

**METHOD FOR CONTROLLING A POPULATION
OF SOCIAL INSECTS WITH 1-ARYLPYRAZOLES OR
1-HETEROARYLPYRAZOLES**

The subject of the present invention is a
5 method for controlling a population of social insects,
especially ants, wasps and cockroaches (or *Blatta* spp.)

It is often very desirable to combat the
drawbacks caused by populations of social insects such
as ants or wasps or cockroaches (or *Blatta* spp.),
10 especially in the case of ant populations. Social
insects are insects which live in a large society, or
in a colony comprising a large number of such insects
or congeners.

In the case of ants, for example, these
15 drawbacks generally stem from the inconvenience caused
to individuals by the presence or passage of columns of
ants in living areas or in the immediate vicinity
thereof, such as in the garden or on the patio. The
passage of such columns of ants on the lawn next to a
20 private house may especially be particularly unpleasant
for the resident wishing to relax by stretching out on
the said lawn, on account of the bites inflicted by
certain species.

The control of ants is also desirable as
25 regards the cultivation of fruit trees and/or
ornamental trees. The reason for this is that certain
species of ant provide a role of defending aphids

against their predators and thus contribute towards maintaining high populations of aphids, which are harmful to the good health of the trees concerned and/or to fruit yields.

5 Certain species of ant sometimes cause even greater inconvenience. Thus, the pharaoh ant (*Monomorium pharaonis*) may create anthills even inside living areas, which, in the case of blocks of flats and especially of hospitals, poses hygiene problems.

10 Now, the inconvenience and/or damage caused by social insects such as ants or wasps or cockroaches (or *Blatta* spp.), and preferably ants or cockroaches (or *Blatta* spp.), are in direct proportion with the sometimes very large number which a population of such
15 insects may reach, for example, in the case of ants, the very large number of individuals in the population of an anthill.

 Methods for controlling ants or wasps or cockroaches (or *Blatta* spp.) using insecticidal
20 compounds are known. However, these methods are not always satisfactory. The reason for this is that they often destroy only a small portion of the population concerned, for example, in the case of ants, a fraction of the workers whose function is to collect food
25 outside the anthill. The destruction of this population category is not, however, sufficient to overcome the drawbacks caused by the ants. Indeed, the large capacity of ants to proliferate and their

specialization based on the needs of the anthill are capable of rapidly compensating for this destruction, bringing about a new increase in the population.

The known methods moreover have the drawback
5 that it is very difficult to treat all the individuals of the population, especially on account of the fact that, as regards ants, the anthills are fairly inaccessible, since they are generally located at a depth of several tens of centimetres below the surface
10 of the ground.

One aim of the present invention is to overcome these drawbacks.

Another aim of the present invention is to ensure the destruction of the larvae present in the
15 anthill, or more generally in the nest or dwelling place of the said social insects.

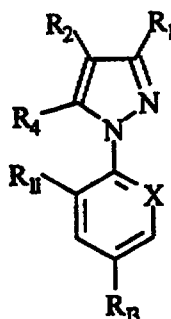
Another aim of the present invention is to ensure the destruction of the laying females present in the anthill, or more generally in the nest or dwelling
20 place of the said social insects.

Another aim of the present invention is to propose a method which allows the definitive destruction of all or virtually all of a population of social insects such as ants or wasps or cockroaches (or
25 *Blatta* spp.), preferably such as ants or cockroaches (or *Blatta* spp.).

It has now been found that these aims could be totally or partly achieved by means of the control

method according to the invention which is described in detail below.

The subject of the present invention is thus a method for controlling a population of social insects such as ants or wasps or cockroaches (or *Blatta* spp.), characterized in that an effective amount of a composition comprising a bait and a compound of formula (I):



(I)

in which:

10 R₁ is a halogen atom or a CN or methyl group;

R₂ is S(O)_nR₃;

R₃ is alkyl or haloalkyl;

R₄ represents a hydrogen or halogen atom; or a radical NR₅R₆, S(O)_nR₇, C(O)R₇ or C(O)O-R₇, alkyl, haloalkyl or OR₈ or a radical -N=C(R₉)(R₁₀);

15 R₅ and R₆ independently represent a hydrogen atom or an alkyl, haloalkyl, C(O)alkyl or S(O)_nCF₃ radical; or R₅ and R₆ may together form a divalent alkylene radical which may be interrupted by one or two
20 divalent hetero atoms, such as oxygen or sulphur;

R_7 represents an alkyl or haloalkyl radical;

R_8 represents an alkyl or haloalkyl radical or a hydrogen atom;

R_9 represents an alkyl radical or a hydrogen atom;

R_{10} represents a phenyl or heteroaryl group optionally substituted with one or more halogen atoms or groups such as OH, -O-alkyl, -S-alkyl, cyano or alkyl;

R_{11} and R_{12} represent, independently of each other, a hydrogen or halogen atom;

R_{13} represents a halogen atom or a haloalkyl, haloalkoxy, $S(O)_qCF_3$ or SF_5 group;

m , n , q and r represent, independently of each other, an integer equal to 0, 1 or 2;

X represents a trivalent nitrogen atom or a radical C- R_{12} , the other three valency positions of the carbon atom forming part of the aromatic ring;

with the proviso that when R_1 is methyl, then R_3 is haloalkyl, R_4 is NH_2 , R_{11} is Cl, R_{13} is CF_3 and X is N.

The alkyl radicals of the definition of the formula (I) generally comprise from 1 to 6 carbon atoms. The ring formed by the divalent alkylene radical representing R_5 and R_6 , as well as by the nitrogen atom to which R_5 and R_6 are attached, is generally a 5-, 6- or 7-membered ring.

A preferred class of compounds of formula (I)

comprises the compounds where R_1 is CN and/or R_3 is haloalkyl and/or R_4 is NH_2 and/or R_{11} and R_{12} are, independently of one another, a halogen atom and/or R_{13} is haloalkyl.

5 Populations of ants are more especially preferred among the populations of social insects which may be controlled using the method according to the invention.

 In the sense of the present invention,
10 control of a population of social insects such as ants, wasps or cockroaches is understood to mean the control of the said insects, and more particularly the total or virtually total destruction of the said population, in other words the destruction of more than 60%,
15 preferably more than 70% and even more preferably of 95 to 100%, of the said population.

 An effective amount of the composition used in the method according to the invention is understood to mean an amount which is capable of controlling the
20 whole population of social insects such as a population of ants or wasps or cockroaches (or *Blatta* spp.).

 More particularly, the invention relates to a method for treating social insects such as ants, cockroaches or wasps with an effective amount of active
25 material of formula (I), this effective amount of composition being an amount used equal to the dose required to destroy at least 90% of the minor fraction of the population of social insects to which the said

composition is applied, within a period of between 2 and 30 days, preferably between 2 and 7 days. The minor fraction often corresponds in practice to the population living or circulating outside the common dwelling place or nest.

According to a more preferred variant of the invention, when the population of social insects is a population of ants, the effective amount of composition used for the method according to the invention is generally such that the dose of compound of formula (I) is between 0.05 and 50 mg per anthill treated, preferably from 0.1 to 20 mg. This effective amount may be determined more precisely within this range by systematic tests, depending on the species of ant whose population it is desired to control, and also depending on the size and extent of the anthills which may vary according to the nature of these species.

The invention thus also relates to a method for controlling social insects such as ants, wasps or cockroaches (but preferably cockroaches or *Blatta* spp.) which have a common dwelling place or nest in which they live with a substantial population of their congeners, the said method comprising a treatment with an effective dose, preferably a dose of between 0.0001 and 20 grams per 100 m², of one or more areas frequented by, or assumed to be frequented by, the said social insects (preferably cockroaches), the said area being outside the place of the said common dwelling but being

a place in which the cockroaches circulate or are assumed to circulate.

The ants which may be controlled using the method according to the invention are especially:

- 5 - ants of the genus *Lasius*, for example the black ant (*Lasius niger*);
- the pavement ant (*Tetramorium caespitum*);
- the pharaoh ant (*Monomorium pharaonis*);
- the Argentine ant (*Iridomyrmex humilis*);
- 10 - fire ants belonging to the genus *Solenopsis*;
- fungal ants, such as the ants of the genus *Acromyrmex* (for example the cassava ant) and the ants of the genus *Atta*.

15 The cockroaches which may be treated by the method of the invention are mainly *Blatella germanica*, *Blatella orientalis*, *Periplaneta americana*, *Periplaneta fuliginosa*.

 The compound of formula (I) may be prepared
20 according to one of the methods described in Patent Applications WO 87/3781, 93/6089, 94/21606, and EP 295,117 or alternatively by another method from within the general experience of those skilled in the art competent in chemical synthesis. This compound is
25 also referred to in the remainder of the present text by the term active material.

 The bait employed in the composition used in the method according to the invention is a product

which is sufficiently appetizing to incite social insects such as ants or wasps or cockroaches (or *Blatta* spp.) to eat it. In the case of ants, this bait is chosen, for example, but not exclusively, from animal and/or plant proteins, or alternatively from fats, also
5 of animal and/or plant origin, or even from mono-, oligo- or polyorganosaccharides, especially from sucrose, lactose, fructose, dextrose, glucose or even molasses or honey.

10 According to a particularly advantageous variant of the invention, the compound of formula (I) used in the invention is 5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-
[(trifluoromethyl)sulphonyl]-1H-pyrazole.

15 The minor fraction of the population to which the composition employed in the method according to the invention is applied is generally between 1 and 50% of the total population, preferably between 2 and 20%.

 According to a preferred variant of the
20 invention, the population of ants which may be controlled using the method according to the invention is a population of ants living in the same anthill. In this case, the minor fraction of the population to which the composition is applied generally consists of
25 workers whose function is to collect food from outside the anthill, these being known as the harvester workers of the anthill.

 According to another preferred variant of the

invention, the ant or cockroach population which can be controlled by means of the method according to the invention is a population of cockroaches living in the same common dwelling place for cockroaches.

5 The dose of compound of formula (I) in the composition used is between 0.0005 and 0.5%, preferably between 0.001 and 0.2%. In the present text, the percentages corresponding to doses are, except where otherwise mentioned, weight/weight percentages.

10 The dose of bait in the composition used is generally between 1 and 99%, preferably between 30 and 99%. The composition used may also comprise other additives such as a solvent for the active material, a flavouring, a preserving agent, a dye or a bitter
15 agent.

 According to a particularly advantageous variant of the method according to the invention, it is preferred to apply the composition by placing it in a closed bait-carrier box containing openings which are
20 reserved, on account of their size, for the exclusive use of ants or *Blatta* spp., or insects of similar size, in an area where these insects are likely to be found. The area may especially be in a public or private place, such as a living area, or alternatively on a
25 balcony, a patio, in a garden or in a field. This variant is of improved safety, since it concerns an active material which is liable to present a risk in the case of accidental contact or ingestion by pets or children.

The invention as described in the present application also applies to termites, but is preferred for ants, cockroaches and wasps.

In the examples which follow the compound of
5 formula (I) used is 5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-
[(trifluoromethyl)sulphinyl]-1H-pyrazole, referred to as compound A.

Example 1:

10 A watch glass containing 10 g of a 0.05% dispersion of compound A in honey is placed 20 cm from the entrance to an active anthill. The ants are of the species *Lasius niger*, for which the fraction of workers whose function is to collect food is in the region of
15 10% of the total population. The total population is estimated by a count carried out on an untreated anthill, referred to as the control, containing 10,000 individuals.

During the first 2 days, it is observed that
20 the ants head in a column from the anthill to the watch glass, stop to take up the dispersion and then return to the anthill.

After 15 days, no further surface activity is observed around the anthill. An update on the latter is
25 then obtained by excavation.

A destruction rate of greater than 99% is observed.

In particular, all the larvae have been

destroyed.

Example 2:

Tests are carried out on *Blatella Germanica* cockroaches in boxes 36 x 24 x 14 cm in size. These
5 boxes comprise a source of water, a shelter consisting of piled pieces of cardboard, and additional food consisting of biscuit pieces.

15 cockroaches are introduced into the box without bait.

10 After 24 hours, a 2.7 g pellet of bait with 1.35 mg of product of formula (I) is introduced into the box.

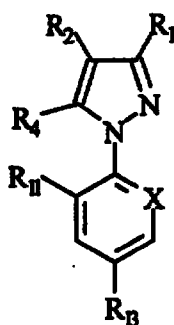
After a further 8 hours, the bait and the additional food are removed and 15 new healthy
15 cockroaches are introduced.

64 hours after the introduction of the bait (ie. 56 hours after removing the bait and introducing new cockroaches), 98.6% of the cockroaches are dead. The level is 100% after 88 hours.



CLAIMS

1. Method for controlling a population of social insects such as ants or wasps or cockroaches (or *Blatta* spp.), characterized in that an effective amount
5 of a composition comprising a bait and a compound of formula (I):



(I)

in which:

R_1 is a halogen atom or a CN or methyl group;

R_2 is $S(O)_x R_3$;

10 R_3 is alkyl or haloalkyl;

R_4 represents a hydrogen or halogen atom; or a radical $NR_5 R_6$, $S(O)_x R_7$, $C(O)R_7$ or $C(O)O-R_7$, alkyl, haloalkyl or OR_8 or a radical $-N=C(R_9)(R_{10})$;

15 R_5 and R_6 independently represent a hydrogen atom or an alkyl, haloalkyl, $C(O)$ alkyl or $S(O)_x CF_3$ radical; or R_5 and R_6 may together form a divalent alkylene radical which may be interrupted by one or two divalent hetero atoms, such as oxygen or sulphur;

R_7 represents an alkyl or haloalkyl radical;

20 R_8 represents an alkyl or haloalkyl radical or



a hydrogen atom;

R_9 represents an alkyl radical or a hydrogen atom;

R_{10} represents a phenyl or heteroaryl group
5 optionally substituted with one or more halogen atoms or groups such as OH, -O-alkyl, -S-alkyl, cyano or alkyl;

R_{11} and R_{12} represent, independently of each other, a hydrogen or halogen atom;

10 R_{13} represents a halogen atom or a haloalkyl, haloalkoxy, $S(O)_qCF_3$ or SF_5 group;

m, n, q and r represent, independently of each other, an integer equal to 0, 1 or 2;

X represents a trivalent nitrogen atom or a
15 radical C- R_{12} , the other three valency positions of the carbon atom forming part of the aromatic ring;

with the proviso that when R_1 is methyl, then R_2 is haloalkyl, R_4 is NH_2 , R_{11} is Cl, R_{13} is CF_3 and X is N.

20 2. Method according to claim 1, characterized in that the controlled population of social insects is a population of ants.

3. Method according to claim 1, characterized in that the controlled population of
25 social insects is a population of cockroaches.

4. Method for controlling social insects such as ants, wasps or cockroaches (but preferably cockroaches or *Blatta* spp.) which have a common



dwelling place or nest in which they live with a substantial population of their congeners, the said method comprising a treatment with an effective dose, preferably a dose of between 0.0001 and 20 grams per 5 100 m², of one or more areas frequented by, or assumed to be frequented by, the said social insects, the said area being outside the place of the said common dwelling but being a place in which the cockroaches circulate or are assumed to circulate.

10 5. Method according to one of the preceding claims, characterized in that the effective amount of composition used is an amount which is capable of controlling the whole population of social insects.

 6. Method according to one of the preceding 15 claims, characterized in that the effective amount of composition used is equal to the dose required to destroy at least 90% of the minor fraction of the population of social insects to which said composition is applied, in a time between 2 and 30 days, preferably 20 between 2 and 7 days.

 7. Method according to one of the preceding claims, characterized in that the effective amount of composition used for the method according to the invention is such that the dose of compound of formula 25 (I) is between 0.05 and 50 mg per anthill treated, preferably from 0.1 to 20 mg.

 8. Method according to one of the preceding claims, characterized in that the effective amount of



composition used for the method according to the invention is such that the dose of compound of formula (I) is between 0.0001 and 20 g per 100 m².

9. Method according to one of the preceding
5 claims, characterized in that the bait employed is chosen from animal and/or plant proteins, or alternatively from fats, also of animal and/or plant origin, or even from mono-, oligo- or polyorganosaccharides, especially from sucrose,
10 lactose, fructose, dextrose, glucose or even molasses or honey.

10. Method according to one of the preceding claims, characterized in that the compound of formula (I) used is 5-amino-3-cyano-1-[2,6-dichloro-4-
15 (trifluoro-methyl)phenyl]-4-[(trifluoromethyl)sulphonyl]-1H-pyrazole.

11. Method according to one of the preceding claims, characterized in that the composition is applied to a fraction of the population which is
20 between 1 and 40% of the total population, preferably between 2 and 20%.

12. Method according to one of the preceding claims, characterized in that the controlled population of ants is a population of ants living in the same
25 anthill.

13. Method according to claim 10, characterized in that the minor fraction of the population to which the composition is applied consists



of harvester workers from the anthill.

14. Method according to one of the preceding claims, characterized in that the dose of compound of formula (I) in the composition is between 0.0005 and
5 0.5%, preferably between 0.001 and 0.2%.

15. Method according to one of the preceding claims, characterized in that the dose of bait in the composition is between 1 and 99%, preferably between 30 and 99%.

10 16. Method according to one of the preceding claims, characterized in that the composition is applied by placing it in a closed bait-carrier box containing openings which are reserved, on account of their size, for the exclusive use of ants or *Blatta*
15 spp., in an area where these are likely to be found.

17. Method according to claim 16, characterized in that the area is in a public or private place, such as a living area, or alternatively on a balcony, a patio, in a garden or in a field.