

**(12) PATENT**  
**(19) AUSTRALIAN PATENT OFFICE**

**(11) Application No. AU 199664166 B2**  
**(10) Patent No. 704176**

(54) Title  
Substituted 1,3-dioxan-5-ylamino heterocyclic compounds, methods for preparing them and their use as pesticides

(51)<sup>6</sup> International Patent Classification(s)  
C07D 405/12 C07D 409/12  
C07D 405/14 C07D 409/14

(21) Application No: 199664166 (22) Application Date: 1996 .06 .26

(87) WIPO No: W097/02264

(30) Priority Data

(31) Number	(32) Date	(33) Country
19523906	1995 .06 .30	DE

(43) Publication Date : 1997 .02 .05  
(43) Publication Journal Date : 1997 .03 .27  
(44) Accepted Journal Date : 1999 .04 .15

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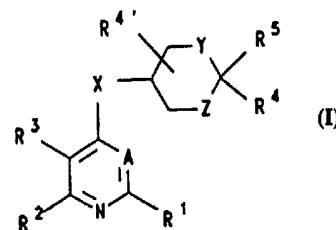
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OPI DATE 05/02/97 APPLN. ID 64166/96  
AOJP DATE 27/03/97 PCT NUMBER PCT/EP96/02783



AU9664166

(51) Internationale Patentklassifikation <sup>6</sup> : <b>C07D 405/12, 409/12, 409/14, 405/14</b>		A1	(11) Internationale Veröffentlichungsnummer: <b>WO 97/02264</b>
			(43) Internationales Veröffentlichungsdatum: 23. Januar 1997 (23.01.97)
(21) Internationales Aktenzeichen: PCT/EP96/02783		(81) Bestimmungsstaaten: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, ARIPO Patent (KE, LS, MW, SD, SZ, UG), europäisches Patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI Patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).	
(22) Internationales Anmeldedatum: 26. Juni 1996 (26.06.96)			
(30) Prioritätsdaten: 195 23 906.7 30. Juni 1995 (30.06.95) DE			
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Veröffentlicht <i>Mit internationalem Recherchenbericht. Mit geänderten Ansprüchen.</i>			
(54) Title: SUBSTITUTED 1,3-DIOXAN-5-YLAMINO HETEROCYCLIC COMPOUNDS, METHODS FOR PREPARING THEM AND THEIR USE AS PESTICIDES			
(54) Bezeichnung: SUBSTITUIERTE 1,3-DIOXAN-5-YLAMINO-HETEROCYCLLEN, VERFAHREN ZU IHRER HERSTELLUNG UND IHRE VERWENDUNG ALS SCHÄDLINGSBEKÄMPFUNGSMITTEL			
(57) Abstract			
<p>The invention pertains to new substituted 1,3-dioxan-5-ylamino heterocyclic compounds of formula (I), wherein A is CH or N; X is NH, O or S(O)<sub>q</sub>, with q = 0-2; Y is O or S(O)<sub>m</sub>, with m = 0-2, and R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, and R<sup>5</sup> are as indicated in the description. The invention also pertains to methods for preparing said compounds and to their use as pesticides and fungicides.</p>			
(57) Zusammenfassung			
<p>Die Erfindung betrifft neue substituierte 1,3-Dioxan-5-ylamino-Heterocyclen der Formel (I), in der A CH oder N bedeutet; X NH, O oder S(O)<sub>q</sub> mit q = 0-2 bedeutet; Y O oder S(O)<sub>m</sub> mit m = 0-2 bedeutet und R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup> und R<sup>5</sup> die in der Beschreibung angegebenen Bedeutungen haben, Verfahren zu ihrer Herstellung und ihre Verwendung als Schädlingsbekämpfungsmittel und Fungizide.</p>			

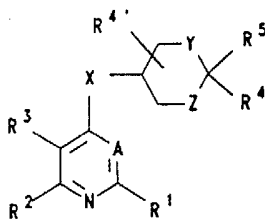


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Abstract

Substituted 1,3-dioxan-5-ylamino-heterocyclic compounds, processes for their preparation and their use as pest control compositions

The invention relates to novel substituted 1,3-dioxan-5-ylamino-heterocyclic compounds of the formula found.



in which A is CH or N; X is NH, O or S(O)<sub>q</sub>, where q = 0-2; Y is O or S(O)<sub>m</sub>, where m = 0-2, and R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup> and R<sup>5</sup> have the meanings given in the description, processes for their preparation and their use as pest control compositions and fungicides.

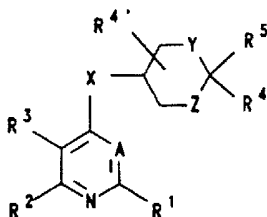


Substituted 1,3-dioxan-5-ylamino-heterocyclic compounds, processes for their preparation and their use as pest control compositions

The invention relates to novel substituted 1,3-dioxan-5-ylamino-heterocyclic compounds, processes for their preparation and their use as pest control compositions and fungicides.

It is already known that certain cycloamino- and -alkoxy-heterocyclic compounds show a fungicidal, acaricidal and insecticidal action (DE-A-42 08 254). However, the biological action of these compounds is not satisfactory in all examples of use, especially when low amounts are applied and at low concentrations.

Novel 1,3-dioxan-5-ylamino-heterocyclic compounds have been found of the formula I



(1)

in which the radicals and groups are as defined below, which have a very good plant tolerance and favourable toxicity with respect to warm-blooded animals and are particularly suitable for control of animal pests, such as insects, arachnids, nematodes, helminths and mollusks, for control of endo- and ectoparasites in the veterinary medicine field and for control of harmful fungi.

The invention therefore relates to compounds of the formula I in which

<sup>25</sup> R<sup>1</sup> is hydrogen, halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl or (C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl;



R<sup>2</sup> and R<sup>3</sup> are identical or different and are each hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, (C<sub>2</sub>-C<sub>4</sub>)-alkenyl, (C<sub>2</sub>-C<sub>4</sub>)-haloalkenyl, (C<sub>2</sub>-C<sub>4</sub>)-alkynyl, (C<sub>2</sub>-C<sub>4</sub>)-haloalkynyl, (C<sub>1</sub>-C<sub>8</sub>)-trialkylsilylalkynyl, preferably dimethyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-silyl-alkynyl, phenyl-(C<sub>1</sub>-C<sub>8</sub>)-dialkyl-silyl-alkynyl, preferably phenyl-dimethyl-silyl-alkynyl, aryl-(C<sub>1</sub>-C<sub>2</sub>)-alkyl-(C<sub>1</sub>-C<sub>8</sub>)-dialkyl-silyl-alkynyl, preferably benzyl-dimethyl-silyl-alkynyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-dialkyl-silyl-alkynyl, preferably (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl-dimethyl-silyl-alkynyl, (1-methyl-sila-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl-1-yl)-alkynyl, preferably (1-methyl-silacyclopent-1-yl)-alkynyl or (1-methyl-sila-cyclohex-1-yl)-alkynyl, triphenylsilylalkynyl, (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>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkoxy-(C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, halogen, hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-hydroxyalkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkanoyl, (C<sub>1</sub>-C<sub>4</sub>)-alkanoyl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkanoyl, (C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>5</sub>)-halocycloalkyl, cyano, (C<sub>1</sub>-C<sub>4</sub>)-cyanoalkyl, nitro, (C<sub>1</sub>-C<sub>4</sub>)-nitroalkyl, thiocyano, (C<sub>1</sub>-C<sub>4</sub>)-thiocyanoalkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkylthio, (C<sub>1</sub>-C<sub>4</sub>)-alkylthio-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkylthio, (C<sub>1</sub>-C<sub>4</sub>)-alkylsulfinyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkylsulfinyl, (C<sub>1</sub>-C<sub>4</sub>)-alkylsulfonyl or (C<sub>1</sub>-C<sub>4</sub>)-haloalkylsulfonyl; or

R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they are bonded, form an unsaturated 5- or 6-membered isocyclic ring which, if it is a 5-membered ring, can contain one oxygen or sulfur atom instead of CH<sub>2</sub> or which, if it is a 6-membered ring, can contain one or two nitrogen atoms instead of one or two CH units, and which is optionally substituted by 1, 2 or 3 identical or different radicals, and these radicals are (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, preferably trifluoromethyl, halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy or (C<sub>1</sub>-C<sub>4</sub>)-haloalkoxy; or



R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they are bonded, form a saturated 5-, 6- or 7-membered isocyclic ring which can contain oxygen and/or sulfur instead of one or two CH<sub>2</sub> groups, and which is optionally substituted by 1, 2 or 3 (C<sub>1</sub>-C<sub>4</sub>)-alkyl groups;

A is CH or N;

X is NH, oxygen or S(O)<sub>q</sub>, where q = 0, 1 or 2;

Y and Z are oxygen or a group S(O)<sub>m</sub>,

10 where m = 0, 1 or 2;

R<sup>4</sup>, R<sup>4'</sup> and R<sup>5</sup> are substituents of the heteroaliphatic ring system;

R<sup>4</sup> and R<sup>4'</sup> are hydrogen, halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy or (C<sub>1</sub>-C<sub>4</sub>)-alkylthio;

15 R<sup>5</sup> is alkyl, alkenyl, alkynyl, aryl or heterocyclyl, where the aryl or heterocyclyl radicals mentioned can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different radicals, and in the alkyl, alkenyl or alkynyl radicals mentioned, one or more, preferably up to three, non-adjacent saturated carbon units can be replaced by a carbonyl group or by heteroatom units, such as oxygen, S(O)<sub>x</sub>, where x = 0, 1 or 2, NR<sup>6</sup> or SiR<sup>7</sup>R<sup>8</sup>, in which R<sup>6</sup> is hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy or (C<sub>1</sub>-C<sub>4</sub>)-alkanoyl and R<sup>7</sup> and R<sup>8</sup> are (C<sub>1</sub>-C<sub>4</sub>)-alkyl, preferably methyl;

20 and wherein, furthermore, 3 to 12 atoms of these hydrocarbon radicals optionally modified as above can form a ring, and these hydrocarbon radicals, with or without the variations mentioned, can optionally be substituted by one or more, preferably up to three, in the case of fluorine up to the maximum number of, identical or different radicals from the series consisting of halogen, aryl, aryloxy, arylthio, cycloalkoxy, cycloalkylthio, heterocyclyl, heterocycliloxy, heterocyclylthio, alkanoyl, cycloalkanoyl, haloalkanoyl, aroyl, arylalkanoyl, cycloalkylalkanoyl, heterocyclylalkanoyl, alkoxycarbonyl,



haloalkoxycarbonyl, cycloalkoxycarbonyl, cycloalkyl-  
alkoxycarbonyl, arylalkoxycarbonyl, heterocyclyl-  
alkoxycarbonyl, aryloxy carbonyl, heterocyclyloxy-  
carbonyl, alkanoyloxy, haloalkanoyloxy, cyclo-  
5 alkanoyloxy, cycloalkylalkanoyloxy, aroyloxy, aryl-  
alkanoyloxy, heterocycloylalkanoyloxy, alkylsul-  
fonyloxy, arylsulfonyloxy, hydroxyl, cyano,  
thiocyano and nitro, where the cycloaliphatic,  
aromatic or heterocyclic ring systems among the  
10 substituents just mentioned can be unsubstituted or  
provided with up to three, in the case of fluorine  
also up to the maximum number of, identical or  
different substituents, or  
R<sup>4</sup> and R<sup>5</sup> together form a three- to eight-membered  
15 ring system which is linked spirocyclically to the  
ring system containing the heteroatoms Y and Z and  
in which one or two CH<sub>2</sub> groups, preferably one CH<sub>2</sub>  
group, can be replaced by heteroatom units, such as  
oxygen, S(O)<sub>n</sub>, where n = 0, 1 or 2, or NR<sup>9</sup>, in which  
20 R<sup>9</sup> is hydrogen, alkyl, alkoxy, alkanoyl, benzoyl,  
aryl or heteroaryl, where the benzoyl, aryl or  
heteroaryl radicals can be unsubstituted or provided  
with up to three, in the case of fluorine also up to  
the maximum number of, identical or different sub-  
25 stituents, and the ring system formed from R<sup>4</sup> and R<sup>5</sup>  
can be unsubstituted or provided with up to three,  
but preferably one, substituent(s), and these  
substituents are identical or different and are in  
each case alkyl, haloalkyl, alkoxy, alkylthio, aryl,  
30 aryloxy, arylthio, arylalkyl, arylalkoxy, arylalkyl-  
thio, cycloalkyl, cycloalkoxy, cycloalkylthio,  
heterocyclyl, heterocyclyloxy, heterocyclylthio,  
trialkylsilyl or alkoxy carbonyl, where the cyclo-  
aliphatic, aromatic or heterocyclic ring systems  
35 among the substituents just mentioned can be  
unsubstituted or provided with up to three, in the  
case of fluorine also up to the maximum number of,  
identical or different substituents,  
or the ring system formed from R<sup>4</sup> and R<sup>5</sup>, together



with a further benzene ring or cyclohexane ring,  
forms a fused ring system, preferably the indane,  
1,2,3,4-tetrahydronaphthalene, dekalin or benzo-  
cycloheptane system, and the benzene ring in these  
5 fused systems can be unsubstituted or provided with  
up to three, in the case of fluorine also up to the  
maximum number of, identical or different  
substituents,  
and salts thereof, preferably acid addition salts;

10 in particular those compounds for which  
R<sup>5</sup> is (C<sub>1</sub>-C<sub>20</sub>)-alkyl, (C<sub>2</sub>-C<sub>20</sub>)-alkenyl, (C<sub>2</sub>-C<sub>20</sub>)-alkynyl,  
aryl or heterocyclyl, where the aryl or heterocyclyl  
radicals mentioned can be unsubstituted or provided  
with up to three, in the case of fluorine also up to  
15 the maximum number of, identical or different rad-  
icals, and in the alkyl, alkenyl or alkynyl radicals  
mentioned, one or more, preferably up to three, non-  
adjacent saturated carbon units can be replaced by  
a carbonyl group or by heteroatom units, such as  
20 oxygen, S(O)<sub>x</sub>, where x = 0, 1 or 2, NR<sup>6</sup> or SiR<sup>7</sup>R<sup>8</sup>, in  
which R<sup>6</sup> is hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy or  
(C<sub>1</sub>-C<sub>4</sub>)-alkanoyl and R<sup>7</sup> and R<sup>8</sup> are (C<sub>1</sub>-C<sub>4</sub>)-alkyl,  
preferably methyl,  
and wherein, furthermore, 3 to 12 atoms of these  
25 hydrocarbon radicals optionally modified as above  
can form a ring, and these hydrocarbon radicals,  
with or without the variations mentioned, can  
optionally be substituted by one or more, preferably  
up to three, in the case of halogen up to the maxi-  
30 mum number of, identical or different radicals from  
the series consisting of halogen, aryl, aryloxy,  
arylthio, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkoxy, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl-  
thio, heterocyclyl, heterocyclyloxy, heterocyclyl-  
thio, (C<sub>1</sub>-C<sub>12</sub>)-alkanoyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkanoyl,  
35 (C<sub>2</sub>-C<sub>12</sub>)-haloalkanoyl, aroyl, aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyl,  
(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyl, heterocyclyl-  
(C<sub>1</sub>-C<sub>4</sub>)-alkanoyl, (C<sub>1</sub>-C<sub>12</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>12</sub>)-  
haloalkoxycarbonyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkoxycarbonyl,





(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, heterocyclyl-(C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, aryloxy, heterocyclyloxy, (C<sub>1</sub>-C<sub>12</sub>)-alkanoyloxy, (C<sub>2</sub>-C<sub>12</sub>)-haloalkanoylalkoxy, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkanoyloxy, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyloxy, aryloxy, aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyloxy, heterocyclyl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyloxy, (C<sub>1</sub>-C<sub>12</sub>)-alkylsulfonyloxy, arylsulfonyloxy, hydroxyl, cyano, thiocyno and nitro, where the cycloaliphatic, aromatic or heterocyclic ring systems among the substituents just mentioned can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, or R<sup>4</sup> and R<sup>5</sup> together form a three- to eight-membered ring system which is linked spirocyclically to the ring system containing the heteroatoms Y and Z, and in which one or two CH<sub>2</sub> groups, preferably one CH<sub>2</sub> group, can be replaced by heteroatom units, such as oxygen, S(O)<sub>n</sub>, where n = 0, 1 or 2, or NR<sup>9</sup>, in which R<sup>9</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, (C<sub>1</sub>-C<sub>8</sub>)-alkanoyl, benzoyl, aryl or heteroaryl, where the benzoyl, aryl or heteroaryl radicals can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, and the ring system formed from R<sup>4</sup> and R<sup>5</sup> can be unsubstituted or provided with up to three, but preferably one, substituent(s), and these substituents are identical or different and are in each case (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-haloalkyl, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, (C<sub>1</sub>-C<sub>8</sub>)-alkylthio, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkoxy, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkylthio, aryl, aryloxy, arylthio, aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkoxy, aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkylthio, heterocyclyl, heterocyclyloxy, heterocyclylthio, (C<sub>1</sub>-C<sub>8</sub>)-trialkylsilyl, preferably (C<sub>1</sub>-C<sub>8</sub>)-alkyl-dimethylsilyl or (C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl, where the cycloaliphatic, aromatic or heterocyclic ring systems among the substituents



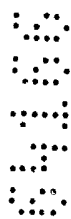
just mentioned can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents,

5 or the ring system formed from R<sup>1</sup> and R<sup>2</sup>, together with a further benzene ring or cyclohexane ring, forms a fused ring system, preferably the indane, 1,2,3,4-tetrahydronaphthalene, decahydronaphthalene or benzocycloheptane system, and the benzene ring in  
10 these fused systems can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents,

15 and where, among the compounds for which the carbon atom between the heteroatoms Y and Z carries only the substituent R<sup>3</sup>, the substituents X and R<sup>4</sup> are preferably in the cis-position relative to one another.

20 In particular, the invention relates to compounds of the formula I in which

R<sup>1</sup> is hydrogen, halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl or (C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl;



25 R<sup>2</sup> and R<sup>3</sup> are identical or different and are each hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, (C<sub>2</sub>-C<sub>4</sub>)-alkenyl, (C<sub>2</sub>-C<sub>4</sub>)-haloalkenyl, (C<sub>2</sub>-C<sub>4</sub>)-alkynyl, (C<sub>2</sub>-C<sub>4</sub>)-haloalkynyl, (C<sub>1</sub>-C<sub>3</sub>)-trialkylsilylalkynyl, phenyl-(C<sub>1</sub>-C<sub>3</sub>)-dialkyl-silylalkynyl, preferably phenyl-dimethyl-silylalkynyl, aryl-(C<sub>1</sub>-C<sub>2</sub>)-alkyl-(C<sub>1</sub>-C<sub>3</sub>)-dialkyl-silylalkynyl, (C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>3</sub>)-dialkyl-silylalkynyl, (1-methyl-sila-(C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl-1-yl)-alkynyl, triphenylsilylalkynyl, (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>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkoxy-(C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, halogen, hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-hydroxyalkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkanoyl, (C<sub>1</sub>-C<sub>4</sub>)-alkanoyl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkanoyl, (C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>5</sub>)-halocycloalkyl, cyano, (C<sub>1</sub>-C<sub>4</sub>)-cyanoalkyl,



5 nitro, (C<sub>1</sub>-C<sub>4</sub>)-nitroalkyl, thiocyano, (C<sub>1</sub>-C<sub>4</sub>)-  
thiocyanoalkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-  
alkoxycarbonyl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkoxy-  
carbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkylthio, (C<sub>1</sub>-C<sub>4</sub>)-alkylthio-  
(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkylthio, (C<sub>1</sub>-C<sub>4</sub>)-  
alkylsulfinyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkylsulfinyl, (C<sub>1</sub>-C<sub>4</sub>)-  
alkylsulfonyl or (C<sub>1</sub>-C<sub>4</sub>)-haloalkylsulfonyl; or

10 R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which  
they are bonded, form an unsaturated 5- or 6-  
membered isocyclic ring which, if it is a 5-  
membered ring, can contain one oxygen or sulfur  
atom instead of CH<sub>2</sub> or which, if it is a 6-mem-  
bered ring, can contain one or two nitrogen atoms  
15 instead of one or two CH units, and which is  
optionally substituted by 1, 2 or 3 identical or  
different radicals, and these radicals are  
(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, halogen, (C<sub>1</sub>-C<sub>4</sub>)-  
alkoxy or (C<sub>1</sub>-C<sub>4</sub>)-haloalkoxy; or

20 R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which  
they are bonded, form a saturated 5-, 6- or 7-  
membered isocyclic ring which can contain oxygen  
and/or sulfur instead of one or two CH<sub>2</sub> groups,  
and which is optionally substituted by 1, 2 or 3  
(C<sub>1</sub>-C<sub>4</sub>)-alkyl groups;

25 A is CH or N;

X is NH, oxygen or S(O)<sub>q</sub>, where q = 0, 1 or 2;

Y and Z are oxygen or a group S(O)<sub>m</sub>,

where m = 0, 1 or 2;

30 R<sup>4</sup>, R<sup>4'</sup> and R<sup>5</sup> are substituents of the  
heteroaliphatic ring system;

R<sup>4</sup> and R<sup>4'</sup> are hydrogen, halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl,  
(C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy or (C<sub>1</sub>-C<sub>4</sub>)-alkyl-  
thio;

35 R<sup>5</sup> is alkyl, alkenyl, alkynyl, aryl or heterocyclyl,  
where the aryl or heterocyclyl radicals mentioned  
can be unsubstituted or provided with up to  
three, in the case of fluorine also up to the  
maximum number of, identical or different rad-  
icals, and in the alkyl, alkenyl or alkynyl  
radicals mentioned, one or more non-adjacent



saturated carbon units can be replaced by a carbonyl group or by heteroatom units, such as oxygen,  $S(O)_x$ , where  $x = 0, 1$  or  $2$ ,  $NR^6$  or  $SiR^7R^8$ , in which  $R^6$  is hydrogen,  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkoxy or  $(C_1-C_4)$ -alkanoyl and  $R^7$  and  $R^8$  are  $(C_1-C_4)$ -alkyl;

and wherein, furthermore, 3 to 12 atoms of these hydrocarbon radicals optionally modified as above can form a ring, and these hydrocarbon radicals, with or without the variations mentioned, can optionally be substituted by one or more identical or different radicals from the series consisting of halogen, aryl, aryloxy, arylthio, cycloalkoxy, cycloalkylthio, heterocyclyl, heterocycliloxy, heterocyclylthio, alkanoyl, cycloalkanoyl, haloalkanoyl, aroyl, arylalkanoyl, cycloalkylalkanoyl, heterocyclylalkanoyl, alkoxy-carbonyl, haloalkoxycarbonyl, cycloalkoxy-carbonyl, cycloalkylalkoxycarbonyl, arylalkoxy-carbonyl, heterocyclylalkoxycarbonyl, aryloxy-carbonyl, heterocycliloxy-carbonyl, alkanoyloxy, haloalkanoyloxy, cycloalkanoyloxy, cycloalkyl-alkanoyloxy, aroyloxy, arylalkanoyloxy, heterocyclylalkanoyloxy, alkylsulfonyloxy, aryl-sulfonyloxy, hydroxyl, cyano, thiocyano and nitro, where the cycloaliphatic, aromatic or heterocyclic ring systems among the substituents just mentioned can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, or

$R^1$  and  $R^5$  together form a three- to eight-membered ring system which is linked spirocyclically to the ring system containing the heteroatoms Y and Z and in which one or two  $CH_2$  groups, preferably one  $CH_2$  group, can be replaced by heteroatom units, such as oxygen,  $S(O)_n$ , where  $n = 0, 1$  or



2, or  $\text{NR}^3$ , in which  $\text{R}^3$  is hydrogen, alkyl, alkoxy, alkanoyl, benzoyl, aryl or heteroaryl, where the benzoyl, aryl or heteroaryl radicals can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, and the ring system formed from  $\text{R}^4$  and  $\text{R}^5$  can be unsubstituted or provided with up to three substituents, and these substituents are identical or different and are in each case alkyl, haloalkyl, alkoxy, alkylthio, aryl, aryloxy, arylthio, arylalkyl, arylalkoxy, arylalkylthio, cycloalkyl, cycloalkoxy, cycloalkylthio, heterocyclyl, heterocyclyloxy, heterocyclylthio, trialkylsilyl or alkoxy-carbonyl, where the cycloaliphatic, aromatic or heterocyclic ring systems among the substituents just mentioned can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, or the ring system formed from  $\text{R}^4$  and  $\text{R}^5$ , together with a further benzene ring or cyclohexane ring, forms a fused ring system, and the benzene ring in these fused systems can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, or a salt thereof, with the exception of compounds of the formula I in which X, Y and Z are S, A is N,  $\text{R}^{4'}$  is hydrogen, one of the radicals  $\text{R}^4$  or  $\text{R}^5$  is hydrogen and the other is phenyl,  $\text{R}^1$  is chlorine,  $\text{R}^2$  is hydrogen and  $\text{R}^3$  is methyl

or

X, Y and Z are S, A is N,  $\text{R}^{4'}$  is hydrogen, one of the radicals  $\text{R}^4$  or  $\text{R}^5$  is hydrogen and the other is phenyl,  $\text{R}^1$  is chlorine,  $\text{R}^2$  is hydroxyl and  $\text{R}^3$  is hydrogen.



Preferred compounds of the formula I are those in which

R<sup>1</sup> is hydrogen or fluorine;

R<sup>2</sup> and R<sup>3</sup> are hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkenyl,  
(C<sub>1</sub>-C<sub>4</sub>)-alkynyl, trimethylsilylethynyl, methoxy-  
5 carbonyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, halogen, methoxymethyl  
or cyano; or

R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they  
are bonded, form an optionally substituted unsatu-  
rated 5- or 6-membered ring which, in the case of  
10 the 5-membered ring, can contain one sulfur atom  
instead of one CH<sub>2</sub> unit; or

R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they  
are bonded, form a saturated 5- or 6-membered ring  
which can contain one sulfur or one oxygen atom  
15 instead of one CH<sub>2</sub> unit;

A is CH or N;

X is NH or oxygen;

Y and Z are each oxygen or sulfur;

R<sup>4</sup> is hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, trifluoromethyl or  
20 (C<sub>1</sub>-C<sub>4</sub>)-alkoxy;



R<sup>4'</sup> is hydrogen;

in particular those compounds in which

R<sup>1</sup> is hydrogen,

5 R<sup>2</sup> and R<sup>3</sup> are hydrogen, methyl, ethyl, propyl, (C<sub>2</sub>-C<sub>3</sub>)-  
alkenyl, (C<sub>2</sub>-C<sub>3</sub>)-chloro- or fluoro-alkenyl, (C<sub>2</sub>-C<sub>3</sub>)-  
alkynyl, trimethylsilylethynyl, (C<sub>1</sub>-C<sub>3</sub>)-chloro- or  
fluoroalkyl, methoxymethyl, halogen or cyano;

10 R<sup>2</sup> and R<sup>3</sup>, together with the ring system to which they are  
bonded, form the quinazoline or quinoline system  
which can be substituted by fluorine in the carbo-  
cyclic part; or

15 R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they  
are bonded, form a saturated 6-membered ring which  
can contain one oxygen or sulfur atom instead of one  
CH<sub>2</sub> group;

R<sup>4</sup> is hydrogen or methyl;

R<sup>4'</sup> is hydrogen.

Particularly preferred compounds of the formula I are  
those in which

20 R<sup>1</sup> is hydrogen;

R<sup>2</sup> is methyl, ethyl, propyl, isopropyl, vinyl, ethynyl,  
(C<sub>1</sub>-C<sub>2</sub>)-fluoroalkyl or methoxymethyl;

25 R<sup>3</sup> is fluorine, chlorine, bromine, cyano, vinyl,  
ethynyl, (C<sub>1</sub>-C<sub>2</sub>)-fluoroalkyl or methoxy; or  
in the case where A is nitrogen,

R<sup>2</sup> and R<sup>3</sup>, together with the ring system to which they are  
bonded, form the quinazoline system which can be  
substituted by a fluorine atom;

A is CH or N;

30 X is NH;

Y and Z are oxygen or sulfur;

R<sup>4</sup> and R<sup>4'</sup> are hydrogen.

Compounds of the formula I which are most preferred are  
those in which

35 R<sup>1</sup> is hydrogen;

R<sup>2</sup> is ethyl or methoxymethyl;



R<sup>3</sup> is chlorine, bromine or methoxy, preferably those  
for which R<sup>2</sup> is ethyl and R<sup>3</sup> is chlorine;  
A is nitrogen;  
X is NH;  
5 Y and Z are oxygen;  
R<sup>4</sup> and R<sup>4'</sup> are hydrogen;  
R<sup>5</sup> is (C<sub>1</sub>-C<sub>20</sub>)-alkyl, (C<sub>2</sub>-C<sub>20</sub>)-alkenyl, (C<sub>2</sub>-C<sub>20</sub>)-alkynyl,  
aryl or heterocyclyl, where the aryl or heterocyclyl  
radicals mentioned can be unsubstituted or provided  
10 with up to three, in the case of fluorine also up to  
the maximum number of, identical or different rad-  
icals, and in the alkyl, alkenyl or alkynyl radicals  
mentioned, one or more, preferably up to three, non-  
adjacent saturated carbon units can be replaced by  
15 heteroatom units, such as oxygen or SiR<sup>7</sup>R<sup>8</sup>, in which  
R<sup>7</sup> and R<sup>8</sup> are (C<sub>1</sub>-C<sub>4</sub>)-alkyl, preferably methyl,  
and wherein, furthermore, 3 to 6 atoms of these  
hydrocarbon radicals optionally modified as above  
can form a ring, and these hydrocarbon radicals,  
20 with or without the variations mentioned, can  
optionally be substituted by one or more, preferably  
up to three, in the case of halogen up to the maxi-  
mum number of, identical or different radicals from  
the series consisting of halogen, preferably fluor-  
25 ine, aryl, aryloxy, arylthio, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkoxy,  
(C<sub>3</sub>-C<sub>8</sub>)-cycloalkylthio, heterocyclyl, heterocyclyoxy  
and (C<sub>1</sub>-C<sub>2</sub>)-alkoxycarbonyl, where the cycloaliphatic,  
aromatic or heterocyclic ring systems among the  
substituents just mentioned can be unsubstituted or  
30 provided with up to three, in the case of fluorine  
also up to the maximum number of, identical or  
different substituents,  
or R<sup>4</sup> and R<sup>5</sup> together form a five- or six-membered  
ring system which is preferably linked spirocyclic-  
35 ally to the ring system containing the heteroatoms  
Y and Z, and in which one CH<sub>2</sub> group can be replaced  
by heteroatom units, such as oxygen, S(O)<sub>n</sub>, where n  
= 0, 1 or 2, or NR<sup>9</sup>,  
in which R<sup>9</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-





alkanoyl, benzoyl, aryl or heteroaryl, where the benzoyl, aryl or heteroaryl radicals can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, and the ring system formed from R<sup>4</sup> and R<sup>5</sup> can be unsubstituted or provided with up to three, but preferably one, substituent(s), and these substituents are identical or different and are in each case (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, aryl or aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, where the cycloaliphatic, aromatic or heterocyclic ring systems among the substituents just mentioned can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, or the ring system formed from R<sup>4</sup> and R<sup>5</sup>, together with a further benzene ring or cyclohexane ring, forms a fused ring system, preferably the indane, 1,2,3,4-tetrahydronaphthalene, dekalin or benzocycloheptane system, and the benzene ring in these fused systems can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, and where, among the compounds for which the carbon atom between the heteroatoms Y and Z carries only the substituent R<sup>5</sup>, the substituents X and R<sup>5</sup> on the heteroaliphatic six-membered ring are preferably in the cis-position relative to one another;

in particular those compounds for which

R<sup>5</sup> is (C<sub>1</sub>-C<sub>15</sub>)-alkyl, aryl or heterocyclyl in the sense of a heteroaromatic ring system, where the aryl or heterocyclyl radical can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different radicals, and in the alkyl radical mentioned, one or more, preferably up to three, non-adjacent saturated carbon units can be replaced by oxygen, and wherein, furthermore, 3 to 8 atoms of this alkyl radical optionally modified as above can form a



ring, and this alkyl radical, with or without the variations mentioned, can optionally be substituted by one or more halogen atoms, in the case of fluorine also up to the maximum number, or by an aryl radical, and this aryl radical can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, and the substituents X and R<sup>5</sup> on the heteroaliphatic six-membered ring are preferably in the cis-position relative to one another.

In the above formula, "halogen" is to be understood as meaning a fluorine, chlorine, bromine or iodine atom; the term "(C<sub>1</sub>-C<sub>4</sub>)-alkyl" is to be understood as meaning an unbranched or branched hydrocarbon radical having 1 to 4 carbon atoms, such as, for example, the methyl, ethyl, propyl, isopropyl, 1-butyl-, 2-butyl-, 2-methylpropyl- or tert-butyl radical; the term "(C<sub>1</sub>-C<sub>8</sub>)-alkyl" is to be understood as meaning the abovementioned alkyl radicals and, for example, the pentyl, 2-methylbutyl, 1,1-dimethylpropyl, hexyl, heptyl, octyl or the 1,1,3,3-tetramethylbutyl radical; the term "(C<sub>1</sub>-C<sub>20</sub>)-alkyl" is to be understood as meaning the abovementioned alkyl radicals and, for example, the nonyl, 1-decyl, 2-decyl, undecyl, dodecyl, pentadecyl or eicosyl radical; the term "(C<sub>1</sub>-C<sub>4</sub>)-haloalkyl" is to be understood as meaning an alkyl group mentioned under the term "(C<sub>1</sub>-C<sub>4</sub>)-alkyl" in which one or more hydrogen atoms are replaced by the abovementioned halogen atoms, preferably chlorine or fluorine, such as, for example, the trifluoromethyl group, the 1-fluoroethyl group, the 2,2,2-trifluoroethyl group, the chloromethyl or fluoromethyl group, the difluoromethyl group or the 1,1,2,2-tetrafluoroethyl group; the term "(C<sub>1</sub>-C<sub>2</sub>)-fluoroalkyl" is to be understood as meaning, for example, the mono-, di- or trifluoromethyl group or the 1-fluoroethyl, 2-fluoroethyl, 1,1-difluoro-



ethyl, 2,2,2-trifluoroethyl or pentafluoroethyl group;  
the term "cycloalkyl" is to be understood as meaning  
preferably (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;  
the term "cycloalkoxy" is to be understood as meaning  
5 preferably (C<sub>3</sub>-C<sub>8</sub>)-cycloalkoxy;  
the term "cycloalkylthio" is to be understood as meaning  
preferably (C<sub>3</sub>-C<sub>8</sub>)-cycloalkylthio;  
the term "(C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl" is to be understood as  
meaning the cyclopropyl, cyclobutyl or cyclopentyl group;  
10 the term "(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl" is to be understood as  
meaning the radicals mentioned above under "(C<sub>3</sub>-C<sub>5</sub>)-cyclo-  
alkyl" and the cyclohexyl, cycloheptyl or cyclooctyl  
radical, and also bicyclic systems, such as, for example,  
the norbornyl group or the bicyclo[2,2,2]-octane radical;  
15 the term "(C<sub>3</sub>-C<sub>5</sub>)-halocycloalkyl" is to be understood as  
meaning one of the abovementioned (C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl  
radicals in which one or more, in the case of fluorine  
optionally also all, hydrogen atoms are replaced by  
halogen, preferably fluorine or chlorine, such as, for  
20 example, the 2,2-difluoro- or 2,2-dichlorocyclopropane  
group or the fluorocyclopentane radical;  
the term "(C<sub>2</sub>-C<sub>4</sub>)-alkenyl" is to be understood as meaning,  
for example, the vinyl, allyl, 2-methyl-2-propenyl or 2-  
butenyl group;  
25 the term "(C<sub>2</sub>-C<sub>20</sub>)-alkenyl" is to be understood as meaning  
the abovementioned radicals and, for example, the 2-  
pentenyl, 2-decenyl or the 2-eicosenyl group;  
the term "(C<sub>2</sub>-C<sub>4</sub>)-haloalkenyl" is to be understood as  
meaning a (C<sub>2</sub>-C<sub>4</sub>)-alkenyl group in which some of, or in  
30 the case of fluorine also all, the hydrogen atoms are  
replaced by halogen, preferably fluorine or chlorine;  
the term "(C<sub>2</sub>-C<sub>4</sub>)-alkynyl" is to be understood as meaning,  
for example, the ethynyl, propargyl, 2-methyl-2-propynyl  
or 2-butynyl group;  
35 the term "(C<sub>2</sub>-C<sub>20</sub>)-alkynyl" is to be understood as meaning  
the abovementioned radicals and, for example, the 2-  
pentynyl or the 2-decynyl group;  
the term "(C<sub>2</sub>-C<sub>4</sub>)-haloalkynyl" is to be understood as  
meaning a (C<sub>2</sub>-C<sub>4</sub>)-alkynyl group in which some of, in the



case of fluorine also all, the hydrogen atoms are replaced by halogen atoms, preferably fluorine or chlorine, or also the iodoethynyl group;

5 the term "dimethyl-(C<sub>1</sub>-C<sub>3</sub>)-alkyl-silyl-ethynyl" is to be understood as meaning, for example, the trimethylsilyl-ethynyl or the tert-butyl-dimethyl-silyl-ethynyl group; the term "(C<sub>1</sub>-C<sub>4</sub>)-hydroxyalkyl" is to be understood as meaning, for example, the hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 1-hydroxy-1-methyl-ethyl or the 1-

10 hydroxypropyl group; the term "(C<sub>1</sub>-C<sub>4</sub>)-alkanoyl" is to be understood as meaning, for example, the formyl, acetyl, propionyl, 2-methylpropionyl or butyryl group;

15 the term "(C<sub>1</sub>-C<sub>12</sub>)-alkanoyl" is to be understood as meaning, for example, the abovementioned radicals and, for example, the valeroyl, pivaloyl, hexanoyl, decanoyl or the dodecanoyl group;

20 the term "(C<sub>2</sub>-C<sub>4</sub>)-haloalkanoyl" is to be understood as meaning a (C<sub>2</sub>-C<sub>4</sub>)-alkanoyl group in which some of, in the case of fluorine also all, the hydrogen atoms are replaced by halogen atoms, preferably fluorine or chlorine;

25 the term "(C<sub>2</sub>-C<sub>12</sub>)-haloalkanoyl" is to be understood as meaning a (C<sub>2</sub>-C<sub>12</sub>)-alkanoyl group in which some of, in the case of fluorine also all, the hydrogen atoms are replaced by halogen atoms, preferably fluorine or chlorine;

30 the term "cyano-(C<sub>1</sub>-C<sub>4</sub>)-alkyl" is to be understood as meaning a cyanoalkyl group, the hydrocarbon radical of which has the meanings given under the term "(C<sub>1</sub>-C<sub>4</sub>)-alkyl";

35 the term "(C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl" is to be understood as meaning, for example, the methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl or tert-butoxycarbonyl group;

the term "(C<sub>1</sub>-C<sub>12</sub>)-alkoxycarbonyl" is to be understood as meaning the abovementioned radicals and, for example, the hexyloxycarbonyl, 2-methylhexyloxycarbonyl, decyloxycarbonyl or dodecyloxycarbonyl group;



the term "(C<sub>1</sub>-C<sub>4</sub>)-haloalkoxycarbonyl" is to be understood as meaning a (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl group in which one or more, in the case of fluorine optionally also all, hydrogen atoms are replaced by halogen, preferably  
5 fluorine or chlorine;

the term "(C<sub>1</sub>-C<sub>4</sub>)-alkylthio" is to be understood as meaning an alkylthio group, the hydrocarbon radical of which has the meaning given under the term "(C<sub>1</sub>-C<sub>4</sub>)-alkyl";

10 the term "(C<sub>1</sub>-C<sub>4</sub>)-haloalkylthio" is to be understood as meaning a (C<sub>1</sub>-C<sub>4</sub>)-alkylthio group in which one or more, in the case of fluorine optionally also all, hydrogen atoms of the hydrocarbon part are replaced by halogen, in particular chlorine or fluorine;

15 the term "(C<sub>1</sub>-C<sub>4</sub>)-alkylsulfinyl" is to be understood as meaning, for example, the methyl-, ethyl-, propyl-, isopropyl-, butyl-, isobutyl-, sec-butyl- or tert-butyl-sulfinyl group;

the term "(C<sub>1</sub>-C<sub>4</sub>)-alkylsulfonyl" is to be understood as  
20 meaning, for example, the methyl-, ethyl-, propyl-, isopropyl-, butyl-, isobutyl-, sec-butyl- or tert-butyl-sulfonyl group;

the terms "(C<sub>1</sub>-C<sub>4</sub>)-haloalkylsulfinyl" and "(C<sub>1</sub>-C<sub>4</sub>)-halo-alkylsulfonyl" are to be understood as meaning (C<sub>1</sub>-C<sub>4</sub>)-  
25 alkylsulfinyl and -sulfonyl radicals with the above-mentioned meanings, in which one or more, in the case of fluorine optionally also all, hydrogen atoms of the hydrocarbon part are replaced by halogen, in particular chlorine or fluorine;

30 the term "(C<sub>1</sub>-C<sub>4</sub>)-alkoxy" is to be understood as meaning an alkoxy group, the hydrocarbon radical of which has the meaning given under the term "(C<sub>1</sub>-C<sub>4</sub>)-alkyl";

the term "(C<sub>1</sub>-C<sub>4</sub>)-haloalkoxy" is to be understood as meaning a haloalkoxy group, the halo-hydrocarbon radical  
35 of which has the meaning given under the term "(C<sub>1</sub>-C<sub>4</sub>)-haloalkyl";

the term "(C<sub>1</sub>-C<sub>4</sub>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl" is to be understood as meaning, for example, a 1-methoxyethyl group, a 2-methoxyethyl group, a 2-ethoxyethyl group, a methoxy-



methyl or ethoxymethyl group, a 3-methoxypropyl group or a 4-butoxybutyl group;

the terms "(C<sub>1</sub>-C<sub>4</sub>)-haloalkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, "(C<sub>1</sub>-C<sub>4</sub>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-haloalkyl" and "(C<sub>1</sub>-C<sub>4</sub>)-haloalkoxy-(C<sub>1</sub>-C<sub>4</sub>)-haloalkyl" are to be understood as meaning (C<sub>1</sub>-C<sub>4</sub>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl radicals having the abovementioned meanings, in which one or more, in the case of fluorine optionally also all, hydrogen atoms of the corresponding hydrocarbon portions are replaced by halogen, preferably chlorine or fluorine;

the term "(C<sub>1</sub>-C<sub>4</sub>)-alkylthio-(C<sub>1</sub>-C<sub>4</sub>)-alkyl" is to be understood as meaning, for example, methylthiomethyl, ethylthiomethyl, propylthiomethyl, 2-methylthioethyl, 2-ethylthioethyl or 3-methylthiopropyl;

the term "aryl" is to be understood as meaning an isocyclic aromatic radical having preferably 6 to 14, in particular 6 to 12, carbon atoms, such as, for example, phenyl, naphthyl or biphenyl, preferably phenyl;

the term "heterocyclyl" is to be understood as meaning a heteroaromatic or heteroaliphatic ring system, where "heteroaromatic ring system" is to be understood as meaning an aryl radical in which at least one CH group is replaced by N and/or at least two adjacent CH groups are replaced by S, NH or O, for example a radical of thiophene, furan, pyrrole, thiazole, oxazole, imidazole, isothiazole, isoxazole, pyrazole, 1,3,4-oxadiazole, 1,3,4-thiadiazole, 1,3,4-triazole, 1,2,4-oxadiazole, 1,2,4-thiadiazole, 1,2,4-triazole, 1,2,3-triazole, 1,2,3,4-tetrazole, benzo[b]thiophene, benzo[b]furan, indole, benzo[c]thiophene, benzo[c]furan, isoindole, benzoxazole, benzothiazole, benzimidazole, benzisoxazole, benzisothiazole, benzopyrazole, benzothiadiazole, benzotriazole, dibenzofuran, dibenzothiophene, carbazole, pyridine, pyrazine, pyrimidine, pyridazine, 1,3,5-triazine, 1,2,4-triazine, 1,2,4,5-triazine, quinoline, isoquinoline, quinoxaline, quinazoline, cinnoline, 1,8-naphthyridine, 1,5-naphthyridine, 1,6-naphthyridine, 1,7-naphthyridine, phthalazine, pyridopyrimidine, purine, pteridine or 4H-quinolizine;



- and the term "heteroaliphatic ring system" is to be understood as meaning a (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl radical in which at least one carbon unit is replaced by O, S or a group NR<sup>11</sup>, and R<sup>11</sup> is hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy or aryl;
- 5 the term "arylthio" is to be understood as meaning, for example, the phenylthio or the 1- or 2-naphthylthio group;
- the term "aryloxy" is to be understood as meaning, for example, the phenoxy or 1- or 2-naphthyloxy group;
- 10 the term "heterocyclyloxy" or "heterocyclylthio" is to be understood as meaning one of the abovementioned heterocyclic radicals which are linked via an oxygen or sulfur atom;
- 15 the term "(C<sub>3</sub>-C<sub>8</sub>)-cycloalkoxy" or "(C<sub>3</sub>-C<sub>8</sub>)-cycloalkylthio" is to be understood as meaning one of the abovementioned (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl radicals which are linked via an oxygen or sulfur atom;
- the term "aroyl" is to be understood as meaning, for example, the benzoyl, naphthoyl or the biphenylcarbonyl group;
- 20 the term "aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyl" is to be understood as meaning, for example, the phenylacetyl, 3-phenylpropionyl, 2-phenylpropionyl, 2-methyl-2-phenyl-propionyl, 4-phenylbutyryl or the naphthylacetyl group;
- 25 the term "(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyl" is to be understood as meaning, for example, the cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, cyclohexylcarbonyl, cyclohexylacetyl or the cyclohexylbutyryl group;
- 30 the term "heterocyclyl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyl" is to be understood as meaning, for example, the thenoyl, furoyl, nicotinoyl, thienylacetyl or the pyridine-propionyl group;
- 35 the term "(C<sub>3</sub>-C<sub>8</sub>)-cycloalkoxycarbonyl" is to be understood as meaning, for example, the cyclobutyloxycarbonyl, cyclopentyloxycarbonyl, cyclohexyloxycarbonyl or the cycloheptyloxycarbonyl group;
- the term "(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl" is to



be understood as meaning, for example, the cyclopropylmethoxycarbonyl, cyclobutylmethoxycarbonyl, cyclopentylmethoxycarbonyl, cyclohexyloxymethoxycarbonyl, 1-(cyclohexyl)-ethoxycarbonyl or the 2-(cyclohexyl)-ethoxycarbonyl group;

5 the term "aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl" is to be understood as meaning, for example, the benzyloxycarbonyl, 1-naphthylmethoxycarbonyl, 2-naphthylmethoxycarbonyl, 1-phenyl-ethoxycarbonyl or the 2-phenyl-ethoxycarbonyl group;

10 the term "heterocyclyl-(C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl" is to be understood as meaning, for example, the thienylmethoxycarbonyl, furylmethoxycarbonyl, tetrahydrofurylmethoxycarbonyl or the pyridylethoxycarbonyl group;

15 the term "aryloxycarbonyl" is to be understood as meaning, for example, the phenoxy carbonyl, naphthoxy carbonyl or the biphenyloxycarbonyl group;

the term "heterocyclyloxycarbonyl" is to be understood as meaning, for example, the tetrahydropyran-4-oxycarbonyl group;

20 the term "(C<sub>1</sub>-C<sub>20</sub>)-alkanoyloxy" is to be understood as meaning, for example, the formyloxy, acetoxy, propionyloxy, butyryloxy, pivaloyloxy, valeroxyloxy or the hexanoyloxy group;

25 the term "(C<sub>2</sub>-C<sub>20</sub>)-haloalkanoyloxy" is to be understood as meaning a (C<sub>2</sub>-C<sub>20</sub>)-alkanoyloxy group in which one or more, in the case of fluorine optionally also all, hydrogen atoms of the hydrocarbon part are replaced by halogen, in particular fluorine or chlorine;

30 the term "(C<sub>3</sub>-C<sub>8</sub>)-cycloalkanoyloxy" is to be understood as meaning, for example, the cyclopropanoyloxy, cyclobutenoyloxy, cyclopentanoyloxy, cyclohexanoyloxy or the cycloheptanoyloxy group;

the term "(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyloxy" is to be understood as meaning, for example, the cyclopropylcarbonyloxy, cyclopropylacetoxy, cyclobutylcarbonyloxy, cyclopentylcarbonyloxy, cyclohexylcarbonyloxy, cyclohexylacetoxy or the 4-cyclohexyl-butyryloxy group;

35 the term "aroyloxy" is to be understood as meaning, for





example, the benzoyloxy or the naphthoyloxy group;  
the term "aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyloxy" is to be understood as  
meaning, for example, the benzoyloxy, naphthoyloxy,  
biphenylcarbonyloxy, phenylacetoxo or the phenylbutyryl-  
oxy group;

5 the term "heterocyclyl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyloxy" is to be  
understood as meaning, for example, the thienylcarbonyl-  
oxy, thienylacetoxo, pyridylcarbonyloxy or the pyrimid-  
inylcarbonyloxy group;

10 the term "(C<sub>1</sub>-C<sub>20</sub>)-alkylsulfonyloxy" is to be understood  
as meaning, for example, the methane-, ethane-, butane-  
or hexanesulfonyloxy group;

the term "arylsulfonyloxy" is to be understood as  
meaning, for example, the phenylsulfonyloxy or the  
15 toluenesulfonyloxy group.

The substituents with which the various aliphatic,  
aromatic and heterocyclic ring systems can be provided  
include, for example, halogen, nitro, cyano, di-(C<sub>1</sub>-C<sub>4</sub>)-  
alkylamino, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>1</sub>-C<sub>4</sub>)-  
20 alkanoyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-trialkylsilyl,  
(C<sub>1</sub>-C<sub>4</sub>)-alkoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>2</sub>)-  
alkoxy-[CH<sub>2</sub>CH<sub>2</sub>O]<sub>1,2</sub>-ethoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkylthio, (C<sub>1</sub>-C<sub>4</sub>)-  
alkylsulfinyl, (C<sub>1</sub>-C<sub>4</sub>)-alkylsulfonyl, phenyl, benzyl,  
phenoxy, halophenoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkylphenoxy, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy-  
25 phenoxy, phenylthio, heterocyclyl, heterocyclylthio,  
heterocycliloxy, haloheterocycliloxy, alkylheterocyclyl-  
oxy or alkoxyheterocycliloxy, where, in the alkyl  
radicals and the radicals derived therefrom, one or more  
hydrogen atoms, in the case of fluorine also up to the  
30 maximum number, can be replaced by halogen, preferably  
chlorine or fluorine, and where, in the case where these  
substituents are (C<sub>1</sub>-C<sub>4</sub>)-alkyl, these can also be linked  
cyclically and one or two aliphatic carbon units in these  
fused ring systems, such as, for example, an indane, di-,  
35 tetra- or decahydronaphthyl or benzocycloheptane system,  
can be replaced by heteroatom units, such as oxygen or  
sulfur, and one or more hydrogen atoms, in the case of  
fluorine also up to the maximum number, on the aliphatic



carbon atom units can be replaced by halogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl.

Furthermore, the definition that "in the alkyl, alkenyl or alkynyl radicals mentioned, one or more, preferably up to three, non-adjacent saturated carbon units can be replaced by a carbonyl group or by heteroatom units, such as oxygen, S(O)<sub>x</sub>, where x = 0, 1 or 2, NR<sup>6</sup> or SiR<sup>7</sup>R<sup>8</sup>, in which R<sup>6</sup> is hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy or (C<sub>1</sub>-C<sub>4</sub>)-alkanoyl and R<sup>7</sup> and R<sup>8</sup> are (C<sub>1</sub>-C<sub>4</sub>)-alkyl, preferably methyl, and wherein, furthermore, 3 to 12 atoms of these hydrocarbon radicals optionally modified as above can form a ring, and these hydrocarbon radicals, with or without the variations mentioned, can optionally be substituted by one or more, preferably up to three, in the case of fluorine up to the maximum number of, identical or different radicals from the series consisting of halogen, aryl, aryloxy, arylthio, cycloalkoxy, cycloalkylthio, heterocyclyl, heterocyclyloxy, heterocyclylthio, alkanoyl, cycloalkanoyl, haloalkanoyl, aroyl, arylalkanoyl, cycloalkylalkanoyl, heterocyclylalkanoyl, alkoxy-carbonyl, haloalkoxy-carbonyl, cycloalkoxy-carbonyl, cycloalkylalkoxy-carbonyl, arylalkoxy-carbonyl, heterocyclylalkoxy-carbonyl, aryloxy-carbonyl, heterocyclyloxy-carbonyl, alkanoyloxy, haloalkanoyloxy, cycloalkanoyloxy, cycloalkylalkanoyloxy, aroyloxy, arylalkanoyloxy, heterocycloalkanoyloxy, alkylsulfonyloxy, arylsulfonyloxy, hydroxyl, cyano, thiocyno and nitro, where the cycloaliphatic, aromatic or heterocyclic ring systems among the substituents just mentioned can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents", is to be understood as meaning, for example: alkoxyalkyl radicals, such as, for example, the methoxy-methyl, methoxyethyl or ethoxyethyl group; or alkoxy-alkoxy-alkyl radicals, such as, for example, the methoxy- or the ethoxy-ethoxy ethyl group; or alkylthioalkyl radicals, such as, for example, the



methyl- or the ethylthioethyl group; or  
alkylsulfinyl-alkyl radicals, such as, for example, the  
methyl- or ethylsulfinylethyl group; or  
alkylsulfonyl-alkyl radicals, such as, for example, the  
5 methyl- or ethylsulfonylethyl group; or  
alkyl-dialkylsilyl-alkyl, preferably alkyl-dimethylsilyl-  
alkyl radicals, such as, for example, the trimethylsilyl-  
methyl or the trimethylsilylethyl group; or  
trialkylsilyl, preferably alkyldimethylsilyl radicals,  
10 such as, for example, the trimethylsilyl, ethyldimethyl-  
silyl, tert-butyldimethylsilyl or the octyldimethylsilyl  
group; or  
cycloalkyldialkylsilyl, preferably cycloalkyldimethyl-  
silyl radicals, such as, for example, the cyclohexyldi-  
15 methylsilyl group; or  
aryldialkylsilyl, preferably aryldimethylsilyl radicals,  
such as, for example, the phenyldimethylsilyl group; or  
arylalkyldialkylsilyl, preferably arylalkyldimethylsilyl  
radicals, such as, for example, the benzyldimethylsilyl  
20 or the phenylethyldimethylsilyl group; or  
alkanoylalkyl radicals, such as, for example, the acetyl-  
methyl or the pivaloylmethyl group; or  
cycloalkanoylalkyl radicals, such as, for example, the  
cyclopropylcarbonylmethyl or the cyclohexylcarbonylmethyl  
25 group; or  
haloalkanoylalkyl radicals, such as, for example, the  
trifluoro- or trichloroacetylmethyl group; or  
aroylalkyl radicals, such as, for example, the benzoyl or  
naphthoylalkyl radicals; or arylalkanoylalkyl radicals,  
30 such as, for example, the phenylacetylmethyl group; or  
heterocyclylcarbonylalkyl radicals, such as, for example,  
the thienyl- or pyridylacetylmethyl group; or  
aryl-alkyl radicals, such as, for example, the benzyl,  
the 2-phenylethyl, the 1-phenylethyl or the 1-methyl-1-  
35 phenylethyl group, the 3-phenylpropyl or the 4-phenyl-  
butyl group, the 2-methyl-2-phenyl-ethyl group or the 1-  
methyl- or 2-methyl-naphthyl group; or  
heterocyclylalkyl radicals, such as, for example, the  
thienylmethyl, pyridylmethyl, furfuryl, tetrahydrofur-



furyl, tetrahydropyranylmethyl or the 1,3-dioxolane-2-methyl group; or  
aryloxyalkyl radicals, such as, for example, the phenoxy-methyl or naphthoxymethyl group; or  
5 cycloalkyl radicals, which are monocyclic, such as, for example, the cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl radical, bicyclic, such as, for example, the norbornyl radical or the bicyclo[2.2.2]octane radical, or fused, such as the  
10 decahydronaphthyl radical;  
alkyl-cycloalkyl radicals, such as, for example, the 4-methyl- or the 4-tert-butylcyclohexyl group or the 1-methyl-cyclopropyl, -cyclobutyl, -cyclopentyl or -cyclohexyl group;  
15 cycloalkyl-alkyl radicals, such as, for example, the cyclohexylmethyl or -ethyl group;  
or also haloalkyl derivatives of the corresponding groups, such as, for example, haloalkyl, haloalkoxyalkyl, alkoxy-haloalkyl, haloalkyl-cycloalkyl or halocycloalkyl  
20 radicals.

The explanation given above applies accordingly to homologs and radicals derived therefrom.

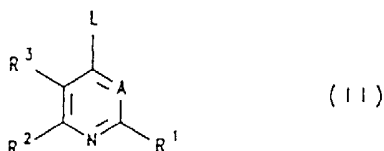
The present invention relates to the compounds of the formula I in the form of the free base or an acid addition  
25 salt. Acids which can be used for salt formation are inorganic acids, such as hydrochloric acid, hydrobromic acid, nitric acid, sulfuric acid or phosphoric acid, or organic acids, such as formic acid, acetic acid, propionic acid, malonic acid, oxalic acid, fumaric acid,  
30 adipic acid, stearic acid, oleic acid, methanesulfonic acid, benzenesulfonic acid or toluenesulfonic acid.

In addition to the cis/trans isomerism mentioned for the hetero-aliphatic system including the groups Y and Z, the compounds of the formula I in some cases contain one or  
35 more asymmetric carbon atoms or stereoisomers on double bonds. Enantiomers or diastereomers can therefore occur.

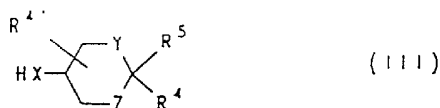


The invention relates both to pure isomers and to mixtures thereof. The mixtures of diastereomers can be separated into the components by customary methods, for example by selective crystallization from suitable solvents or by chromatography. Racemates can be separated into the enantiomers by customary methods, thus, for example, by salt formation with an optically active acid, separation of the diastereomeric salts and liberation of the pure enantiomers by means of a base.

The invention furthermore relates to a process for the preparation of compounds of the formula I which includes reacting a compound of the formula II



in which A, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have the meanings given under formula I and L is a leaving group, for example halogen, alkylthio, alkanesulfonyloxy or arylsulfonyloxy, alkylsulfonyl or arylsulfonyl, with a nucleophile of the formula III



in which X, Y, Z, R<sup>4</sup>, R<sup>4'</sup> and R<sup>5</sup> have the meanings given above under formula I, and, in the compounds of the formula I obtained in this manner or in another manner, optionally further derivatizing the nitrogen-containing heterocyclic radical or the side chain R<sup>5</sup>.

The substitution reaction described above is known in principle. The leaving group Z can be varied within wide limits and can be, for example, a halogen atom, such as fluorine, chlorine, bromine or iodine, or alkylthio, such



as methyl- or ethylthio, or alkanesulfonyloxy, such as methane-, trifluoromethane- or ethanesulfonyloxy, or arylsulfonyloxy, such as benzenesulfonyloxy or toluene-sulfonyloxy, or alkylsulfonyl, such as methyl- or ethyl-  
5 sulfonyl, or arylsulfonyl, such as phenyl- or toluene-sulfonyl.

The abovementioned reaction is carried out in a temperature range from 20 to 150°C, expediently in the presence of a base and if appropriate in an inert organic solvent,  
10 such as N,N-dimethylformamide, N,N-dimethylacetamide, dimethyl sulfoxide, N-methylpyrrolidin-2-one, dioxane, tetrahydrofuran, 4-methyl-2-pentanone, methanol, ethanol, butanol, ethylene glycol, ethylene glycol dimethyl ether, toluene, chlorobenzene or xylene. Mixtures of the sol-  
15 vents mentioned can also be used.

Suitable bases in the case where X is oxygen are, for example, alkali metal or alkaline earth metal carbonates, bicarbonates, amides or hydrides, such as sodium carbonate, sodium bicarbonate, potassium carbonate, sodium  
20 amide or sodium hydride, and in the case where X is NH, these are, for example, alkali metal or alkaline earth metal carbonates, bicarbonates, hydroxides, amides or hydrides, such as sodium carbonate, sodium bicarbonate, potassium carbonate, sodium hydroxide, sodium amide or  
25 sodium hydride, or organic bases, such as triethylamine or pyridine. A second equivalent of an amine of the formula III can also be employed as an auxiliary base.

The compounds of the formula II required as starting substances are in most cases known from the literature or  
30 can be prepared by methods analogous to those known from the literature (cf. EP 370 391, EP 470 600, DOS 43 31 179, DOS 44 04 702).

To prepare the nucleophiles of the formula III, suitably substituted 1,3-dioxan-5-ones or 1,3-dithian-5-ones are used as starting substances (A.A. Marei, R.A. Raphael,

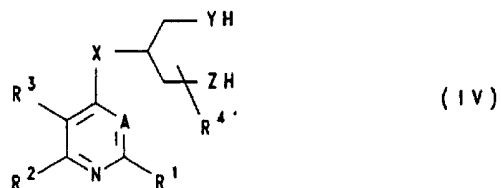


J. Chem. Soc. 1960, 886; E. Vorbrüggen, Acta Chem. Scand., 1982, 420; D. Enders, B. Bockstiegel, Synthesis 1989, 493; A. Lüttringhaus, M. Mohr, N. Engelhard, Liebigs Ann. Chem. 661 (1963) 84; Y.M. Kobayashi, J. Lambrecht, J.C. Jochims, U. Burkert, Chem. Ber. 111, 3442 (1978)) and these are converted into the corresponding amines by reductive amination ( $H_2$ ,  $NH_3$ , metal catalyst or ammonium acetate/sodium cyanoborohydride) or Leuckart-Wallach reduction, or into the corresponding alcohols by reduction with a complex metal hydride. To prepare the particularly preferred cis derivatives, a rhodium or a rhodium/palladium mixed catalyst is particularly suitable for the reductive amination, and particularly suitable complex metal hydrides are those which carry alkyl substituents of large bulk, in addition to the hydrogen, such as, for example,  $\textcircled{L}$ -Selectride.

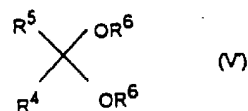
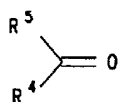
Alternatively, there is the possibility of converting the 1,3-dioxan-5-ones into the corresponding alcohols by reduction with a complex metal hydride ( $LiAlH_4$ ,  $NaBH_4$ ), and obtaining the amines ( $H_2/Pd$  or  $LiAlH_4$ ) from these via the mesylate or tosylate ( $CH_3SO_2Cl/CH_2C_6H_4SO_2Cl$ , pyridine) and azide ( $NaN_3$ , dimethylformamide) (cf. D. Lednicer, D.E. Emmert, R. Lahti, A.D. Rudzik, J. Med. Chem. 15, 1239, (1972)). The alcohols mentioned can also be obtained directly from aldehyde and glycerol under acid catalysis (P.E. Verkade, J.D. van Roon, Rec. Trav. Chim. Pays Bas, 61, 831 (1942); E. Juaristi, S. Antunez, Tetrahedron 48, 5941 (1992)).

The invention furthermore relates to a process for the preparation of compounds of the formula I, which includes reacting a compound of the formula IV





in which R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, A, X, Y and Z have the meanings given above for formula I, with a compound of the formula V or V'



5 in which R<sup>4</sup> and R<sup>5</sup> have the meanings given above for formula I, and R<sup>6</sup> is identical or different radicals and is (C<sub>1</sub>-C<sub>8</sub>)-alkyl, preferably (C<sub>1</sub>-C<sub>4</sub>)-alkyl, and, in the compounds of the formula I obtained in this manner or in another manner, further varying the  
10 nitrogen-containing heterocyclic radical or the side chain R<sup>5</sup>.

The reaction is expediently carried out by allowing the compounds of the formula IV and of the formula V to react in the presence of an acid catalyst in a temperature  
15 range of 20 - 200°C, preferably between 60° and 150°C, in bulk or in an inert organic solvent.

The ketalization reaction described above is known in principle. It is carried out in a temperature range of 20 - 200°C, preferably between 60° and 150°C, in the presence of an acid dehydrating catalyst, in bulk or in an  
20 inert solvent. Suitable catalysts are, for example, hydrochloric acid, sulfuric acid, phosphoric acid, sodium

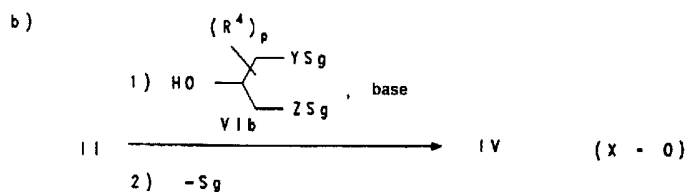
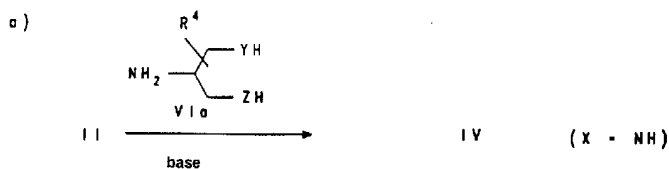




hydrogen sulfate, sulfonic acids, such as methane- or  
 toluenesulfonic acid, phosphorus-V oxide, iron(III)  
 chloride, zinc chloride, anhydrous copper sulfate, iodine  
 or also acid ion exchangers, such as, for example,  
 5 ©Amberlite IR-120. The water formed during the reaction  
 is expediently removed from the reaction mixture by  
 distillation, if appropriate under reduced pressure, or  
 by azeotropic distillation using an entraining agent.  
 Suitable entraining agents are, for example, benzene,  
 10 toluene, xylene or petroleum ether.

The reaction of the compounds IV with the compounds V'  
 takes place in analogy to the reaction of the compounds  
 IV with the compounds V, in bulk or in an inert organic  
 solvent such as, for example, benzene, toluene, xylene or  
 15 petroleum ether. The compounds V' are employed equimolar  
 or in excess. The resulting alcohol HOR<sup>6</sup> is judiciously  
 removed from the reaction mixture by distillation.

The compounds of the formula IV required as starting  
 substances can be synthesized as follows:



20 The reaction conditions for the reactions described under  
 a) and b) correspond to those for the preparation of the  
 compounds III from the compounds of the formula II. The  
 educts of the formula VIa are commercially obtainable,



are known from the literature or can be synthesized by processes analogous to known processes (cf. M. Kujima, *Yakugaku Zasshi* 90, (1970), 670).

5 Compounds of the formula VIb are employed in a protected form. A suitable protective group is, for example, the benzyl group, which is removed by hydrogenolysis after the reaction has taken place. The starting materials of the formula VIb are commercially obtainable, are known from the literature or can be synthesized by processes  
10 analogous to known processes.

The active compounds have a good plant tolerance and favourable toxicity with respect to warm-blooded animals and are suitable for controlling animal pests, in particular insects, arachnids, helminths and mollusks,  
15 especially preferably for controlling insects and arachnids which are encountered in agriculture, in animal husbandry, in forestry, in the preservation of stored products and materials and in the hygiene sector. They are active against normally sensitive and resistant  
20 species and all or individual stages of development. The abovementioned pests include:

From the order of the Acarina, for example, *Acarus siro*, *Argas* spp., *Ornithodoros* spp., *Dermanyssus gallinae*, *Eriophyes ribis*, *Phyllocoptura oleivora*, *Boophilus* spp.,  
25 *Rhipicephalus* spp., *Amblyomma* spp., *Hyalomma* spp., *Ixodes* spp., *Psoroptes* spp., *Chorioptes* spp., *Sarcoptes* spp., *Tarsonemus* spp., *Bryobia praetiosa*, *Panonychus* spp., *tetranychus* spp., *Eotetranychus* spp., *Oligonychus* spp. and *Eutetranychus* spp.

30 From the order of the Isopoda, for example, *Oniscus asellus*, *Armadium vulgare* and *Porcellio scaber*.

From the order of the Diplopoda, for example, *Blaniulus guttulatus*.

35 From the order of the Chilopoda, for example, *Geophilus carpophagus* and *Scutigera* spp.

From the order of the Symphyla, for example, *Scutigera* *immaculata*.

From the order of the Thysanura, for example, *Lepisma*



saccharina.

From the order of the Collembola, for example, *Onychiurus armatus*.

5 From the order of the Orthoptera, for example, *Blatta orientalis*, *Periplaneta americana*, *Leucophaea madeirae*, *Blatella germanica*, *Acheta domesticus*, *Grylotalpa* spp., *Locusta migratoria migratorioides*, *Melanoplus differentialis* and *Schistocerca gregaria*.

10 From the order of the Isoptera, for example, *Reticulitermes* spp.

From the order of the Anoplura, for example, *Phylloera vastatrix*, *Pemphigus* spp., *Pediculus humanus corporis*, *Haematopinus* spp. and *Linognathus* spp.

15 From the order of the Mallophaga, for example, *Trichodectes* pp. and *Damalinea* spp.

From the order of the Thysanoptera, for example, *Hercinothrips femoralis*, *Thrips tabaci* and *Frankliniella* spp.

20 From the order of the Heteroptera, for example, *Eurygaster* spp., *Dysdercus intermedius*, *Piesma quadrata*, *Cimex lectularius*, *Rhodnius prolixus* and *Triatoma* spp.

25 From the order of the Homoptera, for example, *Aleurodes brassicae*, *Bemisia tabaci*, *Trialeurodes vaporariorum*, *Aphis* spp., *Brevicoryne brassicae*, *Cryptomyzus ribis*, *Doralis fabae*, *Doralis pomi*, *Eriosoma lanigerum*, *Hyalopterus arundinis*, *Macrosiphum avenae*, *Myzus* spp., *Phorodon humuli*, *Rhopalosiphum padi*, *Empoasca* spp., *Euscelus bilobatus*, *Nephotettix cincticeps*, *Lecanium corni*, *Saissetia oleae*, *Laodelphax striatellus*,  
30 *Nilaparvata lugens*, *Aonidiella aurantii*, *Aspidiotus hederae*, *Pseudococcus* spp. and *Psylla* spp.

From the order of the Lepidoptera, for example, *Pectinophora gossypiella*, *Bupalus piniarius*, *Cheimatobia brumata*, *Lithocolletis blancardella*, *Hyponomeuta padella*,  
35 *Plutella maculipennis*, *Malacosoma neustria*, *Euproctis chrysorrhoea*, *Lymantria* spp., *Bucculatrix thurberiella*, *Phyllocnistis citrella*, *Agrotis* spp., *Euxoa* spp., *Feltia* spp., *Earias insulana*, *Heliothis* spp., *Laphygma exigua*, *Mamestra brassicae*, *Panolis flammea*, *Prodenia litura*,



Spodoptera spp., Trichoplusia ni, Carpocapsa pomonella,  
Pieris spp., Chilo spp., Pyrausta nubilalis, Ephestia  
kuehniella, Galleria mellonella, Cacoecia podana, Capua  
reticulana, Choristoneura fumiferana, Clysia ambiguella,  
5 Homona magnanima, Tortrix viridana, Cuaphalocrocis spp.  
and Manduca spp.

From the order of the Coleoptera, for example, Anobium  
punctatum, Rhizopertha dominica, Bruchidius obtectus,  
Acanthoscelides obtectus, Hylotrupes bajulus, Agelastica  
10 alni, Leptinotarsa decemlineata, Phaedon cochleariae,  
Diabrotica spp., Psylloides chrysocephala, Epilachna  
varivestis, Atomaria spp., Oryzaephilus surinamensis,  
Anthonomus spp., Sitophilus spp., Otiorrhynchus sulcatus,  
Cosmopolites sordidus, Ceuthorrhynchus assimilis, Hypera  
15 postica, Dermestes spp., Trogoderma, Anthrenus spp.,  
Attagenus spp., Lyctus spp., Meligethes aeneus, Ptinus  
spp., Niptus hololeucus, Gibbium psylloides, Tribolium  
spp., Tenebrio molitor, Agriotes spp., Conoderus spp.,  
Melolontha melolontha, Amphimallon solstitialis,  
20 Costelytra zealandica and Lissorhoptus spp.

From the order of the Hymenoptera, for example, Diprion  
spp., Hoplocampa spp., Lasius spp., Monomorium pharaonis  
and Vespa spp.

From the order of the Diptera, for example, Aedes spp.,  
25 Anopheles spp., Culex spp., Drosophila melanogaster,  
Musca spp., Fannia spp., Calliphora erythrocephala,  
Lucilia spp., Chrysomyia spp., Cuterebra spp.,  
Gastrophilus spp., Hypobosca spp., Stomoxys spp., Oestrus  
spp., Hypoderma spp., Tabanus spp., Tannia spp., Bibio  
30 hortulanus, Oscinella frit, Phorbia spp., Pegomyia  
hyoscyami, Ceratitis capitata, Dacus oleae and Tipula  
paludosa.

From the order of the Siphonaptera, for example,  
Xenopsylla cheopsis and Ceratophyllus spp.

35 From the order of the Arachnida, for example, Scorpio  
maurus and Latrodectus mactans.

From the class of helminths, for example, Haemonchus,  
Trichostrongylus, Ostertagia, Cooperia, Chabertia,

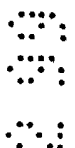
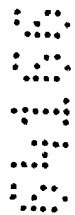


Strongyloides, Oesophagostomum, Hyostrongylus, Ancylostoma, Ascaris and Heterakis, as well as Fasciola.

From the class of Gastropoda, for example, Deroceras spp., Arion spp., Lymnaea spp., Galba spp., Succinea spp., Biomphalaria spp., Bulinus spp. and Oncomelania spp.

From the class of Bivalva, for example, Dreissena spp.

The phytoparasitic nematodes which can be controlled according to the invention include, for example, the root-parasitic soil nematodes, such as, for example, those of the genera Meloidogyne (root gall nematodes, such as Meloidogyne incognita, Meloidogyne hapla and Meloidogyne javanica), Heterodera and Globodera (cyst-forming nematodes, such as Globodera rostochiensis, Globodera pallida and Heterodera trifolii) and of the genera Radopholus (such as Radopholus similis), Pratylenchus (such as Pratylenchus neglectus, Pratylenchus penetrans and Pratylenchus curvatus), Tylenchulus (such as Tylenchulus semipenetrans), Tylenchorhynchus (such as Tylenchorhynchus dubius and Tylenchorhynchus claytoni), Rotylenchus (such as Rotylenchus robustus), Helicotylenchus (such as Helicotylenchus multicinctus), Belonoaimus (such as Belonoaimus longicaudatus), Longidorus (such as Longidorus elongatus), Trichodorus (such as Trichodorus primitivus) and Xiphinema (such as Xiphinema index).



The nematode genera Ditylenchus (stem parasites, such as Ditylenchus dipsaci and Ditylenchus destructor), Aphelenchoides (leaf nematodes, such as Aphelenchoides ritzemabosi) and Anguina (blossom nematodes, such as Anguina tritici) can furthermore be controlled with the compounds according to the invention.

The invention also relates to compositions, in particular insecticidal and acaricidal compositions, which include the compounds of the formula I in addition to suitable



formulation auxiliaries.

The compositions according to the invention in general include the active compounds of the formula I to the extent of 1 to 95% by weight.

5 They can be formulated in various ways, depending on how this is determined by the biological and/or chemico-physical parameters. Suitable formulation possibilities are therefore:

10 Wetable powders (WP), emulsifiable concentrates (EC), aqueous solutions (SL), emulsions, sprayable solutions, oil- or water-based dispersions, suspension concentrates (SC), suspoemulsions (SE), dusting powders (DP), seed dressings, granules in the form of microgranules, sprayed granules, absorption granules and adsorption granules,  
15 water-dispersible granules (WG), ULV formulations, microcapsules, waxes or baits.

20 These individual types of formulation are known in principle and are described, for example, in: Winnacker-Küchler, "Chemische Technologie" [Chemical Technology], Volume 7, C. Hauser Verlag Munich, 4th Edition 1986; van Falkenberg, "Pesticides Formulations", Marcel Dekker N.Y., 2nd Edition 1972-73; K. Martens, "Spray Drying Handbook", 3rd Edition 1979, G. Goodwin Ltd. London.

25 The necessary formulation auxiliaries, such as inert materials, surfactants, solvents and further additives, are likewise known and are described, for example, in: Watkins, "Handbook of Insecticide Dust Diluents and Carriers", 2nd Edition, Darland Books, Caldwell N.J.; H. v. Olphen, "Introduction to Clay Colloid Chemistry",  
30 2nd Edition, J. Wiley & Sons, N.Y.; Marsden, "Solvents Guide", 2nd Edition, Interscience, N.Y. 1950; McCutcheon's, "Detergents and Emulsifiers Annual", MC Publ. Corp., Ridgewood N.J.; Sisley and Wood, "Encyclopedia of Surface Active Agents", Chem. Publ. Co. Inc.,



N.Y. 1964; Schönfeldt, "Grenzflächenaktive Äthylenoxidaddukte" [Surface-active ethylene oxide adducts], Wiss. Verlagsgesell., Stuttgart 1967; Winnacker-Küchler, "Chemische Technologie" [Chemical Technology], Volume 7, C. Hauser Verlag Munich, 4th Edition 1986.

Combinations with other substances having a pesticidal action, fertilizers and/or growth regulators can be prepared on the basis of these formulations, for example in the form of a ready-to-use formulation or as a tank mix. Wettable powders are preparations which are uniformly dispersible in water and which, alongside the active compound, and in addition to a diluent or inert substance, also comprise wetting agents, for example polyoxyethylated alkylphenols, polyoxyethylated fatty alcohols or alkyl- or alkylphenol-sulfonates, and dispersing agents, for example sodium ligninsulfonate or sodium 2,2'-dinaphthylmethane-6,6'-disulfonate. Emulsifiable concentrates are prepared by dissolving the active compound in an organic solvent, for example butanol, cyclohexanone, dimethylformamide, xylene or also higher-boiling aromatics or hydrocarbons, with the addition of one or more emulsifiers. Emulsifiers which can be used are, for example: calcium alkylaryl-sulfonates, such as Cadodecylbenzenesulfonate, or nonionic emulsifiers, such as fatty acid polyglycol esters, alkylaryl polyglycol ethers, fatty alcohol polyglycol ethers, propylene oxide/ethylene oxide condensation products, alkyl polyethers, sorbitan fatty acid esters, polyoxyethylene sorbitan fatty acid esters or polyoxyethylene sorbitol esters.

Dusting powders are obtained by grinding the active compound with finely divided solid substances, for example talc, naturally occurring clays, such as kaolin, bentonite and pyrophyllite, or diatomaceous earth. Granules can be prepared either by spraying the active compound onto granular inert material capable of adsorption or by applying active compound concentrates to



the surface of carrier substances, such as sand, kaolin-  
ites or granular inert material, by means of adhesives,  
for example polyvinyl alcohol, sodium polyacrylate or  
mineral oils. Suitable active compounds can also be  
5 granulated in the manner customary for the preparation of  
fertilizer granules - if desired as a mixture with  
fertilizers.

In wettable powders, the active compound concentration  
is, for example, about 10 to 90% by weight, the remainder  
10 to make up 100% by weight including customary formula-  
tion constituents. In emulsifiable concentrates, the  
active compound concentration can be about 5 to 80% by  
weight. Dust-like formulations usually comprise 5 to 20%  
by weight of active compound, and sprayable solutions  
15 about 2 to 20% by weight. In granules, the content of  
active compound partly depends on whether the active  
compound is present in liquid or solid form and what  
granulating auxiliaries, fillers and the like are used.

In addition, the active compound formulations mentioned  
20 include, if appropriate, the particular customary  
tackifiers, wetting agents, dispersing agents, emul-  
sifiers, penetration agents, solvents, fillers or  
carriers.

For use, the concentrates in the commercially available  
25 form are diluted in the customary manner, if appropriate,  
for example by means of water in the case of wettable  
powders, emulsifiable concentrates, dispersions and in  
some cases also microgranules. Dust-like and granular  
formulations as well as sprayable solutions are usually  
30 not diluted further with additional inert substances  
before use.

The required amount applied varies with external  
conditions, such as temperature, humidity and the like.  
It can vary within wide limits, for example between  
0.0005 and 10.0 kg/ha or more of active substance, but is





preferably between 0.001 and 5 kg/ha.

The active compounds according to the invention can be present in their commercially available formulations and in the use forms prepared from these formulations as mixtures with other active compounds, such as insecticides, attractants, sterilizing agents, acaricides, nematocides, fungicides, growth-regulating substances or herbicides.

The pest control agents include, for example, phosphoric acid esters, carbamates, carboxylic acid esters, formamides, tin compounds, substances produced by microorganisms and the like. Preferred partners for the mixtures are

1. from the group of phosphorus compounds

15 acephate, azamethiphos, azinphos-ethyl-, azinphosmethyl, bromophos, bromophos-ethyl, chlorfenvinphos, chlormephos, chlorpyrifos, chlorpyrifos-methyl, demeton, demeton-S-methyl, demeton-S-methyl sulfone, dialifos, diazinon, dichlorvos, dicrotophos, O,O-1,2,2,2-tetrachloroethyl phosphorthioate (SD 208 304), dimethoate, disulfoton, EPN, ethion, ethoprophos, etrimfos, famphur, fenamiphos, fenitriothion, fensulfothion, fenthion, fonofos, formothion, heptenophos, isozophos, isothioate, isoxathion, malathion, methacrifos, methamidophos, methidathion, salithion, mevinphos, monocrotophos, naled, omethoate, oxydemeton-methyl, parathion, parathion-methyl, phen-  
20 thoate, phorate, phosalone, phosfolan, phosmet, phosphamidon, phoxim, pirimiphos, primiphos-ethyl, pirimiphos-methyl, profenofos, propaphos, proetamphos, prothiofos, pyraclofos, pyridapenthion, quinalphos, sulprofos, temephos, terbufos, tetrachlorvinphos, thiometon, triazophos, trichlorphon, vamidothion;

2. from the group of carbamates

aldicarb, 2-sec-butylphenyl methylcarbamate (BPMC), carbaryl, carbofuran, carbosulfan, cloethocarb, benfura-



carb, ethiofencarb, furathiocarb, isoprocarb, methomyl,  
5-methyl-m-cumenyl butyryl(methyl)carbamate, oxamyl,  
pirimicarb, propoxur, thiodicarb, thiofanox, ethyl 4,6,9-  
5 triaza-4-benzyl-6, 10-dimethyl-8-oxa-7-oxo-5,11-dithia-9-  
dodecenoate (OK 135), 1-methylthio(ethylideneamino) N-  
methyl-N-(morpholiniothio)carbamate (UC 51717);

3. from the group of carboxylic acid esters  
allethrin, alphamethrin, 5-benzyl-3-furylmethyl (E)-(1R)-  
cis, 2,2-di-methyl-3-(2-oxothioloan-3-ylidenemethyl)cyclo-  
10 propanecarboxylate, bioallethrin, bioallethrin ((S)-  
cyclopentyl isomer), bioresmethrin, biphenate, (RS)-1-  
cyano-1-(6-phenoxy-2-pyridyl)methyl (1RS)-trans-3-(4-  
tert-butylphenyl)-2,2-dimethylcyclopropanecarboxylate  
(NCI 85193), cycloprothrin, cyhalothrin, cythithrin,  
15 cypermethrin, cyphenothrin, deltamethrin, empenthrin,  
esfenvalerate, fenfluthrin, fenpropathrin, fenvalerate,  
flucythrinate, flumethrin, fluvalinate (D isomer),  
permethrin, pheothrin ((R) isomer), d-praethrin, pyre-  
thrins (naturally occurring products), resmethrin,  
20 tefluthrin, tetramethrin and tralomethrin;

4. from the group of amidines  
amitraz, chlordimeform;

5. from the group of tin compounds  
cyhexatin, fenbutatin oxide;

25 6. others  
abamectin, Bacillus thuringiensis, bensultap, binapacryl,  
bromopropylate, buprofezin, camphechlor, cartap, chloro-  
benzilate, chlorfluazuron, 2-(4-chlorophenyl)-4,5-di-  
phenylthiophene (UBI-T 930), chlorfentezine, 2-naphthyl-  
30 methyl cyclopropanecarboxylate (Ro 12-0470), cyromazin,  
N-(3,5-dichloro-4-(1,1,2,3,3,3-hexafluoro-1-propyloxy)-  
phenyl)carbonyl-2-chlorobenzocarbonyl acid ethyl  
ester, DDT, dicofol, N-(N-(3,5-di-chloro-4-(1,1,2,2-  
tetrafluoroethoxy)phenylamino)carbonyl)-2,6-difluorobenz-  
amide (XRD 473), diflubenzuron, N-(2,3-dihydro-3-methyl-



1,3-thiazol-2-ylidene)-2,4-xylidene, dinobuton, dinocap,  
endosulfan, ethofenprox, (4-ethoxyphenyl) (dimethyl) (3-(3-  
phenoxyphenyl)propyl)silane, (4-ethoxyphenyl) (3-(4-  
5 2-fluoro-3-phenoxyphenyl)propyl)dimethylsilane, fenoxycarb,  
ether (MTI 800), granulosis and nuclear polyhedrosis  
viruses, fenthiocarb, flubenzimine, flucycloxuron,  
flufenoxuron, gamma-HCH, hexythiazox, hydramethylnon  
(AC 217300), ivermectin, 2-nitromethyl-4,5-dihydro-6H-  
10 thiazine (DS 52618), 2-nitromethyl-3,4-dihydrothiazole  
(SD 35651), 2-nitromethylene-1,2-thiazinan-3-ylcarbama-  
dehyde (WL 108477), propargite, teflubenzuron, tetra-  
difon, tetrasul, thiocyclam, trifumuron, imidacloprid.

15 The active compound content of the use forms prepared  
from the commercially available formulations can be from  
0.00000001 to 95% by weight of active compound, prefer-  
ably between 0.00001 and 1% by weight.

The active compounds are used in a customary manner  
appropriate for the use forms.

20 The active compounds according to the invention are also  
suitable for controlling endo- and ectoparasites in the  
veterinary medicine field and in the field of animal  
husbandry.

25 The active compounds according to the invention are used  
here in a known manner, such as by oral use in the form  
of, for example, tablets, capsules, potions or granules,  
by means of dermal use in the form of, for example,  
dipping, spraying, pouring-on, spotting-on and dusting,  
and by parenteral use in the form of, for example,  
30 injection.

The novel compounds of the formula I according to the  
invention can accordingly also particularly advan-  
tageously be used in livestock husbandry (for example  
cattle, sheep, pigs and poultry, such as chickens, geese



and the like). In a preferred embodiment of the invention, the novel compounds are administered orally to the animals, if appropriate in suitable formulations (cf. above) and if appropriate with the drinking water or  
5 feed. Since excretion in the faeces takes place in an active manner, the development of insects in the faeces of the animals can be prevented very easily in this way. The dosages and formulations suitable in each case depend in particular on the species and the development stage of  
10 the stock animals and also on the pressure of infestation, and can easily be determined and specified by the customary methods. The novel compounds can be employed in cattle, for example, in dosages of 0.01 to 1 mg/kg of body weight.

15 The compounds of the formula I according to the invention are also distinguished by an outstanding fungicidal action. Fungal pathogens which have already penetrated into the plant tissue can successfully be controlled curatively. This is particularly important and  
20 advantageous for those fungal diseases which can no longer be controlled effectively with the otherwise customary fungicides after infection has occurred. The action spectrum of the compounds claimed includes various economically important phytopathogenic fungi, such as,  
25 for example, *Plasmopara viticola*, *Phytophthora infestans*, *Erysiphe graminis*, *Pyricularia oryzae*, *Pyrenophora teres*, *Leptosphaeria nodorum* and *Pellicularia sasakii* and *Puccinia recondita*.

In addition, the compounds according to the invention are  
30 also suitable for use in industrial fields, for example as wood preservatives, as preservatives in paints and in cooling lubricants for metalworking, or as preservatives in drilling and cutting oils.

35 The active compounds according to the invention can be used in their commercially available formulations either by themselves or in combination with other fungicides



known from the literature.

The following products, for example, may be mentioned as fungicides which are known from the literature and can be combined according to the invention with the compounds of the formula I: aldimorph, andoprim, anilazine, BAS 480F, BAS 450F, BAS 490F, benalaxyl, benodanil, benomyl, binapacryl, bitertanol, bromuconazole, buthiobate, captafol, captan, carbendazim, carboxin, CGA 173506, cyprodinil, cyprofuram, dichlofluanid, dichlomezin, diclobutrazol, diethofencarb, difenconazol (CGA 169374), difluconazole, dimethirimol, dimethomorph, diniconazole, dinocap, dithianon, dodemorph, dodine, edifenfos, ethirimol, etridiazol, epoxiconazole, fenbuconazole, fenarimol, fenfuram, fempiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, ferimzone (TF 164), fluazinam, fluobenzimine, fludioxinil, fluquinconazole, fluorimide, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminium, fuberidazole, fulsulfamide (MT-F 651), furalaxyl, furconazole, furmecyclox, guazatine, hexaconazole, ICI A5504, imazalil, imibenconazole, iprobenfos, iprodione, isoprothiolane, KNF 317, copper compounds, such as Cu oxychloride, oxine-Cu, Cu oxide, mancozeb, maneb, mepanipyrim (KIM 3535), metconazol, mepronil, metalaxyl, methasulfocarb, methfuroxam, MON 24000, myclobutanil, nabam, nitrothalidopropyl, nuarimol, ofurace, oxadixyl, oxycarboxin, penconazole, pencycuron, PP 969, probenazole, propineb, prochloraz, procymidon, propamocarb, propiconazole, prothiocarb, pyracarbolid, pyrazophos, pyrifenox, pyrimethanil, pyroquilon, rabenzazole, RH7592, sulfur, tebuconazole, TF 167, thiabendazole, thicyofen, thiofanatemethyl, thiram, tolclofos-methyl, tolylfluanid, triadimefon, triadimenol, triazoxid, tricyclazole, tridemorph, trifluzimol, triforine, triflunazol, validamycin, vinchlozolin, XRD 563, zineb, sodium dodecylsulfonate, sodium dodecyl sulfate, sodium C13/C15-alcohol ether-sulfonate, sodium cetostearyl phosphate ester, dioctyl sodium sulfosuccinate, sodium isopropyl-naphthalenesulfonate, sodium



methylenebisnaphthalene-sulfonate, cetyl-trimethyl-ammonium chloride, salts of long-chain primary, secondary or tertiary amines, alkyl-propyleneamines, lauryl-pyrimidinium bromide, ethoxylated quaternized fatty amines, 5 alkyl-dimethyl-benzyl-ammonium chloride and 1-hydroxyethyl-2-alkyl-imidazoline.

The abovementioned combination partners are known active compounds, most of which are described in Ch.R Worthing, S.B. Walker, The Pesticide Manual, 7th Edition (1983), 10 British Crop Protection Council. The active compound content of the use forms prepared from the commercially available formulations can vary within wide limits and the active compound concentration of the use forms can be from 0.0001 to 95% by weight of active compound, preferably 15 between 0.0001 and 1% by weight. They are used in a customary manner appropriate for the use forms.

The following examples serve to illustrate the invention, without this being limited thereto.

A. Formulation examples

- 20 a) A dusting powder is obtained by mixing 10 parts by weight of active compound and 90 parts by weight of talc, as the inert substance, and comminuting the mixture in an impact mill.
- 25 b) A wettable powder which is readily dispersible in water is obtained by mixing 25 parts by weight of active compound, 65 parts by weight of kaolin-containing quartz, as the inert substance, 10 parts by weight of potassium ligninsulfonate and 1 part by weight of sodium oleoylmethyltauride, as the wetting 30 and dispersing agent, and grinding the mixture in a pinned disk mill.
- c) A dispersion concentrate which is readily dispersible in water is prepared by mixing 40 parts by



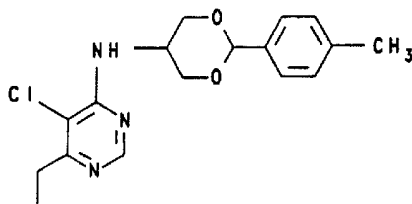
weight of active compound with 7 parts by weight of a sulfosuccinic acid half-ester, 2 parts by weight of a sodium ligninsulfonate and 51 parts by weight of water and grinding the mixture to a fineness of less than 5 microns in a grinding bead mill.

5  
d) An emulsifiable concentrate can be prepared from 15 parts by weight of active compound, 75 parts by weight of cyclohexane, as the solvent, and 10 parts by weight of oxyethylated nonylphenol (10 EO), as the emulsifier.

10  
e) Granules can be prepared from 2 to 15 parts by weight of active compound and an inert granule carrier material, such as attapulgite, pumice granules and/or quartz sand. A suspension of the wettable powder from Example b) having a solids content of 30% is expediently used, and this is sprayed onto the surface of attapulgite granules and the components are dried and mixed intimately. The weight content of the wettable powder here is about 15  
20 5% and that of the inert carrier material is about 95% of the finished granules.

#### B. Preparation examples

##### Example A



25 5-Chloro-6-ethyl-4-[2-(4-methylphenyl)-1,3-dioxan-5-ylamino]-pyrimidine

4.6 g (0.02 mol) of 5-chloro-6-ethyl-4-(1,3-dihydroxy-2-



propylamino)-pyrimidine,  
4.6 g (0.02 mol) of p-tolylaldehyde and  
0.5 g of p-toluenesulfonic acid hydrate were heated in  
100 ml of toluene for 6 hours using a water separator.

5 After cooling, the mixture was extracted by stirring with  
dilute sodium hydroxide solution and concentrated aqueous  
bisulfite solution and the organic phase was dried and  
concentrated. For purification and for separation of the  
10 cis/trans isomers, the residue was chromatographed over  
silica gel with ethyl acetate/petroleum ether (8:2). This  
gave initially 0.64 g (9.6% of theory) of the trans  
isomer (colorless crystals, melting point 129-130°C), and  
then 3.55 g (53.2% of theory) of the cis isomer (color-  
less crystals, melting point 107-108°C).

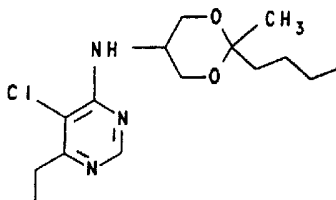
15 Preparation of the starting substance 5-chloro-6-ethyl-4-  
(1,3-dihydroxy-2-propylamino)-pyrimidine.

27.3 g (0.3 mol) of 2-amino-1,3-propanediol, 53.1 g  
(0.3 mol) of 4,5-dichloro-6-ethylpyrimidine and 44.6 g  
(0.45 mol) of triethylamine were heated under reflux in  
20 500 ml of toluene for 6 hours. A white precipitate of  
triethylamine hydrochloride and undissolved product  
formed. The toluene was stripped off, the solid contents  
of the flask were dissolved in methanol and, for purifi-  
cation and removal of the triethylamine hydrochloride,  
25 the solution was chromatographed over silica gel with  
methanol as the mobile phase. This gave 39.0 g of color-  
less crystals (56.1% of theory), melting point 104 -  
105°C.





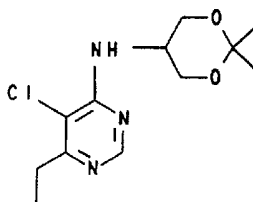
Example B



4-(2-n-Butyl-2-methyl-1,3-dioxan-5-ylamino)-5-chloro-6-ethyl-pyrimidine

2.16 g (9 mmol) of 5-chloro-6-ethyl-4-(1,3-dihydroxy-2-propylamino)-pyrimidine (Example 1), 1.80 g (18 mmol) of  
5 2-hexanone and 500 mg of p-toluenesulfonic acid were heated in 100 ml of toluene for 8 hours, using a water separator. After the mixture had been extracted by stirring with dilute sodium hydroxide solution, the  
10 organic phase was dried and concentrated. The cis/trans isomers were separated by chromatography over silica gel (mobile phase: ethyl acetate/petroleum ether 4:1). 0.29 g of trans isomer (10.3% of theory, colorless oil) was first eluted, followed by 0.49 g of the cis isomer (17.3%  
15 of theory, colorless oil).

Example C



5-Chloro-6-ethyl-4-(2,2-dimethyl-1,3-dioxan-5-ylamino)-pyrimidine

2.65 g (15 mmol) of 4,5-dichloro-6-ethyl-pyrimidine,

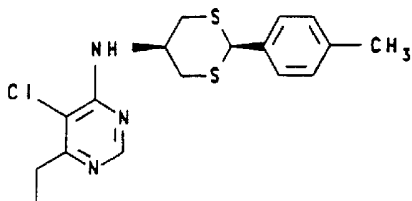


2.40 g (18.3 mmol) of 5-amino-2,4-dimethyl-1,3-dioxane and 3.03 g (30 mmol) of triethylamine were heated under reflux in 10 ml of toluene for 4 hours. After cooling, the mixture was extracted by stirring with water and the organic phase was dried and concentrated. For purification, the residue was chromatographed over silica gel (ethyl acetate/petroleum ether 4:1). This gave 0.46 g (11% of theory) of product as a colorless oil.

10 Preparation of the precursor 2,2-dimethyl-1,3-dioxan-5-ylamine

6.1 g (47 mmol) of 2,2-dimethyl-1,3-dioxan-5-one (D. Enders, B. Bockstiegel, Synthesis 1989, 493) were subjected to reductive amination in 50 ml of ammonia-saturated methanol in an autoclave at 50°C under a hydrogen pressure of 100 bar, using Raney nickel as the catalyst. After the catalyst had been filtered off and the filtrate concentrated, 4.8 g of a brown oil were obtained, this being further reacted without purification.

Example D



5-Chloro-6-ethyl-4-[cis-2-(4-methylphenyl)-1,3-dithian-5-ylamino]-pyrimidine

1.77 g (10 mmol) of 4,5-dichloro-6-ethyl-pyrimidine and 2.2 g (10 mmol) of 5-amino-2-(4-methylphenyl)-1,3-dithian were stirred with 2.02 g of triethylamine at 80 - 90°C for 6 hours. The mixture was taken up in water/methylene



chloride and the organic phase was dried and concentrated. For purification, the residue was chromatographed over silica gel (eluting agent petroleum ether/ethyl acetate 4:1). This gave 1.0 g of colorless solid (27.3% of theory), melting point: 138 - 139°C.

Preparation of the educt 5-amino-2-(4-methylphenyl)-1,3-dithian

22.4 g (0.1 mol) of 2-(4-methylphenyl)-1,3-dithian-5-one and 77.1 g (1.0 mol) of ammonium acetate were stirred in 250 ml of methanol with the addition of 37.5 g of molecular sieve (3 Å) for 30 minutes and 6.30 g (0.1 mol) of sodium cyanoborohydride were then added in portions at 20°C. The mixture was stirred at room temperature for 48 hours, diluted with methanol and filtered. The filtrate was concentrated and the residue was taken up in dilute hydrochloric acid/toluene. The hydrochloric acid phase was rendered basic with concentrated sodium hydroxide solution and extracted with ether. This gave 2.2 g of product as a colorless oil (9.8% of theory), which was reacted without further purification.

Preparation of 2-(4-methylphenyl)-1,3-dithian-5-one

131 g of methyl 2-(4-methylphenyl)-1,3-dithia-cyclohexan-5-one-4-carboxylate (0.464 mol) were heated under reflux with 350 ml of 2N sulfuric acid for 6 hours, with intensive stirring. After cooling, the mixture was decanted and the residue was taken up in ethyl acetate. The organic phase was washed with bicarbonate solution and water, dried and concentrated. For further purification, the solid residue was suspended in diisopropyl ether and filtered off with suction. This gave 62.7 g of yellow crystals (60.2% of theory), melting point: 112 - 113°C.

Preparation of methyl 2-(4-methylphenyl)-1,3-dithian-5-one-4-carboxylate



42.30 g of sodium hydride (80% dispersion in oil) (1.41 mol) were suspended in 700 ml of toluene and the suspension was heated to 90°C. 211.2 g (0.67 mol) of bis-(5-carbomethoxymethyl)-(4-methylbenzaldehyde)-mercaptal  
5 were slowly added dropwise at this temperature. Severe evolution of hydrogen and a yellow coloration of the reaction mixture occurred. If necessary, it was possible to start the reaction by addition of a few drops of ethanol. The mixture was then stirred at 100°C for  
10 4 hours. After cooling to room temperature, 20 ml of ethanol were added dropwise to destroy excess sodium hydride, water was added, the mixture was brought to pH 3 with concentrated hydrochloric acid and the organic phase was separated off. The aqueous phase was extracted twice  
15 more by stirring with toluene and the combined organic phases were extracted by stirring with bicarbonate solution.

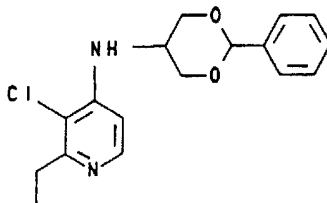
After drying and concentration of the organic phase, 131.7 g of product (69.4% of theory) were obtained, this  
20 being reacted without further purification.

Preparation of bis-(5-carbomethoxymethyl)-(4-methyl-phenyl)-mercaptal

120.1 g (1.0 mol) of p-tolylaldehyde and 201.7 g (1.9 mol) of methyl mercaptoacetate were heated with 5 g  
25 of p-toluenesulfonic acid hydrate in 600 ml of toluene, using a water separator, until the formation of water had ended. The mixture was then extracted twice by stirring with bicarbonate solution and water and the organic phase was dried and concentrated. To remove excess aldehyde,  
30 the crude product was dissolved in ethyl acetate and extracted by stirring with concentrated sodium bisulfite solution. After drying and concentration of the organic phase, 213.2 g of crude product were obtained (67.8% of theory), this being reacted further without further  
35 purification.



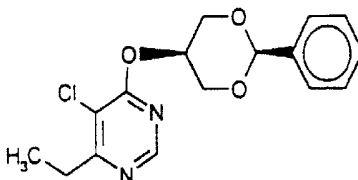
Example E



3-Chloro-2-ethyl-4-(2-phenyl-1,3-dioxan-5-ylamino)-pyridine

2.3 g (10 mmol) of 5-chloro-6-ethyl-4-(1,3-dihydroxy-2-propylamino)-pyridine (prepared analogously to Example A from 2-amino-1,3-propanediol and 3,4-dichloro-2-ethylpyridine) and 5.0 g of benzaldehyde were heated with 2.1 g of p-toluenesulfonic acid hydrate in 40 ml of toluene, using a water separator, until the evolution of water had ended. Working up and purification were carried out analogously to Example A. the chromatography over silica gel (ethyl acetate) gave initially 0.6 g of trans isomer (18.8% of theory), melting point: 139 - 140°C, and then 2.4 g of cis isomer (75.2% of theory), melting point 119 - 120°C.

Example F



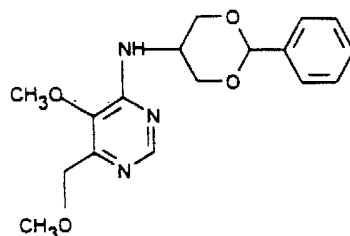
5-Chloro-6-ethyl-4-(cis-2-phenyl-dioxan-5-yloxy)-pyrimidine

1.8 g (10 mmol) of cis-5-hydroxy-2-phenyl-1,3-dioxane (E. Juaristi, S. Antunez, Tetrahedron 48, 5941 (1992)) and 1.8 g of 4,5-dichloro-6-ethyl-pyrimidine were initially introduced into 15 ml of dry tetrahydro-



- furan, and 0.4 g (12 mmol) of sodium hydride (80% in mineral oil) was added in portions at room temperature. The mixture was subsequently stirred at room temperature for 8 hours, 2 ml of methanol were added dropwise and the mixture was concentrated. The residue was taken up in ethyl acetate, the mixture was filtered and the filtrate was concentrated. For purification, the residue was chromatographed over silica gel (petroleum ether/ethyl acetate 1:1).
- This gave 1.0 g (31.2% of theory) of colorless solid. Melting point 114 - 115°C.

Example G

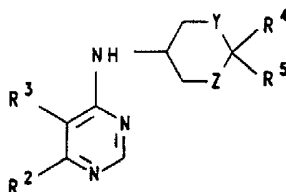


5-Methoxy-6-methoxymethyl-4-(2-phenyl-1,3-dioxan-5-ylamino)pyrimidine

- In analogy to Example A, starting from 4-chloro-5-methoxy-6-methoxymethylpyrimidine (Collection Czechoslov. Chem. Commun. 33 (1568) 2266) and 2-amino-1,3-propanediol, the intermediate 4-(1,3-dihydroxy-2-propylamino)-5-methoxy-6-methoxymethyl-pyrimidine was obtained (yellow oil, NMR (CDCl<sub>3</sub>; 300 MHz):  $\delta$  = 3.47 (s, 3H, OCH<sub>3</sub>), 3.48 (s, 2H, OH), 3.80 (s, 3H, OCH<sub>3</sub>), 3.88 (m, 4H, CH<sub>2</sub>), 4.11 (m, 1H, CH), 4.44 (s, 2H, CH<sub>2</sub>), 6.04 (d, 1H, NH), 8.26 (s, 1H, CH)) which was subsequently reacted with benzaldehyde in the presence of p-toluene-sulfonic acid and toluene as solvent to give the end product. Chromatography on silica gel (methanol/ethyl acetate 1:9) gave first the trans isomer (yellow oil; NMR (CDCl<sub>3</sub>, 200 MHz),  $\delta$  = 3.50 (s, 3H, OCH<sub>3</sub>), 3.70 (tr, 2H, dioxane-H), 3.80 (s, 3H, OCH<sub>3</sub>), 5.45 (dd, 2H, dioxane-H), 4.50 (s,



2H, CH<sub>2</sub>), 4.60 (m, 2H, CH), 5.05 (d, 1H, NH), 5.55 (s, 1H, ketal-H), 7.40-7.55 (2m, 5H, phenyl-H), 8.40 (s, 1H, pyrimidine-H) and then the cis isomer (yellow oil, NMR, (CDCl<sub>3</sub>, 20 MHz), δ = 3.50 (s, 3H, OCH<sub>3</sub>), 3.85 (s, 3H, OCH<sub>3</sub>), 4.20-4.30 (m, s, 5H, dioxane-H), 4.50 (s, 2H, CH<sub>2</sub>), 5.65 (s, 1H, ketal-H), 6.15 (d, 1H, NH), 7.35-7.55 (2m, 5 5H, phenyl-H) (8.35, (s, 1H, pyrimidine-H)).



Ex. No.	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	Isomer	Y	Z	m.p. [°C]
1	C <sub>2</sub> H <sub>5</sub>	Cl	H	phenyl	trans	O	O	117-118
2	"	"	"	"	cis	"	"	83-84
3	"	"	CH <sub>3</sub>	"	trans	"	"	
4	"	"	"	"	cis	"	"	
5	"	"	H	4-chlorophenyl	trans	"	"	142-143
6	"	"	"	"	cis	"	"	87-88
7	"	"	"	4-fluorophenyl	cis	"	"	82-84
8	"	"	"	4-bromophenyl	trans	"	"	
9	"	"	"	"	cis	"	"	
10	"	"	"	4-iodophenyl	trans	"	"	
11	"	"	"	"	cis	"	"	
12	"	"	"	4-methylphenyl	trans	"	"	129-130
13	"	"	"	"	cis	"	"	107-108
14	"	"	CH <sub>3</sub>	4-methylphenyl	trans	"	"	oil
15	"	"	"	"	cis	"	"	oil
16	"	"	H	4-ethylphenyl	trans	"	"	103-105
17	"	"	"	"	cis	"	"	73-75
18	"	"	"	4-n-propylphenyl	trans	"	"	
19	C <sub>2</sub> H <sub>5</sub>	Cl	H	4-n-propylphenyl	cis	O	O	
20	"	"	"	4-isopropylphenyl	trans	"	"	
21	"	"	"	"	cis	"	"	95-96
22	"	"	"	4-n-butylphenyl	trans	"	"	86-87
23	"	"	"	"	cis	"	"	71-72
24	"	"	"	4-isobutylphenyl	trans	"	"	74-75



Ex. No.	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	Isomer	Y	Z	m.p. [°C]
25	"	"	"	"	cis	"	"	76-77
26	"	"	"	4-tert-butylphenyl	cis	"	"	99-100
27	"	"	"	4-n-octylphenyl	trans	"	"	
28	"	"	"	"	cis	"	"	
29	"	"	"	4-trifluoromethylphenyl	trans	"	"	133-134
30	"	"	"	"	cis	"	"	80-81
31	"	"	"	4-methoxyphenyl	trans	"	"	
32	"	"	"	"	cis	"	"	
33	"	"	"	4-difluoromethoxyphenyl	trans	"	"	94-95
34	"	"	"	"	cis	"	"	84-85
35	"	"	"	4-trifluoromethoxyphenyl	cis	"	"	61-62
36	"	"	"	4-ethoxyphenyl	cis	"	"	131-132
37	C <sub>2</sub> H <sub>5</sub>	Cl	H	4-tert-butoxyphenyl	trans	O	O	
38	"	"	"	"	cis	"	"	112-113
39	"	"	"	4-biphenyl	trans	"	"	155-156
40	"	"	"	"	cis	"	"	138-140
41	"	"	"	4-biphenyl	trans	"	"	
42	"	"	"	"	cis	"	"	
43	"	"	"	1-naphthyl	trans	"	"	138-140
44	"	"	"	"	cis	"	"	105-107
45	"	"	"	2-naphthyl	trans	"	"	141-142
46	"	"	"	"	cis	"	"	75-76
47	"	"	"	2,8-difluorophenyl	trans	"	"	158-159
48	"	"	"	"	cis	"	"	123-124
49	"	"	"	4-chloro-3-trifluoromethylphenyl	trans	"	"	91-93





Ex. No.	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	Isomer	Y	Z	m.p. (°C)
50	"	"	"	"	cis	"	"	104-105
51	"	"	"	3,4-dimethoxyphenyl	trans	"	"	111-112
52	"	"	"	"	cis	"	"	88-89
53	"	"	"	3,4-methylenedioxyphenyl	cis	"	"	128-129
54	C <sub>2</sub> H <sub>5</sub>	Cl	H	3,4-ethylenedioxyphenyl	cis	O	O	119-120
55	"	"	"	3,4-methylenedioxy-6-methoxyphenyl	cis	"	"	113-115
56	"	"	"	2-thienyl	trans	"	"	82-83
57	"	"	"	"	cis	"	"	76-77
58	"	"	"	2-methylthien-5-yl	trans	"	"	
59	"	"	"	"	cis	"	"	120-121
60	"	"	"	4-methylthien-2-yl	trans	"	"	
61	"	"	"	4-methylthien-2-yl	cis	"	"	
62	"	"	"	4-bromothien-2-yl	trans	"	"	83-85
63	"	"	"	"	cis	"	"	92-94
64	"	"	"	2-bromothien-5-yl	trans	"	"	
65	"	"	"	"	cis	"	"	
66	"	"	"	2-chlorothien-5-yl	trans	"	"	
67	"	"	"	"	cis	"	"	
68	"	"	"	2-ethylthien-5-yl	trans	"	"	
69	"	"	"	"	cis	"	"	
70	"	"	"	thiazol-2-yl	trans	"	"	193-194
71	C <sub>2</sub> H <sub>5</sub>	Cl	H	thiazol-2-yl	cis	O	O	liquid
72	"	"	"	2-pyridyl	trans	"	"	
73	"	"	"	"	cis	"	"	



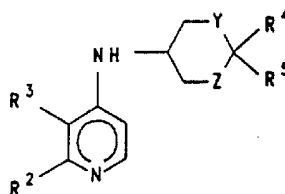
Ex. No.	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	Isomer	Y	Z	m.p. [°C]
74	"	"	"	3-pyridyl	trans	"	"	
75	"	"	"	"	cis	"	"	
76	"	"	"	4-pyridyl	trans	"	"	
77	"	"	"	"	cis	"	"	
78	"	"	CH <sub>3</sub>	CH <sub>3</sub>	-	"	"	oil
79	CH <sub>2</sub> OCH <sub>3</sub>	OCH <sub>3</sub>	"	"	-	"	"	
80	C <sub>2</sub> H <sub>5</sub>	Cl	H	n-C <sub>3</sub> H <sub>7</sub>	cis	"	"	
81	"	"	"	i-C <sub>3</sub> H <sub>7</sub>	"	"	"	
82	"	"	"	n-C <sub>4</sub> H <sub>9</sub>	"	"	"	oil
83	"	"	"	tert -C <sub>4</sub> H <sub>9</sub>	"	"	"	55-56
84	"	"	"	n-C <sub>6</sub> H <sub>13</sub>	"	"	"	
85	"	"	"	cyclohexyl	"	"	"	oil
86	"	"	"	1-phenylethyl	"	"	"	oil
87	"	"	"	2-phenylethyl	"	"	"	oil
88	"	"	"	3-(4-isopropyl-phenyl)-2-methyl-propyl	"	"	"	
89	"	"	"	3-(4-tert-butyl-phenyl)-2-methyl-propyl	"	"	"	
90	C <sub>2</sub> H <sub>5</sub>	Cl	CH <sub>3</sub>	n-C <sub>4</sub> H <sub>9</sub>	trans	O	O	oil
91	"	"	"	"	cis	"	"	oil
92	C <sub>2</sub> H <sub>5</sub>	Cl	"	-(CH <sub>2</sub> ) <sub>4</sub> -	-	O	O	oil
93	"	"	"	-(CH <sub>2</sub> ) <sub>2</sub> -CHC <sub>6</sub> H <sub>5</sub> -(CH <sub>2</sub> ) <sub>2</sub> -	-	"	"	
94	"	"	H	p-tolyl	cis	S	S	138-139
95	"	"	H	3,4-(2,2-difluoro-methylenedioxy)-phenyl	cis	O	O	
96	C <sub>2</sub> H <sub>5</sub>	Cl	H	4-methylthiophenyl	cis	O	O	oil
97	"	"	"	"	trans	"	"	126-127
98	C <sub>2</sub> H <sub>5</sub>	Cl	H	4-ethylthiophenyl	cis	O	O	



Ex. No.	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	Isomer	Y	Z	m.p. [°C]
99	"	"	"	4-propylthiophenyl	cis	"	"	
100	"	"	"	4-isopropylthiophenyl	cis	"	"	85-86
101	"	"	"	"	trans	"	"	104-105
102	"	"	"	4-tert-butylthiophenyl	cis	"	"	153-154
103	"	"	"	"	trans	"	"	126-127
104	"	"	"	3-fluoro-4-methylthiophenyl	cis	"	"	77-78
105	"	"	"	"	trans	"	"	147-148
106	"	"	"	3-chloro-4-methylthiophenyl	cis	"	"	68-69
107	"	"	"	"	trans	"	"	133-134
108	"	"	"	3-bromo-4-methylthiophenyl	cis	"	"	81-82
109	"	"	"	"	trans	"	"	140-141
110	"	"	"	4-methylsulfinylphenyl	cis	"	"	149-151
111	"	"	"	4-methylsulfonylphenyl	cis	"	"	158-160
112	"	"	"	3-methyl-4-methylthiophenyl	"	"	"	
113	"	"	"	3-thienyl	cis	"	"	95-97
114	"	"	"	"	trans	"	"	
115	"	"	"	3-methylthien-2-yl	cis	"	"	oil
116	"	"	"	"	trans	"	"	oil
117	"	"	"	3-bromothien-2-yl	cis	"	"	
118	"	"	"	"	trans	"	"	
119	C <sub>2</sub> H <sub>5</sub>	Cl	H	5-methoxythien-2-yl	cis	O	O	



Ex. No.	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	isomer	Y	Z	m.p. [°C]
120	*	*	*	*	trans	O	O	

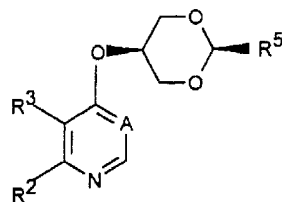


Ex. No.	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	isomer	Y	Z	m.p. [°C]
150	C <sub>6</sub> H <sub>5</sub>	Cl	H	phenyl	trans	O	O	139-140
151	*	*	*	*	cis	*	*	119-120
152	*	*	*	4-chlorophenyl	trans	*	*	
153	*	*	*	*	cis	*	*	
154	*	*	*	4-fluorophenyl	trans	*	*	
155	*	*	*	*	cis	*	*	
156	*	*	*	4-bromophenyl	trans	*	*	162-163
157	*	*	*	*	cis	*	*	120-121
158	*	*	*	2-fluorophenyl	trans	*	*	
159	*	*	*	*	cis	*	*	
160	*	*	*	3-methoxyphenyl	trans	*	*	121-122
161	*	*	*	*	cis	*	*	121-122
162	*	*	*	2,4-dimethoxyphenyl	trans	*	*	138-139
163	*	*	*	*	cis	*	*	
164	*	*	*	2,3-dimethoxyphenyl	trans	*	*	
165	*	*	*	*	cis	*	*	97-98
166	*	*	*	2,5-dimethoxyphenyl	trans	*	*	114-115



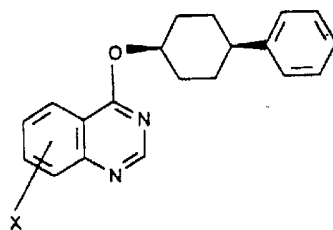
Ex. No.	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	Isomer	Y	Z	m.p. (°C)
167	C <sub>2</sub> H <sub>5</sub>	Cl	H	2,5-dimethoxyphenyl	cis	O	O	
168	"	"	"	4-n-octyloxyphenyl	trans	"	"	
169	"	"	"	"	cis	"	"	66-67
170	"	"	"	3-phenoxyphenyl	trans	"	"	
171	"	"	"	"	cis	"	"	
172	"	"	"	4-trifluoromethoxyphenyl	trans	"	"	
173	"	"	"	"	cis	"	"	
174	"	"	"	1-naphthyl	trans	"	"	
175	"	"	"	"	cis	"	"	
176	"	"	"	2-bromo-3-methoxy-pyridin-4-yl	trans	"	"	
177	"	"	"	"	cis	"	"	
178	"	"	"	tert-butyl	trans	"	"	123-124
179	"	"	"	"	cis	"	"	86-87
180	"	"	"	n-undecyl	trans	"	"	
181	"	"	"	"	cis	"	"	54-55
182	"	"	"	4-methylphenyl	cis	"	"	





5

Ex. No.	R <sup>2</sup>	R <sup>3</sup>	R <sup>5</sup>	m.p. [°C]
200	C <sub>2</sub> H <sub>5</sub>	Cl	phenyl	114-115
201	CH <sub>2</sub> OCH <sub>3</sub>	OCH <sub>3</sub>	phenyl	112-113
202	-CH=CH-CH=CH-		phenyl	193-195
203	-(CH <sub>2</sub> ) <sub>4</sub> -		phenyl	
204	C <sub>2</sub> H <sub>5</sub>	Cl	4-methyl-phenyl	



10

Ex. No.	X	m.p. [°C]
205	7-Cl	165-168
206	2-CCl <sub>3</sub>	129-132
207	2-CHCl <sub>2</sub>	176-179
208	5-Cl	246 (decomp.)
209	6-CH <sub>3</sub>	200-202
210	8-Cl	155-157



Ex. No.	X	m.p. [°C]
211	8-F	134-136
212	6-F	218-220
213	8-CH <sub>3</sub>	151-153
214	6,7-(OCH <sub>3</sub> ) <sub>2</sub>	222-223
215	6-Cl	206-207

5

All the examples listed in the above tables with the 5-chloro-6-ethyl-pyrimidine system can be prepared completely analogously, for example, with the 5-chloro-6-methyl-, 5-bromo-6-ethyl- or the 5-methoxy-6-methoxy-  
10 methyl-pyrimidine system or the quinazoline or 8-fluoro-quinazoline system.

#### C. Biological examples

Use as a fungicide

The activity of the preparations according to the invention was evaluated in accordance with a scale of 0-4,  
15 where

- 0 is 0 - 24% suppression of infestation
- 1 is 25 - 49% suppression of infestation
- 2 is 50 - 74% suppression of infestation
- 20 3 is 75 - 97% suppression of infestation
- 4 is 97 - 100% suppression of infestation.

#### Example A

Barley plants of the "Maris Otter" variety were sprayed in the 2-leaf stage with a solution of the compounds  
25 according to the invention in a mixture of 40% of acetone and 60% of water until dripping wet. 24 hours later, the plants were inoculated with conidia of powdery mildew of barley (*Erysiphe graminis* f. sp. *hordei*) and were kept in a climatically controlled chamber at 20°C and a relative



atmospheric humidity of 75 - 80%. 7 days after the treatment, the plants were investigated for infestation with powdery mildew of barley.

The following compounds were evaluated with 3 or 4 at 50 mg of active compound/l of spray liquor:

Compounds according to Examples No. 2, 5, 7, 13, 23, 26, 30, 35, 38, 40, 53, 62, 86, 202 and 211.

#### Example B

Tomato plants of the variety "First in the Field" were sprayed in the 3- to 4-leaf stage with a solution of the compounds according to the invention in a mixture of 40% of acetone and 60% of water until dripping wet. 24 hours later, the plants were inoculated with a spore suspension of *Phytophthora infestans* (20 000 spores/ml) and kept in a climatically controlled chamber at 15°C, first for 2 days at 99% relative atmospheric humidity and then for 4 days at 75 - 80% relative atmospheric humidity. 6 days after the treatment, the plants were investigated for infestation with *Phytophthora infestans*.

The following compounds were rated with 3 or 4 at 50 mg of active substance/l of spray liquor:

Compounds according to Examples No. 2, 13, 23, 35, 38, 53, 54, 85 and 86.

#### Example C

Seedlings of the grape variety "Grüner Veltliner" about 6 weeks old were sprayed with a solution of the compounds according to the invention in a mixture of 40% of acetone and 60% of water until dripping wet. 24 hours later, the plants were inoculated by spraying with a zoospore suspension (100 000/ml) of *Plasmopara viticola* and kept in a climatically controlled chamber at 70°C and a relative atmospheric humidity of about 99%. 14 days after treatment, the plants were investigated for their infestation with *Plasmopara viticola*.

The following compounds were rated with 3 or 4 at 50 mg





of active substance/l of spray liquor:

Compounds according to Examples No. 2, 5, 26, 37, 38, 40, 52, 53, 62 and 83.

Example D

- 5 Wheat plants of the variety "Hornet" were sprayed in the 2-leaf stage with a solution of the compounds according to the invention in a mixture of 40% of acetone and 60% of water until dripping wet. 24 hours later, the plants were inoculated by spraying with a pycniospore suspension  
10 (500 000/ml) of *Leptosphaeria nodorum* and kept in a climatically controlled chamber at 18 - 20°C and a relative atmospheric humidity of about 99%. 14 days after inoculation, the plants were investigated for their infestation with *Leptosphaeria nodorum*.
- 15 The following compounds were rated with 3 or 4 at 50 mg of active substance/l of spray liquor:  
Compounds according to Examples No 5, 22, 23, 57, 36, 37, 38 and 182.

Example E

- 20 Rice plants of the variety "Nihonbare" were sprayed in the 1.5-leaf stage with a solution of the compounds according to the invention in a mixture of 40% of acetone and 60% of water until dripping wet. At the same time, a solution of the substances in a mixture of 5% of acetone  
25 and 95% of water was applied by watering. 24 hours later, the plants were inoculated by spraying with a pycnospore suspension (10<sup>6</sup>/ml) of *Pyricularia oryzae*. The plants were kept in a darkened climatically controlled chamber at 26°C and a relative atmospheric humidity of 99% for  
30 2 days and then transferred to an illuminated climatically controlled chamber at about 18°C and a relative atmospheric humidity of 75 - 80%. 7 - 9 days after inoculation, the plants were investigated for their infestation with *Pyricularia oryzae*.
- 35 The following substances were rated with 3 or 4 at 50 mg



of active substance/l of spray liquor:

Compounds according to Examples No. 2, 5, 7, 13, 17, 25, 36, 38, 43, 44, 62, 91, 96, 102, 105, 182 and 202.

Example F

5 Apple seedlings (*Malus* sp.) about 3 weeks old were  
sprayed with a solution of the compounds according to the  
invention in a mixture of 40% of acetone and 60% of water  
until dripping wet. After 24 hours, the plants were  
inoculated by spraying with a spore suspension  
10 (300 000/ml) of *Venturia inaequalis*. The plants were kept  
in the dark at 18 - 20°C and a relative atmospheric  
humidity of 99% for 2 days, and then in the light at the  
same atmospheric humidity for 5 days, and finally at 75 -  
80% atmospheric humidity for 7 days. 14 days after  
15 treatment, the plants were investigated for their infes-  
tation with *Venturia inaequalis*.

The following substances were rated with 3 or 4 at 50 mg  
of active substance/l of spray liquor:

Compounds according to Examples No. 25, 35 and 57.

20 Example G

Tomato plants of the variety "First in the Field" were  
sprayed in the 2- to 3-leaf stage with a solution of the  
compounds according to the invention in a mixture of 40%  
of acetone and 60% of water until dripping wet. After  
25 24 hours, the plants were inoculated with a spore suspen-  
sion (500 000/ml) of *Botrytis cinerea*. The plants were  
kept in a climatically controlled chamber at 18 - 20°C  
and 99% relative atmospheric humidity. 5 days after  
inoculation, the plants were investigated for their  
30 infestation with *Botrytis cinerea*.

The following substances were rated with 3 or 4 at 50 mg  
of active substance/l of spray liquor:

Compounds according to Examples No. 54, 85 and 86.

Use as an insecticide/acaricide



Example A

In each case 1 ml of the formulation to be tested, emulsified in water, is applied uniformly to the inside of the lid and the base of a Petri dish, and after the  
5 deposit has dried, in each case 10 imagos of the housefly (*Musca domestica*) are introduced. After the dishes have been closed, they are kept at room temperature, and the mortality of the test animals is determined after  
10 3 hours. At 250 ppm (based on the content of active compound), the preparations according to Examples No. 2, 6, 7, 33, 35, 36, 40, 49, 50, 52, 53, 54, 55, 57, 85, 94, 101 and 115 show a 100% mortality of the test animals employed.

Example B

15 Rice seed is germinated under damp conditions on cotton-wool in growing glasses and, after growing to a stem length of about 8 cm, the leaves are introduced into the test solution to be tested. After the solution has dripped off, the rice plants treated in this way are  
20 introduced into cultivation containers, separated according to the test concentration, and infested with in each case 10 larvae (L3) of the species *Nilaparvata lugens*. After the closed cultivation containers have been kept at 21°C, the mortality of the leafhopper larvae can  
25 be determined after 4 days. At a concentration of 250 ppm (based on the content of active compound), the preparations according to Examples No. 2, 6, 7, 13, 23, 26, 29, 33, 35, 36, 40, 46, 48, 49, 50, 53, 54, 57, 85, 86 and 94 show a 100% mortality of the test animals employed.

30 Example C

Wheat seed is pregerminated under water for 6 hours and then placed in 10 ml glass test tubes and covered with in each case 2 ml of soil. After addition of 1 ml of water, the plants remain in the cultivation glasses at room



temperature (21°C) until a growth height of about 3 cm is reached. Middle *Diabrotica undecimpunctata* larvae stages (in each case 10) are then placed on the soil in the glasses and, after 2 hours, 1 ml of the test liquid in the concentration to be tested is pipetted onto the soil surface in the glasses. After the glasses have stood under laboratory conditions (21°C) for 5 days, the soil and the root parts are examined for live *Diabrotica* larvae and the mortality is determined. At 250 ppm (based on the content of active compound), the preparations according to Examples No. 2, 6, 7, 13, 26, 29, 33, 36, 38, 40, 52, 53, 54, 57, 85, 86, 92, 94, 101, 127 and 129 show a 100% mortality of the test animals employed.

Example D

Broad beans (*Vicia faba*) heavily infested with the black bean aphid (*Aphis fabae*) are sprayed with aqueous dilutions of wettable powder concentrates having an active compound content of 250 ppm up until the stage where they start to drip. The mortality of the aphids is determined after 3 days. 100% destruction can be achieved with the compounds according to Examples No. 2, 6, 7, 12, 13, 23, 26, 29, 33, 35, 36, 38, 40, 48, 50, 52, 53, 54, 57, 85, 86 and 92.

Example E

Bean plants (*Phaseolus v.*) heavily infested with two-spotted spider mites (*Tetranychus urticae*, full population) were sprayed with an aqueous dilution of a wettable powder concentrate which comprised 250 ppm of the particular active compound. The mortality of the mites was checked after 7 days. 100% destruction was achieved with the compounds according to Examples No. 2, 6, 7, 13, 23, 26, 29, 33, 35, 36, 38, 39, 40, 48, 50, 53, 54, 55, 57, 85, 86 and 94.



Example F

5 Disks of filter paper on which eggs of cotton stainers  
(*Oncopeltus fasciatus*) lay are treated with in each case  
0.5 ml of an aqueous dilution of the formulation to be  
tested. After the deposit has dried on, the Petri dish is  
closed and the inside is kept at maximum atmospheric  
humidity. After the dish had been kept at room tempera-  
ture, the ovicidal action was determined after 7 days.  
10 With an active compound content of 500 ppm, a 100%  
ovicidal action was achieved with the compounds according  
to Examples No. 6, 13, 33, 35, 48, 52, 53, 54, 55, 57,  
85, 86, 94, 101 and 115.

Example G

15 Leaves of the *Phaseolus vulgaris* bean are covered uni-  
formly with eggs of the whitefly (*Trialeurodes*  
*vaporariorum*) and, after a development time of the  
whitefly population to the L2 - L3 stage, are sprayed  
uniformly with the aqueous test emulsions of the formula-  
tion. After 4 days, a microscopic check of the larvae on  
20 the leaves shows that a 100% destruction can be achieved  
with the compounds according to Examples No. 6, 7, 13,  
26, 35, 36, 48, 52, 53, 54, 57 and 86.

Example H

25 L2 larvae of *Spodoptera littoralis* (Egyptian cotton-worm)  
are placed in Petri dishes which are covered with filter  
paper on the base and contain a small amount of nutrient  
medium. The base with the nutrient medium and the larvae  
on top is sprayed with the aqueous emulsions of the test  
substances and the Petri dishes are closed with a lid.  
30 After 5 days at about 23°C, the action of the compounds  
on the larvae is determined. It was found that with the  
method mentioned, a 100% action can be achieved on  
*Spodoptera littoralis* larvae with the compounds according  
to Examples No. 13, 29 and 33 at a concentration of the



spray liquor of 250 ppm (based on the active compound).

Example J

Control of root gall nematodes

5 An aqueous formulation comprising 0.03% of active compound is prepared in a glass vessel (final volume 20 ml). About 5000 freshly hatched, active (mobile) larvae (2nd development stage) of root gall nematodes (*Meloidogyne incognita*) are added to this prepared mixture. After 6 days of continuous exposure of the nematode larvae, the  
10 percentage proportion of individuals which have become motionless (immobile) due to the action of the active compound is determined in comparison with the untreated controls. This percentage proportion is called percent nematicidal contact action (Test Section A).

15 After conclusion of this test section, the entire solution (active compound and pretreated nematode larvae) is poured into a pot with three pre-cultivated cucumber plants (*Cucumis stivus*; soil volume 60 ml; age of the cucumber plants: 9 days after sowing). As a result of  
20 this drench application, the active compound content is reduced to 0.009%, based on the soil volume. The host plants treated in this way are then cultivated further in a greenhouse (25 to 27°C, watering twice daily). After two weeks, the host plants with the root balls are  
25 removed from the soil mixture infested with nematodes and freed from adhering soil. The plant growth and root formation of the host plants are evaluated visually during this procedure, and recorded. The number of root galls per plant is then counted and compared with the  
30 infestation of untreated control plants. The calculation of the percentage reduction in infestation as a criterion for evaluation of the action is carried out in accordance with the Abbott formula. The result is called the percent nematicidal soil drench action (Test Section B).

The compounds of Examples 2, 6, 7, 13, 35, 57, 83, 87,



96, 110 and 111 showed a 90 to 100% action against the root gall nematode *Meloidogyne incognita* in Test Section A and Test Section B.

Use as an antiparasitic

5 Example A

In vitro test on tropical cattle ticks (*Boophilus microplus*)

10 It was possible to detect the activity of the compounds according to the invention against ticks in the following experimental arrangement:

To prepare a suitable active compound formulation, the active compounds were dissolved to the extent of 10% (w/v) in a mixture comprising dimethylformamide (85 g), nonylphenol polyglycol ether (3 g) and ethoxylated castor 15 oil (7 g), and the emulsion concentrates thus obtained were diluted with water to a test concentration of 500 ppm.

20 In each case ten fully satiated females of the tropical tick *Boophilus microplus* were dipped in these active compound dilutions for five minutes. The ticks were then dried on filter paper and then attached with their back to an adhesive film for the purpose of oviposition. The ticks were kept in a heated cabinet at 28°C and an atmospheric humidity of 90%.

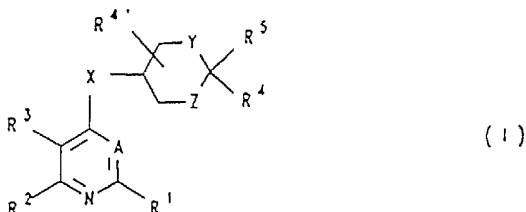
25 As a control, tick females were dipped only in water. Two weeks after the treatment, the inhibition of oviposition was used to evaluate the activity.

30 In this test, the compounds according to Examples No. 2, 7, 13, 17, 21, 23, 25, 26, 33, 35, 36, 38, 40, 44, 50, 52, 54, 55, 57, 63, 85, 87, 96, 100, 104, 106, 108, 110, 111, 179 and 182 in each case cause 100% inhibition of the laying of eggs.



THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

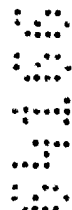
1. A compound of the formula (I)



in which

R<sup>1</sup> is hydrogen, halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl or (C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl;

R<sup>2</sup> and R<sup>3</sup> are identical or different and are each hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, (C<sub>2</sub>-C<sub>4</sub>)-alkenyl, (C<sub>2</sub>-C<sub>4</sub>)-haloalkenyl, (C<sub>2</sub>-C<sub>4</sub>)-alkynyl, (C<sub>2</sub>-C<sub>4</sub>)-haloalkynyl, (C<sub>1</sub>-C<sub>3</sub>)-trialkylsilylalkynyl, phenyl-(C<sub>1</sub>-C<sub>3</sub>)-dialkyl-silylalkynyl, preferably phenyl-dimethyl-silylalkynyl, aryl-(C<sub>1</sub>-C<sub>3</sub>)-alkyl-(C<sub>1</sub>-C<sub>3</sub>)-dialkyl-silylalkynyl, (C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>3</sub>)-dialkyl-silylalkynyl, (1-methyl-sila-(C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl-1-yl)-alkynyl, triphenylsilylalkynyl, (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>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkoxy-(C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, halogen, hydroxyl, (C<sub>1</sub>-C<sub>4</sub>)-hydroxyalkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkanoyl, (C<sub>1</sub>-C<sub>4</sub>)-alkanoyl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkanoyl, (C<sub>3</sub>-C<sub>5</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>5</sub>)-halocycloalkyl, cyano, (C<sub>1</sub>-C<sub>4</sub>)-cyanoalkyl, nitro, (C<sub>1</sub>-C<sub>4</sub>)-nitroalkyl, thiocyano, (C<sub>1</sub>-C<sub>4</sub>)-thiocyanoalkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkylthio, (C<sub>1</sub>-C<sub>4</sub>)-alkylthio-





(C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkylthio, (C<sub>1</sub>-C<sub>4</sub>)-alkylsulfinyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkylsulfinyl, (C<sub>1</sub>-C<sub>4</sub>)-alkylsulfonyl or (C<sub>1</sub>-C<sub>4</sub>)-haloalkylsulfonyl; or  
R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they are bonded, form an unsaturated 5- or 6-membered isocyclic ring which, if it is a 5-membered ring, can contain one oxygen or sulfur atom instead of CH<sub>2</sub>, or which, if it is a 6-membered ring, can contain one or two nitrogen atoms instead of one or two CH units, and which is optionally substituted by 1, 2 or 3 identical or different radicals, and these radicals are (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy or (C<sub>1</sub>-C<sub>4</sub>)-haloalkoxy; or

R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they are bonded, form a saturated 5-, 6- or 7-membered isocyclic ring which can contain oxygen and/or sulfur instead of one or two CH<sub>2</sub> groups, and which is optionally substituted by 1, 2 or 3 (C<sub>1</sub>-C<sub>4</sub>)-alkyl groups;

A is CH or N;

X is NH, oxygen or S(O)<sub>q</sub>, where q = 0, 1 or 2;

Y and Z are oxygen or a group S(O)<sub>m</sub>,

where m = 0, 1 or 2;

R<sup>4</sup>, R<sup>4'</sup> and R<sup>5</sup> are substituents of the heteroaliphatic ring system;

R<sup>4</sup> and R<sup>4'</sup> are hydrogen, halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy or (C<sub>1</sub>-C<sub>4</sub>)-alkylthio;

R<sup>5</sup> is alkyl, alkenyl, alkynyl, aryl or heterocyclyl, where the aryl or heterocyclyl radicals mentioned can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different radicals, and in the alkyl, alkenyl or alkynyl radicals mentioned, one or more non-adjacent saturated carbon units can be replaced by a carbonyl group or by heteroatom units, such as



oxygen,  $S(O)_x$ , where  $x = 0, 1$  or  $2$ ,  $NR^5$  or  $SiR^7R^8$ , in which  $R^6$  is hydrogen,  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkoxy or  $(C_1-C_4)$ -alkanoyl and  $R^7$  and  $R^8$  are  $(C_1-C_4)$ -alkyl;

and wherein, furthermore, 3 to 12 atoms of these hydrocarbon radicals optionally modified as above can form a ring, and these hydrocarbon radicals, with or without the variations mentioned, can optionally be substituted by one or more identical or different radicals from the series consisting of halogen, aryl, aryloxy, arylthio, cycloalkoxy, cycloalkylthio, heterocyclyl, heterocyclyloxy, heterocyclylthio, alkanoyl, cycloalkanoyl, haloalkanoyl, aroyl, arylalkanoyl, cycloalkylalkanoyl, heterocyclylalkanoyl, alkoxy-carbonyl, haloalkoxycarbonyl, cycloalkoxy-carbonyl, cycloalkylalkoxycarbonyl, arylalkoxy-carbonyl, heterocyclylalkoxycarbonyl, aryloxy-carbonyl, heterocyclyloxycarbonyl, alkanoyloxy, haloalkanoyloxy, cycloalkanoyloxy, cycloalkyl-alkanoyloxy, aroyloxy, arylalkanoyloxy, heterocycloylalkanoyloxy, alkylsulfonyloxy, aryl-sulfonyloxy, hydroxyl, cyano, thiocyno and nitro, where the cycloaliphatic, aromatic or heterocyclic ring systems among the substituents just mentioned can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, or

$R^4$  and  $R^5$  together form a three- to eight-membered ring system which is linked spirocyclically to the ring system containing the heteroatoms Y and Z and in which one or two  $CH_2$  groups, preferably one  $CH_2$  group, can be replaced by heteroatom units, such as oxygen,  $S(O)_n$ , where  $n = 0, 1$  or  $2$ , or  $NR^9$ , in which  $R^9$  is hydrogen, alkyl, alkoxy, alkanoyl, benzoyl, aryl or heteroaryl, where the benzoyl, aryl or heteroaryl radicals



can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, and the ring system formed from  $R^4$  and  $R^5$  can be unsubstituted or provided with up to three substituents, and these substituents are identical or different and are in each case alkyl, haloalkyl, alkoxy, alkylthio, aryl, aryl-oxy, arylthio, arylalkyl, arylalkoxy, arylalkylthio, cycloalkyl, cycloalkoxy, cycloalkylthio, heterocyclyl, heterocyclyloxy, heterocyclylthio, trialkylsilyl or alkoxy-carbonyl, where the cycloaliphatic, aromatic or heterocyclic ring systems among the substituents just mentioned can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, or the ring system formed from  $R^4$  and  $R^5$ , together with a further benzene ring or cyclohexane ring, forms a fused ring system, and the benzene ring in these fused systems can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, or a salt thereof, with the exception of compounds of the formula I in which X, Y and Z are S, A is N,  $R^{4'}$  is hydrogen, one of the radicals  $R^4$  or  $R^5$  is hydrogen and the other is phenyl,  $R^1$  is chlorine,  $R^2$  is hydrogen and  $R^3$  is methyl

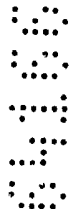
or

X, Y and Z are S, A is N,  $R^{4'}$  is hydrogen, one of the radicals  $R^4$  or  $R^5$  is hydrogen and the other is phenyl,  $R^1$  is chlorine,  $R^2$  is hydroxyl and  $R^3$  is hydrogen.

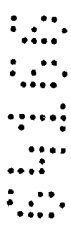


2. A compound of the formula I as claimed in claim 1, in which

$R^5$  is  $(C_1-C_{20})$ -alkyl,  $(C_2-C_{20})$ -alkenyl,  $(C_2-C_{20})$ -alkynyl, aryl or heterocyclyl, where the aryl or heterocyclyl radicals mentioned can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different radicals, and in the alkyl, alkenyl or alkynyl radicals mentioned, one or more, preferably up to three, non-adjacent saturated carbon units can be replaced by a carbonyl group or by heteroatom units, such as oxygen,  $S(O)_x$ , where  $x = 0, 1$  or  $2$ ,  $NR^6$  or  $SiR^7R^8$ , in which  $R^6$  is hydrogen,  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkoxy or  $(C_1-C_4)$ -alkanoyl and  $R^7$  and  $R^8$  are  $(C_1-C_4)$ -alkyl, preferably methyl, and wherein, furthermore, 3 to 12 atoms of these hydrocarbon radicals optionally modified as above can form a ring, and these hydrocarbon radicals,



with or without the variations mentioned, can optionally be substituted by one or more, preferably up to three, in the case of halogen up to the maximum number of, identical or different radicals from the series consisting of halogen, aryl, aryloxy, arylthio, (C<sub>1</sub>-C<sub>8</sub>)-cycloalkoxy, (C<sub>1</sub>-C<sub>8</sub>)-cycloalkylthio, heterocyclyl, heterocyclyloxy, heterocyclylthio, (C<sub>1</sub>-C<sub>12</sub>)-alkanoyl, (C<sub>1</sub>-C<sub>8</sub>)-cycloalkanoyl, (C<sub>1</sub>-C<sub>12</sub>)-haloalkanoyl, aroyl, aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyl, (C<sub>1</sub>-C<sub>8</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyl, heterocyclyl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyl, (C<sub>1</sub>-C<sub>12</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>12</sub>)-haloalkoxy-carbonyl, (C<sub>1</sub>-C<sub>8</sub>)-cycloalkoxycarbonyl, (C<sub>1</sub>-C<sub>8</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, heterocyclyl-(C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl, aryloxycarbonyl, heterocyclyloxy-carbonyl, (C<sub>1</sub>-C<sub>12</sub>)-alkanoyloxy, (C<sub>1</sub>-C<sub>12</sub>)-haloalkanoylalkoxy, (C<sub>1</sub>-C<sub>8</sub>)-cycloalkanoyloxy, (C<sub>1</sub>-C<sub>8</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyloxy, aroyloxy, aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyloxy, heterocyclyl-(C<sub>1</sub>-C<sub>4</sub>)-alkanoyloxy, (C<sub>1</sub>-C<sub>12</sub>)-alkylsulfonyloxy, arylsulfonyloxy, hydroxyl, cyano, thiocyano and nitro, where the cycloaliphatic, aromatic or heterocyclic ring systems among the substituents just mentioned can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, or R<sup>4</sup> and R<sup>5</sup> together form a three- to eight-membered ring system which is linked spirocyclically to the ring system containing the heteroatoms Y and Z, and in which one or two CH<sub>2</sub> groups, preferably one CH<sub>2</sub> group, can be replaced by heteroatom units, such as oxygen, S(O)<sub>n</sub>, where n = 0, 1 or 2, or NR<sup>3</sup>, in which R<sup>3</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, (C<sub>1</sub>-C<sub>8</sub>)-alkanoyl, benzoyl, aryl or heteroaryl, where the benzoyl, aryl or heteroaryl radicals can be unsubstituted or provided with up to three, in the case of



fluorine also up to the maximum number of, identical or different substituents, and the ring system formed from R<sup>4</sup> and R<sup>5</sup> can be unsubstituted or provided with up to three substituents, and these substituents are identical or different and are in each case (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-haloalkyl, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, (C<sub>1</sub>-C<sub>8</sub>)-alkylthio, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkoxy, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkylthio, aryl, aryloxy, arylthio, aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkoxy, aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkylthio, heterocyclyl, heterocyclyloxy, heterocyclylthio, (C<sub>1</sub>-C<sub>8</sub>)-trialkylsilyl, preferably (C<sub>1</sub>-C<sub>8</sub>)-alkyl-dimethylsilyl or (C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl, where the cycloaliphatic, aromatic or heterocyclic ring systems among the substituents just mentioned can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents,

or the ring system formed from R<sup>4</sup> and R<sup>5</sup>, together with a further benzene ring or cyclohexane ring, forms a fused ring system, and the benzene ring in these fused systems can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents,

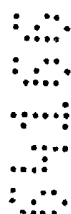
or a salt thereof.

3. A compound of the formula I as claimed in claim 1 or 2, in which

R<sup>1</sup> is hydrogen or fluorine;

R<sup>2</sup> and R<sup>3</sup> are hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>2</sub>-C<sub>4</sub>)-alkenyl, (C<sub>2</sub>-C<sub>4</sub>)-alkynyl, trimethylsilylethynyl, methoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, halogen, methoxymethyl or cyano; or

R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they are bonded, form an optionally substituted unsaturated 5- or 6-membered ring which, in the case of the 5-membered ring, can contain one



sulfur atom instead of one CH<sub>2</sub> unit; or  
R<sup>2</sup> and R<sup>3</sup>; together with the carbon atoms to which they are bonded, form a saturated 5- or 6-membered ring which can contain one sulfur or one oxygen atom instead of one CH<sub>2</sub> unit;

A is CH or N;

X is NH or oxygen;

Y and Z are each oxygen or sulfur;

R<sup>4</sup> is hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, trifluoromethyl or (C<sub>1</sub>-C<sub>4</sub>)-alkoxy;

R<sup>4'</sup> is hydrogen, or a salt thereof.

4. A compound of the formula I as claimed in any one of claims 1 to 3, in which

R<sup>1</sup> is hydrogen,

R<sup>2</sup> and R<sup>3</sup> are hydrogen, methyl, ethyl, propyl, (C<sub>2</sub>-C<sub>3</sub>)-alkenyl, (C<sub>1</sub>-C<sub>3</sub>)-chloro- or fluoroalkenyl, (C<sub>2</sub>-C<sub>3</sub>)-alkynyl, trimethylsilylethynyl, (C<sub>1</sub>-C<sub>3</sub>)-chloro- or fluoroalkyl, methoxymethyl, halogen or cyano;

R<sup>2</sup> and R<sup>3</sup>, together with the ring system to which they are bonded, form the quinazoline or quinoline system which can be substituted by fluorine in the carbocyclic part; or

R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they are bonded, form a saturated 6-membered ring which can contain one oxygen or sulfur atom instead of one CH<sub>2</sub> group;

R<sup>4</sup> is hydrogen or methyl;

R<sup>4'</sup> is hydrogen, or a salt thereof.

5. A compound of the formula I as claimed in any one of claims 1 to 4, in which

R<sup>1</sup> is hydrogen;

R<sup>2</sup> is methyl, ethyl, propyl, isopropyl, vinyl, ethynyl, (C<sub>1</sub>-C<sub>2</sub>)-fluoroalkyl or methoxymethyl;

R<sup>3</sup> is fluorine, chlorine, bromine, cyano, vinyl,



ethynyl, (C<sub>1</sub>-C<sub>2</sub>)-fluoroalkyl or methoxy; or  
in the case where A is nitrogen,  
R<sup>2</sup> and R<sup>3</sup>, together with the ring system to which  
they are bonded, form the quinazoline system  
which can be substituted by a fluorine atom;

A is CH or N;

X is NH;

Y and Z are oxygen or sulfur;

R<sup>4</sup> and R<sup>4'</sup> are hydrogen,

or a salt thereof.

6. A compound of the formula I as claimed in any one of  
claims 1 to 5, in which

R<sup>1</sup> is hydrogen;

R<sup>2</sup> is ethyl or methoxymethyl;

R<sup>3</sup> is chlorine, bromine or methoxy, preferably those  
for which R<sup>2</sup> is ethyl and R<sup>3</sup> is chlorine;

A is nitrogen;

X is NH;

Y and Z are oxygen;

R<sup>4</sup> and R<sup>4'</sup> are hydrogen;

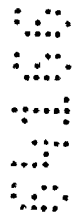
R<sup>5</sup> is (C<sub>1</sub>-C<sub>20</sub>)-alkyl, (C<sub>2</sub>-C<sub>20</sub>)-alkenyl, (C<sub>2</sub>-C<sub>20</sub>)-  
alkynyl, aryl or heterocyclyl, where the aryl or  
heterocyclyl radicals mentioned can be unsubsti-  
tuted or provided with up to three, in the case  
of fluorine also up to the maximum number of,  
identical or different radicals, and in the  
alkyl, alkenyl or alkynyl radicals mentioned, one  
or more, preferably up to three, non-adjacent  
saturated carbon units can be replaced by hetero-  
atom units, such as oxygen or SiR<sup>7</sup>R<sup>8</sup>, in which R<sup>7</sup>  
and R<sup>8</sup> are (C<sub>1</sub>-C<sub>4</sub>)-alkyl, preferably methyl,  
and wherein, furthermore, 3 to 6 atoms of these  
hydrocarbon radicals optionally modified as above  
can form a ring, and these hydrocarbon radicals,  
with or without the variations mentioned, can  
optionally be substituted by one or more identi-  
cal or different radicals from the series con-  
sisting of halogen, preferably fluorine, aryl,





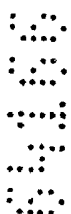
aryloxy, arylthio, (C<sub>1</sub>-C<sub>8</sub>)-cycloalkoxy, (C<sub>1</sub>-C<sub>8</sub>)-cycloalkylthio, heterocyclyl, heterocyclyloxy and (C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl, where the where the cycloaliphatic, aromatic or heterocyclic ring systems among the substituents just mentioned can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, or R<sup>1</sup> and R<sup>5</sup> together form a five- or six-membered ring system which is preferably linked spirocyclically to the ring system containing the heteroatoms Y and Z, and in which one CH<sub>2</sub> group can be replaced by heteroatom units, such as oxygen, S(O)<sub>n</sub>, where n = 0, 1 or 2, or NR<sup>9</sup>, in which R<sup>9</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-alkanoyl, benzoyl, aryl or heteroaryl, where the benzoyl, aryl or heteroaryl radicals can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, and the ring system formed from R<sup>1</sup> and R<sup>5</sup> can be unsubstituted or provided with up to three, but preferably one, substituent(s), and these substituents are identical or different and are in each case (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-cycloalkyl, aryl or aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, where the cycloaliphatic, aromatic or heterocyclic ring systems among the substituents just mentioned can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents, or the ring system formed from R<sup>1</sup> and R<sup>5</sup>, together with a further benzene ring or cyclohexane ring, forms a fused ring system and the benzene ring in these fused systems can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents,

or a salt thereof.

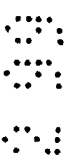


7. A compound of the formula I as claimed in any one of claims 1 to 6, in which

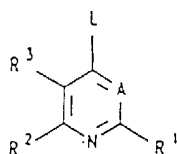
R<sup>3</sup> is (C<sub>1</sub>-C<sub>11</sub>)-alkyl, aryl or heterocyclyl in the sense of a heteroaromatic ring system, where the aryl or heterocyclyl radical can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different radicals, and in the alkyl radical mentioned, one or more non-adjacent saturated carbon units can be replaced by oxygen, and wherein, furthermore, 3 to 8 atoms of this alkyl radical optionally modified as above can form a ring, and this alkyl radical, with or without the variations mentioned, can optionally be substituted by one or more halogen atoms, in the case of fluorine also up to the maximum number, or by an aryl radical, and this aryl radical can be unsubstituted or provided with up to three, in the case of fluorine also up to the maximum number of, identical or different substituents,  
or a salt thereof.



8. A compound of the formula I as claimed in any one of claims 1 to 7, in which, in the case where R<sup>4</sup> = hydrogen, the substituents X and R<sup>5</sup> are in the cis-position relative to one another, or a salt thereof.



9. A process for the preparation of a compound of the formula I as claimed in any one of claims 1 to 8, which includes reacting a compound of the formula II



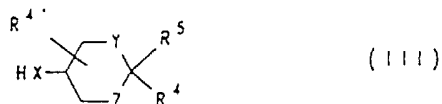
(II)



in which A, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have the meanings given

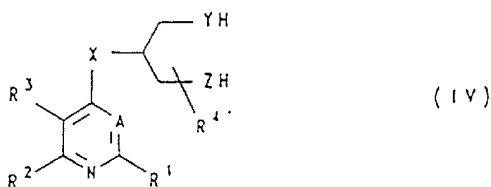


under formula I and L is a leaving group, with a nucleophile of the formula III

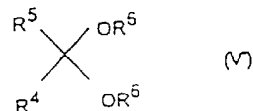
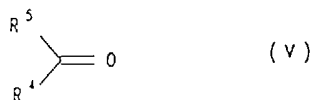


in which X, Y, Z, R<sup>4</sup>, R<sup>4'</sup> and R<sup>5</sup> have the meanings given above under formula I, or

reacting a compound of the formula IV

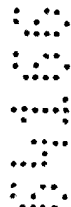


in which R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4'</sup>, A, X, Y and Z have the meanings given above for formula I, with a compound of the formula V or V'



in which R<sup>4</sup> and R<sup>5</sup> have the meanings given above for formula I, and R<sup>6</sup> is identical or different radicals and is (C<sub>1</sub>-C<sub>8</sub>)-alkyl,

and, in the compound of the formula I obtained in this manner or in another manner, further varying the nitrogen-containing heterocyclic radical or the side chain R<sup>5</sup>, and if appropriate converting the compound of the formula I into its salt.



10. A composition including at least one compound as claimed in any one of claims 1 to 8 and at least one formulating agent.
11. A fungicidal composition as claimed in claim 10, including a fungicidally active amount of at least one compound as claimed in any one of claims 1 to 8 together with the additives or auxiliaries customary for this use.
12. An insecticidal, acaricidal, ixodicidal or nematocidal composition as claimed in claim 10, including an active amount of at least one compound as claimed in any one of claims 1 to 8 together with the additives or auxiliaries customary for this use.
13. A plant protection composition including a fungicidally, insecticidally, acaricidally or nematocidally active amount of at least one compound as claimed in any one of claims 1 to 8 and at least one other active compound, preferably from the series consisting of fungicides, insecticides, attractants, sterilizing agents, acaricides, nematocides and herbicides, together with the auxiliaries and additives customary for this use.
14. A composition for use in the preservation of wood or as a preservative in sealing compositions, in paints, in cooling lubricants for metalworking or in drilling and cutting oils, including an active amount of at least one compound as claimed in any one of claims 1 to 8, together with the auxiliaries and additives customary for these uses.
15. A compound as claimed in any one of claims 1 to 8 or a composition as claimed in claim 10 for use as an animal medicament, preferably in the control of endo- or ectoparasites.



16. A process for the preparation of a composition as claimed in any one of claims 10 to 15, which includes bringing the active compound and the other additives together and bringing the mixture into a suitable use form.
17. The use of a compound as claimed in any one of claims 1 to 9 or of a composition as claimed in any one of claims 10, 11, 13 and 14 as a fungicide.

DATED this 15th day of February 1999

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