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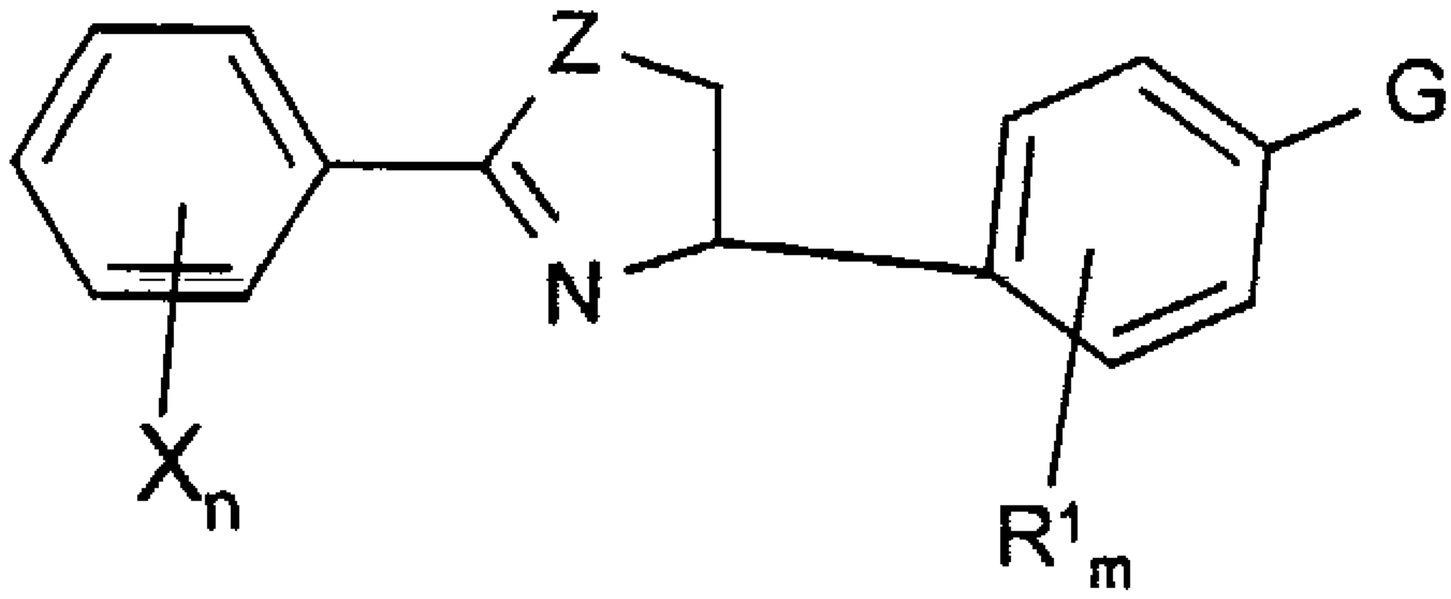
(54) Titre : DERIVE D'ARYLISOXAZOLINE, LEUR PROCEDE DE PRODUCTION ET LEUR UTILISATION COMME PESTICIDES

(54) Title: ARYLISOXAZOLINE DERIVATIVES, PROCESSES FOR THEIR PREPARATION AND THEIR USE AS PESTICIDES



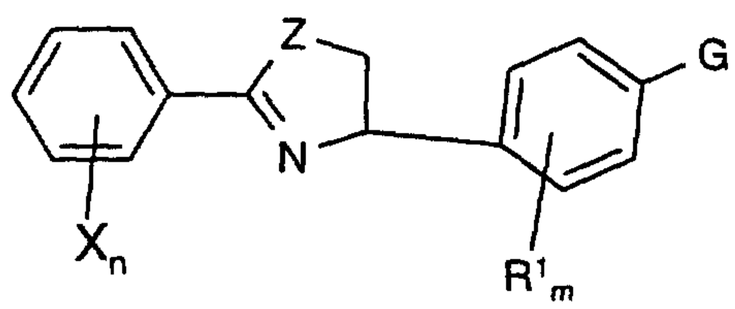
(I)

(57) Abrégé/Abstract:
Compounds of formula (I), symbols and indices of which have the following meanings: X independently = a) halogen, cyano, nitro; b) (C_1-C_4) alkyl, (C_1-C_4) alkoxy, (C_1-C_4) alkylthio, (C_1-C_4) alkylsulfinyl, whereby residues of group b are optionally substituted by one or several, preferably one, two, or three residues from the halogen group; R^1 independently = halogen, (C_1-C_4) haloalkyl, (C_1-C_4) alkyl, (C_1-C_4) alkoxy, (C_1-C_4) haloalkoxy, or cyano; m = 0, 1, 2 or 3; n = 1, 2, 3, 4 or 5; Z =oxygen, sulphur, CH_2 or NR^2; R^2 = CN, (C_1-C_4) alkoxy-(C_1-C_4) alkyl, CHO, (C_1-C_6) alkylcarbonyl, (C_1-C_6) alkoxycarbonyl or (CW)NR^3R^4; R_3, R^4 independently = H, (C_1-C_6) alkyl; W = O or S; G = a mono- to tetra-, preferably mono- to di-substituted isoxazoline, connected in the 3-,4- or 5-position to the adjacent phenyl ring; the pure isomers thereof (optical and geometrical isomers), isomer mixtures and N-oxides thereof, suitable as pesticides.

Abstract

Arylisoxazoline derivatives, processes for their preparation and their use as pesticides

Compounds of the formula (I),



(I)

in which the symbols and indices are as defined below:

X is identical or different

a) halogen, cyano, nitro;

b) (C_1-C_4)-alkyl, (C_1-C_4)-alkoxy, (C_1-C_4)-alkylthio, (C_1-C_4)-alkylsulfinyl, where the radicals of group b are unsubstituted or substituted by one or more, preferably one, two or three, radicals selected from the group consisting of halogen;

R^1 is identical or different halogen, (C_1-C_4)-haloalkyl, (C_1-C_4)-alkyl, (C_1-C_4)-alkoxy, (C_1-C_4)-haloalkoxy or cyano;

m is 0, 1, 2 or 3;

n is 1, 2, 3, 4 or 5;

Z is oxygen, sulfur, CH_2 or NR^2;

R^2 is CN, (C_1-C_4)-alkoxy-(C_1-C_4)-alkyl, CHO, (C_1-C_6)-alkylcarbonyl, (C_1-C_6)-alkoxycarbonyl or (CW)NR^3R^4;

R^3, R^4 are identical or different H, (C_1-C_6)-alkyl;

W is O or S;

G is mono- to tetrasubstituted, preferably mono- or disubstituted, isoxazoline which is attached in the 3-, 4- or 5-position to the adjacent phenyl ring;

their pure isomers (optical and geometrical isomers), isomer mixtures and N-oxides are suitable for use as pesticides.

Description

Arylisoxazoline derivatives, processes for their preparation and their use as pesticides

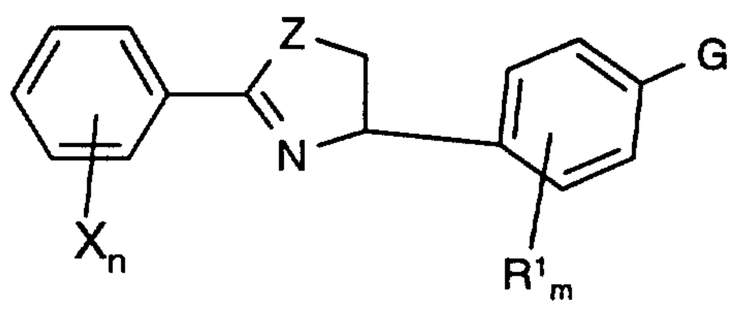
The invention relates to arylisoxazoline derivatives, to processes for their preparation, to compositions comprising them and to their use for controlling animal pests, in particular arthropods, such as insects and Acarina, and helminths.

Owing to their biological activity, certain 1,3-oxazolines, 1,3-thiazolines, pyrrolines and imidazolines are suitable for controlling animal pests (see, for example, WO-A-93/24470, WO-A-95/04726 and WO-A-96/22283).

However, owing to the multifarious requirements that modern pesticides have to meet, for example with respect to efficacy, persistency, activity spectrum, use spectrum, toxicity, combination with other active compounds, combination with formulating agents or synthesis, and owing to the possible occurrence of resistance, the development of such substances can never be considered to be concluded, and there is a constant great need for novel compounds which, at least in some aspects, offer advantages compared to the known compounds.

It was an object of the present invention to provide compounds which, under various aspects, widen the spectrum of pesticides.

This object and other objects which have not been explicitly mentioned, which can be derived or deduced from the contexts discussed here, are achieved by arylisoxazoline derivatives of the formula (I),



(I)

in which the symbols and indices are as defined below:

X is identical or different

a) halogen, cyano, nitro;

b) (C_1-C_4)-alkyl, (C_1-C_4)-alkoxy, (C_1-C_4)-alkylthio, (C_1-C_4)-alkylsulfinyl, where the radicals of group b are unsubstituted or substituted by one or more, preferably one, two or three, radicals selected from the group consisting of halogen;

R^1 is identical or different halogen, (C_1-C_4)-haloalkyl, (C_1-C_4)-alkyl, (C_1-C_4)-alkoxy, (C_1-C_4)-haloalkoxy or cyano;

m is 0, 1, 2, 3 or 4;

n is 1, 2, 3, 4 or 5;

Z is oxygen, sulfur, CH_2 or NR^2;

R^2 is CN, (C_1-C_4)-alkoxy-(C_1-C_4)-alkyl, CHO, (C_1-C_6)-alkylcarbonyl, (C_1-C_6)-alkoxycarbonyl or $(CW)NR^3R^4$;

R^3, R^4 are identical or different H, (C_1-C_6)-alkyl;

W is O or S;

G is mono- to tetrasubstituted, preferably mono- or disubstituted, isoxazoline which is attached in the 3-, 4- or 5-position to the adjacent phenyl ring;

their pure isomers (optical and geometrical isomers), isomer mixtures, N-oxides and salts suitable for use as pesticides.

Surprisingly, compounds of the formula (I) have, with respect to the activity spectrum and the potency, better acaricidal and insecticidal action than known 1,3-oxazoline, 1,3-thiazoline, pyrroline or imidazoline derivatives.

The symbols and indices in formula (I) preferably have the following meanings:

X is preferably halogen, in particular Cl, Br or F, cyano, nitro, (C_1-C_4)-alkyl, (C_1-C_3)-haloalkyl, (C_1-C_4)-alkoxy or (C_1-C_3)-haloalkoxy.

X is particularly preferably halogen, in particular Cl, Br or F, (C_1-C_4)-alkyl, (C_1-C_3)-haloalkyl, (C_1-C_4)-alkoxy or (C_1-C_3)-haloalkoxy.

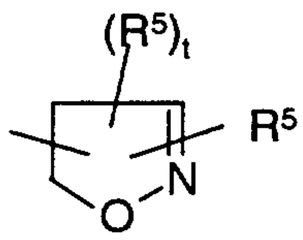
m is preferably 0 or 1.

n is preferably 1, 2 or 3.

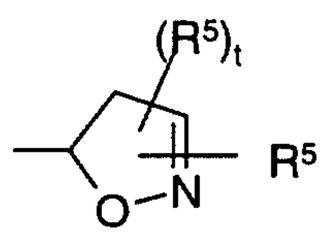
Z is preferably oxygen or CH_2.

R^1 is preferably H, halogen, (C_1-C_4)-haloalkyl, (C_1-C_4)-alkyl, (C_1-C_4)-alkoxy, (C_1-C_4)-haloalkoxy.

G is preferably



, particularly preferably



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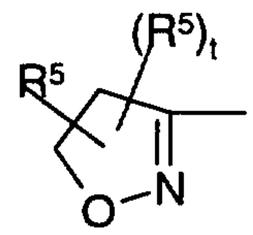
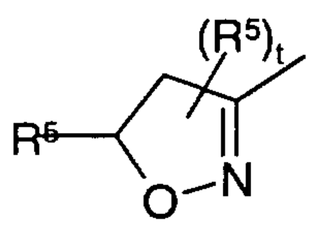


, very particularly preferably



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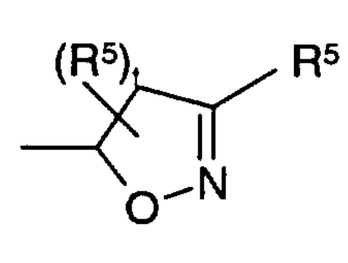
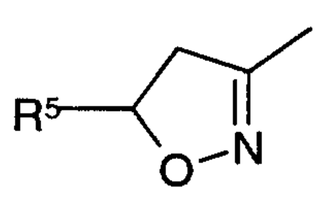


, in particular



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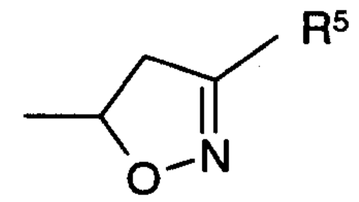


.

t is 0,1, 2 or 3, preferably 0 or 1.

R^5 is identical or different

a) halogen, CN, NO_2;

b) a straight-chain or branched alkyl group having 1 to 12 carbon atoms, where one or more (CH_2) groups are optionally replaced by -O-, $-S(O)-_{0,1,2}$, -NH-, $-NR^6$-, -CO-, -CS-, -CH=CH-, -C≡C-, unsubstituted or substituted aryldiyl, unsubstituted or substituted heterocyclyldiyl, unsubstituted or substituted (C_3-C_8)-cycloalkanediyl or unsubstituted or substituted (C_3-C_8)-cycloalkenediyl, with the proviso that chalcogens may not be adjacent to one another, where two radicals R^5 together

with the atoms of the isoxazoline ring optionally form a 3- to 8-membered ring system and where individual hydrogen atoms are optionally replaced by halogen;

c) in the case of two radicals R^5 located in the α-position, the radicals are also (=Y), where Y is (=O), (=S), (=NOR^6) or (=CR_2^6);

with the proviso that the radical(s) R^5 together do not comprise more than one ring system having five or more members.

R^6 is (C_1-C_4)-alkyl, unsubstituted or substituted phenyl or unsubstituted or substituted benzyl.

As substituents on the isoxazoline radical, the radicals R^5 preferably have the following meanings:

R^5 is identical or different D-R^7, or two radicals R^5 together with the atoms to which they are attached form a three to eight-membered saturated or unsaturated ring system which is unsubstituted or substituted by one or more radicals R^7 and which optionally also contains further heteroatoms, preferably O, N, S, SO and/or SO_2;

D is a direct bond or (C_1-C_6)-alkanediyl, unsubstituted or substituted by one or more halogen atoms;

R^7 is identical or different R^8, R^9, -C(W)R^8, -C(=NOR^8)R^8, -C(=NNR^8_2)R^8, -C(=W)OR^8, -C(=W)NR^8_2, -OC(=W)R^8, -OC(=W)OR^8, -NR^8C(=W)R^8, -N[C(=W)R^8]$_2$, -NR^8C(=W)OR^8, -C(=W)NR^8-NR^8_2, -C(=W)NR^8-NR^8[C(=W)R^8], -NR^8-C(=W)NR^8_2, -NR^8-NR^8C(=W)R^8, -NR^8-N[C(=W)R^8]$_2$, -N[(C=W)R^8]-NR^8_2, -NR^8-N[(C=W)WR^8], -NR^8[(C=W)NR^8_2], -NR^8(C=NR^8)R^8, -NR^8(C=NR^8)NR^8_2, -O-NR^8_2, -O-NR^8(C=W)R^8, -$SO_2NR^8_2$, -$NR^8SO_2R^8$, -SO_2OR^8, -OSO_2R^8, -OR^8, -NR^8_2, -SR^8, -SiR^8_3, -PR^8_2, -P(=W)R^8_2, -SOR^8, -SO_2R^8, -$PW_2R^8_2$, -$PW_3R^8_2$ or two radicals R^7 together are (=Y), (=N-R^8), (= CR_2^8) or (= CHR^8);

W is O or S;

R^8 is identical or different H, (C_1-C_6)-alkyl, (C_2-C_6)-alkenyl, (C_2-C_6)-alkynyl, (C_3-C_8)-cycloalkyl, (C_4-C_8)-cycloalkenyl, (C_3-C_8)-cycloalkyl-(C_1-C_4)-alkyl, (C_4-C_8)-cycloalkenyl-(C_1-C_4)-alkyl, (C_3-C_8)-cycloalkyl-(C_2-C_4)-alkenyl, (C_4-C_8)-cycloalkenyl-(C_2-C_4)-alkenyl, (C_1-C_6)-alkyl-(C_3-C_8)-cycloalkyl, (C_2-C_6)-alkenyl-(C_3-C_8)-cycloalkyl, (C_2-C_6)-alkynyl-(C_3-C_8)-cycloalkyl, (C_1-C_6)-alkyl-(C_4-C_8)-cycloalkenyl, (C_2-C_6)-alkenyl-(C_4-C_8)-cycloalkenyl, aryl, heterocyclyl;
where the radicals mentioned are unsubstituted or substituted by one or more radicals R^9 and optionally two radicals R^8 together form a ring system;

R^9 is identical or different halogen, cyano, nitro, hydroxyl, thio, amino, (C_1-C_6)-alkanoyl, (C_2-C_6)-haloalkanoyl, (C_1-C_6)-alkoxy, (C_3-C_6)-alkenyloxy, (C_3-C_6)-alkynyloxy, (C_1-C_6)-haloalkyloxy, (C_3-C_6)-haloalkenyloxy, (C_3-C_6)-haloalkynyloxy, (C_3-C_8)-cycloalkoxy, (C_4-C_8)-cycloalkenyloxy, (C_3-C_8)-halocycloalkoxy, (C_4-C_8)-halocycloalkenyloxy, (C_3-C_8)-cycloalkyl-(C_1-C_4)-alkoxy, (C_4-C_8)-cycloalkenyl-(C_1-C_4)-alkoxy, (C_3-C_8)-cycloalkyl-(C_2-C_4)-alkenyloxy, (C_4-C_8)-cycloalkenyl-(C_1-C_4)-alkenyloxy, (C_1-C_6)-alkyl-(C_3-C_8)-cycloalkoxy, (C_2-C_6)-alkenyl-(C_3-C_8)-cycloalkoxy, (C_2-C_6)-alkynyl-(C_3-C_8)-cycloalkoxy, (C_1-C_6)-alkyl-(C_4-C_8)-cycloalkenyloxy, (C_2-C_6)-alkenyl-(C_4-C_8)-cycloalkenyloxy, (C_1-C_4)-alkoxy-(C_1-C_6)-alkoxy, (C_1-C_4)-alkoxy-(C_3-C_6)-alkenyloxy, carbamoyl, (C_1-C_6)-mono- or dialkylcarbamoyl, (C_1-C_6)-mono- or dihaloalkylcarbamoyl, (C_3-C_8)-mono- or dicycloalkylcarbamoyl, (C_1-C_6)-alkoxycarbonyl, (C_3-C_8)-cycloalkoxycarbonyl, (C_1-C_6)-alkanoyloxy, (C_3-C_8)-cycloalkanoyloxy, (C_1-C_6)-haloalkoxycarbonyl, (C_1-C_6)-haloalkanoyloxy, (C_1-C_6)-alkaneamido, (C_1-C_6)-haloalkaneamido, $C(O)NH(C_1-C_6)$-alkyl, $C(O)NH(C_1-C_6)$-haloalkyl, $C(O)N[(C_1-C_6)\text{-alkyl}]_2$, $C(O)N[(C_1-C_6)\text{-haloalkyl}]_2$, (C_2-C_6)-alkeneamido, (C_3-C_8)-cycloalkaneamido, (C_3-C_8)-cycloalkyl-(C_1-C_4)-alkaneamido, (C_1-C_6)-alkylthio, (C_3-C_6)-alkenylthio, (C_3-C_6)-alkynylthio, (C_1-C_6)-haloalkylthio, (C_3-C_6)-haloalkenylthio, (C_3-C_6)-haloalkynylthio, (C_3-C_8)-cycloalkylthio, (C_4-C_8)-cycloalkenylthio, (C_3-C_8)-halocycloalkylthio, (C_4-C_8)-halocycloalkenylthio, (C_3-C_8)-cycloalkyl-(C_1-C_4)-alkylthio, (C_4-C_8)-cycloalkenyl-(C_1-C_4)-alkylthio, (C_3-C_8)-cycloalkyl-(C_3-C_4)-alkenylthio, (C_4-C_8)-cycloalkenyl-(C_3-C_4)-alkenylthio, (C_1-C_6)-alkyl-(C_3-C_8)-cycloalkylthio, (C_2-C_6)-alkenyl-(C_3-C_8)-cycloalkylthio, (C_2-C_6)-alkynyl-(C_3-C_8)-cycloalkylthio, (C_1-C_6)-alkyl-(C_4-C_8)-cycloalkenylthio, (C_2-C_6)-alkenyl-(C_4-C_8)-cycloalkenylthio, (C_1-C_6)-alkylsulfinyl,

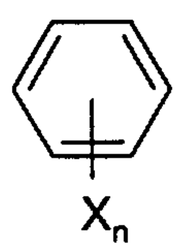
(C_3-C_6)-alkenylsulfinyl, (C_3-C_6)-alkynylsulfinyl, (C_1-C_6)-haloalkylsulfinyl, (C_3-C_6)-haloalkenylsulfinyl, (C_3-C_6)-haloalkynylsulfinyl, (C_3-C_8)-cycloalkylsulfinyl, (C_4-C_8)-cycloalkenylsulfinyl, (C_3-C_8)-halocycloalkylsulfinyl, (C_4-C_8)-halocycloalkenylsulfinyl, (C_3-C_8)-cycloalkyl-(C_1-C_4)-alkylsulfinyl, (C_4-C_8)-cycloalkenyl-(C_1-C_4)-alkylsulfinyl, (C_3-C_8)-cycloalkyl-(C_3-C_4)-alkenylsulfinyl, (C_4-C_8)-cycloalkenyl-(C_3-C_4)-alkenylsulfinyl, (C_1-C_6)-alkyl-(C_3-C_8)-cycloalkylsulfinyl, (C_2-C_6)-alkenyl-(C_3-C_8)-cycloalkylsulfinyl, (C_2-C_6)-alkynyl-(C_3-C_8)-cycloalkylsulfinyl, (C_1-C_6)-alkyl-(C_4-C_8)-cycloalkenylsulfinyl, (C_2-C_6)-alkenyl-(C_4-C_8)-cycloalkenylsulfinyl, (C_1-C_6)-alkylsulfonyl, (C_3-C_6)-alkenylsulfonyl, (C_3-C_6)-alkynylsulfonyl, (C_1-C_6)-haloalkylsulfonyl, (C_3-C_6)-haloalkenylsulfonyl, (C_3-C_6)-haloalkynylsulfonyl, (C_3-C_8)-cycloalkylsulfonyl, (C_4-C_8)-cycloalkenylsulfonyl, (C_3-C_8)-halocycloalkylsulfonyl, (C_4-C_8)-halocycloalkenylsulfonyl, (C_3-C_8)-cycloalkyl-(C_1-C_4)-alkylsulfonyl, (C_4-C_8)-cycloalkenyl-(C_1-C_4)-alkylsulfonyl, (C_3-C_8)-cycloalkyl-(C_3-C_4)-alkenylsulfonyl, (C_4-C_8)-cycloalkenyl-(C_3-C_4)-alkenylsulfonyl, (C_1-C_6)-alkyl-(C_3-C_8)-cycloalkylsulfonyl, (C_2-C_6)-alkenyl-(C_3-C_8)-cycloalkylsulfonyl, (C_2-C_6)-alkynyl-(C_3-C_8)-cycloalkylsulfonyl, (C_1-C_6)-alkyl-(C_4-C_8)-cycloalkenylsulfonyl, (C_2-C_6)-alkenyl-(C_4-C_8)-cycloalkenylsulfonyl, (C_1-C_6)-dialkylamino, (C_1-C_6)-alkylamino, (C_3-C_6)-alkenylamino, (C_3-C_6)-alkynylamino, (C_1-C_6)-haloalkylamino, (C_3-C_6)-haloalkenylamino, (C_3-C_6)-haloalkynylamino, (C_3-C_8)-cycloalkylamino, (C_4-C_8)-cycloalkenylamino, (C_3-C_8)-halocycloalkamino, (C_4-C_8)-halocycloalkenylamino, (C_3-C_8)-cycloalkyl-(C_1-C_4)-alkylamino, (C_4-C_8)-cycloalkenyl-(C_1-C_4)-alkylamino, (C_3-C_8)-cycloalkyl-(C_3-C_4)-alkenylamino, (C_4-C_8)-cycloalkenyl-(C_3-C_4)-alkenylamino, (C_1-C_6)-alkyl-(C_3-C_8)-cycloalkylamino, (C_2-C_6)-alkenyl-(C_3-C_8)-cycloalkylamino, (C_2-C_6)-alkynyl-(C_3-C_8)-cycloalkylamino, (C_1-C_6)-alkyl-(C_4-C_8)-cycloalkenylamino, (C_2-C_6)-alkenyl-(C_4-C_8)-cycloalkenylamino, (C_1-C_6)-trialkylsilyl, aryl, aryloxy, arylthio, arylamino, aryl-(C_1-C_4)-alkoxy, aryl-(C_1-C_6)-alkanoyl, aryl-(C_3-C_4)-alkenyloxy, aryl-(C_1-C_4)-alkylthio, aryl-(C_2-C_4)-alkenylthio, aryl-(C_1-C_4)-alkylamino, aryl-(C_3-C_4)-alkenylamino, aryl-(C_1-C_6)-dialkylsilyl, diaryl-(C_1-C_6)-alkylsilyl, triarylsilyl and 5- or 6-membered heterocyclyl, where the cyclic radicals are unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, cyano, nitro, amino, hydroxyl, thio, (C_1-C_4)-alkyl,

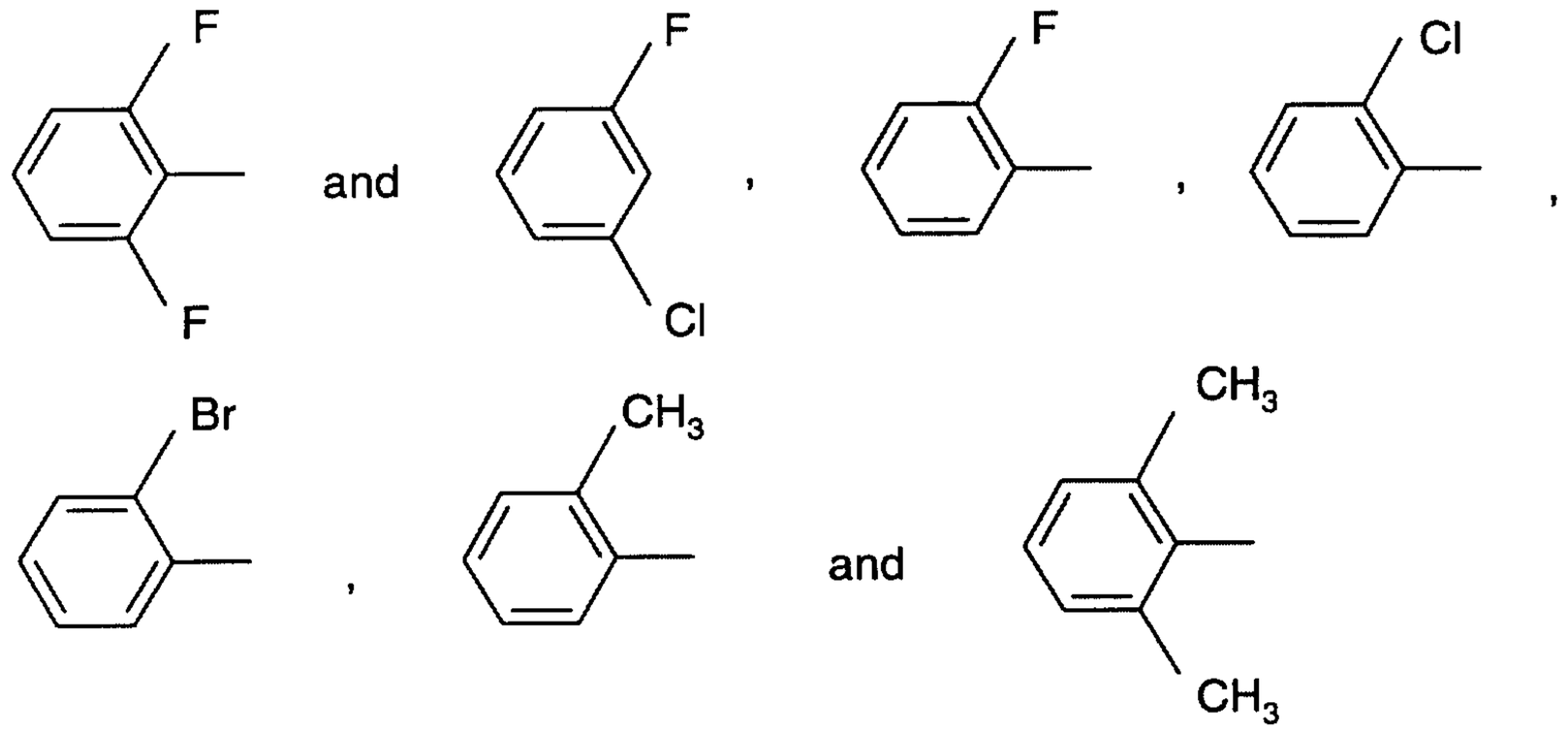
(C_1-C_4)-haloalkyl, (C_3-C_8)-cycloalkyl, (C_1-C_4)-alkoxy, (C_1-C_4)-haloalkoxy, (C_1-C_4)-alkylthio, (C_1-C_4)-haloalkylthio, (C_1-C_4)-alkylamino, (C_1-C_4)-haloalkylamino and (C_1-C_4)-alkanoyl.

Particularly preferably,

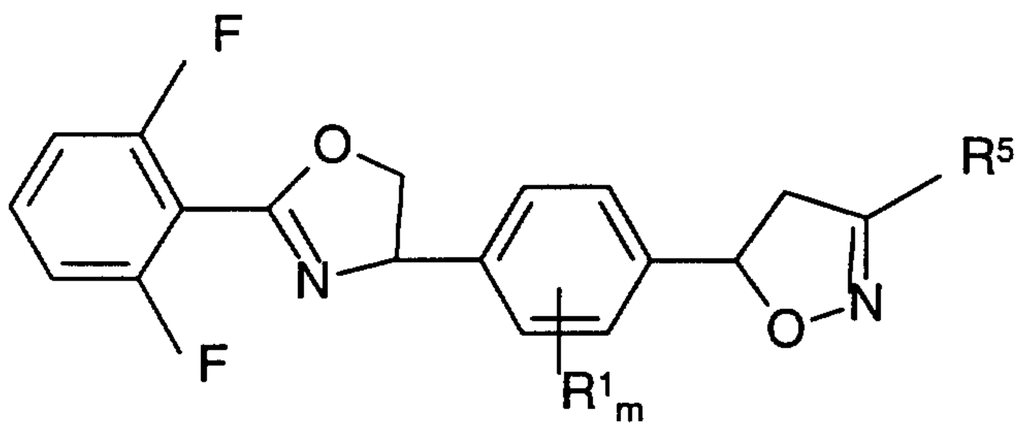
R^5 is CN, unsubstituted or substituted phenyl, unsubstituted or substituted phenoxy, (C_1-C_6)-alkyl, (C_1-C_6)-alkenyl, (C_1-C_6)-haloalkyl, (C_1-C_6)-haloalkenyl, -(C_1-C_6)-alkanediyl-aryl, where the aryl group is unsubstituted or substituted and where one -CH_2 unit is optionally replaced by -C(O)-NR^{10}-, NR^{10}-(CO), NR^{10} or O.

R^{10} is H, (C_1-C_6)-alkyl, (C_1-C_6)-haloalkyl, unsubstituted or substituted phenyl, unsubstituted or substituted benzyl.

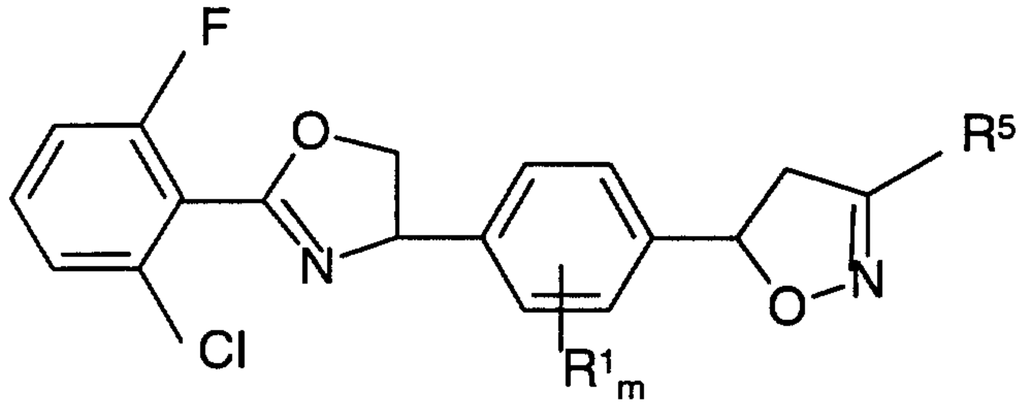
Particularly preferred for  are the groups



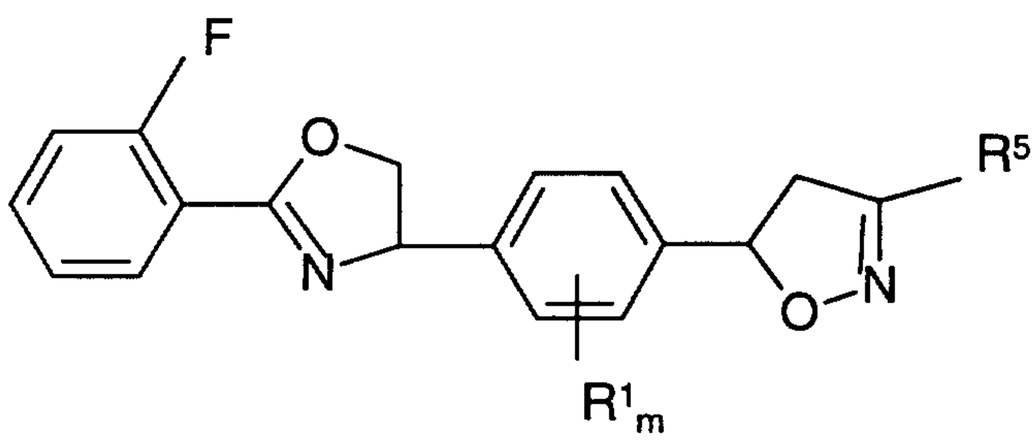
Particularly preferred groups of compounds of the formula (I) are those of the formulae (I1) to (I28):



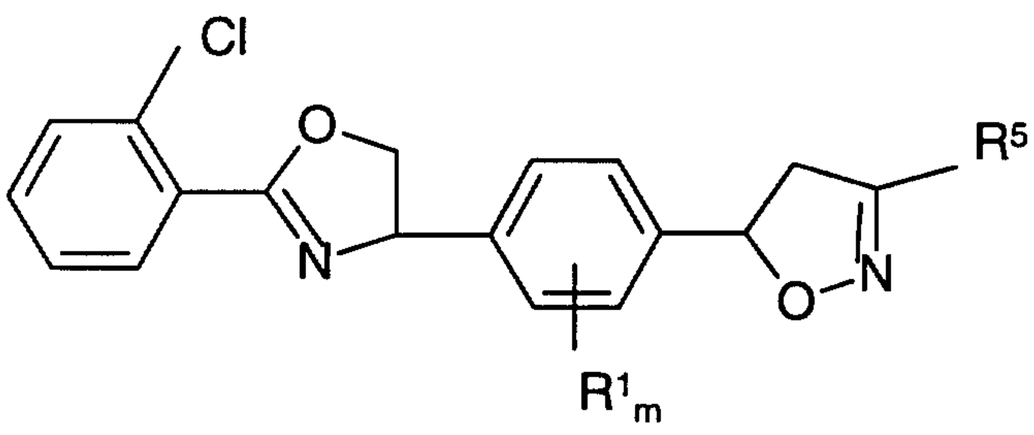
(I1)



(I2)



(I3)



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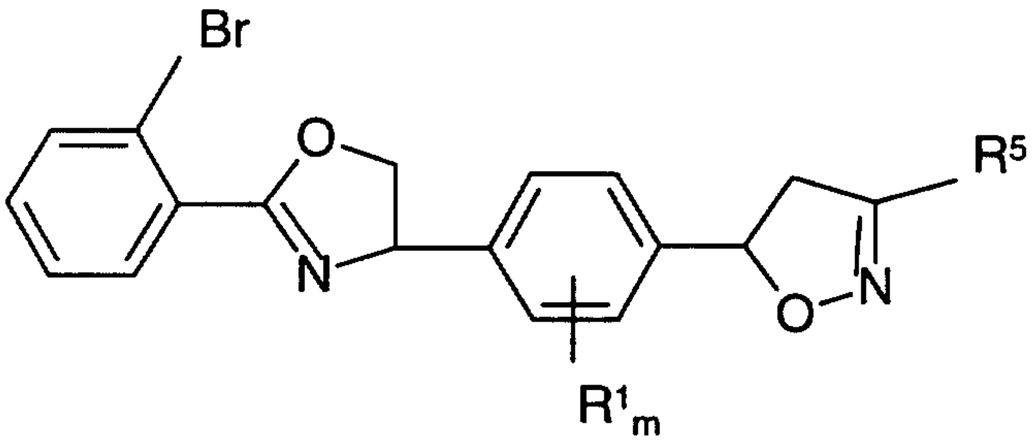

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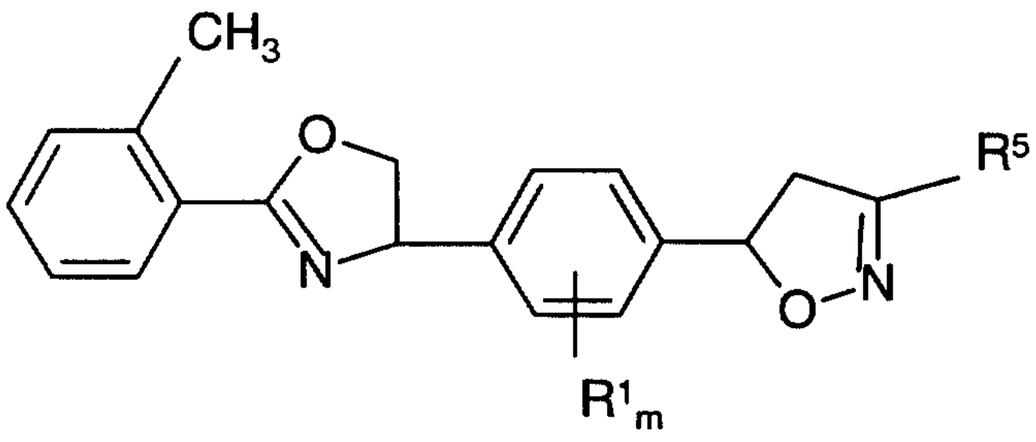
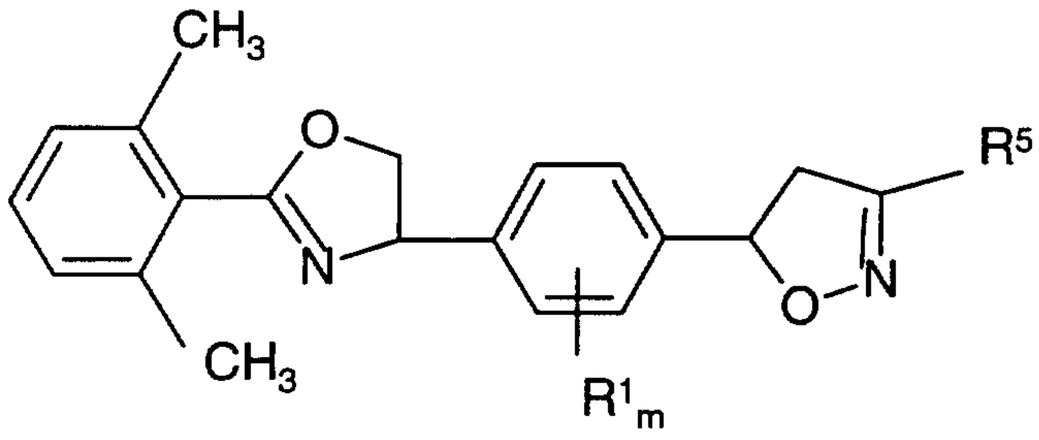
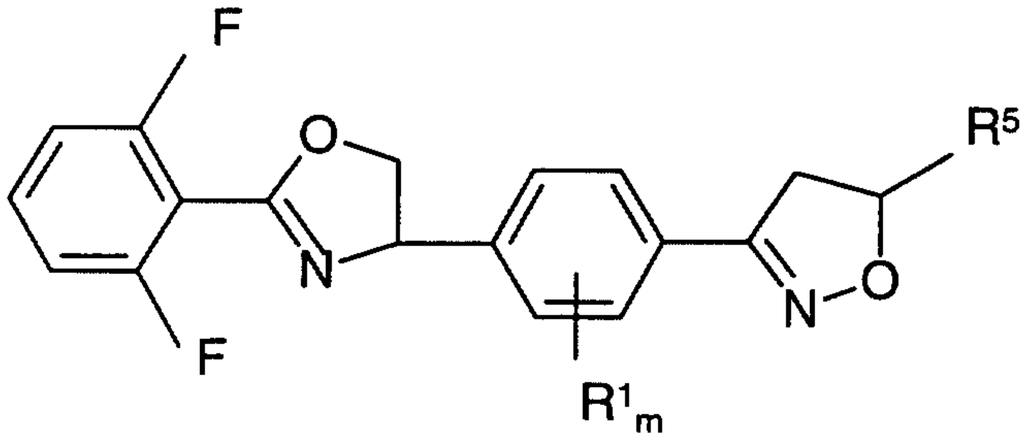


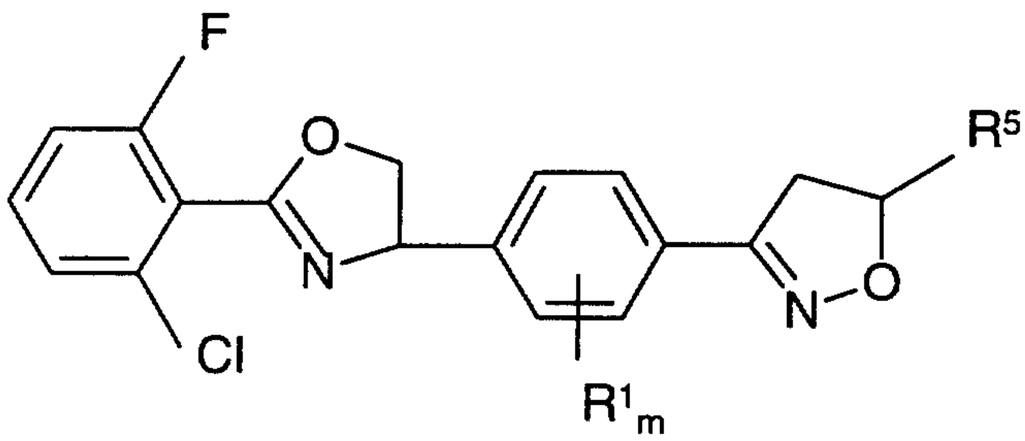
(I6)



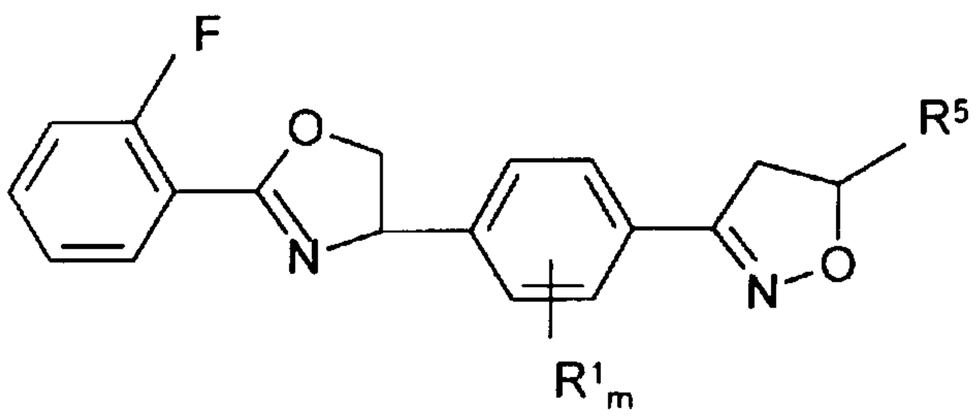
(I7)



(I8)



(I9)



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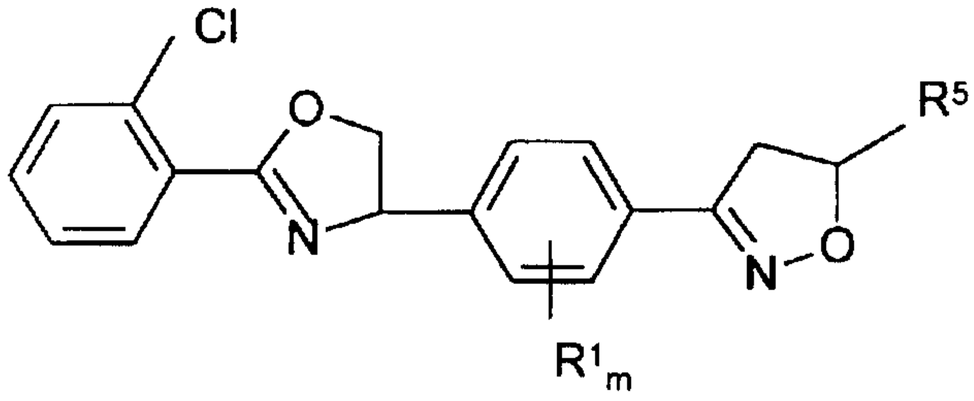

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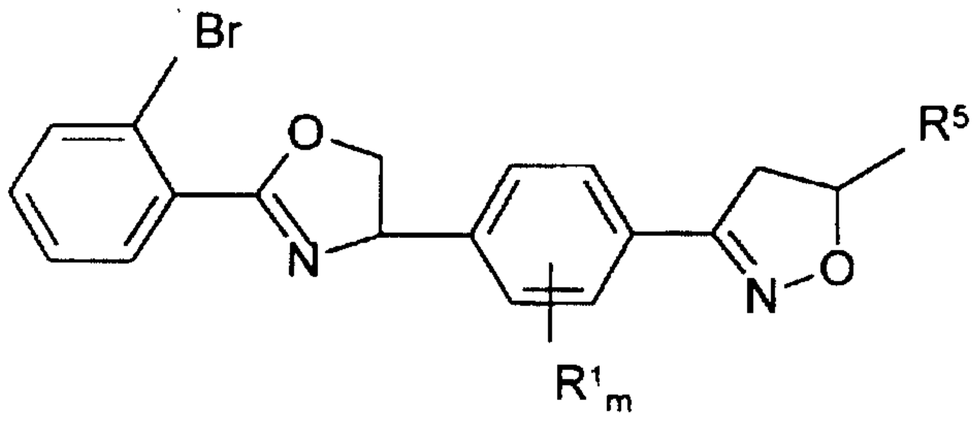

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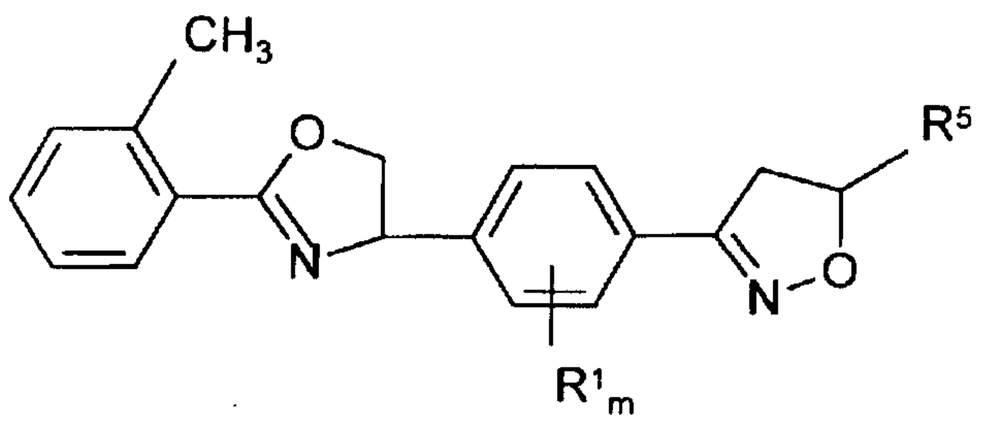

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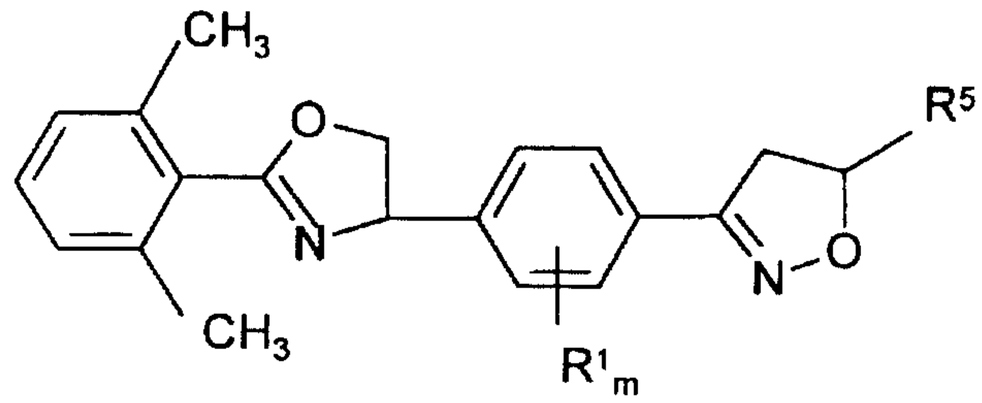

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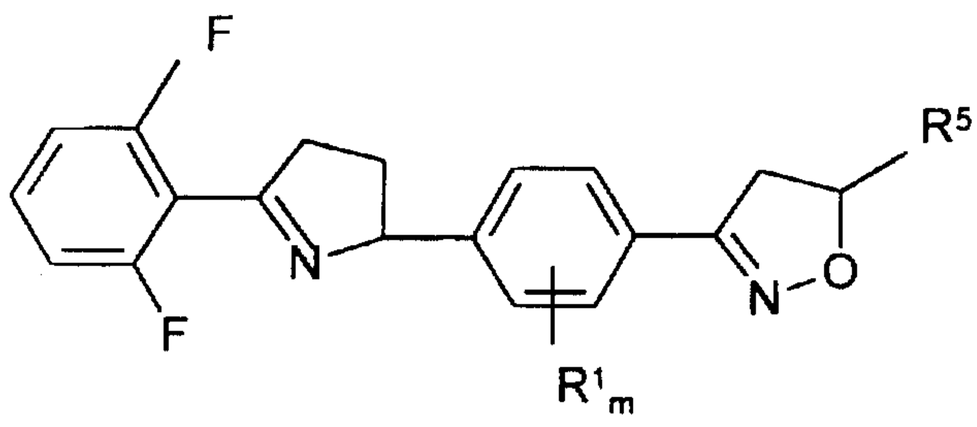

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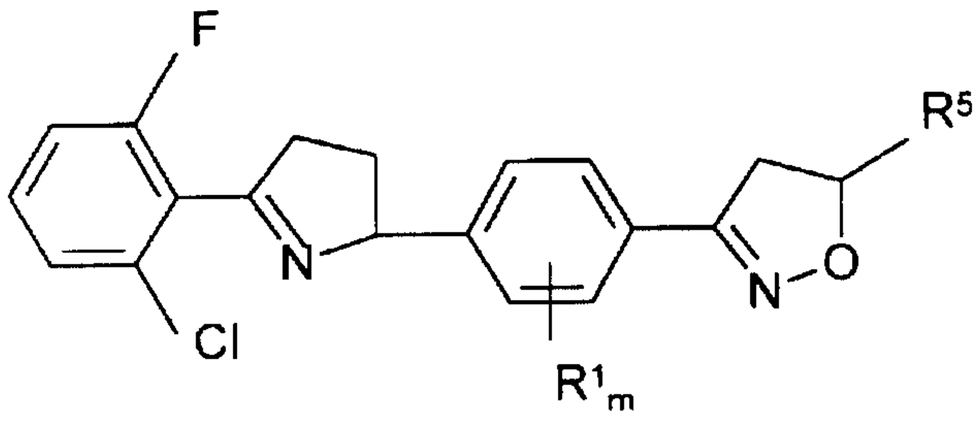

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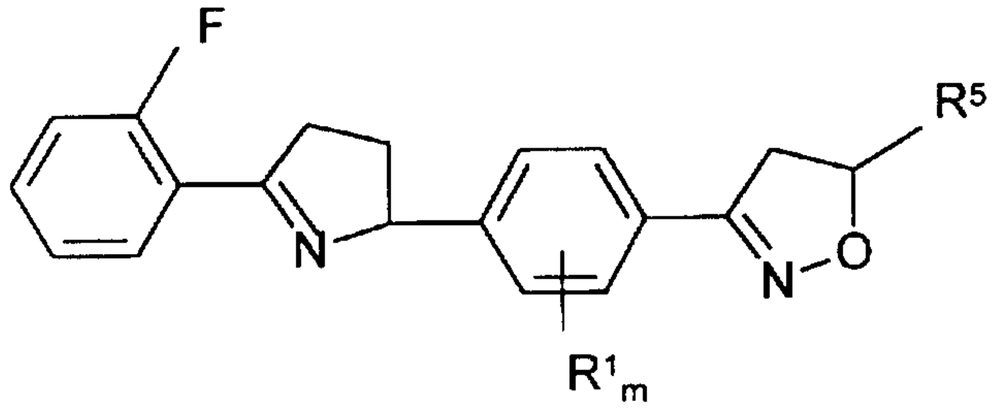

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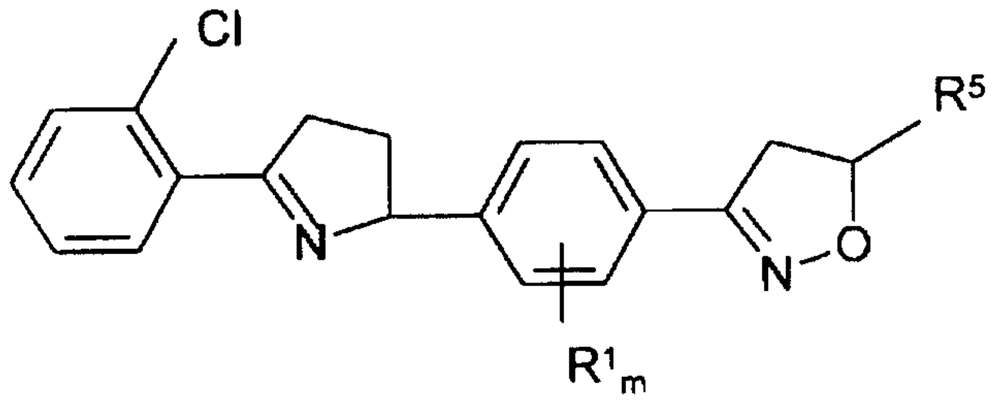

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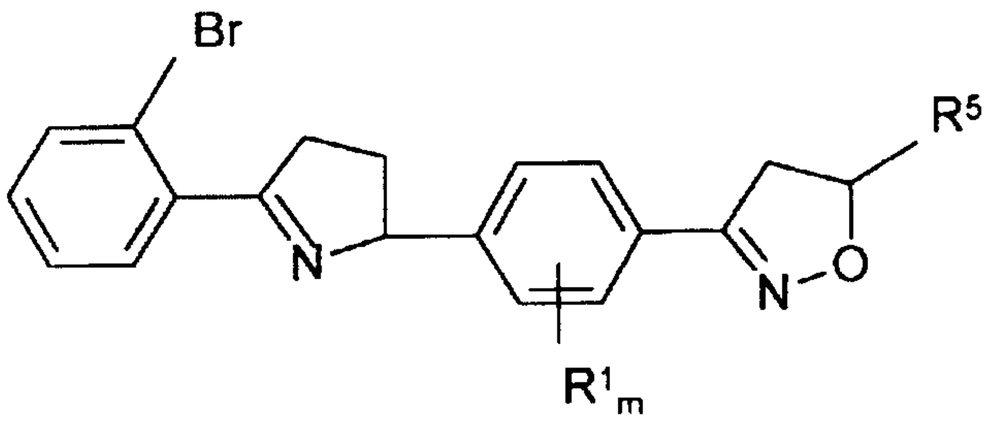

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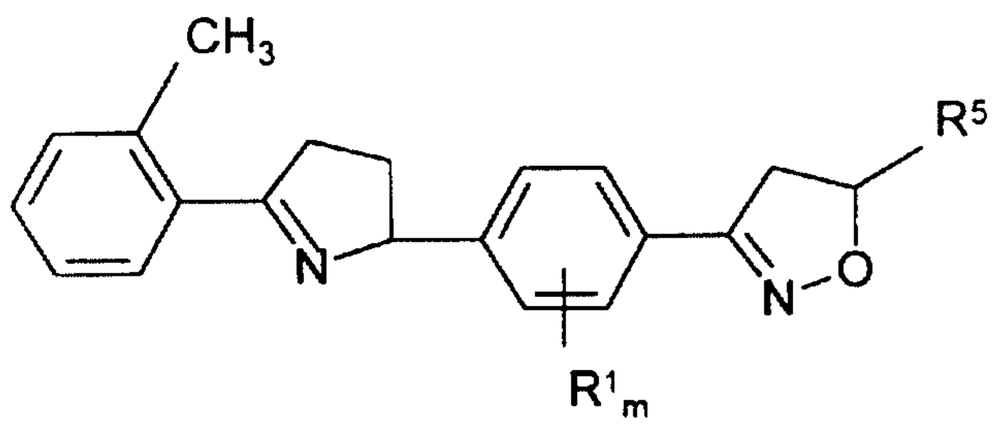

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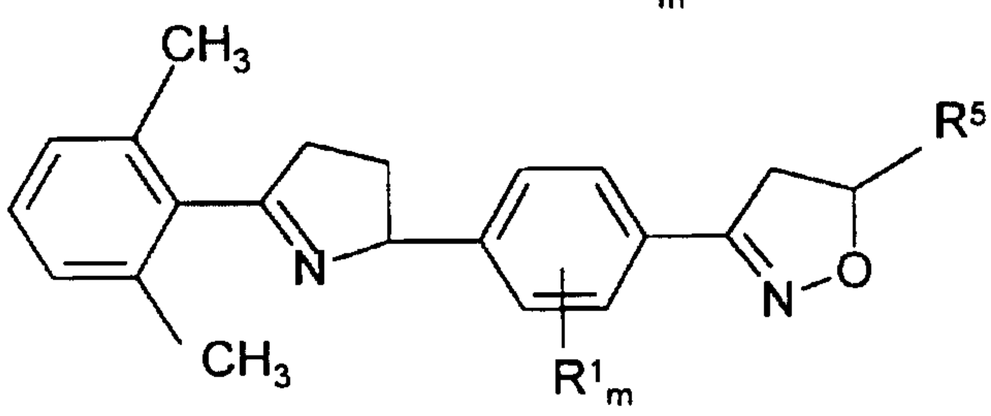

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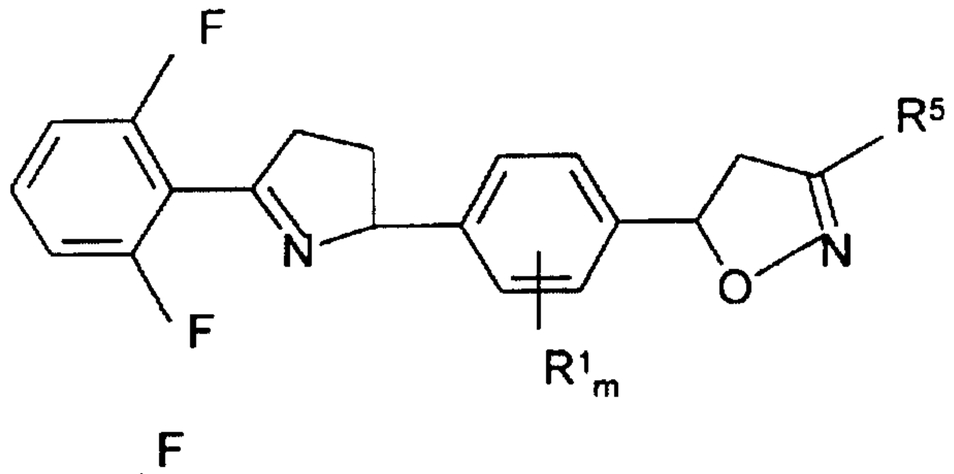

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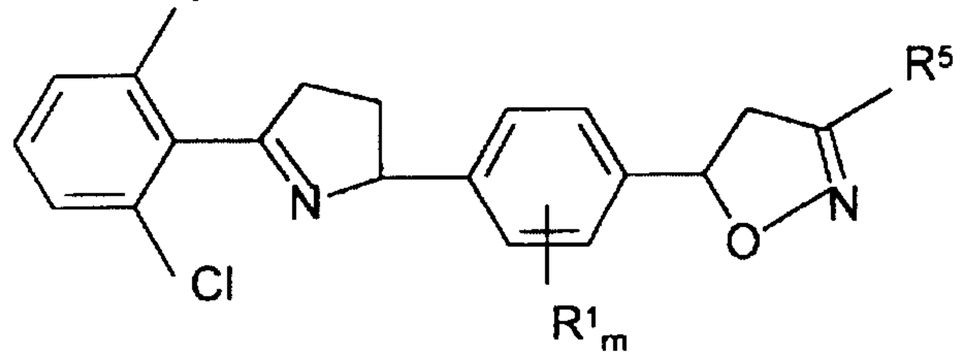

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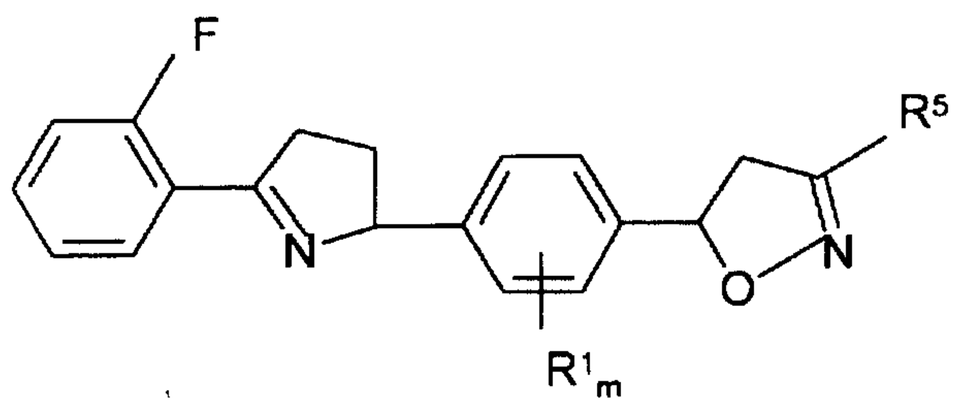

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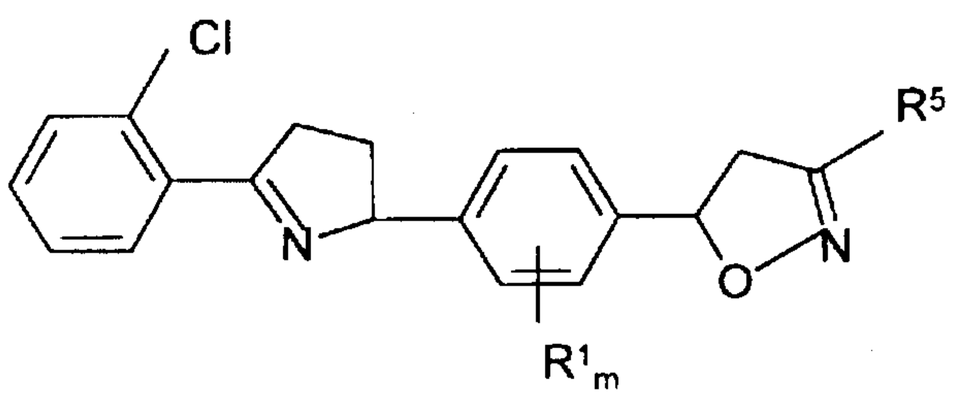

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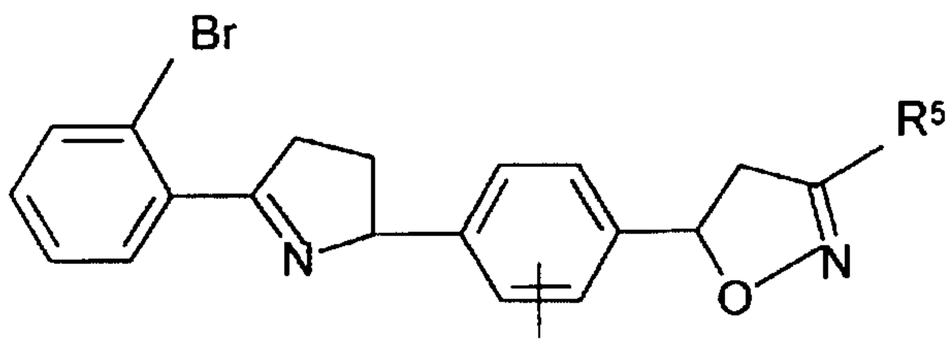

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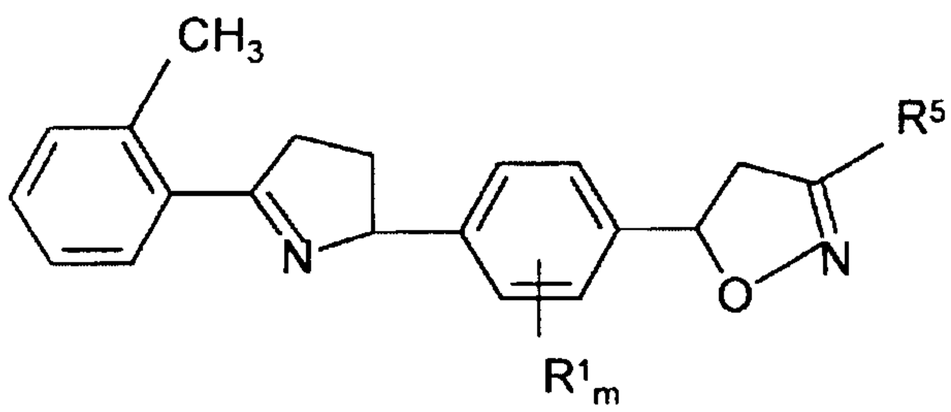
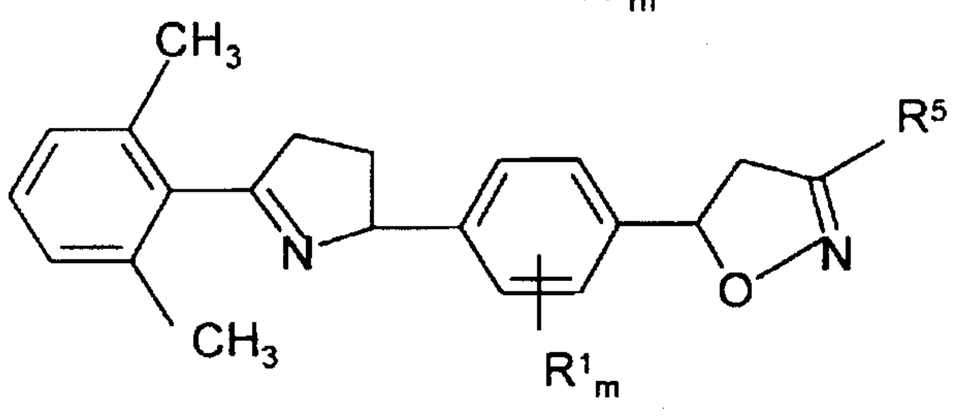


(I27)



(I28)

In the above formula, "halogen" is to be understood as meaning a fluorine, chlorine, bromine or iodine atom;

the term "(C_1-C_4)-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_1-C_6)-alkyl" is to be understood as meaning the abovementioned alkyl radicals and also, for example, the pentyl, 2-methylbutyl, 1,1-dimethylpropyl or the hexyl radical;

the term "(C_1-C_6)-alkanediyl" is to be understood as meaning an unbranched or branched alkanediyl radical having 1 to 6 carbon atoms, such as methylene, ethane-1,2-diyl, propane-1,2-diyl, propane-1,3-diyl, butane-1,4-diyl, butane-1,3-diyl or 2-methylpropane-1,3-diyl;

the term "(C_1-C_4)-haloalkyl" is to be understood as meaning an alkyl group mentioned under the term "(C_1-C_4)-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_3-C_8)-cycloalkyl" is to be understood as meaning, for example, the cyclopropyl, cyclobutyl or cyclopentyl group; and also the cyclohexyl, cycloheptyl or cyclooctyl radical;

the term "(C_3-C_8)-halocycloalkyl" is to be understood as meaning one of the (C_3-C_8)-cycloalkyl radicals listed above, 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 example, the 2,2-difluoro- or 2,2-dichlorocyclopropane group or the fluorocyclopentane radical;

the term "(C_2-C_4)-alkenyl" is to be understood as meaning, for example, the vinyl, allyl, 2-methyl-2-propenyl or 2-butenyl group;

the term "(C_2-C_4)-haloalkenyl" is to be understood as meaning a (C_2-C_4)-alkenyl group in which some of, or in the case of fluorine also all, the hydrogen atoms are replaced by halogen, preferably fluorine or chlorine;

the term "(C_2-C_4)-alkynyl" is to be understood as meaning, for example, the ethynyl, propargyl, 2-methyl-2-propynyl or 2-butynyl group;
the term "(C_2-C_6)-alkynyl" is to be understood as meaning, for example, the abovementioned radicals and also, for example, the 1-pentynyl, 2-pentynyl, 3-pentynyl, or the 4-pentynyl group;
the term "haloalkynyl" is to be understood as meaning an 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;
the term "(C_1-C_4)-alkanoyl-(C_1-C_4)-alkyl" is to be understood as meaning, for example, an acetylmethyl, propionylmethyl, 2-acetylethyl or a butyrylmethyl group;
the term "(C_1-C_4)-alkanoyl" is to be understood as meaning, for example, the formyl, acetyl, propionyl, 2-methylpropionyl or butyryl group;
the term "(C_1-C_6)-alkanoyl" is to be understood as meaning the abovementioned radicals and also, for example, the valeroyl, pivaloyl or hexanoyl group;
the term "(C_2-C_6)-haloalkanoyl" is to be understood as meaning a (C_2-C_6)-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;
the term "(C_1-C_6)-alkoxycarbonyl" is to be understood as meaning, for example, the methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, tert-butoxycarbonyl, pentyloxycarbonyl or hexyloxycarbonyl group;
the term "(C_1-C_6)-haloalkoxycarbonyl" is to be understood as meaning a (C_1-C_6)-alkoxycarbonyl group in which one or more, in the case of fluorine optionally also all, hydrogen atoms are replaced by halogen, preferably fluorine or chlorine;
the term "(C_1-C_6)-alkylthio" is to be understood as meaning an alkylthio group whose hydrocarbon radical has the meaning given under the term "(C_1-C_6)-alkyl";
the term "(C_1-C_6)-haloalkylthio" is to be understood as meaning a (C_1-C_6)-alkylthio group in which one or more, in the case of fluorine optionally also all, hydrogen atoms of the hydrocarbon moiety are replaced by halogen, in particular chlorine or fluorine;
the term "(C_1-C_6)-alkylsulfinyl" is to be understood as meaning, for example, the methyl-, ethyl-, propyl-, isopropyl-, butyl-, isobutyl-, sec-butyl-, tert-butyl-, pentyl-, 2-methylbutyl- or hexylsulfinyl group;

the term "(C_1-C_6)-alkylsulfonyl" is to be understood as meaning, for example, the methyl-, ethyl-, propyl-, isopropyl-, butyl-, isobutyl-, sec-butyl-, tert-butyl-, pentyl-, 2-methylbutyl- or hexylsulfonyl group;

the terms "(C_1-C_6)-haloalkylsulfinyl" and "(C_1-C_6)-haloalkylsulfonyl" are to be understood as meaning (C_1-C_6)-alkylsulfinyl and –sulfonyl radicals having the meanings given above in which one or more, in the case of fluorine optionally also all, hydrogen atoms of the hydrocarbon moiety are replaced by halogen, in particular chlorine or fluorine;

the term "(C_1-C_6)-alkoxy" is to be understood as meaning an alkoxy group whose hydrocarbon radical has the meaning given under the term "(C_1-C_6)-alkyl";

the term “(C_1-C_6)-alkylamino“ is to be understood as meaning, for example, the methylamino, ethylamino, propylamino, isopropylamino, butylamino, isobutylamino, sec-butylamino, tert-butylamino, pentylamino or the hexylamino group;

the term “(C_1-C_6)-dialkylamino“ is to be understood as meaning, for example, the dimethylamino, methylethylamino, diethylamino, dipropylamino, dibutylamino, dipentylamino or the dihexylamino group; but also cyclic systems, such as, for example, the pyrrolidino or piperidino group,

the term "(C_1-C_6)-haloalkoxy" is to be understood as meaning a haloalkoxy group whose halohydrocarbon radical has the meaning given under the term "(C_1-C_6)-haloalkyl";

the term “aryl” is to be understood as meaning a carbocyclic aromatic radical having preferably 6 to 14, in particular 6 to 12, carbon atoms, such as phenyl or naphthyl, 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 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 radical;

and the term "heteroaliphatic ring system" is to be understood as meaning a (C_3-C_8)-cycloalkyl radical in which at least one carbon unit is replaced by O, S or a group NR^{11} and R^{11} is hydrogen, (C_1-C_4)-alkyl, (C_1-C_4)-alkoxy or aryl;

the term "arylthio" is to be understood as meaning, for example, the phenylthio group;

the term "aryloxy" is to be understood as meaning, for example, the phenoxy group;

the term "heterocyclyloxy" or "heterocyclylthio" is to be understood as meaning one of the heterocyclic radicals mentioned above which is attached via an oxygen or sulfur atom;

the term "(C_3-C_8)-cycloalkoxy" or "(C_3-C_8)-cycloalkylthio" is to be understood as meaning one of the (C_3-C_8)-cycloalkyl radicals listed above which is attached via an oxygen or sulfur atom;

the term "(C_3-C_8)-cycloalkoxycarbonyl" is to be understood as meaning, for example, the cyclobutyloxycarbonyl, cyclopentyloxycarbonyl, cyclohexyloxycarbonyl or the cycloheptyloxycarbonyl group;

and the term "unsubstituted or substituted aryl, heterocyclyl, phenyl, etc." is to be understood as meaning, preferably, substitution by one or more, preferably 1 to 3, in the case of halogen also up to the maximum number of, radicals selected from the group consisting of halogen, cyano, nitro, amino, hydroxyl, thio, (C_1-C_4)-alkyl, (C_1-C_4)-haloalkyl, (C_3-C_8)-cycloalkyl, (C_1-C_4)-haloalkylthio, (C_1-C_4)-alkylamino, (C_1-C_4)-haloalkylamino, formyl or (C_1-C_4)-alkanoyl.

The explanation given above applies correspondingly 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 salt. Acids which can be used for salt formation are, for example, inorganic acids, such as hydrochloric acid, hydrobromic acid, nitric acid, sulfuric acid, phosphoric acid, or organic acids, such as formic acid, acetic acid,

propionic acid, malonic acid, oxalic acid, fumaric acid, adipic acid, stearic acid, oleic acid, methanesulfonic acid, benzenesulfonic acid or toluenesulfonic acid.

In some cases, the compounds of the formula (I) contain one or more chiral carbon atoms or stereoisomers on double bonds. Enantiomers or diastereomers can therefore occur. The invention relates both to the 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 a chiral, enantiomerically pure acid, separation of the diastereomeric salts and liberation of the pure enantiomers by means of a base.

The compounds according to the invention are prepared by methods which are known per se from the literature, as described in standard works on organic synthesis, for example Houben-Weyl, Methoden der Organischen Chemie [Methods in Organic Chemistry], Georg-Thieme-Verlag, Stuttgart.

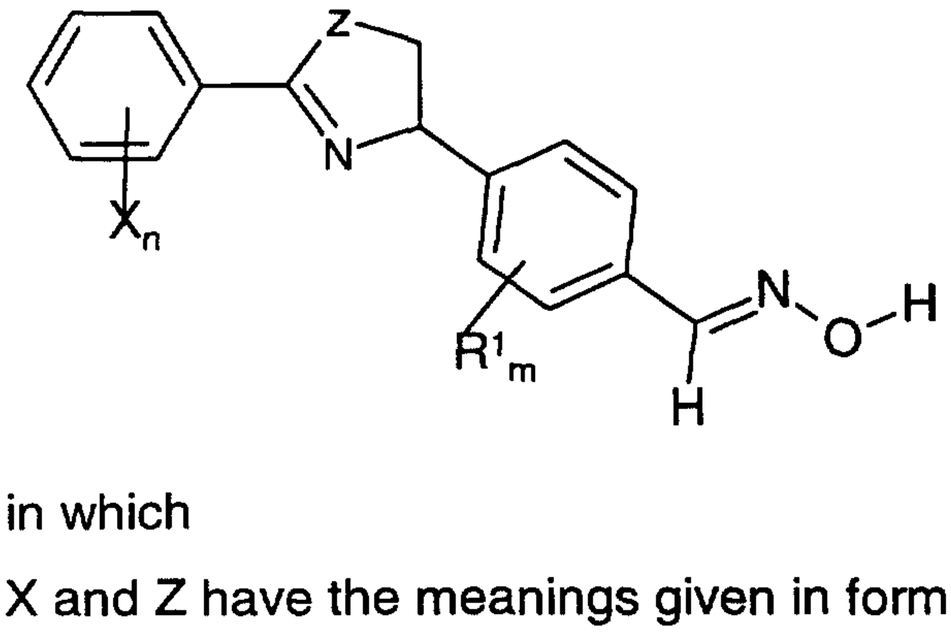
The preparation is carried out under reaction conditions which are known and suitable for the abovementioned reactions. Other variants which are known per se, but not illustrated here in greater detail, may also be used.

If desired, the starting materials may also be formed in situ, in such a way that they are not isolated from the reaction mixture but immediately reacted further to give the compounds of the formula (I).

The general chemistry of 1,3-oxazolines is described, for example, in Tetrahedron, 1994, 50, 2297-2360 and in Nachr. Chem. Tech. Lab. 1996, 44, 744-750 .

The invention also provides a process for preparing compounds of the formula (I, G = 3-isoxazinyl) by reacting 1,3-oxazolines, 1,3-thiazolines, pyrrolines and imidazolines of the formula (II) (see, for example, WO-A-96/22283) (suitably substituted by X_n and R^1_m) with a halogenating agent to give compounds of the

formula (III), and reacting these compounds with an olefin (IV) (suitably substituted by R^5_t), where initially an oxime of the formula (II),

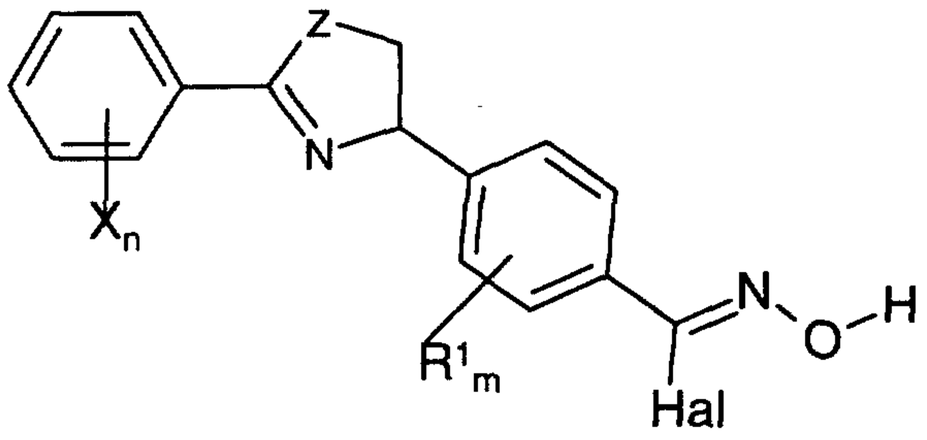


(II)

in which

X and Z have the meanings given in formula (I)

is reacted with a halogenating agent, preferably a chlorinating agent, to give a compound of the formula (III)

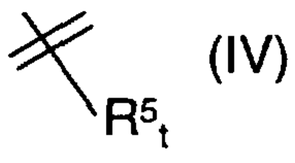


(III)

in which

Hal is halogen, preferably Cl,

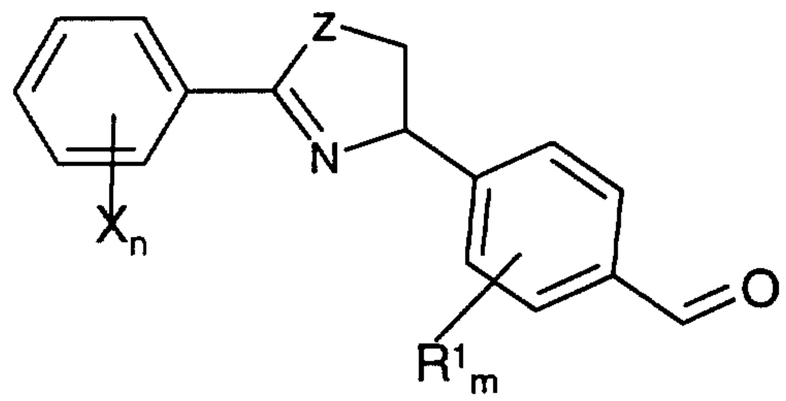
and then reacted further with an olefin of the formula (IV),



(IV)

in which R^5 and t have the meanings given above.

The invention also provides a process for preparing compounds of the formula (II) by reacting 1,3-oxazolines, 1,3-thiazolines, pyrrolines and imidazolines of the formula (V) (suitably substituted by X and R^1) with hydroxylamine or its salts, if appropriate in the presence of a base,

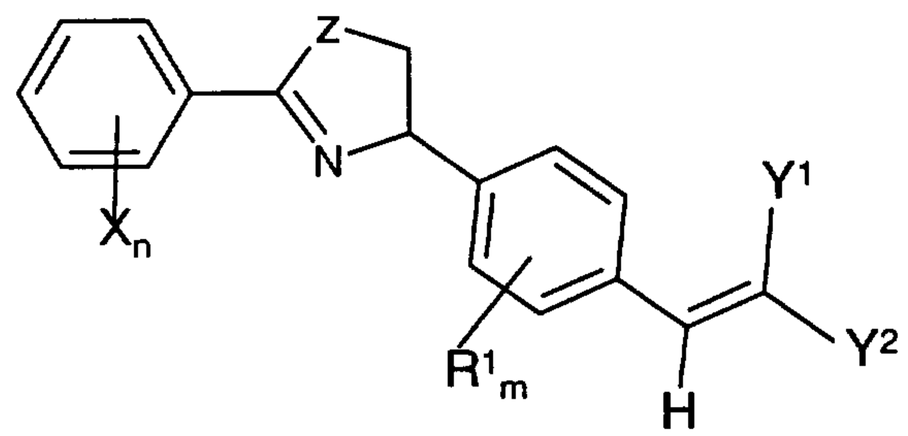


(V)

in which

X_n and Z have the meanings given in formula (I).

The invention also provides a process for preparing compounds of the formula (V) from 1,3-oxazolines, 1,3-thiazolines, pyrrolines and imidazolines of the formula (VI) (suitably substituted by X and R^1), where compounds of the formula (VI)



(VI)

in which

Y^1 and Y^2 independently of one another are hydrogen, (C_1-C_4)-alkyl, (C_1-C_4)-alkoxycarbonyl or phenyl and

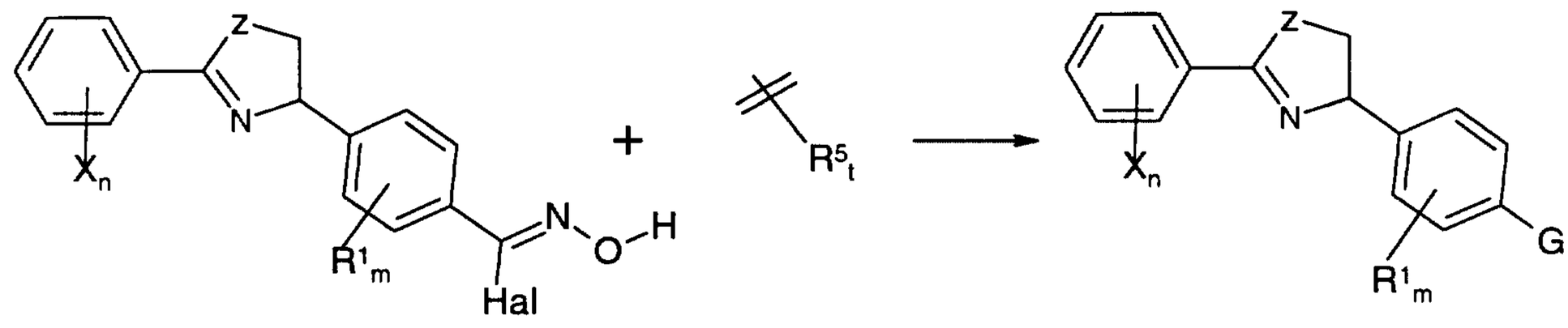
X_n and Z have the meanings given in formula (I)

are reacted with an oxidizing agent to give compounds of the formula (V).

Methods A to D are illustrated using the synthesis of different subgroups of compounds of the formula (I), (G = 3-isoxazinyl) as an example:

The isoxazole ring is advantageously generated in the presence of a base, for example selected from the group of the alkali metal hydroxides, alkali metal carbonates, alkoxides and amines.

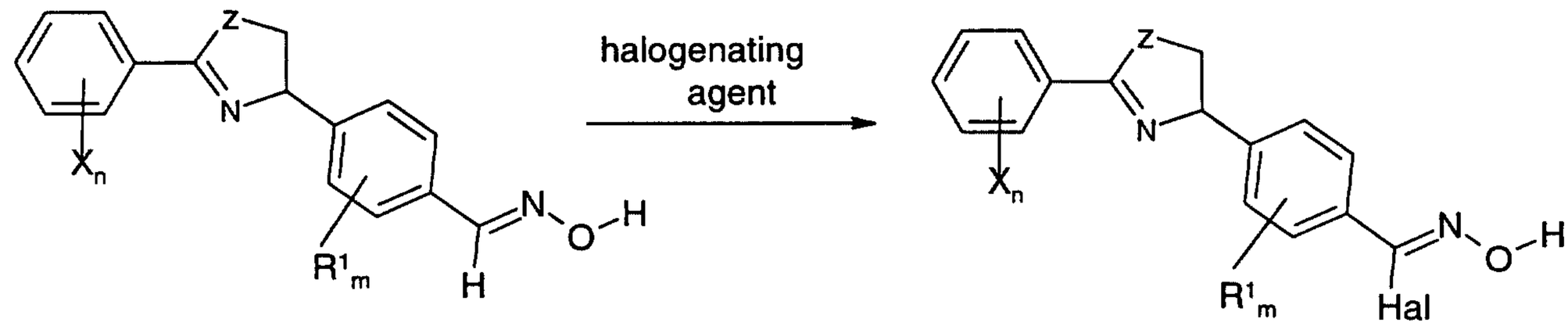
Method A



(III) (IV) (I)

Using halogenating agents, oximes of the formula (II) are converted into the halooximes (III). Suitable halogenating agents are, for example, elemental halogen, hypohalites and N-haloimides:

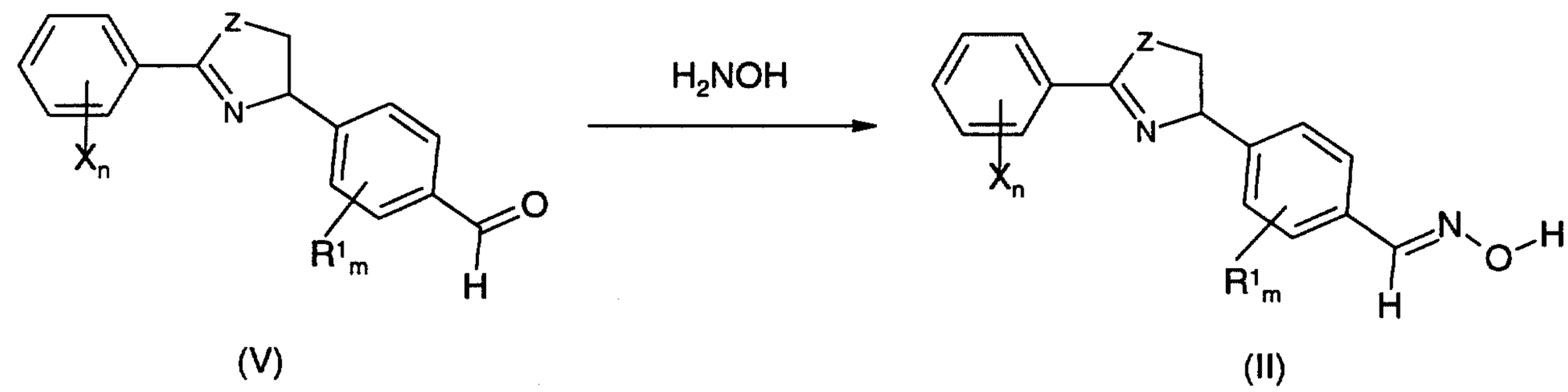
Method B



(II) (III)

Oximes of the formula (II) are prepared by reacting aldehydes of the formula (V) with hydroxylamine or hydroxylamine salts, if appropriate in the presence of a base:

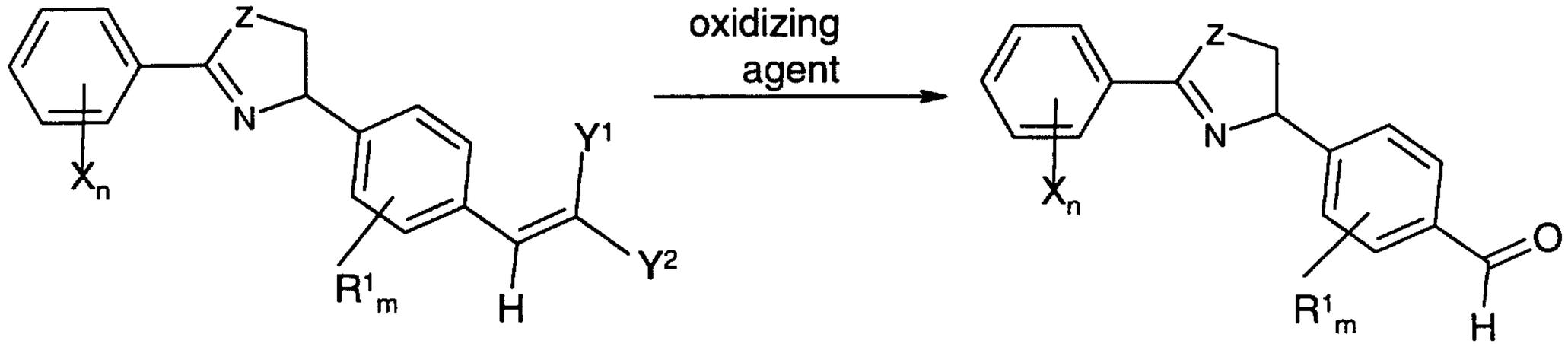
Method C



(V) (II)

Aldehydes of the formula (V) are generated by cleaving the olefins of the formula (VI) using an oxidizing agent. Suitable oxidizing agents are, for example, ruthenium or osmium compounds in combination with a periodate, or ozone:

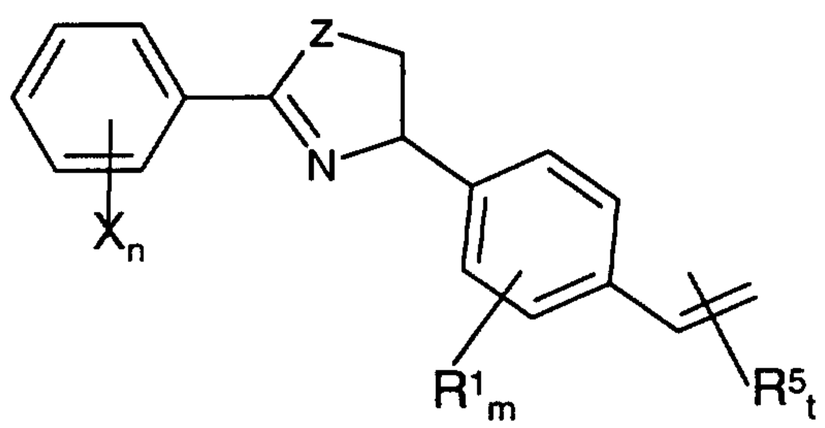
Method D



(VI) (V)

Some compounds of the formula (VI) have been described (WO-A-95/04726) or they can be prepared in a similar manner.

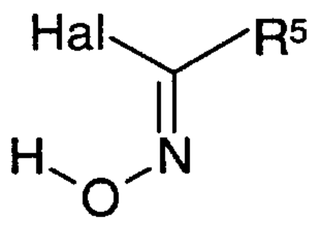
The invention also provides a process for preparing compounds of the formula (I) (G = 5-isoxazinyl) by reacting 1,3-oxazolines, 1,3-thiazolines, pyrrolines and imidazolines of the formula (VII) (see, for example, WO-A 95/04726), suitably substituted by X_n and $R^1{}_m$, with a halooxime, where an olefin of the formula (VII)



(VII)

in which

Z and $R^5{}_t$ have the meanings given above, is reacted with a halooxime of the formula (VIII)



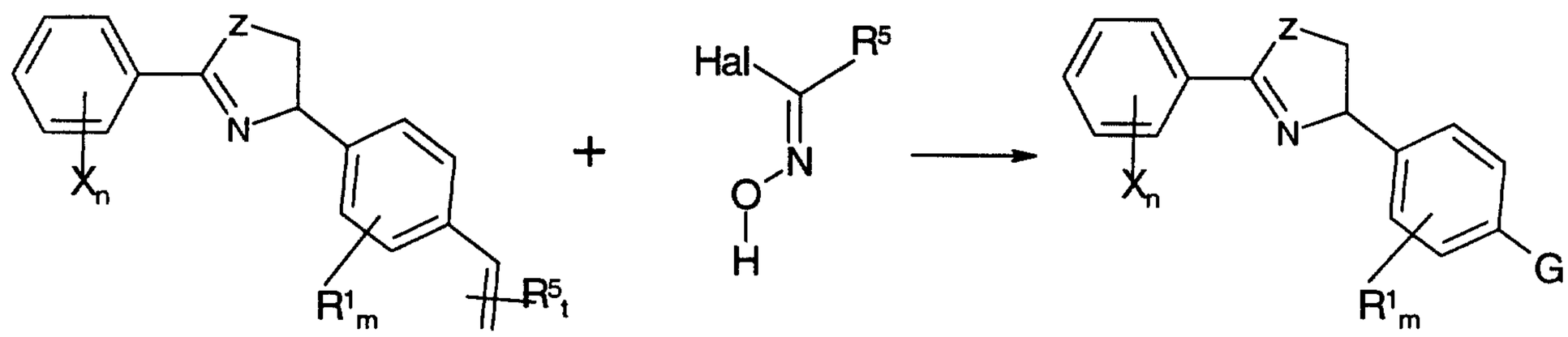
(VIII)

where R^5 has the meanings given above.

Method E is illustrated using the synthesis of compounds of the formula (I) (G = 3-isoxazinyl) as an example:

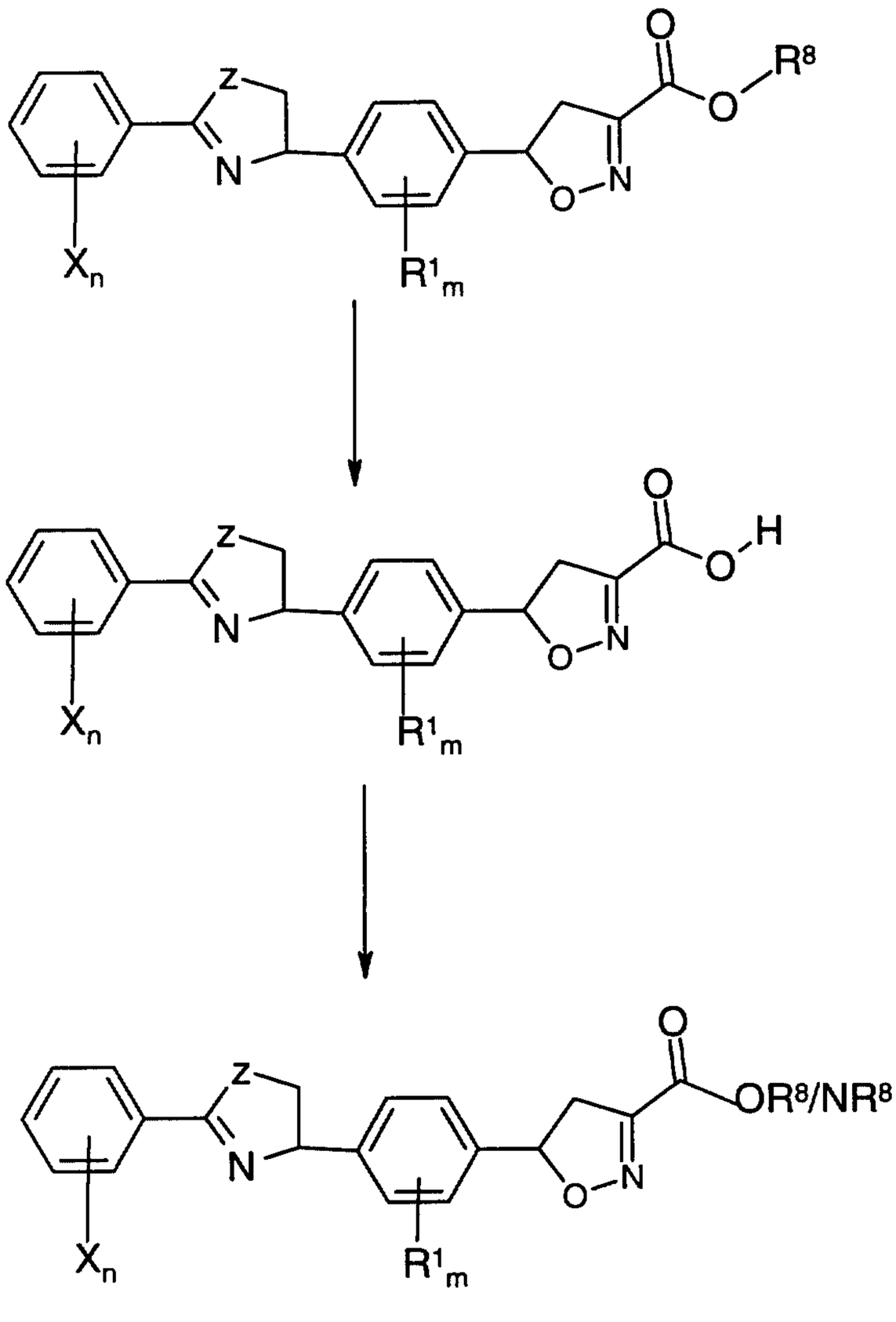
The isoxazole ring is generated in the presence of a base, selected, for example, from the group consisting of alkali metal hydroxides, alkali metal carbonates, alkoxides and amines.

Method E



(VII) (VIII) (I)

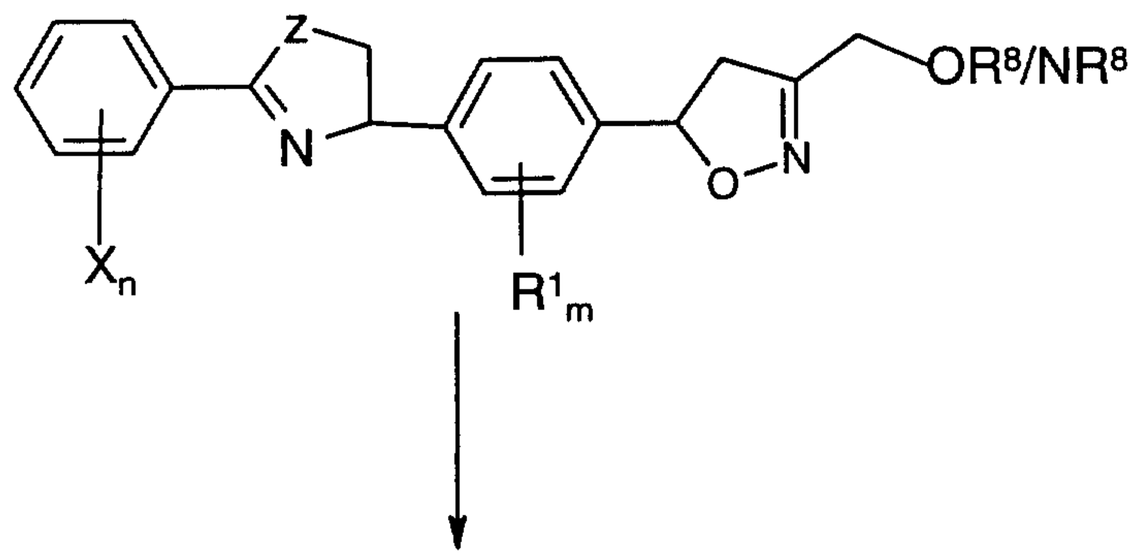
Various esters and amides as radicals R^5 can be prepared, for example, from acid derivatives. These, for their part, are obtainable, for example, by ester hydrolysis, for example

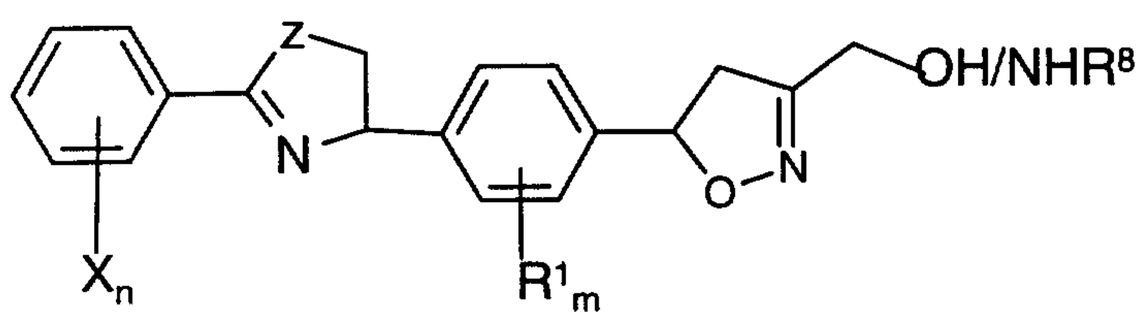


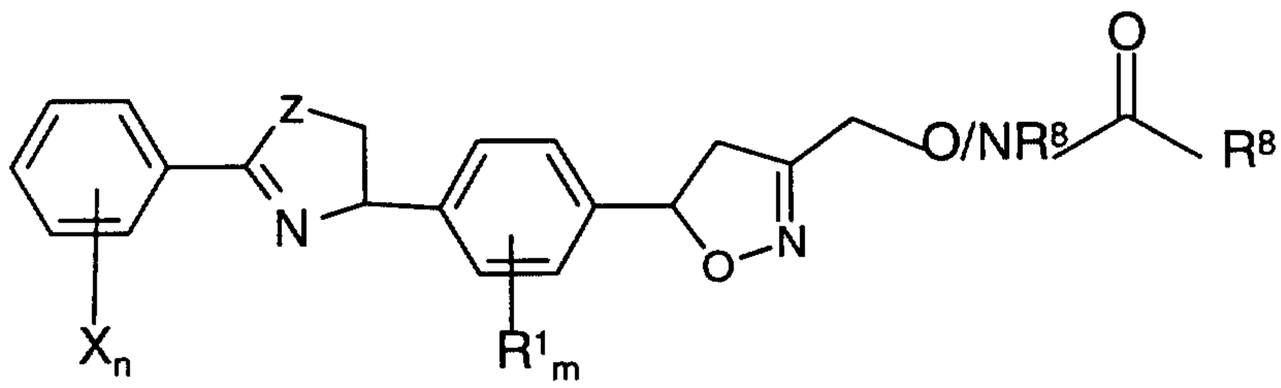
Suitable for use as hydrolyzing agents are, for example, aqueous alkali metal hydroxide solutions.

During the preparation of the amides or esters, the acid can be activated using, for example, a carbodiimide, carbonyldiimidazole or an inorganic acid chloride, for example thionyl chloride.

Various esters and amides as radical R^5 can also be prepared, for example, from hydroxyl and amine derivatives. These, for their part, are obtainable, for example, by ester or amide hydrolysis, for example:







Suitable for use as hydrolyzing agents are, for example, aqueous alkali metal hydroxide solutions.

To prepare the amides or esters, the alcohol or the amine can be reacted, for example, with an activated acid, e.g. an acid chloride.

Collections of compounds of the formula (I) which can be synthesized by the abovementioned scheme may also be prepared in a parallel manner and this may be effected manually or in a semiautomated or fully automated manner. In this case, it is possible, for example, to automate the procedure of the reaction, the work-up or the purification of the products or of the intermediates. In total, this is to be understood as meaning a procedure as is described, for example, by S.H. DeWitt in "Annual Reports in Combinatorial Chemistry and Molecular Diversity: Automated synthesis", Volume 1, Verlag Escom 1997, pages 69 to 77.

A number of commercially available apparatuses as are offered by, for example, Stem Corporation, Woodrolfe Road, Tollesbury, Essex, CM9 8SE, England or H+P Labortechnik GmbH, Bruckmannring 28, 85764 Oberschleißheim, Germany, may be used for the parallel procedure of the reaction and work-up. For the parallel purification of compounds of the formula (I), or of intermediates obtained during the preparation, use may be made, inter alia, of chromatography apparatuses, for example those from ISCO, Inc., 4700 Superior Street, Lincoln, NE 68504, USA.

The apparatuses mentioned lead to a modular procedure in which the individual process steps are automated, but manual operations have to be performed between the process steps. This can be avoided by employing semiintegrated or fully integrated automation systems where the automation modules in question are operated by, for example, robots. Such automation systems can be obtained, for example, from Zymark Corporation, Zymark Center, Hopkinton, MA 01748, USA.

In addition to what has been described here, compounds of the formula (I) may be prepared in part or fully by solid-phase-supported methods. For this purpose, individual intermediate steps or all intermediate steps of the synthesis or of a synthesis adapted to suit the procedure in question are bound to a synthetic resin. Solid-phase-supported synthesis methods are described extensively in the specialist literature, for example Barry A. Bunin in "The Combinatorial Index", Verlag Academic Press, 1998.

The use of solid-phase-supported synthesis methods permits a series of protocols which are known from the literature and which, in turn, can be performed manually or in an automated manner. For example, the "tea-bag method" (Houghten, US 4,631,211; Houghten et al., Proc. Natl. Acad. Sci, 1985, 82, 5131-5135), in which products from IRORI, 11149 North Torrey Pines Road, La Jolla, CA 92037, USA, are employed, may be semiautomated. The automation of solid-phase-supported parallel syntheses is performed successfully, for example, by apparatuses from Argonaut Technologies, Inc., 887 Industrial Road, San Carlos, CA 94070, USA or MultiSynTech GmbH, Wullener Feld 4, 58454 Witten, Germany.

The preparation according to the processes described herein yields compounds of the formula (I) in the form of substance collections which are referred to as libraries. The present invention also relates to libraries which comprise at least two compounds of the formula (I).

The compounds of the formula (I) are suitable for controlling animal pests, in particular insects, arachnids, helminths and molluscs, very especially preferably for controlling insects and arachnids, which are encountered in agriculture, in livestock breeding, in forests, in the protection of stored goods and materials and in the hygiene sector, and have good plant tolerance and favorable toxicity to warm-blooded species. They are active against normally sensitive and resistant species and against all or individual development stages. The abovementioned pests include:

From the order of the Acarina, for example, Acarus siro, Argas spp., Ornithodoros spp., Dermanyssus gallinae, Eriophyes ribis, Phyllocoptruta oleivora, Boophilus spp., 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.

From the order of the Isopoda, for example, Oniscus asselus, Armadium vulgare and Porcellio scaber.

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

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

From the order of the Symphyla, for example, Scutigerella immaculata.

From the order of the Thysanura, for example, Lepisma saccharina.

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

From the order of the Orthoptera, for example, Blatta orientalis, Periplaneta americana, Leucophaea madeira, Blattella germanica, Acheta domesticus, Gryllotalpa spp., Locusta migratoria migratorioides, Melanoplus differentialis and Schistocerca gregaria.

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.

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

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

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

From the order of the Homoptera, for example, Aleurodes brassicae, Bemisia tabaci, Trialeurodes vaporariorum, Aphis gossypii, 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, 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, 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, Homona magnanima and Tortrix viridana.

From the order of the Coleoptera, for example, Anobium punctatum, Rhizopertha dominica, Bruchidius obtectus, Acanthoscelides obtectus, Hylotrupes bajulus, Agelastica alni, Leptinotarsa decemlineata, Phaedon cochleariae, Diabrotica spp., Psylloides chrysocephala, Epilachna varivestis, Atomaria spp., Oryzaephilus surinamensis, Anthonumus spp., Sitophilus spp., Otiorrhynchus sulcatus, Cosmopolites sordidus, Ceuthorrynchus assimilis, Hypera 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 and Costelytra zealandica.

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., 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 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.

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

From the class of helminths, for example, Haemonchus, Trichostrongulus, Ostertagia, Cooperia, Chabertia, Strongyloides, Oesophagostomum, Hyostrongulus, Ancylostoma, Ascaris and Heterakis, as well as Fasciola.

From the class of the 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 curvitatus,

Tylenchulus, such as Tylenchulus semipenetrans, Tylenchorhynchus, such as Tylenchorhynchus dubius and Tylenchorhynchus claytoni, Rotylenchus, such as Rotylencus robustus, Heliocotylenchus, such as Heliocotylenchus 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, for example crop protection compositions, preferably insecticidal, acaricidal, ixodicidal, nematicidal, molluscidal or fungicidal, particularly preferably insecticidal and acaricidal compositions, which comprise one or more compounds of the formula (I) in addition to suitable formulation auxiliaries.

In general, the compositions according to the invention comprise from 1 to 95% by weight of the active compounds of the formula (I).

For preparing the compositions according to the invention, the active compound and the other additives are combined and formulated as a suitable use form.

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

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

These individual types of formulation are known in principle and are described, for example, in: Winnacker-Küchler, "Chemische Technologie" [Chemical Technology], Volume 7, C. Hanser 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.

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", 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. Hanser 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 polyethoxylated alkylphenols, polyethoxylated fatty alcohols or alkyl- or alkylphenolsulfonates, 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 Ca dodecylbenzenesulfonate, 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, kaolinites or granular inert material, by means of adhesives, for example polyvinyl alcohol, sodium polyacrylate or mineral oils. Suitable active compounds can also be 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 to make up 100% by weight comprising customary formulation 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 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 comprise, if appropriate, the particular customary tackifiers, wetting agents, dispersing agents, emulsifiers, penetration agents, solvents, fillers or carrier substances.

For use, the concentrates in the commercially available 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 not diluted further with additional inert substances before use.

The required amount applied varies with the external conditions, such as temperature or humidity. 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 (see the above mentioned compositions) as mixtures with other active compounds, such as insecticides, attractants, sterilizing agents, acaricides, nematicides, fungicides, molluscides, growth-regulating substances or herbicides.

The pesticides include, for example, phosphoric acid esters, carbamates, carboxylic acid esters, formamidines, tin compounds and substances produced by microorganisms.

Preferred partners for the mixtures are:

1. from the group of phosphorus compounds

acephate, azamethiphos, azinphos-ethyl, azinphos-methyl, bromophos, bromophos-ethyl, cadusafos (F-67825), chlorethoxyphos, chlorfenvinphos, chlormephos, chlorpyrifos, chlorpyrifos-methyl, demeton, demeton-S-methyl, demeton-S-methyl sulfone, dialifos, diazinon, dichlorvos, dicrotophos, dimethoate, disulfoton, EPN, ethion, ethoprophos, etrimfos, famphur, fenamiphos, fenitriothion, fensulfothion, fenthion, fonofos, formothion, fosthiazate (ASC-66824), heptenophos, isazophos, isothioate, isoxathion, malathion, methacrifos, methamidophos, methidathion, salithion, mevinphos, monocrotophos, naled, omethoate, oxydemeton-methyl, parathion, parathion-methyl, phenthoate, phorate, phosalone, phosfolan, phosphocarb (BAS-301), phosmet, phosphamidon, phoxim, pirimiphos, primiphos-ethyl, pirimiphos-methyl, profenofos, propaphos, proetamphos, prothiofos, pyraclofos, pyridapenthion, quinalphos, sulprofos, temephos, terbufos, tebupirimfos, tetrachlorvinphos, thiometon, triazophos, trichlorphon, vamidothion;

2. from the group of carbamates

alanycarb (OK-135), aldicarb, 2-sec-butylphenyl methylcarbamate (BPMC), carbaryl, carbofuran, carbosulfan, cloethocarb, benfuracarb, ethiofencarb, furathiocarb, HCN-801, isoprocarb, methomyl, 5-methyl-m-cumenyl butyryl(methyl)carbamate, oxamyl, pirimicarb, propoxur, thiodicarb, thiofanox, 1-methylthio(ethylideneamino) N-methyl-N-(morpholinothio)carbamate (UC 51717), triazamate;

3. from the group of carboxylic acid esters

acrinathrin, allethrin, alphametrin, 5-benzyl-3-furylmethyl (E)-(1R)-cis-2,2-di-methyl-3-(2-oxothiolan-3-ylidenemethyl)cyclopropanecarboxylate, beta-cyfluthrin, beta-cypermethrin, bioallethrin, bioallethrin ((S)-cyclopentyl isomer), bioresmethrin, bifenthrin, (RS)-1-cyano-1-(6-phenoxy-2-pyridyl)methyl (1RS)-trans-3-(4-tert-butylphenyl)-2,2-dimethylcyclopropanecarboxylate (NCI 85193), cycloprothrin, cyfluthrin, cyhalothrin, cythithrin, cypermethrin, cyphenothrin, deltamethrin, empenthrin, esfenvalerate, fenfluthrin, fenpropathrin, fenvalerate, flucythrinate, flumethrin, fluvalinate (D isomer), imiprothrin (S-41311), lambda-cyhalothrin, permethrin, pheothrin ((R) isomer), prallethrin, pyrethrins (natural products), resmethrin, tefluthrin, tetramethrin, theta-cypermethrin (TD-2344), tralomethrin, transfluthrin and zeta-cypermethrin (F-56701);

4. from the group of amidines

amitraz, chlordimeform;

5. from the group of tin compounds

cyhexatin, fenbutatin oxide;

6. others

abamectin, ABG-9008, acetamiprid, Anagrapha falcitera, AKD-1022, AKD-3059, ANS-118, Bacillus thuringiensis, Beauveria bassianea, bensultap, bifenazate (D-2341), binapacryl, BJL-932, bromopropylate, BTG-504, BTG-505, buprofezin, camphechlor, cartap, chlorobenzilate, chlorfenapyr, chlorfluazuron, 2-(4-chlorophenyl)-4,5-diphenylthiophene (UBI-T 930), chlorfentezine, chromafenozide (ANS-118), CG-216, CG-217, CG-234, A-184699, 2-naphthylmethyl cyclopropanecarboxylate (Ro12-0470), cyromazin, diacloden (thiamethoxam),

diafenthiuron, N-(3,5-dichloro-4-(1,1,2,3,3,3-hexafluoro-1-propyloxy)phenyl)carbamoyl)-2-chlorobenzocarboxamide acid ethyl ester, DDT, dicofol, diflubenzuron, N-(2,3-dihydro-3-methyl-1,3-thiazol-2-ylidene)-2,4-xylidine, dinobuton, dinocap, diofenolan, DPX-062, emamectin-benzoate (MK-244), endosulfan, ethiprole (sulfethiprole), ethofenprox, etoxazole (YI-5301), fenazaquin, fenoxycarb, fipronil, fluazuron, flumite (flufenzine, SZI-121), 2-fluoro-5-(4-(4-ethoxyphenyl)-4-methyl-1-pentyl)diphenyl ether (MTI 800), granulosis and nuclear polyhedrosis viruses, fenpyroximate, fenthiocarb, flubenzimine, flucycloxuron, flufenoxuron, flufenprox (ICI-A5683), fluproxyfen, gamma-HCH, halofenozide (RH-0345), halofenprox (MTI-732), hexaflumuron (DE_473), hexythiazox, HOI-9004, hydramethylnon (AC 217300), IKI 220, imidacloprid, indoxacarb (DPX-MP062), kanemite (AKD-2023), M-020, MTI-446, ivermectin, lufenuron, M-020, methoxyfenozide (Intrepid, RH-2485), milbemectin, NC-196, neemgard, nitenpyram (TI-304), 2-nitromethyl-4,5-dihydro-6H-thiazine (DS 52618), 2-nitromethyl-3,4-dihydrothiazole (SD 35651), 2-nitromethylene-1,2-thiazinan-3-ylcarbamaldehyde (WL 108477), pyriproxyfen (S-71639), NC-196, NC-1111, NNI-9768, novaluron (MCW-275), OK-9701, OK-9601, OK-9602, propargite, pymethrozine, pyridaben, pyrimidifen (SU-8801), RH-0345, RH-2485, RYI-210, S-1283, S-1833, SB7242, SI-8601, silafluofen, silomadine (CG-177), spinosad, SU-9118, tebufenozide, tebufenpyrad (MK-239), teflubenzuron, tetradifon, tetrasul, thiacloprid, thiocyclam, TI-435, tolfenpyrad (OMI-88), triazamate (RH-7988), triflumuron, verbutin, vertalec (Mykotal), YI-5301.

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, preferably between 0.00001 and 1% by weight. The active compounds are used in a customary manner appropriate for the use forms.

The invention also provides a method for controlling harmful insects, Acarina, molluscs and/or nematodes, in which an effective amount of a compound according to the invention or a composition according to the invention is applied to these organisms or the plants, areas or substrates infested with them.

The invention also provides the use of a compound according to the invention or a composition according to the invention for controlling harmful insects, Acarina, molluscs and/or nematodes.

The active substances according to the invention are also suitable for the field of veterinary medicine, preferably for controlling endo- and ectoparasites, and for the field of animal husbandry.

The active substances according to the invention can preferably be applied in a known manner, such as by oral application in the form of, for example, tablets, capsules, potions or granules, by dermal application in the form of, for example, dipping, spraying, pouring-on and spotting-on and dusting, and also by parenteral application in the form of, for example, injection.

The compounds of the formula (I) according to the invention can accordingly also be employed particularly advantageously in livestock husbandry (for example cattle, sheep, pigs and poultry such as chickens, geese etc.). In a preferred embodiment of the invention, the novel compounds, if appropriate in suitable formulations (cf. above) and if appropriate with the drinking water or feed, are administered orally to the animals. Since excretion in the feces occurs in an effective fashion, the development of insects in the animal feces can be prevented very simply in this fashion. The dosages and formulations suitable in each case, in particular, depend on the type and developmental stage of the productive animals and also on the severity of infestation and can easily be determined and fixed by conventional methods. In the case of cattle, the compounds can be employed, for example, in dosages of 0.01 to 1 mg/kg of body weight.

Accordingly, the invention also provides the use of a compound of the formula (I) or one of the abovementioned compositions for preparing a veterinary medicament.

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

Compounds of the formula (I) in their commercially available formulations can be used either alone or in combination with other fungicides known from the literature.

Examples of fungicides which are known from the literature and which can be combined in accordance with the invention with the compounds of the formula (I) are the following products:

aldimorph, andoprim, anilazine, BAS 480F, BAS 450F, benalaxyl, benodanil, benomyl, binapacryl, bitertanol, bromuconazole, buthiobate, captafol, captan, carbendazim, carboxin, CGA 173506, cyprofuram, dichlofluanid, dichlomezin, diclobutrazol, diethofencarb, difenconazole (CGA 169374), difluconazole, dimethirimol, dimethomorph, diniconazole, dinocap, dithianon, dodemorph, dodine, edifenfos, ethirimol, etridiazol, fenarimol, fenfuram, fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, ferimzone (TF164), fluazinam, fluobenzimine, fluquinconazole, fluorimide, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminum, fuberidazole, fulsulfamide (MT-F 651), furalaxyl, furconazole, furmecyclox, guazatine, hexaconazole, ICI A5504, imazalil, imibenconazole, iprobenfos, iprodione, isoprothiolane, KNF 317, copper compounds such as copper oxychloride, oxine-copper, copper oxide, mancozeb, maneb, mepanipyrim (KIF 3535), metconazole, 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, pyroquilon, rabenzazole, RH7592, sulfur, tebuconazole, TF 167, thiabendazole, thicyofen, thiofanate-methyl, thiram, tolclofos-methyl, tolylfluanid, triadimefon, triadimenol, tricyclazole, tridemorph, triflumizole, triforine, validamycin, vinchlozolin, XRD 563, zineb, sodium dodecylsulfonate, sodium dodecyl sulfate, sodium C13/C15-alcohol ether sulfonate, sodium cetostearyl phosphate ester, sodium dioctylsulfosuccinate, sodium isopropylnaphthalenesulfonate, sodium methylenebisnaphthalenesulfonate, cetyltrimethylammonium chloride, salts of long-chain primary, secondary or tertiary amines, alkylpropyleneamines, laurylpyrimidinium bromide, ethoxylated quaternized fatty amines, alkyldimethylbenzylammonium chloride and 1-hydroxylethyl-2-alkylimidazoline.

The abovementioned components are known active substances, many of which are described in C.D.S. Tomlin, S.B. Walker, The Pesticide Manual, 12th Edition, British Crop Protection Council, Farnham 2000.

The invention also provides seed, comprising or coated with an effective amount of a compound according to the invention or of a composition according to the invention.

The compounds of the formula (I) can also be employed for controlling harmful organisms in crops of known or genetically engineered plants yet to be developed. As a rule, the transgenic plants are distinguished by particular advantageous properties, for example by resistances to certain crop protection agents, resistances to plant diseases or pathogens of plant diseases such as certain insects or microorganisms such as fungi, bacteria or viruses. Other particular properties relate, for example, to the harvested material with regard to quantity, quality, storage properties, composition and specific constituents. Thus, transgenic plants with an elevated starch content or altered starch quality, or those with a different fatty acid spectrum of the harvested material, are known.

The use in economically important transgenic crops of useful plants and ornamentals, for example, cereals such as wheat, barley, rye, oats, millet and sorghum, rice, cassava and maize or else crops of sugar beet, cotton, soya, oilseed rape, potatoes, tomatoes, peas and other vegetables is preferred.

When being use in transgenic crops, in particular those in which the plants express an insecticide, effects are frequently found (in addition to the pesticidal effects which can be observed in other crops) which are specific to application in the transgenic crop in question, for example an altered or specifically widened spectrum of pests which can be controlled, or altered application rates which can be used for application.

The invention therefore also provides the use of compounds of the formula (I) for controlling harmful organisms in transgenic crop plants.

The use according to the invention of compounds of the formula (I) or compositions comprising them, for example an insecticide, acaricide, molluscide or nematicide, includes the case where the compound of the formula (I) or its salt is formed from a precursory substance only after application, for example in the insect, in a plant or in the soil.

The contents of German patent application 101 14 597.7, whose priority is claimed by the present application, and the contents of the appended summary are incorporated herein specifically by way of reference; they are considered to be part of the present description by way of citation.

The examples which follow serve to illustrate the invention without restricting it thereto.

A. Preparation examples

3-Arylisoxazolines

Intermediate I2: 2-(2,6-difluorophenyl)-4-(4-(2-phenylethenyl)phenyl)oxazoline

2-(2,6-Difluorophenyl)-4-(4-bromophenyl)oxazoline (33.8 g, 0.1mol) and styrene (22.9 ml, 0.2 mol) in 300 ml of DMF were heated at reflux with sodium carbonate (11.66 g, 0.11 mol), tris(2,4-di-tert-butylphenyl)phosphite (6.47 g, 10 mmol) and palladium acetate (0.45 g, 2 mmol) for 20 h. Following extractive work-up with ethyl acetate, the residue was triturated with heptane/dichloromethane (1:1). This gave 27 g of crystals, m.p. 141°C.

Intermediate I2: 2-(2,6-difluorophenyl)-4-(4-formylphenyl)oxazoline

At 0°C, 2-(2,6-difluorophenyl)-4-(4-(2-phenylethenyl)phenyl)oxazoline (7.22 g, 20 mmol) and sodium metaperiodate (8.55 g, 20 mmol) were suspended in acetonitrile/acetone/water (1:1:1, 180 ml), and a catalytic amount of ruthenium trichloride hydrate was added. Following extractive work-up with ethyl acetate and column chromatography, 5.6 g of the aldehyde were obtained as a viscous oil.

Intermediate I3: 2-(2,6-difluorophenyl)-4-(4-(hydroxyiminomethyl)phenyl)oxazoline

At room temperature, 2-(2,6-difluorophenyl)-4-(4-formylphenyl)oxazoline (5.6 g), hydroxylamine hydrochloride (1.53 g, 1.1 equivalents) and sodium acetate (4.9 g, 3 equivalents) were stirred in 50 ml of ethanol for 24 h. Following extractive work-up with ethyl acetate and column chromatography, 4.2 g of crystals were obtained, m.p. 159°C.

2-(2,6-Difluorophenyl)-4-(4-(5-tert-butylisoxazolin-3-yl)phenyl)oxazoline (Ex. No. 9)

At 50°C, 2-(2,6-difluorophenyl)-4-(4-(hydroxyiminomethyl)phenyl)oxazoline (40 mg, 0.13 mmol) and N-chlorosuccinimide (19 mg, 1.1 equivalents) in 2 ml of DMF were heated for 4 h. After cooling to room temperature, 3,3-dimethylbutene (33 mg, 0.4 mmol) and triethylamine (41 mg, 0.4 mmol) were added. After 16 h of stirring, the mixture was worked up by extraction with ethyl acetate and the residue was purified by column chromatography. This gave 19 mg of product.

2-(2,6-Difluorophenyl)-4-(4-(5-trifluoromethylisoxazolin-3-yl)phenyl)oxazoline (Ex. No. 43)

At 50°C, 2-(2,6-difluorophenyl)-4-(4-(hydroxyiminomethyl)phenyl)oxazoline (40 mg, 0.13 mmol) and N-chlorosuccinimide (19 mg, 1.1 equivalents) in 2 ml of DMF were heated for 4 h. After cooling to room temperature, 2 ml of a DMF solution saturated with 3,3,3-trifluoropropene, and triethylamine (41 mg, 0.4 mmol) were added. After 16 h of stirring, the mixture was worked up by extraction with ethyl acetate and the residue was purified by column chromatography. This gave 37 mg of product.

2-(2,6-Difluorophenyl)-4-(4-(5-(trifluoroacetamidomethyl)isoxazolin-3-yl)phenyl)oxazoline (Ex. No. 115)

At 50°C, 2-(2,6-difluorophenyl)-4-(4-(hydroxyiminomethyl)phenyl)oxazoline (1.2 g, 4 mmol) and N-chlorosuccinimide (560 mg, 1.05 equivalents) in 6 ml of DMF were heated for 4 h. After cooling to room temperature, N-allyltrifluoroacetamide (2.75 g, 3 equivalents) and triethylamine (1.66 ml, 3 equivalents) were added. After 16 h of stirring, the mixture was worked up by extraction with ethyl acetate and the residue was purified by column chromatography. This gave 920 mg of product.

2-(2,6-Difluorophenyl)-4-(4-(5-(propionylaminomethyl)isoxazolin-3-yl)phenyl)-oxazoline (Ex. No. 116)

2-(2,6-Difluorophenyl)-4-(4-(5-(trifluoroacetamidomethyl)isoxazolin-3-yl)phenyl)-oxazoline (43 mg) in 2 ml of methanol was admixed with 0.5 ml of 2N aqueous sodium hydroxide solution, and the mixture was stirred for 16 h. Following extractive work-up with dichloromethane, triethylamine (0.05 ml) and propionyl chloride (50 mg) were added at 0°C to the crude amine in 2 ml of dichlromethane. After 2 h of stirring, the mixture was worked up by extraction with ethyl acetate and the residue was purified by column chromatography. This gave 40 mg of product.

5-Arylisoxazolines

Intermediate I4: 2-(2,6-difluorophenyl)-4-(4-ethenylphenyl)oxazoline

In an autoclave, 2-(2,6-difluorophenyl)-4-(4-bromophenyl)oxazoline (6.0 g, 18 mmol), sodium carbonate (2.9 g, 21 mmol), tris(2,4-di-tert-butylphenyl) phosphite (1.2 g, 1.8 mmol) and palladium acetate (64 mg, 2% equivalents) in 100 ml of DMF were heated under 20 bar of ethylene at 150°C for 44 h. Extractive work-up with ethyl acetate and column chromatography gave 3.75 g of crystals, m.p. 76°C.

2-(2,6-Difluorophenyl)-4-(4-(3-methylisoxazolin-5-yl)phenyl)oxazoline (Ex. No. 566)

At room temperature, acetaldoxime (30 mg, 0.5 mmol) and N-chlorosuccinimide (67 mg, 1 equivalent) in 3 ml of DMF were stirred for 3 h. 2-(2,6-Difluorophenyl)-4-(4-ethenylphenyl)oxazoline (43 mg, 0.15 mmol) and triethylamine (46 mg, 0.45 mmol) were then added, and the mixture was stirred for 16 h. Extractive work-up with ethyl acetate and column chromatography gave 32 mg of product.

2-(2,6-Difluorophenyl)-4-(4-(3-tert-butylisoxazolin-5-yl)phenyl)oxazoline (Ex. No. 573)

At room temperature, pivalaldehyde oxime (51 mg, 0.5 mmol) and N-chloro-succinimide (67 mg, 1 equivalent) in 3 ml of DMF were stirred for 3 h. 2-(2,6-Difluorophenyl)-4-(4-ethenylphenyl)oxazoline (43 mg, 0.15 mmol) and triethylamine (46 mg, 0.45 mmol) were then added, and the mixture was stirred for 16 h. Extractive work-up with ethyl acetate and column chromatography gave 30 mg of product.

2-(2,6-Difluorophenyl)-4-(4-(3-ethoxycarbonylisoxazolin-5-yl)phenyl)oxazoline (Ex. No. 614)

At 0°C, triethylamine (0.33 ml, 1.05 equivalents) was added to 2-(2,6-difluoro-phenyl)-4-(4-ethenylphenyl)oxazoline (570 mg, 2 mmol) and ethyl 2-chloro-2-hydroxyimino acetate (320 mg, 1.05 equivalents) in 10 ml of dichloroethane, and the mixture was stirred at room temperature for 16 h. Extractive work-up with ethyl acetate and column chromatography gave 420 mg of product.

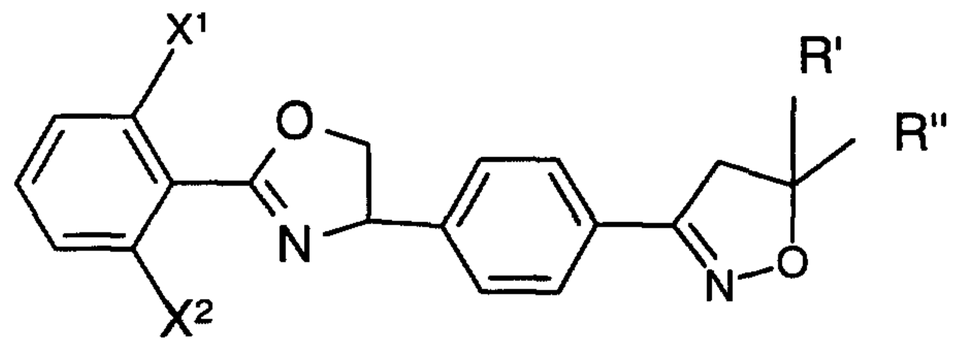
2-(2,6-Difluorophenyl)-4-(4-(3-(2,2,2-trifluoroethylaminocarbonyl)isoxazolin-5-yl)phenyl)oxazoline (Ex. No. 628)

2-(2,6-Difluorophenyl)-4-(4-(3-ethoxycarbonylisoxazolin-5-yl)phenyl)oxazoline (769 mg, 1.9 mmol) in 20 ml of ethanol and 6.5 ml of 2N aqueous sodium hydroxide solution was stirred at room temperature for 3 h. The mixture was acidified with 2N hydrochloric acid and then worked up by extraction with dichloromethane. This gave 715 mg of crude acid which could be directly employed further.
47 mg (0.13 mmol) of the crude acid in 2 ml of DMF were admixed with hydroxybenzotriazole (18 mg, 1 equivalent) and N-ethyl-N'-(3-dimethylamino-propyl)carbodiimide (25 mg, 1 equivalent). Ethyldiisopropylamine (17 mg, 1 equivalent) in 1 ml of THF and 2,2,2-trifluoroethylamine (0.015 ml) in 1 ml of THF were then added. The mixture was stirred at 50°C for 16 h, and then worked up by extraction with ethyl acetate and column chromatography, giving 41 mg of product.

B. Chemical examples (Tables 1 - 4)

Table 1

Oxazolines of the formula (I), Z= O, G = 3-isoxazolinyl



Ex. No.	X^1	X^2	R‘	R“	Physical data
1	F	F	H	H	NMR
2	F	F	H	CH_3	NMR
3	„	„	„	C_2H_5	
4	„	„	„	n-C_3H_7	
5	„	„	„	i-C_3H_7	NMR
6	„	„	„	n-C_4H_9	
7	„	„	„	i-C_4H_9	
8	„	„	„	s-C_4H_9	
9	„	„	„	t-C_4H_9	NMR
10	„	„	„	n-C_6H_{13}	NMR
11	„	„	„	CH_2-t-Bu	NMR
12	„	„	„	CH_2Cl	NMR
13	„	„	„	CH_2Br	NMR
14	F	F	CH_3	CH_3	
15	„	„	„	C_2H_5	
16	„	„	„	n-C_3H_7	
17	„	„	„	i-C_3H_7	
18	„	„	„	s-C_4H_9	NMR
19	„	„	„	i-C_4H_9	NMR
20	„	„	„	t-C_4H_9	NMR
21	„	„	„	n-C_6H_{13}	

Ex. No.	X^1	X^2	R‘	R“	Physical data
22	„	„	„	CH_2- t-Bu	NMR
23	„	„	„	CH_2Cl	NMR
24	F	F	H	OCH_3	
25	„	„	„	OC_2H_5	
26	„	„	„	O-n-C_3H_7	
27	„	„	„	O-n-C_4H_9	
28	„	„	„	O-i-C_4H_9	NMR
29	„	„	„	CN	NMR
30	„	„	„	CH_2CN	NMR
31	„	„	„	CH_2OCH_3	
32	„	„	„	$CH_2OC_2H_5$	NMR
33	„	„	„	CH_2O-n-C_3H_7	NMR
34	„	„	„	CH_2O-i-C_3H_7	
35	„	„	„	CH_2O-n-C_4H_9	NMR
36	„	„	„	CH_2O-i-C_4H_9	
37	„	„	„	CH_2O-s-C_4H_9	
38	„	„	„	CH_2O-t-C_4H_9	
39	„	„	„	$CH_2OCF_2CF_2H$	NMR
40	„	„	„	$CH_2OCH_2CF_3$	NMR
41	„	„	„	CH_2O-phenyl	NMR
42	„	„	„	CH_2O-2-pyridyl	NMR
43	„	„	„	CF_3	NMR
44	„	„	„	C_2F_5	
45	„	„	„	n-C_3F_7	NMR
46	„	„	„	n-C_4F_9	NMR
47	„	„	„	n-C_5F_{11}	
48	„	„	„	n-C_6F_{13}	NMR
49	„	„	„	phenyl	NMR
50	„	„	„	2-F-phenyl	NMR
51	„	„	„	3-F-phenyl	NMR
52	„	„	„	4-F-phenyl	NMR

Ex. No.	X^1	X^2	R'	R''	Physical data
53	"	"	"	2-Cl-phenyl	NMR
54	"	"	"	3-Cl-phenyl	
55	"	"	"	4-Cl-phenyl	NMR
56	"	"	"	2,4-Cl_2-phenyl	
57	"	"	"	3,4-Cl_2-phenyl	
58	"	"	"	2,6-Cl_2-phenyl	NMR
59	"	"	"	4-Br-phenyl	NMR
60	"	"	"	2-CF_3-phenyl	NMR
61	"	"	"	3-CF_3-phenyl	
62	"	"	"	3,5-$(CF_3)_2$-phenyl	NMR
63	"	"	"	4-CF_3-phenyl	NMR
64	"	"	"	2-CH_3-phenyl	NMR
65	"	"	"	4-CH_3-phenyl	NMR
66	"	"	"	2,4-$(CH_3)_2$-phenyl	NMR
67	"	"	"	2,6-$(CH_3)_2$-phenyl	
68	"	"	"	2,4,6-$(CH_3)_3$-phenyl	NMR
69	"	"	"	2-CH_3O-phenyl	
70	"	"	"	4-CH_3O-phenyl	NMR
71	"	"	"	4-C_2H_5O-phenyl	
72	"	"	"	4-CF_3O-phenyl	NMR
73	"	"	"	4-CN-phenyl	NMR
74	"	"	"	4-t-Bu-phenyl	NMR
75	"	"	"	4-NO_2-phenyl	
76	"	"	"	CH_2-phenyl	NMR
77	"	"	"	CH_2-(4-F-phenyl)	
78	"	"	"	C_2H_4Br	NMR
79	"	"	"	CH_2SCH_3	NMR
80	"	"	"	CH_2SOCH_3	
81	"	"	"	$CH_2SO_2CH_3$	
82	"	"	"	$CH_2SC_2H_5$	
83	"	"	"	CH_2S-n-C_3H_7	

Ex. No.	X^1	X^2	R‘	R“	Physical data
84	”	”	”	$COOCH_3$	NMR
85	”	”	”	$COOC_2H_5$	
86	”	”	”	$COOCH_2CF_3$	NMR
87	”	”	”	$COOC_2H_4CF_3$	NMR
88	”	”	”	C_2F_4Br	NMR
89	”	”	”	$CONHCH_3$	
90	”	”	”	$CONHC_2H_5$	NMR
91	”	”	”	$CON(CH_3)_2$	NMR
92	”	”	”	$CON(C_2H_5)_2$	NMR
93	”	”	”	$CONH(n\text{-}C_3H_7)$	NMR
94	”	”	”	$CONHCH_2C_2F_5$	NMR
95	”	”	”	$CONHCH_2C_2H_3$	NMR
96	”	”	”	$CONH\text{-}t\text{-}C_4H_9$	NMR
97	”	”	”	$CONH\text{-}n\text{-}C_5H_{11}$	NMR
98	”	”	”	$CONHC_3H_6OCH_3$	NMR
99	”	”	”	$CONHCH_2C_3F_7$	NMR
100	”	”	”	$CONHCH_2$-(2-tetrahydrofuranyl)	NMR
101	”	”	”	CONH-phenyl	
102	”	”	”	CONH(4-F-phenyl)	
103	”	”	”	$CONH(4\text{-}CF_3\text{-phenyl})$	NMR
104	”	”	”	$CONCH_3$(phenyl)	
105	”	”	”	$CONCH_3$(4-F-phenyl)	
106	”	”	”	CONH(4-Cl-phenyl)	
107	”	”	”	$CONHC_2H_4$(1-piperidinyl)	NMR
108	”	”	”	$CONHCH_2CF_3$	NMR
109	”	”	”	$CONHCH_2$phenyl	
110	”	”	”	$CONHCH_2(2,6\text{-}F_2\text{-phenyl})$	NMR
111	”	”	”	$CONHCH_2(4\text{-F-phenyl})$	
112	”	”	”	$CONHCH_2(4\text{-}CF_3\text{-phenyl})$	
113	”	”	”	$CONHCH_2(3\text{-}CF_3\text{-phenyl})$	NMR
114	”	”	”	$CH_2NHCOCH_3$	NMR

Ex. No.	X^1	X^2	R'	R''	Physical data
115	"	"	"	$CH_2NHCOCF_3$	NMR
116	"	"	"	$CH_2NHCOC_2H_5$	NMR
117	"	"	"	$CH_2NHCOC_2F_5$	NMR
118	"	"	"	CH_2NHCO-n-C_3H_7	
119	"	"	"	CH_2NHCO-i-C_3H_7	
120	"	"	"	CH_2NHCO-n-C_3F_7	NMR
121	"	"	"	$CH_2NHCOC_2H_4CF_3$	NMR
122	"	"	"	CH_2NHCO-t-C_4H_9	
123	"	"	"	CH_2NHCOphenyl	
124	"	"	"	CH_2NHCO(4-Cl-phenyl)	NMR
125	"	"	"	CH_2NHCO(2-Cl-5-pyridyl)	NMR
126	F	H	H	CH_3	
127	"	"	"	C_2H_5	
128	"	"	"	n-C_3H_7	
129	"	"	"	i-C_3H_7	
130	"	"	"	n-C_4H_9	
131	"	"	"	i-C_4H_9	
132	"	"	"	s-C_4H_9	
133	"	"	"	t-C_4H_9	
134	"	"	"	n-C_6H_{13}	
135	"	"	"	CH_2-t-Bu	
136	"	"	"	CF_3	
137	"	"	"	C_2F_5	
138	"	"	"	n-C_3F_7	
139	"	"	"	n-C_4F_9	NMR
140	"	"	"	n-C_5F_{11}	
141	"	"	"	n-C_6F_{13}	NMR
142	"	"	"	phenyl	
143	"	"	"	2-F-phenyl	
144	"	"	"	3-F-phenyl	
145	"	"	"	4-F-phenyl	

Ex. No.	X^1	X^2	R'	R''	Physical data
146	"	"	"	2-Cl-phenyl	
147	"	"	"	3-Cl-phenyl	
148	"	"	"	4-Cl-phenyl	NMR
149	"	"	"	2,4-Cl_2-phenyl	
150	"	"	"	3,4-Cl_2-phenyl	
151	"	"	"	2,5-Cl_2-phenyl	
152	"	"	"	2,6-Cl_2-phenyl	
153	"	"	"	2-CF_3-phenyl	
154	"	"	"	3-CF_3-phenyl	
155	"	"	"	3,5-$(CF_3)_2$-phenyl	
156	"	"	"	4-CF_3-phenyl	
157	"	"	"	2-CH_3-phenyl	
158	"	"	"	4-CH_3-phenyl	
159	"	"	"	2,4-$(CH_3)_2$-phenyl	
160	"	"	"	2,6-$(CH_3)_2$-phenyl	
161	"	"	"	2,4,6-$(CH_3)_3$-phenyl	
162	"	"	"	2-CH_3O-phenyl	
163	"	"	"	4-CH_3O-phenyl	
164	"	"	"	4-C_2H_5O-phenyl	
165	"	"	"	4-CF_3O-phenyl	
166	"	"	"	4-CN-phenyl	
167	"	"	"	3-NO_2-phenyl	
168	"	"	"	4-NO_2-phenyl	
169	F	Cl	H	CH_3	
170	"	"	"	C_2H_5	
171	"	"	"	n-C_3H_7	
172	"	"	"	i-C_3H_7	
173	"	"	"	n-C_4H_9	
174	"	"	"	i-C_4H_9	
175	"	"	"	s-C_4H_9	
176	"	"	"	t-C_4H_9	

Ex. No.	X^1	X^2	R‘	R“	Physical data
177	"	"	"	n-C_6H_{13}	
178	"	"	"	CH_2-t-Bu	
179	"	"	"	CF_3	
180	"	"	"	C_2F_5	
181	"	"	"	n-C_3F_7	
182	"	"	"	n-C_4F_9	NMR
183	"	"	"	n-C_5F_{11}	
184	"	"	"	n-C_6F_{13}	NMR
185	"	"	"	phenyl	
186	"	"	"	2-F-phenyl	
187	"	"	"	3-F-phenyl	
188	"	"	"	4-F-phenyl	
189	"	"	"	2-Cl-phenyl	
190	"	"	"	3-Cl-phenyl	
191	"	"	"	4-Cl-phenyl	NMR
192	"	"	"	2,4-Cl_2-phenyl	
193	"	"	"	3,4-Cl_2-phenyl	
194	"	"	"	2,5-Cl_2-phenyl	
195	"	"	"	2,6-Cl_2-phenyl	
196	"	"	"	2-CF_3-phenyl	
197	"	"	"	3-CF_3-phenyl	
198	"	"	"	3,5-$(CF_3)_2$-phenyl	
199	"	"	"	4-CF_3-phenyl	
200	"	"	"	2-CH_3-phenyl	
201	"	"	"	4-CH_3-phenyl	
202	"	"	"	2,4-$(CH_3)_2$-phenyl	
203	"	"	"	2,6-$(CH_3)_2$-phenyl	
204	"	"	"	2,4,6-$(CH_3)_3$-phenyl	
205	"	"	"	2-CH_3O-phenyl	NMR
206	"	"	"	4-CH_3O-phenyl	
207	"	"	"	4-C_2H_5O-phenyl	

Ex. No.	X^1	X^2	R'	R''	Physical data
208	"	"	"	4-CF_3O-phenyl	
209	"	"	"	4-CN-phenyl	
210	"	"	"	3-NO_2-phenyl	
211	"	"	"	4-NO_2-phenyl	
212	Cl	H	H	CH_3	
213	"	"	"	C_2H_5	
214	"	"	"	n-C_3H_7	
215	"	"	"	i-C_3H_7	
216	"	"	"	n-C_4H_9	
217	"	"	"	i-C_4H_9	
218	"	"	"	s-C_4H_9	
219	"	"	"	t-C_4H_9	
220	"	"	"	n-C_6H_{13}	
221	"	"	"	CH_2-t-Bu	
222	"	"	"	CF_3	
223	"	"	"	C_2F_5	
224	"	"	"	n-C_3F_7	
225	"	"	"	n-C_4F_9	NMR
226	"	"	"	n-C_5F_{11}	
227	"	"	"	n-C_6F_{13}	NMR
228	"	"	"	phenyl	
229	"	"	"	2-F-phenyl	
230	"	"	"	3-F-phenyl	
231	"	"	"	4-F-phenyl	
232	"	"	"	2-Cl-phenyl	
233	"	"	"	3-Cl-phenyl	
234	"	"	"	4-Cl-phenyl	NMR
235	"	"	"	2,4-Cl_2-phenyl	
236	"	"	"	3,4-Cl_2-phenyl	
237	"	"	"	2,5-Cl_2-phenyl	
238	"	"	"	2,6-Cl_2-phenyl	

Ex. No.	X^1	X^2	R'	R''	Physical data
239	"	"	"	2-CF_3-phenyl	
240	"	"	"	3-CF_3-phenyl	
241	"	"	"	3,5-$(CF_3)_2$-phenyl	
242	"	"	"	4-CF_3-phenyl	
243	"	"	"	2-CH_3-phenyl	
244	"	"	"	4-CH_3-phenyl	
245	"	"	"	2,4-$(CH_3)_2$-phenyl	
246	"	"	"	2,6-$(CH_3)_2$-phenyl	
247	"	"	"	2,4,6-$(CH_3)_3$-phenyl	
248	"	"	"	2-CH_3O-phenyl	
249	"	"	"	4-CH_3O-phenyl	
250	"	"	"	4-C_2H_5O-phenyl	
251	"	"	"	4-CF_3O-phenyl	
252	"	"	"	4-CN-phenyl	
253	"	"	"	3-NO_2-phenyl	
254	"	"	"	4-NO_2-phenyl	
255	CH_3	H	H	CH_3	
256	"	"	"	C_2H_5	
257	"	"	"	n-C_3H_7	
258	"	"	"	i-C_3H_7	
259	"	"	"	n-C_4H_9	
260	"	"	"	i-C_4H_9	
261	"	"	"	s-C_4H_9	
262	"	"	"	t-C_4H_9	
263	"	"	"	n-C_6H_{13}	
264	"	"	"	CH_2-t-Bu	
265	"	"	"	CF_3	
266	"	"	"	C_2F_5	NMR
267	"	"	"	n-C_3F_7	
268	"	"	"	n-C_4F_9	
269	"	"	"	n-C_5F_{11}	

Ex. No.	X^1	X^2	R'	R''	Physical data
270	"	"	"	n-C_6F_{13}	
271	"	"	"	phenyl	
272	"	"	"	2-F-phenyl	
273	"	"	"	3-F-phenyl	
274	"	"	"	4-F-phenyl	
275	"	"	"	2-Cl-phenyl	
276	"	"	"	3-Cl-phenyl	
277	"	"	"	4-Cl-phenyl	
278	"	"	"	2,4-Cl_2-phenyl	
279	"	"	"	3,4-Cl_2-phenyl	
280	"	"	"	2,5-Cl_2-phenyl	
281	"	"	"	2,6-Cl_2-phenyl	
282	"	"	"	2-CF_3-phenyl	
283	"	"	"	3-CF_3-phenyl	
284	"	"	"	3,5-$(CF_3)_2$-phenyl	
285	"	"	"	4-CF_3-phenyl	
286	"	"	"	2-CH_3-phenyl	
287	"	"	"	4-CH_3-phenyl	
288	"	"	"	2,4-$(CH_3)_2$-phenyl	
289	"	"	"	2,6-$(CH_3)_2$-phenyl	
290	"	"	"	2,4,6-$(CH_3)_3$-phenyl	
291	"	"	"	2-CH_3O-phenyl	
292	"	"	"	4-CH_3O-phenyl	
293	"	"	"	4-C_2H_5O-phenyl	
294	"	"	"	4-CF_3O-phenyl	
295	"	"	"	4-CN-phenyl	
296	"	"	"	3-NO_2-phenyl	
297	"	"	"	4-NO_2-phenyl	
298	Br	H	H	CH_3	
299	"	"	"	C_2H_5	
300	"	"	"	n-C_3H_7	

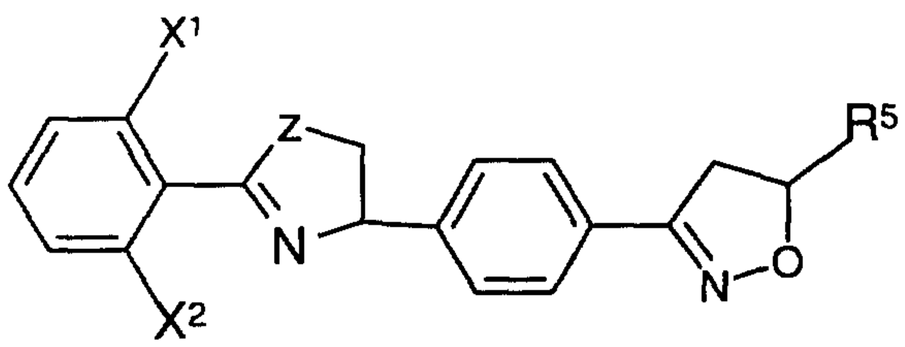
Ex. No.	X^1	X^2	R'	R''	Physical data
301	"	"	"	i-C_3H_7	
302	"	"	"	n-C_4H_9	
303	"	"	"	i-C_4H_9	
304	"	"	"	s-C_4H_9	
305	"	"	"	t-C_4H_9	NMR
306	"	"	"	n-C_6H_{13}	
307	"	"	"	CH_2-t-Bu	
308	"	"	"	CF_3	
309	"	"	"	C_2F_5	
310	"	"	"	n-C_3F_7	
311	"	"	"	n-C_4F_9	NMR
312	"	"	"	n-C_5F_{11}	
313	"	"	"	n-C_6F_{13}	NMR
314	"	"	"	phenyl	
315	"	"	"	2-F-phenyl	
316	"	"	"	3-F-phenyl	
317	"	"	"	4-F-phenyl	
318	"	"	"	2-Cl-phenyl	
319	"	"	"	3-Cl-phenyl	
320	"	"	"	4-Cl-phenyl	
321	"	"	"	2,4-Cl_2-phenyl	
322	"	"	"	3,4-Cl_2-phenyl	
323	"	"	"	2,5-Cl_2-phenyl	
324	"	"	"	2,6-Cl_2-phenyl	
325	"	"	"	2-CF_3-phenyl	
326	"	"	"	3-CF_3-phenyl	
327	"	"	"	3,5-$(CF_3)_2$-phenyl	
328	"	"	"	4-CF_3-phenyl	NMR
329	"	"	"	2-CH_3-phenyl	
330	"	"	"	4-CH_3-phenyl	
331	"	"	"	2,4-$(CH_3)_2$-phenyl	

Ex. No.	X^1	X^2	R'	R''	Physical data
332	"	"	"	2,6-$(CH_3)_2$-phenyl	
333	"	"	"	2,4,6-$(CH_3)_3$-phenyl	
334	"	"	"	2-CH_3O-phenyl	NMR
335	"	"	"	4-CH_3O-phenyl	
336	"	"	"	4-C_2H_5O-phenyl	
337	"	"	"	4-CF_3O-phenyl	
338	"	"	"	4-CN-phenyl	
339	"	"	"	3-NO_2-phenyl	
340	"	"	"	4-NO_2-phenyl	
341	CH_3	CH_3	H	CH_3	
342	"	"	"	C_2H_5	
343	"	"	"	n-C_3H_7	
344	"	"	"	i-C_3H_7	
345	"	"	"	n-C_4H_9	
346	"	"	"	i-C_4H_9	
347	"	"	"	s-C_4H_9	
348	"	"	"	t-C_4H_9	
349	"	"	"	n-C_6H_{13}	
350	"	"	"	CH_2-t-Bu	
351	"	"	"	CF_3	
352	"	"	"	C_2F_5	
353	"	"	"	n-C_3F_7	
354	"	"	"	n-C_4F_9	NMR
355	"	"	"	n-C_5F_{11}	
356	"	"	"	n-C_6F_{13}	NMR
357	"	"	"	phenyl	
358	"	"	"	2-F-phenyl	
359	"	"	"	3-F-phenyl	
360	"	"	"	4-F-phenyl	
361	"	"	"	2-Cl-phenyl	
362	"	"	"	3-Cl-phenyl	

Ex. No.	X^1	X^2	R'	R''	Physical data
363	"	"	"	4-Cl-phenyl	NMR
364	"	"	"	2,4-Cl_2-phenyl	
365	"	"	"	3,4-Cl_2-phenyl	
366	"	"	"	2,5-Cl_2-phenyl	
367	"	"	"	2,6-Cl_2-phenyl	
368	"	"	"	2-CF_3-phenyl	
369	"	"	"	3-CF_3-phenyl	
370	"	"	"	3,5-$(CF_3)_2$-phenyl	
371	"	"	"	4-CF_3-phenyl	
372	"	"	"	2-CH_3-phenyl	
373	"	"	"	4-CH_3-phenyl	
374	"	"	"	2,4-$(CH_3)_2$-phenyl	
375	"	"	"	2,6-$(CH_3)_2$-phenyl	
376	"	"	"	2,4,6-$(CH_3)_3$-phenyl	
378	"	"	"	2-CH_3O-phenyl	
379	"	"	"	4-CH_3O-phenyl	
380	"	"	"	4-C_2H_5O-phenyl	
381	"	"	"	4-CF_3O-phenyl	
382	"	"	"	4-CN-phenyl	
383	"	"	"	3-NO_2-phenyl	
384	"	"	"	4-NO_2-phenyl	

Table 2

Oxazolines, pyrrolines and imidazolines of the formula (I), G = 3-isoxazolinyl



Ex. No.	X^1	X^2	Z	R^5	Physical data
385	F	F	CH_2	CH_3	
386	"	"	"	C_2H_5	
387	"	"	"	n-C_3H_7	
388	"	"	"	i-C_3H_7	
389	"	"	"	n-C_4H_9	
390	"	"	"	i-C_4H_9	
400	"	"	"	s-C_4H_9	
401	"	"	"	t-C_4H_9	
402	"	"	"	n-C_6H_{13}	
403	"	"	"	CH_2-t-Bu	
404	"	"	"	CF_3	
405	"	"	"	C_2F_5	
406	"	"	"	n-C_3F_7	
407	"	"	"	n-C_4F_9	
408	"	"	"	n-C_5F_{11}	
409	"	"	"	n-C_6F_{13}	
410	"	"	"	phenyl	
411	"	"	"	2-F-phenyl	
412	"	"	"	3-F-phenyl	
413	"	"	"	4-F-phenyl	
414	"	"	"	2-Cl-phenyl	
415	"	"	"	3-Cl-phenyl	
416	"	"	"	4-Cl-phenyl	
417	"	"	"	2,4-Cl_2-phenyl	
418	"	"	"	3,4-Cl_2-phenyl	

Ex. No.	X^1	X^2	Z	R^5	Physical data
419	"	"	"	2,5-Cl_2-phenyl	
420	"	"	"	2,6-Cl_2-phenyl	
421	"	"	"	2-CF_3-phenyl	
422	"	"	"	3-CF_3-phenyl	
423	"	"	"	3,5-$(CF_3)_2$-phenyl	
424	"	"	"	4-CF_3-phenyl	
425	"	"	"	2-CH_3-phenyl	
426	"	"	"	4-CH_3-phenyl	
427	"	"	"	2,4-$(CH_3)_2$-phenyl	
428	"	"	"	2,6-$(CH_3)_2$-phenyl	
429	"	"	"	2,4,6-$(CH_3)_3$-phenyl	
430	"	"	"	2-CH_3O-phenyl	
431	"	"	"	4-CH_3O-phenyl	
432	"	"	"	4-C_2H_5O-phenyl	
433	"	"	"	4-CF_3O-phenyl	
434	"	"	"	4-CN-phenyl	
435	"	"	"	3-NO_2-phenyl	
436	"	"	"	4-NO_2-phenyl	
437	F	H	CH_2	CH_3	
438	"	"	"	C_2H_5	
439	"	"	"	n-C_3H_7	
440	"	"	"	i-C_3H_7	
441	"	"	"	n-C_4H_9	
442	"	"	"	i-C_4H_9	
443	"	"	"	s-C_4H_9	
444	"	"	"	t-C_4H_9	
445	"	"	"	n-C_6H_{13}	
446	"	"	"	CH_2-t-Bu	
447	"	"	"	CF_3	
448	"	"	"	C_2F_5	
449	"	"	"	n-C_3F_7	

Ex. No.	X^1	X^2	Z	R^5	Physical data
450	"	"	"	n-C_4F_9	
451	"	"	"	n-C_5F_{11}	
452	"	"	"	n-C_6F_{13}	
453	"	"	"	phenyl	
454	"	"	"	2-F-phenyl	
455	"	"	"	3-F-phenyl	
456	"	"	"	4-F-phenyl	
457	"	"	"	2-Cl-phenyl	
458	"	"	"	3-Cl-phenyl	
459	"	"	"	4-Cl-phenyl	
460	"	"	"	2,4-Cl_2-phenyl	
461	"	"	"	3,4-Cl_2-phenyl	
462	"	"	"	2,5-Cl_2-phenyl	
463	"	"	"	2,6-Cl_2-phenyl	
464	"	"	"	2-CF_3-phenyl	
465	"	"	"	3-CF_3-phenyl	
466	"	"	"	3,5-$(CF_3)_2$-phenyl	
467	"	"	"	4-CF_3-phenyl	
468	"	"	"	2-CH_3-phenyl	
469	"	"	"	4-CH_3-phenyl	
470	"	"	"	2,4-$(CH_3)_2$-phenyl	
471	"	"	"	2,6-$(CH_3)_2$-phenyl	
472	"	"	"	2,4,6-$(CH_3)_3$-phenyl	
473	"	"	"	2-CH_3O-phenyl	
474	"	"	"	4-CH_3O-phenyl	
475	"	"	"	4-C_2H_5O-phenyl	
476	"	"	"	4-CF_3O-phenyl	
477	"	"	"	4-CN-phenyl	
478	"	"	"	3-NO_2-phenyl	
479	"	"	"	4-NO_2-phenyl	
480	F	Cl	CH_2	CH_3	

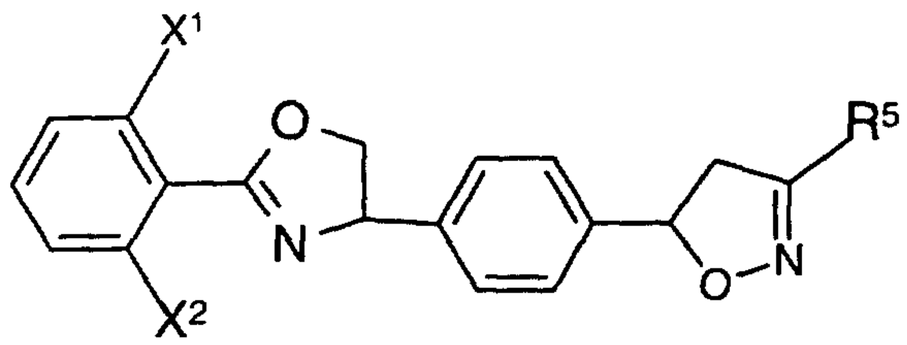
Ex. No.	X^1	X^2	Z	R^5	Physical data
481	"	"	"	C_2H_5	
482	"	"	"	n-C_3H_7	
483	"	"	"	i-C_3H_7	
484	"	"	"	n-C_4H_9	
485	"	"	"	i-C_4H_9	
486	"	"	"	s-C_4H_9	
487	"	"	"	t-C_4H_9	
488	"	"	"	n-C_6H_{13}	
489	"	"	"	CH_2-t-Bu	
490	"	"	"	CF_3	
491	"	"	"	C_2F_5	
492	"	"	"	n-C_3F_7	
493	"	"	"	n-C_4F_9	
494	"	"	"	n-C_5F_{11}	
495	"	"	"	n-C_6F_{13}	
496	"	"	"	phenyl	
497	"	"	"	2-F-phenyl	
498	"	"	"	3-F-phenyl	
499	"	"	"	4-F-phenyl	
500	"	"	"	2-Cl-phenyl	
501	"	"	"	3-Cl-phenyl	
502	"	"	"	4-Cl-phenyl	
503	"	"	"	2,4-Cl_2-phenyl	
504	"	"	"	3,4-Cl_2-phenyl	
505	"	"	"	2,5-Cl_2-phenyl	
506	"	"	"	2,6-Cl_2-phenyl	
507	"	"	"	2-CF_3-phenyl	
508	"	"	"	3-CF_3-phenyl	
509	"	"	"	3,5-$(CF_3)_2$-phenyl	
510	"	"	"	4-CF_3-phenyl	
511	"	"	"	2-CH_3-phenyl	

Ex. No.	X^1	X^2	Z	R^5	Physical data
512	"	"	"	4-CH_3-phenyl	
513	"	"	"	2,4-$(CH_3)_2$-phenyl	
514	"	"	"	2,6-$(CH_3)_2$-phenyl	
515	"	"	"	2,4,6-$(CH_3)_3$-phenyl	
516	"	"	"	2-CH_3O-phenyl	
517	"	"	"	4-CH_3O-phenyl	
518	"	"	"	4-C_2H_5O-phenyl	
519	"	"	"	4-CF_3O-phenyl	
520	"	"	"	4-CN-phenyl	
521	"	"	"	3-NO_2-phenyl	
522	"	"	"	4-NO_2-phenyl	
523	F	F	NCOOEt	CH_3	
524	"	"	"	C_2H_5	
525	"	"	"	n-C_3H_7	
526	"	"	"	i-C_3H_7	
527	"	"	"	n-C_4H_9	
528	"	"	"	i-C_4H_9	
529	"	"	"	s-C_4H_9	
530	"	"	"	t-C_4H_9	
531	"	"	"	n-C_6H_{13}	
532	"	"	"	CH_2-t-Bu	
533	"	"	"	CF_3	
534	"	"	"	C_2F_5	
535	"	"	"	n-C_3F_7	
536	"	"	"	n-C_4F_9	
537	"	"	"	n-C_5F_{11}	
538	"	"	"	n-C_6F_{13}	
539	"	"	"	phenyl	
540	"	"	"	2-F-phenyl	
541	"	"	"	3-F-phenyl	
542	"	"	"	4-F-phenyl	

Ex. No.	X^1	X^2	Z	R^5	Physical data
543	"	"	"	2-Cl-phenyl	
544	"	"	"	3-Cl-phenyl	
545	"	"	"	4-Cl-phenyl	
546	"	"	"	2,4-Cl_2-phenyl	
547	"	"	"	3,4-Cl_2-phenyl	
548	"	"	"	2,5-Cl_2-phenyl	
549	"	"	"	2,6-Cl_2-phenyl	
550	"	"	"	2-CF_3-phenyl	
551	"	"	"	3-CF_3-phenyl	
552	"	"	"	3,5-$(CF_3)_2$-phenyl	
553	"	"	"	4-CF_3-phenyl	
554	"	"	"	2-CH_3-phenyl	
555	"	"	"	4-CH_3-phenyl	
556	"	"	"	2,4-$(CH_3)_2$-phenyl	
557	"	"	"	2,6-$(CH_3)_2$-phenyl	
558	"	"	"	2,4,6-$(CH_3)_3$-phenyl	
559	"	"	"	2-CH_3O-phenyl	
560	"	"	"	4-CH_3O-phenyl	
561	"	"	"	4-C_2H_5O-phenyl	
562	"	"	"	4-CF_3O-phenyl	
563	"	"	"	4-CN-phenyl	
564	"	"	"	3-NO_2-phenyl	
565	"	"	"	4-NO_2-phenyl	

Table 3

Oxazolines of the formula (I), Z= O, G = 5-isoxazolinyl



Ex. No.	X^1	X^2	R^5	Physical data
566	F	F	CH_3	NMR
567	"	"	C_2H_5	NMR
568	"	"	n-C_3H_7	NMR
569	"	"	i-C_3H_7	NMR
570	"	"	n-C_4H_9	NMR
571	"	"	i-C_4H_9	
572	"	"	s-C_4H_9	
573	"	"	t-C_4H_9	NMR
574	"	"	n-C_5H_{11}	NMR
575	"	"	n-C_6H_{13}	
576	"	"	$CH(C_2H_5)_2$	NMR
577	"	"	CH_2-t-Bu	
578	"	"	CH_2CF_3	NMR
579	"	"	$C_2H_4CF_3$	NMR
580	"	"	CF_3	
581	"	"	C_2F_5	
582	"	"	n-C_3F_7	
583	"	"	n-C_4F_9	
584	"	"	n-C_5F_{11}	
585	"	"	n-C_6F_{13}	
586	"	"	phenyl	
587	"	"	2-F-phenyl	
588	"	"	3-F-phenyl	
589	"	"	4-F-phenyl	NMR

Ex. No.	X^1	X^2	R^5	Physical data
590	„	„	2-Cl-phenyl	
591	„	„	3-Cl-phenyl	
592	„	„	4-Cl-phenyl	NMR
593	„	„	2,4-Cl_2-phenyl	
594	„	„	3,4-Cl_2-phenyl	
595	„	„	2,5-Cl_2-phenyl	
596	„	„	2,6-Cl_2-phenyl	
597	„	„	2-CF_3-phenyl	
598	„	„	3-CF_3-phenyl	
599	„	„	3,5-$(CF_3)_2$-phenyl	
600	„	„	4-CF_3-phenyl	NMR
601	„	„	2-CH_3-phenyl	
602	„	„	4-CH_3-phenyl	
603	„	„	2,4-$(CH_3)_2$-phenyl	
604	„	„	2,6-$(CH_3)_2$-phenyl	
605	„	„	2,4,6-$(CH_3)_3$-phenyl	NMR
606	„	„	2-CH_3O-phenyl	
607	„	„	4-CH_3O-phenyl	
608	„	„	4-C_2H_5O-phenyl	
609	„	„	4-CF_3O-phenyl	
610	„	„	4-CN-phenyl	
611	„	„	3-NO_2-phenyl	
612	„	„	4-NO_2-phenyl	
613	„	„	COOH	NMR
614	„	„	$COOC_2H_5$	NMR
615	„	„	$COOCH_2CF_3$	NMR
616	„	„	$COOC_2H_4CF_3$	NMR
617	„	„	$CONH_2$	
618	„	„	$CONHCH_3$	
619	„	„	$CONHC_2H_5$	NMR
620	„	„	$CON(CH_3)_2$	

Ex. No.	X^1	X^2	R^5	Physical data
621	"	"	$CON(C_2H_5)_2$	NMR
622	"	"	$CONH(n\text{-}C_3H_7)$	NMR
623	"	"	$CONHCH_2C_2F_5$	NMR
624	"	"	$CONH\text{-}t\text{-}C_4H_9$	NMR
625	"	"	$CONHCH_2C_2H_3$	NMR
626	"	"	$CONHCH_2C_3F_7$	NMR
627	"	"	$CONH\text{-}s\text{-}C_5H_{11}$	NMR
628	"	"	$CONHCH_2CF_3$	NMR
629	"	"	$CONHC_3H_6OCH_3$	NMR
630	"	"	$CONHCH_2$-(2-tetrahydrofuranyl)	NMR
631	"	"	$CONHCH_2$-(2,6-F_2-phenyl)	NMR
632	"	"	$CONHCH_2$-(4-F-phenyl)	
633	"	"	$CONHCH_2$-(3-CF_3-phenyl)	NMR
634	"	"	$CONHCH_2$-(4-CF_3-phenyl)	
635	"	"	CONH(2,5-F_2-phenyl)	
636	"	"	CONH(4-F-phenyl)	
637	"	"	CONH(3-CF_3-phenyl)	
638	"	"	CONH(4-CF_3-phenyl)	
639	F	H	CH_3	
640	"	"	C_2H_5	
641	"	"	$n\text{-}C_3H_7$	
642	"	"	$i\text{-}C_3H_7$	
643	"	"	$n\text{-}C_4H_9$	
644	"	"	$i\text{-}C_4H_9$	
645	"	"	$s\text{-}C_4H_9$	
646	"	"	$t\text{-}C_4H_9$	
647	"	"	$n\text{-}C_5H_{11}$	
648	"	"	$n\text{-}C_6H_{13}$	
649	"	"	$CH(C_2H_5)_2$	
650	"	"	CH_2-t-Bu	
651	"	"	CH_2CF_3	

Ex. No.	X^1	X^2	R^5	Physical data
652	„	„	$C_2H_4CF_3$	
653	„	„	CF_3	
654	„	„	C_2F_5	
655	„	„	n-C_3F_7	
656	„	„	n-C_4F_9	
657	„	„	n-C_5F_{11}	
658	„	„	n-C_6F_{13}	
659	„	„	phenyl	
660	„	„	2-F-phenyl	
661	„	„	3-F-phenyl	
662	„	„	4-F-phenyl	
663	„	„	2-Cl-phenyl	
664	„	„	3-Cl-phenyl	
665	„	„	4-Cl-phenyl	
666	„	„	2,4-Cl_2-phenyl	
667	„	„	3,4-Cl_2-phenyl	
668	„	„	2,5-Cl_2-phenyl	
669	„	„	2,6-Cl_2-phenyl	
670	„	„	2-CF_3-phenyl	
671	„	„	3-CF_3-phenyl	
672	„	„	3,5-$(CF_3)_2$-phenyl	
673	„	„	4-CF_3-phenyl	
674	„	„	2-CH_3-phenyl	
675	„	„	4-CH_3-phenyl	
676	„	„	2,4-$(CH_3)_2$-phenyl	
677	„	„	2,6-$(CH_3)_2$-phenyl	
678	„	„	2,4,6-$(CH_3)_3$-phenyl	
679	„	„	2-CH_3O-phenyl	
680	„	„	4-CH_3O-phenyl	
681	„	„	4-C_2H_5O-phenyl	
682	„	„	4-CF_3O-phenyl	

Ex. No.	X^1	X^2	R^5	Physical data
683	„	„	4-CN-phenyl	
684	„	„	3-NO_2-phenyl	
685	„	„	4-NO_2-phenyl	
686	F	H	CH_3	
687	„	„	C_2H_5	
688	„	„	n-C_3H_7	
689	„	„	i-C_3H_7	
690	„	„	n-C_4H_9	
691	„	„	i-C_4H_9	
692	„	„	s-C_4H_9	
693	„	„	t-C_4H_9	
694	„	„	n-C_5H_{11}	
695	„	„	n-C_6H_{13}	
696	„	„	$CH(C_2H_5)_2$	
697	„	„	CH_2-t-Bu	
698	„	„	CH_2CF_3	
699	„	„	$C_2H_4CF_3$	
700	„	„	CF_3	
701	„	„	C_2F_5	
702	„	„	n-C_3F_7	
703	„	„	n-C_4F_9	
704	„	„	n-C_5F_{11}	
705	„	„	n-C_6F_{13}	
706	„	„	phenyl	
707	„	„	2-F-phenyl	
708	„	„	3-F-phenyl	
709	„	„	4-F-phenyl	
710	„	„	2-Cl-phenyl	
711	„	„	3-Cl-phenyl	
712	„	„	4-Cl-phenyl	
713	„	„	2,4-Cl_2-phenyl	

Ex. No.	X^1	X^2	R^5	Physical data
714	„	„	3,4-Cl_2-phenyl	
715	„	„	2,5-Cl_2-phenyl	
716	„	„	2,6-Cl_2-phenyl	
717	„	„	2-CF_3-phenyl	
718	„	„	3-CF_3-phenyl	
719	„	„	3,5-$(CF_3)_2$-phenyl	
720	„	„	4-CF_3-phenyl	
721	„	„	2-CH_3-phenyl	
722	„	„	4-CH_3-phenyl	
723	„	„	2,4-$(CH_3)_2$-phenyl	
724	„	„	2,6-$(CH_3)_2$-phenyl	
725	„	„	2,4,6-$(CH_3)_3$-phenyl	
726	„	„	2-CH_3O-phenyl	
727	„	„	4-CH_3O-phenyl	
728	„	„	4-C_2H_5O-phenyl	
729	„	„	4-CF_3O-phenyl	
730	„	„	4-CN-phenyl	
731	„	„	3-NO_2-phenyl	
732	„	„	4-NO_2-phenyl	
733	Cl	H	CH_3	
734	„	„	C_2H_5	
735	„	„	n-C_3H_7	
736	„	„	i-C_3H_7	
737	„	„	n-C_4H_9	
738	„	„	i-C_4H_9	
739	„	„	s-C_4H_9	
740	„	„	t-C_4H_9	
741	„	„	n-C_5H_{13}	
742	„	„	n-C_6H_{13}	
743	„	„	$CH(C_2H_5)_2$	
744	„	„	CH_2-t-Bu	

Ex. No.	X^1	X^2	R^5	Physical data
745	"	"	CH_2CF_3	
746	"	"	$C_2H_4CF_3$	
747	"	"	CF_3	
748	"	"	C_2F_5	
749	"	"	n-C_3F_7	
750	"	"	n-C_4F_9	
751	"	"	n-C_5F_{11}	
752	"	"	n-C_6F_{13}	
753	"	"	phenyl	
754	"	"	2-F-phenyl	
755	"	"	3-F-phenyl	
756	"	"	4-F-phenyl	
757	"	"	2-Cl-phenyl	
758	"	"	3-Cl-phenyl	
759	"	"	4-Cl-phenyl	
760	"	"	2,4-Cl_2-phenyl	
761	"	"	3,4-Cl_2-phenyl	
762	"	"	2,5-Cl_2-phenyl	
763	"	"	2,6-Cl_2-phenyl	
764	"	"	2-CF_3-phenyl	
765	"	"	3-CF_3-phenyl	
767	"	"	3,5-$(CF_3)_2$-phenyl	
768	"	"	4-CF_3-phenyl	
769	"	"	2-CH_3-phenyl	
770	"	"	4-CH_3-phenyl	
771	"	"	2,4-$(CH_3)_2$-phenyl	
772	"	"	2,6-$(CH_3)_2$-phenyl	
773	"	"	2,4,6-$(CH_3)_3$-phenyl	
774	"	"	2-CH_3O-phenyl	
776	"	"	4-CH_3O-phenyl	
777	"	"	4-C_2H_5O-phenyl	

Ex. No.	X^1	X^2	R^5	Physical data
778	„	„	4-CF_3O-phenyl	
779	„	„	4-CN-phenyl	
780	„	„	3-NO_2-phenyl	
781	„	„	4-NO_2-phenyl	
782	CH_3	H	CH_3	
783	„	„	C_2H_5	
784	„	„	n-C_3H_7	
785	„	„	i-C_3H_7	
786	„	„	n-C_4H_9	
787	„	„	i-C_4H_9	
788	„	„	s-C_4H_9	
789	„	„	t-C_4H_9	
790	„	„	n-C_5H_{11}	
791	„	„	n-C_6H_{13}	
792	„	„	$CH(C_2H_5)_2$	
793	„	„	CH_2-t-Bu	
794	„	„	CH_2CF_3	
795	„	„	$C_2H_4CF_3$	
796	„	„	CF_3	
797	„	„	C_2F_5	
798	„	„	n-C_3F_7	
799	„	„	n-C_4F_9	
800	„	„	n-C_5F_{11}	
801	„	„	n-C_6F_{13}	
802	„	„	phenyl	
803	„	„	2-F-phenyl	
804	„	„	3-F-phenyl	
805	„	„	4-F-phenyl	
806	„	„	2-Cl-phenyl	
807	„	„	3-Cl-phenyl	
808	„	„	4-Cl-phenyl	

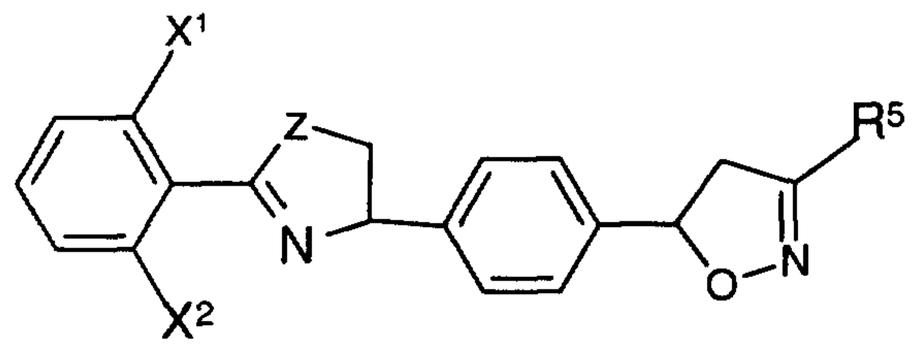
Ex. No.	X^1	X^2	R^5	Physical data
809	„	„	2,4-Cl_2-phenyl	
810	„	„	3,4-Cl_2-phenyl	
811	„	„	2,5-Cl_2-phenyl	
812	„	„	2,6-Cl_2-phenyl	
813	„	„	2-CF_3-phenyl	
814	„	„	3-CF_3-phenyl	
815	„	„	3,5-$(CF_3)_2$-phenyl	
816	„	„	4-CF_3-phenyl	
817	„	„	2-CH_3-phenyl	
818	„	„	4-CH_3-phenyl	
819	„	„	2,4-$(CH_3)_2$-phenyl	
820	„	„	2,6-$(CH_3)_2$-phenyl	
821	„	„	2,4,6-$(CH_3)_3$-phenyl	
822	„	„	2-CH_3O-phenyl	
823	„	„	4-CH_3O-phenyl	
824	„	„	4-C_2H_5O-phenyl	
825	„	„	4-CF_3O-phenyl	
826	„	„	4-CN-phenyl	
827	„	„	3-NO_2-phenyl	
828	„	„	4-NO_2-phenyl	
829	Br	H	CH_3	
830	„	„	C_2H_5	
831	„	„	n-C_3H_7	
832	„	„	i-C_3H_7	
833	„	„	n-C_4H_9	
834	„	„	i-C_4H_9	
835	„	„	s-C_4H_9	
836	„	„	t-C_4H_9	
837	„	„	n-C_5H_{11}	
838	„	„	n-C_6H_{13}	
839	„	„	$CH(C_2H_5)_2$	

Ex. No.	X^1	X^2	R^5	Physical data
840	„	„	CH_2-t-Bu	
841	„	„	CH_2CF_3	
842	„	„	$C_2H_4CF_3$	
843	„	„	CF_3	
844	„	„	C_2F_5	
845	„	„	n-C_3F_7	
846	„	„	n-C_4F_9	
847	„	„	n-C_5F_{11}	
848	„	„	n-C_6F_{13}	
849	„	„	phenyl	
850	„	„	2-F-phenyl	
851	„	„	3-F-phenyl	
852	„	„	4-F-phenyl	
853	„	„	2-Cl-phenyl	
854	„	„	3-Cl-phenyl	
855	„	„	4-Cl-phenyl	
856	„	„	2,4-Cl_2-phenyl	
857	„	„	3,4-Cl_2-phenyl	
858	„	„	2,5-Cl_2-phenyl	
859	„	„	2,6-Cl_2-phenyl	
860	„	„	2-CF_3-phenyl	
861	„	„	3-CF_3-phenyl	
862	„	„	3,5-$(CF_3)_2$-phenyl	
863	„	„	4-CF_3-phenyl	
864	„	„	2-CH_3-phenyl	
865	„	„	4-CH_3-phenyl	
866	„	„	2,4-$(CH_3)_2$-phenyl	
867	„	„	2,6-$(CH_3)_2$-phenyl	
868	„	„	2,4,6-$(CH_3)_3$-phenyl	
869	„	„	2-CH_3O-phenyl	
870	„	„	4-CH_3O-phenyl	

Ex. No.	X^1	X^2	R^5	Physical data
871	„	„	4-C_2H_5O-phenyl	
872	„	„	4-CF_3O-phenyl	
873	„	„	4-CN-phenyl	
874	„	„	3-NO_2-phenyl	
875	„	„	4-NO_2-phenyl	

Table 4

Pyrrolines and imidazolines of the formula (I), G = 5-isoxazolinyl



Ex. No.	X^1	X^2	Z	R^5	Physical data
876	F	F	CH_2	CH_3	
877	„	„	„	C_2H_5	
878	„	„	„	n-C_3H_7	
879	„	„	„	i-C_3H_7	
880	„	„	„	n-C_4H_9	
881	„	„	„	i-C_4H_9	
882	„	„	„	s-C_4H_9	
883	„	„	„	t-C_4H_9	
884	„	„	„	n-C_6H_{13}	
885	„	„	„	CH_2-t-Bu	
886	„	„	„	CF_3	
887	„	„	„	C_2F_5	
888	„	„	„	n-C_3F_7	
889	„	„	„	n-C_4F_9	
890	„	„	„	n-C_5F_{11}	
891	„	„	„	n-C_6F_{13}	
892	„	„	„	phenyl	

Ex. No.	X^1	X^2	Z	R^5	Physical data
893	"	"	"	2-F-phenyl	
894	"	"	"	3-F-phenyl	
895	"	"	"	4-F-phenyl	
896	"	"	"	2-Cl-phenyl	
897	"	"	"	3-Cl-phenyl	
898	"	"	"	4-Cl-phenyl	
899	"	"	"	2,4-Cl_2-phenyl	
900	"	"	"	3,4-Cl_2-phenyl	
901	"	"	"	2,5-Cl_2-phenyl	
902	"	"	"	2,6-Cl_2-phenyl	
903	"	"	"	2-CF_3-phenyl	
904	"	"	"	3-CF_3-phenyl	
905	"	"	"	3,5-$(CF_3)_2$-phenyl	
906	"	"	"	4-CF_3-phenyl	
907	"	"	"	2-CH_3-phenyl	
908	"	"	"	4-CH_3-phenyl	
909	"	"	"	2,4-$(CH_3)_2$-phenyl	
910	"	"	"	2,6-$(CH_3)_2$-phenyl	
911	"	"	"	2,4,6-$(CH_3)_3$-phenyl	
912	"	"	"	2-CH_3O-phenyl	
913	"	"	"	4-CH_3O-phenyl	
914	"	"	"	4-C_2H_5O-phenyl	
915	"	"	"	4-CF_3O-phenyl	
916	"	"	"	4-CN-phenyl	
917	"	"	"	3-NO_2-phenyl	
918	"	"	"	4-NO_2-phenyl	
919	F	H	CH_2	CH_3	
920	"	"	"	C_2H_5	
921	"	"	"	n-C_3H_7	
922	"	"	"	i-C_3H_7	
923	"	"	"	n-C_4H_9	

Ex. No.	X^1	X^2	Z	R^5	Physical data
924	"	"	"	i-C_4H_9	
925	"	"	"	s-C_4H_9	
926	"	"	"	t-C_4H_9	
927	"	"	"	n-C_6H_{13}	
928	"	"	"	CH_2-t-Bu	
929	"	"	"	CF_3	
930	"	"	"	C_2F_5	
931	"	"	"	n-C_3F_7	
932	"	"	"	n-C_4F_9	
933	"	"	"	n-C_5F_{11}	
934	"	"	"	n-C_6F_{13}	
935	"	"	"	phenyl	
936	"	"	"	2-F-phenyl	
937	"	"	"	3-F-phenyl	
938	"	"	"	4-F-phenyl	
939	"	"	"	2-Cl-phenyl	
940	"	"	"	3-Cl-phenyl	
941	"	"	"	4-Cl-phenyl	
942	"	"	"	2,4-Cl_2-phenyl	
943	"	"	"	3,4-Cl_2-phenyl	
944	"	"	"	2,5-Cl_2-phenyl	
945	"	"	"	2,6-Cl_2-phenyl	
946	"	"	"	2-CF_3-phenyl	
947	"	"	"	3-CF_3-phenyl	
948	"	"	"	3,5-$(CF_3)_2$-phenyl	
949	"	"	"	4-CF_3-phenyl	
950	"	"	"	2-CH_3-phenyl	
951	"	"	"	4-CH_3-phenyl	
952	"	"	"	2,4-$(CH_3)_2$-phenyl	
953	"	"	"	2,6-$(CH_3)_2$-phenyl	
954	"	"	"	2,4,6-$(CH_3)_3$-phenyl	

Ex. No.	X^1	X^2	Z	R^5	Physical data
955	"	"	"	2-CH_3O-phenyl	
956	"	"	"	4-CH_3O-phenyl	
957	"	"	"	4-C_2H_5O-phenyl	
958	"	"	"	4-CF_3O-phenyl	
959	"	"	"	4-CN-phenyl	
960	"	"	"	3-NO_2-phenyl	
961	"	"	"	4-NO_2-phenyl	
962	F	Cl	CH_2	CH_3	
963	"	"	"	C_2H_5	
964	"	"	"	n-C_3H_7	
965	"	"	"	i-C_3H_7	
966	"	"	"	n-C_4H_9	
967	"	"	"	i-C_4H_9	
968	"	"	"	s-C_4H_9	
969	"	"	"	t-C_4H_9	
970	"	"	"	n-C_6H_{13}	
971	"	"	"	CH_2-t-Bu	
972	"	"	"	CF_3	
973	"	"	"	C_2F_5	
974	"	"	"	n-C_3F_7	
975	"	"	"	n-C_4F_9	
976	"	"	"	n-C_5F_{11}	
977	"	"	"	n-C_6F_{13}	
978	"	"	"	phenyl	
979	"	"	"	2-F-phenyl	
980	"	"	"	3-F-phenyl	
981	"	"	"	4-F-phenyl	
982	"	"	"	2-Cl-phenyl	
983	"	"	"	3-Cl-phenyl	
984	"	"	"	4-Cl-phenyl	
985	"	"	"	2,4-Cl_2-phenyl	

Ex. No.	X^1	X^2	Z	R^5	Physical data
986	"	"	"	3,4-Cl_2-phenyl	
987	"	"	"	2,5-Cl_2-phenyl	
988	"	"	"	2,6-Cl_2-phenyl	
989	"	"	"	2-CF_3-phenyl	
990	"	"	"	3-CF_3-phenyl	
991	"	"	"	3,5-$(CF_3)_2$-phenyl	
992	"	"	"	4-CF_3-phenyl	
993	"	"	"	2-CH_3-phenyl	
994	"	"	"	4-CH_3-phenyl	
995	"	"	"	2,4-$(CH_3)_2$-phenyl	
996	"	"	"	2,6-$(CH_3)_2$-phenyl	
997	"	"	"	2,4,6-$(CH_3)_3$-phenyl	
998	"	"	"	2-CH_3O-phenyl	
999	"	"	"	4-CH_3O-phenyl	
1001	"	"	"	4-C_2H_5O-phenyl	
1002	"	"	"	4-CF_3O-phenyl	
1003	"	"	"	4-CN-phenyl	
1004	"	"	"	3-NO_2-phenyl	
1005	"	"	"	4-NO_2-phenyl	
1006	F	F	NCOOEt	CH_3	
1007	"	"	"	C_2H_5	
1008	"	"	"	n-C_3H_7	
1009	"	"	"	i-C_3H_7	
1010	"	"	"	n-C_4H_9	
1011	"	"	"	i-C_4H_9	
1012	"	"	"	s-C_4H_9	
1013	"	"	"	t-C_4H_9	
1014	"	"	"	n-C_6H_{13}	
1015	"	"	"	CH_2-t-Bu	
1016	"	"	"	CF_3	
1017	"	"	"	C_2F_5	

Ex. No.	X^1	X^2	Z	R^5	Physical data
1018	"	"	"	n-C_3F_7	
1019	"	"	"	n-C_4F_9	
1020	"	"	"	n-C_5F_{11}	
1021	"	"	"	n-C_6F_{13}	
1022	"	"	"	phenyl	
1023	"	"	"	2-F-phenyl	
1024	"	"	"	3-F-phenyl	
1025	"	"	"	4-F-phenyl	
1026	"	"	"	2-Cl-phenyl	
1027	"	"	"	3-Cl-phenyl	
1028	"	"	"	4-Cl-phenyl	
1029	"	"	"	2,4-Cl_2-phenyl	
1030	"	"	"	3,4-Cl_2-phenyl	
1031	"	"	"	2,5-Cl_2-phenyl	
1032	"	"	"	2,6-Cl_2-phenyl	
1033	"	"	"	2-CF_3-phenyl	
1034	"	"	"	3-CF_3-phenyl	
1035	"	"	"	3,5-$(CF_3)_2$-phenyl	
1036	"	"	"	4-CF_3-phenyl	
1037	"	"	"	2-CH_3-phenyl	
1038	"	"	"	4-CH_3-phenyl	
1039	"	"	"	2,4-$(CH_3)_2$-phenyl	
1040	"	"	"	2,6-$(CH_3)_2$-phenyl	
1041	"	"	"	2,4,6-$(CH_3)_3$-phenyl	
1042	"	"	"	2-CH_3O-phenyl	
1043	"	"	"	4-CH_3O-phenyl	
1044	"	"	"	4-C_2H_5O-phenyl	
1045	"	"	"	4-CF_3O-phenyl	
1046	"	"	"	4-CN-phenyl	
1047	"	"	"	3-NO_2-phenyl	
1048	"	"	"	4-NO_2-phenyl	

C. Formulation examples

a) A dusting powder is obtained by mixing 10 parts by weight of active compound and 90 parts by weight of talc, as inert substance, and comminuting the mixture in an impact mill.

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 oleoylmethyltaurinate, as wetting 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 monoester, 2 parts by weight of a sodium ligninsulfonate and 51 parts by weight of water and grinding the mixture to a fineness of below 5 microns in a grinding bead mill.

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 ethoxylated nonylphenol (10 EO), as the emulsifier.

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 is approximately 5% and that of the inert carrier material is approximately 95% of the finished granules.

D. Biological examples

Example 1: effect on the spider mite Tetranychus urticae

Cut stems of bean plants (Phaseolus vulgaris) carrying one leaf are transferred into brown glass bottles filled with tap water and subsequently populated with approximately 100 spider mites (Tetranychus urticae). Plant leaf and spider mites are then dipped for 5 seconds into an aqueous solution of the formulated preparation to be examined. After the solution has run off, plants and animals are stored in a climatized chamber (16 hours of light/day, 25°C, 40-60% relative atmospheric humidity). After 6 days of storage, the mortality of the preparation on all stages of the spider mites is determined. At a concentration of 500 ppm (based on the content of active compound), the preparations of Example Nos. 1, 2, 5, 9, 10, 12, 22, 23, 32, 33, 35, 39, 41, 42, 43, 45, 46, 48, 49, 52, 63, 76, 79, 87, 90, 91, 92, 95, 96, 97, 99, 108, 110, 113, 117, 120, 569, 570, 573, 574, 576, 578, 579, 589, 600, 605, 619, 623, 624, 625, 626, 628, 629, 630, 631 effect a mortality of 80-100%.

Example 2: effect on the aphid Aphis fabae

Cut stems of bean plants (Phaseolus vulgaris) carrying one leaf are transferred into brown glass bottles filled with tap water and subsequently populated with approximately 100 aphids (Aphis fabae). Plant leaf and aphids are then dipped for 5 seconds into an aqueous solution of the formulated preparation to be examined. After the solution has run off, plants and animals are stored in a climatized chamber (16 hours of light/day, 25°C, 40-60% relative atmospheric humidity). After 6 days of storage, the mortality of the preparation on all stages of the aphid is determined. At a concentration of 500 ppm (based on the content of active compound), the preparations of Example Nos. 96 and 103 effect a mortality of 80-100%.

Example 3: effect on the egg-larval stage of Heliothis virescens

A Petri dish whose bottom is covered with filter paper and which contains about 5 ml of nutrient medium is prepared. Filter paper sections containing approximately 30

24-hour-old eggs of the tobacco budworm (Heliothis virescens) are dipped for 5 seconds into an aqueous solution of the formulated preparation to be examined and subsequently placed into the Petri dish. A further 200 µl of the aqueous solution are distributed over the nutrient medium. After the Petri dish has been closed, it is stored in a climatized chamber at about 25°C. After 6 days of storage, the mortality of the preparation on the eggs and any larvae hatched from them is determined. At a concentration of 500 ppm (based on the content of active compound), the preparations of Example Nos. 1, 5, 9, 20, 22, 28, 39, 45, 46, 48, 49, 52, 63, 94, 103, 116, 568, 567, 573, 579, 589, 619, 623 effect a mortality of 80-100%.

Example 4: feeding effect on the butterfly larvae Heliothis virescens

Nutrient medium (freeze-dried cube) is dipped into an aqueous solution of the formulated preparation to be examined and then placed into a Petri dish. Ten L2 larvae of the tobacco budworm (Heliothis virescens) are then added. The Petri dish is then closed with a lid. The effect of the preparation on the larvae is determined after 4 days of storage at about 23°C. At a concentration of 500 ppm (based on the content of active compound), the preparations of Example Nos. 2, 9, 13, 20, 22, 28, 29, 30, 32, 35, 39, 40, 41, 42, 43, 45, 46, 48, 49, 52, 63, 76, 78, 91, 93, 94, 95, 98, 103, 114, 116, 117, 120, 121, 124, 125, 567, 573, 589, 600, 605, 613, 614, 615, 619, 621, 623, 624, 629 effect a larvae mortality of 80-100%.

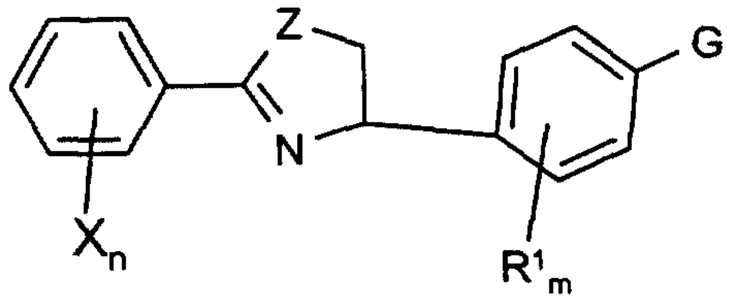
Example 5: feeding effect on the butterfly larvae Spodoptera litoralis

Nutrient medium (freeze-dried cube) is dipped into an aqueous solution of the formulated preparation to be examined and then placed into a Petri dish. Ten L2 larvae of the Egyptian cotton leaf worm (Spodoptera litoralis) are then added. The Petri dish is then closed with a lid. The effect of the preparation on the larvae is determined after 4 days of storage at about 23°C. At a concentration of 500 ppm (based on the content of active compound), the preparations of Example Nos. 5, 9, 12, 28, 29, 30, 35, 39, 40, 41, 42, 43, 45, 46, 48, 52, 63, 87, 90, 91, 92, 94, 97, 98, 99, 100, 103, 107, 113, 117, 120, 125, 566, 567, 573, 574, 589, 600, 613, 614, 619, 626 effect a larvae mortality of 80-100 %.

Corresponds to amended German pages 1,2,3 & 4
PCT/EP 02/02619 (AGR 2001/M 209)
Appendix to filing of 01.22.2003

Claims (amended):

1. An arylisoxazoline derivative of the formula (I),



(I)

in which the symbols and indices are as defined below:

X is identical or different

a) halogen, cyano, nitro;

b) (C_1-C_4)-alkyl, (C_1-C_4)-alkoxy, (C_1-C_4)-alkylthio, (C_1-C_4)-alkylsulfinyl, where the radicals of group b are unsubstituted or substituted by one or more radicals selected from the group consisting of halogen;

R^1 is identical or different halogen, (C_1-C_4)-haloalkyl, (C_1-C_4)-alkyl, (C_1-C_4)-alkoxy, (C_1-C_4)-haloalkoxy or cyano;

m is 0, 1, 2, 3 or 4;

n is 1, 2, 3, 4 or 5;

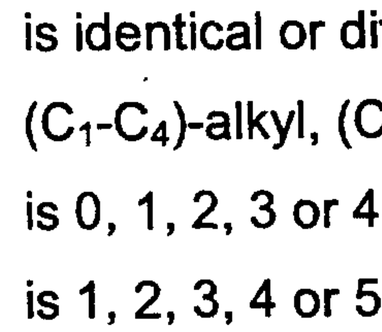
Z is oxygen, sulfur, CH_2 or NR^2;

R^2 is CN, (C_1-C_4)-alkoxy-(C_1-C_4)-alkyl, CHO, (C_1-C_6)-alkylcarbonyl, (C_1-C_6)-alkoxycarbonyl or $(CW)NR^3R^4$;

R^3, R^4 are identical or different H, (C_1-C_6)-alkyl;

W is O or S;

G is



t is 0, 1, 2 or 3;

R^5 is identical or different

a) halogen, CN, NO_2;

AMENDED SHEET

b) a straight-chain or branched alkyl group having 1 to 12 carbon atoms, where one or more (CH_2) groups are optionally replaced by -O-, -S(O)-$_{0, 1, 2,}$-NH-, -NR^6-, -CO-, -CS-, -CH=CH-, -C≡C-, aryldiyl, heterocyclyldiyl, (C_3-C_8)-cycloalkanediyl or (C_3-C_8)-cycloalkenyldiyl, with the proviso that chalcogens may not be adjacent to one another, where individual hydrogen atoms are optionally replaced by halogen;

c) in the case of two radicals R^5 located in the α-position, the radicals are also (=Y), where Y is (=O), (=S), (=NOR^6) or (=$CR_2^{6)}$;

with the proviso that the radical(s) R^5 together do not comprise more than one ring system having five or more members;

R^6 is (C_1-C_4)-alkyl, phenyl or benzyl;

Aryl is a carbocyclic aromatic radical having 6 to 14 carbon atoms;

Heterocyclyl is a heteroaromatic or heteroaliphatic ring system, where

"heteroaromatic ring system" is to be understood as meaning an aryl radical where at least one CH group is replaced by N and/or at least two adjacent CH groups are replaced by S, NH or O, and "heteroaliphatic ring system" is to be understood as meaning a (C_3-C_8)-cycloalkyl radical in which at least one carbon unit is replaced by O, S or a group NR^{11} and R^{11} is hydrogen, (C_1-C_4)-alkyl, (C_1-C_4)-alkoxy or aryl,

where the cyclic radicals in the meaning of R^5, R^6 are optionally substituted by one or more radicals selected from the group consisting of halogen, cyano, nitro, amino, hydroxyl, thio, (C_1-C_4)-alkyl, (C_1-C_4)-haloalkyl, (C_3-C_8)-cycloalkyl, (C_1-C_4)-alkoxy, (C_1-C_4)-haloalkoxy, (C_1-C_4)-alkylthio, (C_1-C_4)-haloalkylthio, (C_1-C_4)-alkylamino, (C_1-C_4)-haloalkylamino and (C_1-C_4)-alkanoyl;

and its pure isomers (optical and geometrical isomers), isomer mixtures, N-oxides and salts suitable for use as pesticides.

2. A compound of the formula (I) as claimed in claim 1, where the symbols and indices are as defined below:

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Appendix to filing of 01.22.2003

X is halogen, cyano, nitro, (C_1-C_4)-alkyl, (C_1-C_3)-haloalkyl, (C_1-C_4)-alkoxy or (C_1-C_3)-haloalkoxy,

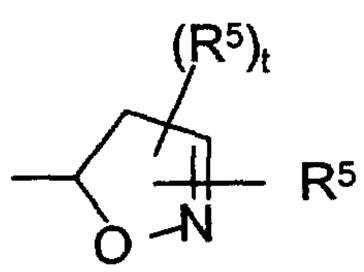
m is 0 or 1,

n is 1, 2 or 3,

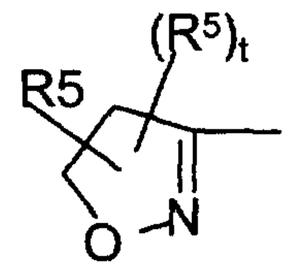
Z is oxygen or CH_2,

R^1 is H, halogen, (C_1-C_4)-haloalkyl, (C_1-C_4)-alkyl, (C_1-C_4)-alkoxy or (C_1-C_4)-haloalkoxy,

G is



or



;

t is 0,1, 2 or 3,

R^5 is identical or different

a) halogen, CN, NO_2;

b) a straight-chain or branched alkyl group having 1 to 12 carbon atoms, where one or more (CH_2) groups are optionally replaced by -O-, -S(O)$_{0,1,2}$-, -NH-, -NR^6-, -CO-, -CS-, -CH=CH-, -C≡C-, aryldiyl, heterocyclyldiyl, (C_3-C_8)-cycloalkyl or (C_3-C_8)-cycloalkenyl, with the proviso that chalcogens may not be adjacent to one another, where individual hydrogen atoms are optionally replaced by halogen;

c) in the case of two radicals R^5 located in the α-position, the radicals are also (=Y), where Y is (=O), (=S), (=NOR^6) or (=$CR_2{}^6$);

with the proviso that the radical(s) R^5 together do not comprise more than one ring system having five or more members;

R^6 is (C_1-C_4)-alkyl, phenyl or benzyl;

Aryl is a carbocyclic aromatic radical having 6 to 14 carbon atoms;

Heterocyclyl is a heteroaromatic or heteroaliphatic ring system, where

"heteroaromatic ring system" is to be understood as meaning an aryl radical where at least one CH group is replaced by N and/or at least two adjacent CH

groups are replaced by S, NH or O, and "heteroaliphatic ring system" is to be understood as meaning a (C_3-C_8)-cycloalkyl radical in which at least one carbon unit is replaced by O, S or a group NR^{11} and R^{11} is hydrogen, (C_1-C_4)-alkyl, (C_1-C_4)-alkoxy or aryl;

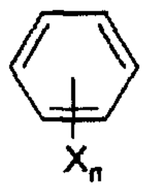
where the cyclic radicals in the meaning of R^5, R^6 are optionally substituted by one or more radicals selected from the group consisting of halogen, cyano, nitro, amino, hydroxyl, thio, (C_1-C_4)-alkyl, (C_1-C_4)-haloalkyl, (C_3-C_8)-cycloalkyl, (C_1-C_4)-alkoxy, (C_1-C_4)-haloalkoxy, (C_1-C_4)-alkylthio, (C_1-C_4)-haloalkylthio, (C_1-C_4)-alkylamino, (C_1-C_4)-haloalkylamino and (C_1-C_4)-alkanoyl.

3. A compound as claimed in claim 2, where the groups R^5 are as defined below:

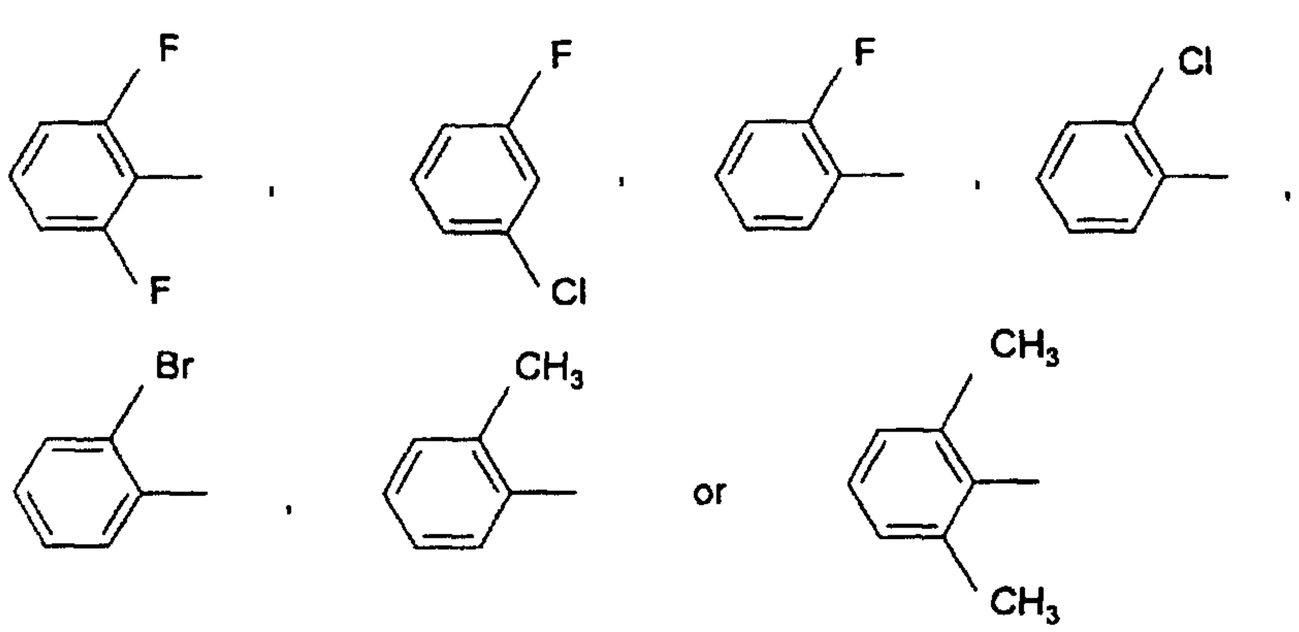
R^5 is CN, unsubstituted or substituted phenyl, unsubstituted or substituted phenoxy, $(C_1\text{-}C_6)$-alkyl, $(C_1\text{-}C_6)$-alkenyl, $(C_1\text{-}C_6)$-haloalkyl, $(C_1\text{-}C_6)$-haloalkenyl, $-(C_1\text{-}C_6)$-alkanediyl-aryl, where the aryl group is unsubstituted or substituted and where one $-CH_2-$ unit is optionally replaced by $-C(O)-NR^{10}-$, $NR^{10}-(CO)$, NR^{10} or O;

R^{10} is H, $(C_1\text{-}C_6)$-alkyl, $(C_1\text{-}C_6)$-haloalkyl, unsubstituted or substituted phenyl, unsubstituted or substituted benzyl.

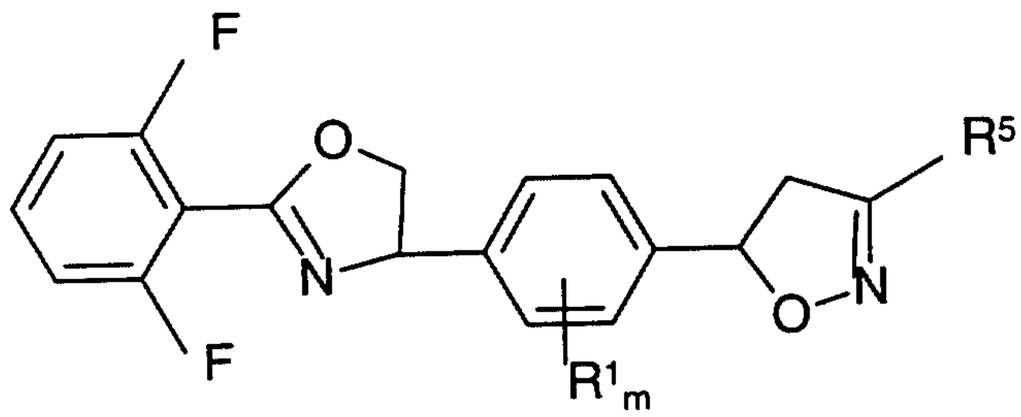
4. A compound as claimed in one or more of claims 1 to 3, where



is



5. A compound as claimed in one or more of claims 1 to 4, selected from the group consisting of (I1) to (I28):



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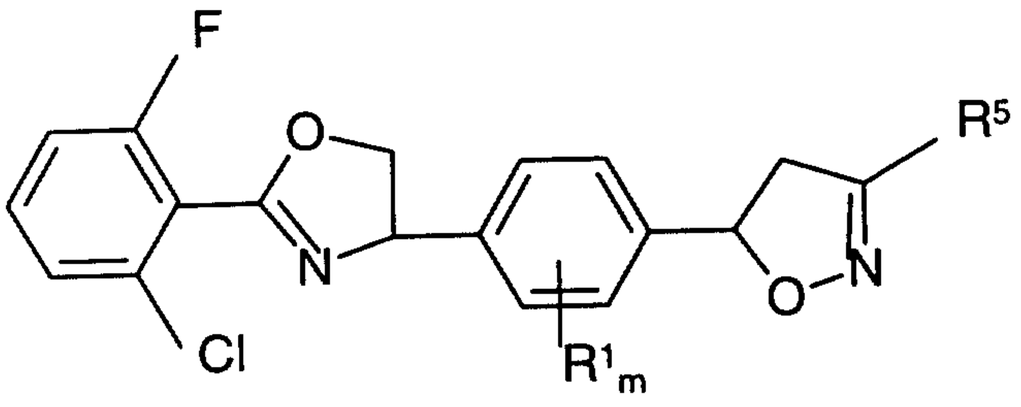

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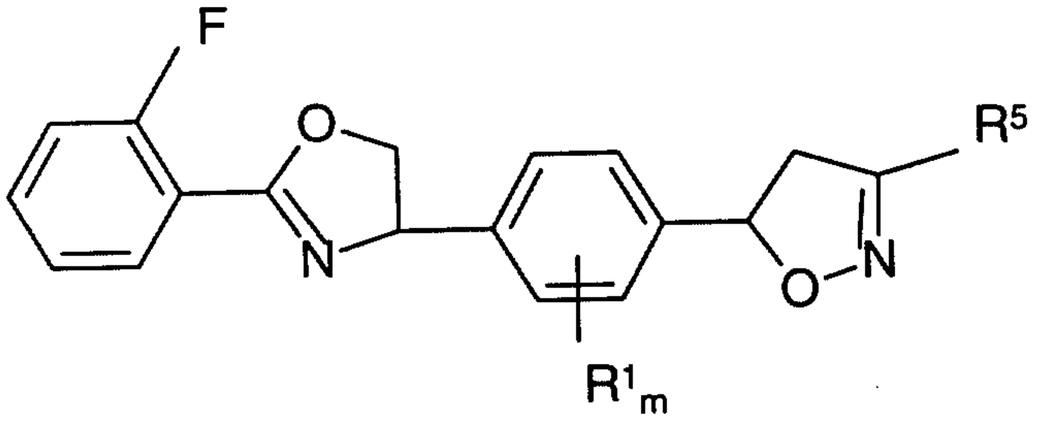

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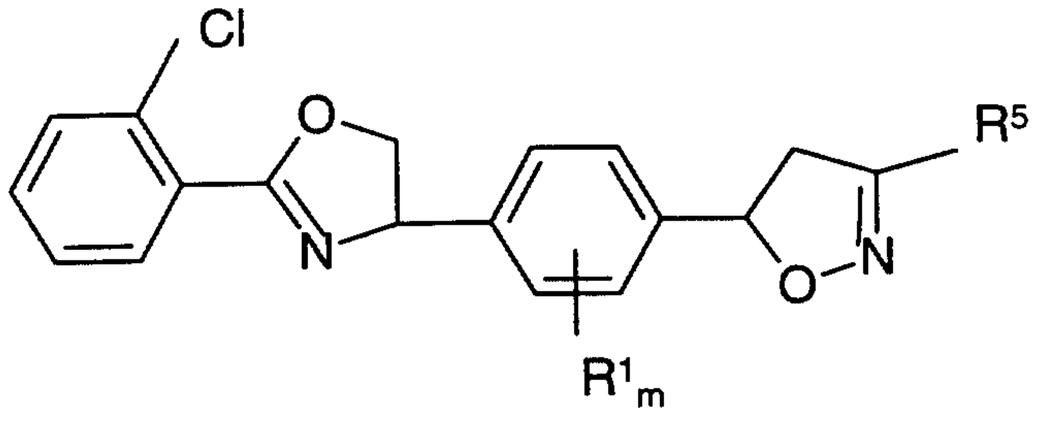

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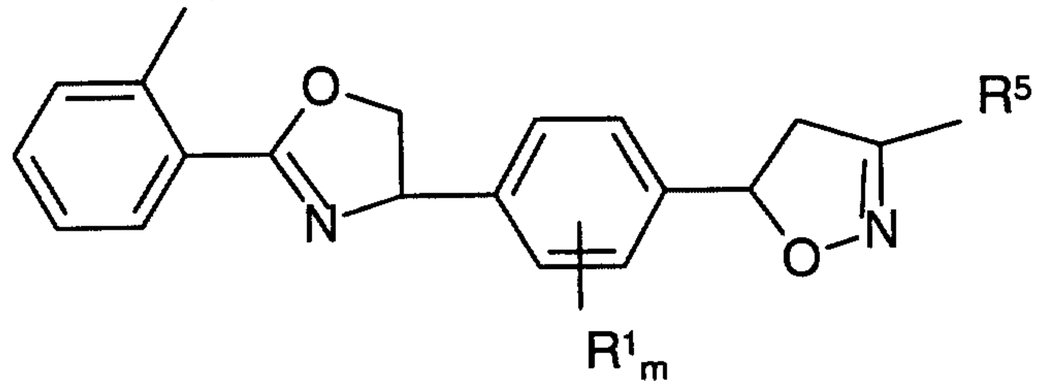

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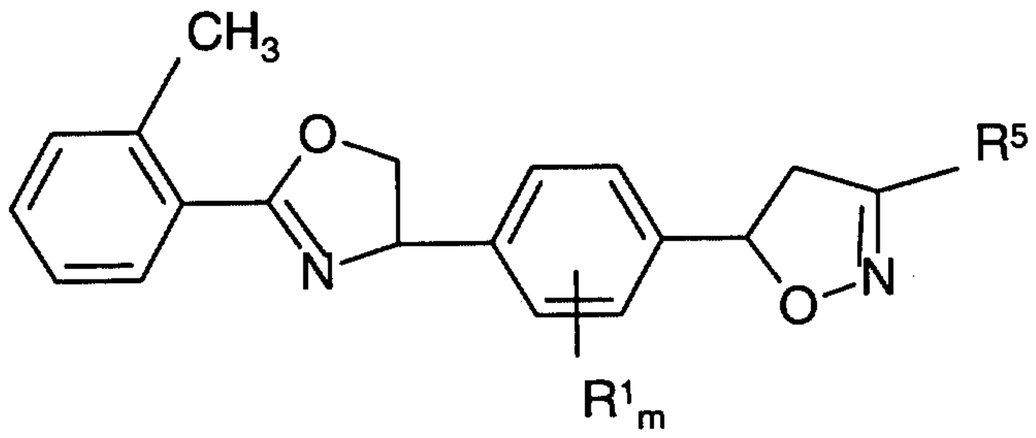

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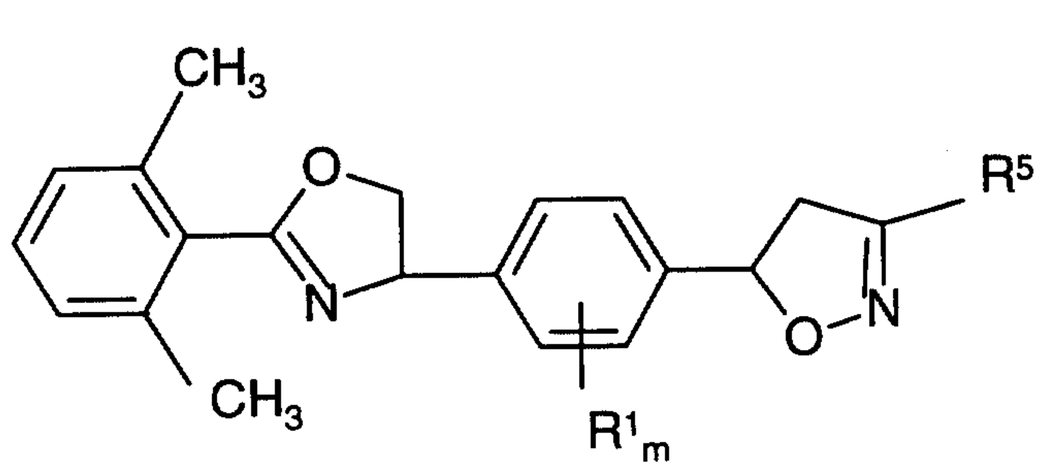

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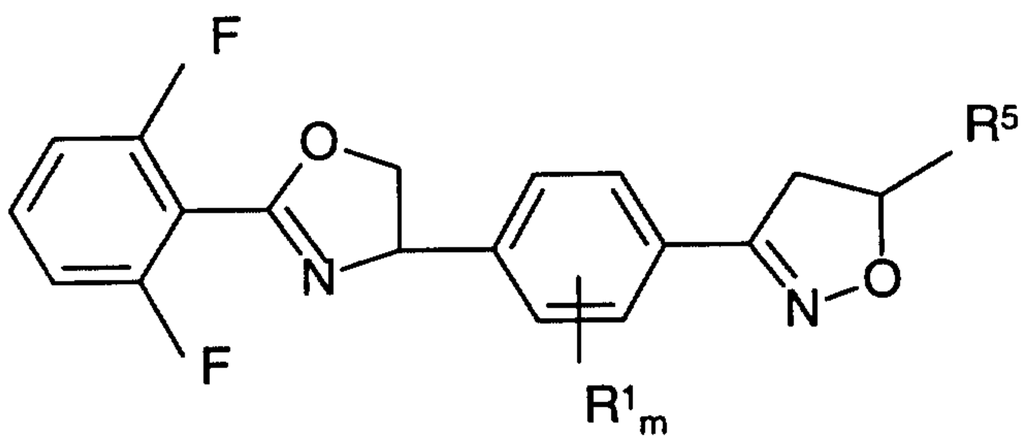

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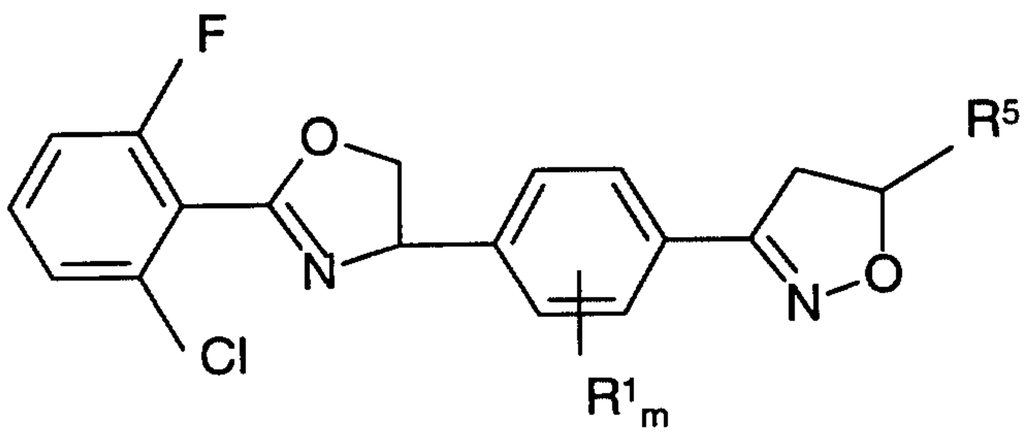

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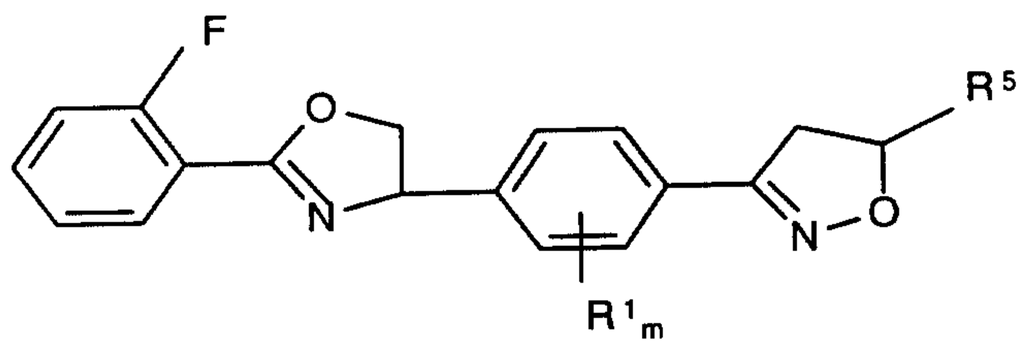

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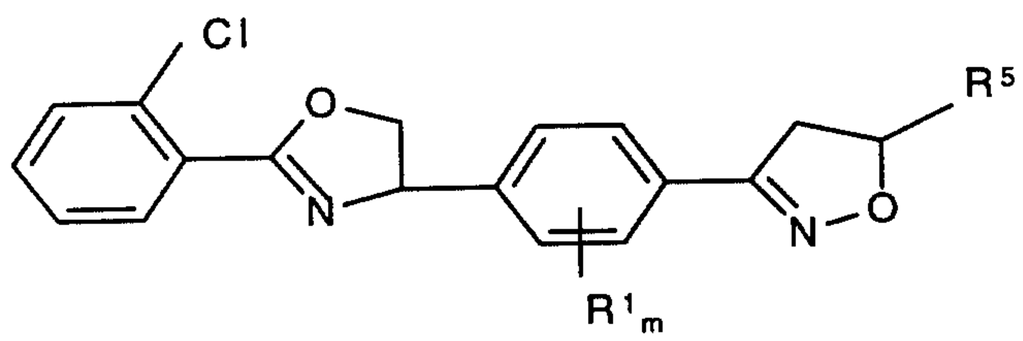
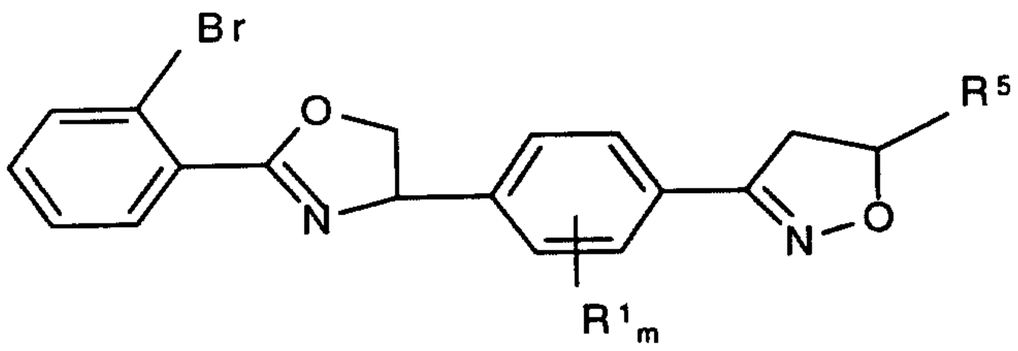


(I11)



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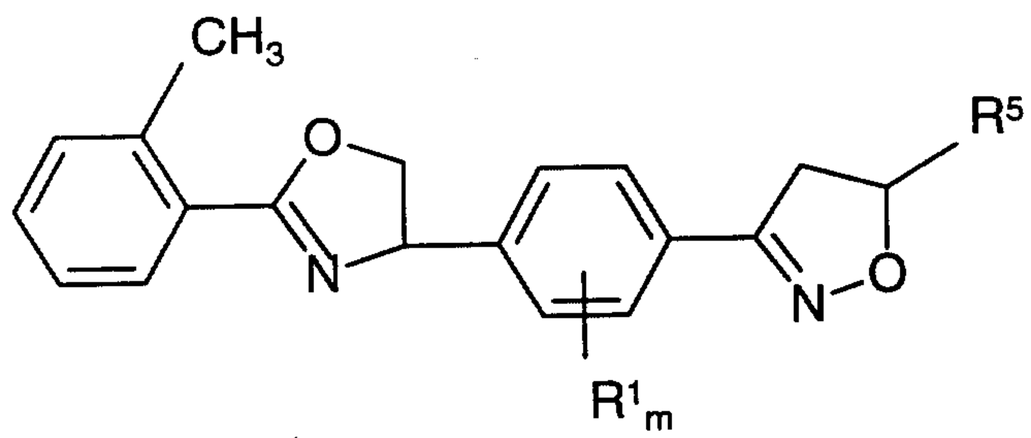

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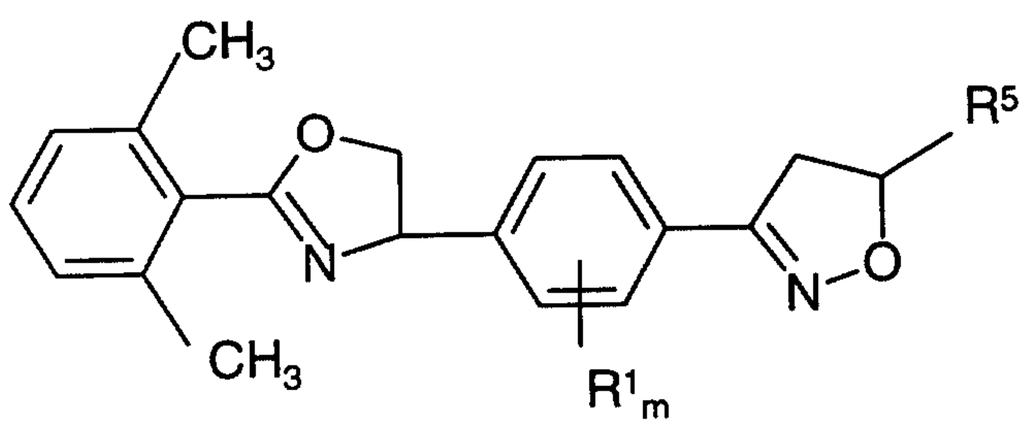

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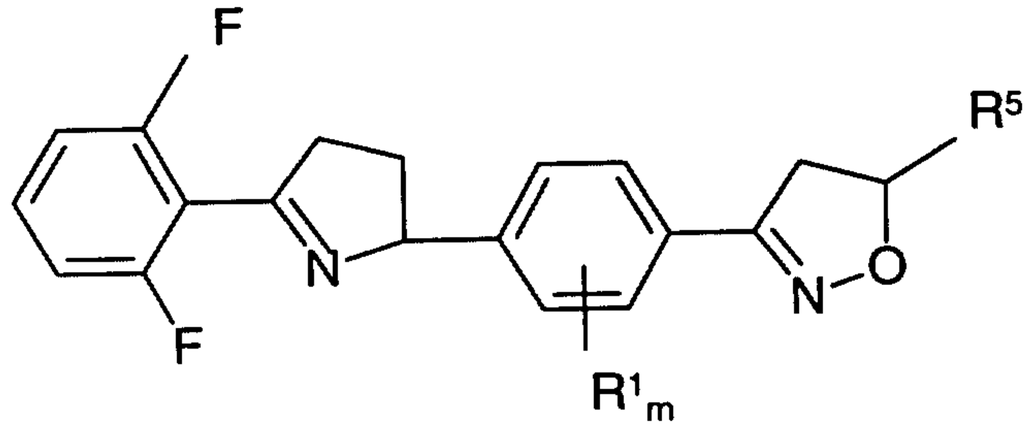

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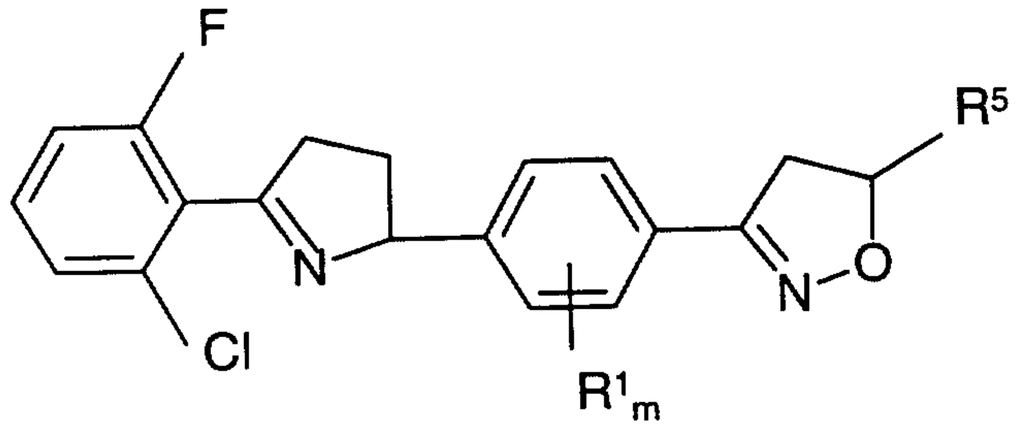

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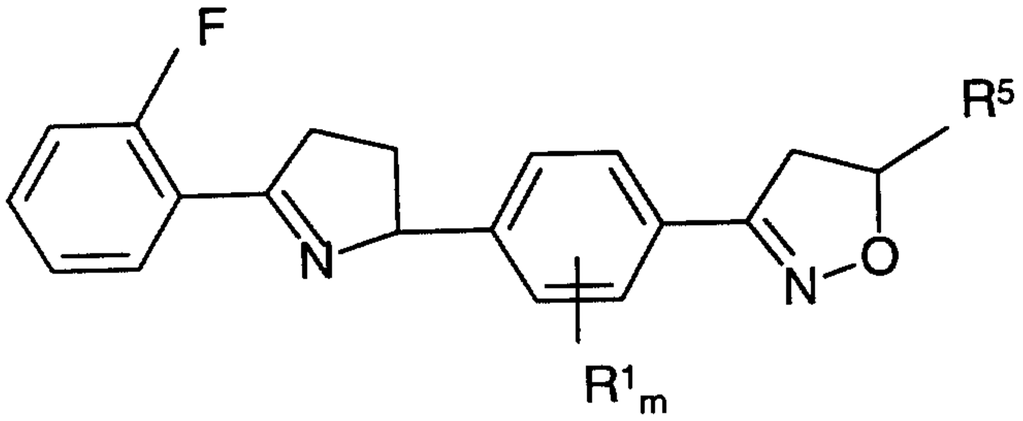

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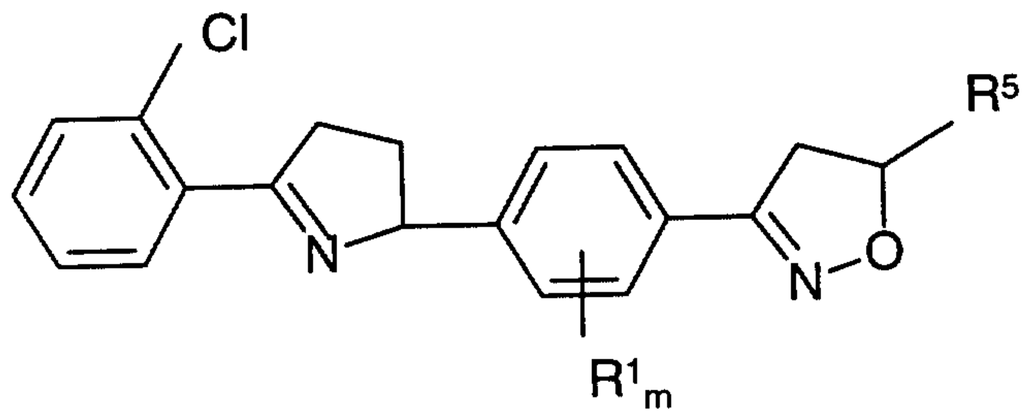

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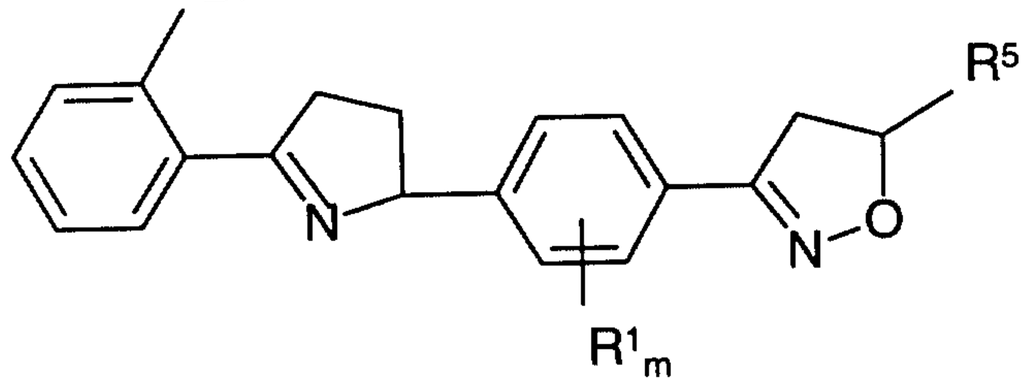

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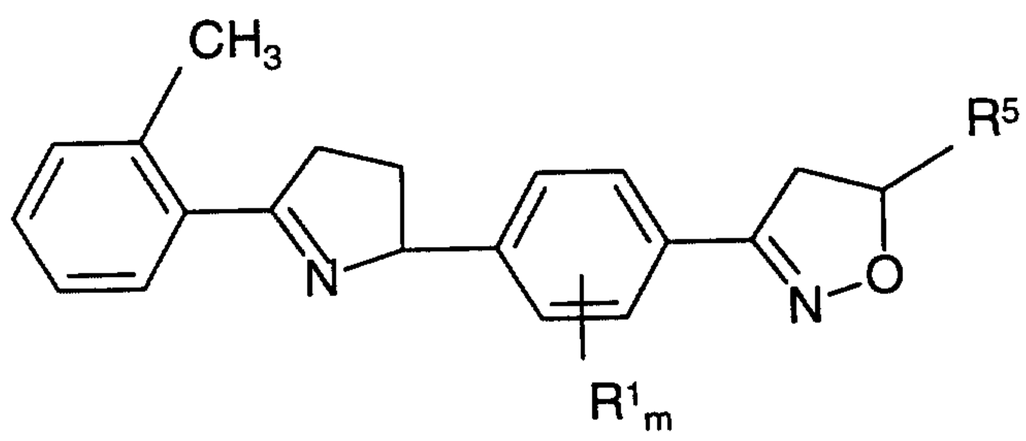

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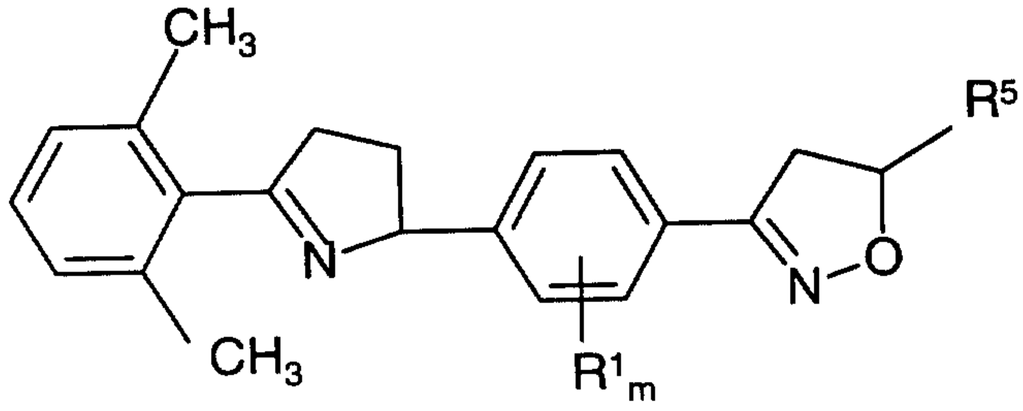

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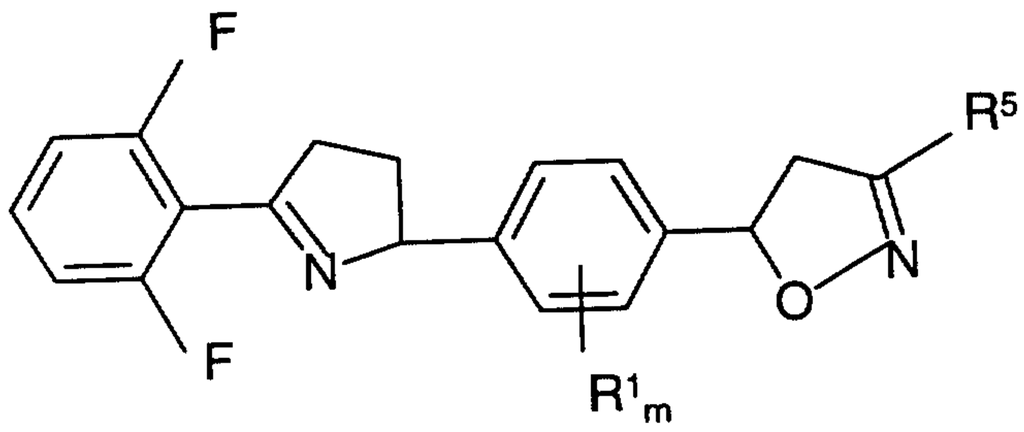

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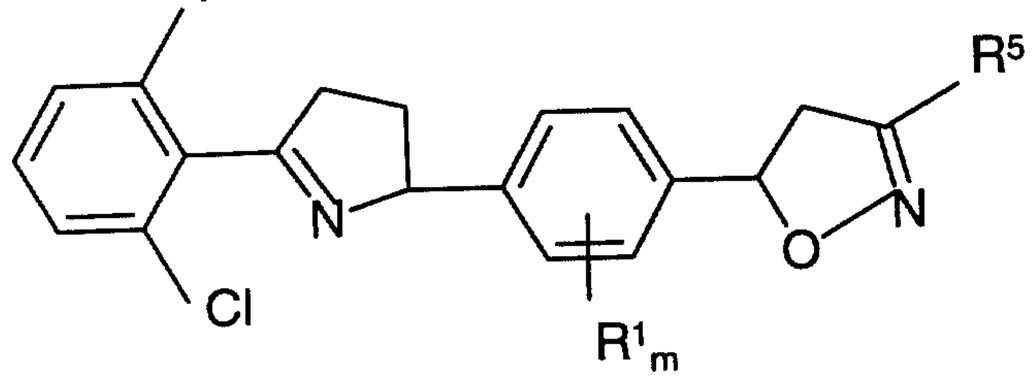

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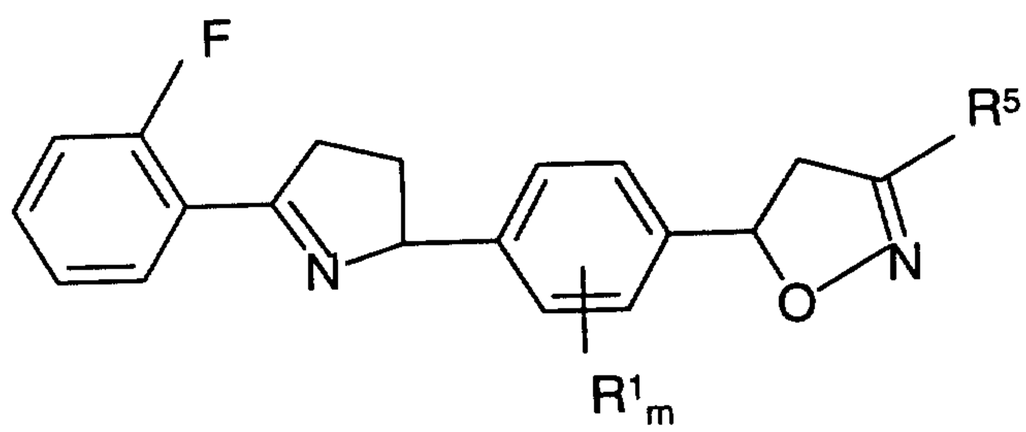

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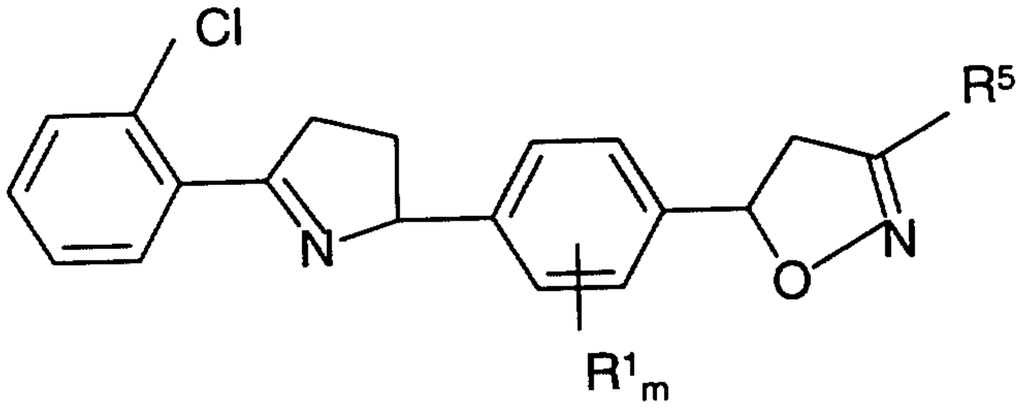

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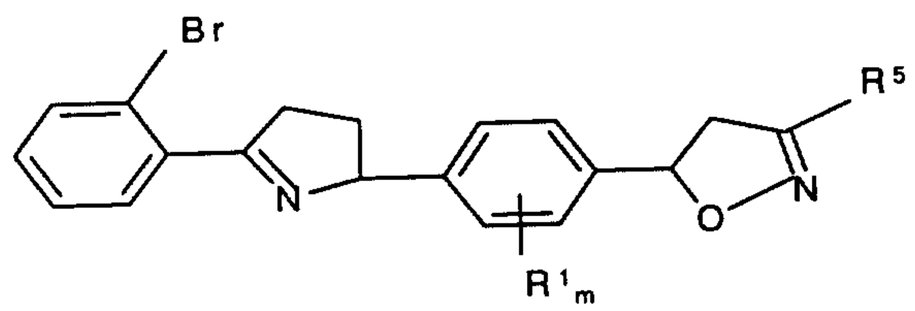

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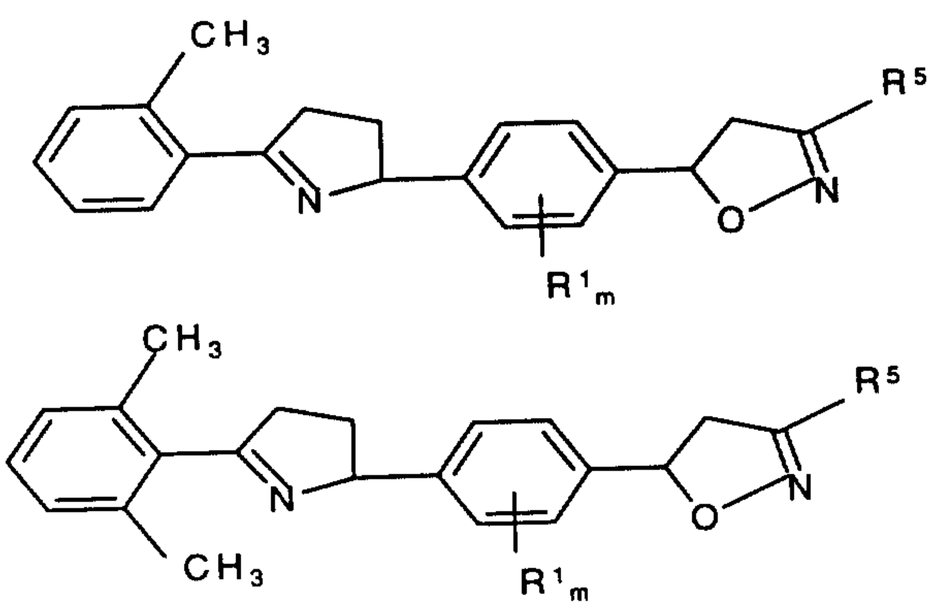


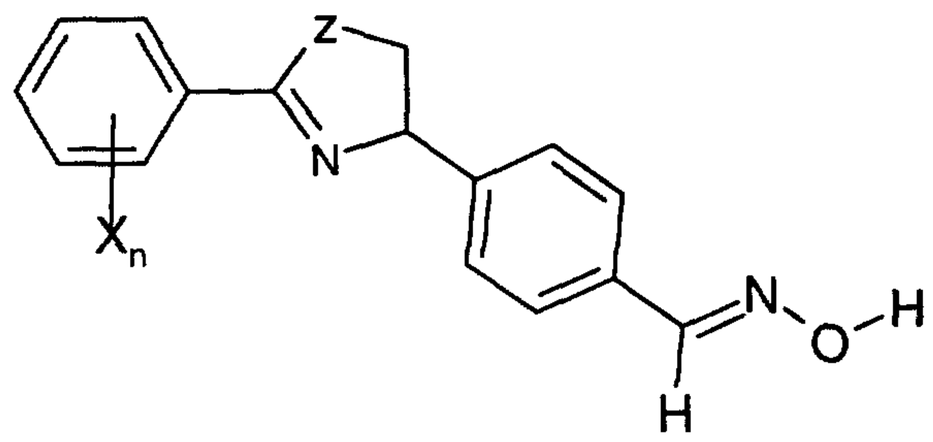
(I27)

(I28)

where $R^1{}_m$ and R^5 are as defined in claim 2.

6. A process for preparing compounds of the formula (I) as claimed in one or more of claims 1 to 5, where

a) to prepare compounds having a 3-isoxazinyl radical, an oxime of the formula (II),

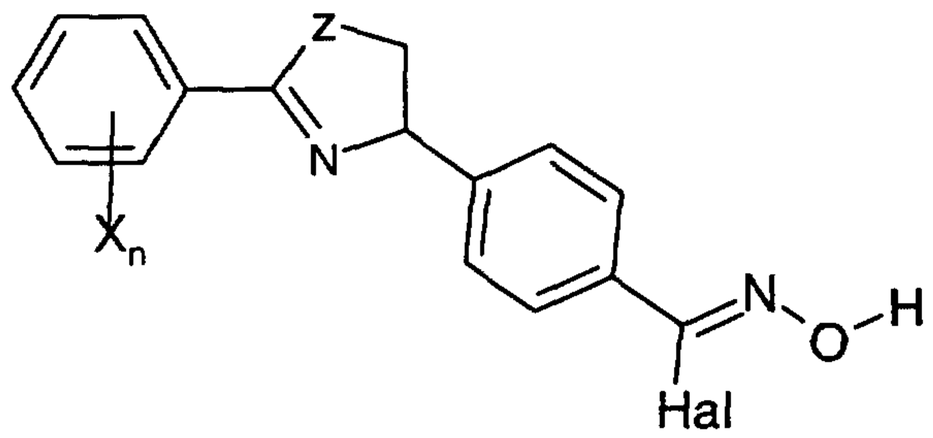


(II)

in which

X and Z are as defined for formula (I)

is reacted with a chlorinating agent to give a compound of the formula (III)

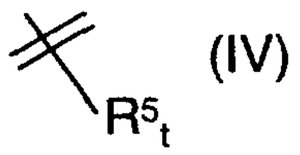


(III)

in which

Hal is halogen

and then reacted further with an olefin of the formula (IV),

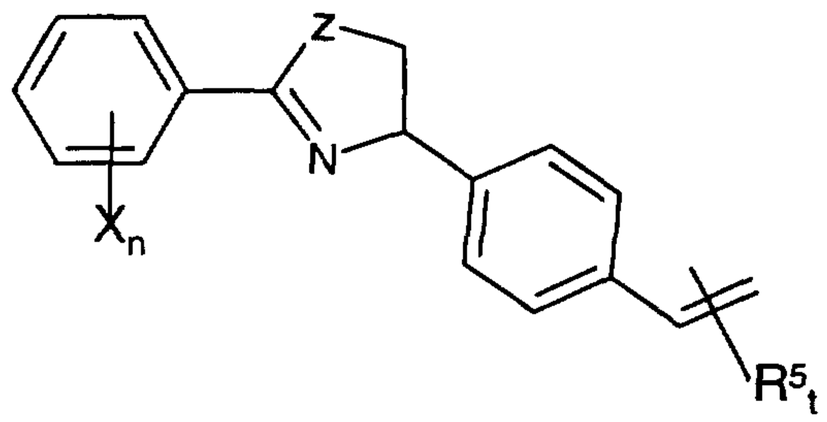


(IV)

in which R^5 and t are as defined above;

or

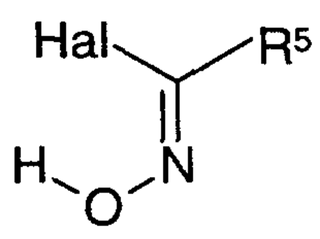
b) to prepare compounds having a 5-isoxazinyl radical, an olefin of the formula (VII)



(VII)

in which

Z and R^5_t are as defined in claim 2, is reacted with a halogenated oxime of the formula (VIII)



(VIII)

where R^5 is as defined in claim 2.

7. A pesticide, comprising at least one compound as claimed in any of claims 1 to 5 and at least one formulation auxiliary.

8. An insecticidal, acaricidal and/or nematocidal composition as claimed in claim 7, comprising an effective amount of at least one compound as claimed in one or more of claims 1 to 5 together with additives or auxiliaries conventionally used for this application.

9. A pesticide, comprising an insecticidally, acaricidally and/or nematicidally effective amount of at least one compound as claimed in one or more of claims 1 to 5 and at least one further active compound, together with auxiliaries and additives conventionally used for this application.

10. A composition for use in timber protection or as a preservative in sealants, in paints, in cooling lubricants for metal working or in drilling and cutting oils, comprising an effective amount of at least one compound as claimed in any of

claims 1 to 5 together with the auxiliaries or additives conventionally used for this application.

11. The use of a compound as claimed in one or more of claims 1 to 5 or of a composition as claimed in claim 7, 8 or 9 for preparing a veterinary medicament.

12. A process for preparing a composition as claimed in one or more of claims 7 to 11, which comprises combining the active compound and the other additives and formulating them to give a suitable use form.

13. The use of a compound as claimed in one or more of claims 1 to 5 or of a composition as claimed in one or more of claims 7, 8 and 9 as a timber preservative or as a preservative in sealants, in paints, in cooling lubricants for metal working and/or in drilling and cutting oils.

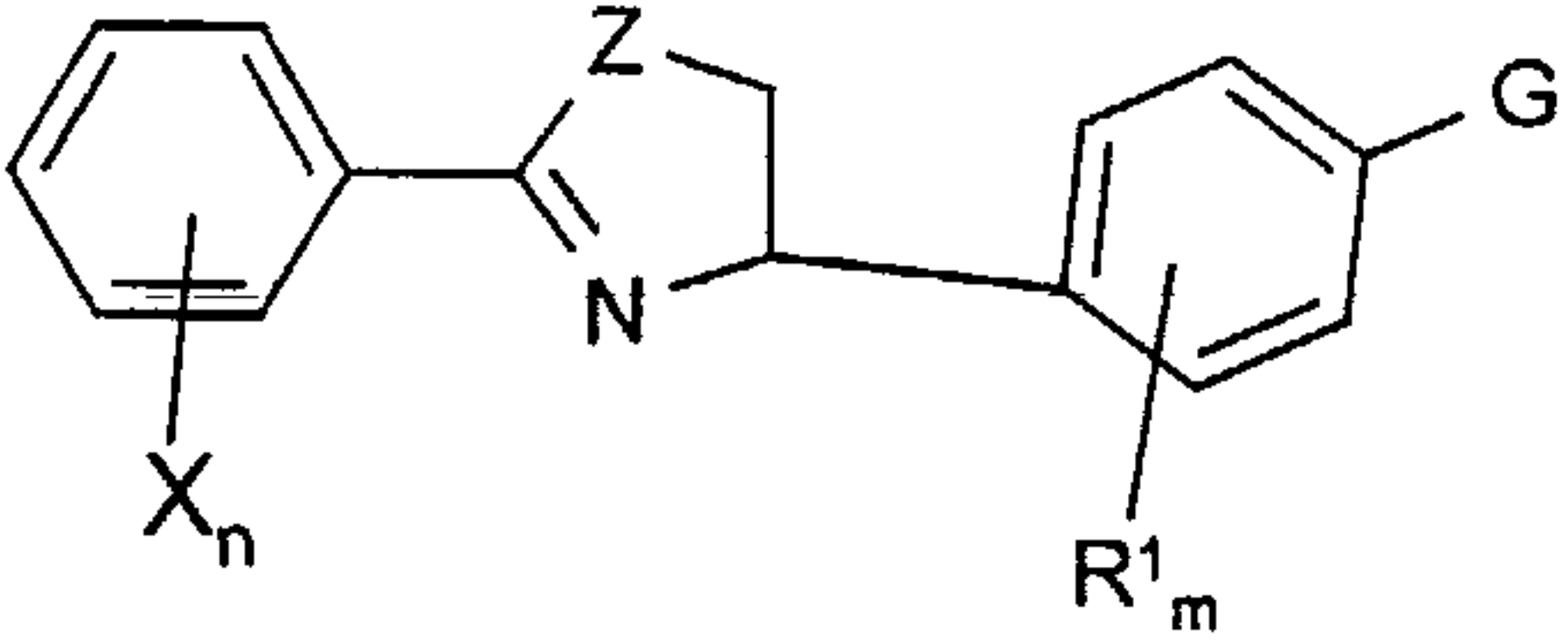
14. The use of compounds as claimed in one or more of claims 1 to 5 or of a composition as claimed in one or more of claims 7, 8, 9 and 10 for controlling harmful insects, Acarina, molluscs and nematodes.

15. A method for controlling harmful insects, Acarina, molluscs and/or nematodes, in which an effective amount of one or more compounds as claimed in one or more of claims 1 to 5 or of a composition as claimed in one or more of claims 7, 8, 9 and 10 is brought into contact with the organisms mentioned.

16. A method for controlling harmful insects, Acarina, molluscs and/or nematodes as claimed in claim 15, in which an effective amount of a compound as claimed in any of claims 1 to 5 or of a composition as claimed in any of claims 7, 8 and 9 is applied to these organisms or to the plants, areas or substrates infested with them.

17. Seed, comprising or coated with an effective amount of a compound as claimed in any of claims 1 to 5 or of a composition as claimed in any of claims 7, 8 or 9.

Fetherstonhaugh & Co.
Ottawa, Canada
Patent Agents



(I)