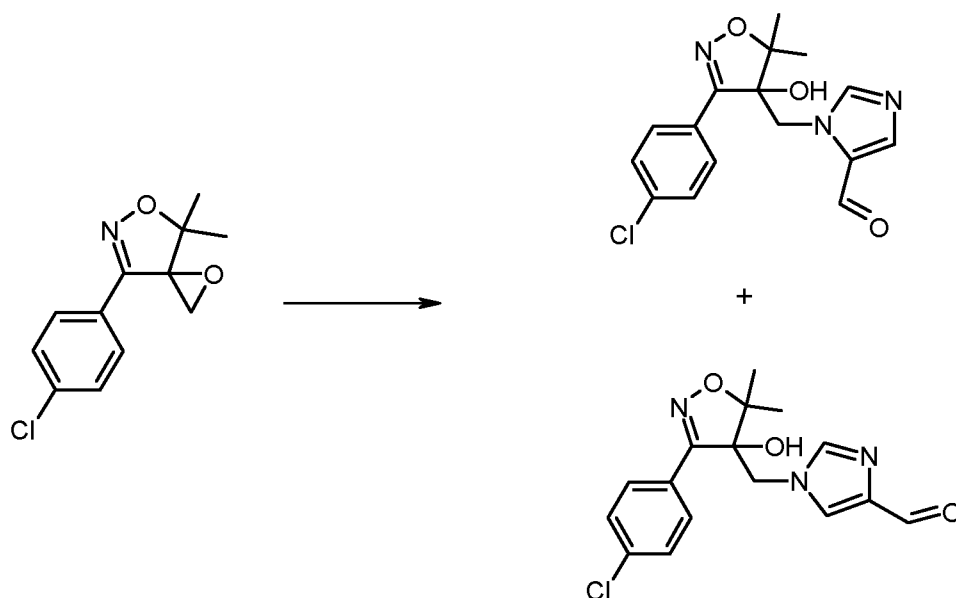
**Preparation of 2-(4-chloro-2-fluoro-phenyl)-1-[(2-methylimidazol-1-yl)methyl]-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol**

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To the solution of 12-(4-chloro-2-fluorophenyl)-2,10-dioxaspiro[2.0.5.3]dodec-11-ene (200 mg; 0.676 mmol) and 2-methyl-imidazole (83 mg; 1.01 mmol) in dry acetonitrile (3 ml) was added ethyltriphenyl phosphonium bromide (12 mg; 0.034 mmol). The reaction mixture was submitted to microwave irradiation at 100°C over 75 min. The solvent was evaporated and the residue was dissolved in AcOEt (10 ml), washed with water (10 ml), brine (10 ml) and dried over Na<sub>2</sub>SO<sub>4</sub>. After filtration and evaporation, the residue was purified by column chromatography (hexane/AcOEt = 1/2) to furnish the title compound as a crystalline material (85 mg, 33 %, mp: 182-184°C).

### Preparation 3-[[3-(4-chlorophenyl)-4-hydroxy-5,5-dimethyl-isoxazol-4-yl]methyl]imidazole-4-carbaldehyde



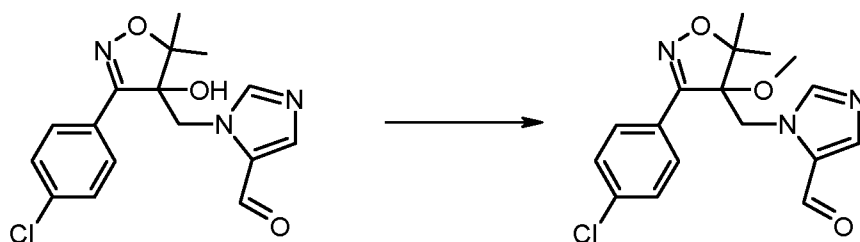
To a solution of 4-(4-chlorophenyl)-7,7-dimethyl-2,6-dioxaspiro[2.4]hept-4-ene (5 g, 21.04 mmol) in DMF (50 ml) was added potassium carbonate (3.8 g, 27.35 mmol) and 1*H*-

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imidazole-4-carbaldehyde (2.63 g, 27.35 mmol). The resulting mixture was stirred overnight at room temperature and then quenched by addition of water (300 ml) followed by AcOEt (300 ml). After separation, the organic layer was washed with brine, dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated *in vacuo*. The residue was purified by reverse phase

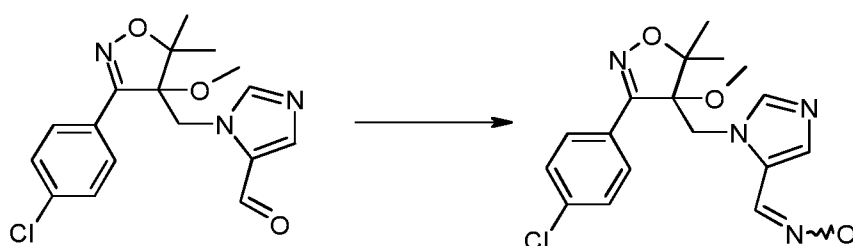
- 5 chromatography (20 to 40% Acetonitrile in water) obtaining 3-[[3-(4-chlorophenyl)-4-hydroxy-5,5-dimethyl-isoxazol-4-yl]methyl]imidazole-4-carbaldehyde (2 g, 28.5%, mp: 162-164°C) and 1-[[3-(4-chlorophenyl)-4-hydroxy-5,5-dimethyl-isoxazol-4-yl]methyl]imidazole-4-carbaldehyde (3.6 g, 51.3%, mp: 181-183°C) as crystalline materials.

10 **Preparation of 3-[[3-(4-chlorophenyl)-4-methoxy-5,5-dimethyl-isoxazol-4-yl]methyl]imidazole-4-carbaldehyde**



- To a solution of 3-[[3-(4-chlorophenyl)-4-hydroxy-5,5-dimethyl-isoxazol-4-yl]methyl]imidazole-4-carbaldehyde (300 mg, 0.9 mmol) and methyl iodide (640 mg, 4.5 mmol) in THF (6 ml) at 0-5°C was added NaH (60% in mineral oil; 50 mg; 1.1 mmol) and the resulting mixture was stirred at room temperature for 30 min. Water (5 ml) was added followed by AcOEt (10 ml). After separation, the organic layer was washed with brine, dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated *in vacuo*. The residue was purified by column chromatography (AcOEt) to furnish the title compound as amorphous solid (40 mg, 13%).

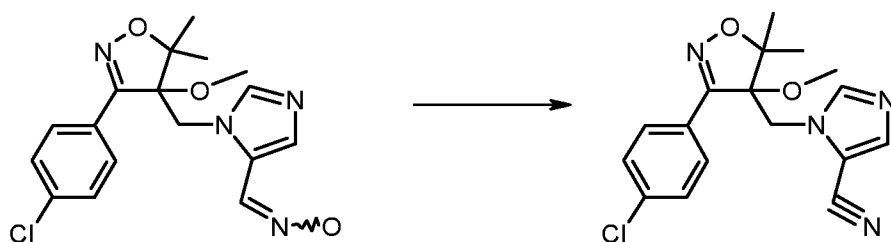
**Preparation of (4)-3-[[3-(4-chlorophenyl)-4-methoxy-5,5-dimethyl-isoxazol-4-yl]methyl]imidazole-4-carbaldehyde oxime**



-71-

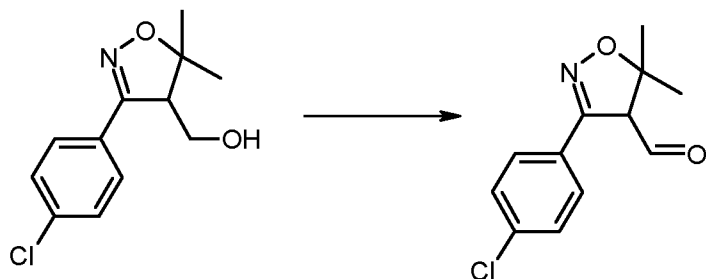
A mixture of 3-[[3-(4-chlorophenyl)-4-methoxy-5,5-dimethyl-isoxazol-4-yl]methyl]imidazole-4-carbaldehyde (40 mg, 0.1 mmol), hydroxylamine hydrochloride (16 mg, 0.2 mmol), sodium bicarbonate (19.3 mg, 0.2 mmol) in THF/water (1/0.2 ml) was stirred at room temperature for 5 h. After this time, a second amount of hydroxylamine hydrochloride (16 mg, 0.2 mmol), and sodium bicarbonate (19.3 mg, 0.2 mmol) were added and the reaction was stirred overnight. The reaction was quenched with water (5 ml) and diluted with AcOEt (10 ml). After separation, the organic layer was washed with brine, dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated *in vacuo*. The desired compound was obtained as a crystalline material (40 mg, 96%).

**Preparation of 3-[[3-(4-chlorophenyl)-4-methoxy-5,5-dimethyl-isoxazol-4-yl]methyl]imidazole-4-carbonitrile**



(4)-3-[[3-(4-chlorophenyl)-4-methoxy-5,5-dimethyl-isoxazol-4-yl]methyl]imidazole-4-carbaldehyde oxime (30 mg, 0.1 mmol) was refluxed in acetic anhydride (2.5 ml) for 18 h. The reaction mixture was poured over ice and the pH was adjusted to 8 with sodium carbonate followed by extraction with AcOEt (10 ml). The organic layer was washed with brine (5 ml), dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated *in vacuo*. The residue was purified by column chromatography (AcOEt) to furnish the title compound as amorphous solid (11 mg, 39%).

**Preparation of 3-(4-chlorophenyl)-5,5-dimethyl-4H-isoxazole-4-carbaldehyde**



-72-

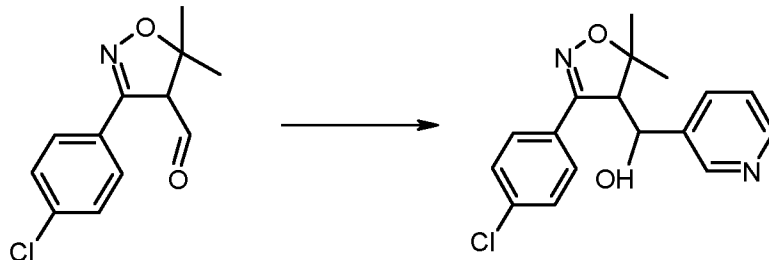
Under nitrogen, oxalyl chloride (0.105 ml; 1.2 mmol) was dissolved in DCM (2.5 ml) and cooled to  $-78^{\circ}\text{C}$  before addition of DMSO (0.149 ml; 2.1 mmol; 2.1 eq) in DCM (3 ml). After stirring at  $-78^{\circ}\text{C}$  for 15 min, a solution of [3-(4-chlorophenyl)-5,5-dimethyl-4H-isoxazol-4-yl]methanol (240 mg; 1.0 mmol) in DCM (3 ml) was added and stirring was

5 continued at

$-78^{\circ}\text{C}$  for 20 min. Triethylamine (0.70 ml; 5.0 mmol) was then added drop-wise and stirring was continued at  $-78^{\circ}\text{C}$  for 30 min. The cooling bath was removed and the reaction was allowed to stir at room temperature for 1 h. The reaction mixture was diluted with DCM (10 ml) and then 1N HCl (10 ml) was added. After separation, the organic layer was dried over  $\text{Na}_2\text{SO}_4$ , filtered and concentrated *in vacuo*. The residue was purified by column chromatography (heptane/AcOEt = 9/1) to obtain the title compound as a white solid (137 mg, 57%).

#### Preparation of [3-(4-chlorophenyl)-5,5-dimethyl-4H-isoxazol-4-yl]-(3-pyridyl)methanol

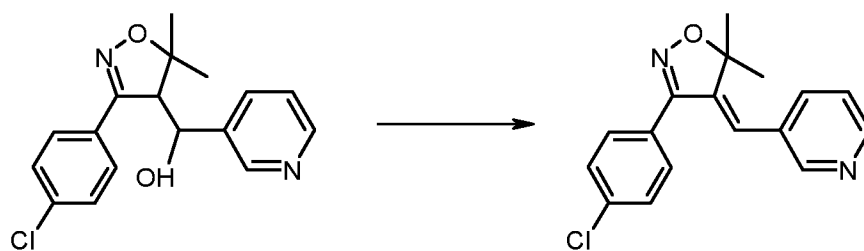
15



A solution of 3-bromopyridine (207 mg; 1.31 mmol) in dry diethyl ether (5 ml) was cooled to  $-78^{\circ}\text{C}$  and *n*-BuLi (1.6 M in hexanes; 0.76 ml; 1.21 mmol) was added drop-wise. After stirring for 30 min at this temperature, a solution of 3-(4-chlorophenyl)-5,5-dimethyl-4H-isoxazole-4-carbaldehyde (240 mg; 1.00 mmol) in dry THF (4 ml) was added drop-wise. After further 30 min at  $-78^{\circ}\text{C}$ , the reaction mixture was quenched with water (10 ml) followed by AcOEt (10 ml). After separation, the organic layer was dried over  $\text{Na}_2\text{SO}_4$ , filtered and concentrated *in vacuo*. The residue was purified by column chromatography (DCM/MeOH = 98/2). The product was obtained as a white solid (129 mg, 40%, mp:  $142-148^{\circ}\text{C}$ ).

#### Preparation of (4Z)-3-(4-chlorophenyl)-5,5-dimethyl-4-(3-pyridylmethylene)isoxazole

-73-

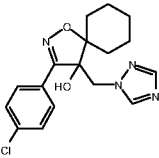
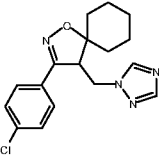
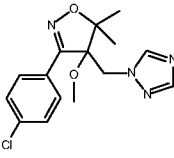
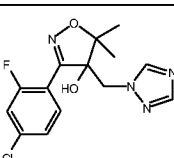
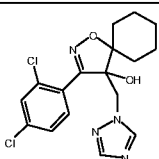
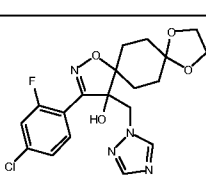
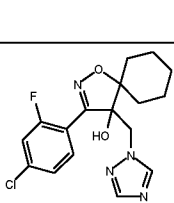
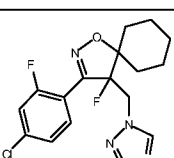
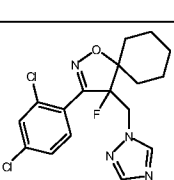


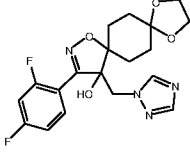
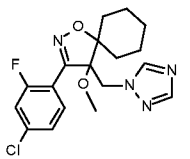
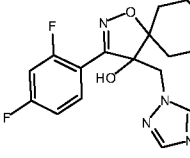
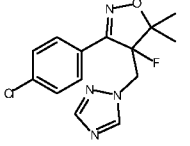
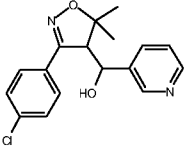
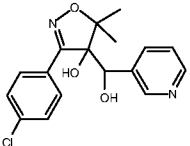
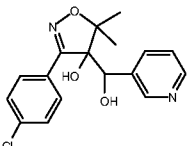
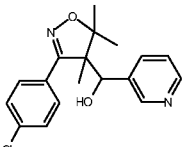
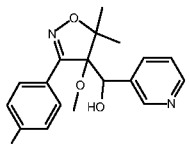
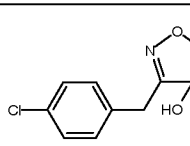
To a solution of [3-(4-chlorophenyl)-5,5-dimethyl-4H-isoxazol-4-yl]-(3-pyridyl)methanol (174 mg; 0.55 mmol) and triethylamine (0.23 ml; 1.65 mmol) in DCM (2 ml) was added drop-wise mesyl chloride (92 mg; 0.71 mmol). The mixture was stirred at room temperature for 1 h. DBU (0.41 ml; 2.75 mmol) was then added and the reaction mixture was stirred overnight at room temperature. The reaction mixture was concentrated and purified by column chromatography (hexane/AcOEt = 1/1). The product was isolated as an oil containing a *cis/trans* mixture (1:1) (30 mg, 19%, mp: 71-73°C).

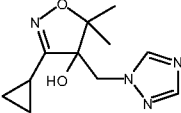
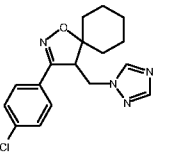
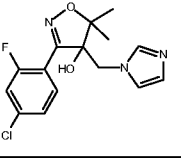
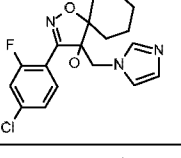
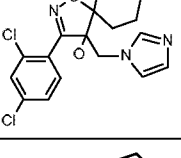
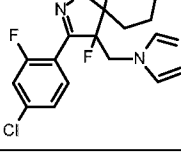
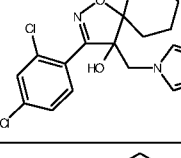
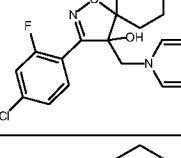
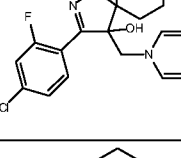
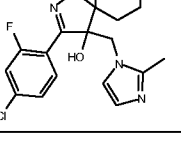
Additional compounds in Table T1 and Table T2 are prepared by similar methods using appropriate starting materials.

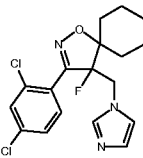
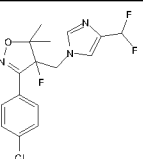
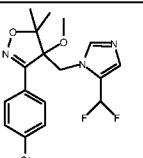
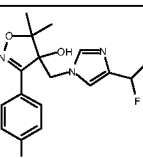
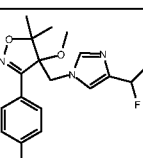
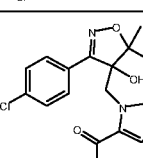
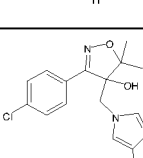
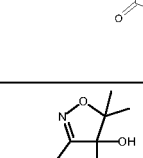
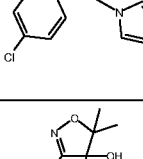
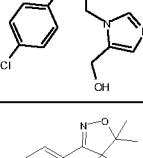
**Table T1**

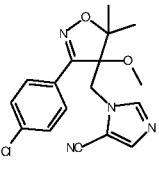
| Comp<br>ound | Name                                                                      | Structure | [MH+]<br>(rit. time )   | Mp<br>(°C) |
|--------------|---------------------------------------------------------------------------|-----------|-------------------------|------------|
| T1.1         | 3-(4-chlorophenyl)-5,5-dimethyl-4-(1,2,4-triazol-1-ylmethyl)-4H-isoxazole |           |                         | 104-105    |
| T1.2         | 3-(4-chlorophenyl)-5,5-dimethyl-4-(1,2,4-triazol-1-ylmethyl)isoxazol-4-ol |           |                         | 177-178    |
| T1.3         | 3-(4-chlorophenyl)-4,5,5-trimethyl-4-(1,2,4-triazol-1-ylmethyl)isoxazole  |           | [305/307]<br>(3.18 min) |            |

|              |                                                                                                                     |                                                                                     |                         |         |
|--------------|---------------------------------------------------------------------------------------------------------------------|-------------------------------------------------------------------------------------|-------------------------|---------|
| <b>T1.4</b>  | 2-(4-chlorophenyl)-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol                                  |    |                         | 220-221 |
| <b>T1.5</b>  | 2-(4-chlorophenyl)-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-ene                                      |    |                         | 113-114 |
| <b>T1.6</b>  | 3-(4-chlorophenyl)-4-methoxy-5,5-dimethyl-4-(1,2,4-triazol-1-ylmethyl)isoxazole                                     |    |                         | 138-139 |
| <b>T1.7</b>  | 3-(4-chloro-2-fluoro-phenyl)-5,5-dimethyl-4-(1,2,4-triazol-1-ylmethyl)isoxazol-4-ol                                 |    |                         | 155-156 |
| <b>T1.8</b>  | 2-(2,4-dichlorophenyl)-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol                              |   |                         | 215-219 |
| <b>T1.9</b>  | 2-(4-chloro-2-fluoro-phenyl)-1-(1,2,4-triazol-1-ylmethyl)-4,9,12-trioxa-3-azadispiro[4.2.4^8.2^5]tetradec-2-en-1-ol |  |                         | 94-95   |
| <b>T1.10</b> | 2-(4-chloro-2-fluoro-phenyl)-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol                        |  |                         | 198-199 |
| <b>T1.11</b> | 2-(4-chloro-2-fluoro-phenyl)-1-fluoro-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-ene                   |  | [367/369]<br>(1.81 min) |         |
| <b>T1.12</b> | 2-(2,4-dichlorophenyl)-1-fluoro-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-ene                         |  |                         | 124-126 |

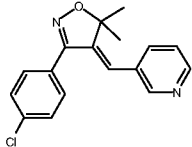
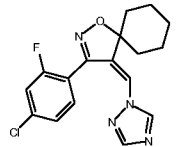
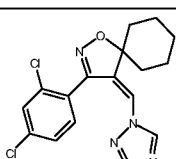
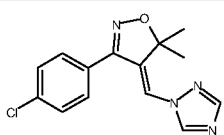
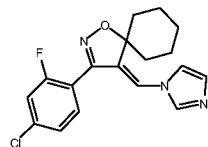
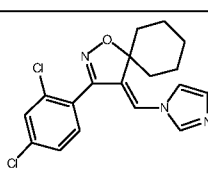
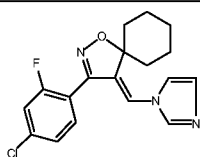
|              |                                                                                                                          |                                                                                     |                                     |         |
|--------------|--------------------------------------------------------------------------------------------------------------------------|-------------------------------------------------------------------------------------|-------------------------------------|---------|
| <b>T1.13</b> | 2-(2,4-difluorophenyl)-1-(1,2,4-triazol-1-ylmethyl)-4,9,12-trioxa-3-azadispiro[4.2.4 <sup>8.2</sup> 5]tetradec-2-en-1-ol |    | [407/409]<br>(1.40 min)             |         |
| <b>T1.14</b> | 2-(4-chloro-2-fluoro-phenyl)-1-methoxy-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-ene                       |    | [379/381]<br>(1.83 min)             |         |
| <b>T1.15</b> | 2-(2,4-difluorophenyl)-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol                                   |    |                                     | 193-196 |
| <b>T1.16</b> | 3-(4-chlorophenyl)-4-fluoro-5,5-dimethyl-4-(1,2,4-triazol-1-ylmethyl)isoxazole                                           |   | [309/311]<br>(1.64 min)             |         |
| <b>T1.17</b> | [3-(4-chlorophenyl)-5,5-dimethyl-4H-isoxazol-4-yl]-(3-pyridyl)methanol                                                   |  |                                     | 142-148 |
| <b>T1.18</b> | 3-(4-chlorophenyl)-4-[hydroxy(3-pyridyl)methyl]-5,5-dimethyl-isoxazol-4-ol                                               |  | [333/335]<br>(2.06 min)<br>Isomer A |         |
| <b>T1.19</b> | 3-(4-chlorophenyl)-4-[hydroxy(3-pyridyl)methyl]-5,5-dimethyl-isoxazol-4-ol                                               |  | [333/335]<br>(1.97 min)<br>Isomer B |         |
| <b>T1.20</b> | [3-(4-chlorophenyl)-4,5,5-trimethyl-isoxazol-4-yl]-(3-pyridyl)methanol                                                   |  | [331/333]<br>(2.56 min)             |         |
| <b>T1.21</b> | [3-(4-chlorophenyl)-4-methoxy-5,5-dimethyl-isoxazol-4-yl]-(3-pyridyl)methanol                                            |  | [347/349]<br>(2.61 min)             |         |
| <b>T1.22</b> | 3-[(4-chlorophenyl)methyl]-5,5-dimethyl-4-(1,2,4-triazol-1-ylmethyl)isoxazol-4-ol                                        |  | [321/323]<br>(3.08 min)             |         |

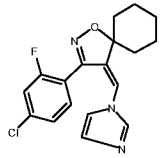
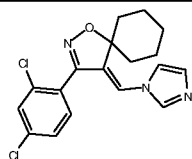
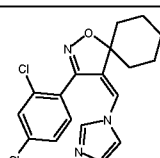
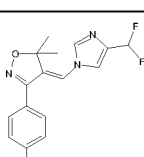
|              |                                                                                                                     |                                                                                     |  |         |
|--------------|---------------------------------------------------------------------------------------------------------------------|-------------------------------------------------------------------------------------|--|---------|
| <b>T1.23</b> | 3-cyclopropyl-5,5-dimethyl-4-(1,2,4-triazol-1-ylmethyl)isoxazol-4-ol                                                |    |  | 106-108 |
| <b>T1.24</b> | 2-(4-chlorophenyl)-1-(1,2,4-triazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-ene                                      |    |  | 113-114 |
| <b>T1.25</b> | 3-(4-chloro-2-fluoro-phenyl)-4-(imidazol-1-ylmethyl)-5,5-dimethyl-isoxazol-4-ol                                     |    |  | 186-187 |
| <b>T1.26</b> | 2-(4-chloro-2-fluoro-phenyl)-1-(imidazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol                             |    |  | 221-222 |
| <b>T1.27</b> | 2-(2,4-dichlorophenyl)-1-(imidazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol                                   |   |  | 220-224 |
| <b>T1.28</b> | 2-(4-chloro-2-fluoro-phenyl)-1-fluoro-1-(imidazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-ene                        |  |  | 185-188 |
| <b>T1.29</b> | 2-(2,4-dichlorophenyl)-1-[(4-methylimidazol-1-yl)methyl]-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol                         |  |  | 124-127 |
| <b>T1.30</b> | 2-(4-chloro-2-fluoro-phenyl)-1-[(4-methylimidazol-1-yl)methyl]-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol                   |  |  | 214-216 |
| <b>T1.31</b> | methyl 1-[[2-(4-chloro-2-fluoro-phenyl)-1-hydroxy-4-oxa-3-azaspiro[4.5]dec-2-en-1-yl]methyl]imidazole-4-carboxylate |  |  | 147-149 |
| <b>T1.32</b> | 2-(4-chloro-2-fluoro-phenyl)-1-[(2-methylimidazol-1-yl)methyl]-4-oxa-3-azaspiro[4.5]dec-2-en-1-ol                   |  |  | 182-184 |

|              |                                                                                                   |                                                                                     |                         |         |
|--------------|---------------------------------------------------------------------------------------------------|-------------------------------------------------------------------------------------|-------------------------|---------|
| <b>T1.33</b> | 2-(2,4-dichlorophenyl)-1-fluoro-1-(imidazol-1-ylmethyl)-4-oxa-3-azaspiro[4.5]dec-2-ene            |    |                         | 118-121 |
| <b>T1.34</b> | 3-(4-chlorophenyl)-4-[[4-(difluoromethyl)imidazol-1-yl]methyl]-4-fluoro-5,5-dimethyl-isoxazole    |    | [358/360]<br>(1.76 min) |         |
| <b>T1.35</b> | 3-(4-chlorophenyl)-4-[[5-(difluoromethyl)imidazol-1-yl]methyl]-4-methoxy-5,5-dimethyl-isoxazole   |    | [370/372]<br>(1.72 min) |         |
| <b>T1.36</b> | 3-(4-chlorophenyl)-4-[[4-(difluoromethyl)imidazol-1-yl]methyl]-5,5-dimethyl-isoxazol-4-ol         |    | [356/358]<br>(1.55 min) |         |
| <b>T1.37</b> | 3-(4-chlorophenyl)-4-[[4-(difluoromethyl)imidazol-1-yl]methyl]-4-methoxy-5,5-dimethyl-isoxazole   |   | [370/372]<br>(1.79 min) |         |
| <b>T1.38</b> | 3-[[3-(4-chlorophenyl)-4-hydroxy-5,5-dimethyl-isoxazol-4-yl]methyl]imidazole-4-carbaldehyde       |  |                         | 162-164 |
| <b>T1.39</b> | 1-[[3-(4-chlorophenyl)-4-hydroxy-5,5-dimethyl-isoxazol-4-yl]methyl]imidazole-4-carbaldehyde       |  |                         | 181-183 |
| <b>T1.40</b> | 3-(4-chlorophenyl)-5,5-dimethyl-4-[(4-nitroimidazol-1-yl)methyl]isoxazol-4-ol                     |  |                         | 217-219 |
| <b>T1.41</b> | 3-(4-chlorophenyl)-4-[[5-(hydroxymethyl)imidazol-1-yl]methyl]-5,5-dimethyl-isoxazol-4-ol          |  |                         | 112-114 |
| <b>T1.42</b> | 3-[[3-(4-chlorophenyl)-4-methoxy-5,5-dimethyl-isoxazol-4-yl]methyl]imidazole-4-carbaldehyde oxime |  | [363/365]<br>(1.16 min) |         |

|              |                                                                                             |                                                                                   |                         |  |
|--------------|---------------------------------------------------------------------------------------------|-----------------------------------------------------------------------------------|-------------------------|--|
| <b>T1.43</b> | 3-[[3-(4-chlorophenyl)-4-methoxy-5,5-dimethyl-isoxazol-4-yl]methyl]imidazole-4-carbonitrile |  | [345/347]<br>(1.78 min) |  |
|--------------|---------------------------------------------------------------------------------------------|-----------------------------------------------------------------------------------|-------------------------|--|

**Table T2**

| Compound    | Name                                                                                        | Structure                                                                            | [MH+]<br>(rit. time )   | Mp<br>(°C) |
|-------------|---------------------------------------------------------------------------------------------|--------------------------------------------------------------------------------------|-------------------------|------------|
| <b>T2.1</b> | 3-(4-chlorophenyl)-5,5-dimethyl-4-(3-pyridylmethylene)isoxazole                             |    |                         | 71-73      |
| <b>T2.2</b> | 2-(4-chloro-2-fluoro-phenyl)-1-(1,2,4-triazol-1-ylmethylene)-4-oxa-3-azaspiro[4.5]dec-2-ene |   |                         | 139-142    |
| <b>T2.3</b> | 2-(2,4-dichlorophenyl)-1-(1,2,4-triazol-1-ylmethylene)-4-oxa-3-azaspiro[4.5]dec-2-ene       |  |                         | 132-136    |
| <b>T2.4</b> | 3-(4-chlorophenyl)-5,5-dimethyl-4-(1,2,4-triazol-1-ylmethylene)isoxazole                    |  | [289/291]<br>(1.74 min) |            |
| <b>T2.5</b> | 2-(4-chloro-2-fluoro-phenyl)-1-(imidazol-1-ylmethylene)-4-oxa-3-azaspiro[4.5]dec-2-ene      |  | [346/348]<br>(1.60 min) |            |
| <b>T2.6</b> | 2-(2,4-dichlorophenyl)-1-(imidazol-1-ylmethylene)-4-oxa-3-azaspiro[4.5]dec-2-ene            |  | [362/364]<br>(1.62 min) |            |
| <b>T2.7</b> | (1Z)-2-(4-chloro-2-fluoro-phenyl)-1-(imidazol-1-ylmethylene)-4-oxa-3-azaspiro[4.5]dec-2-ene |  |                         | 106-110    |

|              |                                                                                            |                                                                                    |                         |         |
|--------------|--------------------------------------------------------------------------------------------|------------------------------------------------------------------------------------|-------------------------|---------|
| <b>T2.8</b>  | (1E)-2-(4-chloro-2-fluorophenyl)-1-(imidazol-1-ylmethylene)-4-oxa-3-azaspiro[4.5]dec-2-ene |   |                         | 153-155 |
| <b>T2.9</b>  | (1Z)-2-(2,4-dichlorophenyl)-1-(imidazol-1-ylmethylene)-4-oxa-3-azaspiro[4.5]dec-2-ene      |  | [362/364]<br>(1.62 min) |         |
| <b>T2.10</b> | (1E)-2-(2,4-dichlorophenyl)-1-(imidazol-1-ylmethylene)-4-oxa-3-azaspiro[4.5]dec-2-ene      |   | [362/364]<br>(1.40 min) |         |
| <b>T2.11</b> | 3-(4-chlorophenyl)-4-[[4-(difluoromethyl)imidazol-1-yl]methylene]-5,5-dimethylisoxazole    |   | [338/340]<br>(1.79 min) |         |

The compounds of the following Tables TA01.01 to TA09.11 and Tables TB01.01 to TB01.08 can be obtained in an analogous manner.

**Table T3**

| <b>Compounds</b> | <b>R<sup>2</sup></b>  | <b>R<sup>3</sup></b> |
|------------------|-----------------------|----------------------|
| T3.1             | Me                    | H                    |
| T3.2             | Et                    | H                    |
| T3.3             | cyclohexyl            | H                    |
| T3.4             | cyclopentyl           | H                    |
| T3.5             | cyclobutyl            | H                    |
| T3.6             | cyclopropyl           | H                    |
| T3.7             | <i>tert</i> -butyl    | H                    |
| T3.8             | <i>sec</i> -butyl     | H                    |
| T3.9             | isoamyl               | H                    |
| T3.10            | <i>iso</i> -propyl    | H                    |
| T3.11            | CF <sub>3</sub>       | H                    |
| T3.12            | 4-chloro-phenyl       | H                    |
| T3.13            | 3-chloro-phenyl       | H                    |
| T3.14            | 2-chloro-phenyl       | H                    |
| T3.15            | 2,4-dichloro-phenyl   | H                    |
| T3.16            | 2-thiophenyl          | H                    |
| T3.17            | 5-chloro-2-thiophenyl | H                    |
| T3.18            | 5-bromo-2-thiophenyl  | H                    |
| T3.19            | 4-fluoro-phenyl       | H                    |

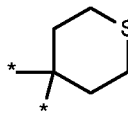
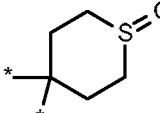
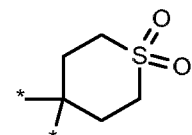
|       |                          |    |
|-------|--------------------------|----|
| T3.20 | 3-fluoro-phenyl          | H  |
| T3.21 | 2-fluoro-phenyl          | H  |
| T3.22 | 2,4-difluoro-phenyl      | H  |
| T3.23 | 2-fluoro-4-chloro-phenyl | H  |
| T3.24 | 2-chloro-4-fluoro-phenyl | H  |
| T3.25 | 4-trifluoromethyl-phenyl | H  |
| T3.26 | 3-trifluoromethyl-phenyl | H  |
| T3.27 | 2-trifluoromethyl-phenyl | H  |
| T3.28 | 4-bromo-phenyl           | H  |
| T3.29 | phenyl                   | H  |
| T3.30 | 4-chloro-benzyl          | H  |
| T3.31 | Me                       | Me |
| T3.32 | Et                       | Me |
| T3.33 | cyclohexyl               | Me |
| T3.34 | cyclopentyl              | Me |
| T3.35 | cyclobutyl               | Me |
| T3.36 | cyclopropyl              | Me |
| T3.37 | <i>tert</i> -butyl       | Me |
| T3.38 | <i>sec</i> -butyl        | Me |
| T3.39 | isoamyl                  | Me |
| T3.40 | <i>iso</i> -propyl       | Me |
| T3.41 | CF <sub>3</sub>          | Me |
| T3.42 | 4-chloro-phenyl          | Me |
| T3.43 | 3-chloro-phenyl          | Me |
| T3.44 | 2-chloro-phenyl          | Me |
| T3.45 | 2,4-dichloro-phenyl      | Me |
| T3.46 | 2-thiophenyl             | Me |
| T3.47 | 5-chloro-2-thiophenyl    | Me |
| T3.48 | 5-bromo-2-thiophenyl     | Me |
| T3.49 | 4-fluoro-phenyl          | Me |
| T3.50 | 3-fluoro-phenyl          | Me |
| T3.51 | 2-fluoro-phenyl          | Me |
| T3.52 | 2,4-difluoro-phenyl      | Me |
| T3.53 | 2-fluoro-4-chloro-phenyl | Me |
| T3.54 | 2-chloro-4-fluoro-phenyl | Me |
| T3.55 | 4-trifluoromethyl-phenyl | Me |
| T3.56 | 3-trifluoromethyl-phenyl | Me |
| T3.57 | 2-trifluoromethyl-phenyl | Me |
| T3.58 | 4-bromo-phenyl           | Me |
| T3.59 | phenyl                   | Me |
| T3.60 | 4-chloro-benzyl          | Me |
| T3.61 | Me                       | Et |
| T3.62 | Et                       | Et |
| T3.63 | cyclohexyl               | Et |
| T3.64 | cyclopentyl              | Et |
| T3.65 | cyclobutyl               | Et |
| T3.66 | cyclopropyl              | Et |

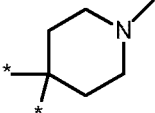
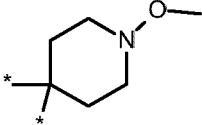
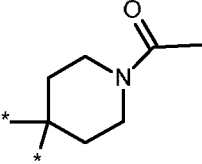
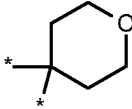
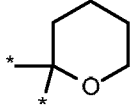
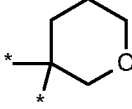
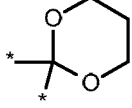
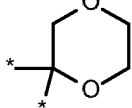
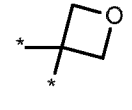
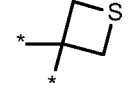
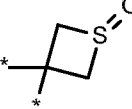
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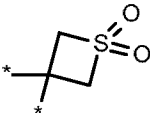
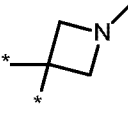
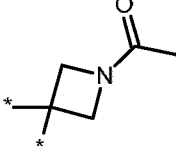
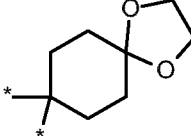
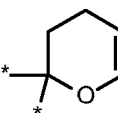
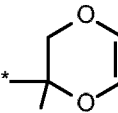
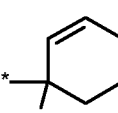
|       |                          |    |
|-------|--------------------------|----|
| T3.67 | <i>tert</i> -butyl       | Et |
| T3.68 | <i>sec</i> -butyl        | Et |
| T3.69 | isoamyl                  | Et |
| T3.70 | <i>iso</i> -propyl       | Et |
| T3.71 | CF <sub>3</sub>          | Et |
| T3.72 | 4-chloro-phenyl          | Et |
| T3.73 | 3-chloro-phenyl          | Et |
| T3.74 | 2-chloro-phenyl          | Et |
| T3.75 | 2,4-dichloro-phenyl      | Et |
| T3.76 | 2-thiophenyl             | Et |
| T3.77 | 5-chloro-2-thiophenyl    | Et |
| T3.78 | 5-bromo-2-thiophenyl     | Et |
| T3.79 | 4-fluoro-phenyl          | Et |
| T3.80 | 3-fluoro-phenyl          | Et |
| T3.81 | 2-fluoro-phenyl          | Et |
| T3.82 | 2,4-difluoro-phenyl      | Et |
| T3.83 | 2-fluoro-4-chloro-phenyl | Et |
| T3.84 | 2-chloro-4-fluoro-phenyl | Et |
| T3.85 | 4-trifluoromethyl-phenyl | Et |
| T3.86 | 3-trifluoromethyl-phenyl | Et |
| T3.87 | 2-trifluoromethyl-phenyl | Et |
| T3.88 | 4-bromo-phenyl           | Et |
| T3.89 | phenyl                   | Et |
| T3.90 | 4-chloro-benzyl          | Et |

**Table 4**R<sup>2</sup> and R<sup>3</sup> together form cycle as described in Table 4 below:

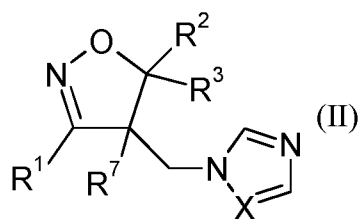
5

| Compounds | Cycle formed by R <sup>2</sup> and R <sup>3</sup>                                    |
|-----------|--------------------------------------------------------------------------------------|
| T4.1      | cyclohexyl                                                                           |
| T4.2      | cyclopentyl                                                                          |
| T4.3      | cyclobutyl                                                                           |
| T4.4      | cyclopropyl                                                                          |
| T4.5      |  |
| T4.6      |  |
| T4.7      |  |

|       |                                                                                                                         |
|-------|-------------------------------------------------------------------------------------------------------------------------|
| T4.8  | <br><chem>CN1CC(C)(C)CC1</chem>       |
| T4.9  | <br><chem>CN1CC(C)(C)CC1OC</chem>     |
| T4.10 | <br><chem>CC(=O)N1CC(C)(C)CC1</chem>  |
| T4.11 | <br><chem>C12CCC1(C)OCC2</chem>       |
| T4.12 | <br><chem>C12CCC1(C)SCC2</chem>     |
| T4.13 | <br><chem>C12CCC1(C)OCC2</chem>     |
| T4.14 | <br><chem>C12CCC1(C)OCC2OC</chem>   |
| T4.15 | <br><chem>C12CCC1(C)OCC2OC</chem>   |
| T4.16 | <br><chem>C12CCC1(C)OCC2</chem>     |
| T4.17 | <br><chem>C12CCC1(C)SCC2</chem>     |
| T4.18 | <br><chem>C12CCC1(C)S(=O)CC2</chem> |

|       |                                                                                      |
|-------|--------------------------------------------------------------------------------------|
| T4.19 |    |
| T4.20 |    |
| T4.21 |    |
| T4.22 |    |
| T4.23 |   |
| T4.24 |  |
| T4.25 |  |
| T4.26 |  |

The following Tables disclose compounds according to the formula (II) in the Tables TA01.01 to TA09.11



wherein the substituents have the meaning as given in the Tables TA01.01 to TA09.11 (99 Tables with 252648 compounds in total):

5 The Table TA01.01 discloses 232 compounds according to formula (II) (compound TA01.01.001 to compound TA01.01.232) wherein in the first 116 compounds  $R^7$  signifies H,  $R^1$  signifies 4-chloro-phenyl, X signifies CH and  $R^2$  and  $R^3$  have the meaning as given in the Table 3 lines T3.1 to T3.90 and in Table 4 lines T4.1 to T4.26 and 116 further compounds wherein the meaning of the substituents is the same as in the first 116 compounds but X signifies N instead of CH.

10 The Table TA01.02 discloses 232 compounds according to formula (II) (compound TA01.021.001 to compound TA01.02.232) wherein in the first 116 compounds  $R^7$  signifies OH,  $R^1$  signifies 4-chloro-phenyl, X signifies CH and  $R^2$  and  $R^3$  have the meaning as given in the Table 3 lines T3.1 to T3.90 and in Table 4 lines T4.1 to T4.26 and 116 further compounds wherein the meaning of the substituents is the same as in the first 116  
15 compounds but X signifies N instead of CH.

The Table TA01.03 discloses 232 compounds according to formula (II) (compound TA01.03.001 to compound TA01.03.232) wherein in the first 116 compounds  $R^7$  signifies OMe,  $R^1$  signifies 4-chloro-phenyl, X signifies CH and  $R^2$  and  $R^3$  have the meaning as given in the Table 3 lines T3.1 to T3.90 and in Table 4 lines T4.1 to T4.26 and 116 further  
20 compounds wherein the meaning of the substituents is the same as in the first 116 compounds but X signifies N instead of CH.

The Table TA01.04 discloses 232 compounds according to formula (II) (compound TA01.04.001 to compound TA01.04.232) wherein in the first 116 compounds  $R^7$  signifies Me,  $R^1$  signifies 4-chloro-phenyl, X signifies CH and  $R^2$  and  $R^3$  have the meaning as  
25 given in the Table 3 lines T3.1 to T3.90 and in Table 4 lines T4.1 to T4.26 and 116 further compounds wherein the meaning of the substituents is the same as in the first 116 compounds but X signifies N instead of CH.

The Table TA01.05 discloses 232 compounds according to formula (II) (compound TA01.05.001 to compound TA01.05.232) wherein in the first 116 compounds  $R^7$  signifies  
30 Et,  $R^1$  signifies 4-chloro-phenyl, X signifies CH and  $R^2$  and  $R^3$  have the meaning as given in the Table 3 lines T3.1 to T3.90 and in Table 4 lines T4.1 to T4.26 and 116 further compounds wherein the meaning of the substituents is the same as in the first 116 compounds but X signifies N instead of CH.

The Table TA01.06 discloses 232 compounds according to formula (II) (compound TA01.06.001 to compound TA01.06.232) wherein in the first 116 compounds  $R^7$  signifies F,  $R^1$  signifies 4-fluoro-phenyl, X signifies CH and  $R^2$  and  $R^3$  have the meaning as given in the Table 3 lines T3.1 to T3.90 and in Table 4 lines T4.1 to T4.26 and 116 further  
5 compounds wherein the meaning of the substituents is the same as in the first 116 compounds but X signifies N instead of CH.

The Table TA01.07 discloses 232 compounds according to formula (II) (compound TA01.07.001 to compound TA01.07.232) wherein in the first 116 compounds  $R^7$  signifies Cl,  $R^1$  signifies 4-chloro-phenyl, X signifies CH and  $R^2$  and  $R^3$  have the meaning as  
10 given in the Table 3 lines T3.1 to T3.90 and in Table 4 lines T4.1 to T4.26 and 116 further compounds wherein the meaning of the substituents is the same as in the first 116 compounds but X signifies N instead of CH.

The Table TA01.08 discloses 232 compounds according to formula (II) (compound TA01.08.001 to compound TA01.08.232) wherein in the first 116 compounds  $R^7$  signifies Br,  $R^1$  signifies 4-chloro-phenyl, X signifies CH and  $R^2$  and  $R^3$  have the meaning as  
15 given in the Table 3 lines T3.1 to T3.90 and in Table 4 lines T4.1 to T4.26 and 116 further compounds wherein the meaning of the substituents is the same as in the first 116 compounds but X signifies N instead of CH.

The Table TA01.09 discloses 232 compounds according to formula (II) (compound TA01.09.001 to compound TA01.09.232) wherein in the first 116 compounds  $R^7$  signifies  $CF_3$ ,  $R^1$  signifies 4-chloro-phenyl, X signifies CH and  $R^2$  and  $R^3$  have the meaning as  
20 given in the Table 3 lines T3.1 to T3.90 and in Table 4 lines T4.1 to T4.26 and 116 further compounds wherein the meaning of the substituents is the same as in the first 116 compounds but X signifies N instead of CH.

The Table TA01.10 discloses 232 compounds according to formula (II) (compound TA01.10.001 to compound TA01.10.232) wherein in the first 116 compounds  $R^7$  signifies CN,  $R^1$  signifies 4-chloro-phenyl, X signifies CH and  $R^2$  and  $R^3$  have the meaning as  
25 given in the Table 3 lines T3.1 to T3.90 and in Table 4 lines T4.1 to T4.26 and 116 further compounds wherein the meaning of the substituents is the same as in the first 116 compounds but X signifies N instead of CH.  
30

The Table TA01.11 discloses 232 compounds according to formula (II) (compound TA01.11.001 to compound TA01.11.232) wherein in the first 116 compounds  $R^7$  signifies OAc,  $R^1$  signifies 4-chloro-phenyl, X signifies CH and  $R^2$  and  $R^3$  have the meaning as

given in the Table 3 lines T3.1 to T3.90 and in Table 4 lines T4.1 to T4.26 and 116 further compounds wherein the meaning of the substituents is the same as in the first 116 compounds but X signifies N instead of CH.

5 The Tables TA02.01 to TA02.11 disclose each 232 compounds (2552 compounds in total) according to formula (II) wherein the meaning of all substituents are as given in the Tables TA01.01 to TA01.11 except that R<sup>1</sup> signifies 4-chloro-2-fluoro-phenyl instead of 4-chloro-phenyl.

10 The Tables TA03.01 to TA03.11 disclose each 232 compounds (2552 compounds in total) according to formula (II) wherein the meaning of all substituents are as given in the Tables TA01.01 to TA01.11 except that R<sup>1</sup> signifies 2,4-difluoro-phenyl instead of 4-chloro-phenyl.

15 The Tables TA04.01 to TA04.11 disclose each 232 compounds (2552 compounds in total) according to formula (II) wherein the meaning of all substituents are as given in the Tables TA01.01 to TA01.11 except that R<sup>1</sup> signifies 2,4-dichloro-phenyl instead of 4-chloro-phenyl.

The Tables TA05.01 to TA05.11 disclose each 232 compounds (2552 compounds in total) according to formula (II) wherein the meaning of all substituents are as given in the Tables TA01.01 to TA01.11 except that R<sup>1</sup> signifies 2-fluoro-phenyl instead of 4-chloro-phenyl.

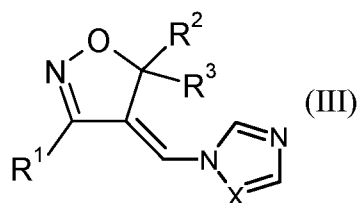
20 The Tables TA06.01 to TA06.11 disclose each 232 compounds (2552 compounds in total) according to formula (II) wherein the meaning of all substituents are as given in the Tables TA01.01 to TA01.11 except that R<sup>1</sup> signifies 4-fluoro-phenyl instead of 4-chloro-phenyl.

25 The Tables TA07.01 to TA07.11 disclose each 232 compounds (2552 compounds in total) according to formula (II) wherein the meaning of all substituents are as given in the Tables TA01.01 to TA01.11 except that R<sup>1</sup> signifies 5-chloro-2-thiophenyl instead of 4-chloro-phenyl.

30 The Tables TA08.01 to TA08.11 disclose each 232 compounds (2552 compounds in total) according to formula (II) wherein the meaning of all substituents are as given in the Tables TA01.01 to TA01.11 except that R<sup>1</sup> signifies 4-chloro-benzyl instead of 4-chloro-phenyl.

The Tables TA09.01 to TA09.11 disclose each 232 compounds (2552 compounds in total) according to formula (II) wherein the meaning of all substituents are as given in the Tables TA01.01 to TA01.11 except that R<sup>1</sup> signifies Cyclohexyl instead of 4-chloro-phenyl.

- 5 The following Tables disclose compounds according to the formula (III) in the Tables TB01.01 to TB01.08



wherein the substituents have the meaning as given in the Tables TB01.01 to TB01.11 (additional 8 Tables (Tables TB01.01 to TB01.08) with additional 1856 compounds in total).

10

- The Table TB01.01 discloses 232 compounds according to formula (III) (compound TB01.01.001 to compound TB01.01.232) wherein in the first 116 compounds R<sup>1</sup> signifies 4-chloro-phenyl, X signifies CH and R<sup>2</sup> and R<sup>3</sup> have the meaning as given in the Table 3 lines T3.1 to T3.90 and in Table 4 lines T4.1 to T4.26 and 116 further compounds wherein the meaning of the substituents is the same as in the first 116 compounds but X signifies N instead of CH.

- The Table TB01.02 discloses 232 compounds according to formula (III) wherein all the substituents have the meaning as given in Table TB01.01 but R<sup>1</sup> signifies 4-chloro-2-fluoro-phenyl instead of 4-chloro-phenyl.

- 20 The Table TB01.03 discloses 232 compounds according to formula (III) wherein all the substituents have the meaning as given in Table TB01.01 but R<sup>1</sup> signifies 2,4-difluoro-phenyl instead of 4-chloro-phenyl.

- The Table TB01.04 discloses 232 compounds according to formula (III) wherein all the substituents have the meaning as given in Table TB01.01 but R<sup>1</sup> signifies 2,4-dichloro-phenyl instead of 4-chloro-phenyl

- 25 The Table TB01.05 discloses 232 compounds according to formula (III) wherein all the substituents have the meaning as given in Table TB01.01 but R<sup>1</sup> signifies 2-fluoro-phenyl instead of 4-chloro-phenyl.

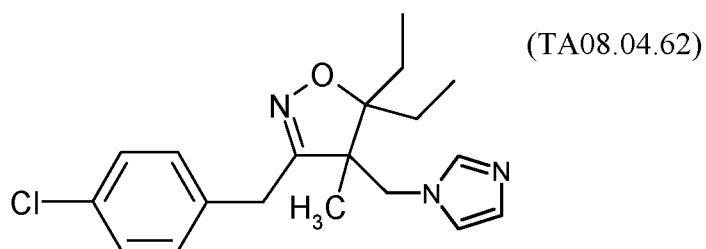
The Table TB01.06 discloses 232 compounds according to formula (III) wherein all the substituents have the meaning as given in Table TB01.01 but R<sup>1</sup> signifies 5-chloro-2-thiophenyl instead of 4-chloro-phenyl.

5 The Table TB01.07 discloses 232 compounds according to formula (III) wherein all the substituents have the meaning as given in Table TB01.01 but R<sup>1</sup> signifies 4-chloro-benzyl instead of 4-chloro-phenyl.

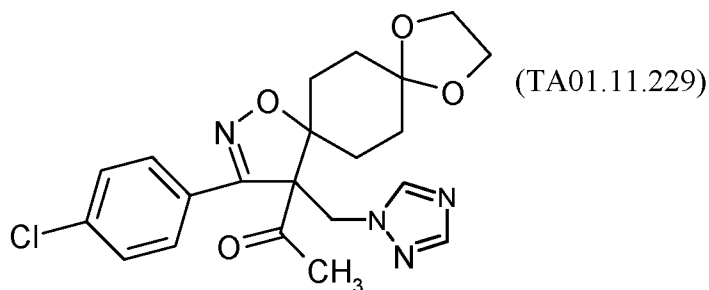
The Table TB01.08 discloses 232 compounds according to formula (III) wherein all the substituents have the meaning as given in Table TB01.01 but R<sup>1</sup> signifies Cyclohexyl instead of 4-chloro-phenyl.

10

For example the compound TA08.04.62 is a compound according the following formula



while the compound TA01.11.227 Discloses the following compound:



15

### Biological examples:

20 These examples illustrate the fungicidal properties of the compounds described in table T1 and T2.

Description of the methods:

*Botrytis cinerea* (Gray mould): Conidia of the fungus from cryogenic storage were directly mixed into nutrient broth (PDB potato dextrose broth). After placing a (DMSO) solution of the test compounds into a microtiter plate (96-well format) the nutrient broth containing the fungal spores was added. The test plates were incubated at 24 °C and the inhibition of growth was determined photometrically after 72 hrs.

The following compounds give at least 80% control of *Botrytis cinerea* at 200 ppm:  
T1.14, T1.15, T1.28, T1.35

*Erysiphe graminis* (Wheat powdery mildew): Barley leaf segments are placed on agar in multiwell plates (24-well format) and sprayed with test solutions. After drying, the leaf disks are inoculated with spores of the fungus. After appropriate incubation the activity of a compound is assessed 7 dpi (days after inoculation) as preventive fungicidal activity.

The following compounds give at least 80% control of *Erysiphe graminis* at 200 ppm:  
T1.1, T1.2, T1.3, T1.5, T1.16, T1.17, T1.20, T1.24, T1.42

*Septoria tritici* (leaf blotch): Conidia of the fungus from cryogenic storage were directly mixed into nutrient broth (PDB potato dextrose broth). After placing a (DMSO) solution of the test compounds into a microtiter plate (96-well format) the nutrient broth containing the fungal spores was added. The test plates were incubated at 24 C and the inhibition of growth was determined photometrically after 72 hrs.

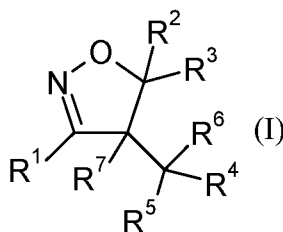
The following compounds give at least 80% control of *Septoria tritici* at 200 ppm:  
T1.6, T1.8, T1.14, T1.42, T1.43, T2.1, T2.9, T2.10

*Puccinia recondita* (Brown rust): Wheat leaf segments are placed on agar in multiwell plates (24-well format) and sprayed with test solutions. After drying, the leaf disks are inoculated with a spore suspension of the fungus. After appropriate incubation the activity of a compound is assessed 8 dpi (days after inoculation) as preventive fungicidal activity.

The following compounds give at least 80% control of *Puccinia recondita* at 200 ppm:  
T1.5, T1.9, T1.13, T1.22, T1.23, T1.24, T1.25

## CLAIMS

1. A compound of formula (I)



5 wherein

$R^1$  is aryl or heteroaryl, or substituted aryl or substituted heteroaryl each optionally substituted by one to five substituents  $R^{8a}$ , which may be the same or different;

$R^2$  and  $R^3$  independently are H, aryl or heterocycl, substituted aryl or substituted heteroaryl each optionally substituted by one to five substituents  $R^8$ , which may be the same or different;  $C_1$ - $C_8$ alkyl,  $C_2$ - $C_6$ alkenyl,  $C_2$ - $C_6$ alkynyl,  $C_3$ - $C_6$ cycloalkyl,  $C_1$ - $C_6$ haloalkyl,  $C_2$ - $C_6$ haloalkenyl,  $C_2$ - $C_6$ haloalkynyl,  $C_3$ - $C_6$ halocycloalkyl,  $C_1$ - $C_6$ alkoxy,  $C_1$ - $C_6$ alkoxy- $C_1$ - $C_6$ alkyl,  $C_1$ - $C_6$ haloalkoxy,  $C_1$ - $C_6$ alkylthio,  $C_1$ - $C_6$ haloalkylthio, or substituted  $C_1$ - $C_8$ alkyl, substituted  $C_2$ - $C_6$ alkenyl, substituted  $C_2$ - $C_6$ alkynyl, substituted  $C_3$ - $C_6$ cycloalkyl, substituted  $C_1$ - $C_6$ haloalkyl, substituted  $C_2$ - $C_6$ haloalkenyl, substituted  $C_2$ - $C_6$ haloalkynyl, substituted  $C_3$ - $C_6$ halocycloalkyl, substituted  $C_1$ - $C_6$ alkoxy, substituted  $C_1$ - $C_6$ alkoxy- $C_1$ - $C_6$ alkyl, substituted  $C_1$ - $C_6$ haloalkoxy, substituted  $C_1$ - $C_6$ alkylthio, substituted  $C_1$ - $C_6$ haloalkylthio each substituted by one to five substituents  $R^9$ , which may be the same or different; provided that only one of the substituents  $R^2$  and  $R^3$  is H;

or  $R^2$  and  $R^3$  form together a 3 to 14 membered ring which may be monocyclic or polycyclic, preferably monocyclic, bicyclic or tricyclic, more preferably monocyclic or bicyclic, even more preferably monocyclic, and the ring formed by  $R^2$  and  $R^3$  together may be a alicyclic systems or heteroalicyclic systems wherein the carbocyclic ring structure which may comprise at least one endocyclic double bond or at least one endocyclic triple bond) wherein in the case of the heteroalicyclic systems optionally one or more of the carbon atoms are replaced by a heteroatom selected from O, N and S and wherein the alicyclic systems or heteroalicyclic systems are unsubstituted or substituted by one to five substituents  $R^9$ ;  $R^4$  is heteroaryl, or substituted heteroaryl substituted by one to five substituents  $R^8$ , which may be the same or different;

R<sup>5</sup> is H, OH; C<sub>1</sub>-C<sub>6</sub>alkoxy or C<sub>1</sub>-C<sub>6</sub>alkylcarbonyl, or substituted C<sub>1</sub>-C<sub>6</sub>alkoxy or substituted C<sub>1</sub>-C<sub>6</sub>alkylcarbonyl each substituted by one to five substituents R<sup>9</sup>, which may be the same or different;

R<sup>6</sup> is H or forms together with R<sup>7</sup> a bond;

- 5 R<sup>7</sup> is H, OH, CN, halogen; C<sub>1</sub>-C<sub>8</sub>alkyl, C<sub>2</sub>-C<sub>6</sub>alkenyl, C<sub>2</sub>-C<sub>6</sub>alkynyl, C<sub>3</sub>-C<sub>6</sub>cycloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>2</sub>-C<sub>6</sub>haloalkenyl, C<sub>2</sub>-C<sub>6</sub>haloalkynyl, C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkoxy, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkylthio, or substituted C<sub>1</sub>-C<sub>8</sub>alkyl, substituted C<sub>2</sub>-C<sub>6</sub>alkenyl, substituted C<sub>2</sub>-C<sub>6</sub>alkynyl, substituted C<sub>3</sub>-C<sub>6</sub>cycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkenyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkynyl, substituted C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkylthio, substituted C<sub>1</sub>-C<sub>6</sub>haloalkylthio each substituted by one to five substituents R<sup>9</sup>, which may be the same or different; or forms together with R<sup>6</sup> a bond;

- 15 each R<sup>8</sup> is independently selected from cyano, amino, nitro, hydroxy, halogen, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>haloalkyl, C<sub>2</sub>-C<sub>4</sub>alkenyl, C<sub>2</sub>-C<sub>4</sub>haloalkenyl, C<sub>2</sub>-C<sub>4</sub>alkynyl, C<sub>2</sub>-C<sub>4</sub>haloalkynyl, C<sub>3</sub>-C<sub>6</sub>cycloalkyl, C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, C<sub>1</sub>-C<sub>3</sub>alkoxy, C<sub>1</sub>-C<sub>3</sub>haloalkoxy, C<sub>1</sub>-C<sub>3</sub>alkylthio, C<sub>1</sub>-C<sub>3</sub>haloalkylthio, C<sub>1</sub>-C<sub>3</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>3</sub>haloalkylsulfinyl, C<sub>1</sub>-C<sub>3</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>3</sub>haloalkylsulfonyl, C<sub>1</sub>-C<sub>4</sub>alkylamino, di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino, C<sub>1</sub>-C<sub>4</sub>alkylcarbonyl, C<sub>1</sub>-C<sub>4</sub>alkylcarbonyloxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl, C<sub>1</sub>-C<sub>4</sub>alkylcarbonylamino and phenyl or phenyl substituted by one to five R<sup>8</sup> but not phenyl or substituted phenyl;

- 20 each R<sup>8a</sup> is independently selected from cyano, amino, nitro, hydroxy, halogen, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>haloalkyl, C<sub>2</sub>-C<sub>4</sub>alkenyl, C<sub>2</sub>-C<sub>4</sub>haloalkenyl, C<sub>2</sub>-C<sub>4</sub>alkynyl, C<sub>2</sub>-C<sub>4</sub>haloalkynyl, C<sub>3</sub>-C<sub>6</sub>cycloalkyl, C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, C<sub>1</sub>-C<sub>3</sub>alkoxy, C<sub>1</sub>-C<sub>3</sub>haloalkoxy, C<sub>1</sub>-C<sub>3</sub>alkylthio, C<sub>1</sub>-C<sub>3</sub>haloalkylthio, C<sub>1</sub>-C<sub>3</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>3</sub>haloalkylsulfinyl, C<sub>1</sub>-C<sub>3</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>3</sub>haloalkylsulfonyl, C<sub>1</sub>-C<sub>4</sub>alkylamino, di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino, C<sub>1</sub>-C<sub>4</sub>alkylcarbonyl, C<sub>1</sub>-C<sub>4</sub>alkylcarbonyloxy, C<sub>1</sub>-C<sub>4</sub>alkylcarbonylamino and phenyl or phenyl substituted by one to five R<sup>8</sup> but not phenyl or substituted phenyl;

- 25 each R<sup>9</sup> is independently halogen, cyano, nitro, hydroxy, C<sub>1</sub>-C<sub>8</sub>alkoxy, C<sub>1</sub>-C<sub>8</sub>haloalkoxy-, C<sub>1</sub>-C<sub>8</sub>alkylthio-, C<sub>1</sub>-C<sub>8</sub>haloalkylthio-, C<sub>1</sub>-C<sub>8</sub>alkylsulfinyl-, C<sub>1</sub>-C<sub>8</sub>haloalkylsulfinyl-, C<sub>1</sub>-C<sub>8</sub>alkylsulfonyl-, C<sub>1</sub>-C<sub>8</sub>haloalkylsulfonyl-, C<sub>1</sub>-C<sub>8</sub>alkylcarbonyl-, C<sub>1</sub>-C<sub>8</sub>alkoxycarbonyl-, aryl or aryl substituted by one to five R<sup>9</sup>, or heterocyclyl or heterocyclyl substituted by one to five R<sup>8</sup>;

or an agrochemically acceptable salt or N-oxides thereof.

2. A compound according to claim 1, characterized in that  $R^4$  is monocyclic heteroaryl or monocyclic heteroaryl substituted by one to five substituents  $R^8$ , which may be the same or different.

5

3. A compound according to claim 1, characterized in that  $R^1$  is aryl or aryl substituted by one to four substituents  $R^{8a}$ , which may be the same or different.

4. A compound according to claim 3, characterized in that  $R^2$  and  $R^3$  independently are aryl or heterocyclyl, or substituted aryl or substituted heterocyclyl each substituted by one to four substituents  $R^8$ , which may be the same or different;  $C_1$ - $C_8$ alkyl,  $C_2$ - $C_6$ alkenyl,  $C_2$ - $C_6$ alkynyl,  $C_3$ - $C_6$ cycloalkyl,  $C_1$ - $C_6$ haloalkyl,  $C_2$ - $C_6$ haloalkenyl,  $C_2$ - $C_6$ haloalkynyl,  $C_3$ - $C_6$ halocycloalkyl,  $C_1$ - $C_6$ alkoxy,  $C_1$ - $C_6$ alkoxy- $C_1$ - $C_6$ alkyl,  $C_1$ - $C_6$ haloalkoxy,  $C_1$ - $C_6$ alkylthio,  $C_1$ - $C_6$ haloalkylthio, or substituted  $C_1$ - $C_8$ alkyl, substituted  $C_2$ - $C_6$ alkenyl, substituted  $C_2$ - $C_6$ alkynyl, substituted  $C_3$ -  
15  $C_6$ cycloalkyl, substituted  $C_1$ - $C_6$ haloalkyl, substituted  $C_2$ - $C_6$ haloalkenyl, substituted  $C_2$ - $C_6$ haloalkynyl, substituted  $C_3$ - $C_6$ halocycloalkyl, substituted  $C_1$ - $C_6$ alkoxy, substituted  $C_1$ - $C_6$ alkoxy- $C_1$ - $C_6$ alkyl, substituted  $C_1$ - $C_6$ haloalkoxy, substituted  $C_1$ - $C_6$ alkylthio, substituted  $C_1$ - $C_6$ haloalkylthio each substituted by one to five substituents  $R^9$ , which may be the same or different.

20

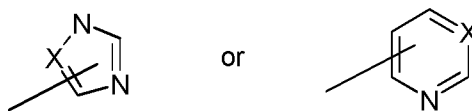
5. A compound according to claim 3, characterized in that  $R^2$  and  $R^3$  form together a 5 to 14 membered ring which may be monocyclic or bicyclic or tricyclic, and the ring formed by  $R^2$  and  $R^3$  together may be a alicyclic systems or heteroalicyclic systems wherein in the case of the heteroalicyclic systems optionally one or more of the carbon atoms are replaced by a  
25 heteroatom selected from O, N and S and wherein the alicyclic systems or heteroalicyclic systems are optionally substituted by one to four substituents  $R^9$ .

6. A compound according to claim 3, characterized in that  $R^2$  and  $R^3$  independently H,  $C_1$ - $C_8$ alkyl,  $C_2$ - $C_6$ alkenyl,  $C_2$ - $C_6$ alkynyl,  $C_3$ - $C_6$ cycloalkyl,  $C_1$ - $C_6$ haloalkyl,  $C_2$ - $C_6$ haloalkenyl,  $C_2$ - $C_6$ haloalkynyl,  $C_3$ - $C_6$ halocycloalkyl,  $C_1$ - $C_6$ alkoxy,  $C_1$ - $C_6$ alkoxy- $C_1$ - $C_6$ alkyl,  $C_1$ -  
30  $C_6$ haloalkoxy,  $C_1$ - $C_6$ alkylthio,  $C_1$ - $C_6$ haloalkylthio, or substituted  $C_1$ - $C_8$ alkyl, substituted  $C_2$ - $C_6$ alkenyl, substituted  $C_2$ - $C_6$ alkynyl, substituted  $C_3$ - $C_6$ cycloalkyl, substituted  $C_1$ - $C_6$ haloalkyl, substituted  $C_2$ - $C_6$ haloalkenyl, substituted  $C_2$ - $C_6$ haloalkynyl, substituted  $C_3$ - $C_6$ halocycloalkyl,

substituted C<sub>1</sub>-C<sub>6</sub>alkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkylthio, substituted C<sub>1</sub>-C<sub>6</sub>haloalkylthio each substituted by one to five substituents R<sup>9</sup>, provided that only one of the substituents R<sup>2</sup> and R<sup>3</sup> is H.

- 5 7. A compound according to claim 6, characterized in that R<sup>2</sup> and R<sup>3</sup> independently C<sub>1</sub>-C<sub>8</sub>alkyl, C<sub>2</sub>-C<sub>6</sub>alkenyl, C<sub>2</sub>-C<sub>6</sub>alkynyl, C<sub>3</sub>-C<sub>6</sub>cycloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>2</sub>-C<sub>6</sub>haloalkenyl, C<sub>2</sub>-C<sub>6</sub>haloalkynyl, C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkoxy, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkylthio, or substituted C<sub>1</sub>-C<sub>8</sub>alkyl, substituted C<sub>2</sub>-C<sub>6</sub>alkenyl, substituted C<sub>2</sub>-C<sub>6</sub>alkynyl, substituted C<sub>3</sub>-C<sub>6</sub>cycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkenyl, substituted C<sub>2</sub>-C<sub>6</sub>haloalkynyl, substituted C<sub>3</sub>-C<sub>6</sub>halocycloalkyl, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkoxy-C<sub>1</sub>-C<sub>6</sub>alkyl, substituted C<sub>1</sub>-C<sub>6</sub>haloalkoxy, substituted C<sub>1</sub>-C<sub>6</sub>alkylthio, substituted C<sub>1</sub>-C<sub>6</sub>haloalkylthio each substituted by one to five substituents R<sup>9</sup>
- 10
- 15 8. A compound according to claim 1, characterized in that R<sup>4</sup> is a monocyclic 5 to 6 membered heteroaryl comprising one to three heteroatoms selected from S, N more preferably the one to three heteroatoms are selected from N,

9. A compound according to claim 8, characterized in that most preferably R<sup>4</sup> is



wherein X has the meaning of C-H or N each may be substituted by one substituent R<sup>8</sup>

10. A method of controlling or preventing infestation of useful plants by phytopathogenic microorganisms, wherein a compound of formula (I) according to claim 1 or a composition, comprising this compound as active ingredient, is applied to the plants, to parts thereof or the locus thereof.
- 25
11. A composition for controlling and protecting against phytopathogenic microorganisms, comprising a compound of formula I according to claim 1 and at least one auxiliary.

# INTERNATIONAL SEARCH REPORT

International application No  
PCT/EP2012/057082

| <b>A. CLASSIFICATION OF SUBJECT MATTER</b><br>INV. C07D413/06 C07D413/14 A01N43/80<br>ADD.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          |                                                                                                                                                                                                                                                                    |                                                    |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------------------------------------------------|
| According to International Patent Classification (IPC) or to both national classification and IPC                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |                                                                                                                                                                                                                                                                    |                                                    |
| <b>B. FIELDS SEARCHED</b><br>Minimum documentation searched (classification system followed by classification symbols)<br>C07D A01N                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |                                                                                                                                                                                                                                                                    |                                                    |
| Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |                                                                                                                                                                                                                                                                    |                                                    |
| Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)<br>EPO-Internal, CHEM ABS Data, WPI Data                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |                                                                                                                                                                                                                                                                    |                                                    |
| <b>C. DOCUMENTS CONSIDERED TO BE RELEVANT</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |                                                                                                                                                                                                                                                                    |                                                    |
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