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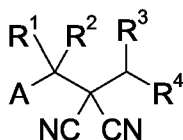
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(54) Title: SUBSTITUTED MALONONITRILE COMPOUNDS FOR COMBATING ANIMAL PESTS

(I)



(57) Abstract: Dicyanoalkane compounds of formula (I), wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and A are defined as in the description, or the enantiomers or diastereomers or salts thereof are subject matter of the present invention. Further also processes and intermediates for preparing the compounds of formula (I), as well as pesticidal compositions comprising compounds of formula (I). Methods for controlling insects, acarids or nematodes, methods for treating, controlling, preventing or protecting animals against infestation or infection and methods for protecting and treating seeds are also subject matter of the present invention.

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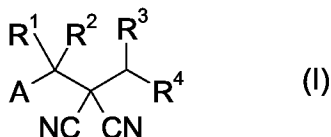
## Substituted dicyanoalkanes for combating animal pests

The present invention relates to dicyanoalkane compounds, as well as to the enantiomers, diastereomers and salts thereof and to compositions comprising such  
 5 compounds. The invention also relates to the use of dicyanoalkane compounds, of their salts or of compositions comprising them for combating animal pests. Furthermore the invention relates also to methods of applying such compounds.

Animal pests destroy growing and harvested crops and attack wooden dwelling and  
 10 commercial structures, causing large economic loss to the food supply and to property. While a large number of pesticidal agents are known, due to the ability of target pests to develop resistance to said agents, there is an ongoing need for new agents for combating animal pests. In particular, animal pests such as insects and acaridae are difficult to be effectively controlled.

15 It is therefore an object of the present invention to provide compounds having a good pesticidal activity, especially against difficult to control insects and acaridae.

The present invention relates to dicyanoalkane compounds of formula (I)  
 20



wherein

25  $R^1$  is hydrogen,  $C_1$ - $C_{10}$ -alkyl,  $C_1$ - $C_{10}$ -haloalkyl,  $C_2$ - $C_{10}$ -alkenyl,  $C_2$ - $C_{10}$ -haloalkenyl,  $C_2$ - $C_{10}$ -alkynyl, or  $C_3$ - $C_{10}$ -haloalkynyl;

$R^2$  is hydrogen, cyano,  $C_1$ - $C_{10}$ -alkyl,  $C_1$ - $C_{10}$ -haloalkyl,  $C_2$ - $C_{10}$ -alkenyl,  $C_2$ - $C_{10}$ -haloalkenyl,  $C_2$ - $C_{10}$ -alkynyl,  $C_3$ - $C_{10}$ -haloalkynyl,  $C_3$ - $C_6$ -cycloalkyl,  $C_3$ - $C_6$ -halocycloalkyl,  $C_1$ - $C_{10}$ -alkoxy, or  $C_1$ - $C_{10}$ -haloalkoxy;

30  $R^3$  and  $R^4$  each independently are hydrogen,  $C_1$ - $C_{10}$ -alkyl,  $C_1$ - $C_{10}$ -haloalkyl,  $C_2$ - $C_{10}$ -alkenyl,  $C_2$ - $C_{10}$ -haloalkenyl,  $C_2$ - $C_{10}$ -alkynyl,  $C_3$ - $C_{10}$ -haloalkynyl,  $C_3$ - $C_{10}$ -cycloalkyl,  $C_3$ - $C_{10}$ -halocycloalkyl,  $C_4$ - $C_6$ -cycloalkenyl,  $C_4$ - $C_6$ -halocycloalkenyl with the proviso that if  $R^3$  is hydrogen,  $R^4$  is not hydrogen, vinyl or ethynyl, or wherein  
 35  $R^3$  and  $R^4$  together can be  $C_2$ - $C_{10}$ -alkylene,  $C_2$ - $C_{10}$ -haloalkylene,  $C_4$ - $C_{10}$ -alkenylene or  $C_4$ - $C_{10}$ -haloalkenylene,

40  $A$  is phenyl, pyridyl or a saturated or partially unsaturated 6-membered cyclic radical which may contain one or two heteroatoms independently selected from oxygen, nitrogen and sulfur,

each of which phenyl, pyridyl or cyclic radical is fused with a second ring to form a fused ring system, said second ring being (a) a saturated, partially unsaturated or unsaturated 5-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 oxygen or (b) a saturated, partially unsaturated or unsaturated 6-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 to 2 oxygen, and wherein said fused ring system is substituted with any combination of 1 to 7 groups R<sup>a</sup>;

R<sup>a</sup> is hydrogen, halogen, hydroxyl, cyano, nitro, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>3</sub>-C<sub>10</sub>-cylcoalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>1</sub>-C<sub>10</sub>-alkoxy, C<sub>1</sub>-C<sub>10</sub>-haloalkoxy, C<sub>2</sub>-C<sub>10</sub>-alkenyloxy, C<sub>2</sub>-C<sub>10</sub>-haloalkenyloxy, C<sub>2</sub>-C<sub>10</sub>-alkynyloxy, C<sub>3</sub>-C<sub>10</sub>-haloalkynyloxy, C<sub>1</sub>-C<sub>10</sub>-alkylthio, C<sub>1</sub>-C<sub>10</sub>-haloalkylthio, C<sub>1</sub>-C<sub>10</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl, NR<sup>5</sup>R<sup>6</sup>, C<sub>1</sub>-C<sub>10</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkoxycarbonyl, C<sub>2</sub>-C<sub>10</sub>-alkenyloxycarbonyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyloxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyloxy, R<sup>5</sup>R<sup>6</sup>N-C(=O)-, phenyl, benzyl, phenoxy and phenylthio, wherein phenyl, benzyl, phenoxy and phenylthio are unsubstituted or substituted with any combination of 1 to 5 groups R<sup>b</sup>;

R<sup>b</sup> is halogen, hydroxy, cyano, nitro, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>3</sub>-C<sub>10</sub>-cylcoalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>1</sub>-C<sub>10</sub>-alkoxy, C<sub>1</sub>-C<sub>10</sub>-haloalkoxy, C<sub>1</sub>-C<sub>10</sub>-alkylthio, C<sub>1</sub>-C<sub>10</sub>-haloalkylthio, C<sub>1</sub>-C<sub>10</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl, NR<sup>5</sup>R<sup>6</sup>, C<sub>1</sub>-C<sub>10</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl or R<sup>5</sup>R<sup>6</sup>N-C(=O)-;

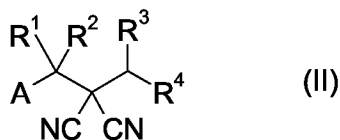
R<sup>5</sup>, R<sup>6</sup> are each independently hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl, benzyl, phenyl, phenylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl;

or the enantiomers or diastereomers or salts thereof.

In addition, the present invention relates to processes and intermediates for preparing the compounds I and pesticidal compositions comprising compounds (I).

The present invention relates also to methods for the control of insects, acarids or nematodes by contacting the insect, acarid or nematode or their food supply, habitat or

breeding grounds with a pesticidally effective amount of compounds or compositions of formula (II):



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wherein

$R^1$  is selected from hydrogen,  $C_1$ - $C_{10}$ -alkyl,  $C_1$ - $C_{10}$ -haloalkyl,  $C_2$ - $C_{10}$ -alkenyl,  $C_2$ - $C_{10}$ -haloalkenyl,  $C_2$ - $C_{10}$ -alkynyl, or  $C_3$ - $C_{10}$ -haloalkynyl;

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$R^2$  is selected from hydrogen, cyano,  $C_1$ - $C_{10}$ -alkyl,  $C_1$ - $C_{10}$ -haloalkyl,  $C_2$ - $C_{10}$ -alkenyl,  $C_2$ - $C_{10}$ -haloalkenyl,  $C_2$ - $C_{10}$ -alkynyl,  $C_3$ - $C_{10}$ -haloalkynyl,  $C_3$ - $C_6$ -cycloalkyl,  $C_3$ - $C_6$ -halocycloalkyl,  $C_1$ - $C_{10}$ -alkoxy, or  $C_1$ - $C_{10}$ -haloalkoxy;

15

$R^3$  and  $R^4$  are each independently from another selected from hydrogen,  $C_1$ - $C_{10}$ -alkyl,  $C_1$ - $C_{10}$ -haloalkyl,  $C_2$ - $C_{10}$ -alkenyl,  $C_2$ - $C_{10}$ -haloalkenyl,  $C_2$ - $C_{10}$ -alkynyl,  $C_3$ - $C_{10}$ -haloalkynyl,  $C_3$ - $C_{10}$ -cycloalkyl,  $C_3$ - $C_{10}$ -halocycloalkyl,  $C_4$ - $C_6$ -cycloalkenyl,  $C_4$ - $C_6$ -halocycloalkenyl, or

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$R^3$  and  $R^4$  together can be  $C_2$ - $C_{10}$ -alkylene,  $C_2$ - $C_{10}$ -haloalkylene,  $C_4$ - $C_{10}$ -alkenylene or  $C_4$ - $C_{10}$ -haloalkenylene;

A is phenyl, pyridyl or a saturated or partially unsaturated 6-membered cyclic radical which may contain one or two heteroatoms independently selected from oxygen, nitrogen and sulfur,

25

each of which phenyl, pyridyl or cyclic radical is fused with a second ring to form a fused ring system, said second ring being (a) a saturated, partially unsaturated or unsaturated 5-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 oxygen or (b) a saturated, partially unsaturated or unsaturated 6-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 to 2 oxygen,

30

and wherein said fused ring system is substituted with any combination of 1 to 7 radicals  $R^a$ ;

35

$R^a$  is hydrogen, halogen, hydroxyl, cyano, nitro,  $C_1$ - $C_{10}$ -alkyl,  $C_1$ - $C_{10}$ -haloalkyl,  $C_3$ - $C_{10}$ -cycloalkyl,  $C_3$ - $C_{10}$ -halocycloalkyl,  $C_2$ - $C_{10}$ -alkenyl,  $C_2$ - $C_{10}$ -haloalkenyl,  $C_2$ - $C_{10}$ -alkynyl,  $C_3$ - $C_{10}$ -haloalkynyl,  $C_1$ - $C_{10}$ -alkoxy,  $C_1$ - $C_{10}$ -haloalkoxy,  $C_2$ - $C_{10}$ -alkenyloxy,  $C_2$ - $C_{10}$ -haloalkenyloxy,  $C_2$ - $C_{10}$ -alkynyloxy,  $C_3$ - $C_{10}$ -haloalkynyloxy,  $C_1$ - $C_{10}$ -alkylthio,  $C_1$ - $C_{10}$ -haloalkylthio,  $C_1$ - $C_{10}$ -alkylsulfanyl,  $C_1$ - $C_{10}$ -haloalkylsulfanyl,  $C_1$ - $C_{10}$ -alkylsulfonyl,  $C_1$ - $C_{10}$ -

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haloalkylsulfonyl, NR<sup>5</sup>R<sup>6</sup>, C<sub>1</sub>-C<sub>10</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkoxycarbonyl, C<sub>2</sub>-C<sub>10</sub>-alkenyloxycarbonyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyloxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyloxy, R<sup>5</sup>R<sup>6</sup>N-C(=O)-, phenyl, benzyl, phenoxy and phenylthio, wherein phenyl, benzyl, phenoxy and phenylthio are unsubstituted or substituted with any combination of 1 to 5 groups R<sup>b</sup>;

R<sup>b</sup> is halogen, hydroxy, cyano, nitro, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>3</sub>-C<sub>10</sub>-cycloalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>1</sub>-C<sub>10</sub>-alkoxy, C<sub>1</sub>-C<sub>10</sub>-haloalkoxy, C<sub>1</sub>-C<sub>10</sub>-alkylthio, C<sub>1</sub>-C<sub>10</sub>-haloalkylthio, C<sub>1</sub>-C<sub>10</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl, NR<sup>5</sup>R<sup>6</sup>, C<sub>1</sub>-C<sub>10</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl or R<sup>5</sup>R<sup>6</sup>N-C(=O)-;

R<sup>5</sup>, R<sup>6</sup> are each independently hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl, benzyl, phenyl, phenylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl;

or the enantiomers or diastereomers or salts thereof.

Moreover, the present invention also relates to a method of protecting growing plants from attack or infestation by insects or acarids by applying to the foliage of the plants, or to the soil or water in which they are growing, with a pesticidally effective amount of compositions or compounds of formula (II).

This invention also provides a method for treating, controlling, preventing or protecting animals against infestation or infection by parasites which comprises orally, topically or parenterally administering or applying to the animals a parasiticidally effective amount of compositions or compounds of formula (II).

Further, the invention provides methods for the protection of seeds from animal pests and of the seedlings' roots and shoots from animal pests comprising contacting the seeds before sowing and/or after pregermination with a pesticidally effective amount of a dicyanoalkane compound of the formula (II) or composition comprising thereof

In spite of the commercial insecticides, acaricides and nematicides available today, damage to crops, both growing and harvested, caused by insects and nematodes still occurs. Therefore, there is continuing need to develop new and more effective

insecticidal, acaricidal and nematocidal agents.

It was therefore an object of the present invention to provide new pesticidal compositions and new compounds.

5 We have found, that these objects are achieved by compounds of formula (I) and compositions comprising thereof.

Furthermore, we have found processes and intermediates for preparing the compounds of formula (I).

10 It was also an object of the present invention to provide new methods for the control of insects, acarids or nematodes and of protecting growing plants from attack or infestation by insects, arachnids or nematodes.

We have found that these objects are achieved by the application of compounds of formula (II).

15

Dicyanoalkanes have been described in a number of patent applications: JP 2002 284608, WO 02/089579, WO 02/090320 and WO 02/090321 pertain to compounds that carry an optionally substituted phenyl in the position of the substituent A of the compounds I of the present invention, whereas WO2005/068432 carry a 5-membered heterocyclic radical. WO 04/006677 describes compounds that carry an optionally substituted pyridyl in the position of the substituent A of the compounds I of the present invention. JP 2004-099597 pertains to compounds that carry a 5-membered heterocyclic ring having one heteroatom in the position of the substituent A. EP-A-1555259 discloses compounds which carry as substituent A an unsaturated 6-membered heterocyclic ring comprising two or three nitrogen atoms wherein this ring may be substituted by C<sub>3</sub>-C<sub>6</sub>-alkanediyl or C<sub>3</sub>-C<sub>6</sub>-alkenediyl groups, wherein the carbon atoms in the groups may be replaced by heteroatoms such as O, S or N, and which groups are bonded to adjacent carbon atoms. Co-pending US provisional application no. 60/682950 filed on May 20, 2005 relates to compounds that carry a 5-membered heterocyclic radical in the position of the substituent A which may be fused with another 5- or 6-membered heterocyclic ring or with a phenyl ring.

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WO 2005/068432 describes pesticidically active malononitrile compounds having an unsaturated 5-membered heterocyclic as substituent.

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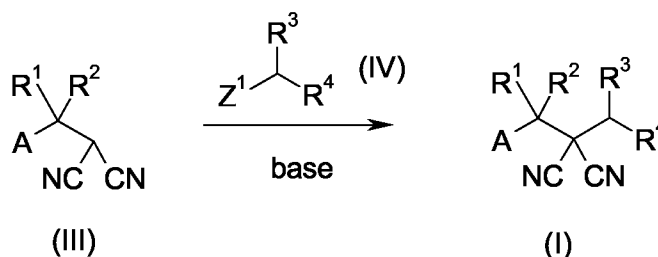
In several publications about chemical preparation methods, compounds similar to compounds of formula (II) have been described (Wu, Ming-Si et al., Tetrahedron, 60(44), 10005-10009 (2004); Yokoyama, Masahiro et al., Tetrahedron, 15(18), 2817-2820 (2004); Shim, Jae-Goo et al., Chem. Comm., (8), 852-853 (2002); Nakamura, Hiroyuki et al. J.Am.Chem.Soc. 120(27), 6838-6839 (1998); Domingo, L.R. et al., Tetrahedron, 57(26), 5597-5606 (2001); Westfahl, J.C. et al., J.Am.Chem.Soc. 77, 936-9 (1955); JP 06256278 (Nissan, 1994)).

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Their use as pesticidal active compounds or their suitability for pesticidal or parasiticidal methods has not been disclosed in prior art.

5 Compounds of formula (I) substituted with phenyl, pyridyl or a saturated or partially unsaturated 6-membered cyclic radical which may contain one or two heteroatoms independently selected from oxygen, nitrogen and sulfur and being fused with a second ring as defined hereinabove have not yet been described in the prior art.

10 Compounds of formula (I) are obtainable, for example, by a process wherein compound (III) is reacted with compound (IV) to give compounds (I):



15 wherein A, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined above for compounds of formula I and Z<sup>1</sup> represents a halogen atom, methanesulfonyl, trifluoromethanesulfonyl or toluenesulfonyl.

The reaction is generally carried out in the presence of a base in a solvent.

20 The solvent to be used in the reaction includes, for example, acid amides such as N,N-dimethylformamide, NMP (N-methyl-pyrrolidone) and the like, ethers such as diethyl ether, tetrahydrofuran and the like, sulfoxides and sulfones such as dimethylsulfoxide, sulfolane and the like, halogenated hydrocarbons such as 1,2-dichloroethane, chlorobenzene and the like, aromatic hydrocarbons such as toluene, xylene and the like, and mixtures thereof.

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The base to be used in the reaction includes, for example, inorganic bases such as sodium hydride, sodium carbonate, potassium carbonate and the like, alkali metal alkoxides such as potassium t-butoxide and the like, alkali metal amides such as lithium diisopropylamide and the like, and organic bases such as  
 30 dimethylaminopyridine, 1,4-diazabicyclo[2.2.2]octane, 1,8-diazabicyclo [5.4.0]-7-undecene and the like.

The amount of the base that can be used in the reaction is usually 1 to 10 moles relative to 1 mole of compound (III). In addition, additives such as crown ethers may be  
 35 added to accelerate the reaction.

The amount of compound (IV) to be used in the reaction is usually 1 to 10 moles,

preferably 1 to 2 moles relative to 1 mole of compound (III).

The reaction temperature is usually in the range of  $-78^{\circ}\text{C}$  to  $150^{\circ}\text{C}$ , preferably in the range of  $-20^{\circ}\text{C}$  to  $80^{\circ}\text{C}$  and the reaction time is usually in the range of 1 to 24 hours.

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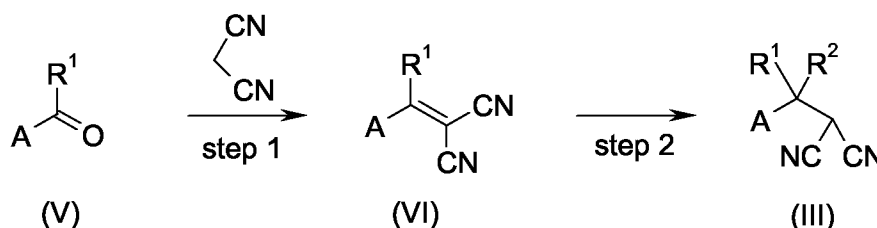
Intermediates of formula (III) are novel and also subject of this invention.

After completion of the reaction, the compound of formula (I) can be isolated by employing conventional methods such as adding the reaction mixture to water, extracting with an organic solvent, concentrating the extract and the like. The isolated compound (I) can be purified by a technique such as chromatography, recrystallization and the like, if necessary.

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The compound (III) can be produced, for example, according to the route represented by the following scheme:

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wherein A and  $\text{R}^1$  are as defined above for formula (I) and  $\text{R}^2$  represents  $\text{C}_1$ - $\text{C}_{10}$ -alkyl,  $\text{C}_2$ - $\text{C}_{10}$ -alkenyl,  $\text{C}_2$ - $\text{C}_{10}$ -alkynyl, a hydrogen atom or cyano.

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Step 1: The compound (VI) can be produced by reacting compound (V) with malononitrile ( $\text{CN}(\text{CH}_2)\text{CN}$ ; see e.g. Organic Process Research & Development 2005, 9, 133-136). The reaction is generally carried out in the presence of a base in a solvent. The solvent to be used in the reaction includes, for example, acid amides such as N,N-dimethylformamide and the like, ethers such as diethyl ether, tetrahydrofuran and the like, halogenated hydrocarbons such as chloroform, 1,2-dichloroethane, chlorobenzene and the like, aromatic hydrocarbons such as toluene, xylene and the like, alcohols such as methanol, ethanol, isopropyl alcohol and the like, and mixtures thereof.

25

30

The base to be used in the reaction includes, for example, tetrabutylammonium hydroxide. The amount of the base that can be used in the reaction is usually 0.01 to 0.5 moles relative to 1 mole of compound (V).

35

The amount of malononitrile to be used in the reaction is usually 1 to 10 moles relative to 1 mole of compound (V). The reaction temperature is usually in the range of  $-20^{\circ}\text{C}$  to  $200^{\circ}\text{C}$ , and the reaction time is usually in the range of 1 to 24 hours.



The reaction may be carried out with removing the water formed by the reaction from the reaction system, if necessary.

- 5 After completion of the reaction, the compound of formula (VI) can be isolated by employing conventional methods such as adding the reaction mixture to water, extracting with an organic solvent, concentrating the extract and the like. The isolated compound (VI) can be purified by a technique such as chromatography, recrystallization and the like, if necessary.

10

- Step 2: a) when  $R^2$  represents  $C_1$ - $C_{10}$ -alkyl,  $C_2$ - $C_{10}$ -alkenyl or  $C_2$ - $C_{10}$ -alkynyl, the compound (III) can be produced by reacting compound (VI) with an organometallic compound  $[R^2]_n$ -Q wherein Q is a metal atom or halogen-metal group and n is an integer from 1 to 3. Preferably, Q is Mg X, Li, Zn(II) or Cu(I) wherein X denotes halogen (preferably chlorine, bromine and iodine) and n is 1 when Q is MgX, Li or Cu(I), n is 2 when Q is Zn(II).

15

The reaction is generally carried out in a solvent, and if necessary, in the presence of a copper salt.

20

The solvent to be used in the reaction includes, for example, ethers such as diethyl ether, tetrahydrofuran and the like, aromatic hydrocarbons such as toluene, xylene and the like, and mixtures thereof.

- 25 The organometallic compound  $[R^2]_n$ -Q to be used in the reaction includes, for example, organomagnesium compounds such as methylmagnesium iodide, ethylmagnesium bromide, isopropylmagnesium bromide, vinylmagnesium bromide, ethynylmagnesium bromide, dimethylmagnesium and the like, organolithium compounds such as methyllithium and the like, organozinc compounds such as diethylzinc and the like, and organocopper compounds such as trifluoromethylcopper and the like. The amount of the organometallic compound that can be used in the reaction is usually 1 to 10 moles relative to 1 mole of compound (VI).

30

- The copper salt to be used in the reaction includes, for example, cuprous (I) iodide, cuprous (I) bromide and the like. The amount of the copper salt to be used in the reaction is usually not more than 1 mole, such as 0.01 to 1 mole, relative to 1 mole of compound (VI).

35

- The reaction temperature is usually in the range of  $-20^\circ\text{C}$  to  $100^\circ\text{C}$ , and the reaction time is usually in the range of 1 to 24 hours.

40

After completion of the reaction, the compound of formula (III) can be isolated by

employing conventional methods such as adding the reaction mixture to water, extracting with an organic solvent, concentrating the extract and the like. The isolated compound (III) can be purified by a technique such as chromatography, recrystallization and the like, if necessary.

5

Step 2: (b) when R<sup>2</sup> represents a hydrogen atom, the compound (III) can be produced by reacting compound (VI) with a reducing agent.

The reaction is usually carried out in a solvent.

10

The solvent to be used in the reaction includes, for example, ethers such as diethyl ether, tetrahydrofuran and the like, aromatic hydrocarbons such as toluene, xylene and the like, alcohols such as methanol, ethanol, propanol and the like, water, acetic acid, ethyl acetate and mixtures thereof.

15

The reducing agent to be used in the reaction includes, for example, sodium borohydride or hydrogen in the presence of a catalyst such as Pd/C. The amount of the reductant to be used in the reaction is usually 0.25 to 2 moles relative to 1 mole of compound (VI).

20

The reaction temperature is usually in the range of 0°C to 50° C, and the reaction time is usually in the range of seconds to 24 hours.

After completion of the reaction, the compound of formula (III) can be isolated by employing conventional methods such as adding the reaction mixture to water, extracting with an organic solvent, concentrating the extract and the like. The isolated compound (III) can be purified by a technique such as chromatography, recrystallization and the like, if necessary.

25

Step 2: (c) when R<sup>2</sup> represents cyano, the compound (III) can be produced by reacting compound (VI) with a cyanide.

30

The reaction is usually carried out in a solvent. The solvent to be used in the reaction includes, for example, ethers such as diethyl ether, tetrahydrofuran and the like, aromatic hydrocarbons such as toluene, xylene and the like, and mixtures thereof.

35

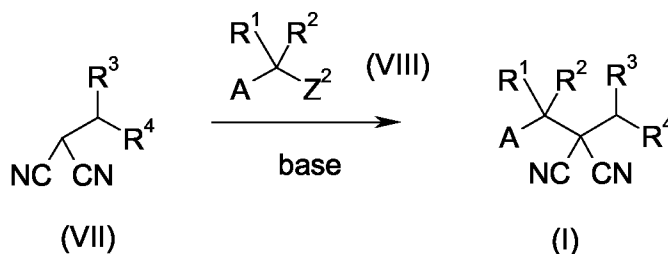
The cyanide to be used in the reaction includes, for example, tetrabutylammonium cyanide. The amount of the cyanide to be used in the reaction is usually 1 to 10 moles relative to 1 mole of compound (VI).

40

The reaction temperature is usually in the range of - 20°C to 100°C, and the reaction time is usually in the range of 1 to 24 hours.

After completion of the reaction, the compound of formula (III) can be isolated by employing conventional methods such as adding the reaction mixture to water, extracting with an organic solvent, concentrating the extract and the like. The isolated  
 5 compound (III) can be purified by a technique such as chromatography, recrystallization and the like, if necessary.

According to a further embodiment of the present invention, compounds I can be obtained by a process wherein compound (VII) is reacted with compound (VIII) to give  
 10 compounds (I):



wherein A, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined above and Z<sup>2</sup> represents a halogen atom, methanesulfonyl, trifluoromethanesulfonyl or toluenesulfonyl.

15 The reaction is generally carried out in the presence of base in a solvent.

The solvent to be used in the reaction includes, for example, acid amides such as N,N-dimethylformamide, N-methylpyrrolidone (NMP) and the like, ethers such as diethyl ether, tetrahydrofuran and the like, sulfoxides and sulfones such as dimethylsulfoxide,  
 20 sulfolane and the like, halogenated hydrocarbons such as 1,2-dichloroethane, chlorobenzene and the like, aromatic hydrocarbons such as toluene, xylene and the like, and mixtures thereof.

The base to be used in the reaction includes, for example, inorganic bases such as  
 25 sodium hydride, sodium carbonate, potassium carbonate and the like, alkali metal alkoxides such as potassium t-butoxide and the like, alkali metal amides such as lithium diisopropylamide and the like, and organic bases such as 4-dimethylaminopyridine, 1,4-diazabicyclo [2.2.2]octane, 1,8-diazabicyclo [5.4.0] -7-undecene and the like. The amount of the base that can be used in the reaction is  
 30 usually 1 to 10 moles relative to 1 mole of compound (VII).

The amount of compound (VIII) to be used in the reaction is usually 1 to 10 moles, preferably 1 to 2 moles relative to 1 mole of compound (VII).

35 The reaction temperature is usually in the range of -78° C to 150° C, preferably -20° C to 80° C, and the reaction time is usually in the range of 1 to 24 hours.

After completion of the reaction, the compound of formula (I) can be isolated by

employing conventional methods such as adding the reaction mixture to water, extracting with an organic solvent, concentrating the extract and the like. The isolated compound (I) can be purified by a technique such as chromatography, recrystallization and the like, if necessary.

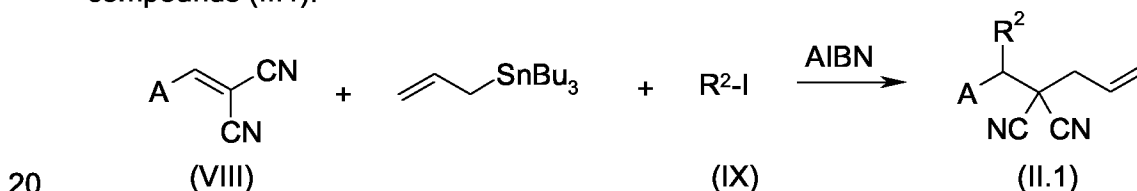
5

Compounds of formula (VII) can be obtained according to procedures known in the art, e.g. as described in J. March, *Advanced Organic Chemistry*, 4<sup>th</sup> Edition, Wiley, 1992, p. 468.

10 Compounds of formula (VIII) can be obtained according to procedures known in the art, e.g. from the corresponding alcohols (wherein Z<sup>2</sup> is OH) by nucleophilic replacement (J. March, *Advanced Organic Chemistry*, 4<sup>th</sup> Edition, Wiley, 1992, pp. 432-433); or by sulfonylation (J. March, *Advanced Organic Chemistry*, 4<sup>th</sup> Edition, Wiley, 1992, pp. 498-499).

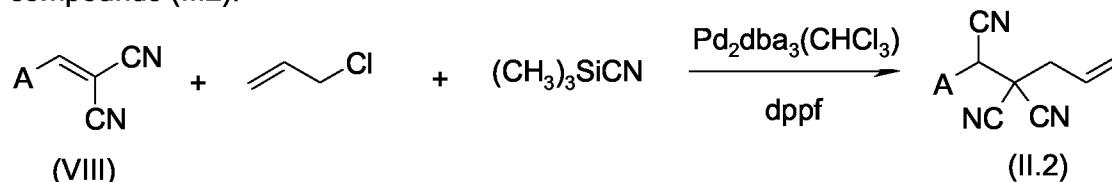
15

According to a further embodiment of the present invention, compounds II.1 wherein R<sup>2</sup> is C<sub>1</sub>-C<sub>10</sub>-alkyl or C<sub>1</sub>-C<sub>10</sub>-haloalkyl, R<sup>1</sup> and R<sup>3</sup> are hydrogen and R<sup>4</sup> is vinyl, can be obtained by a process wherein compound (VIII) is reacted with compound (IX) to give compounds (II.1):



The reaction can be carried out according to the method described in J. Am. Chem. Soc., 110 (4), 1288-1290 (1988).

30 According to a further embodiment of the present invention, compounds II.2 wherein R<sup>2</sup> is cyano, R<sup>1</sup> and R<sup>3</sup> are hydrogen and R<sup>4</sup> is vinyl, can be obtained by a process wherein compound (VIII) is reacted with vinylchloride and (CH<sub>3</sub>)<sub>3</sub>SiCN to give compounds (II.2):

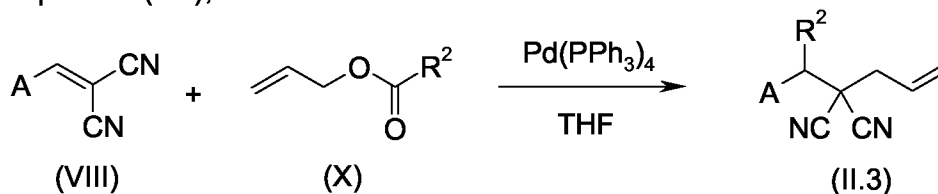


wherein dba is dibenzylidene acetone and dppf is 1,1'-bis(diphenylphosphino) ferrocene. The reaction can be carried out according to conditions described in Tetrahedron Lett., 41, 2911-2914 (2000).

35

According to a further embodiment of the present invention, compounds II.3 wherein R<sup>2</sup>

is C<sub>1</sub>-C<sub>10</sub>-alkoxy or C<sub>1</sub>-C<sub>10</sub>-haloalkoxy, R<sup>1</sup> and R<sup>3</sup> are hydrogen and R<sup>4</sup> is vinyl, can be obtained by a process wherein compounds (VIII) are reacted with compounds (X) to give compounds (II.3),



5

wherein R<sup>2</sup> is C<sub>1</sub>-C<sub>10</sub>-alkoxy or C<sub>1</sub>-C<sub>10</sub>-haloalkoxy, Ph is phenyl and THF is tetrahydrofuran. The reaction can be carried out according to the conditions described in J. Am. Chem. Soc., 120 (27), 6838-6839 (1998).

- 10 The preparation of the compounds of formula (I) or (II) may lead to their isomeric mixtures. If desired, these can be resolved by the methods customary for this purpose, such as crystallization or chromatography, also on optically active adsorbate, to give the pure isomers.
- 15 The compounds of formula (I) or (II) may exist in different polymorphic forms which may exhibit different biological activity. These are also subject to this invention.

Agronomically acceptable salts of the compounds (I) or (II) can be formed in a customary manner, e.g. by reaction with an acid of the anion in question.

20

In this specification and in the claims, reference will be made to a number of terms that shall be defined to have the following meanings:

- 25 "Salt" as used herein includes adducts of compounds (I) or (III) with maleic acid, dimaleic acid, fumaric acid, difumaric acid, methane sulfenic acid, methane sulfonic acid, and succinic acid. Moreover, included as "salts" are those that can form with, for example, amines, metals, alkaline earth metal bases or quaternary ammonium bases, including zwitterions. Suitable metal and alkaline earth metal hydroxides as salt formers include the salts of barium, aluminum, nickel, copper, manganese, cobalt zinc, iron, silver, lithium, sodium, potassium, magnesium or calcium. Additional salt formers include chloride, sulfate, acetate, carbonate, hydride, and hydroxide. Desirable salts include adducts of compounds I with maleic acid, dimaleic acid, fumaric acid, difumaric acid, and methane sulfonic acid.
- 30
- 35 "Halogen" will be taken to mean fluoro, chloro, bromo and iodo.

The term "alkyl" as used herein refers to a branched or unbranched saturated hydrocarbon group having 1 to 10 carbon atoms, such as C<sub>1</sub>-C<sub>6</sub>-alkyl, for example methyl, ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl, 1,1-

dimethylethyl, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-ethylpropyl, hexyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl and 1-ethyl-2-methylpropyl.

The term "haloalkyl" as used herein refers to a straight-chain or branched alkyl group having 1 to 10 carbon atoms (as mentioned above), where some or all of the hydrogen atoms in these groups may be replaced by halogen atoms as mentioned above, for example C<sub>1</sub>-C<sub>2</sub>-haloalkyl, such as chloromethyl, bromomethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 1-chloroethyl, 1-bromoethyl, 1-fluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2,2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl and pentafluoroethyl;

Similarly, "alkoxy" and "alkylthio" refer to straight-chain or branched alkyl groups having 1 to 10 carbon atoms (as mentioned above) bonded through oxygen or sulfur linkages, respectively, at any bond in the alkyl group. Examples include methoxy, ethoxy, propoxy, isopropoxy, methylthio, ethylthio, propylthio, isopropylthio, and n-butylthio.

Similarly, "alkylsulfinyl" and "alkylsulfonyl" refer to straight-chain or branched alkyl groups having 1 to 10 carbon atoms (as mentioned above) bonded through -S(=O)- or -S(=O)<sub>2</sub>-linkages, respectively, at any bond in the alkyl group. Examples include methylsulfinyl and methylsulfonyl.

The term "alkylcarbonyl" refers to straight-chain or branched alkyl groups having 1 to 10 carbon atoms (as mentioned above) bonded through a -C(=O)- linkage, respectively, at any bond in the alkyl group. Examples include acetyl and propionyl.

The term "alkenyl" as used herein intends a branched or unbranched unsaturated hydrocarbon group having 2 to 6 carbon atoms and a double bond in any position, such as ethenyl, 1-propenyl, 2-propenyl, 1-methyl-ethenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1-propenyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl; 1-pentenyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 1-methyl-1-butenyl, 2-methyl-1-butenyl, 3-methyl-1-butenyl, 1-methyl-2-butenyl, 2-methyl-2-butenyl, 3-methyl-2-butenyl, 1-methyl-3-butenyl, 2-methyl-3-butenyl, 3-methyl-3-butenyl, 1,1-dimethyl-2-propenyl, 1,2-dimethyl-1-propenyl, 1,2-dimethyl-2-propenyl, 1-ethyl-1-propenyl, 1-ethyl-2-propenyl, 1-hexenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 1-methyl-1-pentenyl, 2-methyl-1-pentenyl, 3-methyl-1-pentenyl, 4-methyl-1-pentenyl, 1-methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-methyl-2-pentenyl, 4-methyl-2-pentenyl, 1-methyl-3-pentenyl, 2-methyl-3-pentenyl, 3-methyl-3-pentenyl, 4-methyl-3-pentenyl, 1-methyl-4-pentenyl, 2-methyl-4-

5 pentenyl, 3-methyl-4-pentenyl, 4-methyl-4-pentenyl, 1,1-dimethyl-2-butenyl, 1,1-dimethyl-3-butenyl, 1,2-dimethyl-1-butenyl, 1,2-dimethyl-2-butenyl, 1,2-dimethyl-3-butenyl, 1,3-dimethyl-1-butenyl, 1,3-dimethyl-2-butenyl, 1,3-dimethyl-3-butenyl, 2,2-dimethyl-3-butenyl, 2,3-dimethyl-1-butenyl, 2,3-dimethyl-2-butenyl, 2,3-dimethyl-3-butenyl, 3,3-dimethyl-1-butenyl, 3,3-dimethyl-2-butenyl, 1-ethyl-1-butenyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 2-ethyl-1-butenyl, 2-ethyl-2-butenyl, 2-ethyl-3-butenyl, 1,1,2-trimethyl-2-propenyl, 1-ethyl-1-methyl-2-propenyl, 1-ethyl-2-methyl-1-propenyl and 1-ethyl-2-methyl-2-propenyl;

- 10 The term "alkynyl" as used herein refers to a branched or unbranched unsaturated hydrocarbon group containing at least one triple bond, such as ethynyl, propynyl, 1-butynyl, 2-butynyl, and the like.

15 A saturated or partially unsaturated 6-membered cyclic radical which may contain one or two heteroatoms independently selected from oxygen, nitrogen and sulfur refers to a saturated or partially unsaturated 6-membered hydrocarbon ring, e.g. cyclohexane, cyclohexene, or to a saturated or partially unsaturated 6-membered heterocyclic ring containing one or two heteroatoms independently selected from oxygen, nitrogen and sulfur, e.g. pyran, 3,4-dihydro-2H-pyran, pyranone, piperidine, 20 piperazine, dioxane, morpholine, dithiane, and thiomorpholine.

The second ring to which phenyl, pyridyl or the saturated or partially unsaturated 6-membered cyclic radical as defined hereinabove is fused is (a) a saturated, partially unsaturated or unsaturated 5-membered ring which may contain 1 to 3 heteroatoms 25 independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 oxygen or (b) a saturated, partially unsaturated or unsaturated 6-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 to 2 oxygen.

- 30 In a preferred embodiment, the second ring is a saturated, partially unsaturated or unsaturated 5- or 6-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 oxygen.

35 The second ring refers to e.g. a saturated, partially unsaturated or unsaturated 5-membered heterocyclic ring, containing 2 to 3 nitrogen atoms, such as imidazolidine, pyrazolidine, imidazoline, pyrazoline, pyrazol, imidazol, and triazol; or a saturated, partially unsaturated or unsaturated 5-membered heterocyclic ring, containing 1 to 3 nitrogen atoms and 1 sulfur or 1 oxygen atom, e.g. isoxazole, isothiazole, oxazole, thiazole, oxadiazole, thiadiazole, isoxazoline and isothiazoline; or a saturated, partially 40 unsaturated or unsaturated 6-membered heterocyclic ring containing 1 to 3 nitrogen atoms e.g. pyridine, pyridazine, pyrimidine, pyrazine, and triazine; or

a saturated, partially unsaturated or unsaturated 6-membered heterocyclic ring containing 0 to 1 nitrogen atoms and 1 to 2 oxygen atoms or 1 to 2 sulfur atoms, e.g. dioxane, morpholine, dithiane, and thiomorpholine.

- 5 Preferred is a compound of formula (I) or (II) wherein A is phenyl which is fused with a second ring to form a fused ring system, said second ring being a saturated, partially unsaturated or unsaturated 5-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 oxygen, and wherein said fused ring system is substituted with any combination of 1 to 7 groups R<sup>a</sup>. Fused  
10 ring systems of the above-mentioned type are, for example, benzooxadiazolyl and benzothiadiazolyl.

- Preferred is a compound of formula (I) or (II) wherein A is phenyl which is fused with a second ring to form a fused ring system, said second ring being a saturated, partially  
15 unsaturated or unsaturated 6-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 to 2 oxygen, and wherein said fused ring system is substituted with any combination of 1 to 7 groups R<sup>a</sup>. Fused ring systems of the above-mentioned type are, for example, naphthyl, quinolinyl, isoquinolinyl, 5-cinnolinyl, 6-cinnolinyl, 7-cinnolinyl, 8-cinnolinyl, 5-phthalazinyl, 6-  
20 phthalazinyl, 7-phthalazinyl, 8-phthalazinyl, 5-quinazolinyl, 6-quinazolinyl, 7-quinazolinyl, 8-quinazolinyl, 5-quinoxaliny, 6-quinoxaliny, 7-quinoxaliny and 8-quinoxaliny.

- Preferred is a compound of formula (I) or (II) wherein A is pyridyl which is fused with a  
25 second ring to form a fused ring system, said second ring being a saturated, partially unsaturated or unsaturated 5-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 oxygen, and wherein said fused ring system is substituted with any combination of 1 to 7 groups R<sup>a</sup>. Fused ring systems of the above-mentioned type are, for example, 3H-imidazopyridyl,  
30 oxazolopyridyl, thiazolopyridyl, pyrazolopyridyl and triazolopyridyl.

- Preferred is a compound of formula (I) or (II) wherein A is pyridyl which is fused with a second ring to form a fused ring system, said second ring being a saturated, partially  
35 unsaturated or unsaturated 6-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 to 2 oxygen, and wherein said fused ring system is substituted with any combination of 1 to 7 groups R<sup>a</sup>. Fused ring systems of the above-mentioned type are, for example, quinolinyl and isoquinolinyl.

- 40 Preferred is a compound of formula (I) or (II) wherein A is a saturated or partially unsaturated 6-membered cyclic radical which may contain one or two heteroatoms independently selected from oxygen, nitrogen and sulfur, said cyclic radical being fused



with a second ring to form a fused ring system, said second ring being a saturated, partially unsaturated or unsaturated 5-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 oxygen, and wherein said fused ring system is substituted with any combination of 1 to 7 groups R<sup>a</sup>.

Preferred is a compound of formula (I) or (II) wherein A is a saturated or partially unsaturated 6-membered cyclic radical which may contain one or two heteroatoms independently selected from oxygen, nitrogen and sulfur, said cyclic radical being fused with a second ring to form a fused ring system, said second ring being a saturated, partially unsaturated or unsaturated 6-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 to 2 oxygen, and wherein said fused ring system is substituted with any combination of 1 to 7 groups R<sup>a</sup>. Fused ring systems of the above-mentioned type are, for example, dihydrobenzo[1,4]dioxinyl, dihydrobenzo[1,4]oxazinyl, and chromenonyl.

Cycloalkyl: monocyclic 3- to 6-, 8-, or 10-membered saturated carbon atom rings, e.g. C<sub>3</sub>-C<sub>10</sub>-cycloalkyl such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, or cyclodecyl.

With respect to the intended use of the compounds of formula (I) or (II), particular preference is given to the following meanings of the substituents, in each case on their own or in combination:

Compounds of formula (I) or (II) wherein R<sup>1</sup> is hydrogen and R<sup>2</sup> is methyl.

Compounds of formula (I) or (II) wherein R<sup>2</sup> is selected from hydrogen, methyl or cyano.

Compounds of formula (I) or (II) wherein R<sup>1</sup> and R<sup>2</sup> are hydrogen.

Compounds of formula (I) or (II) wherein R<sup>1</sup> and R<sup>2</sup> are methyl.

Compounds of formula (I), wherein R<sup>3</sup> and R<sup>4</sup> are dependently from another selected either one from hydrogen and the other or both from, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>3</sub>-C<sub>10</sub>-cycloalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>4</sub>-C<sub>6</sub>-cycloalkenyl, C<sub>4</sub>-C<sub>6</sub>-halocycloalkenyl, or wherein R<sup>3</sup> and R<sup>4</sup> together can be C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>2</sub>-C<sub>10</sub>-haloalkylene, C<sub>4</sub>-C<sub>10</sub>-alkenylene or C<sub>4</sub>-C<sub>10</sub>-haloalkenylene.

Compounds of formula (II) wherein R<sup>3</sup> is hydrogen.

Compounds of formula (II) wherein R<sup>4</sup> is hydrogen, C<sub>2</sub>-C<sub>6</sub>-alkenyl, such as vinyl, 2-

propenyl, or 2-methyl-1-propenyl, C<sub>2</sub>-C<sub>6</sub>-haloalkenyl, such as 2,2-difluorovinyl, 1-(trifluoromethyl)vinyl, 3,3-difluoro-2-propenyl, 2,3,3-trifluoro-2-propenyl, or 3,3,3-trifluoro-1-propenyl, C<sub>2</sub>-C<sub>6</sub>-haloalkynyl, C<sub>1</sub>-C<sub>6</sub>-fluoroalkyl, such as fluoromethyl, trifluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, pentafluoroethyl, 3,3,3-  
5 trifluoropropyl, or 2,2,3,3,3-pentafluoropropyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, 2,2-dichlorocyclopropyl, cyclopropyl, or cyclobutyl.

Compounds of formula (II) wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is a C<sub>2</sub>-C<sub>6</sub>-alkenyl.

10 Compounds of formula (II) wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is vinyl, 2-propenyl or 2-methyl-1-propenyl.

Compounds of formula (II) wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is a C<sub>2</sub>-C<sub>6</sub>-haloalkenyl.

15 Compounds of formula (II) wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is 2,2-difluorovinyl, 1-(trifluoromethyl)vinyl, 3,3-difluoro-2-propenyl, 2,3,3-trifluoro-2-propenyl or 3,3,3-trifluoro-1-propenyl.

Compounds of formula (II) wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is a C<sub>1</sub>-C<sub>6</sub>-haloalkyl.

20

Compounds of formula (II) wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is fluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 1,1,2,2,2-pentafluoroethyl, 3,3,3-trifluoropropyl or 2,2,3,3,3-pentafluoropropyl.

25 Compounds of formula (II) wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is a C<sub>3</sub>-C<sub>6</sub>-cycloalkyl or C<sub>3</sub>-C<sub>6</sub>-halocycloalkyl.

Compounds of formula (II) wherein R<sup>3</sup> is hydrogen and R<sup>4</sup> is cyclopropyl, cyclobutyl or 2,2-dichlorocyclopropyl.

30

Compounds of formula (II) wherein R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are hydrogen and R<sup>4</sup> is vinyl, 2-propenyl or 2-methyl-2-propenyl.

35 Compounds of formula (II) wherein R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are hydrogen and R<sup>4</sup> is 2,2-difluorovinyl, 1-(trifluoromethyl)vinyl, 3,3-difluoro-2-propenyl, 2,3,3-trifluoro-2-propenyl or 3,3,3-trifluoro-1-propenyl.

40 Compounds of formula (II) wherein R<sup>1</sup> and R<sup>2</sup> are methyl, R<sup>3</sup> is hydrogen and R<sup>4</sup> is 2,2-difluorovinyl, 1-(trifluoromethyl)vinyl, 3,3-difluoro-2-propenyl, 2,3,3-trifluoro-2-propenyl or 3,3,3-trifluoro-1-propenyl.

Compounds of formula (II) wherein R<sup>1</sup> and R<sup>3</sup> are hydrogen, R<sup>2</sup> is methyl and R<sup>4</sup> is 2,2-

difluorovinyl, 1-(trifluoromethyl)vinyl, 3,3-difluoro-2-propenyl, 2,3,3-trifluoro-2-propenyl or 3,3,3-trifluoro-1-propenyl.

5 Compounds of formula (II) wherein R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are hydrogen, R<sup>4</sup> is fluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, pentafluoroethyl, 3,3,3-trifluoropropyl or 2,2,3,3,3-pentafluoropropyl.

10 Compounds of formula (II) wherein R<sup>1</sup> and R<sup>3</sup> are hydrogen, R<sup>2</sup> is methyl and R<sup>4</sup> is fluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, pentafluoroethyl, 3,3,3-trifluoropropyl or 2,2,3,3,3-pentafluoropropyl.

15 Compounds of formula (II) wherein R<sup>1</sup> and R<sup>2</sup> are methyl, R<sup>3</sup> is hydrogen, and R<sup>4</sup> is fluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, pentafluoroethyl, 3,3,3-trifluoropropyl or 2,2,3,3,3-pentafluoropropyl.

Compounds of formula (II) wherein R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are hydrogen and R<sup>4</sup> is cyclopropyl, cyclobutyl or 2,2-dichlorocyclopropyl.

20 Compounds of formula (I) or (II) wherein the fused ring system is naphthyl, quinolinyl, isoquinolinyl, 5-cinnolinyl, 6-cinnolinyl, 7-cinnolinyl, 8-cinnolinyl, 5-phthalazinyl, 6-phthalazinyl, 7-phthalazinyl, 8-phthalazinyl, 5-quinazolinyl, 6-quinazolinyl, 7-quinazolinyl, 8-quinazolinyl, 5-quinoxaliny, 6-quinoxaliny, 7-quinoxaliny, 8-quinoxaliny, benzooxadiazolyl and benzothiadiazolyl.

25 Compounds of formula (I) or (II) wherein the fused ring system is naphthyl, quinolinyl, isoquinolinyl, 5-cinnolinyl, 6-cinnolinyl, 7-cinnolinyl, 8-cinnolinyl, 5-phthalazinyl, 6-phthalazinyl, 7-phthalazinyl, 8-phthalazinyl, 5-quinazolinyl, 6-quinazolinyl, 7-quinazolinyl, 8-quinazolinyl, 5-quinoxaliny, 6-quinoxaliny, 7-quinoxaliny and 8-quinoxaliny.

30 Preferred are compounds of formula (I) or (II) wherein the fused ring system is naphthyl, quinolinyl, isoquinolinyl, 5-quinazolinyl, 6-quinazolinyl, 7-quinazolinyl and 8-quinazolinyl.

35 Preferred are compounds of formula (I) or (II) wherein the fused ring system is naphthyl.

Preferred are compounds of formula (I) or (II) wherein the fused ring system is benzooxadiazolyl and benzothiadiazolyl.

40 Preferred are compounds of formula (I) or (II) wherein the fused ring system is quinolinyl and isoquinolinyl.

Compounds of formula (I) or (II) wherein the fused ring system is substituted with any combination of 1 to 3 groups R<sup>a</sup> other than hydrogen.

- 5 Compounds of formula (I) or (II) wherein the fused ring system is substituted with any combination of 1 to 2 groups R<sup>a</sup> other than hydrogen.

Compounds of formula (I) or (II) wherein the fused ring system is substituted with 1 group R<sup>a</sup> other than hydrogen.

10

Compounds of formula (I) or (II) wherein the fused ring system is substituted with any combination of 2 groups R<sup>a</sup> other than hydrogen.

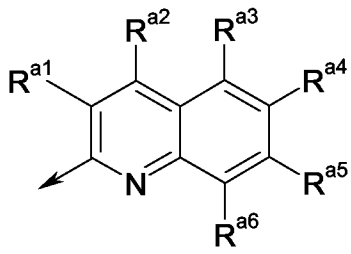
- 15 Compounds of formula (I) or (II) wherein R<sup>a</sup> is hydrogen, halogen (such as fluorine, chlorine, or bromine), cyano, nitro, C<sub>1</sub>-C<sub>6</sub>-alkyl (such as methyl or tert-butyl), C<sub>1</sub>-C<sub>6</sub>-haloalkyl (such as trifluoromethyl), C<sub>3</sub>-C<sub>6</sub>-cylcoalkyl, C<sub>3</sub>-C<sub>6</sub>-halocycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy (such as methoxy), C<sub>1</sub>-C<sub>6</sub>-haloalkoxy (such as difluoromethoxy), C<sub>1</sub>-C<sub>6</sub>-alkylthio (such as thiomethyl), C<sub>1</sub>-C<sub>6</sub>-haloalkylthio (such as trifluoromethylthio), or C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl.

- 20 Compounds of formula (I) or (II) wherein R<sup>a</sup> is hydrogen, halogen, cyano, nitro, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, or C<sub>1</sub>-C<sub>4</sub>-haloalkylthio.

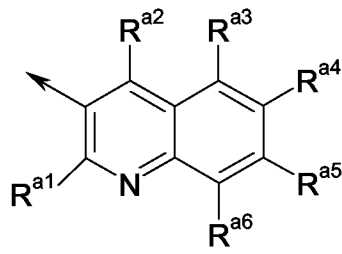
- 25 Compounds of formula (I) or (II) wherein R<sup>a</sup> is hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, or C<sub>1</sub>-C<sub>6</sub>-haloalkyl.

Compounds of formula (I) or (II) wherein A is selected from table A.

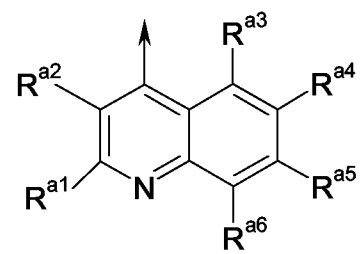
Table A



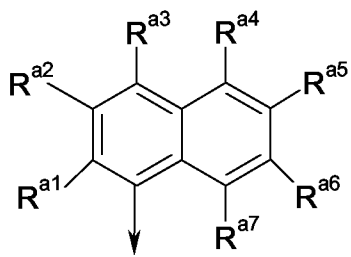
A.1



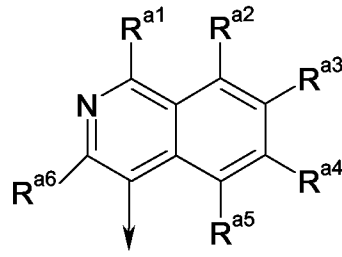
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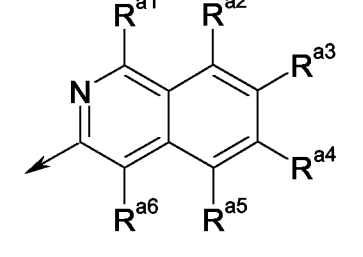
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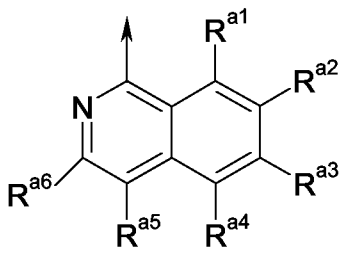
A.4



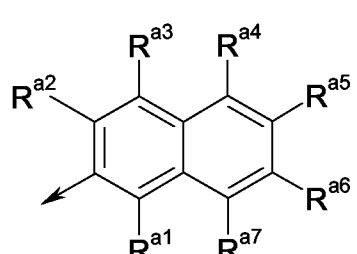
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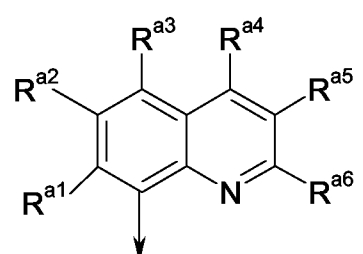
A.6



A.7

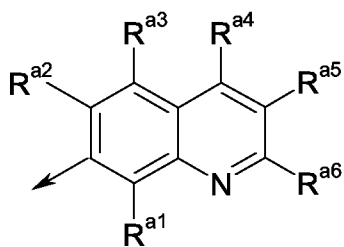


A.8

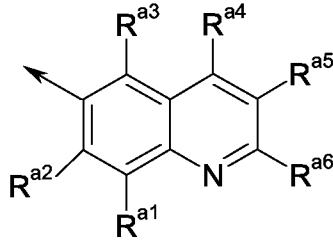


A.9

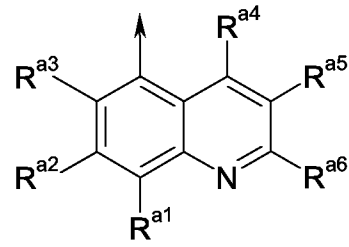
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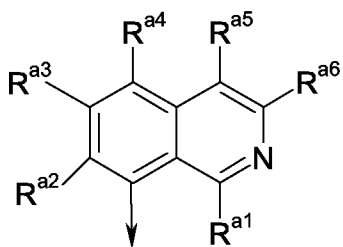
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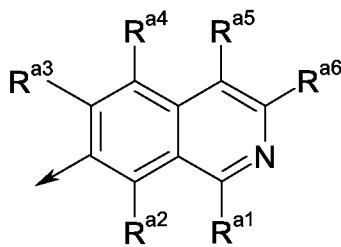
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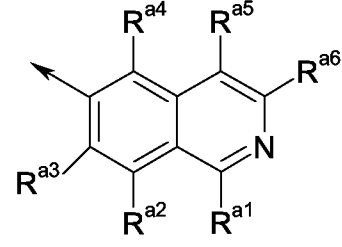
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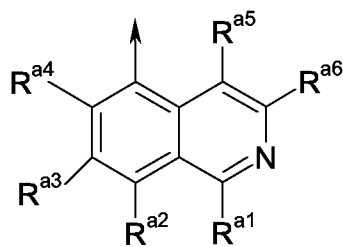
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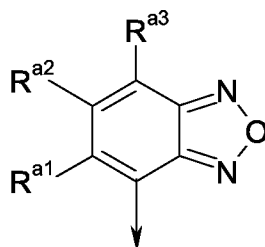
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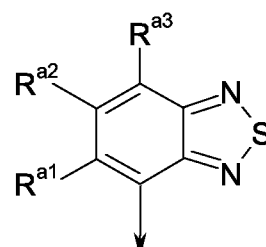
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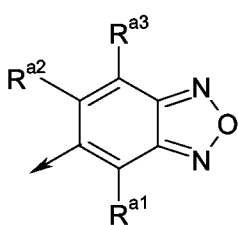
A.16



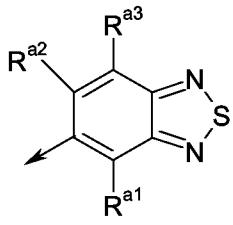
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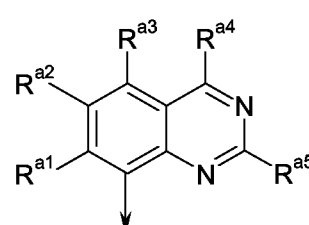
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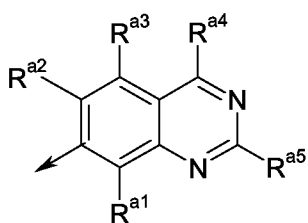
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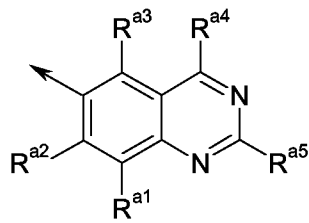
A.20



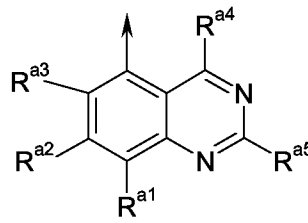
A.21



A.22



A.23



A.24

5

In the fused ring systems A.1 to A.24 of table A,  $R^{a1}$  to  $R^{a7}$  preferably are each independently hydrogen, methyl, tert-butyl, F, Cl, CN,  $NO_2$ ,  $OCHF_2$ ,  $OCH_3$ ,  $CF_3$ ,  $SCH_3$ , or  $SCF_3$ , most preferably hydrogen, methyl, F, Cl, CN or  $CF_3$ .

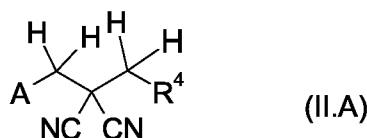
Preferred are compounds of formula (I) or (II) wherein the fused ring system is selected from the fused ring systems referred to hereinabove as A.1, A.2, A.3, A.4, A.8, A.17, A.18, A.19 and A.20. In another preferred embodiment, the fused ring system is selected from the fused ring systems referred to hereinabove as A.1, A.2 and A.3. In yet another preferred embodiment, the fused ring system is selected from the fused ring systems referred to hereinabove as A.4 and A.8. In still yet another preferred embodiment, the fused ring system is selected from the fused ring systems referred to hereinabove as A.17, A.18, A.19 and A.20.

10

With respect to their use, particular preference is given to the compounds (IA) compiled in the tables below. Moreover, the groups mentioned for a substituent in the tables are on their own, independently of the combination in which they are mentioned, a particularly preferred embodiment of the substituent in question.

15

The preferred compounds of the present invention for the intended use are represented by the formula (IIA):



20

wherein A and R<sup>4</sup> are defined as in the following tables:

#### Table 1

Compounds of the formula II.A wherein R<sup>4</sup> denotes CH=CH<sub>2</sub> and A corresponds in each case to a row of Table B.

25

#### Table 2

Compounds of the formula II.A wherein R<sup>4</sup> denotes CH<sub>2</sub>-CH=CH<sub>2</sub> and A corresponds in each case to a row of Table B.

30

#### Table 3

Compounds of the formula II.A wherein R<sup>4</sup> denotes CH<sub>2</sub>CF<sub>3</sub> and A corresponds in each case to a row of Table B.

#### Table 4

Compounds of the formula II.A wherein R<sup>4</sup> denotes cyclopropyl and A corresponds in each case to a row of Table B.

35

#### Table 5

Compounds of the formula II.A wherein R<sup>4</sup> denotes cyclobutyl and A corresponds in each case to a row of Table B.

Table 6

- 5 Compounds of the formula II.A wherein R<sup>4</sup> denotes 1-methyl-cyclopropyl and A corresponds in each case to a row of Table B.

Table 7

- 10 Compounds of the formula II.A wherein R<sup>4</sup> denotes CF<sub>3</sub> and A corresponds in each case to a row of Table B.

Table B

No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-1	A.1	CH <sub>3</sub>	H	H	H	H	H	-
II.A-2	A.1	H	CH <sub>3</sub>	H	H	H	H	-
II.A-3	A.1	H	H	H	CH <sub>3</sub>	H	H	-
II.A-4	A.1	F	H	H	H	H	H	-
II.A-5	A.1	H	F	H	H	H	H	-
II.A-6	A.1	H	H	H	F	H	H	-
II.A-7	A.1	Cl	H	H	H	H	H	-
II.A-8	A.1	H	Cl	H	H	H	H	-
II.A-9	A.1	H	H	H	Cl	H	H	-
II.A-10	A.1	CN	H	H	H	H	H	-
II.A-11	A.1	H	CN	H	H	H	H	-
II.A-12	A.1	H	H	H	CN	H	H	-
II.A-13	A.1	CF <sub>3</sub>	H	H	H	H	H	-
II.A-14	A.1	H	CF <sub>3</sub>	H	H	H	H	-
II.A-15	A.1	H	H	H	CF <sub>3</sub>	H	H	-
II.A-16	A.2	CH <sub>3</sub>	H	H	H	H	H	-
II.A-17	A.2	H	CH <sub>3</sub>	H	H	H	H	-
II.A-18	A.2	H	H	H	H	CH <sub>3</sub>	H	-
II.A-19	A.2	F	H	H	H	H	H	-
II.A-20	A.2	H	F	H	H	H	H	-
II.A-21	A.2	H	H	H	H	F	H	-
II.A-22	A.2	Cl	H	H	H	H	H	-
II.A-23	A.2	H	Cl	H	H	H	H	-
II.A-24	A.2	H	H	H	H	Cl	H	-
II.A-25	A.2	CN	H	H	H	H	H	-
II.A-26	A.2	H	CN	H	H	H	H	-
II.A-27	A.2	H	H	H	H	CN	H	-



No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-28	A.2	CF <sub>3</sub>	H	H	H	H	H	-
II.A-29	A.2	H	CF <sub>3</sub>	H	H	H	H	-
II.A-30	A.2	H	H	H	H	CF <sub>3</sub>	H	-
II.A-31	A.3	CH <sub>3</sub>	H	H	H	H	H	-
II.A-32	A.3	H	CH <sub>3</sub>	H	H	H	H	-
II.A-33	A.3	F	H	H	H	H	H	-
II.A-34	A.3	H	F	H	H	H	H	-
II.A-35	A.3	Cl	H	H	H	H	H	-
II.A-36	A.3	H	Cl	H	H	H	H	-
II.A-37	A.3	CN	H	H	H	H	H	-
II.A-38	A.3	H	CN	H	H	H	H	-
II.A-39	A.3	CF <sub>3</sub>	H	H	H	H	H	-
II.A-40	A.3	H	CF <sub>3</sub>	H	H	H	H	-
II.A-41	A.4	CH <sub>3</sub>	H	H	H	H	H	H
II.A-42	A.4	H	CH <sub>3</sub>	H	H	H	H	H
II.A-43	A.4	H	H	CH <sub>3</sub>	H	H	H	H
II.A-44	A.4	H	H	H	CH <sub>3</sub>	H	H	H
II.A-45	A.4	H	H	H	H	CH <sub>3</sub>	H	H
II.A-46	A.4	H	H	H	H	H	CH <sub>3</sub>	H
II.A-47	A.4	H	H	H	H	H	H	CH <sub>3</sub>
II.A-48	A.4	F	H	H	H	H	H	H
II.A-49	A.4	H	F	H	H	H	H	H
II.A-50	A.4	H	H	F	H	H	H	H
II.A-51	A.4	H	H	H	F	H	H	H
II.A-52	A.4	H	H	H	H	F	H	H
II.A-53	A.4	H	H	H	H	H	F	H
II.A-54	A.4	H	H	H	H	H	H	F
II.A-55	A.4	Cl	H	H	H	H	H	H
II.A-56	A.4	H	Cl	H	H	H	H	H
II.A-57	A.4	H	H	Cl	H	H	H	H
II.A-58	A.4	H	H	H	Cl	H	H	H
II.A-59	A.4	H	H	H	H	Cl	H	H
II.A-60	A.4	H	H	H	H	H	Cl	H
II.A-61	A.4	H	H	H	H	H	H	Cl
II.A-62	A.4	CN	H	H	H	H	H	H
II.A-63	A.4	H	CN	H	H	H	H	H
II.A-64	A.4	H	H	CN	H	H	H	H
II.A-65	A.4	H	H	H	CN	H	H	H
II.A-66	A.4	H	H	H	H	CN	H	H
II.A-67	A.4	H	H	H	H	H	CN	H

No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-68	A.4	H	H	H	H	H	H	CN
II.A-69	A.4	CF <sub>3</sub>	H	H	H	H	H	H
II.A-70	A.4	H	CF <sub>3</sub>	H	H	H	H	H
II.A-71	A.4	H	H	CF <sub>3</sub>	H	H	H	H
II.A-72	A.4	H	H	H	CF <sub>3</sub>	H	H	H
II.A-73	A.4	H	H	H	H	CF <sub>3</sub>	H	H
II.A-74	A.4	H	H	H	H	H	CF <sub>3</sub>	H
II.A-75	A.4	H	H	H	H	H	H	CF <sub>3</sub>
II.A-76	A.4	CH <sub>3</sub>	CH <sub>3</sub>	H	H	H	H	H
II.A-77	A.4	CH <sub>3</sub>	H	CH <sub>3</sub>	H	H	H	H
II.A-78	A.4	H	CH <sub>3</sub>	CH <sub>3</sub>	H	H	H	H
II.A-79	A.4	F	F	H	H	H	H	H
II.A-80	A.4	F	H	F	H	H	H	H
II.A-81	A.4	H	F	F	H	H	H	H
II.A-82	A.4	Cl	Cl	H	H	H	H	H
II.A-83	A.4	Cl	H	Cl	H	H	H	H
II.A-84	A.4	H	Cl	Cl	H	H	H	H
II.A-85	A.4	CN	CN	H	H	H	H	H
II.A-86	A.4	CN	H	CN	H	H	H	H
II.A-87	A.4	H	CN	CN	H	H	H	H
II.A-88	A.4	CF <sub>3</sub>	CF <sub>3</sub>	H	H	H	H	H
II.A-89	A.4	CF <sub>3</sub>	H	CF <sub>3</sub>	H	H	H	H
II.A-90	A.4	H	CF <sub>3</sub>	CF <sub>3</sub>	H	H	H	H
II.A-91	A.4	CH <sub>3</sub>	F	H	H	H	H	H
II.A-92	A.4	F	CH <sub>3</sub>	H	H	H	H	H
II.A-93	A.4	CH <sub>3</sub>	Cl	H	H	H	H	H
II.A-94	A.4	Cl	CH <sub>3</sub>	H	H	H	H	H
II.A-95	A.4	CH <sub>3</sub>	CN	H	H	H	H	H
II.A-96	A.4	CN	CH <sub>3</sub>	H	H	H	H	H
II.A-97	A.4	CH <sub>3</sub>	CF <sub>3</sub>	H	H	H	H	H
II.A-98	A.4	CF <sub>3</sub>	CH <sub>3</sub>	H	H	H	H	H
II.A-99	A.4	F	Cl	H	H	H	H	H
II.A-100	A.4	Cl	F	H	H	H	H	H
II.A-101	A.4	F	CN	H	H	H	H	H
II.A-102	A.4	CN	F	H	H	H	H	H
II.A-103	A.4	F	CF <sub>3</sub>	H	H	H	H	H
II.A-104	A.4	CF <sub>3</sub>	F	H	H	H	H	H
II.A-105	A.4	Cl	CN	H	H	H	H	H
II.A-106	A.4	CN	Cl	H	H	H	H	H
II.A-107	A.4	Cl	CF <sub>3</sub>	H	H	H	H	H

No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-108	A.4	CF <sub>3</sub>	Cl	H	H	H	H	H
II.A-109	A.4	CN	CF <sub>3</sub>	H	H	H	H	H
II.A-110	A.4	CF <sub>3</sub>	CN	H	H	H	H	H
II.A-111	A.4	CH <sub>3</sub>	H	F	H	H	H	H
II.A-112	A.4	F	H	CH <sub>3</sub>	H	H	H	H
II.A-113	A.4	CH <sub>3</sub>	H	Cl	H	H	H	H
II.A-114	A.4	Cl	H	CH <sub>3</sub>	H	H	H	H
II.A-115	A.4	CH <sub>3</sub>	H	CN	H	H	H	H
II.A-116	A.4	CN	H	CH <sub>3</sub>	H	H	H	H
II.A-117	A.4	CH <sub>3</sub>	H	CF <sub>3</sub>	H	H	H	H
II.A-118	A.4	CF <sub>3</sub>	H	CH <sub>3</sub>	H	H	H	H
II.A-119	A.4	F	H	Cl	H	H	H	H
II.A-120	A.4	Cl	H	F	H	H	H	H
II.A-121	A.4	F	H	CN	H	H	H	H
II.A-122	A.4	CN	H	F	H	H	H	H
II.A-123	A.4	F	H	CF <sub>3</sub>	H	H	H	H
II.A-124	A.4	CF <sub>3</sub>	H	F	H	H	H	H
II.A-125	A.4	Cl	H	CN	H	H	H	H
II.A-126	A.4	CN	H	Cl	H	H	H	H
II.A-127	A.4	Cl	H	CF <sub>3</sub>	H	H	H	H
II.A-128	A.4	CF <sub>3</sub>	H	Cl	H	H	H	H
II.A-129	A.4	CN	H	CF <sub>3</sub>	H	H	H	H
II.A-130	A.4	CF <sub>3</sub>	H	CN	H	H	H	H
II.A-131	A.4	H	CH <sub>3</sub>	F	H	H	H	H
II.A-132	A.4	H	F	CH <sub>3</sub>	H	H	H	H
II.A-133	A.4	H	CH <sub>3</sub>	Cl	H	H	H	H
II.A-134	A.4	H	Cl	CH <sub>3</sub>	H	H	H	H
II.A-135	A.4	H	CH <sub>3</sub>	CN	H	H	H	H
II.A-136	A.4	H	CN	CH <sub>3</sub>	H	H	H	H
II.A-137	A.4	H	CH <sub>3</sub>	CF <sub>3</sub>	H	H	H	H
II.A-138	A.4	H	CF <sub>3</sub>	CH <sub>3</sub>	H	H	H	H
II.A-139	A.4	H	F	Cl	H	H	H	H
II.A-140	A.4	H	Cl	F	H	H	H	H
II.A-141	A.4	H	F	CN	H	H	H	H
II.A-142	A.4	H	CN	F	H	H	H	H
II.A-143	A.4	H	F	CF <sub>3</sub>	H	H	H	H
II.A-144	A.4	H	CF <sub>3</sub>	F	H	H	H	H
II.A-145	A.4	H	Cl	CN	H	H	H	H
II.A-146	A.4	H	CN	Cl	H	H	H	H
II.A-147	A.4	H	Cl	CF <sub>3</sub>	H	H	H	H

No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-148	A.4	H	CF <sub>3</sub>	Cl	H	H	H	H
II.A-149	A.4	H	CN	CF <sub>3</sub>	H	H	H	H
II.A-150	A.4	H	CF <sub>3</sub>	CN	H	H	H	H
II.A-151	A.8	CH <sub>3</sub>	H	H	H	H	H	H
II.A-152	A.8	H	CH <sub>3</sub>	H	H	H	H	H
II.A-153	A.8	H	H	CH <sub>3</sub>	H	H	H	H
II.A-154	A.8	H	H	H	CH <sub>3</sub>	H	H	H
II.A-155	A.8	H	H	H	H	CH <sub>3</sub>	H	H
II.A-156	A.8	H	H	H	H	H	CH <sub>3</sub>	H
II.A-157	A.8	H	H	H	H	H	H	CH <sub>3</sub>
II.A-158	A.8	F	H	H	H	H	H	H
II.A-159	A.8	H	F	H	H	H	H	H
II.A-160	A.8	H	H	F	H	H	H	H
II.A-161	A.8	H	H	H	F	H	H	H
II.A-162	A.8	H	H	H	H	F	H	H
II.A-163	A.8	H	H	H	H	H	F	H
II.A-164	A.8	H	H	H	H	H	H	F
II.A-165	A.8	Cl	H	H	H	H	H	H
II.A-166	A.8	H	Cl	H	H	H	H	H
II.A-167	A.8	H	H	Cl	H	H	H	H
II.A-168	A.8	H	H	H	Cl	H	H	H
II.A-169	A.8	H	H	H	H	Cl	H	H
II.A-170	A.8	H	H	H	H	H	Cl	H
II.A-171	A.8	H	H	H	H	H	H	Cl
II.A-172	A.8	CN	H	H	H	H	H	H
II.A-173	A.8	H	CN	H	H	H	H	H
II.A-174	A.8	H	H	CN	H	H	H	H
II.A-175	A.8	H	H	H	CN	H	H	H
II.A-176	A.8	H	H	H	H	CN	H	H
II.A-177	A.8	H	H	H	H	H	CN	H
II.A-178	A.8	H	H	H	H	H	H	CN
II.A-179	A.8	CF <sub>3</sub>	H	H	H	H	H	H
II.A-180	A.8	H	CF <sub>3</sub>	H	H	H	H	H
II.A-181	A.8	H	H	CF <sub>3</sub>	H	H	H	H
II.A-182	A.8	H	H	H	CF <sub>3</sub>	H	H	H
II.A-183	A.8	H	H	H	H	CF <sub>3</sub>	H	H
II.A-184	A.8	H	H	H	H	H	CF <sub>3</sub>	H
II.A-185	A.8	H	H	H	H	H	H	CF <sub>3</sub>
II.A-186	A.8	CH <sub>3</sub>	CH <sub>3</sub>	H	H	H	H	H
II.A-187	A.8	CH <sub>3</sub>	H	CH <sub>3</sub>	H	H	H	H

No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-188	A.8	CH <sub>3</sub>	H	H	H	CH <sub>3</sub>	H	H
II.A-189	A.8	H	CH <sub>3</sub>	CH <sub>3</sub>	H	H	H	H
II.A-190	A.8	H	CH <sub>3</sub>	H	H	CH <sub>3</sub>	H	H
II.A-191	A.8	H	H	CH <sub>3</sub>	H	CH <sub>3</sub>	H	H
II.A-192	A.8	F	F	H	H	H	H	H
II.A-193	A.8	F	H	F	H	H	H	H
II.A-194	A.8	F	H	H	H	F	H	H
II.A-195	A.8	H	F	F	H	H	H	H
II.A-196	A.8	H	F	H	H	F	H	H
II.A-197	A.8	H	H	F	H	F	H	H
II.A-198	A.8	Cl	Cl	H	H	H	H	H
II.A-199	A.8	Cl	H	Cl	H	H	H	H
II.A-200	A.8	Cl	H	H	H	Cl	H	H
II.A-201	A.8	H	Cl	Cl	H	H	H	H
II.A-202	A.8	H	Cl	H	H	Cl	H	H
II.A-203	A.8	H	H	Cl	H	Cl	H	H
II.A-204	A.8	CN	CN	H	H	H	H	H
II.A-205	A.8	CN	H	CN	H	H	H	H
II.A-206	A.8	CN	H	H	H	CN	H	H
II.A-207	A.8	H	CN	CN	H	H	H	H
II.A-208	A.8	H	CN	H	H	CN	H	H
II.A-209	A.8	H	H	CN	H	CN	H	H
II.A-210	A.8	CF <sub>3</sub>	CF <sub>3</sub>	H	H	H	H	H
II.A-211	A.8	CF <sub>3</sub>	H	CF <sub>3</sub>	H	H	H	H
II.A-212	A.8	CF <sub>3</sub>	H	H	H	CF <sub>3</sub>	H	H
II.A-213	A.8	H	CF <sub>3</sub>	CF <sub>3</sub>	H	H	H	H
II.A-214	A.8	H	CF <sub>3</sub>	H	H	CF <sub>3</sub>	H	H
II.A-215	A.8	H	H	CF <sub>3</sub>	H	CF <sub>3</sub>	H	H
II.A-216	A.8	CH <sub>3</sub>	F	H	H	H	H	H
II.A-217	A.8	CH <sub>3</sub>	H	F	H	H	H	H
II.A-218	A.8	CH <sub>3</sub>	H	H	H	F	H	H
II.A-219	A.8	H	CH <sub>3</sub>	F	H	H	H	H
II.A-220	A.8	H	CH <sub>3</sub>	H	H	F	H	H
II.A-221	A.8	H	H	CH <sub>3</sub>	H	F	H	H
II.A-222	A.8	F	CH <sub>3</sub>	H	H	H	H	H
II.A-223	A.8	F	H	CH <sub>3</sub>	H	H	H	H
II.A-224	A.8	F	H	H	H	CH <sub>3</sub>	H	H
II.A-225	A.8	H	F	CH <sub>3</sub>	H	H	H	H
II.A-226	A.8	H	F	H	H	CH <sub>3</sub>	H	H
II.A-227	A.8	H	H	F	H	CH <sub>3</sub>	H	H

No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-228	A.8	CH <sub>3</sub>	Cl	H	H	H	H	H
II.A-229	A.8	CH <sub>3</sub>	H	Cl	H	H	H	H
II.A-230	A.8	CH <sub>3</sub>	H	H	H	Cl	H	H
II.A-231	A.8	H	CH <sub>3</sub>	Cl	H	H	H	H
II.A-232	A.8	H	CH <sub>3</sub>	H	H	Cl	H	H
II.A-233	A.8	H	H	CH <sub>3</sub>	H	Cl	H	H
II.A-234	A.8	Cl	CH <sub>3</sub>	H	H	H	H	H
II.A-235	A.8	Cl	H	CH <sub>3</sub>	H	H	H	H
II.A-236	A.8	Cl	H	H	H	CH <sub>3</sub>	H	H
II.A-237	A.8	H	Cl	CH <sub>3</sub>	H	H	H	H
II.A-238	A.8	H	Cl	H	H	CH <sub>3</sub>	H	H
II.A-239	A.8	H	H	Cl	H	CH <sub>3</sub>	H	H
II.A-240	A.8	CH <sub>3</sub>	CN	H	H	H	H	H
II.A-241	A.8	CH <sub>3</sub>	H	CN	H	H	H	H
II.A-242	A.8	CH <sub>3</sub>	H	H	H	CN	H	H
II.A-243	A.8	H	CH <sub>3</sub>	CN	H	H	H	H
II.A-244	A.8	H	CH <sub>3</sub>	H	H	CN	H	H
II.A-245	A.8	H	H	CH <sub>3</sub>	H	CN	H	H
II.A-246	A.8	CN	CH <sub>3</sub>	H	H	H	H	H
II.A-247	A.8	CN	H	CH <sub>3</sub>	H	H	H	H
II.A-248	A.8	CN	H	H	H	CH <sub>3</sub>	H	H
II.A-249	A.8	H	CN	CH <sub>3</sub>	H	H	H	H
II.A-250	A.8	H	CN	H	H	CH <sub>3</sub>	H	H
II.A-251	A.8	H	H	CN	H	CH <sub>3</sub>	H	H
II.A-252	A.8	CH <sub>3</sub>	CF <sub>3</sub>	H	H	H	H	H
II.A-253	A.8	CH <sub>3</sub>	H	CF <sub>3</sub>	H	H	H	H
II.A-254	A.8	CH <sub>3</sub>	H	H	H	CF <sub>3</sub>	H	H
II.A-255	A.8	H	CH <sub>3</sub>	CF <sub>3</sub>	H	H	H	H
II.A-256	A.8	H	CH <sub>3</sub>	H	H	CF <sub>3</sub>	H	H
II.A-257	A.8	H	H	CH <sub>3</sub>	H	CF <sub>3</sub>	H	H
II.A-258	A.8	CF <sub>3</sub>	CH <sub>3</sub>	H	H	H	H	H
II.A-259	A.8	CF <sub>3</sub>	H	CH <sub>3</sub>	H	H	H	H
II.A-260	A.8	CF <sub>3</sub>	H	H	H	CH <sub>3</sub>	H	H
II.A-261	A.8	H	CF <sub>3</sub>	CH <sub>3</sub>	H	H	H	H
II.A-262	A.8	H	CF <sub>3</sub>	H	H	CH <sub>3</sub>	H	H
II.A-263	A.8	H	H	CF <sub>3</sub>	H	CH <sub>3</sub>	H	H
II.A-264	A.8	F	Cl	H	H	H	H	H
II.A-265	A.8	F	H	Cl	H	H	H	H
II.A-266	A.8	F	H	H	H	Cl	H	H
II.A-267	A.8	H	F	Cl	H	H	H	H

No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-268	A.8	H	F	H	H	Cl	H	H
II.A-269	A.8	H	H	F	H	Cl	H	H
II.A-270	A.8	Cl	F	H	H	H	H	H
II.A-271	A.8	Cl	H	F	H	H	H	H
II.A-272	A.8	Cl	H	H	H	F	H	H
II.A-273	A.8	H	Cl	F	H	H	H	H
II.A-274	A.8	H	Cl	H	H	F	H	H
II.A-275	A.8	H	H	Cl	H	F	H	H
II.A-276	A.8	F	CN	H	H	H	H	H
II.A-277	A.8	F	H	CN	H	H	H	H
II.A-278	A.8	F	H	H	H	CN	H	H
II.A-279	A.8	H	F	CN	H	H	H	H
II.A-280	A.8	H	F	H	H	CN	H	H
II.A-281	A.8	H	H	F	H	CN	H	H
II.A-282	A.8	CN	F	H	H	H	H	H
II.A-283	A.8	CN	H	F	H	H	H	H
II.A-284	A.8	CN	H	H	H	F	H	H
II.A-285	A.8	H	CN	F	H	H	H	H
II.A-286	A.8	H	CN	H	H	F	H	H
II.A-287	A.8	H	H	CN	H	F	H	H
II.A-288	A.8	F	CF <sub>3</sub>	H	H	H	H	H
II.A-289	A.8	F	H	CF <sub>3</sub>	H	H	H	H
II.A-290	A.8	F	H	H	H	CF <sub>3</sub>	H	H
II.A-291	A.8	H	F	CF <sub>3</sub>	H	H	H	H
II.A-292	A.8	H	F	H	H	CF <sub>3</sub>	H	H
II.A-293	A.8	H	H	F	H	CF <sub>3</sub>	H	H
II.A-294	A.8	CF <sub>3</sub>	F	H	H	H	H	H
II.A-295	A.8	CF <sub>3</sub>	H	F	H	H	H	H
II.A-296	A.8	CF <sub>3</sub>	H	H	H	F	H	H
II.A-297	A.8	H	CF <sub>3</sub>	F	H	H	H	H
II.A-298	A.8	H	CF <sub>3</sub>	H	H	F	H	H
II.A-299	A.8	H	H	CF <sub>3</sub>	H	F	H	H
II.A-300	A.8	Cl	CN	H	H	H	H	H
II.A-301	A.8	Cl	H	CN	H	H	H	H
II.A-302	A.8	Cl	H	H	H	CN	H	H
II.A-303	A.8	H	Cl	CN	H	H	H	H
II.A-304	A.8	H	Cl	H	H	CN	H	H
II.A-305	A.8	H	H	Cl	H	CN	H	H
II.A-306	A.8	CN	Cl	H	H	H	H	H
II.A-307	A.8	CN	H	Cl	H	H	H	H

No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-308	A.8	CN	H	H	H	Cl	H	H
II.A-309	A.8	H	CN	Cl	H	H	H	H
II.A-310	A.8	H	CN	H	H	Cl	H	H
II.A-311	A.8	H	H	CN	H	Cl	H	H
II.A-312	A.8	Cl	CF <sub>3</sub>	H	H	H	H	H
II.A-313	A.8	Cl	H	CF <sub>3</sub>	H	H	H	H
II.A-314	A.8	Cl	H	H	H	CF <sub>3</sub>	H	H
II.A-315	A.8	H	Cl	CF <sub>3</sub>	H	H	H	H
II.A-316	A.8	H	Cl	H	H	CF <sub>3</sub>	H	H
II.A-317	A.8	H	H	Cl	H	CF <sub>3</sub>	H	H
II.A-318	A.8	CF <sub>3</sub>	Cl	H	H	H	H	H
II.A-319	A.8	CF <sub>3</sub>	H	Cl	H	H	H	H
II.A-320	A.8	CF <sub>3</sub>	H	H	H	Cl	H	H
II.A-321	A.8	H	CF <sub>3</sub>	Cl	H	H	H	H
II.A-322	A.8	H	CF <sub>3</sub>	H	H	Cl	H	H
II.A-323	A.8	H	H	CF <sub>3</sub>	H	Cl	H	H
II.A-324	A.8	CN	CF <sub>3</sub>	H	H	H	H	H
II.A-325	A.8	CN	H	CF <sub>3</sub>	H	H	H	H
II.A-326	A.8	CN	H	H	H	CF <sub>3</sub>	H	H
II.A-327	A.8	H	CN	CF <sub>3</sub>	H	H	H	H
II.A-328	A.8	H	CN	H	H	CF <sub>3</sub>	H	H
II.A-329	A.8	H	H	CN	H	CF <sub>3</sub>	H	H
II.A-330	A.8	CF <sub>3</sub>	CN	H	H	H	H	H
II.A-331	A.8	CF <sub>3</sub>	H	CN	H	H	H	H
II.A-332	A.8	CF <sub>3</sub>	H	H	H	CN	H	H
II.A-333	A.8	H	CF <sub>3</sub>	CN	H	H	H	H
II.A-334	A.8	H	CF <sub>3</sub>	H	H	CN	H	H
II.A-335	A.8	H	H	CF <sub>3</sub>	H	CN	H	H
II.A-336	A.17	CH <sub>3</sub>	H	H	-	-	-	-
II.A-337	A.17	H	CH <sub>3</sub>	H	-	-	-	-
II.A-338	A.17	H	H	CH <sub>3</sub>	-	-	-	-
II.A-339	A.17	F	H	H	-	-	-	-
II.A-340	A.17	H	F	H	-	-	-	-
II.A-341	A.17	H	H	F	-	-	-	-
II.A-342	A.17	Cl	H	H	-	-	-	-
II.A-343	A.17	H	Cl	H	-	-	-	-
II.A-344	A.17	H	H	Cl	-	-	-	-
II.A-345	A.17	CN	H	H	-	-	-	-
II.A-346	A.17	H	CN	H	-	-	-	-
II.A-347	A.17	H	H	CN	-	-	-	-



No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-348	A.17	CF <sub>3</sub>	H	H	-	-	-	-
II.A-349	A.17	H	CF <sub>3</sub>	H	-	-	-	-
II.A-350	A.17	H	H	CF <sub>3</sub>	-	-	-	-
II.A-351	A.17	CH <sub>3</sub>	CH <sub>3</sub>	H	-	-	-	-
II.A-352	A.17	CH <sub>3</sub>	H	CH <sub>3</sub>	-	-	-	-
II.A-353	A.17	H	CH <sub>3</sub>	CH <sub>3</sub>	-	-	-	-
II.A-354	A.17	F	F	H	-	-	-	-
II.A-355	A.17	F	H	F	-	-	-	-
II.A-356	A.17	H	F	F	-	-	-	-
II.A-357	A.17	Cl	Cl	H	-	-	-	-
II.A-358	A.17	Cl	H	Cl	-	-	-	-
II.A-359	A.17	H	Cl	Cl	-	-	-	-
II.A-360	A.17	CN	CN	H	-	-	-	-
II.A-361	A.17	CN	H	CN	-	-	-	-
II.A-362	A.17	H	CN	CN	-	-	-	-
II.A-363	A.17	CF <sub>3</sub>	CF <sub>3</sub>	H	-	-	-	-
II.A-364	A.17	CF <sub>3</sub>	H	CF <sub>3</sub>	-	-	-	-
II.A-365	A.17	H	CF <sub>3</sub>	CF <sub>3</sub>	-	-	-	-
II.A-366	A.17	CH <sub>3</sub>	F	H	-	-	-	-
II.A-367	A.17	F	CH <sub>3</sub>	H	-	-	-	-
II.A-368	A.17	CH <sub>3</sub>	Cl	H	-	-	-	-
II.A-369	A.17	Cl	CH <sub>3</sub>	H	-	-	-	-
II.A-370	A.17	CH <sub>3</sub>	CN	H	-	-	-	-
II.A-371	A.17	CN	CH <sub>3</sub>	H	-	-	-	-
II.A-372	A.17	CH <sub>3</sub>	CF <sub>3</sub>	H	-	-	-	-
II.A-373	A.17	CF <sub>3</sub>	CH <sub>3</sub>	H	-	-	-	-
II.A-374	A.17	F	Cl	H	-	-	-	-
II.A-375	A.17	Cl	F	H	-	-	-	-
II.A-376	A.17	F	CN	H	-	-	-	-
II.A-377	A.17	CN	F	H	-	-	-	-
II.A-378	A.17	F	CF <sub>3</sub>	H	-	-	-	-
II.A-379	A.17	CF <sub>3</sub>	F	H	-	-	-	-
II.A-380	A.17	Cl	CN	H	-	-	-	-
II.A-381	A.17	CN	Cl	H	-	-	-	-
II.A-382	A.17	Cl	CF <sub>3</sub>	H	-	-	-	-
II.A-383	A.17	CF <sub>3</sub>	Cl	H	-	-	-	-
II.A-384	A.17	CN	CF <sub>3</sub>	H	-	-	-	-
II.A-385	A.17	CF <sub>3</sub>	CN	H	-	-	-	-
II.A-386	A.17	CH <sub>3</sub>	H	F	-	-	-	-
II.A-387	A.17	F	H	CH <sub>3</sub>	-	-	-	-

No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-388	A.17	CH <sub>3</sub>	H	Cl	-	-	-	-
II.A-389	A.17	Cl	H	CH <sub>3</sub>	-	-	-	-
II.A-390	A.17	CH <sub>3</sub>	H	CN	-	-	-	-
II.A-391	A.17	CN	H	CH <sub>3</sub>	-	-	-	-
II.A-392	A.17	CH <sub>3</sub>	H	CF <sub>3</sub>	-	-	-	-
II.A-393	A.17	CF <sub>3</sub>	H	CH <sub>3</sub>	-	-	-	-
II.A-394	A.17	F	H	Cl	-	-	-	-
II.A-395	A.17	Cl	H	F	-	-	-	-
II.A-396	A.17	F	H	CN	-	-	-	-
II.A-397	A.17	CN	H	F	-	-	-	-
II.A-398	A.17	F	H	CF <sub>3</sub>	-	-	-	-
II.A-399	A.17	CF <sub>3</sub>	H	F	-	-	-	-
II.A-400	A.17	Cl	H	CN	-	-	-	-
II.A-401	A.17	CN	H	Cl	-	-	-	-
II.A-402	A.17	Cl	H	CF <sub>3</sub>	-	-	-	-
II.A-403	A.17	CF <sub>3</sub>	H	Cl	-	-	-	-
II.A-404	A.17	CN	H	CF <sub>3</sub>	-	-	-	-
II.A-405	A.17	CF <sub>3</sub>	H	CN	-	-	-	-
II.A-406	A.17	H	CH <sub>3</sub>	F	-	-	-	-
II.A-407	A.17	H	F	CH <sub>3</sub>	-	-	-	-
II.A-408	A.17	H	CH <sub>3</sub>	Cl	-	-	-	-
II.A-409	A.17	H	Cl	CH <sub>3</sub>	-	-	-	-
II.A-410	A.17	H	CH <sub>3</sub>	CN	-	-	-	-
II.A-411	A.17	H	CN	CH <sub>3</sub>	-	-	-	-
II.A-412	A.17	H	CH <sub>3</sub>	CF <sub>3</sub>	-	-	-	-
II.A-413	A.17	H	CF <sub>3</sub>	CH <sub>3</sub>	-	-	-	-
II.A-414	A.17	H	F	Cl	-	-	-	-
II.A-415	A.17	H	Cl	F	-	-	-	-
II.A-416	A.17	H	F	CN	-	-	-	-
II.A-417	A.17	H	CN	F	-	-	-	-
II.A-418	A.17	H	F	CF <sub>3</sub>	-	-	-	-
II.A-419	A.17	H	CF <sub>3</sub>	F	-	-	-	-
II.A-420	A.17	H	Cl	CN	-	-	-	-
II.A-421	A.17	H	CN	Cl	-	-	-	-
II.A-422	A.17	H	Cl	CF <sub>3</sub>	-	-	-	-
II.A-423	A.17	H	CF <sub>3</sub>	Cl	-	-	-	-
II.A-424	A.17	H	CN	CF <sub>3</sub>	-	-	-	-
II.A-425	A.17	H	CF <sub>3</sub>	CN	-	-	-	-
II.A-426	A.18	CH <sub>3</sub>	H	H	-	-	-	-
II.A-427	A.18	H	CH <sub>3</sub>	H	-	-	-	-

No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-428	A.18	H	H	CH <sub>3</sub>	-	-	-	-
II.A-429	A.18	F	H	H	-	-	-	-
II.A-430	A.18	H	F	H	-	-	-	-
II.A-431	A.18	H	H	F	-	-	-	-
II.A-432	A.18	Cl	H	H	-	-	-	-
II.A-433	A.18	H	Cl	H	-	-	-	-
II.A-434	A.18	H	H	Cl	-	-	-	-
II.A-435	A.18	CN	H	H	-	-	-	-
II.A-436	A.18	H	CN	H	-	-	-	-
II.A-437	A.18	H	H	CN	-	-	-	-
II.A-438	A.18	CF <sub>3</sub>	H	H	-	-	-	-
II.A-439	A.18	H	CF <sub>3</sub>	H	-	-	-	-
II.A-440	A.18	H	H	CF <sub>3</sub>	-	-	-	-
II.A-441	A.18	CH <sub>3</sub>	CH <sub>3</sub>	H	-	-	-	-
II.A-442	A.18	CH <sub>3</sub>	H	CH <sub>3</sub>	-	-	-	-
II.A-443	A.18	H	CH <sub>3</sub>	CH <sub>3</sub>	-	-	-	-
II.A-444	A.18	F	F	H	-	-	-	-
II.A-445	A.18	F	H	F	-	-	-	-
II.A-446	A.18	H	F	F	-	-	-	-
II.A-447	A.18	Cl	Cl	H	-	-	-	-
II.A-448	A.18	Cl	H	Cl	-	-	-	-
II.A-449	A.18	H	Cl	Cl	-	-	-	-
II.A-450	A.18	CN	CN	H	-	-	-	-
II.A-451	A.18	CN	H	CN	-	-	-	-
II.A-452	A.18	H	CN	CN	-	-	-	-
II.A-453	A.18	CF <sub>3</sub>	CF <sub>3</sub>	H	-	-	-	-
II.A-454	A.18	CF <sub>3</sub>	H	CF <sub>3</sub>	-	-	-	-
II.A-455	A.18	H	CF <sub>3</sub>	CF <sub>3</sub>	-	-	-	-
II.A-456	A.18	CH <sub>3</sub>	F	H	-	-	-	-
II.A-457	A.18	F	CH <sub>3</sub>	H	-	-	-	-
II.A-458	A.18	CH <sub>3</sub>	Cl	H	-	-	-	-
II.A-459	A.18	Cl	CH <sub>3</sub>	H	-	-	-	-
II.A-460	A.18	CH <sub>3</sub>	CN	H	-	-	-	-
II.A-461	A.18	CN	CH <sub>3</sub>	H	-	-	-	-
II.A-462	A.18	CH <sub>3</sub>	CF <sub>3</sub>	H	-	-	-	-
II.A-463	A.18	CF <sub>3</sub>	CH <sub>3</sub>	H	-	-	-	-
II.A-464	A.18	F	Cl	H	-	-	-	-
II.A-465	A.18	Cl	F	H	-	-	-	-
II.A-466	A.18	F	CN	H	-	-	-	-
II.A-467	A.18	CN	F	H	-	-	-	-

No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-468	A.18	F	CF <sub>3</sub>	H	-	-	-	-
II.A-469	A.18	CF <sub>3</sub>	F	H	-	-	-	-
II.A-470	A.18	Cl	CN	H	-	-	-	-
II.A-471	A.18	CN	Cl	H	-	-	-	-
II.A-472	A.18	Cl	CF <sub>3</sub>	H	-	-	-	-
II.A-473	A.18	CF <sub>3</sub>	Cl	H	-	-	-	-
II.A-474	A.18	CN	CF <sub>3</sub>	H	-	-	-	-
II.A-475	A.18	CF <sub>3</sub>	CN	H	-	-	-	-
II.A-476	A.18	CH <sub>3</sub>	H	F	-	-	-	-
II.A-477	A.18	F	H	CH <sub>3</sub>	-	-	-	-
II.A-478	A.18	CH <sub>3</sub>	H	Cl	-	-	-	-
II.A-479	A.18	Cl	H	CH <sub>3</sub>	-	-	-	-
II.A-480	A.18	CH <sub>3</sub>	H	CN	-	-	-	-
II.A-481	A.18	CN	H	CH <sub>3</sub>	-	-	-	-
II.A-482	A.18	CH <sub>3</sub>	H	CF <sub>3</sub>	-	-	-	-
II.A-483	A.18	CF <sub>3</sub>	H	CH <sub>3</sub>	-	-	-	-
II.A-484	A.18	F	H	Cl	-	-	-	-
II.A-485	A.18	Cl	H	F	-	-	-	-
II.A-486	A.18	F	H	CN	-	-	-	-
II.A-487	A.18	CN	H	F	-	-	-	-
II.A-488	A.18	F	H	CF <sub>3</sub>	-	-	-	-
II.A-489	A.18	CF <sub>3</sub>	H	F	-	-	-	-
II.A-490	A.18	Cl	H	CN	-	-	-	-
II.A-491	A.18	CN	H	Cl	-	-	-	-
II.A-492	A.18	Cl	H	CF <sub>3</sub>	-	-	-	-
II.A-493	A.18	CF <sub>3</sub>	H	Cl	-	-	-	-
II.A-494	A.18	CN	H	CF <sub>3</sub>	-	-	-	-
II.A-495	A.18	CF <sub>3</sub>	H	CN	-	-	-	-
II.A-496	A.18	H	CH <sub>3</sub>	F	-	-	-	-
II.A-497	A.18	H	F	CH <sub>3</sub>	-	-	-	-
II.A-498	A.18	H	CH <sub>3</sub>	Cl	-	-	-	-
II.A-499	A.18	H	Cl	CH <sub>3</sub>	-	-	-	-
II.A-500	A.18	H	CH <sub>3</sub>	CN	-	-	-	-
II.A-501	A.18	H	CN	CH <sub>3</sub>	-	-	-	-
II.A-502	A.18	H	CH <sub>3</sub>	CF <sub>3</sub>	-	-	-	-
II.A-503	A.18	H	CF <sub>3</sub>	CH <sub>3</sub>	-	-	-	-
II.A-504	A.18	H	F	Cl	-	-	-	-
II.A-505	A.18	H	Cl	F	-	-	-	-
II.A-506	A.18	H	F	CN	-	-	-	-
II.A-507	A.18	H	CN	F	-	-	-	-

No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-508	A.18	H	F	CF <sub>3</sub>	-	-	-	-
II.A-509	A.18	H	CF <sub>3</sub>	F	-	-	-	-
II.A-510	A.18	H	Cl	CN	-	-	-	-
II.A-511	A.18	H	CN	Cl	-	-	-	-
II.A-512	A.18	H	Cl	CF <sub>3</sub>	-	-	-	-
II.A-513	A.18	H	CF <sub>3</sub>	Cl	-	-	-	-
II.A-514	A.18	H	CN	CF <sub>3</sub>	-	-	-	-
II.A-515	A.18	H	CF <sub>3</sub>	CN	-	-	-	-
II.A-516	A.19	CH <sub>3</sub>	H	H	-	-	-	-
II.A-517	A.19	H	CH <sub>3</sub>	H	-	-	-	-
II.A-518	A.19	H	H	CH <sub>3</sub>	-	-	-	-
II.A-519	A.19	F	H	H	-	-	-	-
II.A-520	A.19	H	F	H	-	-	-	-
II.A-521	A.19	H	H	F	-	-	-	-
II.A-522	A.19	Cl	H	H	-	-	-	-
II.A-523	A.19	H	Cl	H	-	-	-	-
II.A-524	A.19	H	H	Cl	-	-	-	-
II.A-525	A.19	CN	H	H	-	-	-	-
II.A-526	A.19	H	CN	H	-	-	-	-
II.A-527	A.19	H	H	CN	-	-	-	-
II.A-528	A.19	CF <sub>3</sub>	H	H	-	-	-	-
II.A-529	A.19	H	CF <sub>3</sub>	H	-	-	-	-
II.A-530	A.19	H	H	CF <sub>3</sub>	-	-	-	-
II.A-531	A.19	CH <sub>3</sub>	CH <sub>3</sub>	H	-	-	-	-
II.A-532	A.19	CH <sub>3</sub>	H	CH <sub>3</sub>	-	-	-	-
II.A-533	A.19	H	CH <sub>3</sub>	CH <sub>3</sub>	-	-	-	-
II.A-534	A.19	F	F	H	-	-	-	-
II.A-535	A.19	F	H	F	-	-	-	-
II.A-536	A.19	H	F	F	-	-	-	-
II.A-537	A.19	Cl	Cl	H	-	-	-	-
II.A-538	A.19	Cl	H	Cl	-	-	-	-
II.A-539	A.19	H	Cl	Cl	-	-	-	-
II.A-540	A.19	CN	CN	H	-	-	-	-
II.A-541	A.19	CN	H	CN	-	-	-	-
II.A-542	A.19	H	CN	CN	-	-	-	-
II.A-543	A.19	CF <sub>3</sub>	CF <sub>3</sub>	H	-	-	-	-
II.A-544	A.19	CF <sub>3</sub>	H	CF <sub>3</sub>	-	-	-	-
II.A-545	A.19	H	CF <sub>3</sub>	CF <sub>3</sub>	-	-	-	-
II.A-546	A.19	CH <sub>3</sub>	F	H	-	-	-	-
II.A-547	A.19	F	CH <sub>3</sub>	H	-	-	-	-

No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-548	A.19	CH <sub>3</sub>	Cl	H	-	-	-	-
II.A-549	A.19	Cl	CH <sub>3</sub>	H	-	-	-	-
II.A-550	A.19	CH <sub>3</sub>	CN	H	-	-	-	-
II.A-551	A.19	CN	CH <sub>3</sub>	H	-	-	-	-
II.A-552	A.19	CH <sub>3</sub>	CF <sub>3</sub>	H	-	-	-	-
II.A-553	A.19	CF <sub>3</sub>	CH <sub>3</sub>	H	-	-	-	-
II.A-554	A.19	F	Cl	H	-	-	-	-
II.A-555	A.19	Cl	F	H	-	-	-	-
II.A-556	A.19	F	CN	H	-	-	-	-
II.A-557	A.19	CN	F	H	-	-	-	-
II.A-558	A.19	F	CF <sub>3</sub>	H	-	-	-	-
II.A-559	A.19	CF <sub>3</sub>	F	H	-	-	-	-
II.A-560	A.19	Cl	CN	H	-	-	-	-
II.A-561	A.19	CN	Cl	H	-	-	-	-
II.A-562	A.19	Cl	CF <sub>3</sub>	H	-	-	-	-
II.A-563	A.19	CF <sub>3</sub>	Cl	H	-	-	-	-
II.A-564	A.19	CN	CF <sub>3</sub>	H	-	-	-	-
II.A-565	A.19	CF <sub>3</sub>	CN	H	-	-	-	-
II.A-566	A.19	CH <sub>3</sub>	H	F	-	-	-	-
II.A-567	A.19	F	H	CH <sub>3</sub>	-	-	-	-
II.A-568	A.19	CH <sub>3</sub>	H	Cl	-	-	-	-
II.A-569	A.19	Cl	H	CH <sub>3</sub>	-	-	-	-
II.A-570	A.19	CH <sub>3</sub>	H	CN	-	-	-	-
II.A-571	A.19	CN	H	CH <sub>3</sub>	-	-	-	-
II.A-572	A.19	CH <sub>3</sub>	H	CF <sub>3</sub>	-	-	-	-
II.A-573	A.19	CF <sub>3</sub>	H	CH <sub>3</sub>	-	-	-	-
II.A-574	A.19	F	H	Cl	-	-	-	-
II.A-575	A.19	Cl	H	F	-	-	-	-
II.A-576	A.19	F	H	CN	-	-	-	-
II.A-577	A.19	CN	H	F	-	-	-	-
II.A-578	A.19	F	H	CF <sub>3</sub>	-	-	-	-
II.A-579	A.19	CF <sub>3</sub>	H	F	-	-	-	-
II.A-580	A.19	Cl	H	CN	-	-	-	-
II.A-581	A.19	CN	H	Cl	-	-	-	-
II.A-582	A.19	Cl	H	CF <sub>3</sub>	-	-	-	-
II.A-583	A.19	CF <sub>3</sub>	H	Cl	-	-	-	-
II.A-584	A.19	CN	H	CF <sub>3</sub>	-	-	-	-
II.A-585	A.19	CF <sub>3</sub>	H	CN	-	-	-	-
II.A-586	A.19	H	CH <sub>3</sub>	F	-	-	-	-
II.A-587	A.19	H	F	CH <sub>3</sub>	-	-	-	-

No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-588	A.19	H	CH <sub>3</sub>	Cl	-	-	-	-
II.A-589	A.19	H	Cl	CH <sub>3</sub>	-	-	-	-
II.A-590	A.19	H	CH <sub>3</sub>	CN	-	-	-	-
II.A-591	A.19	H	CN	CH <sub>3</sub>	-	-	-	-
II.A-592	A.19	H	CH <sub>3</sub>	CF <sub>3</sub>	-	-	-	-
II.A-593	A.19	H	CF <sub>3</sub>	CH <sub>3</sub>	-	-	-	-
II.A-594	A.19	H	F	Cl	-	-	-	-
II.A-595	A.19	H	Cl	F	-	-	-	-
II.A-596	A.19	H	F	CN	-	-	-	-
II.A-597	A.19	H	CN	F	-	-	-	-
II.A-598	A.19	H	F	CF <sub>3</sub>	-	-	-	-
II.A-599	A.19	H	CF <sub>3</sub>	F	-	-	-	-
II.A-600	A.19	H	Cl	CN	-	-	-	-
II.A-601	A.19	H	CN	Cl	-	-	-	-
II.A-602	A.19	H	Cl	CF <sub>3</sub>	-	-	-	-
II.A-603	A.19	H	CF <sub>3</sub>	Cl	-	-	-	-
II.A-604	A.19	H	CN	CF <sub>3</sub>	-	-	-	-
II.A-605	A.19	H	CF <sub>3</sub>	CN	-	-	-	-
II.A-606	A.20	CH <sub>3</sub>	H	H	-	-	-	-
II.A-607	A.20	H	CH <sub>3</sub>	H	-	-	-	-
II.A-608	A.20	H	H	CH <sub>3</sub>	-	-	-	-
II.A-609	A.20	F	H	H	-	-	-	-
II.A-610	A.20	H	F	H	-	-	-	-
II.A-611	A.20	H	H	F	-	-	-	-
II.A-612	A.20	Cl	H	H	-	-	-	-
II.A-613	A.20	H	Cl	H	-	-	-	-
II.A-614	A.20	H	H	Cl	-	-	-	-
II.A-615	A.20	CN	H	H	-	-	-	-
II.A-616	A.20	H	CN	H	-	-	-	-
II.A-617	A.20	H	H	CN	-	-	-	-
II.A-618	A.20	CF <sub>3</sub>	H	H	-	-	-	-
II.A-619	A.20	H	CF <sub>3</sub>	H	-	-	-	-
II.A-620	A.20	H	H	CF <sub>3</sub>	-	-	-	-
II.A-621	A.20	CH <sub>3</sub>	CH <sub>3</sub>	H	-	-	-	-
II.A-622	A.20	CH <sub>3</sub>	H	CH <sub>3</sub>	-	-	-	-
II.A-623	A.20	H	CH <sub>3</sub>	CH <sub>3</sub>	-	-	-	-
II.A-624	A.20	F	F	H	-	-	-	-
II.A-625	A.20	F	H	F	-	-	-	-
II.A-626	A.20	H	F	F	-	-	-	-
II.A-627	A.20	Cl	Cl	H	-	-	-	-

No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-628	A.20	Cl	H	Cl	-	-	-	-
II.A-629	A.20	H	Cl	Cl	-	-	-	-
II.A-630	A.20	CN	CN	H	-	-	-	-
II.A-631	A.20	CN	H	CN	-	-	-	-
II.A-632	A.20	H	CN	CN	-	-	-	-
II.A-633	A.20	CF <sub>3</sub>	CF <sub>3</sub>	H	-	-	-	-
II.A-634	A.20	CF <sub>3</sub>	H	CF <sub>3</sub>	-	-	-	-
II.A-635	A.20	H	CF <sub>3</sub>	CF <sub>3</sub>	-	-	-	-
II.A-636	A.20	CH <sub>3</sub>	F	H	-	-	-	-
II.A-637	A.20	F	CH <sub>3</sub>	H	-	-	-	-
II.A-638	A.20	CH <sub>3</sub>	Cl	H	-	-	-	-
II.A-639	A.20	Cl	CH <sub>3</sub>	H	-	-	-	-
II.A-640	A.20	CH <sub>3</sub>	CN	H	-	-	-	-
II.A-641	A.20	CN	CH <sub>3</sub>	H	-	-	-	-
II.A-642	A.20	CH <sub>3</sub>	CF <sub>3</sub>	H	-	-	-	-
II.A-643	A.20	CF <sub>3</sub>	CH <sub>3</sub>	H	-	-	-	-
II.A-644	A.20	F	Cl	H	-	-	-	-
II.A-645	A.20	Cl	F	H	-	-	-	-
II.A-646	A.20	F	CN	H	-	-	-	-
II.A-647	A.20	CN	F	H	-	-	-	-
II.A-648	A.20	F	CF <sub>3</sub>	H	-	-	-	-
II.A-649	A.20	CF <sub>3</sub>	F	H	-	-	-	-
II.A-650	A.20	Cl	CN	H	-	-	-	-
II.A-651	A.20	CN	Cl	H	-	-	-	-
II.A-652	A.20	Cl	CF <sub>3</sub>	H	-	-	-	-
II.A-653	A.20	CF <sub>3</sub>	Cl	H	-	-	-	-
II.A-654	A.20	CN	CF <sub>3</sub>	H	-	-	-	-
II.A-655	A.20	CF <sub>3</sub>	CN	H	-	-	-	-
II.A-656	A.20	CH <sub>3</sub>	H	F	-	-	-	-
II.A-657	A.20	F	H	CH <sub>3</sub>	-	-	-	-
II.A-658	A.20	CH <sub>3</sub>	H	Cl	-	-	-	-
II.A-659	A.20	Cl	H	CH <sub>3</sub>	-	-	-	-
II.A-660	A.20	CH <sub>3</sub>	H	CN	-	-	-	-
II.A-661	A.20	CN	H	CH <sub>3</sub>	-	-	-	-
II.A-662	A.20	CH <sub>3</sub>	H	CF <sub>3</sub>	-	-	-	-
II.A-663	A.20	CF <sub>3</sub>	H	CH <sub>3</sub>	-	-	-	-
II.A-664	A.20	F	H	Cl	-	-	-	-
II.A-665	A.20	Cl	H	F	-	-	-	-
II.A-666	A.20	F	H	CN	-	-	-	-
II.A-667	A.20	CN	H	F	-	-	-	-



No.	A	R <sup>a1</sup>	R <sup>a2</sup>	R <sup>a3</sup>	R <sup>a4</sup>	R <sup>a5</sup>	R <sup>a6</sup>	R <sup>a7</sup>
II.A-668	A.20	F	H	CF <sub>3</sub>	-	-	-	-
II.A-669	A.20	CF <sub>3</sub>	H	F	-	-	-	-
II.A-670	A.20	Cl	H	CN	-	-	-	-
II.A-671	A.20	CN	H	Cl	-	-	-	-
II.A-672	A.20	Cl	H	CF <sub>3</sub>	-	-	-	-
II.A-673	A.20	CF <sub>3</sub>	H	Cl	-	-	-	-
II.A-674	A.20	CN	H	CF <sub>3</sub>	-	-	-	-
II.A-675	A.20	CF <sub>3</sub>	H	CN	-	-	-	-
II.A-676	A.20	H	CH <sub>3</sub>	F	-	-	-	-
II.A-677	A.20	H	F	CH <sub>3</sub>	-	-	-	-
II.A-678	A.20	H	CH <sub>3</sub>	Cl	-	-	-	-
II.A-679	A.20	H	Cl	CH <sub>3</sub>	-	-	-	-
II.A-680	A.20	H	CH <sub>3</sub>	CN	-	-	-	-
II.A-681	A.20	H	CN	CH <sub>3</sub>	-	-	-	-
II.A-682	A.20	H	CH <sub>3</sub>	CF <sub>3</sub>	-	-	-	-
II.A-683	A.20	H	CF <sub>3</sub>	CH <sub>3</sub>	-	-	-	-
II.A-684	A.20	H	F	Cl	-	-	-	-
II.A-685	A.20	H	Cl	F	-	-	-	-
II.A-686	A.20	H	F	CN	-	-	-	-
II.A-687	A.20	H	CN	F	-	-	-	-
II.A-688	A.20	H	F	CF <sub>3</sub>	-	-	-	-
II.A-689	A.20	H	CF <sub>3</sub>	F	-	-	-	-
II.A-690	A.20	H	Cl	CN	-	-	-	-
II.A-691	A.20	H	CN	Cl	-	-	-	-
II.A-692	A.20	H	Cl	CF <sub>3</sub>	-	-	-	-
II.A-693	A.20	H	CF <sub>3</sub>	Cl	-	-	-	-
II.A-694	A.20	H	CN	CF <sub>3</sub>	-	-	-	-
II.A-695	A.20	H	CF <sub>3</sub>	CN	-	-	-	-

In the intermediates of formula (III), the substituents A, R<sup>1</sup> and R<sup>2</sup> have the same preferred meanings as for compound (I) or (II).

5

The compounds of the formula (II) are especially suitable for efficiently combating the following pests:

10 Insects from the order of the lepidopterans (Lepidoptera), for example *Agrotis ypsilon*, *Agrotis segetum*, *Alabama argillacea*, *Anticarsia gemmatalis*, *Argyresthia conjugella*, *Autographa gamma*, *Bupalus piniarius*, *Cacoecia murinana*, *Capua reticulana*, *Cheimatobia brumata*, *Choristoneura fumiferana*, *Choristoneura occidentalis*, *Cirphis*

unipuncta, *Cydia pomonella*, *Dendrolimus pini*, *Diaphania nitidalis*, *Diatraea grandiosella*, *Earias insulana*, *Elasmopalpus lignosellus*, *Eupoecilia ambiguella*, *Evetria bouliana*, *Feltia subterranea*, *Galleria mellonella*, *Grapholitha funebrana*, *Grapholitha molesta*, *Heliothis armigera*, *Heliothis virescens*, *Heliothis zea*, *Hellula undalis*, *Hibernia defoliaria*, *Hyphantria cunea*, *Hyponomeuta malinellus*, *Keiferia lycopersicella*, *Lambdina fiscellaria*, *Laphygma exigua*, *Leucoptera coffeella*, *Leucoptera scitella*, *Lithocolletis blancardella*, *Lobesia botrana*, *Loxostege sticticalis*, *Lymantria dispar*, *Lymantria monacha*, *Lyonetia clerkella*, *Malacosoma neustria*, *Mamestra brassicae*, *Orgyia pseudotsugata*, *Ostrinia nubilalis*, *Panolis flammea*, *Pectinophora gossypiella*, *Peridroma saucia*, *Phalera bucephala*, *Phthorimaea operculella*, *Phyllocnistis citrella*, *Pieris brassicae*, *Plathypena scabra*, *Plutella xylostella*, *Pseudoplusia includens*, *Rhyacionia frustrana*, *Scrobipalpula absoluta*, *Sitotroga cerealella*, *Sparganothis pilleriana*, *Spodoptera frugiperda*, *Spodoptera littoralis*, *Spodoptera litura*, *Thaumatopoea ptyocampa*, *Tortrix viridana*, *Trichoplusia ni* and *Zeiraphera canadensis*,

Beetles (Coleoptera), for example *Agrilus sinuatus*, *Agriotes lineatus*, *Agriotes obscurus*, *Amphimallus solstitialis*, *Anisandrus dispar*, *Anthonomus grandis*, *Anthonomus pomorum*, *Aphthona euphoridae*, *Athous haemorrhoidalis*, *Atomaria linearis*, *Blastophagus piniperda*, *Blitophaga undata*, *Bruchus rufimanus*, *Bruchus pisorum*, *Bruchus lentis*, *Byctiscus betulae*, *Cassida nebulosa*, *Cerotoma trifurcata*, *Cetonia aurata*, *Ceuthorrhynchus assimilis*, *Ceuthorrhynchus napi*, *Chaetocnema tibialis*, *Conoderus vespertinus*, *Crioceris asparagi*, *Ctenicera ssp.*, *Diabrotica longicornis*, *Diabrotica semipunctata*, *Diabrotica 12-punctata* *Diabrotica speciosa*, *Diabrotica virgifera*, *Epilachna varivestis*, *Epitrix hirtipennis*, *Eutinobothrus brasiliensis*, *Hylobius abietis*, *Hypera brunneipennis*, *Hypera postica*, *Ips typographus*, *Lema bilineata*, *Lema melanopus*, *Leptinotarsa decemlineata*, *Limonius californicus*, *Lissorhoptrus oryzophilus*, *Melanotus communis*, *Meligethes aeneus*, *Melolontha hippocastani*, *Melolontha melolontha*, *Oulema oryzae*, *Ortiorrhynchus sulcatus*, *Otiorrhynchus ovatus*, *Phaedon cochleariae*, *Phyllobius pyri*, *Phyllotreta chrysocephala*, *Phyllophaga sp.*, *Phyllopertha horticola*, *Phyllotreta nemorum*, *Phyllotreta striolata*, *Popillia japonica*, *Sitona lineatus* and *Sitophilus granaria*,

Flies, mosquitoes (Diptera), e.g. *Aedes aegypti*, *Aedes albopictus*, *Aedes vexans*, *Anastrepha ludens*, *Anopheles maculipennis*, *Anopheles crucians*, *Anopheles albimanus*, *Anopheles gambiae*, *Anopheles freeborni*, *Anopheles leucosphyrus*, *Anopheles minimus*, *Anopheles quadrimaculatus*, *Calliphora vicina*, *Ceratitis capitata*, *Chrysomya bezziana*, *Chrysomya hominivorax*, *Chrysomya macellaria*, *Chrysops discalis*, *Chrysops silacea*, *Chrysops atlanticus*, *Cochliomyia hominivorax*, *Contarinia sorghicola*, *Cordylobia anthropophaga*, *Culicoides furens*, *Culex pipiens*, *Culex nigripalpus*, *Culex quinquefasciatus*, *Culex tarsalis*, *Culiseta inornata*, *Culiseta melanura*, *Dacus cucurbitae*, *Dacus oleae*, *Dasineura brassicae*, *Delia antique*, *Delia*

coarctata, *Delia platura*, *Delia radicum*, *Dermatobia hominis*, *Fannia canicularis*,  
*Geomyza Tripunctata*, *Gasterophilus intestinalis*, *Glossina morsitans*, *Glossina*  
*palpalis*, *Glossina fuscipes*, *Glossina tachinoides*, *Haematobia irritans*, *Haplodiplosis*  
*equestris*, *Hippelates* spp., *Hylemyia platura*, *Hypoderma lineata*, *Leptoconops torrens*,  
5 *Liriomyza sativae*, *Liriomyza trifolii*, *Lucilia caprina*, *Lucilia cuprina*, *Lucilia sericata*,  
*Lycoria pectoralis*, *Mansonia titillanus*, *Mayetiola destructor*, *Musca domestica*,  
*Muscina stabulans*, *Oestrus ovis*, *Opomyza florum*, *Oscinella frit*, *Pegomya hysocyami*,  
*Phorbia antiqua*, *Phorbia brassicae*, *Phorbia coarctata*, *Phlebotomus argentipes*,  
*Psorophora columbiae*, *Psila rosae*, *Psorophora discolor*, *Prosimulium mixtum*,  
10 *Rhagoletis cerasi*, *Rhagoletis pomonella*, *Sarcophaga haemorrhoidalis*, *Sarcophaga*  
*sp.*, *Simulium vittatum*, *Stomoxys calcitrans*, *Tabanus bovinus*, *Tabanus atratus*,  
*Tabanus lineola*, and *Tabanus similis*, *Tipula oleracea*, and *Tipula paludosa*

Thrips (Thysanoptera), e.g. *Dichromothrips corbetti*, *Dichromothrips* ssp , *Frankliniella*  
15 *fusca*, *Frankliniella occidentalis*, *Frankliniella tritici*, *Scirtothrips citri*, *Thrips oryzae*,  
*Thrips palmi* and *Thrips tabaci*,

Termites (Isoptera), e.g. *Calotermes flavicollis*, *Leucotermes flavipes*, *Heterotermes*  
*aureus*, *Reticulitermes flavipes*, *Reticulitermes virginicus*, *Reticulitermes lucifugus*,  
20 *Termes natalensis*, and *Coptotermes formosanus*,

Cockroaches (Blattaria - Blattodea), e.g. *Blattella germanica*, *Blattella asahinae*,  
*Periplaneta americana*, *Periplaneta japonica*, *Periplaneta brunnea*, *Periplaneta*  
*fuliginosa*, *Periplaneta australasiae*, and *Blatta orientalis*,

25 True bugs (Hemiptera), e.g. *Acrosternum hilare*, *Blissus leucopterus*, *Cyrtopeltis*  
*notatus*, *Dysdercus cingulatus*, *Dysdercus intermedius*, *Eurygaster integriceps*,  
*Euschistus impictiventris*, *Leptoglossus phyllopus*, *Lygus lineolaris*, *Lygus pratensis*,  
*Nezara viridula*, *Piesma quadrata*, *Solubea insularis* , *Thyanta perditor*, *Acyrtosiphon*  
30 *onobrychis*, *Adelges laricis*, *Aphidula nasturtii*, *Aphis fabae*, *Aphis forbesi*, *Aphis pomi*,  
*Aphis gossypii*, *Aphis grossulariae*, *Aphis schneideri*, *Aphis spiraeicola*, *Aphis sambuci*,  
*Acyrtosiphon pisum*, *Aulacorthum solani*, *Bemisia argentifolii*, *Brachycaudus cardui*,  
*Brachycaudus helichrysi*, *Brachycaudus persicae*, *Brachycaudus prunicola*,  
*Brevicoryne brassicae*, *Capitophorus horni*, *Cerosipha gossypii*, *Chaetosiphon*  
35 *fragaefolii*, *Cryptomyzus ribis*, *Dreyfusia nordmannianae*, *Dreyfusia piceae*, *Dysaphis*  
*radicola*, *Dysaulacorthum pseudosolani*, *Dysaphis plantaginea*, *Dysaphis pyri*,  
*Empoasca fabae*, *Hyalopterus pruni*, *Hyperomyzus lactucae*, *Macrosiphum avenae*,  
*Macrosiphum euphorbiae*, *Macrosiphon rosae*, *Megoura viciae*, *Melanaphis pyriarius*,  
*Metopolophium dirhodum*, *Myzus persicae*, *Myzus ascalonicus*, *Myzus cerasi*, *Myzus*  
40 *varians*, *Nasonovia ribis-nigri*, *Nilaparvata lugens*, *Pemphigus bursarius*, *Perkinsiella*  
*saccharicida*, *Phorodon humuli*, *Psylla mali*, *Psylla piri*, *Rhopalomyzus ascalonicus*,  
*Rhopalosiphum maidis*, *Rhopalosiphum padi*, *Rhopalosiphum insertum*, *Sappaphis*

mala, *Sappaphis mali*, *Schizaphis graminum*, *Schizoneura lanuginosa*, *Sitobion avenae*, *Trialeurodes vaporariorum*, *Toxoptera aurantiand*, *Viteus vitifolii*, *Cimex lectularius*, *Cimex hemipterus*, *Reduvius senilis*, *Triatoma* spp., and *Arilus critatus*.

5 ants, bees, wasps, sawflies (Hymenoptera), e.g. *Athalia rosae*, *Atta cephalotes*, *Atta capiguara*, *Atta cephalotes*, *Atta laevigata*, *Atta robusta*, *Atta sexdens*, *Atta texana*, *Crematogaster* spp., *Hoplocampa minuta*, *Hoplocampa testudinea*, *Monomorium pharaonis*, *Solenopsis geminata*, *Solenopsis invicta*, *Solenopsis richteri*, *Solenopsis xyloni*, *Pogonomyrmex barbatus*, *Pogonomyrmex californicus*, *Pheidole megacephala*,  
10 *Dasymutilla occidentalis*, *Bombus* spp. *Vespula squamosa*, *Paravespula vulgaris*, *Paravespula pennsylvanica*, *Paravespula germanica*, *Dolichovespula maculata*, *Vespa crabro*, *Polistes rubiginosa*, *Camponotus floridanus*, and *Linepithema humile*,

Crickets, grasshoppers, locusts (Orthoptera), e.g. *Acheta domestica*, *Gryllotalpa*  
15 *gryllotalpa*, *Locusta migratoria*, *Melanoplus bivittatus*, *Melanoplus femurrubrum*, *Melanoplus mexicanus*, *Melanoplus sanguinipes*, *Melanoplus spretus*, *Nomadacris septemfasciata*, *Schistocerca americana*, *Schistocerca gregaria*, *Dociostaurus maroccanus*, *Tachycines asynamorus*, *Oedaleus senegalensis*, *Zonozerus variegatus*, *Hieroglyphus daganensis*, *Kraussaria angulifera*, *Calliptamus italicus*, *Chortoicetes*  
20 *terminifera*, and *Locustana pardalina*,

Arachnoidea, such as arachnids (Acarina), e.g. of the families Argasidae, Ixodidae and Sarcoptidae, such as *Amblyomma americanum*, *Amblyomma variegatum*, *Ambryomma maculatum*, *Argas persicus*, *Boophilus annulatus*, *Boophilus decoloratus*, *Boophilus*  
25 *microplus*, *Dermacentor silvarum*, *Dermacentor andersoni*, *Dermacentor variabilis*, *Hyalomma truncatum*, *Ixodes ricinus*, *Ixodes rubicundus*, *Ixodes scapularis*, *Ixodes holocyclus*, *Ixodes pacificus*, *Ornithodoros moubata*, *Ornithodoros hermsi*, *Ornithodoros turicata*, *Ornithonyssus bacoti*, *Otobius megnini*, *Dermanyssus gallinae*, *Psoroptes ovis*, *Rhipicephalus sanguineus*, *Rhipicephalus appendiculatus*,  
30 *Rhipicephalus evertsi*, *Sarcoptes scabiei*, and Eriophyidae spp. such as *Aculus schlechtendali*, *Phyllocoptera oleivora* and *Eriophyes sheldoni*; Tarsonemidae spp. such as *Phytonemus pallidus* and *Polyphagotarsonemus latus*; Tenuipalpidae spp. such as *Brevipalpus phoenicis*; Tetranychidae spp. such as *Tetranychus cinnabarinus*, *Tetranychus kanzawai*, *Tetranychus pacificus*, *Tetranychus telarius* and *Tetranychus*  
35 *urticae*, *Panonychus ulmi*, *Panonychus citri*, and *Oligonychus pratensis*; Araneida, e.g. *Latrodectus mactans*, and *Loxosceles reclusa*,

Fleas (Siphonaptera), e.g. *Ctenocephalides felis*, *Ctenocephalides canis*, *Xenopsylla cheopis*, *Pulex irritans*, *Tunga penetrans*, and *Nosopsyllus fasciatus*,

40

Silverfish, firebrat (Thysanura), e.g. *Lepisma saccharina* and *Thermobia domestica*,

Centipedes (Chilopoda), e.g. *Scutigera coleoptrata*,

Millipedes (Diplopoda), e.g. *Narceus* spp.,

5 Earwigs (Dermaptera), e.g. *forficula auricularia*,

Lice (Phthiraptera), e.g. *Pediculus humanus capitis*, *Pediculus humanus corporis*,  
*Pthirus pubis*, *Haematopinus eurytenuis*, *Haematopinus suis*, *Linognathus vituli*,  
*Bovicola bovis*, *Menopon gallinae*, *Menacanthus stramineus* and *Solenopotes*  
 10 *capillatus*,

Plant parasitic nematodes such as root-knot nematodes, *Meloidogyne arenaria*,  
*Meloidogyne chitwoodi*, *Meloidogyne exigua*, *Meloidogyne hapla*, *Meloidogyne*  
*incognita*, *Meloidogyne javanica* and other *Meloidogyne* species; cyst nematodes,  
 15 *Globodera rostochiensis*, *Globodera pallida*, *Globodera tabacum* and other *Globodera*  
*species*, *Heterodera avenae*, *Heterodera glycines*, *Heterodera schachtii*, *Heterodera*  
*trifolii*, and other *Heterodera* species; seed gall nematodes, *Anguina funesta*, *Anguina*  
*tritici* and other *Anguina* species; stem and foliar nematodes, *Aphelenchoides besseyi*,  
*Aphelenchoides fragariae*, *Aphelenchoides ritzemabosi* and other *Aphelenchoides*  
 20 *species*; sting nematodes, *Belonolaimus longicaudatus* and other *Belonolaimus*  
*species*; pine nematodes, *Bursaphelenchus xylophilus* and other *Bursaphelenchus*  
*species*; ring nematodes, *Criconema* species, *Criconemella* species, *Criconemoides*  
*species*, and *Mesocriconema* species; stem and bulb nematodes, *Ditylenchus*  
*destructor*, *Ditylenchus dipsaci*, *Ditylenchus myceliophagus* and other *Ditylenchus*  
 25 *species*; awl nematodes, *Dolichodorus* species; spiral nematodes, *Helicotylenchus*  
*dihystera*, *Helicotylenchus multicinctus* and other *Helicotylenchus* species, *Rotylenchus*  
*robustus* and other *Rotylenchus* species; sheath nematodes, *Hemicycliophora* species  
 and *Hemicriconemoides* species; *Hirshmanniella* species; lance nematodes,  
*Hoplolaimus columbus*, *Hoplolaimus galeatus* and other *Hoplolaimus* species; false  
 30 root-knot nematodes, *Nacobbus aberrans* and other *Nacobbus* species; needle  
 nematodes, *Longidorus elongatus* and other *Longidorus* species; pin nematodes,  
*Pratylenchus* species; lesion nematodes, *Pratylenchus brachyurus*, *Pratylenchus*  
*coffea*, *Pratylenchus curvatus*, *Pratylenchus goodeyi*, *Pratylenchus neglectus*,  
*Pratylenchus penetrans*, *Pratylenchus scribneri*, *Pratylenchus vulnus*, *Pratylenchus*  
 35 *zeae* and other *Pratylenchus* species; *Radinaphelenchus cocophilus* and other  
*Radinaphelenchus* species; burrowing nematodes, *Radopholus similis* and other  
*Radopholus* species; reniform nematodes, *Rotylenchulus reniformis* and other  
*Rotylenchulus* species; *Scutellonema* species; stubby root nematodes, *Trichodorus*  
*primitivus* and other *Trichodorus* species; *Paratrichodorus minor* and other  
 40 *Paratrichodorus* species; stunt nematodes, *Tylenchorhynchus claytoni*,  
*Tylenchorhynchus dubius* and other *Tylenchorhynchus* species and *Merlinius* species;  
 citrus nematodes, *Tylenchulus semipenetrans* and other *Tylenchulus* species; dagger

nematodes, *Xiphinema americanum*, *Xiphinema index*, *Xiphinema diversicaudatum* and other *Xiphinema* species; and other plant parasitic nematode species.

Moreover, the compounds of formula (II) and compositions containing them are especially useful for the control of insects and acarids of the orders Lepidoptera, Coleoptera, Diptera, Hemiptera, and Hymenoptera.

For use according to the present invention, the compounds of formula (I) or (II) can be converted into the customary formulations, e.g. solutions, emulsions, suspensions, dusts, powders, pastes, granules and directly sprayable solutions. The use form depends on the particular purpose and application method. Formulations and application methods are chosen to ensure in each case a fine and uniform distribution of the compound of the formula I according to the present invention.

The formulations are prepared in a known manner (see e.g. for review US 3,060,084, EP-A 707 445 (for liquid concentrates), Browning, "Agglomeration", Chemical Engineering, Dec. 4, 1967, 147-48, Perry's Chemical Engineer's Handbook, 4th Ed., McGraw-Hill, New York, 1963, pages 8-57 and et seq. WO 91/13546, US 4,172,714, US 4,144,050, US 3,920,442, US 5,180,587, US 5,232,701, US 5,208,030, GB 2,095,558, US 3,299,566, Klingman, Weed Control as a Science, John Wiley and Sons, Inc., New York, 1961, Hance et al., Weed Control Handbook, 8th Ed., Blackwell Scientific Publications, Oxford, 1989 and Mollet, H., Grubemann, A., Formulation technology, Wiley VCH Verlag GmbH, Weinheim (Germany), 2001, 2. D. A. Knowles, Chemistry and Technology of Agrochemical Formulations, Kluwer Academic Publishers, Dordrecht, 1998 (ISBN 0-7514-0443-8), for example by extending the active compound with auxiliaries suitable for the formulation of agrochemicals, such as solvents and/or carriers, if desired emulsifiers, surfactants and dispersants, preservatives, antifoaming agents, anti-freezing agents, for seed treatment formulation also optionally colorants and/or binders and/or gelling agents.

Solvents/carriers, which are suitable, are e.g.:

- solvents such as water, aromatic solvents (for example Solvesso products, xylene and the like), paraffins (for example mineral fractions), alcohols (for example methanol, butanol, pentanol, benzyl alcohol), ketones (for example cyclohexanone, gamma-butyrolactone), pyrrolidones (N-methyl-pyrrolidone (NMP), N-octylpyrrolidone NOP), acetates (glycol diacetate), alkyl lactates, lactones such as gamma-butyrolactone, glycols, fatty acid dimethylamides, fatty acids and fatty acid esters, triglycerides, oils of vegetable or animal origin and modified oils such as alkylated plant oils. In principle, solvent mixtures may also be used.
- carriers such as ground natural minerals and ground synthetic minerals, such as silica gels, finely divided silicic acid, silicates, talc, kaolin, attaclay, limestone,

lime, chalk, bole, loess, clay, dolomite, diatomaceous earth, calcium sulfate and magnesium sulfate, magnesium oxide, ground synthetic materials, fertilizers, such as, for example, ammonium sulfate, ammonium phosphate, ammonium nitrate, ureas and products of vegetable origin, such as cereal meal, tree bark meal, wood meal and nutshell meal, cellulose powders and other solid carriers.

Suitable emulsifiers are nonionic and anionic emulsifiers (for example polyoxyethylene fatty alcohol ethers, alkylsulfonates and arylsulfonates).

Examples of dispersants are lignin-sulfite waste liquors and methylcellulose.

Suitable surfactants are alkali metal, alkaline earth metal and ammonium salts of lignosulfonic acid, naphthalenesulfonic acid, phenolsulfonic acid, dibutyl-naphthalenesulfonic acid, alkylarylsulfonates, alkyl sulfates, alkylsulfonates, fatty alcohol sulfates, fatty acids and sulfated fatty alcohol glycol ethers, furthermore condensates of sulfonated naphthalene and naphthalene derivatives with formaldehyde, condensates of naphthalene or of naphthalenesulfonic acid with phenol and formaldehyde, polyoxyethylene octylphenyl ether, ethoxylated isooctylphenol, octylphenol, nonylphenol, alkylphenyl polyglycol ethers, tributylphenyl polyglycol ether, tristearylphenyl polyglycol ether, alkylaryl polyether alcohols, alcohol and fatty alcohol/ethylene oxide condensates, ethoxylated castor oil, polyoxyethylene alkyl ethers, ethoxylated polyoxypropylene, lauryl alcohol polyglycol ether acetal, sorbitol esters.

Also anti-freezing agents such as glycerin, ethylene glycol, propylene glycol and bactericides such as can be added to the formulation.

Suitable antifoaming agents are for example antifoaming agents based on silicon or magnesium stearate.

Suitable preservatives are for example dichlorophen und benzyl alcohol hemiformal

Suitable thickeners are compounds, which confer a pseudoplastic flow behavior to the formulation, i.e. high viscosity at rest and low viscosity in the agitated stage. Mention may be made, in this context, for example, of commercial thickeners based on polysaccharides, such as Xanthan Gum<sup>®</sup> (Kelzan<sup>®</sup> from Kelco), Rhodopol<sup>®</sup> 23 (Rhône Poulenc) or Veegum<sup>®</sup> (from R.T. Vanderbilt), or organic phyllosilicates, such as Attaclay<sup>®</sup> (from Engelhardt). Antifoam agents suitable for the dispersions according to the invention are, for example, silicone emulsions (such as, for example, Silikon<sup>®</sup> SRE, Wacker or Rhodorsil<sup>®</sup> from Rhodia), long-chain alcohols, fatty acids, organofluorine compounds and mixtures thereof. Biocides can be added to stabilize the compositions according to the invention against attack by microorganisms. Suitable biocides are, for

example, based on isothiazolones such as the compounds marketed under the trademarks Proxel<sup>®</sup> from Avecia (or Arch) or Acticide<sup>®</sup> RS from Thor Chemie and Kathon<sup>®</sup> MK from Rohm & Haas. Suitable antifreeze agents are organic polyols, for example ethylene glycol, propylene glycol or glycerol. These are usually employed in amounts of not more than 10% by weight, based on the total weight of the active compound composition. If appropriate, the active compound compositions according to the invention may comprise 1 to 5% by weight of buffer, based on the total amount of the formulation prepared, to regulate the pH, the amount and type of the buffer used depending on the chemical properties of the active compound or the active compounds. Examples of buffers are alkali metal salts of weak inorganic or organic acids, such as, for example, phosphoric acid, boronic acid, acetic acid, propionic acid, citric acid, fumaric acid, tartaric acid, oxalic acid and succinic acid.

Substances which are suitable for the preparation of directly sprayable solutions, emulsions, pastes or oil dispersions are mineral oil fractions of medium to high boiling point, such as kerosene or diesel oil, furthermore coal tar oils and oils of vegetable or animal origin, aliphatic, cyclic and aromatic hydrocarbons, for example toluene, xylene, paraffin, tetrahydronaphthalene, alkylated naphthalenes or their derivatives, methanol, ethanol, propanol, butanol, cyclohexanol, cyclohexanone, isophorone, strongly polar solvents, for example dimethyl sulfoxide, N-methylpyrrolidone and water.

Powders, materials for spreading and dusts can be prepared by mixing or concomitantly grinding the active substances with a solid carrier.

Granules, for example coated granules, impregnated granules and homogeneous granules, can be prepared by binding the active ingredients to solid carriers. Examples of solid carriers are mineral earths such as silica gels, silicates, talc, kaolin, attaclay, limestone, lime, chalk, bole, loess, clay, dolomite, diatomaceous earth, calcium sulfate, magnesium sulfate, magnesium oxide, ground synthetic materials, fertilizers, such as, for example, ammonium sulfate, ammonium phosphate, ammonium nitrate, ureas, and products of vegetable origin, such as cereal meal, tree bark meal, wood meal and nutshell meal, cellulose powders and other solid carriers.

In general, the formulations comprise from 0.01 to 95% by weight, preferably from 0.1 to 90% by weight, of the active ingredient. The active ingredients are employed in a purity of from 90% to 100%, preferably 95% to 100% (according to NMR spectrum).

For seed treatment purposes, respective formulations can be diluted 2-10 fold leading to concentrations in the ready to use preparations of 0,01 to 60% by weight active compound by weight, preferably 0,1 to 40% by weight.



The active ingredient can be used as such, in the form of their formulations or the use forms prepared therefrom, for example in the form of directly sprayable solutions, powders, suspensions or dispersions, emulsions, oil dispersions, pastes, dustable products, materials for spreading, or granules, by means of spraying, atomizing, dusting, spreading or pouring. The use forms depend entirely on the intended purposes; they are intended to ensure in each case the finest possible distribution of the active compounds according to the invention.

The following are examples of formulations:

10

1. Products for dilution with water for foliar application. For seed treatment purposes, such products may be applied to the seed diluted or undiluted.

15

A) Water-soluble concentrates (SL, LS)

10 parts by weight of the active compound is dissolved in 90 parts by weight of water or a water-soluble solvent. As an alternative, wetters or other auxiliaries are added. The active compound dissolves upon dilution with water, whereby a formulation with 10 % (w/w) of active compound is obtained.

20

B) Dispersible concentrates (DC)

20 parts by weight of the active compound is dissolved in 70 parts by weight of cyclohexanone with addition of 10 parts by weight of a dispersant, for example polyvinylpyrrolidone. Dilution with water gives a dispersion, whereby a formulation with 20% (w/w) of active compounds is obtained.

25

C) Emulsifiable concentrates (EC)

15 parts by weight of the active compounds is dissolved in 7 parts by weight of xylene with addition of calcium dodecylbenzenesulfonate and castor oil ethoxylate (in each case 5 parts by weight). Dilution with water gives an emulsion, whereby a formulation with 15% (w/w) of active compounds is obtained.

30

35 D) Emulsions (EW, EO, ES)

25 parts by weight of the active compound is dissolved in 35 parts by weight of xylene with addition of calcium dodecylbenzenesulfonate and castor oil ethoxylate (in each case 5 parts by weight). This mixture is introduced into 30 parts by weight of water by means of an emulsifier machine (e.g. Ultraturrax) and made into a homogeneous emulsion. Dilution with water gives an emulsion, whereby a formulation with 25% (w/w) of active compound is obtained.

40

E) Suspensions (SC, OD, FS)

In an agitated ball mill, 20 parts by weight of the active compound is comminuted with  
5 addition of 10 parts by weight of dispersants, wetters and 70 parts by weight of water or  
of an organic solvent to give a fine active compound suspension. Dilution with water  
gives a stable suspension of the active compound, whereby a formulation with 20%  
(w/w) of active compound is obtained.

10 F) Water-dispersible granules and water-soluble granules (WG, SG)

50 parts by weight of the active compound is ground finely with addition of 50 parts by  
weight of dispersants and wetters and made as water-dispersible or water-soluble  
granules by means of technical appliances (for example extrusion, spray tower,  
15 fluidized bed). Dilution with water gives a stable dispersion or solution of the active  
compound, whereby a formulation with 50% (w/w) of active compound is obtained.

G) Water-dispersible powders and water-soluble powders (WP, SP, SS, WS)

20 75 parts by weight of the active compound are ground in a rotor-stator mill with addition  
of 25 parts by weight of dispersants, wetters and silica gel. Dilution with water gives a  
stable dispersion or solution of the active compound, whereby a formulation with 75%  
(w/w) of active compound is obtained.

25 H) Gel-Formulation (GF)

In an agitated ball mill, 20 parts by weight of the active compound is comminuted with  
addition of 10 parts by weight of dispersants, 1 part by weight of a gelling agent wetters  
and 70 parts by weight of water or of an organic solvent to give a fine active compound  
30 suspension. Dilution with water gives a stable suspension of the active compound,  
whereby a formulation with 20% (w/w) of active compound is obtained.

2. Products to be applied undiluted for foliar applications. For seed treatment  
purposes, such products may be applied to the seed diluted or undiluted.

35

I) Dustable powders (DP, DS)

5 parts by weight of the active compound are ground finely and mixed intimately with  
95 parts by weight of finely divided kaolin. This gives a dustable product having 5%  
40 (w/w) of active compound.

J) Granules (GR, FG, GG, MG)

0.5 parts by weight of the active compound is ground finely and associated with 95.5 parts by weight of carriers, whereby a formulation with 0.5% (w/w) of active compound is obtained. Current methods are extrusion, spray-drying or the fluidized bed. This gives granules to be applied undiluted for foliar use.

K) ULV solutions (UL)

10 parts by weight of the active compound is dissolved in 90 parts by weight of an organic solvent, for example xylene. This gives a product having 10% (w/w) of active compound, which is applied undiluted for foliar use.

Aqueous use forms can be prepared from emulsion concentrates, pastes or wettable powders (sprayable powders, oil dispersions) by adding water. To prepare emulsions, pastes or oil dispersions, the substances, as such or dissolved in an oil or solvent, can be homogenized in water by means of a wetter, tackifier, dispersant or emulsifier. Alternatively, it is possible to prepare concentrates composed of active substance, wetter, tackifier, dispersant or emulsifier and, if appropriate, solvent or oil, and such concentrates are suitable for dilution with water.

The active ingredient concentrations in the ready-to-use products can be varied within relatively wide ranges. In general, they are from 0.0001 to 10% per weight, preferably from 0.01 to 1% per weight.

The active ingredients may also be used successfully in the ultra-low-volume process (ULV), it being possible to apply formulations comprising over 95% by weight of active ingredient, or even to apply the active ingredient without additives.

Activity of compounds against agricultural pests does not suggest their suitability for control of endo- and ectoparasites in and on animals which requires, for example, low, non-emetic dosages in the case of oral application, metabolic compatibility with the animal, low toxicity, and a safe handling.

Surprisingly it has now been found that compounds according to the present invention are suitable for combating endo- and ectoparasites in and on animals.

Compounds according to the present invention and the enantiomers or veterinarily acceptable salts thereof and compositions comprising them are preferably used for controlling and preventing infestations and infections animals including warm-blooded animals (including humans) and fish. They are for example suitable for controlling and preventing infestations and infections in mammals such as cattle, sheep, swine, camels, deer, horses, pigs, poultry, rabbits, goats, dogs and cats, water buffalo,

donkeys, fallow deer and reindeer, and also in fur-bearing animals such as mink, chinchilla and raccoon, birds such as hens, geese, turkeys and ducks and fish such as fresh- and salt-water fish such as trout, carp and eels.

- 5 Compounds according the present invention and the enantiomers or veterinarily acceptable salts thereof and compositions comprising them are preferably used for controlling and preventing infestations and infections in domestic animals, such as dogs or cats.
- 10 Infestations in warm-blooded animals and fish include, but are not limited to, lice, biting lice, ticks, nasal bots, keds, biting flies, muscoid flies, flies, myiasitic fly larvae, chiggers, gnats, mosquitoes and fleas.

- 15 The active compounds of the present invention or the enantiomers or veterinarily acceptable salts thereof and compositions comprising them are suitable for systemic and/or non-systemic control of ecto- and/or endoparasites. They are active against all or some stages of development.

- 20 The active compounds of the present invention are especially useful for combating ectoparasites.

The compounds of the present invention are especially useful for combating parasites of the following orders and species, respectively:

- 25 fleas (Siphonaptera), e.g. *Ctenocephalides felis*, *Ctenocephalides canis*, *Xenopsylla cheopis*, *Pulex irritans*, *Tunga penetrans*, and *Nosopsyllus fasciatus*,

- 30 cockroaches (Blattaria - Blattodea), e.g. *Blattella germanica*, *Blattella asahinae*, *Periplaneta americana*, *Periplaneta japonica*, *Periplaneta brunnea*, *Periplaneta fuliginosa*, *Periplaneta australasiae*, and *Blatta orientalis*,

- 35 flies, mosquitoes (Diptera), e.g. *Aedes aegypti*, *Aedes albopictus*, *Aedes vexans*, *Anastrepha ludens*, *Anopheles maculipennis*, *Anopheles crucians*, *Anopheles albimanus*, *Anopheles gambiae*, *Anopheles freeborni*, *Anopheles leucosphyrus*,  
40 *Anopheles minimus*, *Anopheles quadrimaculatus*, *Calliphora vicina*, *Chrysomya bezziana*, *Chrysomya hominivorax*, *Chrysomya macellaria*, *Chrysops discalis*, *Chrysops silacea*, *Chrysops atlanticus*, *Cochliomyia hominivorax*, *Cordylobia anthropophaga*, *Culicoides furens*, *Culex pipiens*, *Culex nigripalpus*, *Culex quinquefasciatus*, *Culex tarsalis*, *Culiseta inornata*, *Culiseta melanura*, *Dermatobia hominis*, *Fannia canicularis*, *Gasterophilus intestinalis*, *Glossina morsitans*, *Glossina palpalis*, *Glossina fuscipes*, *Glossina tachinoides*, *Haematobia irritans*, *Haplodiplosis equestris*, *Hippelates* spp., *Hypoderma lineata*, *Leptoconops torrens*, *Lucilia caprina*,

- Lucilia cuprina, Lucilia sericata, Lycoria pectoralis, Mansonia spp., Musca domestica, Muscina stabulans, Oestrus ovis, Phlebotomus argentipes, Psorophora columbiae, Psorophora discolor, Prosimulium mixtum, Sarcophaga haemorrhoidalis, Sarcophaga sp., Simulium vittatum, Stomoxys calcitrans, Tabanus bovinus, Tabanus atratus,  
5 Tabanus lineola, and Tabanus similis,
- lice (Phthiraptera), e.g. Pediculus humanus capitis, Pediculus humanus corporis, Pthirus pubis, Haematopinus eurysternus, Haematopinus suis, Linognathus vituli, Bovicola bovis, Menopon gallinae, Menacanthus stramineus and Solenopotes  
10 capillatus.
- ticks and parasitic mites (Parasitiformes): ticks (Ixodida), e.g. Ixodes scapularis, Ixodes holocyclus, Ixodes pacificus, Rhiphicephalus sanguineus, Dermacentor andersoni, Dermacentor variabilis, Amblyomma americanum, Amblyomma maculatum,  
15 Ornithodoros hermsi, Ornithodoros turicata and parasitic mites (Mesostigmata), e.g. Ornithonyssus bacoti and Dermanyssus gallinae,
- Actinedida (Prostigmata) und Acaridida (Astigmata) e.g. Acarapis spp., Cheyletiella spp., Ornithocheyletia spp., Myobia spp., Psorergates spp., Demodex spp., Trombicula  
20 spp., Listrophorus spp., Acarus spp., Tyrophagus spp., Caloglyphus spp., Hypodectes spp., Pterolichus spp., Psoroptes spp., Chorioptes spp., Otodectes spp., Sarcoptes spp., Notoedres spp., Knemidocoptes spp., Cytodites spp., and Laminosioptes spp,
- Bugs (Heteroptera): Cimex lectularius, Cimex hemipterus, Reduvius senilis, Triatoma  
25 spp., Rhodnius spp., Panstrongylus spp. and Arilus critatus,
- Anoplurida, e.g. Haematopinus spp., Linognathus spp., Pediculus spp., Pthirus spp., and Solenopotes spp,
- 30 Mallophagida (suborders Amblycerina and Ischnocerina), e.g. Trimenopon spp., Menopon spp., Trinoton spp., Bovicola spp., Werneckiella spp., Lepikentron spp., Trichodectes spp., and Felicola spp,
- Roundworms Nematoda:  
35
- Wipeworms and Trichinosis (Trichosyringida), e.g. Trichinellidae (Trichinella spp.), (Trichuridae) Trichuris spp., Capillaria spp,
- Rhabditida, e.g. Rhabditis spp, Strongyloides spp., Helicephalobus spp,  
40
- Strongylida, e.g. Strongylus spp., Ancylostoma spp., Necator americanus, Bunostomum spp. (Hookworm), Trichostrongylus spp., Haemonchus contortus.,

- Ostertagia spp. , Cooperia spp., Nematodirus spp., Dictyocaulus spp., Cyathostoma spp., Oesophagostomum spp., Stephanurus dentatus, Ollulanus spp., Chabertia spp., Stephanurus dentatus , Syngamus trachea, Ancylostoma spp., Uncinaria spp., Globocephalus spp., Necator spp., Metastrongylus spp., Muellerius capillaris,  
5 Protostrongylus spp., Angiostrongylus spp., Parelaphostrongylus spp. Aleurostrongylus abstrusus, and Dioctophyma renale,
- Intestinal roundworms (Ascaridida), e.g. Ascaris lumbricoides, Ascaris suum, Ascaridia galli, Parascaris equorum, Enterobius vermicularis (Threadworm), Toxocara canis,  
10 Toxascaris leonine, Skrjabinema spp., and Oxyuris equi,
- Camallanida, e.g. Dracunculus medinensis (guinea worm)
- Spirurida, e.g. Thelazia spp. Wuchereria spp., Brugia spp., Onchocerca spp., Dirofilaria spp.a, Dipetalonema spp., Setaria spp., Elaeophora spp., Spirocerca lupi, and Habronema spp.,  
15
- Thorny headed worms (Acanthocephala), e.g. Acanthocephalus spp., Macracanthorhynchus hirudinaceus and Oncicola spp,  
20
- Planarians (Plathelminthes):
- Flukes (Trematoda), e.g. Fasciola spp., Fascioloides magna, Paragonimus spp., Dicrocoelium spp., Fasciolopsis buski, Clonorchis sinensis, Schistosoma spp.,  
25 Trichobilharzia spp., Alaria alata, Paragonimus spp., and Nanocyetes spp,
- Cercomeromorpha, in particular Cestoda (Tapeworms), e.g. Diphylobothrium spp., Tenia spp., Echinococcus spp., Dipylidium caninum, Multiceps spp., Hymenolepis spp., Mesocestoides spp., Vampirolepis spp., Moniezia spp., Anoplocephala spp., Sirometra spp., Anoplocephala spp., and Hymenolepis spp.  
30
- The active compounds of the present invention, and compositions containing them are particularly useful for the control of pests from the orders Diptera, Siphonaptera and Ixodida.  
35
- Moreover, the use of the active compounds of the present invention, and compositions containing them for combating mosquitoes is especially preferred.
- The use of the active compounds, and compositions containing them for combating  
40 flies is a further preferred embodiment of the present invention.

Furthermore, the use of the active compounds, and compositions containing them for combating fleas is especially preferred.

5 The use of the active compounds, and compositions containing them for combating ticks is a further preferred embodiment of the present invention.

The active compounds also are especially useful for combating endoparasites (roundworms nematoda, thorny headed worms and planarians).

10 Administration can be carried out both prophylactically and therapeutically.

Administration of the active compounds is carried out directly or in the form of suitable preparations, orally, topically/dermally or parenterally.

15 For oral administration to warm-blooded animals, the compounds of the present invention may be formulated as animal feeds, animal feed premixes, animal feed concentrates, pills, solutions, pastes, suspensions, drenches, gels, tablets, boluses and capsules. In addition, the formula I compounds may be administered to the animals in their drinking water. For oral administration, the dosage form chosen should provide  
20 the animal with 0.01 mg/kg to 100 mg/kg of animal body weight per day of the active compound, preferably with 0.5 mg/kg to 100 mg/kg of animal body weight per day.

Alternatively, the compounds of the present invention may be administered to animals parenterally, for example, by intraruminal, intramuscular, intravenous or subcutaneous  
25 injection. The formula I compounds may be dispersed or dissolved in a physiologically acceptable carrier for subcutaneous injection. Alternatively, the formula I compounds may be formulated into an implant for subcutaneous administration. In addition the formula I compound may be transdermally administered to animals. For parenteral administration, the dosage form chosen should provide the animal with 0.01 mg/kg to  
30 100 mg/kg of animal body weight per day of the active compound.

The active compounds may also be applied topically to the animals in the form of dips, dusts, powders, collars, medallions, sprays, shampoos, spot-on and pour-on formulations and in ointments or oil-in-water or water-in-oil emulsions. For topical  
35 application, dips and sprays usually contain 0.5 ppm to 5,000 ppm and preferably 1 ppm to 3,000 ppm of the formula I compound. In addition, the formula I compounds may be formulated as ear tags for animals, particularly quadrupeds such as cattle and sheep.

40 Suitable preparations are:

- Solutions such as oral solutions, concentrates for oral administration after dilution, solutions for use on the skin or in body cavities, pouring-on formulations, gels;
- 5 - Emulsions and suspensions for oral or dermal administration; semi-solid preparations;
- Formulations in which the active compound is processed in an ointment base or in an oil-in-water or water-in-oil emulsion base;
- 10 - Solid preparations such as powders, premixes or concentrates, granules, pellets, tablets, boluses, capsules; aerosols and inhalants, and active compound-containing shaped articles.

15 Generally it is favorable to apply solid formulations which release compounds of formula I in total amounts of 10 mg/kg to 300 mg/kg, preferably 20 mg/kg to 200 mg/kg. The active compounds can also be used as a mixture with synergists or with other active compounds which act against pathogenic endo- and ectoparasites.

20 Compositions suitable for injection are prepared by dissolving the active ingredient in a suitable solvent and optionally adding further ingredients such as acids, bases, buffer salts, preservatives, and solubilizers. The solutions are filtered and filled sterile.

25 Suitable solvents are physiologically tolerable solvents such as water, alkanols such as ethanol, butanol, benzyl alcohol, glycerol, propylene glycol, polyethylene glycols, N-methyl-pyrrolidone, 2-pyrrolidone, and mixtures thereof.

The active compounds can optionally be dissolved in physiologically tolerable vegetable or synthetic oils, which are suitable for injection.

30 Suitable solubilizers are solvents, which promote the dissolution of the active compound in the main solvent or prevent its precipitation. Examples are polyvinylpyrrolidone, polyvinyl alcohol, polyoxyethylated castor oil, and polyoxyethylated sorbitan ester.

35 Suitable preservatives are benzyl alcohol, trichlorobutanol, p-hydroxybenzoic acid esters, and n-butanol.

40 Oral solutions are administered directly. Concentrates are administered orally after prior dilution to the use concentration. Oral solutions and concentrates are prepared according to the state of the art and as described above for injection solutions, sterile procedures not being necessary.



Solutions for use on the skin are trickled on, spread on, rubbed in, sprinkled on or sprayed on.

5 Solutions for use on the skin are prepared according to the state of the art and according to what is described above for injection solutions, sterile procedures not being necessary.

10 Further suitable solvents are polypropylene glycol, phenyl ethanol, phenoxy ethanol, ester such as ethyl or butyl acetate, benzyl benzoate, ethers such as alkylenglycol alkylether, e.g. dipropylenglycol monomethylether, ketons such as acetone, methylethylketone, aromatic hydrocarbons, vegetable and synthetic oils, dimethylformamide, dimethylacetamide, transcitol, solketal, propylencarbonate, and mixtures thereof.

15 It may be advantageous to add thickeners during preparation. Suitable thickeners are inorganic thickeners such as bentonites, colloidal silicic acid, aluminium monostearate, organic thickeners such as cellulose derivatives, polyvinyl alcohols and their copolymers, acrylates and methacrylates.

20 Gels are applied to or spread on the skin or introduced into body cavities. Gels are prepared by treating solutions, which have been prepared as described in the case of the injection solutions with sufficient thickener that a clear material having an ointment-like consistency results. The thickeners employed are the thickeners given above.

25 Pour-on formulations are poured or sprayed onto limited areas of the skin, the active compound penetrating the skin and acting systemically.

30 Pour-on formulations are prepared by dissolving, suspending or emulsifying the active compound in suitable skin-compatible solvents or solvent mixtures. If appropriate, other auxiliaries such as colorants, bioabsorption-promoting substances, antioxidants, light stabilizers, adhesives are added.

35 Suitable solvents which are: water, alkanols, glycols, polyethylene glycols, polypropylene glycols, glycerol, aromatic alcohols such as benzyl alcohol, phenylethanol, phenoxyethanol, esters such as ethyl acetate, butyl acetate, benzyl benzoate, ethers such as alkylene glycol alkyl ethers such as dipropylene glycol monomethyl ether, diethylene glycol mono-butyl ether, ketones such as acetone, methyl ethyl ketone, cyclic carbonates such as propylene carbonate, ethylene carbonate, aromatic and/or aliphatic hydrocarbons, vegetable or synthetic oils, DMF, 40 dimethylacetamide, n-alkylpyrrolidones such as methylpyrrolidone, n-butylpyrrolidone or n-octylpyrrolidone, N-methylpyrrolidone, 2-pyrrolidone, 2,2-dimethyl-4-oxy-methylene-1,3-diox-olane and glycerol formal.

Suitable colorants are all colorants permitted for use on animals and which can be dissolved or suspended.

- 5 Suitable absorption-promoting substances are, for example, DMSO, spreading oils such as isopropyl myristate, dipropylene glycol pelargonate, silicone oils and copolymers thereof with polyethers, fatty acid esters, triglycerides, fatty alcohols.

- 10 Suitable antioxidants are sulfites or metabisulfites such as potassium metabisulfite, ascorbic acid, butylhydroxytoluene, butylhydroxyanisole, tocopherol.

Suitable light stabilizers are, for example, novantisolic acid.

- 15 Suitable adhesives are, for example, cellulose derivatives, starch derivatives, polyacrylates, natural polymers such as alginates, gelatin.

Emulsions can be administered orally, dermally or as injections.

- 20 Emulsions are either of the water-in-oil type or of the oil-in-water type.

- They are prepared by dissolving the active compound either in the hydrophobic or in the hydrophilic phase and homogenizing this with the solvent of the other phase with the aid of suitable emulsifiers and, if appropriate, other auxiliaries such as colorants, absorption-promoting substances, preservatives, antioxidants, light stabilizers, 25 viscosity-enhancing substances.

Suitable hydrophobic phases (oils) are:

- liquid paraffins, silicone oils, natural vegetable oils such as sesame oil, almond oil, castor oil, synthetic triglycerides such as caprylic/capric biglyceride, triglyceride mixture 30 with vegetable fatty acids of the chain length C<sub>8</sub>-C<sub>12</sub> or other specially selected natural fatty acids, partial glyceride mixtures of saturated or unsaturated fatty acids possibly also containing hydroxyl groups, mono- and diglycerides of the C<sub>8</sub>-C<sub>10</sub> fatty acids, fatty acid esters such as ethyl stearate, di-n-butyl adipate, hexyl laurate, dipropylene glycol perlargonate, esters of a branched fatty acid of medium chain length with 35 saturated fatty alcohols of chain length C<sub>16</sub>-C<sub>18</sub>, isopropyl myristate, isopropyl palmitate, caprylic/capric acid esters of saturated fatty alcohols of chain length C<sub>12</sub>-C<sub>18</sub>, isopropyl stearate, oleyl oleate, decyl oleate, ethyl oleate, ethyl lactate, waxy fatty acid esters such as synthetic duck coccygeal gland fat, dibutyl phthalate, diisopropyl adipate, and ester mixtures related to the latter, fatty alcohols such as isotridecyl alcohol, 2- 40 octyldodecanol, cetylstearyl alcohol, oleyl alcohol, and fatty acids such as oleic acid and mixtures thereof.

Suitable hydrophilic phases are: water, alcohols such as propylene glycol, glycerol, sorbitol and mixtures thereof.

- 5 Suitable emulsifiers are:  
non-ionic surfactants, e.g. polyethoxylated castor oil, polyethoxylated sorbitan monooleate, sorbitan monostearate, glycerol monostearate, polyoxyethyl stearate, alkylphenol polyglycol ether;  
ampholytic surfactants such as di-sodium N-lauryl-p-iminodipropionate or lecithin;
- 10 anionic surfactants, such as sodium lauryl sulfate, fatty alcohol ether sulfates, mono/dialkyl polyglycol ether orthophosphoric acid ester monoethanolamine salt;  
cation-active surfactants, such as cetyltrimethylammonium chloride.

- 15 Suitable further auxiliaries are: substances which enhance the viscosity and stabilize the emulsion, such as carboxymethylcellulose, methylcellulose and other cellulose and starch derivatives, polyacrylates, alginates, gelatin, gum arabic, polyvinylpyrrolidone, polyvinyl alcohol, copolymers of methyl vinyl ether and maleic anhydride, polyethylene glycols, waxes, colloidal silicic acid or mixtures of the substances mentioned.

- 20 Suspensions can be administered orally or topically/dermally. They are prepared by suspending the active compound in a suspending agent, if appropriate with addition of other auxiliaries such as wetting agents, colorants, bioabsorption-promoting substances, preservatives, antioxidants, light stabilizers.

- 25 Liquid suspending agents are all homogeneous solvents and solvent mixtures.

Suitable wetting agents (dispersants) are the emulsifiers given above.

Other auxiliaries, which may be mentioned are those given above.

- 30 Semi-solid preparations can be administered orally or topically/dermally. They differ from the suspensions and emulsions described above only by their higher viscosity.

- 35 For the production of solid preparations, the active compound is mixed with suitable excipients, if appropriate with addition of auxiliaries, and brought into the desired form.

- 40 Suitable excipients are all physiologically tolerable solid inert substances. Those used are inorganic and organic substances. Inorganic substances are, for example, sodium chloride, carbonates such as calcium carbonate, hydrogencarbonates, aluminium oxides, titanium oxide, silicic acids, argillaceous earths, precipitated or colloidal silica, or phosphates. Organic substances are, for example, sugar, cellulose, foodstuffs and feeds such as milk powder, animal meal, grain meals and shreds, starches.

Suitable auxiliaries are preservatives, antioxidants, and/or colorants, which have been mentioned above.

- 5 Other suitable auxiliaries are lubricants and glidants such as magnesium stearate, stearic acid, talc, bentonites, disintegration-promoting substances such as starch or crosslinked polyvinylpyrrolidone, binders such as starch, gelatin or linear polyvinylpyrrolidone, and dry binders such as microcrystalline cellulose.
- 10 In general, "parasitically effective amount" means the amount of active ingredient needed to achieve an observable effect on growth, including the effects of necrosis, death, retardation, prevention, and removal, destruction, or otherwise diminishing the occurrence and activity of the target organism. The parasitically effective amount can vary for the various compounds/compositions used in the invention. A parasitically
- 15 effective amount of the compositions will also vary according to the prevailing conditions such as desired parasitidal effect and duration, target species, mode of application, and the like.

The compositions, which can be used according to the present invention can comprise

20 generally from about 0.001 to 95% of the compound of formula I.

Generally it is favorable to apply the active compounds of formula (I) or (II) in total amounts of 0.5 mg/kg to 100 mg/kg per day, preferably 1 mg/kg to 50 mg/kg per day.

25 Ready-to-use preparations contain the compounds acting against parasites, preferably ectoparasites, in concentrations of 10 ppm to 80 per cent by weight, preferably from 0.1 to 65 per cent by weight, more preferably from 1 to 50 per cent by weight, most preferably from 5 to 40 per cent by weight.

30 Preparations, which are diluted before use, contain the compounds acting against ectoparasites in concentrations of 0.5 to 90 per cent by weight, preferably of 1 to 50 per cent by weight.

Furthermore, the preparations for the intended use comprise the compounds of formula

35 (I) or (II) against endoparasites in concentrations of 10 ppm to 2 per cent by weight, preferably of 0.05 to 0.9 per cent by weight, very particularly preferably of 0.005 to 0.25 per cent by weight.

In a preferred embodiment of the present invention, the compositions comprising the

40 compounds of formula (I) or (II) them are applied dermally / topically.

In a further preferred embodiment, the topical application is conducted in the form of compound-containing shaped articles such as collars, medallions, ear tags, bands for fixing at body parts, and adhesive strips and foils.

- 5 Generally it is favorable to apply solid formulations which release compounds of the present invention in total amounts of 10 mg/kg to 300 mg/kg, preferably 20 mg/kg to 200 mg/kg, most preferably 25 mg/kg to 160 mg/kg body weight of the treated animal in the course of three weeks.
- 10 For the preparation of the shaped articles, thermoplastic and flexible plastics as well as elastomers and thermoplastic elastomers are used. Suitable plastics and elastomers are polyvinyl resins, polyurethane, polyacrylate, epoxy resins, cellulose, cellulose derivatives, polyamides and polyester which are sufficiently compatible with the compounds of formula (I) or (II). A detailed list of plastics and elastomers as well as
- 15 preparation procedures for the shaped articles is given e.g. in WO 03/086075.

Compositions of this invention may also contain other active ingredients, for example other pesticides, insecticides, herbicides, fertilizers such as ammonium nitrate, urea, potash, and superphosphate, phytotoxicants and plant growth regulators, safeners and

20 nematicides. These additional ingredients may be used sequentially or in combination with the above-described compositions, if appropriate also added only immediately prior to use (tank mix). These agents usually are admixed with the agents according to the invention in a weight ratio of 1:10 to 10:1. For example, the plant(s) may be sprayed with a composition of this invention either before or after being treated with

25 other active ingredients.

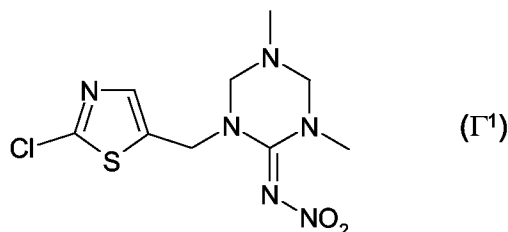
The following list M of pesticides together with which the compounds according to the invention can be used, is intended to illustrate the possible combinations, but not to impose any limitation:

- 30
- M.1. Organo(thio)phosphates: acephate, azamethiphos, azinphos-methyl, chlorpyrifos, chlorpyrifos-methyl, chlorfenvinphos, diazinon, dichlorvos, dicrotophos, dimethoate, disulfoton, ethion, fenitrothion, fenthion, isoxathion, malathion, methamidophos, methidathion, methyl-parathion, mevinphos, monocrotophos, oxydemeton-methyl,
- 35 paraoxon, parathion, phenthoate, phosalone, phosmet, phosphamidon, phorate, phoxim, pirimiphos-methyl, profenofos, prothiofos, sulprophos, tetrachlorvinphos, terbufos, triazophos, trichlorfon;
- M.2. Carbamates: alanycarb, aldicarb, bendiocarb, benfuracarb, carbaryl, carbofuran,
- 40 carbosulfan, fenoxycarb, furathiocarb, methiocarb, methomyl, oxamyl, pirimicarb, propoxur, thiodicarb, triazamate;

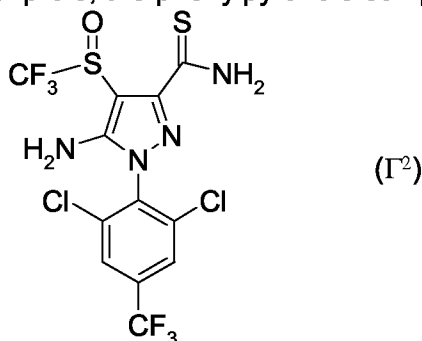
M.3. Pyrethroids: allethrin, bifenthrin, cyfluthrin, cyhalothrin, cyphenothrin, cypermethrin, alpha-cypermethrin, beta-cypermethrin, zeta-cypermethrin, deltamethrin, empenethrin, esfenvalerate, etofenprox, fenpropathrin, fenvalerate, imiprothrin, lambda-cyhalothrin, permethrin, prallethrin, pyrethrin I and II, resmethrin, silafluofen, tau-  
5 fluvalinate, tefluthrin, tetramethrin, tralomethrin, transfluthrin, profluthrin, dimefluthrin;

M.4. Growth regulators: a) chitin synthesis inhibitors: benzoylureas: chlorfluazuron, diflubenzuron, flucyclohexuron, flufenoxuron, hexaflumuron, lufenuron, novaluron, teflubenzuron, triflumuron; buprofezin, diofenolan, hexythiazox, etoxazole, clofentazine;  
10 b) ecdysone antagonists: halofenozide, methoxyfenozide, tebufenozide, azadirachtin;  
c) juvenoids: pyriproxyfen, methoprene, fenoxycarb; d) lipid biosynthesis inhibitors: spirotetramat, spiromesifen, spirotetramat;

M.5. Nicotinic receptor agonists/antagonists compounds: clothianidin, dinotefuran, imidacloprid, thiamethoxam, nitenpyram, acetamiprid, thiacloprid;  
15 the thiazol compound of formula ( $\Gamma^1$ )



M.6. GABA antagonist compounds: acetoprole, endosulfan, ethiprole, fipronil, vaniliprole, pyrafluprole, pyriprole, the phenylpyrazole compound of formula  $\Gamma^2$



20

M.7. Macrocyclic lactone insecticides: abamectin, emamectin, milbemectin, lepimectin, spinosad;

25

M.8. METI I compounds: fenazaquin, pyridaben, tebufenpyrad, tolfenpyrad, flufenerim;

M.9. METI II and III compounds: acequinocyl, fluacyprim, hydramethylnon;

M.10. Uncoupler compounds: chlorfenapyr;

M.11. Oxidative phosphorylation inhibitor compounds: cyhexatin, diafenthuron, fenbutatin oxide, propargite;

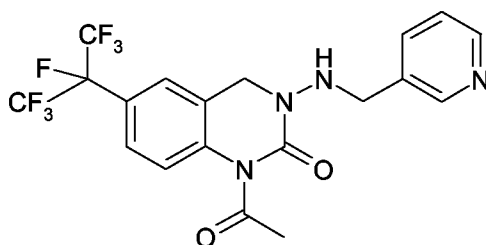
M.12. Moulting disruptor compounds: cyromazine;

5

M.13. Mixed Function Oxidase inhibitor compounds: piperonyl butoxide;

M.14. Sodium channel blocker compounds: indoxacarb, metaflumizone,

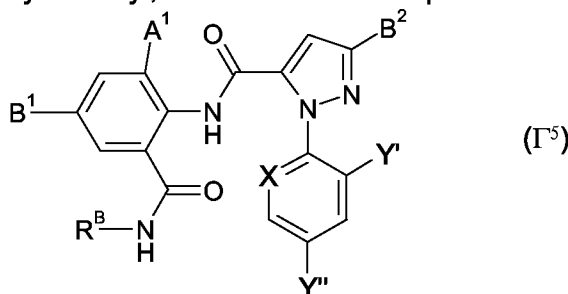
10 M.15. Various: amitraz, benclotiaz, bifentazate, cartap, flonicamid, pyridalyl, pymetrozine, sulfur, thiocyclam, flubendiamide, cyenopyrafen, flupyrazofos, cyflumetofen, amidoflumet, the aminoquinazolinone compound of formula  $\Gamma^4$



( $\Gamma^4$ ),

15

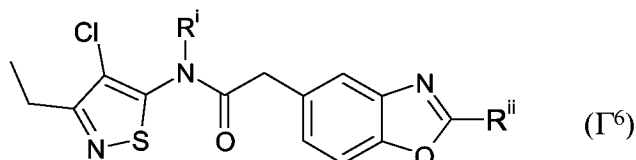
N-R'-2,2-dihalo-1-R''cyclo-propanecarboxamide-2-(2,6-dichloro- $\alpha,\alpha,\alpha$ -tri-fluoro-p-tolyl)hydrazone or N-R'-2,2-di(R''')propionamide-2-(2,6-dichloro- $\alpha,\alpha,\alpha$ -trifluoro-p-tolyl)-hydrazone, wherein R' is methyl or ethyl, halo is chloro or bromo, R'' is hydrogen or methyl and R''' is methyl or ethyl, anthranilamide compounds of formula  $\Gamma^5$



( $\Gamma^5$ )

20

wherein A<sup>1</sup> is CH<sub>3</sub>, Cl, Br, I, X is C-H, C-Cl, C-F or N, Y' is F, Cl, or Br, Y'' is H, F, Cl, CF<sub>3</sub>, B<sup>1</sup> is hydrogen, Cl, Br, I, CN, B<sup>2</sup> is Cl, Br, CF<sub>3</sub>, OCH<sub>2</sub>CF<sub>3</sub>, OCF<sub>2</sub>H, or OCF<sub>2</sub>CHFOCF<sub>3</sub> and R<sup>B</sup> is hydrogen, CH<sub>3</sub> or CH(CH<sub>3</sub>)<sub>2</sub>, the aminoisothiazole compound of formula ( $\Gamma^6$ )



( $\Gamma^6$ )

25

wherein R<sup>i</sup> is -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>3</sub> or H and R<sup>ii</sup> is CF<sub>2</sub>CF<sub>2</sub>CF<sub>3</sub> or CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>3</sub>, and malononitrile compounds as described in JP 2002 284608, WO 02/89579, WO 02/90320, WO 02/90321, WO 04/06677, WO 04/20399, JP 2004 99597, WO 05/68423,

WO 05/68432, or WO 05/63694, especially the malononitrile compounds  
 $\text{CF}_3(\text{CH}_2)_2\text{C}(\text{CN})_2\text{CH}_2(\text{CF}_2)_3\text{CF}_2\text{H}$ ,  $\text{CF}_3(\text{CH}_2)_2\text{C}(\text{CN})_2\text{CH}_2(\text{CF}_2)_5\text{CF}_2\text{H}$ ,  
 $\text{CF}_3(\text{CH}_2)_2\text{C}(\text{CN})_2(\text{CH}_2)_2\text{C}(\text{CF}_3)_2\text{F}$ ,  $\text{CF}_3(\text{CH}_2)_2\text{C}(\text{CN})_2(\text{CH}_2)_2(\text{CF}_2)_3\text{CF}_3$ ,  
 $\text{CF}_2\text{H}(\text{CF}_2)_3\text{CH}_2\text{C}(\text{CN})_2\text{CH}_2(\text{CF}_2)_3\text{CF}_2\text{H}$ ,  $\text{CF}_3(\text{CH}_2)_2\text{C}(\text{CN})_2\text{CH}_2(\text{CF}_2)_3\text{CF}_3$ ,  
 5  $\text{CF}_3(\text{CF}_2)_2\text{CH}_2\text{C}(\text{CN})_2\text{CH}_2(\text{CF}_2)_3\text{CF}_2\text{H}$ , and  $\text{CF}_3\text{CF}_2\text{CH}_2\text{C}(\text{CN})_2\text{CH}_2(\text{CF}_2)_3\text{CF}_2\text{H}$ .

The commercially available compounds of the group M may be found in The Pesticide Manual, 13<sup>th</sup> Edition, British Crop Protection Council (2003) among other publications. Thioamides of formula  $\Gamma^2$  and their preparation have been described in WO 98/28279.  
 10 Lepimectin is known from Agro Project, PJB Publications Ltd, November 2004. Benclonthiaz and its preparation have been described in EP-A1 454621. Methidathion and Paraoxon and their preparation have been described in Farm Chemicals Handbook, Volume 88, Meister Publishing Company, 2001. Acetoprole and its preparation have been described in WO 98/28277. Metaflumizone and its preparation  
 15 have been described in EP-A1 462 456. Flupyrazofos has been described in Pesticide Science 54, 1988, p.237-243 and in US 4822779. Pyrafluprole and its preparation have been described in JP 2002193709 and in WO 01/00614. Pyriprole and its preparation have been described in WO 98/45274 and in US 6335357. Amidoflumet and its preparation have been described in US 6221890 and in JP 21010907. Flufenerim and  
 20 its preparation have been described in WO 03/007717 and in WO 03/007718. Cyflumetofen and its preparation have been described in WO 04/080180. The aminoquinazolinone compound of formula  $\Gamma^4$  has been described in EP A 109 7932 Anthranilamides of formula  $\Gamma^5$  and their preparation have been described in WO 01/70671; WO 02/48137; WO 03/24222, WO 03/15518, WO 04/67528;  
 25 WO 04/33468; and WO 05/118552. The malononitrile compounds  $\text{CF}_3(\text{CH}_2)_2\text{C}(\text{CN})_2\text{CH}_2(\text{CF}_2)_3\text{CF}_2\text{H}$ ,  $\text{CF}_3(\text{CH}_2)_2\text{C}(\text{CN})_2\text{CH}_2(\text{CF}_2)_5\text{CF}_2\text{H}$ ,  $\text{CF}_3(\text{CH}_2)_2\text{C}(\text{CN})_2(\text{CH}_2)_2\text{C}(\text{CF}_3)_2\text{F}$ ,  $\text{CF}_3(\text{CH}_2)_2\text{C}(\text{CN})_2(\text{CH}_2)_2(\text{CF}_2)_3\text{CF}_3$ ,  $\text{CF}_2\text{H}(\text{CF}_2)_3\text{CH}_2\text{C}(\text{CN})_2\text{CH}_2(\text{CF}_2)_3\text{CF}_2\text{H}$ ,  $\text{CF}_3(\text{CH}_2)_2\text{C}(\text{CN})_2\text{CH}_2(\text{CF}_2)_3\text{CF}_3$ ,  $\text{CF}_3(\text{CF}_2)_2\text{CH}_2\text{C}(\text{CN})_2\text{CH}_2(\text{CF}_2)_3\text{CF}_2\text{H}$ , and  $\text{CF}_3\text{CF}_2\text{CH}_2\text{C}(\text{CN})_2\text{CH}_2(\text{CF}_2)_3\text{CF}_2\text{H}$  have  
 30 been described in WO 05/63694.

In the methods according to the invention the pests are controlled by contacting the target parasite/pest, its food supply, habitat, breeding ground or its locus with a pesticidally effective amount of compounds of formula (II) or with a salt thereof or with a  
 35 composition, containing a pesticidally effective amount of a compound of formula I or a salt thereof.

"Locus" means a habitat, breeding ground, plant, seed, soil, area, material or environment in which a pest or parasite is growing or may grow.  
 40

In general, "pesticidally effective amount" means the amount of active ingredient needed to achieve an observable effect on growth, including the effects of necrosis,



death, retardation, prevention, and removal, destruction, or otherwise diminishing the occurrence and activity of the target organism. The pesticidally effective amount can vary for the various compounds/compositions used in the invention. A pesticidally effective amount of the compositions will also vary according to the prevailing  
5 conditions such as desired pesticidal effect and duration, weather, target species, locus, mode of application, and the like.

The compounds of the invention can also be applied preventively to places at which occurrence of the pests is expected.

10 The compounds of formula (II) may be also used to protect growing plants from attack or infestation by pests by contacting the plant with a pesticidally effective amount of compounds of formula I. As such, "contacting" includes both direct contact (applying the compounds/compositions directly on the pest and/or plant - typically to the foliage,  
15 stem or roots of the plant) and indirect contact (applying the compounds/compositions to the locus of the pest and/or plant).

The aforementioned compositions are particularly useful for protecting crop plants against infestation of said pests or for combating these pests in infested plants.

20 For use in treating crop plants, the rate of application of the active ingredients of this invention may be in the range of 0.1 g to 4000 g per hectare, desirably from 25 g to 600 g per hectare, more desirably from 50 g to 500 g per hectare.

25 In accordance with one variant of the present invention, a further subject of the invention is a method of treating soil by the application, in particular into the seed drill, e.g. in form of a granular formulation containing compounds of formula I alone or in mixture with other active ingredients. This method is advantageously employed in seedbeds of cereal, maize, cotton and sunflower. For cereals and maize, the rates of  
30 application may depend from the active ingredients used, and may range between 50 and 500 per hectare for one active ingredient and between 50 and 200 g per hectare for the other active ingredient.

35 In the case of soil treatment or of application to the pests dwelling place or nest, the quantity of active ingredient ranges from 0.0001 to 500 g per 100 m<sup>2</sup>, preferably from 0.001 to 20 g per 100 m<sup>2</sup>.

The compounds of formula (II) are also suitable for the treatment of seeds in order to protect the seed from insect pest, in particular from soil-living insect pests and the  
40 resulting plant's roots and shoots against soil pests and foliar insects.

The compounds of formula (II) are particularly useful for the protection of the seed from soil pests and the resulting plant's roots and shoots against soil pests and foliar insects. The protection of the resulting plant's roots and shoots is preferred. More preferred is the protection of resulting plant's shoots from piercing and sucking insects, wherein the protection from aphids is most preferred.

The present invention therefore comprises a method for the protection of seeds from insects, in particular from soil insects and of the seedlings' roots and shoots from insects, in particular from soil and foliar insects, said method comprising contacting the seeds before sowing and/or after pregermination with a compound of the general formula I or a salt thereof. Particularly preferred is a method, wherein the plant's roots and shoots are protected, more preferably a method, wherein the plants shoots are protected from piercing and sucking insects, most preferably a method, wherein the plants shoots are protected from aphids.

The term seed embraces seeds and plant propagules of all kinds including but not limited to true seeds, seed pieces, suckers, corms, bulbs, fruit, tubers, grains, cuttings, cut shoots and the like and means in a preferred embodiment true seeds.

The term seed treatment comprises all suitable seed treatment techniques known in the art, such as seed dressing, seed coating, seed dusting, seed soaking and seed pelleting.

The present invention also comprises seeds coated with or containing the active compound.

The term "coated with and/or containing" generally signifies that the active ingredient is for the most part on the surface of the propagation product at the time of application, although a greater or lesser part of the ingredient may penetrate into the propagation product, depending on the method of application. When the said propagation product is (re)planted, it may absorb the active ingredient.

Suitable seed is seed of cereals, root crops, oil crops, vegetables, spices, ornamentals, for example seed of durum and other wheat, barley, oats, rye, maize (fodder maize and sugar maize / sweet and field corn), soybeans, oil crops, crucifers, cotton, sunflowers, bananas, rice, oilseed rape, turnip rape, sugarbeet, fodder beet, eggplants, potatoes, grass, lawn, turf, fodder grass, tomatoes, leeks, pumpkin/squash, cabbage, iceberg lettuce, pepper, cucumbers, melons, Brassica species, melons, beans, peas, garlic, onions, carrots, tuberous plants such as potatoes, sugar cane, tobacco, grapes, petunias, geranium/pelargoniums, pansies and impatiens.

In addition, the active compound may also be used for the treatment seeds from plants, which tolerate the action of herbicides or fungicides or insecticides owing to breeding,

including genetic engineering methods.

For example, the active compound can be employed in treatment of seeds from plants, which are resistant to herbicides from the group consisting of the sulfonylureas, imidazolinones, glufosinate-ammonium or glyphosate-isopropylammonium and analogous active substances (see for example, EP-A-0242236, EP-A-242246) (WO 92/00377) (EP-A-0257993, U.S. Pat. No. 5,013,659) or in transgenic crop plants, for example cotton, with the capability of producing *Bacillus thuringiensis* toxins (Bt toxins) which make the plants resistant to certain pests (EP-A-0142924, EP-A-0193259),

10

Furthermore, the active compound can be used also for the treatment of seeds from plants, which have modified characteristics in comparison with existing plants consist, which can be generated for example by traditional breeding methods and/or the generation of mutants, or by recombinant procedures). For example, a number of cases have been described of recombinant modifications of crop plants for the purpose of modifying the starch synthesized in the plants (e.g. WO 92/11376, WO 92/14827, WO 91/19806) or of transgenic crop plants having a modified fatty acid composition (WO 91/13972).

The seed treatment application of the active compound is carried out by spraying or by dusting the seeds before sowing of the plants and before emergence of the plants.

In the treatment of seeds the corresponding formulations are applied by treating the seeds with an effective amount of the active compound. Herein, the application rates of the active compound are generally from 0,1 g to 10 kg per 100 kg of seed, preferably from 1 g to 5 kg per 100 kg of seed, in particular from 1 g to 2,5 kg per 100 kg of seed. For specific crops such as lettuce the rate can be higher.

Compositions, which are especially useful for seed treatment are e.g.:

30

- A Soluble concentrates (SL, LS)
- D Emulsions (EW, EO, ES)
- E Suspensions (SC, OD, FS)
- F Water-dispersible granules and water-soluble granules (WG, SG)
- 35 G Water-dispersible powders and water-soluble powders (WP, SP, WS)
- H Gel-Formulations (GF)
- I Dustable powders (DP, DS)

Conventional seed treatment formulations include for example flowable concentrates FS, solutions LS, powders for dry treatment DS, water dispersible powders for slurry treatment WS, water-soluble powders SS and emulsion ES and EC and gel formulation GF. These formulations can be applied to the seed diluted or undiluted. Application to

40

the seeds is carried out before sowing, either directly on the seeds or after having pregerminated the latter

In a preferred embodiment a FS formulation is used for seed treatment. Typically, a FS  
5 formulation may comprise 1-800 g/l of active ingredient, 1-200 g/l Surfactant, 0 to 200  
g/l antifreezing agent, 0 to 400 g/l of binder, 0 to 200 g/l of a pigment and up to 1 liter of  
a solvent, preferably water.

Preferred FS formulations of the active compounds of the present invention for seed  
10 treatment usually comprise from 0.1 to 80% by weight (1 to 800 g/L) of the active  
ingredient, from 0.1 to 20 % by weight (1 to 200 g/L) of at least one surfactant, e.g.  
0.05 to 5 % by weight of a wetter and from 0.5 to 15 % by weight of a dispersing agent,  
up to 20 % by weight, e.g. from 5 to 20 % of an anti-freeze agent, from 0 to 15 % by  
weight, e.g. 1 to 15 % by weight of a pigment and/or a dye, from 0 to 40 % by weight,  
15 e.g. 1 to 40 % by weight of a binder (sticker /adhesion agent), optionally up to 5 % by  
weight, e.g. from 0.1 to 5 % by weight of a thickener, optionally from 0.1 to 2 % of an  
anti-foam agent, and optionally a preservative such as a biocide, antioxidant or the like,  
e.g. in an amount from 0.01 to 1 % by weight and a filler/vehicle up to 100 % by weight.

20 Seed Treatment formulations may additionally also comprise binders and optionally  
colorants.

Binders can be added to improve the adhesion of the active materials on the seeds  
after treatment. Suitable binders are block copolymers EO/PO surfactants but also  
25 polyvinylalcohols, polyvinylpyrrolidones, polyacrylates, polymethacrylates,  
polybutenes, polyisobutylenes, polystyrene, polyethyleneamines, polyethyleneamides,  
polyethyleneimines (Lupasol®, Polymin®), polyethers, polyurethans, polyvinylacetate,  
tylose and copolymers derived from these polymers.

30 Optionally, also colorants can be included in the formulation. Suitable colorants or dyes  
for seed treatment formulations are Rhodamin B, C.I. Pigment Red 112, C.I. Solvent  
Red 1, pigment blue 15:4, pigment blue 15:3, pigment blue 15:2, pigment blue 15:1,  
pigment blue 80, pigment yellow 1, pigment yellow 13, pigment red 112, pigment red  
48:2, pigment red 48:1, pigment red 57:1, pigment red 53:1, pigment orange 43,  
35 pigment orange 34, pigment orange 5, pigment green 36, pigment green 7, pigment  
white 6, pigment brown 25, basic violet 10, basic violet 49, acid red 51, acid red 52,  
acid red 14, acid blue 9, acid yellow 23, basic red 10, basic red 108.

Examples of a gelling agent is carrageen (Satiagel®)  
40

In the treatment of seed, the application rates of the active compounds are generally from 0.1 g to 10 kg per 100 kg of seed, preferably from 1 g to 5 kg per 100 kg of seed, in particular from 1 g to 1000 g per 100 kg of seed.

- 5 The invention therefore also relates to seed comprising an active compound of the present invention, or an agriculturally useful salt thereof, as defined herein. The amount of the compound I or the agriculturally useful salt thereof will in general vary from 0.1 g to 10 kg per 100 kg of seed, preferably from 1 g to 5 kg per 100 kg of seed, in particular from 1 g to 1000 g per 100 kg of seed.

10

The active compounds of the present invention are generally effective through both contact and ingestion.

- 15 According to a preferred embodiment of the invention, the compounds of the present invention are employed via soil application. Soil application is especially favorable for use against ants, termites, crickets, or cockroaches.

- 20 The compounds of the invention may therefor also be applied against non-crop insect pests, such as ants, termites, wasps, flies, mosquitos, crickets, or cockroaches. For use against said non-crop pests, compounds of formula I are preferably used in a bait composition.

- 25 The bait can be a liquid, a solid or a semisolid preparation (e.g. a gel). Solid baits can be formed into various shapes and forms suitable to the respective application e.g. granules, blocks, sticks, disks. Liquid baits can be filled into various devices to ensure proper application, e.g. open containers, spray devices, droplet sources, or evaporation sources. Gels can be based on aqueous or oily matrices and can be formulated to particular necessities in terms of stickyness, moisture retention or aging characteristics.

- 30 The bait employed in the composition is a product, which is sufficiently attractive to incite insects such as ants, termites, wasps, flies, mosquitos, crickets etc. or cockroaches to eat it. The attractiveness can be manipulated by using feeding stimulants or sex pheromones. Food stimulants are chosen, for example, but not exclusively, from animal and/or plant proteins (meat-, fish- or blood meal, insect parts, egg yolk), from fats and oils of animal and/or plant origin, or mono-, oligo- or polyorganosaccharides, especially from sucrose, lactose, fructose, dextrose, glucose, starch, pectin or even molasses or honey. Fresh or decaying parts of fruits, crops, plants, animals, insects or specific parts thereof can also serve as a feeding stimulant. Sex pheromones are known to be more insect specific. Specific pheromones are  
35 described in the literature and are known to those skilled in the art.  
40

For use in bait compositions, the typical content of active ingredient is from 0.001 weight % to 15 weight %, desirably from 0.001 weight % to 5% weight % of active compound. The composition used may also comprise other additives such as a solvent of the active material, a flavoring agent, a preserving agent, a dye or a bitter agent. Its attractiveness may also be enhanced by a special color, shape or texture.

Formulations of the active compounds according to the present invention as aerosols (e.g. in spray cans), oil sprays or pump sprays are highly suitable for the non-professional user for controlling pests such as flies, fleas, ticks, mosquitos or cockroaches. Aerosol recipes are preferably composed of the active compound, solvents such as lower alcohols (e.g. methanol, ethanol, propanol, butanol), ketones (e.g. acetone, methyl ethyl ketone), paraffin hydrocarbons (e.g. kerosenes) having boiling ranges of approximately 50 to 250 °C, dimethylformamide, N-methylpyrrolidone, dimethyl sulfoxide, aromatic hydrocarbons such as toluene, xylene, water, furthermore auxiliaries such as emulsifiers such as sorbitol monooleate, oleyl ethoxylate having 3-7 mol of ethylene oxide, fatty alcohol ethoxylate, perfume oils such as ethereal oils, esters of medium fatty acids with lower alcohols, aromatic carbonyl compounds, if appropriate stabilizers such as sodium benzoate, amphoteric surfactants, lower epoxides, triethyl orthoformate and, if required, propellants such as propane, butane, nitrogen, compressed air, dimethyl ether, carbon dioxide, nitrous oxide, or mixtures of these gases.

The oil spray formulations differ from the aerosol recipes in that no propellants are used.

For use in spray compositions, the content of active ingredient is from 0.001 to 80 weights %, preferably from 0.01 to 50 weight % and most preferably from 0.01 to 15 weight %.

The active compounds of the present invention and its respective compositions can also be used in mosquito and fumigating coils, smoke cartridges, vaporizer plates or long-term vaporizers and also in moth papers, moth pads or other heat-independent vaporizer systems.

The present invention is now illustrated in further details by the following examples.

### S. Synthesis Examples

With due modification of the starting compounds, the protocol shown in the synthesis example below was used for obtaining further compounds according to the present invention. The resulting compounds, together with physical data, are listed in the Table S.1. which follows.

Starting materials such as (3,3,3-trifluoropropyl)malononitrile, (3,4,4-trifluoro-3-butenyl)malononitrile or (3-butenyl)malononitrile can be obtained following procedures described in WO 04/006677, WO 02/090320 or WO 02/089579, respectively.

5

The products were characterized by coupled High Performance Liquid Chromatography / mass spectrometry (HPLC/MS).

Analytical HPLC column: RP-18 column Chromolith Speed ROD from Merck KgaA, Germany). Elution: acetonitrile + 0.1% trifluoroacetic acid (TFA) / water + 0.1%

10 trifluoroacetic acid (TFA) in a ratio of from 5:95 to 95:5 in 5 minutes at 40 °C.

MS: Quadrupol electrospray ionisation, 80 V (positiv modus)

The conditions for preparative HPLC were as follows: Purospher Star RP18e Hibar RT 75-25 column (3 µm), elution: acetonitrile + 0.1% trifluoroacetic acid (TFA) / water + 0.1% trifluoroacetic acid (TFA) in a ratio of from 20:80 to 100:0 in 13 minutes, detection

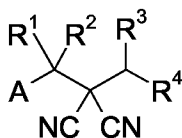
15 by UV at 205 nm, 214 nm, 254 nm, 280 nm and 400 nm or by MS.

Example S.1.: Preparation of 2-benzo[1,2,5]thiadiazol-4-ylmethyl-2-(3,3,3-trifluoropropyl)-malononitrile (Compound I-1)

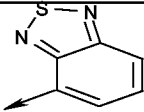
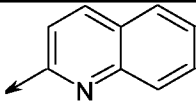
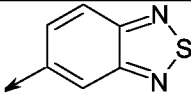
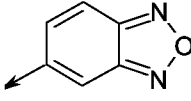
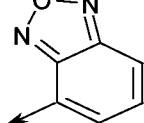
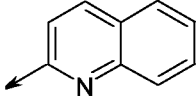
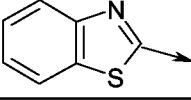
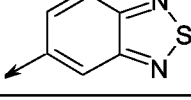
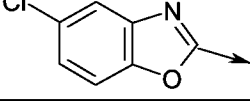
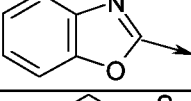
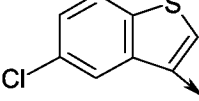
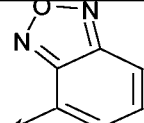
20 A mixture of 229 mg (1 mmol) 4-bromomethyl-benzo[1,2,5]thiadiazole and 276 mg (2 mmol) potassium carbonate in 6 ml DMF was stirred at 20 to 25°C for 1h under an argon atmosphere. Subsequently, 162 mg (1 mmol) 3,3,3-trifluoropropyl)malononitrile were added and the mixture was stirred 10 hours at 20-25°C. After evaporation of volatile materials in vacuo the remaining crude prouct was dissolved in

25 dichloromethane, washed with 15 ml water (2x) and dried over magnesium sulfate. After evaporation of the solvent the remainder was purified by preparative HPLC yielding 219 mg (0.7 mmol, 71 %) of the desired prouct. (HPLC/MS: RT = 3.481 min, m/z = 311 [M+H]<sup>+</sup>).

30 Table S.1.:



No.	A	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	Physical data HPLC/MS (retention time t <sub>r</sub> [min]; m/z [M+H] <sup>+</sup> )
I.1.		H	H	H	CH <sub>2</sub> CF <sub>3</sub>	t <sub>r</sub> = 3.481 min, m/z = 311 [M+H] <sup>+</sup>

No.	A	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	Physical data HPLC/MS (retention time t <sub>r</sub> [min]; m/z [M+H] <sup>+</sup> )
						
I.2.		H	H	H	CH <sub>2</sub> CF <sub>3</sub>	t <sub>r</sub> = 3.356 min, m/z = 304 [M+H] <sup>+</sup>
I.3.		H	H	H	CH <sub>2</sub> CF <sub>3</sub>	t <sub>r</sub> = 3.325 min, m/z = 311 [M+H] <sup>+</sup>
I.4.		H	H	H	CH <sub>2</sub> CF <sub>3</sub>	t <sub>r</sub> = 3.377 min, m/z = 295 [M+H] <sup>+</sup>
I.5.		H	H	H	CH <sub>2</sub> CF <sub>3</sub>	t <sub>r</sub> = 3.365 min, m/z = 295 [M+H] <sup>+</sup>
I.6.		H	H	H	CH <sub>2</sub> CF <sub>3</sub>	t <sub>r</sub> = 3.36 min, m/z = 304 [M+H] <sup>+</sup>
I.7.		H	H	H	CH <sub>2</sub> CF <sub>3</sub>	t <sub>r</sub> = 3.43 min, m/z = 310 [M+H] <sup>+</sup>
I.8.		H	H	H	CH <sub>2</sub> CF <sub>3</sub>	t <sub>r</sub> = 3.33 min, m/z = 311 [M+H] <sup>+</sup>
I.9.		H	H	H	CH <sub>2</sub> CF <sub>3</sub>	t <sub>r</sub> = 3.57 min, m/z = 328 [M+H] <sup>+</sup>
I.10.		H	H	H	CH <sub>2</sub> CF <sub>3</sub>	t <sub>r</sub> = 3.27 min, m/z = 294 [M+H] <sup>+</sup>
I.11.		H	H	H	CH <sub>2</sub> CF <sub>3</sub>	t <sub>r</sub> = 3.90 min, m/z = 343 [M+H] <sup>+</sup>
I.12.		H	H	H	CH <sub>2</sub> CF <sub>3</sub>	t <sub>r</sub> = 3.37 min, m/z = 295 [M+H] <sup>+</sup>

B. Examples for the action against harmful pests:



### B.1. Activity against Boll weevil (*Anthonomus grandis*)

The active compounds were formulated in 1:3 dimethylsulfoxid (DMSO) : water. 10 to 15 eggs were placed into microtiterplates filled with 2% agar-agar in water and 300 ppm formaline. The eggs were sprayed with 20 µl of the test solution, the plates were sealed with pierced foils and kept at 24-26°C and 75-85% humidity with a day/night cycle for 3 to 5 days. Mortality was assessed on the basis of the remaining unhatched eggs or larvae on the agar surface and/or quantity and depth of the digging channels caused by the hatched larvae. Tests were replicated 2 times.

In this test, compound I.3, I.6, I.7, I.8, I.9 and 1.10 at 2500 ppm showed over 75 % mortality compared to 0% mortality of untreated controls.

### B.2. Activity against Mediterranean fruitfly (*Ceratitis capitata*)

The active compounds were formulated in 1:3 DMSO : water. 50 to 80 eggs were placed into microtiterplates filled with 0.5% agar-agar and 14 % diet in water. The eggs were sprayed with 5 µl of the test solution, the plates were sealed with pierced foils and kept at 27-29°C and 75-85% humidity under fluorescent light for 6 days. Mortality was assessed on the basis of the agility of the hatched larvae. Tests were replicated 2 times.

In this test, compound I.4 and I.9 at 2500 ppm showed over 75 % mortality compared to 0% mortality of untreated controls.

### B.3. Activity against Orchid thrips (*Dichromothrips corbetti*)

*Dichromothrips corbetti* adults used for bioassay were obtained from a colony maintained continuously under laboratory conditions. For testing purposes, the test compound was diluted to a concentration of 500 ppm (wt compound: vol diluent) in a 1:1 mixture of acetone:water, plus 0.01% Kinetic™ surfactant.

Thrips potency of each compound was evaluated by using a floral-immersion technique. Plastic petri dishes were used as test arenas. All petals of individual, intact orchid flowers were dipped into treatment solution for approximately 3 seconds and allowed to dry for 2 hours. Treated flowers were placed into individual petri dishes along with 10 - 15 adult thrips. The petri dishes were then covered with lids. All test arenas were held under continuous light and a temperature of about 28°C for duration of the assay. After 4 days, the numbers of live thrips were counted on each flower, and along inner walls of each petri dish. The level of thrips mortality was extrapolated from pre-treatment thrips numbers.

In this test, e.g. compound I.4 at 300 ppm showed over 75 % mortality compared to 0% mortality of untreated controls.

#### B.4. Activity against Brown plant hopper (*Niliparvata lugens*)

5

The active compounds were formulated in 50:50 acetone:water. Potted rice seedlings were sprayed with 10 ml test solution, air dried, placed in cages and inoculated with 10 adults. Percent mortality was recorded after 24, 72 and 120 hours.

10 In this test, e.g. compound I.2, I.6, I.7, I.9 and I.10 at 300 ppm showed over 75 % mortality compared to 0% mortality of untreated controls.

#### B.5. Activity against Silverleaf whitefly (*Bemisia argentifolii*)

15 The active compounds were formulated in 50:50 acetone:water and 100 ppm Kinetica™ surfactant.

20 Selected cotton plants were grown to the cotyledon state (one plant per pot). The cotyledons were dipped into the test solution to provide complete coverage of the foliage and placed in a well-vented area to dry. Each pot with treated seedling was placed in a plastic cup and 10 to 12 whitefly adults (approximately 3-5 day old) were introduced. The insects were collected using an aspirator and an 0.6 cm, non-toxic Tygon® tubing (R-3603) connected to a barrier pipette tip. The tip, containing the collected insects, was then gently inserted into the soil containing the treated plant, 25 allowing insects to crawl out of the tip to reach the foliage for feeding. The cups were covered with a re-usable screened lid (150 micron mesh polyester screen PeCap from Tetko Inc). Test plants were maintained in the holding room at about 25°C and 20-40% relative humidity for 3 days avoiding direct exposure to the fluorescent light (24 hour photoperiod) to prevent trapping of heat inside the cup. Mortality was assessed 3 days 30 after treatment of the plants.

In this test, compound I.10 at 300 ppm showed over 90% mortality compared to untreated controls.

35 B.6. Activity against Bird Cherry - Oat Aphid aphid (*Rhopalosiphum padi*)

The active compounds were formulated in 1:3 DMSO : water. Barley leaf disks were placed into microtiterplates filled with 0.8% agar-agar and 2.5 ppm OPUS™. The leaf disks were sprayed with 2.5 µl of the test solution and 5 to 8 adult aphids were placed 40 into the microtiterplates which were then closed and kept at 19-22°C and 35-45% under fluorescent light for 5 days. Mortality was assessed on the basis of vital, reproduced aphids. Tests were replicated 2 times.

In this test, compound I.1 and I.12 at 300 ppm showed over 90% mortality compared to untreated controls.

- 5 B.7. Activity against Argentine ant (*Linepithema humile*), harvester ant (*Pogonomyrmex californicus*), acrobat ant (*Crematogaster* spp.), carpenter ant (*Camponotus floridanus*), fire ant (*Solenopsis invicta*), house fly (*Musca domestica*), stable fly (*Stomoxys calcitrans*), flesh fly (*Sarcophaga* sp.), yellowfever mosquito (*Aedes aegyptii*), house mosquito (*Culex quinquefasciatus*), malaria mosquito (*Anopheles albimanus*), German  
10 cockroach (*Blattella Germanica*), cat flea (*Ctenocephalides felis*), and brown dog tick (*Rhipicephalus sanguineus*) via glass contact

- Glass vials were treated with 0.5 ml of a solution of active ingredient in acetone and allowed to dry. Insects or ticks were placed into each vial together with some food and  
15 moisture supply. The vials were kept at 22 °C and were observed for treatment effects at various time intervals.

- In this test, e.g. compounds I.1 and I-5 at 10 ppm showed over 75 % mortality against yellowfever mosquito compared to 0% mortality of untreated controls.  
20

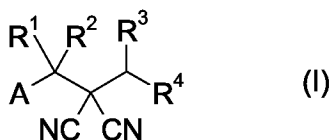
- B.8. Activity against yellowfever mosquito (*Aedes aegyptii*), house mosquito (*Culex quinquefasciatus*) and malaria mosquito (*Anopheles albimanus*) larvae via water treatment

- 25 Well plates were used as test arenas. The active ingredient was dissolved in acetone and diluted with water to obtain the concentrations needed. The final solutions containing appr. 1% acetone were placed into each well. Approximately 10 mosquito larvae (4<sup>th</sup>-instars) in 1 ml water were added to each well. Larvae were fed one drop of liver powder each day. The dishes were covered and maintained at 22°C. Mortality was  
30 recorded daily and dead larvae and live or dead pupae were removed daily. At the end of the test remaining live larvae were recorded and percent mortality was calculated.

- In this test, e.g. compound I.4 at 10 ppm showed over 75 % mortality against yellowfever mosquito compared to 0% mortality of untreated controls.  
35

## Claims:

1. Dicyanoalkane compounds of formula (I)



5

wherein

R<sup>1</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, or C<sub>3</sub>-C<sub>10</sub>-haloalkynyl;

10

R<sup>2</sup> is selected from hydrogen, cyano, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</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>10</sub>-alkoxy, or C<sub>1</sub>-C<sub>10</sub>-haloalkoxy;

15

R<sup>3</sup> and R<sup>4</sup> are each independently from another selected from hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>3</sub>-C<sub>10</sub>-cycloalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>4</sub>-C<sub>6</sub>-cycloalkenyl, C<sub>4</sub>-C<sub>6</sub>-halocycloalkenyl, with the proviso that if R<sup>3</sup> is hydrogen, R<sup>4</sup> is not hydrogen, vinyl or ethynyl, or wherein

20

R<sup>3</sup> and R<sup>4</sup> together can be C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>2</sub>-C<sub>10</sub>-haloalkylene, C<sub>4</sub>-C<sub>10</sub>-alkenylene or C<sub>4</sub>-C<sub>10</sub>-haloalkenylene;

A is phenyl, pyridyl or a saturated or partially unsaturated 6-membered cyclic radical which may contain one or two heteroatoms independently selected from oxygen, nitrogen and sulfur,

25

each of which phenyl, pyridyl or cyclic radical is fused with a second ring to form a fused ring system, said second ring being (a) a saturated, partially unsaturated or unsaturated 5-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 oxygen or (b) a saturated, partially unsaturated or unsaturated 6-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 to 2 oxygen,

30

and wherein said fused ring system is substituted with any combination of 1 to 7 radicals R<sup>a</sup>;

35

R<sup>a</sup> is hydrogen, halogen, hydroxyl, cyano, nitro, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>3</sub>-C<sub>10</sub>-cycloalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>1</sub>-C<sub>10</sub>-alkoxy, C<sub>1</sub>-C<sub>10</sub>-haloalkoxy, C<sub>2</sub>-C<sub>10</sub>-alkenyloxy, C<sub>2</sub>-C<sub>10</sub>-haloalkenyloxy, C<sub>2</sub>-C<sub>10</sub>-alkynyloxy, C<sub>3</sub>-C<sub>10</sub>-haloalkynyloxy, C<sub>1</sub>-C<sub>10</sub>-alkylthio, C<sub>1</sub>-C<sub>10</sub>-haloalkylthio,

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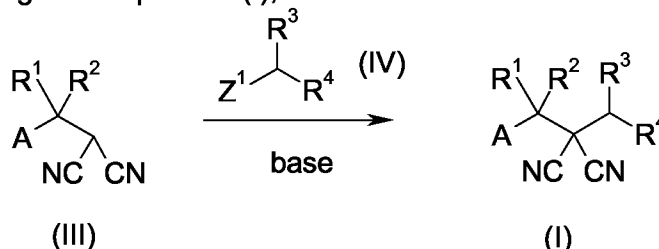
- 5 C<sub>1</sub>-C<sub>10</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl, NR<sup>5</sup>R<sup>6</sup>, C<sub>1</sub>-C<sub>10</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkoxycarbonyl, C<sub>2</sub>-C<sub>10</sub>-alkenyloxycarbonyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyloxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyloxy, R<sup>5</sup>R<sup>6</sup>N-C(=O)-, phenyl, benzyl, phenoxy and phenylthio, wherein phenyl, benzyl, phenoxy and phenylthio are unsubstituted or substituted with any combination of 1 to 5 groups R<sup>b</sup>;
- 10 R<sup>b</sup> is halogen, hydroxy, cyano, nitro, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>3</sub>-C<sub>10</sub>-cylcoalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>1</sub>-C<sub>10</sub>-alkoxy, C<sub>1</sub>-C<sub>10</sub>-haloalkoxy, C<sub>1</sub>-C<sub>10</sub>-alkylthio, C<sub>1</sub>-C<sub>10</sub>-haloalkylthio, C<sub>1</sub>-C<sub>10</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl,
- 15 NR<sup>5</sup>R<sup>6</sup>, C<sub>1</sub>-C<sub>10</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl or R<sup>5</sup>R<sup>6</sup>N-C(=O)-;
- 20 R<sup>5</sup>, R<sup>6</sup> are each independently hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl, benzyl, phenyl, phenylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl;
- or the enantiomers or diastereomers or salts thereof.
- 25 2. Dicyanoalkane compounds according to claim 1, wherein the fused ring system of the dicyanoalkane compound is selected from the group of naphthyl, quinolinyl, isoquinolinyl, 5-quinazolinyl, 6-quinazolinyl, 7-quinazolinyl, 8-quinazolinyl, benzooxadiazolyl and benzothiadiazolyl.
- 30 3. Dicyanoalkane compounds according to claim 1, wherein the groups R<sup>a</sup> of the fused ring system of the dicyanoalkane compound are independently selected from each other from hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, and C<sub>1</sub>-C<sub>6</sub>-haloalkyl.
- 35 4. Dicyanoalkane compounds according to claim 1, wherein the fused ring system of the dicyano alkane is substituted with any combination of 1 to 2 radicals R<sup>a</sup> selected other than from hydrogen.
- 40 5. Dicyanoalkane compounds according to claim 1, wherein R<sup>3</sup> and R<sup>4</sup> are dependently from another selected either one from hydrogen and the other or both from, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl,

C<sub>3</sub>-C<sub>10</sub>-cycloalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>4</sub>-C<sub>6</sub>-cycloalkenyl, C<sub>4</sub>-C<sub>6</sub>-halocycloalkenyl, or

R<sup>3</sup> and R<sup>4</sup> together can be C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>2</sub>-C<sub>10</sub>-haloalkylene, C<sub>4</sub>-C<sub>10</sub>-alkenylene or C<sub>4</sub>-C<sub>10</sub>-haloalkenylene.

5

6. Process for the preparation of compounds of formula (I) as defined in claims 1 to 5 which comprises reacting compounds (III) with compound (IV) in the presence of a base to give compounds (I),



10

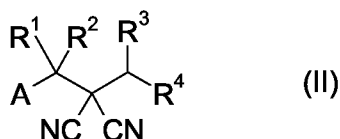
wherein A, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined in claims 1 to 5 for compounds of formula I and Z<sup>1</sup> represents a halogen atom, methanesulfonyl, trifluoromethanesulfonyl or toluenesulfonyl.

7. Compound of the formula (III) as set out in claim 6.

15

8. Method for the control of insects, acarids or nematodes by contacting the insect, acarid or nematode or their food supply, habitat, breeding ground or their locus with a pesticidally effective amount of dicyanoalkane compounds of formula (II) or compositions comprising thereof:

20



wherein

- 25 R<sup>1</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, or C<sub>3</sub>-C<sub>10</sub>-haloalkynyl;

- 30 R<sup>2</sup> is selected from hydrogen, cyano, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</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>10</sub>-alkoxy, or C<sub>1</sub>-C<sub>10</sub>-haloalkoxy;

- 35 R<sup>3</sup> and R<sup>4</sup> are each independently from another selected from hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>3</sub>-C<sub>10</sub>-cycloalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>4</sub>-C<sub>6</sub>-cycloalkenyl, C<sub>4</sub>-C<sub>6</sub>-halocycloalkenyl, or

R<sup>3</sup> and R<sup>4</sup> together can be C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>2</sub>-C<sub>10</sub>-haloalkylene, C<sub>4</sub>-C<sub>10</sub>-alkenylene or C<sub>4</sub>-C<sub>10</sub>-haloalkenylene;

5 A is phenyl, pyridyl or a saturated or partially unsaturated 6-membered cyclic radical which may contain one or two heteroatoms independently selected from oxygen, nitrogen and sulfur,  
each of which phenyl, pyridyl or cyclic radical is fused with a second ring to form a fused ring system, said second ring being (a) a saturated, partially unsaturated or unsaturated 5-membered ring which may contain 1 to 3  
10 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 oxygen or (b) a saturated, partially unsaturated or unsaturated 6-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 to 2 oxygen,  
and wherein said fused ring system is substituted with any combination of 1  
15 to 7 radicals R<sup>a</sup>;

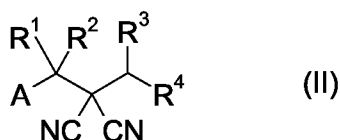
R<sup>a</sup> is hydrogen, halogen, hydroxyl, cyano, nitro, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>3</sub>-C<sub>10</sub>-cylcoalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>1</sub>-C<sub>10</sub>-alkoxy, C<sub>1</sub>-C<sub>10</sub>-haloalkoxy, C<sub>2</sub>-C<sub>10</sub>-alkenyloxy, C<sub>2</sub>-C<sub>10</sub>-haloalkenyloxy, C<sub>2</sub>-C<sub>10</sub>-alkynyloxy, C<sub>3</sub>-C<sub>10</sub>-haloalkynyloxy, C<sub>1</sub>-C<sub>10</sub>-alkylthio, C<sub>1</sub>-C<sub>10</sub>-haloalkylthio, C<sub>1</sub>-C<sub>10</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl, NR<sup>5</sup>R<sup>6</sup>, C<sub>1</sub>-C<sub>10</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkoxycarbonyl, C<sub>2</sub>-C<sub>10</sub>-alkenyloxycarbonyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyloxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyloxy, R<sup>5</sup>R<sup>6</sup>N-C(=O)-, phenyl, benzyl, phenoxy and phenylthio, wherein phenyl, benzyl, phenoxy and phenylthio are unsubstituted or substituted with any  
20 combination of 1 to 5 groups R<sup>b</sup>;

30 R<sup>b</sup> is halogen, hydroxy, cyano, nitro, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>3</sub>-C<sub>10</sub>-cylcoalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>1</sub>-C<sub>10</sub>-alkoxy, C<sub>1</sub>-C<sub>10</sub>-haloalkoxy, C<sub>1</sub>-C<sub>10</sub>-alkylthio, C<sub>1</sub>-C<sub>10</sub>-haloalkylthio, C<sub>1</sub>-C<sub>10</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl, NR<sup>5</sup>R<sup>6</sup>, C<sub>1</sub>-C<sub>10</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl or R<sup>5</sup>R<sup>6</sup>N-C(=O)-;

40 R<sup>5</sup>, R<sup>6</sup> are each independently hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl, benzyl, phenyl, phenylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl;

or the enantiomers or diastereomers or salts thereof.

9. Method of protecting growing plants from attack or infestation by insects, acarids  
5 or nematodes by applying to the foliage of the plants, or to the soil or water in which they are growing, a pesticidally effective amount of dicyanoalkan compounds of formula (II) or compositions comprising thereof:



10 wherein

R<sup>1</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, or C<sub>3</sub>-C<sub>10</sub>-haloalkynyl;

15 R<sup>2</sup> is selected from hydrogen, cyano, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</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>10</sub>-alkoxy, or C<sub>1</sub>-C<sub>10</sub>-haloalkoxy;

20 R<sup>3</sup> and R<sup>4</sup> are each independently from another selected from hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>3</sub>-C<sub>10</sub>-cycloalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>4</sub>-C<sub>6</sub>-cycloalkenyl, C<sub>4</sub>-C<sub>6</sub>-halocycloalkenyl, or

R<sup>3</sup> and R<sup>4</sup> together can be C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>2</sub>-C<sub>10</sub>-haloalkylene, C<sub>4</sub>-C<sub>10</sub>-alkenylene or C<sub>4</sub>-C<sub>10</sub>-haloalkenylene;

25

A is phenyl, pyridyl or a saturated or partially unsaturated 6-membered cyclic radical which may contain one or two heteroatoms independently selected from oxygen, nitrogen and sulfur,

30 each of which phenyl, pyridyl or cyclic radical is fused with a second ring to form a fused ring system, said second ring being (a) a saturated, partially unsaturated or unsaturated 5-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 oxygen or (b) a saturated, partially unsaturated or unsaturated 6-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 to 2 oxygen,

35

and wherein said fused ring system is substituted with any combination of 1 to 7 groups R<sup>a</sup>;

40

R<sup>a</sup> is hydrogen, halogen, hydroxyl, cyano, nitro, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>3</sub>-C<sub>10</sub>-cylcoalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl,



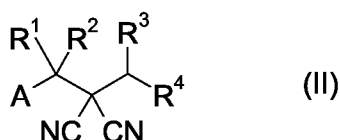
5 C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>1</sub>-C<sub>10</sub>-alkoxy, C<sub>1</sub>-C<sub>10</sub>-haloalkoxy, C<sub>2</sub>-C<sub>10</sub>-alkenyloxy, C<sub>2</sub>-C<sub>10</sub>-haloalkenyloxy, C<sub>2</sub>-C<sub>10</sub>-alkynyloxy, C<sub>3</sub>-C<sub>10</sub>-haloalkynyloxy, C<sub>1</sub>-C<sub>10</sub>-alkylthio, C<sub>1</sub>-C<sub>10</sub>-haloalkylthio, C<sub>1</sub>-C<sub>10</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl, NR<sup>5</sup>R<sup>6</sup>, C<sub>1</sub>-C<sub>10</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkoxycarbonyl, C<sub>2</sub>-C<sub>10</sub>-alkenyloxy carbonyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyloxy carbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyloxy, R<sup>5</sup>R<sup>6</sup>N-C(=O)-, phenyl, benzyl, phenoxy and phenylthio, wherein phenyl, benzyl, phenoxy and phenylthio are unsubstituted or substituted with any combination of 1 to 5 radicals R<sup>b</sup>;

15 R<sup>b</sup> is halogen, hydroxy, cyano, nitro, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>3</sub>-C<sub>10</sub>-cycloalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>1</sub>-C<sub>10</sub>-alkoxy, C<sub>1</sub>-C<sub>10</sub>-haloalkoxy, C<sub>1</sub>-C<sub>10</sub>-alkylthio, C<sub>1</sub>-C<sub>10</sub>-haloalkylthio, C<sub>1</sub>-C<sub>10</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl, NR<sup>5</sup>R<sup>6</sup>, C<sub>1</sub>-C<sub>10</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl or R<sup>5</sup>R<sup>6</sup>N-C(=O)-;

20 R<sup>5</sup>, R<sup>6</sup> are each independently hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl, benzyl, phenyl, phenylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl;

25 or the enantiomers or diastereomers or salts thereof.

10. Method for treating, controlling, preventing or protecting animals against infestation or infection by parasites which comprises orally, topically or parenterally administering or applying to the animals a parasitically effective amount of dicyanoalkane compounds of formula (II) or compositions comprising thereof:



35 wherein

R<sup>1</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, or C<sub>3</sub>-C<sub>10</sub>-haloalkynyl;

40

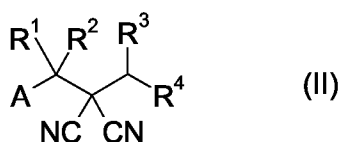
- R<sup>2</sup> is selected from hydrogen, cyano, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>3</sub>-C<sub>6</sub>-halocycloalkyl, C<sub>1</sub>-C<sub>10</sub>-alkoxy, or C<sub>1</sub>-C<sub>10</sub>-haloalkoxy;
- 5 R<sup>3</sup> and R<sup>4</sup> are each independently from another selected from hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>3</sub>-C<sub>10</sub>-cycloalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>4</sub>-C<sub>6</sub>-cycloalkenyl, C<sub>4</sub>-C<sub>6</sub>-halocycloalkenyl, or
- 10 R<sup>3</sup> and R<sup>4</sup> together can be C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>2</sub>-C<sub>10</sub>-haloalkylene, C<sub>4</sub>-C<sub>10</sub>-alkenylene or C<sub>4</sub>-C<sub>10</sub>-haloalkenylene;
- A is phenyl, pyridyl or a saturated or partially unsaturated 6-membered cyclic radical which may contain one or two heteroatoms independently selected from oxygen, nitrogen and sulfur,
- 15 each of which phenyl, pyridyl or cyclic radical is fused with a second ring to form a fused ring system, said second ring being (a) a saturated, partially unsaturated or unsaturated 5-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 oxygen or (b) a saturated, partially unsaturated or unsaturated 6-membered
- 20 ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 to 2 oxygen, and wherein said fused ring system is substituted with any combination of 1 to 7 radicals R<sup>a</sup>;
- 25 R<sup>a</sup> is hydrogen, halogen, hydroxyl, cyano, nitro, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>3</sub>-C<sub>10</sub>-cylcoalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>1</sub>-C<sub>10</sub>-alkoxy, C<sub>1</sub>-C<sub>10</sub>-haloalkoxy, C<sub>2</sub>-C<sub>10</sub>-alkenyloxy, C<sub>2</sub>-C<sub>10</sub>-haloalkenyloxy, C<sub>2</sub>-C<sub>10</sub>-alkynyloxy, C<sub>3</sub>-C<sub>10</sub>-haloalkynyloxy, C<sub>1</sub>-C<sub>10</sub>-alkylthio, C<sub>1</sub>-C<sub>10</sub>-haloalkylthio,
- 30 C<sub>1</sub>-C<sub>10</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl, NR<sup>5</sup>R<sup>6</sup>, C<sub>1</sub>-C<sub>10</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkoxycarbonyl, C<sub>2</sub>-C<sub>10</sub>-alkenyloxycarbonyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyloxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyloxy, R<sup>5</sup>R<sup>6</sup>N-C(=O)-, phenyl, benzyl, phenoxy and phenylthio, wherein phenyl, benzyl, phenoxy and phenylthio are unsubstituted or substituted with any combination of 1 to 5 groups R<sup>b</sup>;
- 35
- 40 R<sup>b</sup> is halogen, hydroxy, cyano, nitro, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>3</sub>-C<sub>10</sub>-cylcoalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>1</sub>-C<sub>10</sub>-alkoxy, C<sub>1</sub>-C<sub>10</sub>-haloalkoxy, C<sub>1</sub>-C<sub>10</sub>-alkylthio, C<sub>1</sub>-C<sub>10</sub>-haloalkylthio, C<sub>1</sub>-C<sub>10</sub>-alkylsulfinyl,

C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl, NR<sup>5</sup>R<sup>6</sup>, C<sub>1</sub>-C<sub>10</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl or R<sup>5</sup>R<sup>6</sup>N-C(=O)-;

5 R<sup>5</sup>, R<sup>6</sup> are each independently hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl, benzyl, phenyl, phenylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl;

10 or the enantiomers or diastereomers or salts thereof.

11. Method for the protection of seeds from animal pests and of the seedlings' roots and shoots from animal pests comprising contacting the seeds before sowing and/or after pregermination with a pesticidally effective amount of a  
15 Dicyanoalkane compound of the formula (II) or composition comprising thereof:



wherein

20

R<sup>1</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, or C<sub>3</sub>-C<sub>10</sub>-haloalkynyl;

25

R<sup>2</sup> is selected from hydrogen, cyano, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</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>10</sub>-alkoxy, or C<sub>1</sub>-C<sub>10</sub>-haloalkoxy;

30

R<sup>3</sup> and R<sup>4</sup> are each independently from another selected from hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>3</sub>-C<sub>10</sub>-cycloalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>4</sub>-C<sub>6</sub>-cycloalkenyl, C<sub>4</sub>-C<sub>6</sub>-halocycloalkenyl, or

R<sup>3</sup> and R<sup>4</sup> together can be C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>2</sub>-C<sub>10</sub>-haloalkylene, C<sub>4</sub>-C<sub>10</sub>-alkenylene or C<sub>4</sub>-C<sub>10</sub>-haloalkenylene;

35

A is phenyl, pyridyl or a saturated or partially unsaturated 6-membered cyclic radical which may contain one or two heteroatoms independently selected from oxygen, nitrogen and sulfur, each of which phenyl, pyridyl or cyclic radical is fused with a second ring to form a fused ring system, said second ring being (a) a saturated, partially  
40 unsaturated or unsaturated 5-membered ring which may contain 1 to 3

heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 oxygen or (b) a saturated, partially unsaturated or unsaturated 6-membered ring which may contain 1 to 3 heteroatoms independently selected from 1 to 3 nitrogen, 1 to 3 sulfur and 1 to 2 oxygen,

5 and wherein said fused ring system is substituted with any combination of 1 to 7 radicals R<sup>a</sup>;

R<sup>a</sup> is hydrogen, halogen, hydroxyl, cyano, nitro, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>3</sub>-C<sub>10</sub>-cycloalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>1</sub>-C<sub>10</sub>-alkoxy, C<sub>1</sub>-C<sub>10</sub>-haloalkoxy, C<sub>2</sub>-C<sub>10</sub>-alkenyloxy, C<sub>2</sub>-C<sub>10</sub>-haloalkenyloxy, C<sub>2</sub>-C<sub>10</sub>-alkynyloxy, C<sub>3</sub>-C<sub>10</sub>-haloalkynyloxy, C<sub>1</sub>-C<sub>10</sub>-alkylthio, C<sub>1</sub>-C<sub>10</sub>-haloalkylthio, C<sub>1</sub>-C<sub>10</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl, NR<sup>5</sup>R<sup>6</sup>, C<sub>1</sub>-C<sub>10</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkoxycarbonyl, C<sub>2</sub>-C<sub>10</sub>-alkenyloxycarbonyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyloxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyloxy, R<sup>5</sup>R<sup>6</sup>N-C(=O)-, phenyl, benzyl, phenoxy and phenylthio, wherein phenyl, benzyl, phenoxy and phenylthio are unsubstituted or substituted with any combination of 1 to 5 groups R<sup>b</sup>;

R<sup>b</sup> is halogen, hydroxy, cyano, nitro, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>3</sub>-C<sub>10</sub>-cycloalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>1</sub>-C<sub>10</sub>-alkoxy, C<sub>1</sub>-C<sub>10</sub>-haloalkoxy, C<sub>1</sub>-C<sub>10</sub>-alkylthio, C<sub>1</sub>-C<sub>10</sub>-haloalkylthio, C<sub>1</sub>-C<sub>10</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl, NR<sup>5</sup>R<sup>6</sup>, C<sub>1</sub>-C<sub>10</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkoxycarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl or R<sup>5</sup>R<sup>6</sup>N-C(=O)-;

R<sup>5</sup>, R<sup>6</sup> are each independently hydrogen, C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>1</sub>-C<sub>10</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylcarbonyl, benzyl, phenyl, phenylcarbonyl, C<sub>1</sub>-C<sub>10</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>10</sub>-haloalkylsulfonyl;

35 or the enantiomers or diastereomers or salts thereof.

12. Method according to claim 8, 9, 10 or 11, wherein the fused ring system of the dicyanoalkane compound is selected from the group of naphthyl, quinolinyl, isoquinolinyl, 5-quinazolinyl, 6-quinazolinyl, 7-quinazolinyl, 8-quinazolinyl, benzooxadiazolyl and benzothiadiazolyl.

13. Method according claim 8, 9, 10 or 11, wherein the groups R<sup>a</sup> of the fused ring system of the dicyanoalkane compound are independently selected from each other from hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, and C<sub>1</sub>-C<sub>6</sub>-haloalkyl.
- 5 14. Method according claim 8, 9, 10 or 11, wherein the fused ring system of the dicyanoalkane is substituted with any combination of 1 to 2 radicals R<sup>a</sup> selected other than from hydrogen.
- 10 15. Method according claim 8, 9, 10 or 11 wherein R<sup>3</sup> and R<sup>4</sup> are dependently from another selected either one from hydrogen and the other or both from C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-haloalkyl, C<sub>2</sub>-C<sub>10</sub>-haloalkenyl, C<sub>3</sub>-C<sub>10</sub>-haloalkynyl, C<sub>3</sub>-C<sub>10</sub>-cycloalkyl, C<sub>3</sub>-C<sub>10</sub>-halocycloalkyl, C<sub>4</sub>-C<sub>6</sub>-cycloalkenyl, C<sub>4</sub>-C<sub>6</sub>-halocycloalkenyl, or R<sup>3</sup> and R<sup>4</sup> together can be C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>2</sub>-C<sub>10</sub>-haloalkylene, C<sub>4</sub>-C<sub>10</sub>-alkenylene or C<sub>4</sub>-C<sub>10</sub>-haloalkenylene;
- 15 16. Use of compounds of formula I as defined in any of claims 8 to 15 for combating insects, acarids, or nematodes.
- 20 17. A process for the preparation of a composition for treating, controlling, preventing or protecting animals against infestation or infection by parasites which comprises a parasitically effective amount of compositions or compounds of formula (II) as defined in claims 8 to 15 or their enantiomers or veterinarily acceptable salts.
- 25 18. Compositions comprising a pesticidally or parasitically active amount of compounds of formula I as defined in claims 1 to 5 and an agronomically or veterinarily acceptable carrier.
- 30 19. The method according claim 11, wherein the Dicyanoalkane compound of formula (II) is applied in an amount of from 0,1 g to 10 kg per 100 kg of seeds.
20. A method according to claim 11 or 19, wherein of the resulting plant's roots and shoots are protected.
- 35 21. A method according to claim 11 or 19, wherein the resulting plant's shoots are protected from aphids.
- 40 22. Seed comprising a Dicyanoalkane compound of the formula (I) according to any of claims 1 to 5 in an amount of from 0.1 g to 10 kg per 100 kg of seed.

23. The use of the Dicyanoalkane compound of formula (II) according to any of claims 8 to 11, for the protection of seeds from soil pests and the protection of the resulting plant's roots and shoots from soil pests or foliar pests.

**INTERNATIONAL SEARCH REPORT**

International application No  
PCT/EP2006/064902

<b>A. CLASSIFICATION OF SUBJECT MATTER</b>					
INV.	C07D271/12	C07D215/12	C07D285/14	A01N43/82	A01N43/76
	A01N43/78	C07D263/56	C07D333/52	A01P7/04	A01P7/02
	A01P5/00				
According to International Patent Classification (IPC) or to both national classification and IPC					
<b>B. FIELDS SEARCHED</b>					
Minimum documentation searched (classification system followed by classification symbols) 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 practical, search terms used) EPO-Internal, CHEM ABS Data, BEILSTEIN Data, WPI Data, PAJ					
<b>C. DOCUMENTS CONSIDERED TO BE RELEVANT</b>					
Category*	Citation of document, with indication, where appropriate, of the relevant passages				Relevant to claim No.
Y	WO 02/089579 A1 (SUMITOMO CHEMICAL CO., LTD., JAPAN) 14 November 2002 (2002-11-14) cited in the application				1-6
X	table 1, compound no.37 intermediate 24 on p.84;claims 1-10				7-23
Y	WO 2004/006677 A (SUMITOMO CHEMICAL COMPANY, LIMITED; OTAKA, KEN; OOHIRA, DAISUKE; TAKAO) 22 January 2004 (2004-01-22) cited in the application claims 1-4				1-23
Y	EP 1 555 259 A (SUMITOMO CHEMICAL COMPANY, LIMITED, JAPAN) 20 July 2005 (2005-07-20) cited in the application the whole document				1-23
	----- -/--				
<input checked="" type="checkbox"/> Further documents are listed in the continuation of Box C. <input checked="" type="checkbox"/> See patent family annex.					
* Special categories of cited documents :					
*A* document defining the general state of the art which is not considered to be of particular relevance *E* earlier document but published on or after the international filing date *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) *O* document referring to an oral disclosure, use, exhibition or other means *P* document published prior to the international filing date but later than the priority date claimed			*T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art. *&* document member of the same patent family		
Date of the actual completion of the international search			Date of mailing of the international search report		
16 October 2006			25/10/2006		
Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016			Authorized officer  Schuemacher, Anne		

## INTERNATIONAL SEARCH REPORT

International application No  
PCT/EP2006/064902

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 2005/068432 A (SUMITOMO CHEMICAL COMPANY, LIMITED; MITSUDERA, HIROMASA) 28 July 2005 (2005-07-28) cited in the application claims 16-18; examples 28,29	1-23
A	----- DATABASE BEILSTEIN [Online] 22 April 2005 (2005-04-22), XP002362618 Database accession no. BRN: 9867681 cited in the application the whole document -& WU, MING-SI ET AL: "Cobalt-catalyzed cyclotrimerization of diynes with norbornenes in one efficient step" TETRAHEDRON, 60(44), 10005-10009 CODEN: TETRAB; ISSN: 0040-4020, 2004, XP004580203 table 1, entry 11: side-product 5-(2,2-dicyano-pent-4-ynyl)-indan-2,2-dicarbonitrile	1-5
A	----- YOKOYAMA, MASAHIRO ET AL: "Realization of the synthesis of .alpha.,.alpha.-disubstituted carbamylacetates and cyanoacetates by either enzymatic or chemical functional group transformation, depending upon the substrate specificity of Rhodococcus amidase" TETRAHEDRON: ASYMMETRY, 15(18), 2817-2820 CODEN: TASYE3; ISSN: 0957-4166, 2004, XP004575055 cited in the application compound 1i in scheme 2, p.2819	1-5
A	----- SHIM, JAE-GOO ET AL: "Catalytic and highly regiospecific carbon-carbon bond formation at .alpha.-position of Michael acceptor by palladium complex" CHEMICAL COMMUNICATIONS (CAMBRIDGE, UNITED KINGDOM), (8), 852-853 CODEN: CHCOFS; ISSN: 1359-7345, 2002, XP002362588 cited in the application table 1, compound 7e	1-5
A	----- JP 06 256278 A (NISSAN CHEMICAL IND LTD, JAPAN) 13 September 1994 (1994-09-13) cited in the application compound 1b, page (5)	1-5
	----- -/--	



## INTERNATIONAL SEARCH REPORT

International application No

PCT/EP2006/064902

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	<p>NAKAMURA, HIROYUKI ET AL:            "Palladium-Catalyzed Alkoxyallylation of Activated Olefins"            JOURNAL OF THE AMERICAN CHEMICAL SOCIETY ,            120(27), 6838-6839 CODEN: JACSAT; ISSN:            0002-7863, 1998, XP002362589            cited in the application            table 1, product 3e</p>	1-5
A	<p>DOMINGO, L. R. ET AL: "A theoretical study of the selectivity for the domino [5+2]/[4+2] cycloadditions of .gamma.-pyrones bearing tethered alkenes with substituted 1,3-butadienes"            TETRAHEDRON , 57(26), 5597-5606 CODEN: TETRAB; ISSN: 0040-4020, 2001, XP004247097            cited in the application            scheme 6, compounds 14 and 15</p>	1-5
A	<p>WESTFAHL, J. C. ET AL: "Vinylidene cyanide. VI. The aluminum chloride catalyzed reaction with tert-alkanes"            JOURNAL OF THE AMERICAN CHEMICAL SOCIETY ,            77, 936-9 CODEN: JACSAT; ISSN: 0002-7863,            1955, XP002362590            cited in the application            2-Naphthylmethyl-(methyl)-malonitrile (XVII), p.939</p>	1-5
Y	<p>DATABASE WPI            Section Ch, Week 200557            Derwent Publications Ltd., London, GB;            Class C02, AN 2005-563927            XP002399563            -&amp; WO 2005/068423 A1 (SUMITOMO CHEM CO LTD) 28 July 2005 (2005-07-28)            abstract; examples 39-41</p>	1-23
Y	<p>DATABASE WPI Week 200428            Derwent Publications Ltd., London, GB; AN            2004-299646            XP002403056            -&amp; JP 2004 099597 A (SUMITOMO CHEM CO LTD)            2 April 2004 (2004-04-02)            cited in the application            intermediates 3 [0123], 10 [0130] and 11 [0131]            abstract; tables 1-5; compounds 1-4, 1-34, 1-35, 1-67 TO 1-77, 2-5, 2-6</p>	1-23

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/EP2006/064902

## Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

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

1.  Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
  
Although claims 8, 10 and 16 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2.  Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3.  Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

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

1.  As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2.  As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3.  As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4.  No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

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

## INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2006/064902

Patent document cited in search report	Publication date	Patent family member(s)	Publication date	
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			EP 1521528 A1	13-04-2005
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			AU 2005205311 A1	28-07-2005
			CA 2547057 A1	28-07-2005
			EP 1704143 A1	27-09-2006
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			CA 2547696 A1	28-07-2005
			EP 1710234 A1	11-10-2006
JP 2004099597	A	02-04-2004	NONE	