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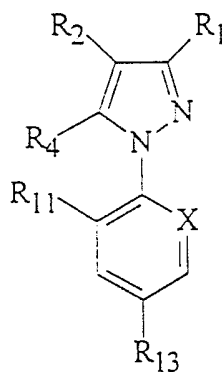
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(54) Title: Pesticidal Composition

(57) Abstract: 1. A composition comprising a compound of formula (I):



(I)

and a fruit component. A method of controlling ants.

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Pesticidal Composition

The present invention relates to a new pesticidal composition and a method of using the composition.

5 The problem of ant control is a particularly ubiquitous one in most regions of the world. Either ants can be a nuisance by the invasion of buildings or attack of foodstuffs, or ants can destroy agricultural resources. Particularly the problem of ant control by the use of non-repellent baits is an acute one with respect to leaf-cutting ants.

10 It is also a problem to prepare pesticidal formulations that are increasingly environmentally innocuous. It is highly advantageous to distribute a pesticide in a form in which the formulation may serve as a proper dispersant and then disappear by substantially quick degradation.

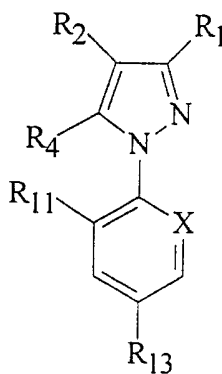
15 It is an object of the present invention to provide a new formulation of a pesticide.

Another object of the present invention is to provide a substantially non-repellent bait for ants, particularly leaf-cutting ants.

Another object of the present invention is to provide a formulation that is favorable to the environment.

20 These objects are met in whole or in part by the present invention.

The present invention provides a composition comprising a compound of formula (I):



(I)

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wherein:

R_1 is CN or methyl or a halogen atom;

R_2 is $S(O)_nR_3$ or 4,5-dicyanoimidazol 2-yl or haloalkyl;

R_3 is alkyl or haloalkyl;

- 5 R_4 is selected from the group consisting of hydrogen, halogen, $-NR_5R_6$, $-C(O)OR_7$, $-S(O)_mR_7$, alkyl, haloalkyl, $-OR_8$, $-N=C(R_9)(R_{10})$ and $-C(O)alkyl$;

R_5 and R_6 are independently selected from a hydrogen atom, alkyl, haloalkyl, $-C(O)alkyl$, $-C(O)OR_7$, $-S(O)_rCF_3$; or R_5 and R_6 form together a divalent alkylene radical which may be interrupted by one or more heteroatoms,

- 10 preferably selected from oxygen, nitrogen and sulfur;

R_7 is selected from alkyl and haloalkyl;

R_8 is selected from alkyl, haloalkyl and hydrogen;

R_9 is selected from hydrogen and alkyl;

R_{10} is selected from phenyl or heteroaryl each of which is unsubstituted or substituted

- 15 by one or more hydroxy, halogen, $-O-alkyl$, $-S-alkyl$, cyano, or alkyl or combinations thereof;

X is selected from nitrogen and $-C-R_{12}$;

R_{11} and R_{12} are independently selected from halogen or hydrogen or CN or NO_2 ;

- 20 R_{13} is selected from halogen, haloalkyl, haloalkoxy, $-S(O)_qCF_3$, $-SF_5$;

m , n , q , r are independently selected from 0, 1, and 2;

provided that when R_1 is methyl, R_3 is haloalkyl, R_4 is NH_2 , R_{11} is Cl, R_{13} is CF_3 ;

and X is N and provided that when R_2 is 4, 5-dicyanoimidazol 2-yl, R_4 is Cl,

R_{11} is Cl, R_{13} is CF_3 , and X is $=C-Cl$ and

- 25 a fruit component, the ratio by weight of the compound of formula (I) to the total composition being from $10^{-7}:1$ to $10^{-3}:1$.

The alkyl and alkoxy groups and moieties thereof of the formula (I) are preferably lower alkyl and alkoxy groups, that is, groups having one to six carbon atoms. The haloalkyl and haloalkoxy groups likewise preferably have one to four
30 carbon atoms. The haloalkyl and haloalkoxy groups can bear one or more halogen

atoms; preferred groups of this type include $-CF_3$ and $-OCF_3$. It shall be understood that the ring formed by the divalent alkylene radical represented by R_5 and R_6 and including the nitrogen atom to which R_5 and R_6 are attached is generally a 5, 6, or 7-membered ring. When R_{10} is heteroaryl, it is preferably pyridyl, most preferably 2-pyridyl. It will be understood that the 1-arylpyrazoles of formula (I) include enantiomers and/or diastereomers thereof.

Compounds of formula (I) may be prepared according to known processes, for example as described in International Patent Publications WO 87/3781, 93/6089, and 94/21606 as well as in European Patent Applications 295117, 403300, 385809 or 679650, German Patent Publication 19511269 and United States Patents 5232940 and 5236938 or other process according to the knowledge of a man skilled in the art of chemical synthesis, which is deemed to include the Chemical Abstract and the literature referred to therein.

Preferably the compound of formula (I) has one or more of the following features:

R_1 is CN;

R_4 is $-NR_5R_6$;

R_5 and R_6 are independently selected from the hydrogen atom, alkyl, haloalkyl, $C(O)alkyl$, $C(O)OR_7$;

X is $C-R_{12}$; or

R_{13} is selected from a halogen atom, haloalkyl, haloalkoxy, and $-SF_5$.

A preferable group of compounds of the composition is that wherein:

R_1 is CN;

R_3 is a haloalkyl radical;

R_4 is NH_2 ;

X is $C-R_{12}$;

R_{11} and R_{12} represent, independently of one another, a halogen atom; and

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R_{13} is a haloalkyl radical.

Most preferably the compound of formula (I) of the composition is 5-amino-3-cyano-1-(2,6-dichloro-4-trifluoromethylphenyl)-4-trifluoromethylsulfinylpyrazole, hereafter designated as Compound (A).

5 The composition comprises from about 0.1 g to 1000 g of the compound of formula (I) per metric ton of the total composition, preferably 1 g to 200 g per metric ton, more preferably from 1 to 100g per metric ton, even more preferably from 10 to 100g per metric ton, most preferably from 20 to 50 g per metric ton. In other words, the range of the ratio of the compound of formula (I) to the total
10 composition is from about 10^{-7} :1 to about 10^{-3} :1, preferably from 10^{-6} :1 to about 2×10^{-4} :1, preferably from about 10^{-6} :1 to about 10^{-4} :1, and most preferably from about 10^{-5} :1 to about 10^{-4} :1.

 The fruit component is preferably an orange component. The orange component of the composition generally comprises the parts of the orange after the
15 juice has been substantially removed, that is to say after the orange has been substantially squeezed; or in other words, a bagasse of orange. Generally the removal of juice is in a juicing process employed in commercial production of orange juice. The orange component of the invention generally comprises the skin and pulp of the orange. It preferably comprises the dried residue of the orange or an orange that is
20 substantially dried.

 It has been found that the most preferred fruit component that may be used in the present invention is that which is substantially free of an insecticide other than that of formula (I). Preferably, the fruit component is substantially free of a pyrethrin or a pyrethroid insecticide. By the term substantially free is meant fruit components which
25 have a level of insecticide or insecticide residue which is generally undetectable by ants. Preferably, the insecticide constitutes by weight from 1 part per billion (ppb) to 10 parts per million (ppm), preferably from 2 ppb to 1000 ppb, more preferably from 10 ppb to 100 ppb. By the term ppb is meant parts per 1,000,000,000, or in other words, the term as defined in the United States of America.

 Most preferably, the fruit from which the fruit component is obtained are
30 grown using no pesticide, that is generally no insecticide, herbicide, or fungicide. If a pesticide is used, then it is preferred that there be a lengthy pre-harvest interval (PHI) from the time of the last pesticide application until harvest. Preferably the PHI is

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from 1-4 months, preferably from 1 to 6 months and most preferably from 1 to 8 months..

The amount of the fruit component is as a weight percentage of the total composition is from about 50% to about 99.9%, preferably from about 60% to about 98%, most preferably from about 80% to about 95%.

The composition also comprises an aggregant, preferably an oil, preferably a vegetable oil. A vegetable oil is that which is derived from plants. Vegetable oils that may be utilized in the composition include soybean oil, corn oil, rapeseed oil (or canola oil) and peanut oil. The weight/weight percentage of the oil is from about 0.01% to about 30%, preferably from 0.5% to about 30%, more preferably from about 1% to about 15%, even more preferably from about 1% to about 10%, most preferably from 1% to 5%.

The composition of the present invention optionally comprises a starch component. The starch component generally is a farinaceous product which includes a ground corn product, wheat bran, cotton bran, rice bran, peanut, soy, rye, or other cereals, or mixtures thereof. Preferably the starch component is a ground corn product.

Ground corn products that may be used according to the present invention include: cornmeal, corn flour, grits (also known as ground hominy corn without germ), creme de milho (which translated from Brazilian Portuguese generally means creme of corn); fubá (which translated from Brazilian Portuguese generally includes cornmeal and/or cornflour).

Generally the amount of the starch component is from 0.01% to 40% by weight of the total composition, preferably from 0.1% to 25%, most preferably from 1% to 20%.

The composition is advantageously used as a granule or granules or pellets. Generally, in use, the granule is capable of being carried by a leaf cutter ant from the site of placement, the granule being generally cylindrical, about 1-4 mm in diameter preferably about 2 mm in diameter, and from 3-10 mm in length, preferably about 7 mm in length. The granule or pellet of the invention is substantially dustless. By substantially dustless is meant having particles that do not rub off on the human

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hand when rubbed. Generally the pellets have less than 1% by weight of dust of the mixture of the invention.

The present invention also provides for a new process by which to make a granule for ant baits which comprises the steps of:

- 5 a) preparing a generally homogeneous mixture of the compound of formula (I) in the oil;
- b) mixing the product of step a and the fruit component;
- c) pelleting of the final mixture.

Optionally, the process may further comprise a step b1 in which the starch
10 component is added and mixed with the fruit component before the product of step a) is mixed.

The process of the present invention generally is effected at a temperature from ambient temperature to 100°C.

In the final pelleting step, the mixture of steps a and b is generally effected by
15 loading the said mixture into a compressing and extruding device which produces the pellets of the invention.

The process of the invention also may optionally include a cooling step after the pelleting step which allows the pellets of the invention to cool to ambient temperature.

20 The present invention also provides a commercial product which comprises the composition of the invention. In a particularly preferred aspect of the product, there is provided a bag which contains from 5 to 50 grams of the composition of the invention, preferably from 7 to 20 grams of the composition. The bag is generally a plastics bag which sealably contains the composition. In general the bag is a sealed
25 sachet, preferably a sachet of polyethylene which is capable of being cut by leaf-cutter ants. Generally the thickness of the bag is from 10 to 100 microns thick, preferably from 20 to 50 microns thick, most preferably from 25-40 microns thick.

The invention also relates to a method of controlling ants, particularly leaf-cutter ants by treatment of a locus with a composition or a product as defined supra.
30 The locus generally comprises an area where the said ants are moving or are expected to move. The area to be treated includes orchards, plantations, nurseries, forests, leaf-cutter ant trails, fields where field crops grow, and other areas known to those skilled

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in the art of control of leaf-cutter ants. Preferably the area to be treated comprises a leaf-cutter ant trail outside the area of the ant nest. More specifically an application of the composition is in a region of from 1 mm to 50 cm from the trail or within 50 cm of a passage in the earth which leads to the nest.. The ant nest is generally known to those skilled in the art as an ant mound or a series of ant mounds that are comprised by the nest. Most advantageously, the composition is placed just outside the trails in individual doses of the composition, and generally spaced from each other so as to allow the leaf cutter ants various opportunities to take the composition to the nest.

Generally the amount of formulated product to be delivered to the locus is from about 0.01 to 50 milligrams per square meter, preferably from 0.1 to 10 mg/m² more preferably from 0.2 to 1 mg/m².

The method of controlling ants, particularly leaf-cutting ants may also be effected by systematically distributing the sachets of the invention in a systematic way over a large area of forest. This particular embodiment of the invention is generally known as the MIPI method in Brazil.

The following non-limiting examples illustrate the invention.

EXAMPLE 1

Nine compositions, sixty grams (60g) each, of the invention are made in the following manner by:

- a. dissolving 1.8 mg of Compound A in the soybean oil;
- b. mixing of the ground orange pulp and cornmeal;
- c. mixing to a generally homogeneous point the product of steps a and b;
- d. pelleting of the final mixture in a compression and extrusion device;
- e. cooling the pellets.

The percentages of the ingredients are listed in Table 1. All the pellets have a final concentration of about 30 ppm by weight (0.003% by weight), the total amount of the ingredients adding to 100%. The resulting cylindrical pellets are generally about 7 mm long and 2 mm in diameter. Generally, the pellets of the invention are acceptable for long term storage in that they do not absorb large amounts of water; are

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substantially dustless and are generally heat-resistant and do not fragment substantially. Compositions 2, 3 and 5 in table 1 below are generally preferred.

TABLE 1

Composition	% weight of cornmeal	%weight of orange bagasse	% weight of soybean oil
1	20	75	5
2	5	90	5
3	-	95	5
4	20	76,5	3,5
5	5	91,5	3,5
6	-	96,5	3,5
7	20	78,5	1,5
8	5	93,5	1,5
9	-	98,5	1,5

Example 2

The pellets of Example 1 are dispersed around, the entrance of, a leaf-cutter ant nest which is about 50 m² in surface area, at a rate of about 10 g/m² (that is about 0.30 mg/m² of the active ingredient) in about 10 gram amounts as a small hill of bait. The granules are placed just along the side of (about 5 cm from) the trails of the ants. After about 72 hours days there is substantially no activity around the nest. There is little to no rejection of the bait by the ants.

Example 3:

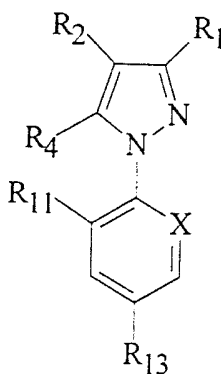
Sealed polyethylene sachets of about 30 micron wall thickness containing 10 grams of a composition of Example 1 are distributed in a Eucalyptus forest infested with leafcutter ants in a density of about one sachet per 50 m² to 100 m². After two weeks, ant activity and feeding on the Eucalyptus trees is reduced by 70 to 90%.

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CLAIMS

1. A composition comprising a compound of formula (I):

5



(I)

wherein:

R₁ is CN or methyl or a halogen atom;

R₂ is S(O)_nR₃ or 4,5-dicyanoimidazol 2-yl or haloalkyl;

10 R₃ is alkyl or haloalkyl;

R₄ is selected from the group consisting of hydrogen, halogen, -NR₅R₆, -C(O)OR₇, -S(O)_mR₇, alkyl, haloalkyl, -OR₈, -N=C(R₉)(R₁₀) and -C(O)alkyl;

R₅ and R₆ are independently selected from a hydrogen atom, alkyl, haloalkyl, -C(O)alkyl, -C(O)OR₇, -S(O)_rCF₃; or R₅ and R₆ form together a divalent

15 alkylene radical which may be interrupted by one or more heteroatoms, preferably selected from oxygen, nitrogen and sulfur;

R₇ is selected from alkyl and haloalkyl;

R₈ is selected from alkyl, haloalkyl and hydrogen;

R₉ is selected from hydrogen and alkyl;

20 R₁₀ is selected from phenyl or heteroaryl each of which is unsubstituted or substituted by one or more hydroxy, halogen, -O-alkyl, -S-alkyl, cyano, or alkyl or combinations thereof;

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X is selected from nitrogen and -C-R₁₂;

R₁₁ and R₁₂ are independently selected from halogen or hydrogen or
CN or NO₂;

R₁₃ is selected from halogen, haloalkyl, haloalkoxy, -S(O)_qCF₃, -SF₅;

5 m, n, q, r are independently selected from 0, 1 and 2;

provided that when R₁ is methyl, R₃ is haloalkyl, R₄ is NH₂, R₁₁ is C1, R₁₃ is CF₃,

and X is N and provided that when R₂ is 4, 5-dicyanoimidazol 2-yl, R₄ is C1,

R₁₁ is C1, R₁₃ is CF₃, and X is =C-C1; and

a fruit component, the ratio by weight of the compound of formula (I) to the

10 total composition being from 10⁻⁷:1 to 10⁻³:1.

2. A composition according to Claim 1 wherein the fruit component is
an orange component.

15 3. A composition according to Claim 2 wherein the orange component
comprises the peel and/or pulp of the orange, preferably wherein the orange
component is in the form of a bagasse.

4. A composition according to any one of the foregoing claims
20 wherein the compound of formula (I) has one or more of the following features:

R₁ is CN;

R₄ is -NR₅R₆;

R₅ and R₆ are independently selected from the hydrogen
atom, alkyl, haloalkyl, C(O)alkyl, C(O)OR₇;

25 X is C-R₁₂; or

R₁₃ is selected from a halogen atom, haloalkyl, haloalkoxy and -SF₅.

5. The composition according to any one of the foregoing claims wherein the compound of formula (I) is 5-amino-3-cyano-1-(2,6-dichloro-4-trifluoromethylphenyl)-4-trifluoromethylsulfinylpyrazole.

5

6. A composition according to any one of the foregoing claims wherein the amount of compound of formula (I) is from 1 g to 200 g per metric ton of the composition, preferably from 10 to 100 g per metric ton, most preferably from 20 g to 50 g per metric ton.

10

7. A composition according to any one of the foregoing claims that further comprises a vegetable oil, preferably soybean oil, peanut oil, rapeseed oil or corn oil, most preferably soybean oil.

15

8. The composition according to claim 7 wherein the amount of the oil is from 0.01% to about 30% by weight.

20

9. The composition according to any one of the foregoing claims which further comprises a starch component, preferably a farinaceous product.

10. The composition of claim 9 wherein the starch component is a ground corn product, preferably cornmeal.

25

11. A method of controlling leaf-cutter ants by treatment of a locus with a composition as defined in any one of claims 1-10.

12. A method according to Claim 11 wherein the locus comprises an area where leaf-cutter ants are moving or expected to move, preferably comprising a leaf-cutter ant trail.

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13. A commercial product comprising the composition of any one of claims 1-10 and utilized by the method according to any one of claims 11-12.

Dated this 15th day of OCTOBER, 1998

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PATENT AGENT FOR THE APPLICANT