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(54) Title :

Use of agonists or antagonists of nicotinergic acetylcholin receptors of insects in the control of locust species.

(57) Abstract :

The present invention is concerned with the control of locusts by using bait compositions comprising agonists or antagonists of the nicotinergic acetylcholin receptors of insects, baits and methods applying these baits.

(56) Documents Cited : WO 96 29872 A

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Locust Control

The present invention is concerned with the control of locusts by using compositions comprising agonists or antagonists of the nicotinergic acetylcholin receptors of insects.

Locust control until now is achieved by treating the locusts and the feeding areas of locusts with contact insecticides. This is a tedious method in case of areas which are only with difficulty reached by motorized vehicles. Also it is very often difficult to locate these areas which means much damage is already done before actual combating methods start.

Combating locusts with baits has the problem that the bait material must be more attractive to the locusts than the food they have normally available.

It has now been found that based upon active compounds from the agonists or antagonists of nicotinergic acetylcholin receptors of insects also known as nicotinyl-compounds, mostly nitromethylene-, nitroguanidine-, cyanomethylene-, cyanoguanidine-compounds locust control could be achieved with a bait system.

Subject of the present invention is

1. Use of agonists or antagonists of nicotinergic acetylcholin receptors of insects in the control of locust species from the insect order of orthoptera and family of acrididae.

2. Use of agonists or antagonists of nicotinergic acetylcholin receptors of insects in the control of locust species from the insect order of orthoptera and family of acrididae in the form of baits comprising the active compounds and bran, meal or remainder from processing of cereal, grain, corn or lucerne and optionally usual formulating additives.

3. Use of agonists or antagonists of nicotinergic acetylcholin receptors of insects in the control of locust species from the insect order of orthoptera and family of acrididae in the form of bait material which is applied as a strip or band on the surface of the soil in an area which has to be protected from locust attack.

4. Use according to 3 (above) where the bait is applied in the form of a band about 20-40 cm wide and an application rate of 200 g - 2000 g per 100 meters.

5. Use according to 3 (above) where bait is applied in the form of a band about 20-40 cm wide and the active compound is used in an application rate of about 0.5-20 g per 100 meters.

6. Bait for use of agonists or antagonists of nicotinergic acetylcholin receptors of insects in the control of locust species from the insect order of orthoptera and family of acrididae characterized that it comprises the active compounds and bran, meal or remainder from processing of cereal, grain, corn or lucerne and optionally usual formulation additives.

7. Bait according to 6 characterized that in contains active compound in a concentration of 0.25-5 % by weight and the carrier in a concentration of 90-99.75 % by weight and optional formulation additives up to 5 % by weight.

Not having to treat a whole area where locusts are located and which may be most difficult to detect and/or to be reached by motorized vehicles is a big achievement in locust control.

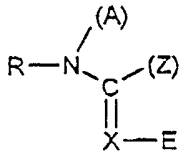
With the bait system according to the present invention it is possible to apply the active compound around areas which could be subjected to an attach by locusts when locust attach is eminent. Another method would be to apply strips of baits in the wandering way of a locust population. This could be done for actually combating the pest or for prevention of damage.

The inventive method and baits allow a totally new concept of locust control.

They are disclosed, for example in European Offenlegungsschriften No. 464 830, 428 941, 425 978, 386 565, 383 091, 375 907, 364 844, 315 826, 259 738, 254 859, 235 725, 212 600, 192 060, 163 855, 154 178, 136 636, 303 570, 302 833, 306 696, 189 972, 455 000, 135 956, 471 372, 302 389; German Offenlegungsschriften No. 3 639 877, 3 712 307; Japanese Offenlegungsschriften No. 03 220 176, 02 207 083, 63 307 857, 63 287 764, 03 246 283, 04 9371, 03 279 359, 03 255 072; US Patent Specifications No. 5 034 524, 4 948 798, 4 918 086, 5 039 686, 5 034 404; PCT Applications No. WO 91/17 659, 91/4965; French Application No. 2 611 114; Brazilian Application No. 88 03 621.

Reference is made expressly to the methods, processes, formulae and definitions described in these publications and to the individual preparations and compounds described therein.

These compounds may preferably be represented by the general formula (I)



(I),

in which

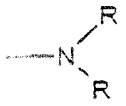
R represents hydrogen, optionally substituted radicals from the group consisting of acyl, alkyl, aryl, aralkyl, heteroaryl or heteroarylalkyl;

A represents a monofunctional group from the series consisting of hydrogen, acyl, alkyl and aryl, or represents a bifunctional group which is linked to the radical Z;

E represents an electron-attracting radical;

X represents the radicals -CH= or =N-, it being possible for the radical -CH= to be linked to the radical Z instead of an H atom,

Z represents a monofunctional group from the series consisting of alkyl, -O-R, -S-R and



or represents a bifunctional group which is linked to the radical A or the radical X.

Particularly preferred compounds of the formula (I) are those in which the radicals have the following meanings:

R represents hydrogen and optionally substituted radicals from the series consisting of acyl, alkyl, aryl, aralkyl, heteroaryl and heteroarylalkyl.

Acyl radicals which may be mentioned are formyl, alkylcarbonyl, arylcarbonyl, alkylsulphonyl, arylsulphonyl or (alkyl-)-(aryl-)-phosphoryl all of which may, in turn, be substituted.

Alkyl which may be mentioned is C_{1-10}-alkyl, in particular C_{1-4}-alkyl, specifically methyl, ethyl, i-propyl and sec- or t-butyl, all of which can, in turn, be substituted.

Aryl which may be mentioned is phenyl or naphthyl, in particular phenyl.

Aralkyl which may be mentioned is phenylmethyl or phenethyl.

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Heteroaryl which may be mentioned is heteroaryl having up to 10 ring atoms and N, O, S, in particular N, as hetero atoms. The following may be mentioned specifically: thienyl, furyl, thiazolyl, imidazolyl, pyridyl and benzothiazolyl.

Heteroarylalkyl which may be mentioned is heteroarylmethyl or heteroarylethyl having up to 6 ring atoms and N, O, S, in particular N, as heteroatoms. The following may be mentioned specifically: pyridylmethyl, thiazolylmethyl, furylmethyl which are optionally substituted.

The following substituents may be mentioned by way of example and as being preferred:
alkyl having preferably 1 to 4, in particular 1 or 2, carbon atoms, such as methyl, ethyl, n- and i-propyl and n-, i- and t-butyl; alkoxy having preferably 1 to 4, in particular 1 or 2, carbon atoms, such as methoxy, ethoxy, n- and i-propyloxy and n-, i- and t-butyloxy; alkylthio having preferably 1 to 4, in particular 1 or 2, carbon atoms, such as methylthio, ethylthio, n- and i-propylthio and n-, i- and t-butylthio; halogenoalkyl having preferably 1 to 4, in particular 1 or 2, carbon atoms and preferably 1 to 5, in particular 1 to 3, halogen atoms, the halogen atoms being identical or different, and preferred halogen atoms being fluorine, chlorine or bromine, in particular fluorine, such as trifluoromethyl; hydroxyl; halogen, preferably fluorine, chlorine, bromine and iodine, in particular fluorine, chlorine and bromine; cyano; nitro; amino; monoalkyl- and dialkylamino having preferably 1 to 4, in particular 1 or 2, carbon atoms per alkyl group, such as methylamino, methyl-ethyl-amino, n- and i-propylamino and methyl-n-butylamino; carboxyl; carbalkoxy having preferably 2 to 4, in particular 2 or 3, carbon atoms such as carbomethoxy and carboethoxy; sulpho ($-SO_3H$); alkylsulphonyl having preferably 1 to 4, in particular 1 or 2, carbon atoms, such as methylsulphonyl and ethylsulphonyl; arylsulphonyl having preferably 6 or 10 aryl carbon atoms, such as phenylsulphonyl, and also heteroarylamino and heteroarylalkylamino, such as chloropyridylamino and chloropyridylmethylamino.

A particularly preferably represents hydrogen and also optionally substituted radicals from the series consisting of acyl, alkyl and aryl, all of which preferably have the meanings given in the case of R. A furthermore represents a bifunctional group. The following group may be mentioned: optionally substituted alkylene having 1-4, in particular 1-2, C atoms, suitable substituents which may be mentioned being those listed further above and it being possible for the alkylene groups to be interrupted by one or more hetero atoms from the series consisting of N, O and S.

A and Z together with the atoms to which they are bonded can form a saturated or unsaturated heterocyclic ring. The heterocyclic ring can contain a further 1 or 2 identical or different hetero atoms and/or hetero groups. hetero atoms are preferably oxygen, sulphur or nitrogen, and hetero groups are N-alkyl, the alkyl of the N-alkyl group preferably containing 1 to 4, in particular 2 or 2, carbon atoms. Alkyl which may be mentioned is methyl, ethyl, n- and i-propyl and n-, i- and t-butyl. The heterocyclic ring contains 5 to 7, preferably 5 or 6, ring members.

Examples of the heterocyclic ring which may be mentioned are pyrrolidine, piperidine, piperazine, hexamethyleneimine, hexahydro-1,3,5-triazine and morpholine, all of which can optionally be substituted, preferably by methyl.

E represents an electron-attracting radical, radicals which may be mentioned being, in particular, NO_2, CN and halogenoalkylcarbonyl such as 1,5-halogeno-C_{1-4}-carbonyl, in particular $COCF_3$.

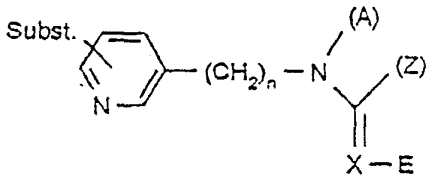
X represents -CH= or -N=.

Z represents optionally substituted radicals alkyl, -OR, -SR and -NRR. R and the substituents preferably having the abovementioned meaning.

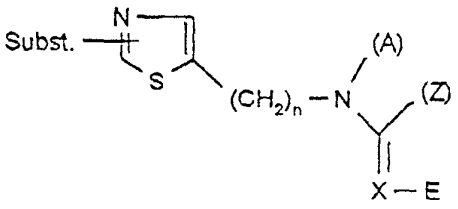
Z can not only form the abovementioned ring, but can also, together with the atom to which it is bonded and X forming the radical $=\overset{|}{C}-$ form a saturated or unsaturated heterocyclic ring. The heterocyclic ring can contain a further 1 or 2 identical or different hetero atoms and/or hetero groups. Hetero atoms are preferably oxygen, sulphur or nitrogen, and hetero groups are N-alkyl, the alkyl or N-alkyl group preferably containing 1 to 4, in particular 1 or 2, carbon atoms. Alkyl which may be mentioned is methyl, ethyl, n- and i-propyl and n-, i- and t-butyl. The heterocyclic ring contains 5 to 7, preferably 5 or 6, ring members.

Examples of the heterocylic ring which may be mentioned are pyrrolidine, piperidine, piperazine, hexamethyleneimine, morpholine and N-methylpiperazine.

The compounds of the general formulae (II) and (III) may be mentioned as compounds which can be used very particularly preferably according to the invention.



(II),



(III),

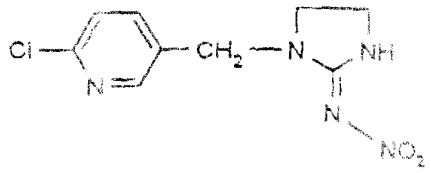
in which

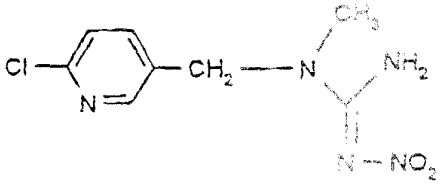
n represents 1 or 2,

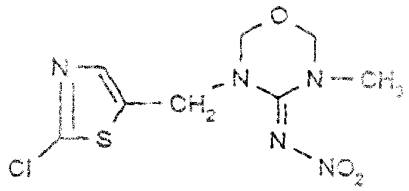
Subst. represents one of the abovementioned substituents, in particular halogen, very particularly chlorine, and

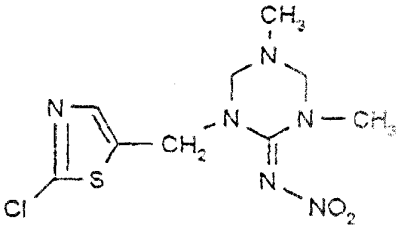
A, Z, X and E have the abovementioned meanings.

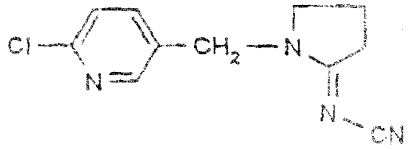
The following compounds may be mentioned specifically.

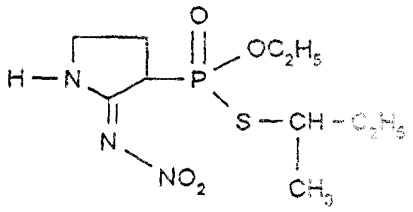


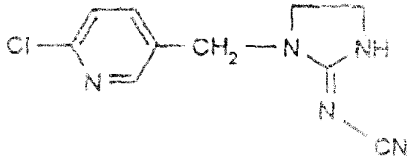


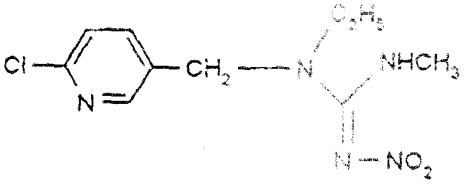


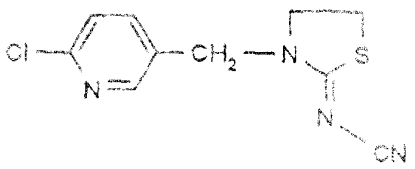


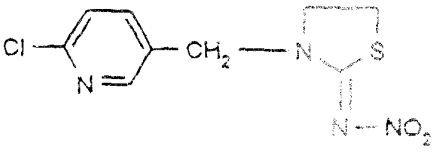


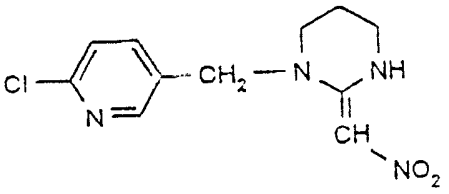


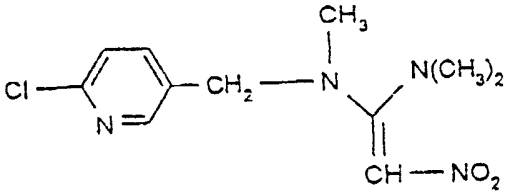


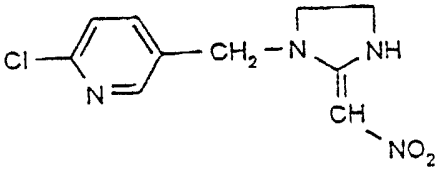


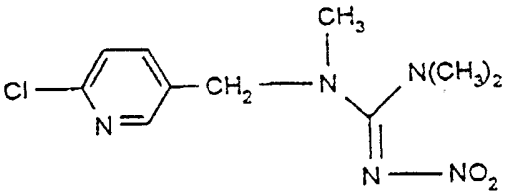


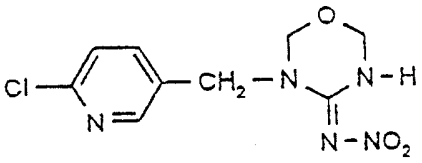


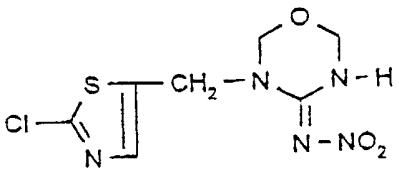


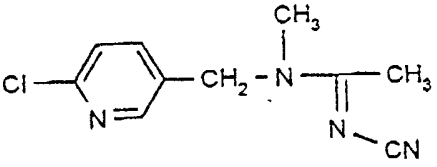


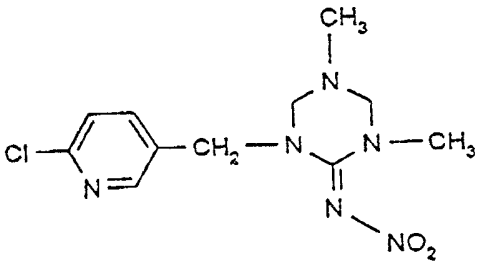


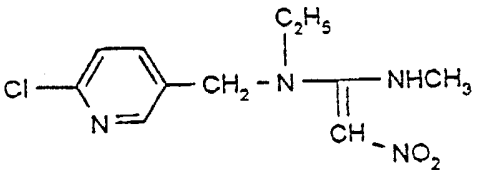


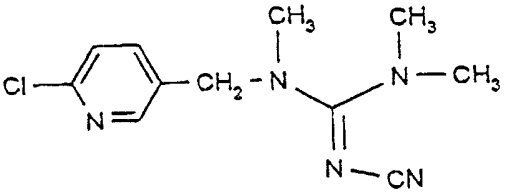


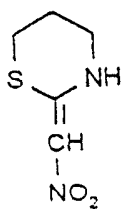


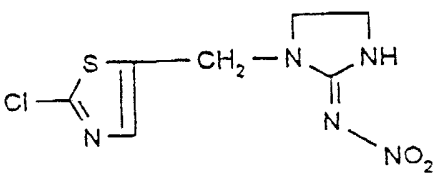












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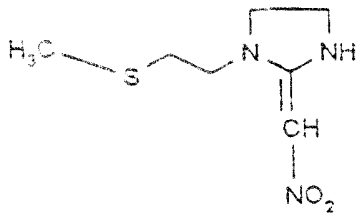

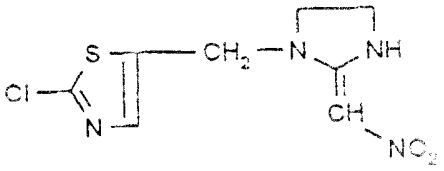

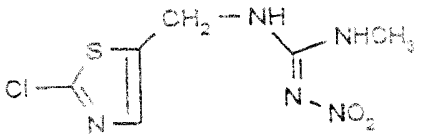

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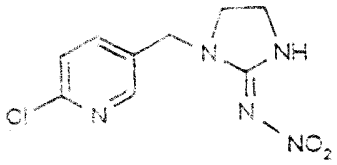
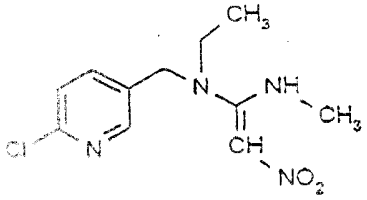
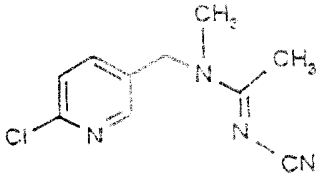
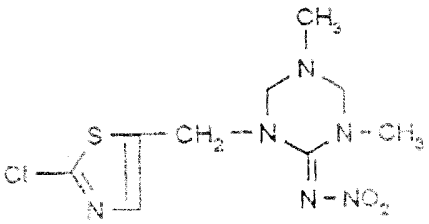
Particularly preferred are the following compounds:

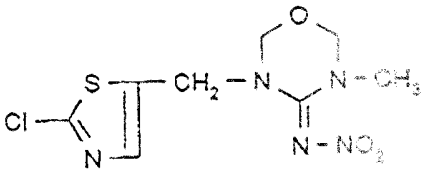


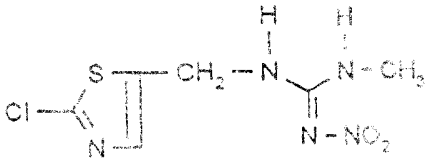




10 Imidacloprid Nitenpyram Acetamiprid







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Locusts to be combated by the present method are those of the order of orthoptera and family of acrididae especially mentioned Locustana pardalina.

The carrier material on which the inventive baits are based is preferably cereal bran such as wheat bran or shredded cereal or corn or meal of lucerne. But other edible carrier attractive to locusts might serve as well.

The bait formulations may be produced in known manner, for example by mixing the active compounds with the carrier material, optionally with the use of surface-active agents, that is to say emulsifying agents and/or dispersing agents. In the case of the use of water as an extender, organic solvents can, for example, also be used as auxiliary solvents. The active compounds might be used as such or in the form of solutions or suspensions in liquid solvents. As such are suitable in the main, aromatic hydrocarbons, such as xylene, toluene or alkyl naphthalenes, chlorinated aromatic or chlorinated aliphatic hydrocarbons, such as chlorobenzenes, chloroethylenes or methylene chloride, aliphatic hydrocarbons, such as cyclohexane or paraffins, for example mineral oil fractions, alcohols, such as butanol or glycol as well as their ethers and esters, ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone, or strongly polar solvents, such as dimethylformamide and dimethyl-sulphoxide, as well as water.

As emulsifying agents there may be used non-ionic and anionic emulsifiers, such as polyoxyethylene-fatty acid esters, polyoxyethylene-fatty alcohol ethers, for example alkylaryl polyglycol ethers, alkyl sulphonates, alkyl sulphates, aryl sulphonates as well as albumin hydrolysis products. Dispersing agents include, for example, lignin sulphite waste liquors and methylcellulose.

Adhesives such as carboxymethylcellulose and natural and synthetic polymers in the form of powders, granules or latices, such as gum arabic, polyvinyl alcohol and polyvinyl acetate, can be used in the formulation.

It is possible to use colorants such as inorganic pigments, for example iron oxide, titanium oxide and Prussian Blue, and organic dyestuffs, such as alizarin dyestuffs, azo dyestuffs or metal phthalocyanine dyestuffs.

The active compounds are present in the baits in a concentration range of 0.25-5 %, preferably of 0.25-1.0 %, most preferably of about 0.5 % by weight.

The carrier material is present in 90-99.75 % making up the remainder of active compound and other formulation additives up to 100 %.

The formulation additives are present up to 5 % by weight.

The bait material is brought out on the soil, preferably in the form of a strip or band of about 20-40 cm wide. It could be uniformly distributed broadcast over an area.

The application rate of the bait material is about 200 g - 2000 g per 100 m strip, preferably 500 g - 1000 g per 100 m strip.

The active compound application rate is about 0.1 g - 100 g per 100 m strip, preferably 0.5 - 20 g per 100 m strip, most preferably 1 - 10 g per 100 m strip, especially mentioned are about 5 g per 100 m strip.

In the following we give examples of bait material according to the present invention:

Example 1

Imidacloprid	2.5 g
Wheat bran	1 kg

Example 2

Imidacloprid	10 g
Wheat bran	1 kg

Example 3

Imidacloprid	2.5 g
standard lucerne meal (milled very fine)	1 kg

The formulations showed activity in the following test system.

Patent Claims

1. Use of agonists or antagonists of nicotinergic acetylcholin receptors of insects in the control of locust species from the insect order of orthoptera and family of acrididae.

2. Use of agonists or antagonists of nicotinergic acetylcholin receptors of insects in the control of locust species from the insect order of orthoptera and family of acrididae in the form of baits comprising the active compounds and bran, meal or remainder from processing of cereal, grain, corn or lucerne and optionally usual formulating additives.

3. Use of agonists or antagonists of nicotinergic acetylcholin receptors of insects in the control of locust species from the insect order of orthoptera and family of acrididae in the form of bait material which is applied as a strip or band on the surface of the soil in an area which has to be protected from locust attack.

4. Use according to claim 1 where the bait is applied in the form of a band about 20-40 cm wide and an application rate of 200 g - 2000 g per 100 meters.

5. Use according to claim 1 where bait is applied in the form of a band about 20-40 cm wide and the active compound is used in an application rate of about 0.5-20 g per 100 meters.

6. Bait for use of agonists or antagonists of nicotinergic acetylcholin receptors of insects in the control of locust species from the insect order of orthoptera and family of acrididae characterized that it comprises the active compounds and bran, meal or remainder from processing of cereal, grain, corn or lucerne and optionally usual formulation additives.

7. Bait according to claim 6 characterized that in contains active compound in a concentration of 0.25-5 % by weight and the carrier in a concentration of 90-99.75 % by weight and optional formulation additives up to 5 % by weight.