

HU000027022T2



(19) **HU**

(11) Lajstromszám: **E 027 022**

T2

MAGYARORSZÁG Szellemi Tulajdon Nemzeti Hivatala

EURÓPAI SZABADALOM SZÖVEGÉNEK FORDÍTÁSA

(21) Magyar ügyszám: E 08 797800

(22) A bejelentés napja: 2008. 08. 13.

(96) Az európai bejelentés bejelentési száma: EP 20080797800

(97) Az európai bejelentés közzétételi adatai:

EP 2184989 A1

2009. 02. 19.

(97) Az európai szabadalom megadásának meghirdetési adatai: (87) A nemzetközi közzétételi szám:

EP 2184989 B1

2015.05.06.

A01P 5/00 (2006.01)(86) A nemzetközi (PCT) bejelentési szám:

A01N 43/76

A01N 43/82

C07D 413/04

PCT/US 08/073029

(2006.01)

(2006.01)

(2006.01)

WO 09023721

(30) Elsőbbségi adatok:

955448 P

2007. 08. 13.

US

(72) Feltaláló(k):

(54)

WILLIAMS, Deryck, J., University City, Missouri 63130

DIMMIC, Matt, W., Wildwood, MO 63011 (US) HAAKENSON, William, P., Jr., St. Louis, Missouri 63116 (US)

WIDEMAN, AI, St. Louis, Missouri 63146 (US) SHORTT, Barry, J., New Melle, Missouri 63365 (US) CHEESERIGHT, Tim, Litlington, Cambridgeshire SG8 0SS (GB)

CRAWFORD, Michael, J., St. Louis, Missouri 63146 (US)

(73) Jogosult(ak):

(51) Int. Cl.:

Monsanto Technology LLC, St. Louis, MO 63167 (US)

(74) Képviselő:

ifj. Szentpéteri Ádám, SBGK Szabadalmi Ügyvivői Iroda, Budapest

Készítmények és eljárások nematódák megfékezésére

Az európai szabadalom ellen, megadásának az Európai Szabadalmi Közlönyben való meghirdetésétől számított kilenc hónapon belül, felszólalást lehet benyújtani az Európai Szabadalmi Hivatalnál. (Európai Szábadalmi Egyezmény 99. cikk(1))

(12)



(11) EP 2 184 989 B1

EUROPEAN PATENT SPECIFICATION

(45) Date of publication and mention of the grant of the patent:06.05.2015 Bulletin 2015/19

(21) Application number: 08797800.3

(22) Date of filing: 13.08.2008

(51) Int CI.: C07D 413/04 (2006.01) A01N 43/82 (2006.01) A01P 5/00 (2006.01)

(86) International application number: **PCT/US2008/073029**

(87) International publication number: WO 2009/023721 (19.02.2009 Gazette 2009/08)

(54) COMPOSITIONS AND METHODS FOR CONTROLLING NEMATODES

ZUSAMMENSETZUNGEN UND VERFAHREN ZUR KONTROLLE VON NEMATODEN COMPOSITIONS ET PROCÉDÉS POUR LUTTER CONTRE LES NÉMATODES

(84) Designated Contracting States:

AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HR HU IE IS IT LI LT LU LV MC MT NL NO PL PT RO SE SI SK TR

(30) Priority: 13.08.2007 US 955448 P

(43) Date of publication of application: 19.05.2010 Bulletin 2010/20

(60) Divisional application:

14167104.0 / 2 786 992 14167106.5 / 2 786 993 14167108.1 / 2 786 994

(73) Proprietor: Monsanto Technology LLC St. Louis, MO 63167 (US)

(72) Inventors:

 WILLIAMS, Deryck, J. University City, Missouri 63130 (US)

DIMMIC, Matt, W.
 Wildwood, MO 63011 (US)

HAAKENSON, William, P., Jr.
 St. Louis, Missouri 63116 (US)

 WIDEMAN, AI St. Louis, Missouri 63146 (US)

• SHORTT, Barry, J. New Melle, Missouri 63365 (US)

 CHEESERIGHT, Tim Litlington, Cambridgeshire SG8 0SS (GB)

CRAWFORD, Michael, J.
 St. Louis, Missouri 63146 (US)

(74) Representative: Von Kreisler Selting Werner Partnerschaft
von Patentanwälten und Rechtsanwälten mbB
Deichmannhaus am Dom
Bahnhofsvorplatz 1
50667 Köln (DE)

(56) References cited:

EP-A2- 2 254 572 WO-A1-2004/058253 WO-A1-2006/114400 WO-A2-02/100826 US-A- 5 633 271 US-A- 5 912 243 US-A1- 2002 013 326 US-A1- 2002 013 326

- ZHANG HZ ET AL: "Discovery and structureactivity relationship of 3-aryl-1,2,4-oxadiazoles as a new series of apoptosis inducers and potential anticancer agents", JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, US, vol. 48, no. 16, 13 July 2005 (2005-07-13), pages 5215-5223, XP002993647, ISSN: 0022-2623, DOI: 10.1021/JM050292K
- VORON'KO M N ET AL: "Synthesis of 3,5-disubstituted 1,2,4-oxadiazoles and reactivity of N-hydroxybenzamidines", IZVESTIYA
 VYSSHIKH UCHEBNYKH ZAVEDENII. KHIMIYA I KHIMICHESKAYA TEKHNOLOGIYA: NAUCHNOTEKHNICHESKII ZHURNAL, IVANOVSKII GOSUDARSTVENNYI KHIMIKOTEKHNOLOGICHESKII UNIVERSITET, RUSSIAN FEDERATION, vol. 49, no. 3, 1 January 2006 (2006-01-01), pages 60-63, XP009153016, ISSN: 0579-2991

Note: Within nine months of the publication of the mention of the grant of the European patent in the European Patent Bulletin, any person may give notice to the European Patent Office of opposition to that patent, in accordance with the Implementing Regulations. Notice of opposition shall not be deemed to have been filed until the opposition fee has been paid. (Art. 99(1) European Patent Convention).

- YALE H L ET AL: "3,5-DISUBSTITUTED-1,2,4-OXADIAZOLES AND 4,5-DIHYDRO-3,5-DISUBSTITUTED 1,2,4-OXADIAZOLES",
 JOURNAL OF HETEROCYCLIC CHEMISTRY,
 WILEY-BLACKWELL PUBLISHING, INC, US, vol.
 15, 1 December 1978 (1978-12-01), pages
 1373-1378, XP002542953, ISSN: 0022-152X, DOI: 10.1002/JHET.5570150825
- IINO MINORU ET AL: "Rational Design and Evaluation of New Lead Compound Structures for Selective .beta.ARK1 Inhibitors", JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, US, vol. 45, no. 11, 1 January 2002 (2002-01-01), pages 2150-2159, XP002493700, ISSN: 0022-2623, DOI: 10.1021/JM010093A [retrieved on 2002-04-23]
- WANG YING ET AL: "Rapid and efficient synthesis of 1,2,4-oxadiazoles utilizing polymersupported reagents under microwave heating", ORGANIC LETTERS,, vol. 7, no. 5, 3 March 2005 (2005-03-03), pages 925-928, XP002540749, DOI: 10.1021/OL050007R
- JESSEN K A ET AL: "THE DISCOVERY AND MECHANISM OF ACTION OF NOVEL TUMOR-SELECTIVE AND APOPTOSIS-INDUCING 3,5-DIARY-1,2,4-OXADIAZOLE SERIES USING A CHEMICAL GENETICS APPROACH", MOLECULAR CANCER THERAPEUTICS, AMERICAN ASSOCIATION OF CANCER RESEARCH, US, vol. 4, no. 5, 1 January 2005 (2005-01-01), pages 761-771, XP003001908, ISSN: 1535-7163
- JULIÁN J PRIETO ET AL: "Application of linear discriminant analysis in the virtual screening of antichagasic drugs through trypanothione reductase inhibition", MOLECULAR DIVERSITY, KLUWER ACADEMIC PUBLISHERS, DO, vol. 10, no. 3, 21 September 2006 (2006-09-21), pages 361-375, XP019436753, ISSN: 1573-501X, DOI: 10.1007/S11030-006-9044-2

Description

10

15

20

25

30

35

40

55

BACKGROUND

[0001] Nematodes (derived from the Greek word for thread) are active, flexible, elongate, organisms that live on moist surfaces or in liquid environments, including films of water within soil and moist tissues within other organisms. While only 20,000 species of nematode have been identified, it is estimated that 40,000 to 10 million actually exist. Many species of nematodes have evolved to be very successful parasites of plants and animals and are responsible for significant economic losses in agriculture and livestock and for morbidity and mortality in humans (Whitehead (1998) Plant Nematode Control. CAB International, New York). Nematode parasites of plants can infest all parts of plants, including roots, developing flower buds, leaves, and stems. Plant parasites are classified on the basis of their feeding habits into the broad categories migratory ectoparasites, migratory endoparasites, and sedentary endoparasites. Sedentary endoparasites, which include the root knot nematodes (Meloidogyne) and cyst nematodes (Globodera and Heterodera) induce feeding sites and establish long-term infections within roots that are often very damaging to crops (Whitehead, supra). It is estimated that parasitic nematodes cost the horticulture and agriculture industries in excess of \$78 billion worldwide a year, based on an estimated average 12% annual loss spread across all major crops. For example, it is estimated that nematodes cause soybean losses of approximately \$3.2 billion annually worldwide (Barker et al. (1994) Plant and Soil Nematodes: Societal Impact and Focus for the Future. The Committee on National Needs and Priorities in Nematology. Cooperative State Research Service, US Department of Agriculture and Society of Nematologists). Several factors make the need for safe and effective nematode controls urgent. Continuing population growth, famines, and environmental degradation have heightened concern for the sustainability of agriculture, and new government regulations may prevent or severely restrict the use of many available agricultural anthelmintic agents.

[0002] There are a very small array of chemicals available to effectively control nematodes (Becker (1999) Agricultural Research Magazine 47(3):22-24; US 6,048,714). In general, chemical nematicides are highly toxic compounds known to cause substantial environmental damage and are increasingly restricted in the amounts and locations in which they can be used. For example, the soil fumigant methyl bromide which has been used effectively to reduce nematode infestations in a variety of specialty crops, is regulated under the U.N. Montreal Protocol as an ozone-depleting substance and is undergoing phase out in the US and world wide (Carter (2001) California Agriculture, 55(3):2). It is expected that strawberry and other commodity crop industries will be significantly impacted if a suitable replacement for methyl bromide is not found. Similarly, broad-spectrum nematicides such as Telone (various formulations of 1,3-dichloropropene) have significant restrictions on their use because of toxicological concerns (Carter (2001) California Agriculture, 55(3):12-18). Organophosphate and carbamate pesticides are another important class of nematicides undergoing regulatory review and several of these compounds are currently being phase out (e.g., fenamiphos, terbufos, cadusafos).

[0003] To date little success has been achieved in finding safe effective replacements for the toxic but efficacious conventional nematicides. A recent example of the poor efficacy of many newer potential replacements for organophosphates and carbamates is the study of alternatives to fenamiphos for management of plant parasitic nematodes in bermudagrass. In these trials, none of the experimental treatments reduced population densities of the plant parasitic nematodes, or consistently promoted turf visual performance or turf root production (Crow (2005) Journal of Nematology, 37(4):477-482). Consequently there remains an urgent need to develop environmentally safe, efficacious methods of controlling plant parasitic nematodes.

[0004] Some plant species are known to be highly resistant to nematodes. The best documented of these include marigolds (*Tagetes* spp.), rattlebox (*Crotalaria spectabilis*), chrysanthemums (*Chrysanthemum* spp.), castor bean (*Ricinus communis*), margosa (*Azardiracta indica*), and many members of the family *Asteraceae* (family *Compositae*) (Hackney & Dickerson. (1975) J Nematol 7(1):84-90). In the case of the *Asteraceae*, the photodynamic compound alphaterthienyl has been shown to account for the strong nematicidal activity of the roots. Castor beans are plowed under as a green manure before a seed crop is set. However, a significant drawback of the castor plant is that the seed contains toxic compounds (such as ricin) that can kill humans, pets, and livestock and is also highly allergenic. In most cases however, the active principle(s) for plant nematicidal activity has not been discovered and it remains difficult to derive commercially successful nematicidal products from these resistant plants or to transfer the resistance to crops of agronomical importance such as soybeans and cotton. Genetic resistance to certain nematodes is available in some commercial cultivars (e.g., soybeans), but these are restricted in number and the availability of cultivars with both desirable agronomic features and resistance is limited. Furthermore, the production of nematode resistant commercial varieties by conventional plant breeding based on genetic recombination through sexual crosses is a slow process and is often further hampered by a lack of appropriate germplasm.

[0005] Chemical means of controlling plant parasitic nematodes continue to be essential for many crops which lack adequate natural resistance or a source of transgenic resistance. In the specialty markets, economic hardship resulting from nematode infestation is particularly high in strawberries, bananas, and other high value vegetables and fruits. In the high-acreage crop markets, nematode damage is greatest in soybeans and cotton. There are however, dozens of

additional crops that suffer from significant nematode infestation including potato, pepper, onion, citrus, coffee, sugarcane, greenhouse ornamentals and golf course turf grasses.

[0006] To be useful in modern agriculture nematicides must have high potency, a broad spectrum of activity against different strains of nematodes and should not be toxic to non-target organisms. Nematode parasites of vertebrates (e.g., humans, livestock and companion animals) include gut roundworms, hookworms, pinworms, whipworms, and filarial worms. They can be transmitted in a variety of ways, including by water contamination, skin penetration, biting insects, or by ingestion of contaminated food.

[0007] In domesticated animals, nematode control or "de-worming" is essential to the economic viability of livestock producers and is a necessary part of veterinary care of companion animals. Parasitic nematodes cause mortality in animals (e.g., heartworm in dogs and cats) and morbidity as a result of the parasites' inhibiting the ability of the infected animal to absorb nutrients. The parasite-induced nutrient deficiency leads to disease and stunted growth in livestock and companion animals. For instance, in cattle and dairy herds, a single untreated infection with the brown stomach worm can permanently restrict an animal's ability to convert feed into muscle mass or milk.

10

15

20

25

30

35

40

55

[0008] Two factors contribute to the need for novel anthelmintics and vaccines to control animal parasitic nematodes. First, some of the more prevalent species of parasitic nematodes of livestock are building resistance to the anthelmintic drugs available currently, meaning that these products are losing their efficacy. These developments are not surprising because few effective anthelmintic drugs are available and most have been used continuously. Some parasitic species have developed resistance to most of the anthelmintics (Geents et al. (1997) Parasitology Today 13:149-151; Prichard (1994) Veterinary Parasitology 54:259-268). The fact that many of the anthelmintic drugs have similar modes of action complicates matters, as the loss of sensitivity of the parasite to one drug is often accompanied by side resistance - that is, resistance to other drugs in the same class (Sangster & Gill (1999) Parasitology Today 15(4):141-146). Secondly, there are some issues with toxicity for the major compounds currently available.

[0009] Infections by parasitic nematode worms also result in substantial human mortality and morbidity, especially in tropical regions of Africa, Asia, and the Americas. The World Health Organization estimates 2.9 billion people are infected, and in some areas, 85% of the population carries worms. While mortality is rare in proportion to infections, morbidity is substantial and rivals diabetes and lung cancer in worldwide disability adjusted life year (DALY) measurements. Examples of human parasitic nematodes include hookworms, filarial worms, and pinworms. Hookworms (1.3 billion infections) are the major cause of anemia in millions of children, resulting in growth retardation and impaired cognitive development. Filarial worms invade the lymphatics, resulting in permanently swollen and deformed limbs (elephantiasis), and the eyes, causing African river blindness. The large gut roundworm Ascaris lumbricoides infects more than one billion people worldwide and causes malnutrition and obstructive bowel disease. In developed countries, pinworms are common and often transmitted through children in daycare. Even in asymptomatic parasitic infections, nematodes can still deprive the host of valuable nutrients and increase the ability of other organisms to establish secondary infections. In some cases, infections can cause debilitating illnesses and can result in anemia, diarrhea, dehydration, loss of appetite, or death. [0010] Despite some advances in drug availability and public health infrastructure and the near elimination of one tropical nematode (the water-borne Guinea worm), most nematode diseases have remained intractable problems. Treatment of hookworm diseases with anthelmintic drugs, for instance, has not provided adequate control in regions of high incidence because rapid re-infection occurs after treatment. In fact, over the last 50 years, while nematode infection rates have fallen in the United States, Europe, and Japan, the overall number of infections worldwide has kept pace with the growing world population. Large scale initiatives by regional governments, the World Health Organization, foundations, and pharmaceutical companies are now underway attempting to control nematode infections with currently available tools, including three programs for control of Onchocerciasis (river blindness) in Africa and the Americas using ivermectin and vector control; The Global Alliance to Eliminate Lymphatic Filariasis using DEC, albendazole, and iver-

[0011] Certain insecticidal oxazoles (US 4,791,124) and thiazoles (US 4,908,357) and nematicidal pyrazoles (US 6,310,049) have been disclosed in the art. The present invention discloses other oxazoles, oxadiazoles and thiadiazoles with surprisingly potent nematicidal activity showing activity comparable to commercial standards. Commercial level nematicidal potency has not previously been demonstrated with oxazoles, oxadiazoles and thiadiazoles. Importantly, these compounds are broadly active against nematodes yet safe to non-target organisms.

mectin; and the highly successful Guinea Worm Eradication Program. Until safe and effective vaccines are discovered to prevent parasitic nematode infections, anthelmintic drugs will continue to be used to control and treat nematode

parasitic infections in both humans and domestic animals.

[0012] US 4,791,124 disclosed certain oxazoles and thiazoles with nematicidal activity against *Meloidogyne incognita* (root knot nematode) at 10 parts per million. However, compounds were not titrated to lower doses, and as can be seen in table 1D herein certain thiazole analogs which appear highly efficacious at 8 ppm are not comparable in potency to commercial standards and as they do not retain appreciable nematicidal activity at 1 ppm.

[0013] US 6,310,049 discloses certain nematicidal pyrazoles with activity against root knot nematode. Several pyrazole compounds are shown having activity at 100 ppm in an *in vitro* assay with a small subset of the compounds having activity at 50 ppm in a soil based greenhouse. One compound is disclosed as having greenhouse activity at 20 ppm and

a single compound as having greenhouse activity at 5 ppm. It is not clear if any of these compounds have potency comparable to commercial standards, i.e., at 1 ppm. As can be seen in table 1D herein, nematicidal activity is seen for 3-(furan-2-yl)-5-phenyl-1H-pyrazole at 8 ppm but not 1 ppm whereas many oxazoles and oxadiazoles have nematicidal potency comparable to commercial standards at 1 ppm. Some oxadiazoles compounds having substituted furan or thiophene rings are disclosed as being apoptosis inducers and useful as chemotherapeutic against certain cancers (Zhang et al. 2005 J Med Chem. 48(16):5215-23). Notwithstanding some superficial chemical similarities the nematicidal analogs of this invention do not induce apoptosis in mammalian cells and have equal potency against wild type *C. elegans* nematodes and ced-3 or ced-4 *C. elegans* mutants deficient in apoptosis. These analogs are therefore structurally and functionally distinct from the apoptosis inducing oxadiazoles disclosed by Cai et al in US 7,041,685. US 2002/0013326 discloses compounds that are said to be useful for the control of nematodes.

SUMMARY

5

10

15

20

25

35

40

45

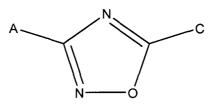
50

55

[0014] Produced are processes for controlling nematodes that infest plants or the situs of plants.

[0015] In particular, produced are methods for control of nematodes, the method comprising administering to a plant, a seed or oil a composition comprising an effective amount of a compound or a mixture of compounds having any of the formula described herein, for example the compounds shown below.

[0016] Described herein are compounds of Formula (IV) or a salt thereof



Formula IV

30 wherein,

A is phenyl, pyrazyl oxazolyl or isoxazolyl each of which can be optionally independently substituted with one or more substituents selected from halogen, CF_3 , CH_3 , OCF_3 , OCH_3 , CN and C(H)O; and

C is thienyl, furanyl, oxazolyl or isoxazolyl each of which can be optionally independently substituted with one or more substituents selected from: fluorine, CH_3 and OCF_3 .

[0017] In various embodiments: A is phenyl; A is pyrazyl; A is oxazolyl; A is isoxazolyl; C is thienyl; C is furanyl; C is oxazolyl; and C is isoxazolyl.

[0018] Also disclosed are compounds having Formula IVa or a salt thereof,

$$R_3$$
 R_4
 R_5
 R_7
 R_8
 R_9

Formula IVa

wherein,

R₁ and R₅ are independently selected from hydrogen, CH₃, F, CI, Br, CF₃ and OCF₃;

R₂ and R₄ are independently selected from hydrogen, F, Cl, Br, and CF₃;

R₃ is selected from hydrogen, CH₃, CF₃, F, CI, Br, OCF₃, OCH₃, CN, and C(H)O;

R₇ and R₈ are independently selected from hydrogen and fluorine;

 $\rm R_9$ is selected from hydrogen, F, Cl, CH $_{\rm 3},$ and OCF $_{\rm 3};$ and E is O or S.

[0019] In various embodiments of the compound of Formula IVa: R_1 and R_5 are independently selected from hydrogen and CH $_3$ with the proviso that R_1 and R_5 cannot be simultaneously hydrogen, R_3 is fluorine, chlorine or bromine, and E is O; R1 and R5 are independently selected from hydrogen and CH3 with the proviso that R1 and R5 cannot be simultaneously hydrogen, both R2 and R4 are hydrogen, R3 is chlorine or bromine, and E is O and R7, R8 and R9 are hydrogen; R_1 and R_5 are independently selected from hydrogen and CH $_3$ with the proviso that R_1 and R_5 cannot be simultaneously hydrogen, R_3 is fluorine, chlorine or bromine, E is S, and R_9 is hydrogen or fluorine; R_1 and R_5 are independently selected from hydrogen and CH $_3$ with the proviso that R_1 and R_5 cannot be simultaneously hydrogen, both R_2 and R_4 are hydrogen, R_3 is chlorine or bromine, E is O; R_1 and R_5 are independently selected from hydrogen and CH $_3$ with the proviso that R_1 and R_5 cannot be simultaneously hydrogen, both R_2 and R_4 are hydrogen, R_3 is chlorine or bromine, E is S, and R_9 is hydrogen or fluorine; R_1 and R_5 are independently selected from hydrogen and CI, R_3 is fluorine, chlorine or bromine, E is O, and R_9 is fluorine. Also disclosed are compounds having Formula IVb or a salt thereof,

$$R_3$$
 R_4
 R_5
 R_6
 R_8
 R_8

Formula IVb

wherein.

10

15

20

25

30

35

40

45

50

55

 $\rm R_{1}$ and $\rm R_{5}$ are independently selected from hydrogen, $\rm CH_{3},\,F,\,CI,\,Br,\,CF_{3}$ and $\rm OCF_{3};$

R₂ and R₄ are independently selected from hydrogen, F, Cl, Br, and CF₃;

 R_3 is selected from hydrogen, CH_3 , CF_3 , F, CI, Br, OCF_3 , OCH_3 , CN, and C(H)O;

R₈ is selected from hydrogen and fluorine;

 R_6° and R_9° are independently selected from hydrogen, F, CI, CH $_3^{\circ}$, and OCF $_3^{\circ}$; and

E is O or S.

[0020] In various embodiments of the compound of Formula IVb: R_1 and R_5 are independently selected from hydrogen and CH_3 with the proviso that R_1 and R_5 cannot be simultaneously hydrogen, R_3 is fluorine, chlorine or bromine, and E is O; R_1 and R_5 are independently selected from hydrogen and CH_3 with the proviso that R_1 and R_5 cannot be simultaneously hydrogen, R_3 is fluorine, chlorine or bromine, E is S, and R_9 is hydrogen or fluorine; R_1 and R_5 are independently selected from hydrogen and CH_3 with the proviso that R_1 and R_5 cannot be simultaneously hydrogen, both R_2 and R_4 are hydrogen, R_3 is chlorine or bromine, E is O; R_1 and R_5 are independently selected from hydrogen and CH_3 with the proviso that R_1 and R_5 cannot be simultaneously hydrogen, both R_2 and R_4 are hydrogen, R_3 is chlorine or bromine, R_5 is hydrogen or fluorine; and R_5 are independently selected from hydrogen and R_5 is fluorine, chlorine or bromine, R_5 is fluorine.

[0021] Also described herein are compounds of Formula (V) or a salt thereof

wherein.

A is phenyl, pyrazyl oxazolyl or isoxazolyl each of which can be optionally independently substituted with one or more with substituents selected from: halogen, CF₃, CH₃, OCF₃, OCH₃, CN and C(H)O; and C is thienyl, furanyl, oxazolyl or isoxazolyl each of which can be optionally independently substituted with one or more with substituents selected from fluorine, chlorine, CH₃ and OCF₃. In various embodiments: A is phenyl; A is pyrazyl; A is oxazolyl; A is isoxazolyl; C is thienyl; C is furanyl; C is oxazolyl; and C is isoxazolyl.

[0022] Also disclosed are compounds having Formula Va or a salt thereof,

 R_3 R_4 R_5 R_7 R_8 R_9

Formula Va

wherein,

5

10

15

20

25

30

35

40

45

50

55

R₁ and R₅ are independently selected from hydrogen, CH₃, F, Cl, Br, CF₃ and OCF₃;

R₂ and R₄ are independently selected from hydrogen, F, Cl, Br, and CF₃;

 R_3 is selected from hydrogen, CH_3 , CF_3 , F, CI, Br, OCF_3 , OCH_3 , CN, and C(H)O;

 R_7 and R_8 are independently selected from hydrogen and fluorine;

 R_9 is selected from hydrogen, F, Cl, CH_3 , and OCF_3 ; and

E is O or S

[0023] In various embodiments of the compound of Formula Va: R_1 and R_5 are independently selected from hydrogen and CH_3 with the proviso that R_1 and R_5 cannot be simultaneously hydrogen, R_3 is fluorine, chlorine or bromine, E is S, and R_9 is hydrogen or fluorine; R_1 and R_5 are independently selected from hydrogen and CH_3 with the proviso that R_1 and R_5 cannot be simultaneously hydrogen, both R_2 and R_4 are hydrogen, R_3 is chlorine or bromine, E is O; R_1 and R_5 are independently selected from hydrogen and CH_3 with the proviso that R_1 and R_5 cannot be simultaneously hydrogen, both R_2 and R_4 are hydrogen, R_3 chlorine or bromine, E is S, and R_9 is hydrogen or fluorine; R_1 and R_5 are independently selected from hydrogen and CI, R_3 is fluorine, chlorine or bromine, E is S, and S0, and S1 is fluorine.

[0024] Also disclosed are compounds having Formula Vb or a salt thereof,

 R_3 R_4 R_5 R_6 R_8

Formula Vb

wherein,

 R_1 and R_5 are independently selected from hydrogen, CH_3 , F, Cl, Br, CF_3 and OCF_3 ;

R₂ and R₄ are independently selected from hydrogen, F, Cl, Br, and CF₃;

 $\mathsf{R}_3 \text{ is selected from hydrogen, CH}_3, \mathsf{CF}_3, \mathsf{F}, \mathsf{CI}, \mathsf{Br}, \mathsf{OCF}_3, \mathsf{OCH}_3, \mathsf{CN}, \mathsf{and} \ \mathsf{C(H)O};$

R₈ is selected from hydrogen and fluorine;

 R_6 and R_9 are independently selected from hydrogen, F, Cl, CH_3 , and OCF_3 ; and E is O or S.

[0025] In various embodiments of the compound of Formula Vb: R_1 and R_5 are independently selected from hydrogen and CH $_3$ with the proviso that R_1 and R_5 cannot be simultaneously hydrogen, R_3 is fluorine, chlorine and bromine, and E is O; R_1 and R_5 are independently selected from hydrogen and CH $_3$ with the proviso that R_1 and R_5 cannot be simultaneously hydrogen, R_3 is fluorine, chlorine or bromine, E is S and R_9 is hydrogen or fluorine; R_1 and R_5 are independently selected from hydrogen and CH $_3$ with the proviso that R_1 and R_5 cannot be simultaneously hydrogen, both R_2 and R_4 are hydrogen, R_3 is chlorine or bromine, E is O; R_1 and R_5 are independently selected from hydrogen and CH $_3$ with the proviso that R_1 and R_5 cannot be simultaneously hydrogen, both R_2 and R_4 are hydrogen, R_3 is chlorine or bromine, E is S, and R_9 is hydrogen or fluorine; R_1 and R_5 are independently selected from hydrogen and CI, R_3 is fluorine, chlorine or bromine, E is O, and R_9 is fluorine.

[0026] Also described herein is a method for control of unwanted nematodes, the method comprising administering to mammals, birds, or their food, plants, seeds or soil a composition comprising an effective amount of a compound of any of Formulas IV, IVa, IVb, V, Va and Vb without the provisos.

10

20

25

30

40

55

[0027] Also described herein is a method for control of unwanted nematodes, the method comprising administering to mammals, birds, or their food, plants, seeds or soil a composition comprising an effective amount of a compound of any of Formulas IV, IVa, IVb, V, Va and Vb with the provisos.

[0028] Also described is a nematicidal composition comprising a compound of any of Formulas IV, IVa, IVb, V, Va and Vb without the provisos. at a concentration sufficient to reduce the viability of a parasitic nematode.

[0029] Also described is a nematicidal composition comprising a compound of any of Formulas IV, IVa, IVb, V, Va and Vb with the provisos at a concentration sufficient to reduce the viability of a parasitic nematode.

[0030] In some cases, the nematicidal composition further includes an aqueous surfactant. Examples of surfactants that can be used include, Span 20, Span 40, Span 80, Span 85, Tween 20, Tween 40, Tween 80, Tween 85, Triton X 100, Makon 10, Igepal CO 630, Brij 35, Brij 97, Tergitol TMN 6, Dowfax 3B2, Physan and Toximul TA 15. In some cases, the nematicidal composition further includes a permeation enhancer (e.g., cyclodextrin). In some cases, the nematicidal composition further includes a co-solvent. Examples of co-solvents that can be used include ethyl lactate, methyl soyate/ethyl lactate co-solvent blends (e.g., Steposol), isopropanol, acetone, 1,2-propanediol, n-alkylpyrrolidones (e.g., the Agsolex series), a petroleum based-oil (e.g., aromatic 200) or a mineral oil (e.g., paraffin oil)). In some cases, the nematicidal composition further includes another pesticide (e.g., nematicide, insecticide or fungicide) such as an avermectin (e.g., ivermectin), milbemycin, imidacloprid, aldicarb, oxamyl, fenamiphos, fosthiazate, metam sodium, etridiazole, penta-chloro-nitrobenzene (PCNB), flutolanil, metalaxyl, mefonoxam, and fosetyl-al. Useful fungicides include, but are not limited to, silthiofam, fludioxonil, myclobutanil, azoxystrobin, chlorothalonil, propiconazole, tebuconazole and pyraclostrobin. The composition may also comprise herbicides (e.g., trifloxysulfuron, glyphosate, halosulfuron) and other chemicals for disease control (e.g., chitosan).

[0031] Also described is a nematicidal composition comprising oxadiazole analogs or mixtures of analogs selected from the compounds 3-phenyl-5-(thiophen-2-yl)-1,2,4-oxadiazole, 3-(4-fluorophenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole, 3-(4-chlorophenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole, 3-(4-chloro-2-methylphenyl)-5-(furan-2-yl)-1,2,4-oxadiazole, 5-(4-chloro-2-methylphenyl)-3-(furan-2-yl)-1,2,4-oxadiazole, 3-(4-fluoro-2-methylphenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole, 3-(4-fluoro-2-methylphenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole, 3-(2,4-difluorophenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole, 3-(4-bromo-2-fluorophenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole, 3-(4-bromo-2-chlorophenyl)-5-(furan-2-yl)-1,2,4-oxadiazole, 3-(2-chloro-4-fluorophenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole, 3-(4-chlorophenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole, 5-(furan-2-yl)-3-(4-methoxy-2-methylphenyl)-1,2,4-oxadiazole, 3-(4-chlorophenyl)-5-(thiophen-3-yl)-1,2,4-oxadiazole, 5-(furan-2-yl)-3-(4-methoxy-2-methylphenyl)-1,2,4-oxadiazole, 3-(4-chlorophenyl)-5-(thiophen-3-yl)-1,2,4-oxadiazole, 3-(4-chlorophenyl)-5-(thiophen-3-yl)-1,

[0032] In various embodiments the composition further comprises an aqueous surfactant. Examples of surfactants that can be used include, Span 20, Span 40, Span 80, Span 85, Tween 20, Tween 40, Tween 80, Tween 85, Triton X 100, Makon 10, Igepal CO 630, Brij 35, Brij 97, Tergitol TMN 6, Dowfax 3B2, Physan and Toximul TA 15. In some cases, the nematicidal composition further includes a permeation enhancer (e.g., cyclodextrin). In some cases, the nematicidal composition further includes a co-solvent. Examples of co-solvents that can be used include ethyl lactate, methyl soyate/ethyl lactate co-solvent blends (e.g., Steposol), isopropanol, acetone, 1,2-propanediol, n-alkylpyrrolidones (e.g., the Agsolex series), a petroleum based-oil (e.g., aromatic 200) or a mineral oil (e.g., paraffin oil)). In some cases, the nematicidal composition further includes another pesticide (e.g., nematicide, insecticide or fungicide) such as an avermectin (e.g., ivermectin), milbemycin, imidacloprid, aldicarb, oxamyl, fenamiphos, fosthiazate, metam sodium, etridiazole, penta-chloro-nitrobenzene (PCNB), flutolanil, metalaxyl, mefonoxam, and fosetyl-al. Useful fungicides include, but are not limited to, silthiofam, fludioxonil, myclobutanil, azoxystrobin, chlorothalonil, propiconazole, tebuconazole and pyraclostrobin. The composition may also comprise herbicides (e.g., trifloxysulfuron, glyphosate, halosulfuron) and other chemicals for disease control (e.g., chitosan).

[0033] Also described is a method for control of unwanted parasitic nematode (e.g., nematodes other than *C. elegans*), the method including administering to vertebrates, plants, seeds or soil a nematicidal composition including a compound of any of the formulae described herein in any of the nematicidal compositions described herein.

[0034] In some instances, the nematicidal composition is applied to soil before planting. In some instances, the nematicidal composition is applied to soil before planting. In some instances, the nematicidal composition is applied to soil after planting. In some instances, the nematicidal composition is applied to soil using a drip system. In some instances, the nematicidal composition is applied to plant roots or plant foliage (e.g., leaves, stems). In some instances the nematicide composition is tilled into the soil or applied in furrow. In some instances, the nematicidal composition is applied to seeds. In some instances, the nematicidal composition is administered to non-human vertebrate. In some instances, the nematicidal composition is administered to a human. In some instances, the nematicidal composition is formulated as a drench to be administered to a non-human animal. In some instances, the nematicidal composition is formulated as an orally administered drug. In some instances, the nematicidal composition is formulated for topical applications such as pour-ons, or for the use in tags or collars.

5

10

20

25

30

35

40

45

50

55

[0035] Also described herein is a method of treating a disorder (e.g., an infection) caused by a parasitic nematode, (e.g., *M. incognita, H. glycines, B. longicaudatus, H. contortus, A. suum, B. malayi*) in a subject, e.g., a host plant, animal, or person. The method includes administering to the subject an effective amount of a compound having Formula IV, IVa, IVb, V, Va or Vb. The compound may be delivered by several means including pre-planting, post-planting and as a feed additive, drench, external application, pill or by injection.

[0036] In still another aspect, methods of inhibiting a parasitic nematode (e.g., *M. incognita, H. glycines, B. longicaudatus, H. contortus, A. suum, B. malayi*) are provided. Such methods can include contacting the nematode (at any stage of growth), with a compound, e.g., a compound having Formula IV, IVa, IVb, V, Va or Vb is provided.

[0037] In another aspect, methods of reducing the viability or fecundity or slowing the growth or development or inhibiting the infectivity of a nematode using a nematicidal compound, e.g., a compound having Formula IV, IVa, IVb, V, Va or Vb is provided. Such methods can include contacting the nematode with specific a compound, e.g., a compound having Formula IV, IVa, IVb, V, Va or Vb; (c) reducing the viability or fecundity of the nematode parasite.

[0038] Also described is a method for reducing the viability, growth, or fecundity of a nematode parasite, the method comprising exposing the nematode to a compound having Formula IV, IVa, IVb, V, Va or Vb and a method of protecting a plant from a nematode infection, the method comprising applying to the plant, to the soil, or to seeds of the plant an compound a compound having Formula IV, IVa, IVb, V, Va or Vb.

[0039] Also described is a method for protecting a vertebrate (e.g., a bird or a mammal) from a nematode infection, the method comprising administering to the vertebrate a compound having IV, IVa, IVb, V, Va or Vb. The bird can be a domesticated fowl (e.g., a chicken, turkey, duck, or goose). The mammal can be a domesticated animal, e.g., a companion animal (e.g., a cat, dog, horse or rabbit) or livestock (e.g., a cow, sheep, pig, goat, alpaca or llama) or can be a human. Described herein are methods for controlling nematodes parasites by administering a compound described herein. The methods include administering to vertebrates, plants, seeds or soil a nematicidal composition comprising:

(a) an effective amount of a compound or a mixture of compounds having any of the formulae described herein, for example one of the following formulas:

$$R_3$$
 R_4
 R_5
 R_9
 R_9
 R_8
 R_4
 R_8
 R_8
 R_9
 R_9

wherein,

5

15

20

25

30

35

40

45

50

55

R₁ and R₅ are independently selected from hydrogen, CH₃, F, Cl, Br, CF₃, OCF₃ R_2 and R_4 are independently selected from hydrogen, F, Cl, Br, CF_3 $\rm R_3$ is selected from hydrogen, $\rm CH_3,\, CF_3,\, F,\, CI,\, Br,\, OCF_3,\, OCH_3,\, CN,\, CO$ R₇ and R₈ are indenpendently selected from hydrogen and fluorine R₆ and R₉ are independently selected from hydrogen, F, Cl, CH₃, OCF₃ B is C(H), C(CH₃)

E is O or S.

[0040] In some cases, R_1 and R_5 are not both H.

[0041] The compositions can also include an aqueous surfactant. Examples of surfactants that can be used include, Span 20, Span 40, Span 80, Span 85, Tween 20, Tween 40, Tween 80, Tween 85, Triton X 100, Makon 10, Igepal CO 630, Brij 35, Brij 97, Tergitol TMN 6, Dowfax 3B2, Physan and Toximul TA 15. In some cases, the nematicidal composition further includes a permeation enhancer (e.g., cyclodextrin). In some cases, the nematicidal composition further includes a co-solvent. Examples of co-solvents that can be used include ethyl lactate, methyl soyate/ethyl lactate co-solvent blends (e.g., Steposol), isopropanol, acetone, 1,2-propanediol, n-alkylpyrrolidones (e.g., the Agsolex series), a petroleum based-oil (e.g., aromatic 200) or a mineral oil (e.g., paraffin oil)). In some cases, the nematicidal composition further includes another pesticide (e.g., nematicide, insecticide or fungicide) such as an avermectin (e.g., ivermectin), milbemycin, imidacloprid, aldicarb, oxamyl, fenamiphos, fosthiazate, metam sodium, etridiazole, penta-chloro-nitrobenzene (PCNB), flutolanil, metalaxyl, mefonoxam, and fosetyl-al. Useful fungicides include silthiofam, fludioxonil, myclobutanil, azoxystrobin, chlorothalonil, propiconazole, tebuconazole and pyraclostrobin. The composition may also comprise herbicides (e.g., trifloxysulfuron, glyphosate, halosulfuron) and other chemicals for disease control (e.g., chitosan).

[0042] Also featured is a method for control of unwanted nematodes comprising administering to vertebrates, plants, seeds or soil a nematicidal composition comprising an effective amount of:

(a) a compound selected from 3-phenyl-5-(thiophen-2-yl)-1,2,4-oxadiazole, 3-(4-fluorophenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole, 3-(4-fluorophenyl)-5-(1,2,4-oxadiazole, 3-(4-chlorophenyl)-5-(furan-2-yl)-1,2,4-oxadiazole, 3-(4-chlorophenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole, 3-(4-chloro-2-methylphenyl)-5-(furan-2-yl)-1,2,4-oxadiazole, 5-(4-chloro-2-methylphenyl)-3-(furan-2-yl)-1,2,4-oxadiazole, 5-(4-chloro-2-methylphenyl)-3-(4-chloro-2-methylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylphenylp 1,2,4-oxadiazole, 3-(4-bromo-2-methylphenyl)-5-(furan-2-yl)-1,2,4-oxadiazole, 3-(4-fluoro-2-methylphenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole, 3-(2,4-difluorophenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole, 3-(4-bromo-2-fluorophenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole, 5-(thiophen-2-yl)-3-(2,4,6-trifluorophenyl)-1,2,4-oxadiazole, 3-(2,4-dichlorophenyl)-5-(furan-2-yl)-1,2,4-oxadiazole, 3-(4-bromo-2-chlorophenyl)-5-(furan-2-yl)-1,2,4-oxadiazole, 3-(2-chlorophenyl)-5-(furan-2-yl)-1,2,4-oxadiazole, 3-(2-chlorophenyl)-5-(furan-2-yl)-1,2,4-oxadiazole, 3-(4-bromo-2-chlorophenyl)-5-(furan-2-yl)-1,2,4-oxadiazole, 3-(4-bromo-2-chlorophenyl)-1,2,4-oxadiazole, 3-(4-bromo-2-chlorophenyl)-1,2,4-oxadiazole, 3-(4-bromo-2-chlorophenyl)-1,2,4-oxadiazole, 3-(4-bromo-2-chlorophenyl)-1,2,4-oxadiazole, 3-(4-bromo-2-chlorophenyl)-1,2,4-oxadiazole, 3-(4-bromo-2-chlorophenyl)-1,2,4-oxadiazole, 3-(4-bromo-2-chlorophenyl)-1,2,4-oxadiazole, 3-(4-bromo-2-chloropheny 4-fluorophenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole, 3-(4-chlorophenyl)-5-(3-methylfuran-2-yl)-1,2,4-oxadiazole, 5-(furan-2-yl)-3-(4-methoxy-2-methylphenyl)-1,2,4-oxadiazole, 3-(4-chlorophenyl)-5-(thiophen-3-yl)-1,2,4-oxadiazole.

[0043] Also featured is a method for control of unwanted nematodes comprising administering to vertebrates a nematicidal composition comprising an effective amount of: (a) a compound selected from 5-(isoxazol-5-yl)-3-(4-(trifluoromethoxy)phenyl)-1,2,4-oxadiazole, 5-(furan-2-yl)-3-p-tolyl-1,2,4-oxadiazole, 5-(furan-2-yl)-3-(4-iodophenyl)-1,2,4-oxadia $zole, \quad 5-(furan-2-yl)-3-(oxazol-2-yl)-1,2,4-oxadiazole, \quad 5-(4-propylphenyl)-3-(thiophen-2-yl)-1,2,4-oxadiazole, \quad 5-(4-propylphenyl)-1,2,4-oxadiazole, \quad 5-(4-propylphenyl$ bromophenyl)-5-(furan-2-yl)-1,2,4-oxadiazole.

[0044] In certain embodiments of the method the composition further comprises an aqueous surfactant. Examples of surfactants that can be used include, Span 20, Span 40, Span 80, Span 85, Tween 20, Tween 40, Tween 80, Tween 85, Triton X 100, Makon 10, Igepal CO 630, Brij 35, Brij 97, Tergitol TMN 6, Dowfax 3B2, Physan and Toximul TA 15. In some cases, the nematicidal composition further includes a permeation enhancer (e.g., cyclodextrin). In some cases, the nematicidal composition further includes a co-solvent. Examples of co-solvents that can be used include ethyl lactate,

methyl soyate/ethyl lactate co-solvent blends (e.g., Steposol), isopropanol, acetone, 1,2-propanediol, n-alkylpyrrolidones (e.g., the Agsolex series), a petroleum based-oil (e.g., aromatic 200) or a mineral oil (e.g., paraffin oil)). In some cases, the nematicidal composition further includes another pesticide (e.g., nematicide, insecticide or fungicide) such as an avermectin (e.g., ivermectin), milbemycin, imidacloprid, aldicarb, oxamyl, fenamiphos, fosthiazate, metam sodium, etridiazole, penta-chloro-nitrobenzene (PCNB), flutolanil, metalaxyl, mefonoxam, and fosetyl-al. Useful fungicides include silthiofam, fludioxonil, myclobutanil, azoxystrobin, chlorothalonil, propiconazole, tebuconazole and pyraclostrobin. The composition may also comprise herbicides (e.g., trifloxysulfuron, glyphosate, halosulfuron) and other chemicals for disease control (e.g., chitosan); the nematode infects plants and the nematicidal composition is applied to the soil or to plants; the nematicidal composition is applied to soil before planting; the nematicidal composition is applied to soil after planting; the nematicidal composition is applied to soil using a drip system; the nematicidal composition is applied to soil using a drench system; the nematicidal composition is applied to plant roots; the pesticidal composition is applied to seeds; the nematicidal composition is applied to the foliage of plants; the nematode infects a vertebrate; the nematicidal composition is administered to a bird or non-human mammal; the nematicidal composition is administered to a human; the nematicidal composition is formulated as a drench to be administered to a non-human animal; the nematicidal composition is formulated as an orally administered drug; and the nematicidal composition is formulated as an injectable drug.

[0045] The methods described hereon are particularly valuable for the control nematodes attacking the roots of desired crop plants, ornamental plants, and turf grasses. The desired crop plants can be, for example, soybeans, cotton, corn, tobacco, wheat, strawberries, tomatoes, banana, sugar cane, sugar beet, potatoes, or citrus.

[0046] Also described is a nematicidal feed for a non-human vertebrate including:

(a) a feed; and

5

10

15

20

30

45

50

(b) a nematicidal composition, including a nematicidal composition described herein.

25 [0047] In some instances, the feed is selected from the group consisting of: soy, wheat, corn, sorghum, millet, alfalfa, clover, and rye.

[0048] Also described are feeds that have been supplemented to include one or more of the compounds described herein.

[0049] A nematicidal feed for a non-human vertebrate can comprise: (a) an animal feed; and (b) an effective amount of a nematicidal compound or mixtures of compounds having any of the formulae described herein, for example having one of the formula below:

$$R_{1}$$
 R_{2}
 R_{3}
 R_{40}
 R_{4

$$R_3$$
 R_4
 R_5
 R_8
 R_8
 R_8
 R_8
 R_8
 R_9
 R_9

55 wherein,

 $\rm R_1$ and $\rm R_5$ are independently selected from hydrogen, CH $_3$, F, Cl, Br, CF $_3$, OCF $_3$ R $_2$ and R $_4$ are independently selected from hydrogen, F, Cl, Br, CF $_3$

 $\rm R_3$ is selected from hydrogen, $\rm CH_3,\, CF_3,\, F,\, CI,\, Br,\, OCF_3,\, OCH_3,\, CN,\, CO\, R_8$ is selected from hydrogen and fluorine $\rm R_6$ and $\rm R_9$ are independently selected from hydrogen, F, CI, CH_3, OCF_3 B is C(H), C(CH_3) E is O or S.

[0050] In some cases, R_1 and R_5 are not both H.

5

10

15

20

25

30

35

40

50

55

[0051] The feed can be selected from the group consisting of: soy, wheat, corn, sorghum, millet, alfalfa, clover, and rye.

[0052] As used herein, an agent with "anthelmintic or anthelminthic or antihelminthic activity" is an agent, which when tested, has measurable nematode-killing activity or results in reduced fertility or sterility in the nematodes such that fewer viable or no offspring result, or compromises the ability of the nematode to infect or reproduce in its host, or interferes with the growth or development of a nematode. The agent may also display nematode repellant properties. In the assay, the agent is combined with nematodes, e.g., in a well of microtiter dish, in liquid or solid media or in the soil containing the agent. Staged nematodes are placed on the media. The time of survival, viability of offspring, and/or the movement of the nematodes are measured. An agent with "anthelmintic or anthelminthic or antihelmthic activity" can, for example, reduce the survival time of adult nematodes relative to unexposed similarly staged adults, e.g., by about 20%, 40%, 60%, 80%, or more. In the alternative, an agent with "anthelmintic or anthelminthic or antihelminthic activity" may also cause the nematodes to cease replicating, regenerating, and/or producing viable progeny, e.g., by about 20%, 40%, 60%, 80%, or more. The effect may be apparent immediately or in successive generations.

[0053] The term "halo" or "halogen" refers to any radical of fluorine, chlorine, bromine or iodine.

[0054] The term "alkyl" as employed herein by itself or as part of another group refers to both straight and branched chain radicals of up to ten carbons. Typical C1-10 alkyl groups include methyl, ethyl, propyl, isopropyl, butyl, sec-butyl, tert-butyl, 3-pentyl, hexyl and octyl groups, which may be optionally substituted.

[0055] The term "alkenyl" as employed herein by itself or as part of another group means a straight or branched chain radical of 2-10 carbon atoms, unless the chain length is limited thereto, including at least one double bond between two of the carbon atoms in the chain. Typical alkenyl groups include ethenyl, 1-propenyl, 2-propenyl, 2-methyl-1-propenyl, 1-butenyl and 2-butenyl.

[0056] The term "alkynyl" is used herein to mean a straight or branched chain radical of 2-10 carbon atoms, unless the chain length is limited thereto, wherein there is at least one triple bond between two of the carbon atoms in the chain. Typical alkynyl groups include ethynyl, 1-propynyl, 1-methyl-2-propynyl, 2-propynyl, 1-butynyl and 2-butynyl.

[0057] Alkoxy groups contain oxygen substituted by one of the C1-10 alkyl groups mentioned above, which may be optionally substituted.

[0058] Alkylthio groups contain sulfur substituted by one of the C1-10 alkyl groups mentioned above, which may be optionally substituted. Also included are the sulfoxides and sulfones of such alkylthio groups.

[0059] Amino groups include -NH2, -NHR₁₅ and -NR₁₅R₁₆, wherein R₁₅ and R₁₆ are C1-10 alkyl or cycloalkyl groups, or R₁₅ and R₁₆ are combined with the N to form a ring structure, such as a piperidine, or R₁₅ and R₁₆ are combined with the N and other group to form a ring, such as a piperazine. The alkyl group may be optionally substituted.

[0060] The term "aryl" as employed herein by itself or as part of another group refers to monocyclic, bicyclic or tricyclic aromatic groups containing from 6 to 14 carbons in the ring.

[0061] Common aryl groups include C6-14 aryl, preferably C6-10 aryl. Typical C6-14 aryl groups include phenyl, naphthyl, phenanthrenyl, anthracenyl, indenyl, azulenyl, biphenyl, biphenylenyl and fluorenyl groups.

[0062] Cycloalkyl groups are C3-8 cycloalkyl. Typical cycloalkyl groups include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl.

[0063] The term "arylalkyl" is used herein to mean any of the above-mentioned C1-10 alkyl groups substituted by any of the above-mentioned C6-14 aryl groups. Preferably the arylalkyl group is benzyl, phenethyl or naphthylmethyl.

[0064] The term "arylalkenyl" is used herein to mean any of the above-mentioned C2-10 alkenyl groups substituted by any of the above-mentioned C6-14 aryl groups.

[0065] The term "arylalkynyl" is used herein to mean any of the above-mentioned C2-10 alkynyl groups substituted by any of the above-mentioned C6-14 aryl groups.

[0066] The term "aryloxy" is used herein to mean oxygen substituted by one of the above-mentioned C6-14 aryl groups, which may be optionally substituted. Common aryloxy groups include phenoxy and 4-methylphenoxy.

[0067] The term "arylalkoxy" is used herein to mean any of the above mentioned C1-10 alkoxy groups substituted by any of the above-mentioned aryl groups, which may be optionally substituted. Example arylalkoxy groups include benzyloxy and phenethyloxy.

[0068] Example haloalkyl groups include C1-10 alkyl groups substituted by one or more fluorine, chlorine, bromine or iodine atoms, e.g., fluoromethyl, difluoromethyl, trifluoromethyl, pentafluoroethyl, 1,1-difluoroethyl, chloromethyl, chloromethyl and trichloromethyl groups.

[0069] Acylamino (acylamido) groups include any C1-6 acyl (alkanoyl) attached to an amino nitrogen, e.g., acetamido,

chloroacetamido, propionamido, butanoylamido, pentanoylamido and hexanoylamido, as well as aryl-substituted C1-6 acylamino groups, e.g., benzoylamido, and pentafluorobenzoylamido.

[0070] Common acyloxy groups are any C1-6 acyl (alkanoyl) attached to an oxy (-O-) group, e.g., formyloxy, acetoxy, propionoyloxy, butanoyloxy and hexanoyloxy.

[0071] The term heterocycle is used herein to mean a saturated or partially saturated 3-7 membered monocyclic, or 7-10 membered bicyclic ring system, which consists of carbon atoms and from one to four heteroatoms independently selected from the group consisting of O, N, and S, wherein the nitrogen and sulfur heteroatoms can be optionally oxidized, the nitrogen can be optionally quaternized, and including any bicyclic group in which any of the above-defined heterocyclic rings is fused to a benzene ring, and wherein the heterocyclic ring can be substituted on carbon or on a nitrogen atom if the resulting compound is stable.

[0072] Common saturated or partially saturated heterocyclic groups include tetrahydrofuranyl, pyranyl, piperidinyl, piperazinyl, pyrrolidinyl, imidazolidinyl, imidazolinyl, indolinyl, isoindolinyl, quinuclidinyl, morpholinyl, isochromanyl, chromanyl, pyrazolidinyl pyrazolinyl, tetronoyl and tetramoyl groups.

[0073] The term "heteroary!" as employed herein refers to groups having 5 to 14 ring atoms; 6, 10 or 14 τ electrons shared in a cyclic array; and containing carbon atoms and 1, 2 or 3 oxygen, nitrogen or sulfur heteroactoms.

[0074] Example heteroaryl groups include thienyl (thiophenyl), benzo[b]thienyl, naphtho[2,3-b]thienyl, thianthrenyl, furyl (furanyl), pyranyl, isobenzofuranyl, chromenyl, xanthenyl, phenoxanthiinyl, pyrrolyl, including without limitation 2H-pyrrolyl, imidazolyl, pyrazolyl, pyridyl (pyridinyl), including without limitation 2-pyridyl, 3-pyridyl, and 4-pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, isoindolyl, 3H-indolyl, indolyl, indazolyl, purinyl, 4H-quinolizinyl, isoquinolyl, quinolyl, phenalthrydinyl, quinozalinyl, cinnolinyl, pteridinyl, carbazolyl, β-carbolinyl, phenanthridinyl, acrindinyl, perimidinyl, phenanthrolinyl, phenazinyl, isothiazolyl, phenothiazinyl, isoxazolyl, furazanyl, phenoxazinyl, 1,4-dihydroquinoxaline-2,3-dione, 7-aminoisocoumarin, pyrido[1,2-α]pyrimidin-4-one, pyrazolo[1,5-α]pyrimidinyl, including without limitation pyrazolo[1,5-α]pyrimidin-3-yl, 1,2-benzoisoxazol-3-yl, benzimidazolyl, 2-oxindolyl and 2-oxobenzimidazolyl. Where the heteroaryl group contains a nitrogen atom in a ring, such nitrogen atom may be in the form of an N-oxide, e.g., a pyridyl N-oxide, pyrazinyl N-oxide and pyrimidinyl N-oxide.

[0075] The term "heteroaryloxy" is used herein to mean oxygen substituted by one of the above-mentioned heteroaryl groups, which may be optionally substituted. Useful heteroaryloxy groups include pyridyloxy, pyrazinyloxy, pyrazinyloxy, pyrazolyloxy, imidazolyloxy and thiophenyloxy. The term "heteroarylalkoxy" is used herein to mean any of the above-mentioned C1-10 alkoxy groups substituted by any of the above-mentioned heteroaryl groups, which may be optionally substituted.

[0076] A permeation enhancer is generally an agent that facilitates the active compounds of the invention.

[0077] A co-solvent (i.e., a latent solvent or indirect solvent) is an agent that becomes an effective solvent in the presence of an active solvent and can improve the properties of the primary (active) solvent.

[0078] The composition can be produced in concentrated form that includes little or no water. The composition can be diluted with water or some other solvent prior to use to treat plants, seeds, soil or vertebrates.

[0079] The details of one or more embodiments of the invention are set forth in the accompanying drawings and the description below. Other features, objects, and advantages of the invention will be apparent from the description and drawings, and from the claims.

40 DESCRIPTION OF DRAWINGS

[0080]

10

20

25

30

35

50

55

- Figure 1: Root galling seen in plants with no chemical applications (Fall trial).
- Figure 2: Typical root galling seen in plants treated with 2 kg/ha 4776 (Fall trial).
 - Figure 3: Typical root galling in plants treated with 2 kg/ha 4559 (Fall trial).
 - Figure 4: Typical root galling in plants treated with 2 kg/ha of the commercial nematicide oxamyl (Fall trial).
 - Figure 5: Root galling seen in plants with no chemical applications (Summer trial).
 - Figure 6: Typical root galling seen in plants treated with 4 kg/ha 5823 (Summer trial).
 - Figure 7: Typical root galling in plants treated with 4 kg/ha 5938 (Summer trial).

DETAILED DESCRIPTION

[0081] Described herein are certain compounds, some of which are oxadiazole analogs with potent broad spectrum nematicidal activity.

[0082] The nematicidal compounds may be supplied to plants exogenously, through sprays for example. These compounds may also be applied as a seed coat. The compounds can be applied to plants or the environment of plants needing nematode control, or to animals or the food of animals needing nematode parasite control. The compositions

may be applied by, for example drench or drip techniques. With drip applications compounds can be applied directly to the base of the plants or the soil immediately adjacent to the plants. The composition may be applied through existing drip irrigation systems. This procedure is particularly applicable for cotton, strawberries, tomatoes, potatoes, vegetables and ornamental plants. Alternatively, a drench application can be used where a sufficient quantity of nematicidal composition is applied such that it drains to the root area of the plants. The drench technique can be used for a variety of crops and turf grasses. The drench technique can also be used for animals. Preferably, the nematicidal compositions would be administered orally to promote activity against internal parasitic nematodes. Nematicidal compositions may also be administered in some cases by injection of the host animal or by topical applications.

[0083] The concentration of the nematicidal composition should be sufficient to control the parasite without causing significant phytotoxicity to the desired plant or undue toxicity to the animal host. The compounds disclosed in this invention have a good therapeutic window.

[0084] We have surprisingly found that certain oxadiazole analogs (e.g., 3-(4-chloro-2-methylphenyl)-5-(furan-2-yl)-1,2,4-oxadiazole) have nematicidal potencies comparable with organophosphate and carbamate standards yet display excellent selectivity for nematodes over plants and animals. Thus, these analogs will provide useful compounds for nematode parasite control.

[0085] The nematicidal agents described herein can be applied in conjunction with another pesticidal agents. The second agent may, for example, be applied simultaneously or sequentially. Such pesticidal agents can include for example, avermectins for animal applications.

[0086] The aforementioned nematicidal compositions can be used to treat diseases or infestations caused by nematodes of the following non-limiting, exemplary genera: Anguina, Ditylenchus, Tylenchorhynchus, Pratylenchus, Radopholus, Hirschmanniella, Nacobbus, Hoplolaimus, Scutellonema, Rotylenchus, Helicotylenchus, Rotylenchulus, Belonolaimus, Heterodera, other cyst nematodes, Meloidogyne, Criconemoides, Hemicycliophora, Paratylenchus, Tylenchulus, Aphelenchoides, Bursaphelenchus, Rhadinaphelenchus, Longidorus, Xiphinema, Trichodorus, and Paratrichodorus, Dirofiliaria, Onchocerca, Brugia, Acanthocheilonema, Aelurostrongylus, Anchlostoma, Angiostrongylus, Ascaris, Bunostomum, Capillaria, Chabertia, Cooperia, Crenosoma, Dictyocaulus, Dioctophyme, Dipetalonema, Dracunculus, Enterobius, Filaroides, Haemonchus, Lagochilascaris, Loa, Manseonella, Muellerius, Necator, Nematodirus, Oesophagostomum, Ostertagia, Parafilaria, Parascaris, Physaloptera, Protostrongylus, Setaria, Spirocerca, Stephanogilaria, Strongyloides, Strongylus, Thelazia, Toxascaris, Toxocara, Trichinella, Trichostrongylus, Trichuris, Uncinaria, and Wuchereria. Particularly preferred are nematodes including Dirofilaria, Onchocerca, Brugia, Acanthocheilonema, Dipetalonema, Loa, Mansonella, Parafilaria, Setaria, Stephanofilaria, and Wucheria, Pratylenchus, Heterodera, Meloidogyne, Paratylenchus. Species that are particularly preferred are: Ancylostoma caninum, Haemonchus contortus, Trichinella spiralis, Trichurs muris, Dirofilaria immitis, Dirofilaria tenuis, Dirofilaria repens, Dirofilari ursi, Ascaris suum, Toxocara canis, Toxocara cati, Strongyloides ratti, Parastrongyloides trichosuri, Heterodera glycines, Globodera pallida, Meloidogyne javanica, Meloidogyne incognita, and Meloidogyne arenaria, Radopholus similis, Longidorus elongatus, Meloidogyne hapla, and Pratvlenchus penetrans.

[0087] The following examples illustrate the invention and the compounds marked by "**" do not form part of the invention.

EXAMPLES

10

15

20

25

30

35

40

45

50

55

Example 1: *M. incognita* testing of several nematicidal compounds in a miniaturized greenhouse assay.

[0088] Overview: The test compound is dissolved in an acetone solution and added to water. A sprouted cucumber seedling is placed into a vial with dry sand and the water-chemical solution is added immediately. Twenty four hours later *Meloidogyne incognita* eggs are added to the vials and 10 to 12 days later the roots are evaluated for nematode galling.

Procedure:

[0089] Cucumber seeds are sprouted for 3 d in moist paper towels. Acceptable sprouts should be 3 to 4 cm long with several lateral roots just emerging. Stock solutions of chemistry are prepared in a mixture of acetone and Triton X100 (412 mg in 500 ml) to a final concentration of 5 mg/ml. The chemical stock solution is then added to 10 ml deionized water plus 0.015% Triton X100 and mixed thoroughly. This is enough to test each condition in triplicate. Ten ml dry sand is added to each vial. At this time the solubility of the chemistry is visually determined and recorded as either ppt (large precipitates) or cloudy (fine precipitates). Seedlings are planted by tilting the vial and laying the seedling in the correct orientation so that the cotyledons are just above the sand and then tilting back to cover the radicles with sand. 3.3 ml water/chemical mix is added to each vial and the vials placed in racks under fluorescent light banks. The vials are inoculated two days after planting by adding 500 vermiform *M. incognita* eggs to each vial in 50 ul of deionized or spring

water. The vials are then kept under the fluorescent lamps at ambient room temperature and watered as needed with 1ml deionized water, usually twice during duration of test. Harvest of the cucumber plants is done 10 to 12 d after inoculation by washing sand off the roots. A root gall rating and visual phytotoxicity rating is assigned using the following scales: Gall rating scale (Gall: % root mass galled): 0 = 0.5%; 1 = 6.20%; 2 = 21.50%; and 3 = 51.100%. The average of the triplicate gall rating is then calculated: green = 0.00-0.33 (no galls); yellow = 0.67-1.33 (mild galling); orange = 1.67-2.33 (moderate galling); red = 2.67-3.00 (severe galling). Visual phytotoxicity scale is also assigned (Vis. tox; visual reduction in root mass compared to the control): rs1 = mild stunting; rs2 = moderate stunting; rs3 = severe stunting.

Table 1A: Potent nematicidal oxadiazole 2-thiophene and 2-furan analogs showing examples of substitutions compatible with high activity

Name			companie with high delivity	
15 1846 Br 0 0 0 0 0 0 0 0 0		Name	Analog	8 ppm gall ratings
25 5181 0.33 30 5212	15	1822	S N N N N N N N N N N N N N N N N N N N	0
5212 5212 5213 F ₃ C N 0.33 40 5292** 0.67	20	1846	Br N N N N N N N N N N N N N N N N N N N	0
5213 F ₃ C 0.33 40 5292** 0.67		5181	F ₃ C N O	0.33
40 F ₃ C 0.67	35	5212	F ₃ C N O	1
45 N N N O	40	5213	F ₃ C S	0.33
50 5297** 0.33	45	5292**	N N N N N N N N N N N N N N N N N N N	0.67
55		5297**	N N N N N N N N N N N N N N N N N N N	0.33

(continued)

	Name	Analog	8 ppm gall ratings
5	5467	Br N	0
10 15	5468	N N N N N N N N N N N N N N N N N N N	1
20	5475	Br S O N	1.33
25	5478	F N N O	0
30	5479	F N N O	0
35 40	5523	CI	0
45	5527	CI	0.67
50	5556	N O	0.33

(continued)

	Name	Analog	8 ppm gall ratings
5	5622		0
15	5623		0
20	5625		0.33
25	5663	Br	0
30	5672	Br	0
35	Oxamyl		0.67 (1 ppm)

[0090] A variety of single substitutions on or in the six membered aromatic ring (e.g. pyrazine in place of phenyl) of the phenyl-2-furan and phenyl-2-thiophene oxadiazoles are compatible with high nematicidal activity. Examples of preferred single substitutions include halogens, CH_3 , CF_3 , OCF_3 and OCH_3 especially in the para position (4-position) of the phenyl ring. The phenyl ring can also be multiply substituted in a way compatible with high nematicidal efficacy. Ring numbering system is shown below.

55

 Table 1B:
 Examples of nematicidal oxadiazole analogs with potency comparable to commercial standards

Name	Analog	1 ppm gall ratings*
1822	N—O	0.33 ^a , 0.67 ^b , 0.33 ^c , 0 ^c
1846	Br N N	1.33 ^a , 0.67 ^b
5467	Br N N O	1.67 ^a , 1.33 ^b
5479	F N N N N N N N N N N N N N N N N N N N	1ª, 0.67 ^b
5523	CI	1ª, 1.33 ^b
5527	CI	1.67 ^a , 1 ^b
5823	CI NO O	1.67 ^a , 0.33 ^b , 0.33 ^e
5825	Br N	0 ^a , 0.33 ^b

(continued)

Name	Analog	1 ppm gall ratings*					
5383	N N N N N N N N N N N N N N N N N N N	1.33 ^a					
5882	CI	0.67ª					
5969	CI	1e					
Oxamyl		0.67 ^a ,1 ^b ,1.33 ^c ,1.33 ^d ,1 ^e					
Fenamiphos		0c,0d,0e					
*Data with the sa	*Data with the same letters are taken from the same test.						

[0091] Several phenyl-2-furan and phenyl 2-thiophene oxadiazoles have nematicidal potency equivalent to the commercial carbamate nematicide oxamyl and the commercial organophosphate nematicide fenamiphos. Oxamyl and fenamiphos are highly toxic compounds classified as toxicity Class I chemicals by the US Environmental Protection Agency. Also noteworthy is the fact that some multiply substituted analogs are especially nematicidal.

Table 1C: Nematicidal activity 3-furan and 3-thiophene analogs

Name	Analog	1 ppm gall rating*
5885	CI NO	1 ^a
5867	CI	1 ^a
5869	N O	1 ^a
Oxamyl		1.33 ^a , 1 ^b ,0.67 ^c
*Data with	n the same letters are taken from the same test.	

[0092] Strong nematicidal activity is not limited to 2-furan and 2-thiophene analogs and is also seen with 3-furan and 3-thiophene. Additionally certain substitutions on the 5-membered thiophene or furan rings appear to be well tolerated.

Table 1D: Comparison of nematicidal oxadiazoles with nematicidal pyrazoles and thiazoles

Name	Analog	8 ppm gall rating*	1ppm gall rat
5735	N N N N N N N N N N N N N N N N N N N	Oa	2 ^a
5738	S N O	O ^a	1.33ª
5741	N N N N N N N N N N N N N N N N N N N	Oa	1a
4776**	Br S	O ^a	Оа
1822	S N O	Oa	1.33ª
5663	Br N O	Ор	1.67 ^b

[0093] Oxazoles and oxadiazole analogs of the current invention show significant enhancement in nematicidal potency over comparable nematicidal pyrazoles or nematicidal thiazoles.

Example 2: General greenhouse testing protocols

50 Soybean planting and growth:

5

10

15

20

25

30

35

40

55

[0094] Soybeans seeds are planted in 100% sand in 5.1 cm (2 inch) square plastic pots. Chemical treatment is done when the soybeans show the first trifoliate beginning to emerge about 10 to 12 d after planting. At least four h after chemical application the nematode soybean cyst nematode (SCN) eggs are applied and 28 d after the egg inoculation the test is harvested.

Cucumber planting and growth

5

10

20

25

30

[0095] Cucumber seeds are planted in a sandy soil mixture in two inch square plastic pots. When the cotyledons are fully opened and just as the first leaf begins to emerge, usually 7 d after planting, chemistry for the 7 d treatment is applied. One week later the chemistry for the 0 d treatment is applied. Separate plants are used for each application. The plants are generally in the 1-2 leaf stage now. At least four hours after the chemistry application the pots are inoculated with root knot nematode (RKN) eggs. Plants are rated for galling 14 d after the egg inoculation.

Chemical formulation and application

[0096] One milligram of chemistry per four pots is equal to one kilogram per hectare of chemical. A standard test uses four replications. For rates above 2 kg/ha, the desired amount of chemical is weighed into a 30 ml vial (example: 8 kg/ha rate = 8 mg chemical in 30 ml vial). The chemical is dissolved in 2 ml of appropriate solvent, generally acetone. For rates below 2 kg/ha, 2 mg of chemistry is weighed into the vial and dissolved in 2 ml of the solvent. The appropriate amount of chemical concentrate is then pipetted into a separate 30 ml vial and solvent is added to bring the volume to 2 ml (example 0.5 kg/ha = 0.5 ml of concentrate + 1.5 ml solvent). Each dissolved concentrate is then brought to a total of 20 milliliters using 0.05% Triton X 100 surfactant solution.

Chemical and nematode application

[0097] Pots to be treated are moist but not saturated. To each of four pots, five milliliters of the appropriate chemical solution is pipetted to the media surface making sure to avoid contact with the base of the plant. Immediately following chemical application, using a mist nozzle, the pot surface is wetted sufficiently to saturate the pot watering in the chemistry. The chemical application is done in the morning.

[0098] Nematode eggs, either SCN or RKN, are added to distilled water to create a concentration of 1000 vermiform eggs per liter of water. At least four hours after chemical treatment the eggs are applied to the treated pots plus nontreated check plants. A small hole about 1 cm deep is punched into the pot surface. One milliliter of the nematode egg slurry is pipetted into the hole. Immediately afterwards the hole is gently covered. Watering of the test plants is then restricted to only water as needed to prevent wilt for a period of 24 h. After the 24 h restricted watering, normal subirrigation watering is done for the duration of the test.

Table 2A: SCN greenhouse sand assay on soybean plants

	Name	Analog	2 kg*	1 kg*	0.5 kg*	0.25kg*	0.1kg*
35 40	1822		100 ^a				
45	5181	F_3C	100ª				
50	5292		92 ^a				
55	5823	CI Z				- - - 69 ^d	- - - 38 ^d

(continued)

Name	Analog	2 kg*	1 kg*	0.5 kg*	0.25kg*	0.1kg*
Fenamiphos			98ª		-	-
			98 ^b		-	-
			94 ^c		-	-
					26 ^d	5 ^d

^{*}Rate in kg/ha. Data shows percent control (i.e., cyst number reduction) relative to the control blank treatment. Data with the same letters are taken from the same test.

[0099] The oxadiazoles of this invention are highly efficacious nematicides against soybean cyst nematode with potencies comparable to fenamiphos demonstrating that this area of chemistry has broad nematicidal spectrum.

Table 2B: RKN greenhouse soil assay on cucumber plants

Name	Analog	0 day	kg/ha r	ate*		7 day l	kg/ha rat	te*	
		1	0.25	0.1	0.05	1	0.25	0.1	0.05
5823	CI		95 ^a - 98 ^c	85 ^a - 91 ^c	53 ^a - 38 ^c				
5825	Br N	- 94 ^b	89 ^a 84 ^b	50 ^a	53 ^a	- 97 ^b			
5860	CI N N N N N N N N N N N N N N N N N N N	85 ^a	47 ^a			86ª			
1822	S N	89 ^a 81 ^b	60 ^a 64 ^b	47ª	7ª	85 ^a 75 ^b			
Fenam				- - 88 ^c	- - 79 ^c	100 ^a 77 ^b	67 ^a	40 ^a	67 ^a

^{*}Data shows percent control (i.e., galling reduction) relative to the control blank treatment. Data with the same letters are taken from the same test.

[0100] Certain oxadiazoles are highly efficacious nematicides in bioactive soil with potencies comparable to fenamiphos and activities that are resistant to biotic or abiotic degradation over a timeframe of least one week.

Table 2C: RKN greenhouse soil assay on cucumber plants showing comparison of two different formulations.

	Name	Analogs	Acetone 1 mg/kg*	Radex 1 mg/kg*
5	1822	N N N N N N N N N N N N N N N N N N N	94	98
15	5825	Br	96	96
20	1846	Br N O	88	86
25	5523	CI	86	86
30	5527		91	80
35	5479	F N N N N N N N N N N N N N N N N N N N	91	96
40	5467	Br N	73	88
45	Fenam		98	99

^{*}Data shows percent control (i.e., galling reduction) relative to the appropriate control blank treatment. The Acetone formulation is the standard 10% acetone in 0.05% Triton X 100 formulation described above. The Radix formulation was prepared by adding 10 mg of each compound to 150 mg of a mixture of 12% Triton X 100, 11% Agsolex 8, 33% Agsolex 1 and 44% Steposol SC (all by weight). Final was 6.25% active ingredient by weight.

[0101] The nematicidal activity of this area of chemistry is not compromised on moving from a typical screening formulation with high amounts of acetone to an emulsifiable concentrate format typical used in commercial applications.

55 **Example 3:** *C. elegans* testing protocols

50

[0102] Various compounds were tested for nematicidal activity against C. elegans using contact assays in wells. The

assays were performed as described below. The test compounds were solubilized in DMSO at 10 mg/ml to create 100X stock solutions. A dilution series was created by diluting the stock solution with DMSO. For each well assay 4 ul of the appropriate dilution is added to a well of a test plate.

[0103] A 400 μ l aliquot of bacterial stock (in M9 buffer with ampicillin and nystatin) are added to each well of the test plate. Worms are added and the test plate placed on a rotary shaker and held at 20 °C. Worms are examined and scored at 4 h, 24 h, 48 h and 72 h.

[0104] L1 worms and L4 worms were used in the assay. L1 worms are prepared by plating eggs on a plate without a bacterial feeding layer. The eggs hatch and arrest at the L1 stage. This L1 stage population is then used to create a stock for the experiments. To create an L4 stage stock a small number of worms are taken from an overgrown and starved plate of worms and seeded on a plate with a bacterial feeder layer. A 25 μ l aliquot of worms is added to each well in the assay.

10

Table 3: Three day C. elegans well assay of nematicidal oxadiazole and oxazole analogs

								I 1
15	Name	Analog	L1 1D*	L1 2D*	L1 3D*	L4 1D*	L4 2D*	L4 3D*
20	5820	Br N O	0.4	0.4	0.4	no	(25F1)	(6.3F1)
25	5821	Br N N O	0.4	0.4	0.4	no	(0.4F1)	(0.4F1)
30	5822	CI NO S	1.6	0.4	0.4	no	1.6	(1.6F1)
35	5823	CI	0.4	0.4	0.4	1.6	0.4	(0.4F1)
40	5824	Br N O	1.6	0.4	0.4	no	no	(1.6F1)
45	5825	Br N	0.4	0.4	0.4	1.6	1.6	(1.6F1)
50	5845	F N N N N N N N N N N N N N N N N N N N	no	1.6	0.4	no	25	(25F1)
55						•		

(continued)

Name	Analog	L1 1D*	L1 2D*	L1 3D*	L4 1D*	L4 2D*	L4 3D*
5846	F N	1.6	0.4	0.4	1.6	1.6	(1.6F1)
5847	F N N O	no	0.4	0.4	no	1.6	(1.6F1)
5848	Br N—O	1.6	0.4	0.4	1.6	1.6	(1.6F1)
5849	F N O	6.3	0.4	1.6	no	(6.3F1)	(6.3F1)
5850	Br N O	1.6	0.4	0.4	1.6	1.6	(1.6F1)
5860	CI S N—O	1.6	0.4	0.4	1.6	1.6	(1.6F1)
5861	CI NO	0.4	0.4	0.4	1.6	1.6	(1.6F1)
*EC50	I in parts per million of compound after one day, two d	ays or thr	ee days o	Lof exposu	re for L1	l larvae or l	L _4 larva∈

L4 data in parentheses refer to effects on the second generation larvae. ND: Experiment not done.

[0105] The free living nematode *C. elegans* is highly diverged genetically from the tylenchid parasites such as soybean

cyst nematode and root knot nematode. Therefore the nematicidal activity of these oxadiazoles against C. elegans L1

larvae and L4 larvae further confirms that this is chemistry is broadly active against various nematode species and stages.

Example 4: Mouse acute toxicity testing.

[0106] Acute oral toxicity testing was performed in mice in accordance with test method P203.UDP, as administered by Eurofins/Product Safety Laboratories (Dayton, New Jersey). CD-1/Swiss derived albino mice were obtained and group housed in suspended solid bottom caging. The mice were fed rodent chow and filtered tap water was supplied ad libitum. Following acclimation to the laboratory setting, a group of animals was fasted overnight by removing food from the cages. After the fasting period, three female mice were selected based on vitality and initial body weights. The individual compound doses were calculated from these body weights.

[0107] The test substance was prepared as a 1% (50 mg/kg) or 5% (500 mg/kg) weight to weight (w/w) mixture in a 0.5% w/w solution of carboxymethylcellulose (CMC) in distilled water. A tissue homogenizer was used to create a homogeneous mixture. A dose of 50 or 500mg/kg was administered to three healthy mice per dose level by oral intubation using a ball-tipped gavage needle attached to a syringe. After administration, the animals were returned to their cages, and feed was replaced immediately after dosing.

[0108] The animals were observed for mortality, signs of gross toxicity and behavioral changes during the first several hours post dosing and at least once daily for up to 14 days. Body weights were recorded prior to initiation and on Days 7 and 14 or a soon as possible after death.

[0109] Results were obtained for the following compounds:

1822:

10

15

20

25

30

35

40

50

55

[0110] At a dose of 50 mg/kg all animals survived, gained body weight, and appeared active and healthy. There were

no signs of gross toxicity, adverse pharmacologic effects, or abnormal behavior. At a dose of 500mg/kg all animals died within three days of test substance administration.

5960**:

[0111] At a dose of 500 mg/kg all animals survived, gained body weight, and appeared active and healthy. There were no signs of gross toxicity, adverse pharmacologic effects, or abnormal behavior.

[0112] Based on these mouse studies the oral toxicity of 1822 appears to be between 50 mg/kg and 500 mg/kg and that of 5960 to be greater than 500 mg/kg. In comparison, the oral LD50 for for aldicarb, oxamyl and fenamiphos in mice are 300 ug/kg, 2.3 mg/kg and 22.7 mg/kg respectively. Consequently, although the oxadiazole chemistry of this invention has broad spectrum nematicidal activity these compounds nonetheless show considerable improvement in safety over the commercial organophosphate and carbamate standards and over abamectin (oral mouse LD50 13.6 mg/kg) the active ingredient the nematicidal seed treatment AvictaTM.

Example 5: Advanced greenhouse testing protocols

Pre-plant incorporated test (PPI)

[0113] The PPI test examines the effect of pre-incorporation of compounds in soil and longer aging to simulate in furrow methods of nematicide application in the field. The PPI test exposes compounds to a higher volume of soil and drying which can result in more severe soil binding. Compounds are also aged for longer periods which can lead to more extensive biotic and abiotic degradation further limiting activity.

[0114] The chemically treated soil (sandy soil mix) for all treatment days (e.g., 7 d, 14 d, 21 d) treatments is potted into their appropriate pots. On the same day the 7 d treatment pots are seeded. One week later eggs are applied and

14 d after egg application the test is harvested. The 14 d treatments are planted 7 d after the first planting. The 14 d planting and 7 d inoculation happen on the same day. One week later the 14 d treatments are inoculated with eggs. These are harvested 14 d after the inoculation. The 21 d treatments are planted 14 d after the first planting. The 14 d inoculation and 21 d planting are done on the same day. One week later the 21 d plants are inoculated with eggs. The 7 d treatment is harvested the same day as the 21 d inoculation. 14 d after inoculation the 21 d plants are harvested.

Treatment	Planting	Inoculation	Harvest
7 d	day 0	day 7	day 21
14 d	day 7	day 14	day 28
21 d	dav 14	dav 21	dav 35

[0115] For each compound a stock is prepared using 4 mg material in 4 ml of acetone. The soil is mixed by placing 80 ml of field soil and 320 ml of sand in a plastic bag and mixing well. The formulation for treatment is done by adding 2.13 ml (8 kg/ha rate), 1.06 ml (4 kg/ha rate) or 0.53 ml (2 kg/ha rate) to a vial and raising it with 10 ml in 0.05% X100. Soil is then treated by adding the entire 10 ml to the 400 ml of mix in the bag. The treated soil is immediately mixed well in the sealed bag to distribute the compound evenly. Approximately 95 ml is used to fill each 5.1 cm (2-inch) square pot up to the top with some soil compression and flattening. For each compound and for the control treatments 4 pots are filled. All pots are watered until moist but with no run-out through the bottom.

[0116] The PPI test simulates 8, 4 and 2 kg/ha rates incorporated 15 cm deep in the field and is equivalent to the 2, 1 and 0.5 kg/ha drench application rates in the standard 5.1 cm (2-inch) pot cucumber greenhouse assay.

Table 5A: Seven day pre-plant incorporated greenhouse studies of root knot nematode on cucumber plants

Name	Analog	8 ka/ha rate*	4 kg/ha rate*
1822	N N N N N N N N N N N N N N N N N N N	99	99
5213	F ₃ C N N N N N N N N N N N N N N N N N N N	98	85
Fenamiphos		100	96

Table 5B: Fourteen day pre-plant incorporated greenhouse studies of root knot nematode on cucumber plants

Name	Analog	8 ka/ha rate*	4 kg/ha rate*	2 kg/ha rate*
1822	S N	100ª	97ª	67ª
5467	Br N N N N N N N N N N N N N N N N N N N	100ª	76ª	71a

(continued)

	Name	Analog	8 ka/ha rate*	4 kg/ha rate*	2 kg/ha rate*
5	5479	E No Section 1997	100ª	89 ^a	71ª
10 15	5523	CI	99a	87 ^a	59 ^a
20	5527	CI	96 ^a	90a	57 ^a
25	5823	CI	100 ^a 100 ^b	98 ^a 94 ^b	85 ^a
30	5825	Br N O	96ª	98a	69 ^a
35	Fenamiphos		100 ^a 100 ^b	99 ^a 100 ^b	88 ^a
	*D-4b	ant control (i.e. galling raduation) relative to the control			1 - 44

^{*}Data shows percent control (i.e., galling reduction) relative to the control blank treatment. Data with the same letters are taken from the same test.

Table 5C: Twenty one day pre-plant incorporated greenhouse studies of root knot nematode on cucumber plants

40

45

50

Name	Analog	8 ka/ha rate*	4 kg/ha rate*
1822	S	95	82
4776**	Br	80	50
Fenamiphos		99	84

Example 6: Summer nematicidal field evaluation of pre-plant incorporated (PPI) compounds for control of *Meloidogyne incognita* on squash

[0117] Test plots of 33 cm diameter holes were bored 41 cm deep into clay soil and filled with a mixture of 80% sand and 20% silt loam soil. Technical compound for each treatment was dissolved in 50 ml acetone containing 250 μl of Triton X-100 surfactant. This solution was added to 450 ml water and poured onto 95 1 of sand/soil mixture in a rotating drum mixer. While continuing to rotate the mixing drum 66 g of chopped, galled, tomato roots was added and thoroughly distributed. The treated soil was sufficient to fill the top 15 cm of each of the 6 replicate plots, thus simulating a PPI treatment. The plots were then watered lightly and a mixture of *M. incognita* eggs and larvae were injected 5 cm deep at 5 points within the plot (100k eggs/larvae in 10 ml per plot). Three-week old squash (cv. Liberator III) with 1 fully expanded true leaf was planted 4 days after soil treatment, one per plot.

			0-3			total		
		0-3 vigor	vigor 21	rootwgt(g)31	topwgt(lbs)31	fruit	gall %	feeder root (3=ave)
15		16DAP	DAP	DAP	DAP	(lbs)	31 DAP	31 DAP
	5523 4kg	3.0	3.0	26.3	1.31	1.24	26	3.0
	5823 4kg	3.0	3.0	22.6	1.45	1.44	3	2.7
	5891 4kg	3.0	2.8	27.5	1.43	1.22	28	3.0
00	fosthiazate							
20	2kg	3.0	3.0	26.4	2.01	1.25	5	2.3
	oxamyl 4kg	2.7	2.5	37.0	1.16	1.09	85	3.0
	blank	1.5	1.2	23.4	0.30	0.38	90	2.7

[0118] Chopped gall inoculum combined with eggs/juveniles provided high pressure and rapid development of symptoms. PPI applications of DC5823 provided excellent control at 4 kg/ha. DC5523 and DC5891 also provided significant control at 4 kg/ha.

Example 7: Seed treatment test of root knot nematode on cucumber plants and soybean cyst nematode on soybean plants

[0119] For a given concentration the chemical is dissolved in 500 μ l of acetone and one gram of cucumber seed (RKN test) or soybean seed (SCN test) is added (e.g., 20 mg active ingredient in 500 μ l acetone plus 1 g of seed). The seed solutions are agitated until all seeds were thoroughly covered with the chemical solution. The acetone is then allowed to evaporate by air drying the seeds. The seeds are planted in 5.1 cm (2-inch) pots containing sandy soil and then the pots are inoculated with 1000 *Meloidogyne incognita* (RKN) or 1000 *Heterodera glycines* (SCN) eggs per pot three days after planting. Plants are rated for galling 14 d after egg inoculation for RKN or 28 d after egg inoculation for SCN.

Table 7A: Seed treatment activity against root knot nematode using cucumber seeds

Name	Analog	20 mg ai/gram seed*
1822	N—O	76
Abamectin [#]		84
*Data shows percent control #Abamectin positive control	ol (i.e., galling reduction) relative to the control blank treat lat 10 mg ai/gram seed.	ment.

55

50

10

25

30

35

40

Table 7B: Seed treatment activity against soybean cyst nematode using soybean seeds

Name	Analog	1.5 mg*	0.375 mg*
5527	CI NOO	71 ^a	43ª
5479	F N N S	88 ^a 83 ^b	67 ^a 69 ^b
1822	S N N N N N N N N N N N N N N N N N N N	70 ^a	58ª
5847	F N N N N N N N N N N N N N N N N N N N	- 80b	- 66 ^b
5878	F N O	- 77 ^b	- 43 ^b
Oxamyl		- 71 ^b	- -4 ^b
Thiodicarb		-23ª	6a
Abamectin		-24 ^a	-14 ^a

*Data shows percent cyst reduction relative to control blank treatment. Rates are mg ai/gram seed. Data with the same letters are taken from the same test.

[0120] Oxadiazole analogs are versatile nematicides showing activity as seed treatments in addition to drench applications and soil pre-incorporation methods.

50

55

Example 8: The claimed structures do not induce an apoptosis marker in mammalian cells and do not kill nematodes by causing apoptosis

[0121] Previous studies have shown that induction of the pro-apoptotic caspase-3 protease through the cleavage of specific fluorogenic substrates is a reliable method of measuring the induction of apoptosis, and certain chloro and bromo substituted thiophene and furan oxadiazoles were identified after high-throughput screening for caspase-3 induction in mammalian cells (Zhang HZ, Kasibhatla S, Kuemmerle J, Kemnitzer W, Ollis-Mason K, Qiu L, Crogan-Grundy C, Tseng B, Drewe J, Cai SX. Discovery and structure-activity relationship of 3-aryl-5-aryl-1,2,4-oxadiazoles as a new series of apoptosis inducers and potential anticancer agents. J Med Chem. 2005 48(16):5215-23).

[0122] To evaluate whether the compound classes of this invention are able to induce apoptosis, caspase-3 activity was determined after compound exposure in rat hepatoma derived H4IIE cells using a caspase substrate (DEVD, Asp-

Glu-Val-Asp) labeled with a fluorescent molecule, 7-Amino-4-methylcoumarin (AMC). Caspase 3 cleaves the tetrapeptide between D and AMC, thus releasing the fluorogenic green AMC. Following the test article exposure to cells in 96-well plates, medium was aspirated from plates and PBS added to each well. Plates were stored at -80 °C to lyse cells and store samples until further analysis. On the day of analysis, plates were removed from freezer and thawed. Caspase buffer with fluorescent substrate was added to each well and incubated at room temperature for one hour. AMC release was measured in a spectrofluorometer at an excitation wavelength of 360 nm and an emission wavelength of 460 nm. Values are expressed as relative fluorescent units (RFU). In contrast to paclitaxel, camptothecin, and staurosporine, which were reportedly capable of inducing apoptosis in a variety of cell lines at or below doses of 1 μ M doses, no induction of caspase-3 is observed for DC1822 and DC5823 at concentrations up to 300 μ M in this system.

[0123] To confirm that these compounds do not affect nematodes by induction of apoptosis, *Caenorhabditis elegans* mutants defective in the apoptotic pathway, ced-3(n717) and *ced-4(N1162)* mutants (Ellis HM, Horvitz HR. Genetic control of programmed cell death in the nematode C. elegans. 1986 Cell 44:817-829), were evaluated for susceptibility to 10 µg/ml DC5823 on NGM agar plates. No observable phenotypic difference in susceptibility between the wild-type C. *elegans* strain (N2 Bristol) and the *ced-3* and ced-4 mutants were observed, including time to mortality.

[0124] These data indicate that the claimed structures do not affect apoptosis in either mammalian cells or nematodes.

Example 9: Description of synthesis of the compounds of the Formula IV to V.

5

10

15

20

25

30

35

40

45

50

55

[0125] The compounds of this invention of the Formulas IV to V may be prepared using methods known to those skilled in the art.

[0126] Specifically, the compounds of this invention with Formulae IVa and IVb can be prepared as illustrated by the exemplary reaction in Scheme 1. The benzonitrle **1** is converted to the corresponding hydroxyimidate **2** when reacted with hydroxylamine hydrochloride in the presence of DIEA in methanol at room temperature overnight. Then the benzohydroxyimidate **2** is acylated with an appropriate furan or thiophene carbonyl chloride (R2-CO-Y) in the presence of pyridine, followed with DCC dehydration to give the 3,5-disubstituted-1,2,4-oxadiazole product.

Scheme 1: Synthetic scheme to compounds of the Formula IVa and IVb

NH2OH
$$R_1$$

$$R_1$$

$$R_1$$

$$R_1$$

$$R_2$$

$$R_1$$

$$R_1$$

$$R_2$$

$$R_1$$

$$R_2$$

$$R_2$$

$$R_3$$

$$R_2$$

$$R_4$$

$$R_1$$

$$R_2$$

$$R_3$$

$$R_4$$

$$R_2$$

$$R_4$$

$$R_4$$

$$R_2$$

$$R_4$$

$$R_2$$

$$R_4$$

$$R_4$$

$$R_2$$

$$R_4$$

$$R_4$$

$$R_5$$

$$R_4$$

$$R_5$$

$$R_6$$

$$R_7$$

$$R_8$$

$$R_9$$

$$R_9$$

$$R2 = \begin{array}{c|c} S & R_3 & O & R_3 \\ \hline \end{array} \begin{array}{c} S & R_3 \\ \hline \end{array} \begin{array}{c} O & R_3 \\ \hline \end{array} \begin{array}{c} S & R_3 \\ \hline \end{array} \begin{array}{c} O & R_3 \\ \hline \end{array}$$

[0127] Specifically, the compounds of this invention with Formulae Va and Vb can be prepared as illustrated by the exemplary reaction in Scheme 2.

Scheme 2: Synthetic scheme to compounds of the Formula Va and Vb

[0128] First, the appropriate analog of furan or thiophene nitrile 1 is converted to the corresponding hydroxyimidate 2 by reacting with hydroxylamine in methanol in the presence of DIEA. Then, the intermediate 2 is reacted with the appropriately substituted benzoyl chloride 3 in pyridine-dioxnae to give the desired 3,5-disubstituted-1,2,4-oxadiazole

product 4.

10

15

20

25

30

55

Formula IVa Example: 3-(4-Chloro-2-methyl-phenyl)-5-furan-2-yl-[1,2,4-]oxadiazole:

[0129] In a 500 ml round-bottom flask, 4-chloro-2-methylbenzonitrile (10 g, 66 mmol) was dissolved in 200 ml of methanol. To the mixture was added hydroxylammonium chloride (4.56 g, 66 mmol) followed by DIEA (diisopropylethylamine) (23 ml, 132 mmol). The mixture was heated at reflux for overnight. The solvents were removed. The residue was dissolved in 200 ml of CHCl₃. To the mixture was added 2-furoyl chloride (10.5 ml, 66 mmol) followed by DIEA (23 ml, 132 mmol).

[0130] After reaction completion, the mixture was extracted with chloroform and water. The organic layer was separated, washed with brine, dried over Na₂SO₄, filtered and evaporated to dryness.

[0131] The residue was dissolved in 200 ml of dioxanes. To the mixture was added 1 eq of DIC (N, N'-diisopropylcar-bodiimide) followed by 1 eq of DIEA. The mixture was then heated at reflux overnight. After reaction completion, the mixture was cooled down. The solvents were removed in vacuo. The residue was then extracted with ethyl acetate and water. The organic layer was separated, washed with brine, dried over Na_2SO_4 , filtered and evaporated to dryness. The crude was purified by flash chromatography on silica gel in a 0-20% ethyl acetate/ hexanes gradient to afford 4.96 g of the desired compound 3-(4-Chloro-2-methyl-phenyl)-5-furan-2-yl-[1,2,4-]oxadiazole as a white powder in an overall yield of 28.8 %. Molecular Formula: $C_{13}H_9CIN_2O_2$; MW 260.04; HPLC purity 99.9% (254 nm); LC-ESMS: t_R = 7.55 min; m/z 261.1 (M+1); ¹H-NMR (250 MHz, D_6 -DMSO): 8.18-8.19 (m, 1H), 7.98-8.01 (d, J=8.3,1H), 7.64-7.65 (m, 1H), 7.52-7.56 (m, 1H), 7.46-7.50 (m, 1H), 6.87-6.89 (m, 1H), 2.59 (s, 3H)

Formula IVa Example: 3-(4-Bromo-2-methyl-phenyl)-5-furan-2-yl-[1,2,4]-oxadiazole:

[0132] In a 500 ml round-bottom flask, 4-bromo-2-methylbenzonitrile (5 g, 25 mmol) was dissolved in 200 ml of methanol. To the mixture was added hydroxylammonium chloride (1.72 g, 25 mmol) followed by DIEA (diisopropylethylamine) (8.7 ml, 50 mmol). The mixture was heated at reflux for overnight. The solvents were removed. The residue was dissolved in 200 ml of CHCl₃. To the mixture was added 2-furoyl chloride (3.97 ml, 25 mmol) followed by DIEA (8.7 ml, 50 mmol). [0133] After reaction completion, the mixture was extracted with chloroform and water. The organic layer was separated, washed with brine, dried over Na₂SO₄, filtered and evaporated to dryness.

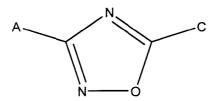
[0134] The residue was dissolved in 200 ml of dioxanes. To the mixture was added 1 eq of DIC (N, N'-diisopropylcar-bodiimide) followed by 1 eq of DIEA. The mixture was then heated at reflux overnight. After reaction completion, the mixture was cooled down. The solvents were removed in vacuo. The residue was then extracted with ethyl acetate and water. The organic layer was separated, washed with brine, dried over Na_2SO_4 , filtered and evaporated to dryness. The crude was purified by flash chromatography on silica gel in a 0-20% ethyl acetate/ hexanes gradient to afford 2.23 g of the desired compound 3-(4-Bromo-2-methyl-phenyl)-5-furan-2-yl-[1,2,4]-oxadiazole as a white powder in an overall yield of 36%. Chemical Formula: C13H9BrN2O2; MW: 305.13; HPLC Purity > 99.0%; (254 nm) ESMS: t_R = 7.81 min; m/z 305.1 (M+1); 1 H-NMR (250 MHz, D_6 -DMSO): 8.18-8.19 (m, 1 H), 7.92 (d, J= 8.3, 1H), 7.58-7.70 (m, 3H), 6.86 - 6.90 (m, 1H), 2.59 (s, 3H)

Formula Va Example: 5-(4-chloro-2-methylphenyl)-3-(furan-2-yl)-1,2,4-oxadiazole

[0135] To a solution of 2-furonitrile (1.9 g, 20 mmol) in MeOH (50 ml) was added hydroxylamine hydrochloride (1.4 g, 20 mmol) and triethylamine (2.1 g, 20 mmol). The mixture was heated to reflux overnight. After cooling to room temperature the mixture was concentrated in vacuo. The residue was stirred with EtOAc (50 ml). The solid was filtered off and the filtrate was concentrated to a thick oil, 2.5 g (99%). The H-NMR spectra was in accordance with the desired hydroxyamidine compound which was contaminated with Et₃N.HCl. The crude product resulted in this reaction was used without the purification in the next step. To a suspension of 4-chloro-2-methylbenzoic acid (3.4 g, 20 mmol) in dichloromethane (50 ml) was added one drop of DMF followed by oxalylchloride (3.2 g, 25 mmol). The mixture was stirred overnight during which time all solid dissolved. The mixture was concentrated in vacuo and stripped with dichloromethane to remove excess oxalylchloride. The residual acid chloride was taken in dioxane/ pyridine (10/1, 55 ml) and hydroxyamidine compound (2.5 g, 20 mmol) was added. The mixture was heated to reflux for 3 h. After cooling to room temperature, water was added (100 ml) and the resulting solid was collected by filtration and dried to give 6.2 g of crude product. [0136] Recrystallizaton from MeOH (40 ml) gave pure 5-(4-chloro-2-methylphenyl)-3-(furan-2-yl)-1,2,4-oxadiazole 2.6 g (yield 47%). Molecular Formula: $C_{13}H_9\text{CIN}_2\text{O2}$; MW 260.04; HPLC purity: >99.9 % (216 nm); 99.9% (324 nm); LC-ESMS: t_R = 9.46 min; m/z 261.1 (M+1); 1 H-NMR (300MHz, CDCl₃): 8.10 (dd, J=8.1, 1H), 7.63-7.66 (m, 1H), 7.32-7.42 (m, 2H), 7.18-7.22 (d d, J=2.7, 0.9, 1H), 6.58-6.62 (m, 1H), 2.89 (s, 3H).

Claims

1. A method for control of nematodes, the method comprising administering to a plant, a seed, or soil a composition comprising an effective amount of a compound of Formula (IV), Formula (V), or a salt thereof:



Formula IV

15

20

5

10

Formula V

25

wherein,

A is selected from phenyl, pyrazyl, oxazolyl and isoxazolyl, each of which can be optionally independently substituted with one or more substituents selected from: halogen, CF₃, CH₃, OCF₃, OCH₃, CN, and C(H)O; and C is selected from thienyl, furanyl, oxazolyl and isoxazolyl, each of which can be optionally independently substituted with one or more substituents selected from: F, Cl, CH₃, and OCF₃.

30

2. The method of claim 1 wherein the composition comprises an effective amount of a compound of Formula (IV) or a salt thereof.

35

3. The method of claim 2 wherein A is pyrazyl, optionally independently substituted with one or more substituents selected from: halogen, CF₃, CH₃, OCF₃, OCH₃, CN, and C(H)O.

4. The method of claim 2 wherein A is phenyl, optionally independently substituted with one or more substituents selected from: halogen, CF₃, CH₃, OCF₃, OCH₃, CN, and C(H)O.

40

5. The method of claim 2 wherein A is oxazolyl, optionally independently substituted with one or more substituents selected from: halogen, CF₃, CH₃, OCF₃, OCH₃, CN, and C(H)O.

45

6. The method of claim 2 wherein A is isoxazolyl, optionally independently substituted with one or more substituents selected from: halogen, CF₃, CH₃, OCF₃, OCH₃, CN, and C(H)O.

__

7. The method of any of claims 2-6 wherein C is thienyl, optionally independently substituted with one or more substituents selected from: F, Cl, CH₃, and OCF₃.

50

3. The method of any of claims 2-6 wherein C is furanyl, optionally independently substituted with one or more substituents selected from: F, Cl, CH₃, and OCF₃.

9. The method of any of claims 2-6 wherein C is oxazolyl, optionally independently substituted with one or more substituents selected from: F, Cl, CH₃, and OCF₃.

55

10. The method of any of claims 2-6 wherein C is isoxazolyl, optionally independently substituted with one or more substituents selected from: F, Cl, CH₃, and OCF₃.

11. The method of claim 1 wherein the composition comprises an effective amount of a compound of Formula IVa or a salt thereof,

$$R_3$$
 R_4
 R_5
 R_9
 R_8

Formula IVa

wherein,

5

10

15

20

25

30

35

45

50

 $\rm R_1$ and $\rm R_5$ are independently selected from hydrogen, $\rm CH_3$, F, Cl, Br, $\rm CF_3$, and $\rm OCF_3$;

 R_2 and R_4 are independently selected from hydrogen, F, Cl, Br, and CF_3 ;

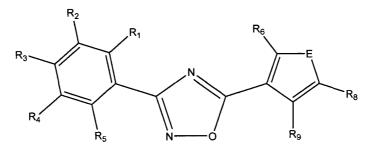
 $\mathsf{R}_3 \text{ is selected from hydrogen, CH}_3, \mathsf{CF}_3, \mathsf{F}, \mathsf{CI}, \mathsf{Br}, \mathsf{OCF}_3, \mathsf{OCH}_3, \mathsf{CN}, \mathsf{and} \ \mathsf{C(H)O};$

R₇ and R₈ are independently selected from hydrogen and F;

R₉ is selected from hydrogen, F, Cl, CH₃, and OCF₃; and

E is O or S.

12. The method of claim 1 wherein the composition comprises an effective amount of a compound of Formula IVb or a salt thereof



Formula IVb

40 wherein,

 R_1 and R_5 are independently selected from hydrogen, CH_3 , F, Cl, Br, CF_3 , and OCF_3 ;

 R_2 and R_4 are independently selected from hydrogen, F, Cl, Br, and CF_3 ;

 R_3 is selected from hydrogen, CH_3 , CF_3 , F, CI, Br, OCF_3 , OCH_3 , CN, and C(H)O;

R₈ is selected from hydrogen and F;

 R_6 and R_9 , are independently selected from hydrogen, F, Cl, CH_3 , and OCF_3 ; and

E is O or S.

13. The method of claim 11 or 12 wherein E is O.

14. The method of claim 11 or 12 wherein E is S.

15. The method of claim 1 wherein the composition comprises an effective amount of a compound of Formula (V)

Formula V

wherein,

5

10

15

20

25

30

35

40

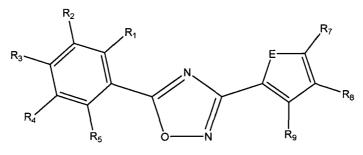
45

50

55

A is selected from phenyl, pyrazyl, oxazolyl and isoxazolyl, each of which can be optionally independently substituted with one or more substituents selected from: halogen, CF₃, CH₃, OCF₃, OCH₃, CN, and C(H)O; and C is selected from thienyl, furanyl, oxazolyl and isoxazolyl, each of which can be optionally independently substituted with one or more substituents selected from: F, Cl, CH₃, and OCF₃.

16. The method of claim 15 wherein the composition comprises an effective amount of a compound having Formula Va or a salt thereof,



Formula Va

wherein,

 R_1 and R_5 are independently selected from hydrogen, CH_3 , F, Cl, Br, CF_3 , and OCF_3 ,

 R_2 and R_4 are independently selected from hydrogen, F, Cl, Br, and CF_3 ;

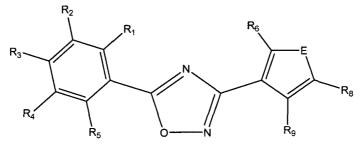
 $\mathsf{R}_3 \text{ is selected from hydrogen, CH}_3, \mathsf{CF}_3, \mathsf{F}, \mathsf{CI}, \mathsf{Br}, \mathsf{OCF}_3, \mathsf{OCH}_3, \mathsf{CN}, \mathsf{and} \ \mathsf{C(H)O};$

R₇ and R₈ are independently selected from hydrogen and F;

 R_9 is selected from hydrogen, F, Cl, CH_3 , and OCF_3 ; and

E is O or S.

17. The method of claim 15 wherein the composition comprises an effective amount of a compound having Formula Vb or a salt thereof,



Formula Vb

wherein,

 $\rm R_1$ and $\rm R_5$ are independently selected from hydrogen, CH $_3$, F, CI, Br, CF $_3$, and OCF $_3$; R $_2$ and R $_4$ are independently selected from hydrogen, F, CI, Br, and CF $_3$; R $_3$ is selected from hydrogen, CH $_3$, CF $_3$, F, CI, Br, OCF $_3$, OCH $_3$, CN, and C(H)O; R $_8$ is selected from hydrogen and F; R $_6$ and R $_9$ are independently selected from hydrogen, F, CI, CH $_3$, and OCF $_3$; and E is O or S.

- 18. The method of claim 16 or 17 wherein E is O.
- 10 **19.** The method of claim 16 or 17 wherein E is S.

5

30

35

40

45

50

- 20. The method of any one of claims 1 to 19 wherein the composition includes one or more of: a surfactant and a co-solvent.
- 21. The method of any one of claims 1 to 19 wherein the composition includes one or more of: a fungicide, a herbicide, and another pesticide.
 - 22. The method of any one of claims 1 to 19 wherein the composition is applied to a plant.
- 20 23. The method of any one of claims 1 to 19 wherein the composition is applied to a seed.
 - 24. The method of any one of claims 1 to 19 wherein the composition is applied to soil.
- 25. The method of claim 1 wherein the composition is applied to plant or seed of a plant susceptible to infection by a nematode selected from the group consisting of: M. incognita, H. glycines, B. longicaudatus, H. contortus, A. suum, B. malayi, and Globodera pallida; or by a nematode of one of the following genera: Pratylenchus, Heterodera, Meloidogyne, Rotylenchulus, Hoplolaimus, Belonolaimus, Longidorus, Paratrichodorus, Ditylenchus, Xiphinema, Helicotylenchus, Radopholus, Hir-
 - 26. The method of claim 21 wherein the insecticide, fungicide, herbicide or the pesticide is selected from the group consisting of: avermectin, ivermectin, milbemycin, imidacloprid, aldicarb, oxamyl, fenamiphos, fosthiazate, metam sodium, etridiazole, penta-chloro-nitrobenzene, flutolanil, metalaxyl, mefonoxam, fosetyl-al, silthiofam, fludioxonil, myclobutanil, azoxystrobin, chlorothalonil, propiconazole, tebuconazole, pyraclostrobin, trifloxysulfuron, glyphosate and halosulfuron.
 - 27. A method of claim 1 wherein the compound of formula (IV) or (V) is selected from:
 - 3-phenyl-5-(thiophen-2-yl)-1,2,4-oxadiazole,

schmanniella, Tylenchorhynchus, and Trichodorus.

- 5-(furan-2-yl)-3-phenyl-1,2,4-oxadiazole,
- 3-(4-fluorophenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole,
- 3-(4-fluorophenyl)-5-(furan-2-yl)-1,2,4-oxadiazole,
- 3-(4-chlorophenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole,
- 3-(4-chlorophenyl)-5-(furan-2-yl)-1,2,4-oxadiazole,
- 3-(4-bromophenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazole,
- 3-(4-bromophenyl)-5-(furan-2-yl)-1,2,4-oxadiazole,
- 3-(4-chloro-2-methylphenyl)-5-(furan-2-yl)-1,2,4-oxadiazole,
- 3-(2,4-dichlorophenyl)-5-(furan-2-yl)-1,2,4-oxadiazole,
- 5-(4-chloro-2-methylphenyl)-3-(furan-2-yl)-1,2,4-oxadiazole,
- 3-(4-chlorophenyl)-5-(thiophen-3-yl)-1,2,4-oxadiazole, and
- 3-(4-chlorophenyl)-5-(furan-3-yl)-1,2,4-oxadiazole.
- 28. The method of claim 27, wherein an effective amount of 3-phenyl-5-(thiophen-2-yl)-1,2,4-oxadiazole is applied to the plant, seed or soil.

Patentansprüche

5

10

15

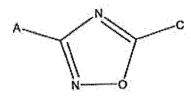
20

25

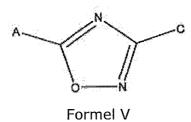
30

45

1. Verfahren zur Bekämpfung von Nematoden, wobei das Verfahren das Verabreichen einer Zusammensetzung, die eine wirksame Menge einer Verbindung der Formel (IV), Formel (V) oder eines Salzes davon umfasst, an eine Pflanze, einen Samen oder einen Boden umfasst:



Formel IV



wobei

A aus Phenyl, Pyrazyl, Oxazolyl und Isoxazolyl ausgewählt ist, die jeweils gegebenenfalls unabhängig mit einem oder mehreren Substituenten, die aus Halogen, CF₃, CH₃, OCF₃, OCH₃, CN und C(H)O ausgewählt sind, substituiert sein können; und

C aus Thienyl, Furanyl, Oxazolyl und Isoxazolyl ausgewählt ist, die jeweils gegebenenfalls unabhängig mit einem oder mehreren Substituenten, die aus F, Cl, CH₃ und OCF₃ ausgewählt sind, substituiert sein können.

- 2. Verfahren gemäß Anspruch 1, wobei die Zusammensetzung eine wirksame Menge einer Verbindung der Formel (IV) oder eines Salzes davon umfasst.
 - **3.** Verfahren gemäß Anspruch 2, wobei A = Pyrazyl ist, das gegebenenfalls unabhängig mit einem oder mehreren Substituenten, die aus Halogen, CF₃, CH₃, OCF₃, OCH₃, CN und C(H)O ausgewählt sind, substituiert ist.
- **40 4.** Verfahren gemäß Anspruch 2, wobei A = Phenyl ist, das gegebenenfalls unabhängig mit einem oder mehreren Substituenten, die aus Halogen, CF₃, CH₃, OCF₃, OCH₃, CN und C(H)O ausgewählt sind, substituiert ist.
 - **5.** Verfahren gemäß Anspruch 2, wobei A = Oxazolyl ist, das gegebenenfalls unabhängig mit einem oder mehreren Substituenten, die aus Halogen, CF₃, CH₃, OCF₃, OCH₃, CN und C(H)O ausgewählt sind, substituiert ist.
 - **6.** Verfahren gemäß Anspruch 2, wobei A = Isoxazolyl ist, das gegebenenfalls unabhängig mit einem oder mehreren Substituenten, die aus Halogen, CF₃, CH₃, OCF₃, OCH₃, CN und C(H)O ausgewählt sind, substituiert ist.
- 7. Verfahren gemäß einem der Ansprüche 2 bis 6, wobei C = Thienyl ist, das gegebenenfalls unabhängig mit einem oder mehreren Substituenten, die aus F, Cl, CH₃ und OCF₃ ausgewählt sind, substituiert ist.
 - **8.** Verfahren gemäß einem der Ansprüche 2 bis 6, wobei C = Furanyl ist, das gegebenenfalls unabhängig mit einem oder mehreren Substituenten, die aus F, Cl, CH₃ und OCF₃ ausgewählt sind, substituiert ist.
- 9. Verfahren gemäß einem der Ansprüche 2 bis 6, wobei C = Oxazolyl ist, das gegebenenfalls unabhängig mit einem oder mehreren Substituenten, die aus F, Cl, CH₃ und OCF₃ ausgewählt sind, substituiert ist.
 - 10. Verfahren gemäß einem der Ansprüche 2 bis 6, wobei C = Isoxazolyl ist, das gegebenenfalls unabhängig mit einem

oder mehreren Substituenten, die aus F, Cl, CH₃ und OCF₃ ausgewählt sind, substituiert ist.

11. Verfahren gemäß Anspruch 1, wobei die Zusammensetzung eine wirksame Menge einer Verbindung der Formel IVa oder eines Salzes davon umfasst:

 R_3 R_4 R_5 R_7 R_7 R_8

Formel IVa

wobei

5

10

15

20

25

30

35

40

45

50

 $\rm R_1$ und $\rm R_5$ unabhängig aus Wasserstoff, $\rm CH_3, F, CI, Br, CF_3$ und $\rm OCF_3$ ausgewählt sind;

 R_2 und R_4 unabhängig aus Wasserstoff, F, Cl, Br und CF₃ ausgewählt sind; R_3 aus Wasserstoff, CH₃, CF₃, F, Cl, Br, OCF₃, OCH₃, CN und C(H)O ausgewählt ist;

R₇ und R₈ unabhängig aus Wasserstoff und F ausgewählt sind;

 $\rm R_9$ aus Wasserstoff, F, Cl, $\rm CH_3$ und $\rm OCF_3$ ausgewählt ist; und

E = O oder S ist.

12. Verfahren gemäß Anspruch 1, wobei die Zusammensetzung eine wirksame Menge einer Verbindung der Formel IVb oder eines Salzes davon umfasst:

 R_3 R_4 R_5 R_7 R_9 R_9

Formel IVb

wobei

 $\rm R_1$ und $\rm R_5$ unabhängig aus Wasserstoff, $\rm CH_3$, F, Cl, Br, $\rm CF_3$ und $\rm OCF_3$ ausgewählt sind;

 $\mathsf{R}_2 \text{ und } \mathsf{R}_4 \text{ unabhängig aus Wasserstoff, F, CI, Br und CF}_3 \text{ ausgewählt sind; } \mathsf{R}_3 \text{ aus Wasserstoff, CH}_3, \mathsf{CF}_3, \mathsf{F}_4, \mathsf{CF}_4, \mathsf{CF}_5, \mathsf{CF}_6, \mathsf{CF}_7, \mathsf{CF}_8, \mathsf{CF}_8$

CI, Br, OCF₃, OCH₃, CN und C(H)O ausgewählt ist;

R₈ aus Wasserstoff und F ausgewählt ist;

 R_6 und R_9 unabhängig aus Wasserstoff, F, Cl, CH_3 und OCF_3 ausgewählt sind; und

E = O oder S ist.

13. Verfahren gemäß Anspruch 11 oder 12, wobei E = O ist.

14. Verfahren gemäß Anspruch 11 oder 12, wobei E = S ist.

55 **15.** Verfahren gemäß Anspruch 1, wobei die Zusammensetzung eine wirksame Menge einer Verbindung der Formel (V) umfasst:

Formel V

10 wobei

5

15

20

25

30

35

40

45

50

55

A aus Phenyl, Pyrazyl, Oxazolyl und Isoxazolyl ausgewählt ist, die jeweils gegebenenfalls unabhängig mit einem oder mehreren Substituenten, die aus Halogen, CF_3 , CH_3 , OCF_3 , OCH_3 , CN und C(H)O ausgewählt sind, substituiert sein können; und

C aus Thienyl, Furanyl, Oxazolyl und Isoxazolyl ausgewählt ist, die jeweils gegebenenfalls unabhängig mit einem oder mehreren Substituenten, die aus F, Cl, CH_3 und OCF_3 ausgewählt sind, substituiert sein können.

16. Verfahren gemäß Anspruch 15, wobei die Zusammensetzung eine wirksame Menge einer Verbindung der Formel Va oder eines Salzes davon umfasst:

 R_3 R_4 R_5 R_7 R_9

Formel Va

wobei

 $\mathsf{R}_1 \text{ und } \mathsf{R}_5 \text{ unabhängig aus Wasserstoff, } \mathsf{CH}_3, \mathsf{F}, \mathsf{CI}, \mathsf{Br}, \mathsf{CF}_3 \text{ und } \mathsf{OCF}_3 \text{ ausgewählt sind;}$

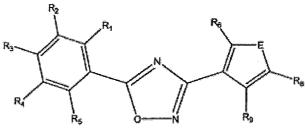
 R_2 und R_4 unabhängig aus Wasserstoff, F, Cl, Br und CF $_3$ ausgewählt sind; R_3 aus Wasserstoff, CH $_3$, CF $_3$, F, Cl, Br, OCF $_3$, OCH $_3$, CN und C(H)O ausgewählt ist;

R₇ und R₈ unabhängig aus Wasserstoff und F ausgewählt sind;

 R_9 aus Wasserstoff, F, Cl, CH_3 und OCF_3 ausgewählt ist; und

E = O oder S ist.

17. Verfahren gemäß Anspruch 15, wobei die Zusammensetzung eine wirksame Menge einer Verbindung der Formel Vb oder eines Salzes davon umfasst:



Formel Vb

wobei

 $\rm R_1$ und $\rm R_5$ unabhängig aus Wasserstoff, $\rm CH_3$, F, Cl, Br, CF $_3$ und OCF $_3$ ausgewählt sind; $\rm R_2$ und $\rm R_4$ unabhängig aus Wasserstoff, F, Cl, Br und CF $_3$ ausgewählt sind; $\rm R_3$ aus Wasserstoff, CH $_3$, CF $_3$, F, Cl, Br, OCF $_3$, OCH $_3$, CN und C(H)O ausgewählt ist; $\rm R_8$ aus Wasserstoff und F ausgewählt ist; $\rm R_6$ und $\rm R_9$ unabhängig aus Wasserstoff, F, Cl, CH $_3$ und OCF $_3$ ausgewählt sind; und

- R_6 and R_9 unabhanging aus wasserston, F, Cl, CH_3 and CCF_3 ausgewahlt sind, and E = O oder S ist.
- 18. Verfahren gemäß Anspruch 16 oder 17, wobei E = O ist.
- 10 **19.** Verfahren gemäß Anspruch 16 oder 17, wobei E = S ist.
 - **20.** Verfahren gemäß einem der Ansprüche 1 bis 19, wobei die Zusammensetzung eines oder mehrere aus einem Tensid und einem Cosolvens umfasst.
- 21. Verfahren gemäß einem der Ansprüche 1 bis 19, wobei die Zusammensetzung eines oder mehrere aus einem Fungizid, einem Herbizid und einem anderen Pestizid umfasst.
 - 22. Verfahren gemäß einem der Ansprüche 1 bis 19, wobei die Zusammensetzung auf eine Pflanze aufgetragen wird.
- 20. Verfahren gemäß einem der Ansprüche 1 bis 19, wobei die Zusammensetzung auf einen Samen aufgetragen wird.
 - 24. Verfahren gemäß einem der Ansprüche 1 bis 19, wobei die Zusammensetzung auf den Boden aufgetragen wird.
- 25. Verfahren gemäß Anspruch 1, wobei die Zusammensetzung auf eine Pflanze oder einen Samen einer Pflanze aufgetragen wird, die anfällig ist für eine Infektion durch einen Nematoden, der aus der Gruppe ausgewählt ist, die aus M. incognita, H. glycines, B. longicaudatus, H, contortus, A. suum, B. malayi und Globodera pallida besteht; oder durch einen Nematoden aus einer der folgenden Gattungen: Pratylenchus, Heterodera, Meloidogyne, Rotylenchulus, Hoplolaimus, Belonolaimus, Longidorus, Paratrichodorus, Ditylenchus, Xiphinema, Helicotylenchus, Radopholus, Hirschmanniella, Tylenchorhynchus und Trichodorus.
 - 26. Verfahren gemäß Anspruch 21, wobei das Insektizid, Fungizid, Herbizid oder Pestizid aus der Gruppe ausgewählt ist, die aus Avermectin, Ivermectin, Milbemycin, Imidacloprid, Aldicarb, Oxamyl, Fenamiphos, Fosthiazat, Metam-Natrium, Etridiazol, Pentachlornitrobenzol, Flutolanil, Metalaxyl, Mefonoxam, Fosetyl-al, Silthiofam, Fludioxonil, Myclobutanil, Azoxystrobin, Chlorothalonil, Propiconazol, Tebuconazol, Pyraclostrobin, Trifloxysulfuron, Glyphosat und Halosulfuron besteht.
 - 27. Verfahren gemäß Anspruch 1, wobei die Verbindung der Formel (IV) oder (V) ausgewählt ist aus:
 - 3-Phenyl-5-(thiophen-2-yl)-1,2,4-oxadiazol,
 - 5-(Furan-2-yl)-3-phenyl-1,2,4-oxadiazol,
 - 3-(4-Fluorphenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazol,
 - 3-(4-Fluorphenyl)-5-(furan-2-yl)-1,2,4-oxadiazol,
 - 3-(4-Chlorphenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazol,
 - 3-(4-Chlorphenyl)-5-(furan-2-yl)-1,2,4-oxadiazol,
 - 3-(4-Bromphenyl)-5-(thiophen-2-yl)-1,2,4-oxadiazol,
 - 3-(4-Bromphenyl)-5-(furan-2-yl)-1,2,4-oxadiazol,
 - 3-(4-Chlor-2-methylphenyl)-5-(furan-2-yl)-1,2,4-oxadiazol,
 - 3-(2,4-Dichlorphenyl)-5-(furan-2-yl)-1,2,4-oxadiazol,
 - 5-(4-Chlor-2-methylphenyl)-3-(furan-2-yl)-1,2,4-oxadiazol,
 - 3-(4-Chlorphenyl)-5-(thiophen-3-yl)-1,2,4-oxadiazol und
 - 3-(4-Chlorphenyl)-5-(furan-3-yl)-1,2,4-oxadiazol.
 - 28. Verfahren gemäß Anspruch 27, wobei eine wirksame Menge von 3-Phenyl-5-(thiophen-2-yl)-1,2,4-oxadiazol auf die Pflanze, den Samen oder den Boden aufgetragen wird.

55

5

30

35

40

45

Revendications

5

10

15

20

25

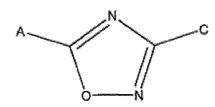
30

35

45

1. Procédé de lutte contre les nématodes, le procédé comprenant l'administration à une plante, une semence ou au sol d'une composition comprenant une quantité efficace d'un composé de formule (IV), formule (V), ou d'un sel de celui-ci:

Formule IV



Formule V

dans laquelle,

A est choisi parmi un phényle, pyrazyle, oxazolyle et isoxazolyle, qui peuvent chacun être éventuellement substitué indépendamment par un ou plusieurs substituants choisis parmi : un halogène, CF_3 , CH_3 , OCF_3 , OCH_3 , CN et C(H)O; et

C est choisi parmi un thiényle, furanyle, oxazolyle et isoxazolyle, qui peuvent chacun être éventuellement substitué indépendamment par un ou plusieurs substituants choisis parmi : F, Cl, CH₃ et OCF₃.

- 2. Procédé selon la revendication 1, dans lequel la composition comprend une quantité efficace d'un composé de formule (IV) ou d'un sel de celui-ci.
- 40 3. Procédé selon la revendication 2, dans lequel A est un pyrazyle, éventuellement substitué indépendamment par un ou plusieurs substituants choisis parmi : un halogène, CF₃, CH₃, OCF₃, OCH₃, CN et C(H)O.
 - **4.** Procédé selon la revendication 2, dans lequel A est un phényle, éventuellement substitué indépendamment par un ou plusieurs substituants choisis parmi : un halogène, CF₃, CH₃, OCF₃, OCH₃, CN et C(H)O.
 - 5. Procédé selon la revendication 2, dans lequel A est un oxazolyle, éventuellement substitué indépendamment par un ou plusieurs substituants choisis parmi : un halogène, CF₃, CH₃, OCF₃, OCH₃, CN et C(H)O.
- 6. Procédé selon la revendication 2, dans lequel A est un isoxazolyle, éventuellement substitué indépendamment par un ou plusieurs substituants choisis parmi : un halogène, CF₃, CH₃, OCF₃, OCH₃, CN et C(H)O.
 - 7. Procédé selon l'une quelconque des revendications 2 à 6, dans lequel C est un thiényle, éventuellement substitué indépendamment par un ou plusieurs substituants choisis parmi : F, Cl, CH₃ et OCF₃.
- Procédé selon l'une quelconque des revendications 2 à 6, dans lequel C est un furanyle, éventuellement substitué indépendamment par un ou plusieurs substituants choisis parmi : F, Cl, CH₃ et OCF₃.
 - 9. Procédé selon l'une quelconque des revendications 2 à 6, dans lequel C est un oxazolyle, éventuellement substitué

indépendamment par un ou plusieurs substituants choisis parmi : F, CI, CH₃ et OCF₃.

- **10.** Procédé selon l'une quelconque des revendications 2 à 6, dans lequel C est un isoxazolyle, éventuellement substitué indépendamment par un ou plusieurs substituants choisis parmi : F, Cl, CH₃ et OCF₃.
- **11.** Procédé selon la revendication 1, dans lequel la composition comprend une quantité efficace d'un composé de formule IVa ou d'un sel de celui-ci.

$$R_3$$
 R_4
 R_5
 R_6
 R_8

Formule IVa

dans laquelle,

5

10

15

20

25

30

35

40

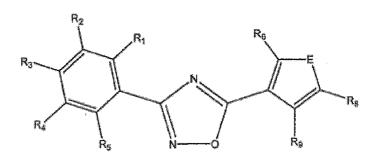
45

50

55

 $\rm R_1$ et $\rm R_5$ sont choisis indépendamment parmi un hydrogène, $\rm CH_3$, $\rm F,\, CI,\, Br,\, CF_3$ et $\rm OCF_3$; $\rm R_2$ et $\rm R_4$ sont choisis indépendamment parmi un hydrogène, $\rm F,\, CI,\, Br$ et $\rm CF_3$; $\rm R_3$ est choisi parmi un hydrogène, $\rm CH_3,\, CF_3,\, F,\, CI,\, Br,\, OCF_3,\, OCH_3,\, CN$ et $\rm C(H)O$; $\rm R_7$ et $\rm R_8$ sont choisis indépendamment parmi un hydrogène et $\rm F$; $\rm R_9$ est choisi parmi un hydrogène, $\rm F,\, CI,\, CH_3$ et $\rm OCF_3$; et $\rm E$ est 0 ou S.

12. Procédé selon la revendication 1, dans lequel la composition comprend une quantité efficace d'un composé de formule IVb ou d'un sel de celui-ci



Formule IVb

dans laquelle,

 R_1 et R_5 sont choisis indépendamment parmi un hydrogène, CH_3 , $F,\ CI,\ Br,\ CF_3$ et OCF_3 ; R_2 et R_4 sont choisis indépendamment parmi un hydrogène, $F,\ CI,\ Br\ et\ CF_3$; R_3 est choisi parmi un hydrogène, $CH_3,\ CF_3,\ F,\ CI,\ Br,\ OCF_3,\ OCH_3,\ CN\ et\ C(H)O$; R_8 est choisi parmi un hydrogène et F ; R_6 et R_9 , sont choisis indépendamment parmi un hydrogène, $F,\ CI,\ CH_3$ et OCF_3 ; et E est 0 ou S.

13. Procédé selon la revendication 11 ou 12, dans lequel E est O.

- 14. Procédé selon la revendication 11 ou 12, dans lequel E est S.
- **15.** Procédé selon la revendication 1, dans lequel la composition comprend une quantité efficace d'un composé de formule (V)

A N O

Formule V

dans laquelle,

5

10

15

20

25

30

35

40

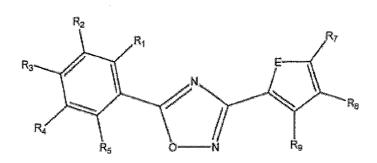
45

50

A est choisi parmi un phényle, pyrazyle, oxazolyle et isoxazolyle, qui peuvent chacun être éventuellement substitué indépendamment par un ou plusieurs substituants choisis parmi : un halogène, CF₃, CH₃, OCF₃, OCH₃, CN et C(H)O; et

C est choisi parmi un thiényle, furanyle, oxazolyle et isoxazolyle, qui peuvent chacun être éventuellement substitué indépendamment par un ou plusieurs substituants choisis parmi : F, Cl, CH₃ et OCF₃.

16. Procédé selon la revendication 15, dans lequel la composition comprend une quantité efficace d'un composé de formule Va ou d'un sel de celui-ci,



Formule Va

dans laquelle,

 R_1 et R_5 sont choisis indépendamment parmi un hydrogène, CH_3 , F, Cl, Br, CF_3 et OCF_3 ;

 $\rm R_2$ et $\rm R_4$ sont choisis indépendamment parmi un hydrogène, F, Cl, Br et $\rm CF_3$;

 $\mathsf{R}_3 \text{ est choisi parmi un hydrogène, CH}_3, \, \mathsf{CF}_3, \, \mathsf{F}, \, \mathsf{CI}, \, \mathsf{Br}, \, \mathsf{OCF}_3, \, \mathsf{OCH}_3, \, \mathsf{CN} \, \, \mathsf{et} \, \mathsf{C(H)O} \, \, ;$

 R_7 et R_8 sont choisis indépendamment parmi un hydrogène et F ;

 R_9 est choisi parmi un hydrogène, F, Cl, CH_3 et OCF_3 ; et

E est 0 ou S.

17. Procédé selon la revendication 15, dans lequel la composition comprend une quantité efficace d'un composé ayant la formule Vb ou d'un sel de celui-ci,

$$R_3$$
 R_4
 R_5
 R_6
 R_8
 R_8

Formule Vb

15 dans laquelle,

R₁ et R₅ sont choisis indépendamment parmi un hydrogène, CH₃, F, CI, Br, CF₃ et OCF₃;

R₂ et R₄ sont choisis indépendamment parmi un hydrogène, F, Cl, Br et CF₃;

 R_3 est choisi parmi un hydrogène, CH_3 , CF_3 , F, CI, Br, OCF_3 , OCH_3 , CN et C(H)O;

R₈ est choisi parmi un hydrogène et F;

 R_6 et R_9 sont choisis indépendamment parmi un hydrogène, F, CI, CH_3 et OCF_3 ; et E est 0 ou S.

- 18. Procédé selon la revendication 16 ou 17, dans lequel E est O.
- 19. Procédé selon la revendication 16 ou 17, dans lequel E est S.
- 20. Procédé selon l'une quelconque des revendications 1 à 19, dans lequel la composition comprend un ou plusieurs parmi: un tensioactif et un co-solvant.
- 21. Procédé selon l'une quelconque des revendications 1 à 19, dans lequel la composition comprend un ou plusieurs parmi : un fongicide, un herbicide, et un autre un pesticide.
- 22. Procédé selon l'une quelconque des revendications 1 à 19, dans lequel la composition est appliquée à une plante.
- 23. Procédé selon l'une quelconque des revendications 1 à 19, dans lequel la composition est appliquée à une semence.
- 24. Procédé selon l'une quelconque des revendications 1 à 19, dans lequel la composition est appliquée au sol.
- 40 25. Procédé selon la revendication 1, dans lequel la composition est appliquée à une plante ou une semence d'une plante sensible à une infection par un nématode choisi dans le groupe constitué par : M. incognita, H. glycines, B. longicaudatus, H. contortus, A. suum, B. malayi, et Globodera pallida; ou par un nématode d'un des genres suivants : Pratylenchus, Heterodera, Meloidogyne, Rotylenchulus, Hoplolaimus, Belonolaimus, Longidorus, Paratrichodorus, Ditylenchus, Xiphinema, Helicotylenchus, Radopholus, Hirs-45 chmanniella, Tylenchorhynchus et Trichodorus.
 - 26. Procédé selon la revendication 21, dans lequel l'insecticide, le fongicide, l'herbicide ou le pesticide est choisi dans le groupe constitué par : l'avermectine, l'ivermectine, la milbémycine, l'imidaclopride, l'aldicarbe, l'oxamyl, le fénamiphos, le fosthiazate, le métam sodium, l'étridiazole, le penta-chloro-nitrobenzène, le flutolanil, le métalaxyl, le méfonoxam, le fosétyl-al, le silthiofam, le fludioxonil, le myclobutanil, l'azoxystrobine, le chlorothalonil, le propiconazole, le tébuconazole, la pyraclostrobine, le trifloxysulfuron, le glyphosate et l'halosulfuron.

44

- 27. Procédé selon la revendication 1, dans lequel le composé de formule (IV) ou (V) est choisi parmi :
- 55 le 3-phényl-5-(thiophén-2-yl)-1,2,4-oxadiazole,
 - le 5-(furan-2-yl)-3-phényl-1,2,4-oxadiazole,
 - le 3-(4-fluorophényl)-5-(thiophén-2-yl)-1,2,4-oxadiazole,
 - le 3-(4-fluorophényl)-5-(furan-2-yl)-1,2,4-oxadiazole,

5

10

20

25

30

35

5	le 3-(4-chlorophényl)-5-(thiophén-2-yl)-1,2,4-oxadiazole, le 3-(4-chlorophényl)-5-(furan-2-yl)-1,2,4-oxadiazole, le 3-(4-bromophényl)-5-(thiophén-2-yl)-1,2,4-oxadiazole, le 3-(4-bromophényl)-5-(furan-2-yl)-1,2,4-oxadiazole, le 3-(4-chloro-2-méthylphényl)-5-(furan-2-yl)-1,2,4-oxadiazole, le 3-(2,4-dichlorophényl)-5-(furan-2-yl)-1,2,4-oxadiazole, le 5-(4-chloro-2-méthylphényl)-3-(furan-2-yl)-1,2,4-oxadiazole, le 3-(4-chlorophényl)-5-(thiophén-3-yl)-1,2,4-oxadiazole, le 3-(4-chlorophényl)-5-(thiophén-3-yl)-1,2,4-oxadiazole, et
10	le 3-(4-chlorophényl)-5-(furan-3-yl)-1,2,4-oxadiazole. 28. Procédé selon la revendication 27, dans lequel une quantité efficace de 3-phényl-5-(thiophén-2-yl)-1,2,4-oxadiazole
15	est appliquée à la plante, à la semence ou au sol.
20	
25	
30	
35	
40	
45	
50	
55	

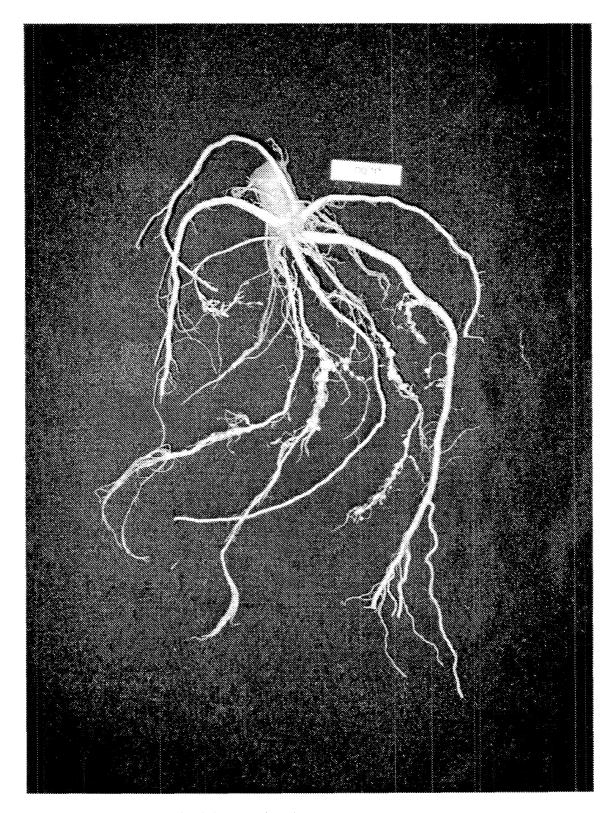


Figure 1: Roots from non-treated plants (Fall trial)

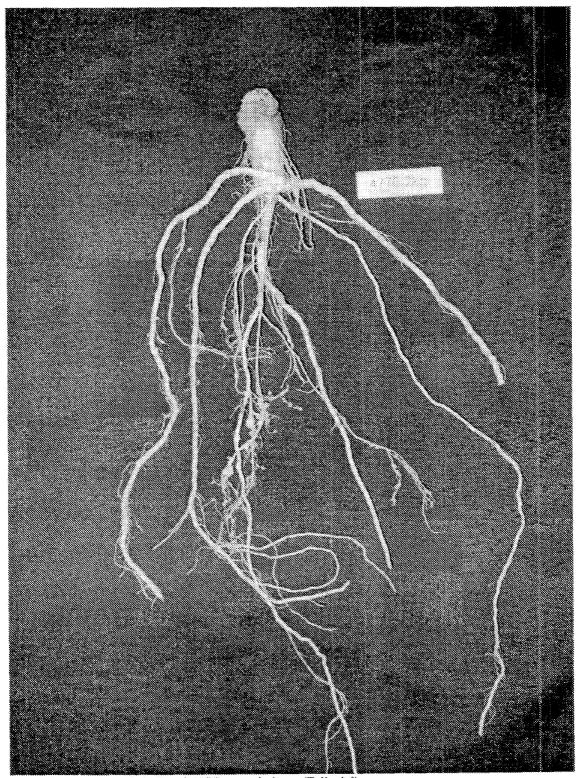


Figure 2: Roots from 2 kg/ha ai 4776-treated plants (Fall trial)

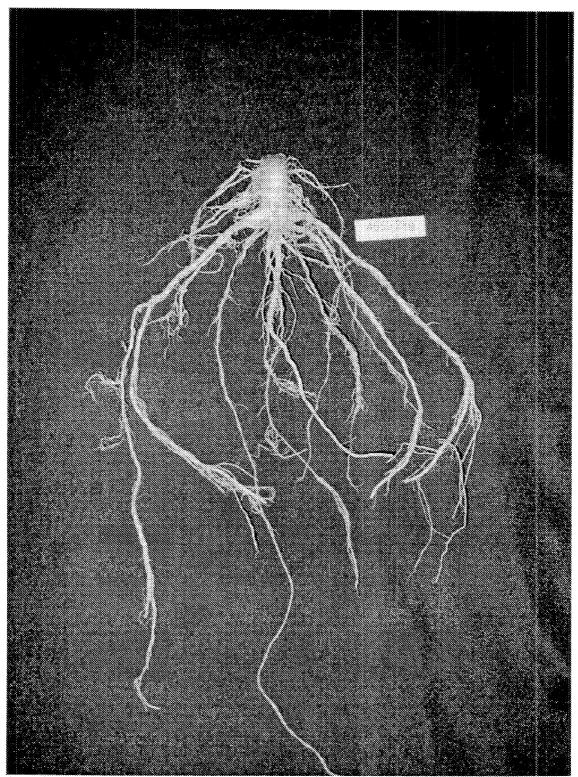


Figure 3: Roots from 2 kg/ha ai 4559-treated plants (Fall trial)

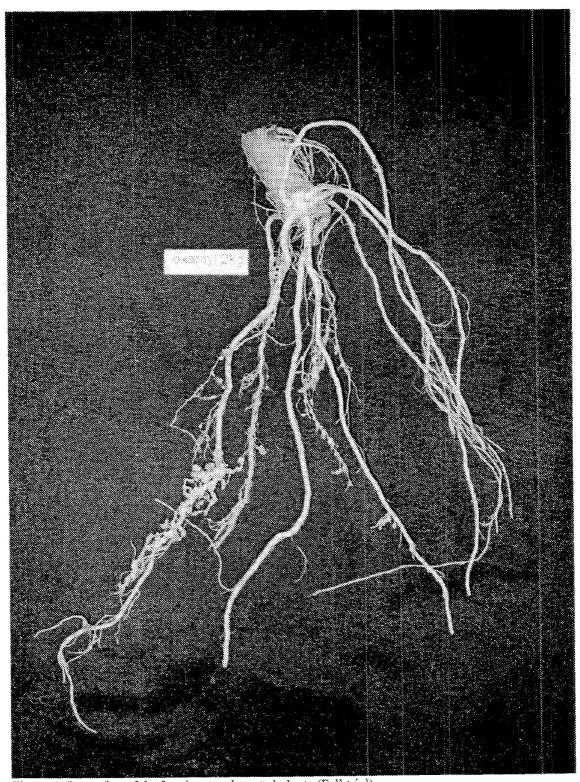


Figure 4: Roots from 2 kg/ha ai oxamyl treated plants (Fall trial)

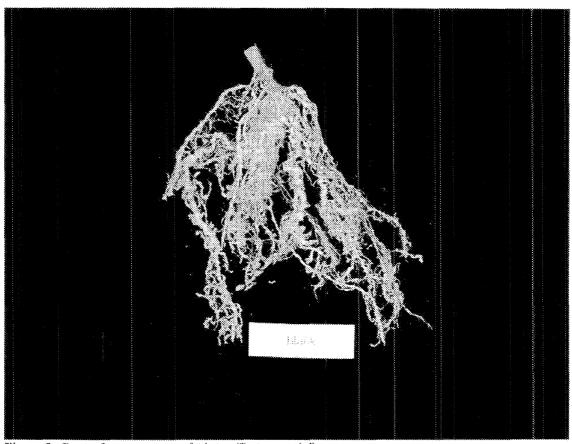


Figure 5: Roots from non-treated plants (Summer trial)

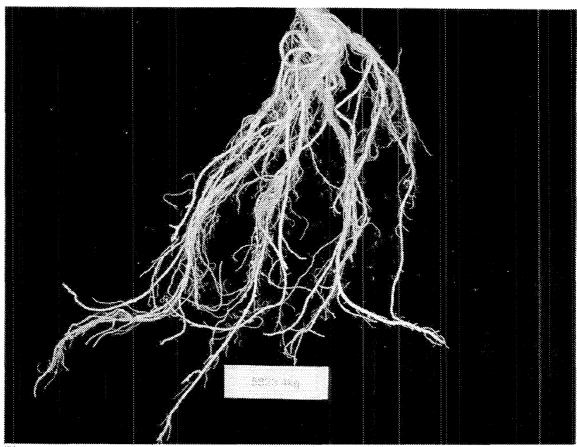


Figure 6: Roots from 4 kg/ha ai 5823 treated plants (Summer trial)

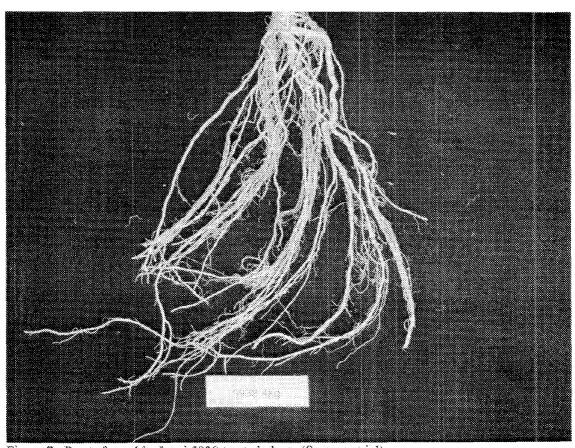


Figure 7: Roots from 4 kg/ha ai 5938 treated plants (Summer trial)

REFERENCES CITED IN THE DESCRIPTION

This list of references cited by the applicant is for the reader's convenience only. It does not form part of the European patent document. Even though great care has been taken in compiling the references, errors or omissions cannot be excluded and the EPO disclaims all liability in this regard.

Patent documents cited in the description

- US 6048714 A [0002]
- US 4791124 A [0011] [0012]
- US 4908357 A [0011]

- US 6310049 B [0011] [0013]
- US 7041685 B, Cai [0013]
- US 20020013326 A [0013]

Non-patent literature cited in the description

- WHITEHEAD. Plant Nematode Control. CAB International, 1998 [0001]
- Plant and Soil Nematodes: Societal Impact and Focus for the Future. BARKER et al. The Committee on National Needs and Priorities in Nematology. Cooperative State Research Service, 1994 [0001]
- BECKER. Agricultural Research Magazine, 1999, vol. 47 (3), 22-24 [0002]
- CARTER. California Agriculture, 2001, vol. 55 (3), 2 [0002]
- CARTER. California Agriculture, 2001, vol. 55 (3), 12-18 [0002]
- **CROW.** Journal of Nematology, 2005, vol. 37 (4), 477-482 [0003]
- HACKNEY; DICKERSON. J Nematol, 1975, vol. 7

 (1), 84-90 [0004]
- GEENTS et al. Parasitology Today, 1997, vol. 13, 149-151 [0008]

- PRICHARD. Veterinary Parasitology, 1994, vol. 54, 259-268 [0008]
- SANGSTER; GILL. Parasitology Today, 1999, vol. 15 (4), 141-146 [0008]
- ZHANG et al. J Med Chem., 2005, vol. 48 (16), 5215-23 [0013]
- ZHANG HZ; KASIBHATLA S; KUEMMERLE J; KEMNITZER W; OLLIS-MASON K; QIU L; CROGAN-GRUNDY C; TSENG B; DREWE J; CAI SX. Discovery and structure-activity relationship of 3-aryl-5-aryl-1,2,4-oxadiazoles as a new series of apoptosis inducers and potential anticancer agents. J Med Chem., 2005, vol. 48 (16), 5215-23 [0121]
- ELLIS HM; HORVITZ HR. Genetic control of programmed cell death in the nematode C. elegans. *Cell*, 1986, vol. 44, 817-829 [0123]



Készítmények és eljárások nematódák megfékezésére

Szabadalmi igénypontok

 Eljárás nem-kívánatos nematódák megfékezésére, melynek során a növényhez, maghoz vagy talajhoz egy (IV) vagy (V) képletű:

vegyűletnek vagy sójának hatásos mennyiségét tartalmazó készítményt adagolunk, ahol A fenil, pirazil, oxazolil és izoxazolilcsoportok közűl választott, melyek mindegyike adott esetben egymástól függetlenül egy vagy több, CF₃, CH₃, OCF₃, OCH₃, CN, és C(H)O közül választott szubsztituenst tartalmazhat; és

C tienil, furanil, oxazolil és izoxazolilcsoportok közül választott, melyek mindegyike adott esetben egymástól függetlenül egy vagy több, F, Cl, CH₃, és OCF₃ közül választott szubsztituenst tartalmazhat.

- Az 1. igénypont szerinti eljárás, ahol a készítmény egy (IV) képletű vegyűlet vagy sója hatásos mennyiségét tartalmazza.
- 3. A 2. igénypont szerinti eljárás, ahol A adott esetben egymástól függetlenül halogénatom, CF₃, CH₃, OCF₃, OCH₃, CN, és C(H)O közül választott egy vagy több szubsztituenst tartalmazó pirazilcsoport.
- 4. A 2. igénypont szerinti eljárás, ahol A adott esetben egymástól függetlenül halogénatom, CF₃, CH₃, OCF₃, OCH₃, CN, és C(H)O közül választott egy vagy több szubsztituenst tartalmazó fenilcsoport.

5. A 2. igénypont szerinti eljárás, ahol A adott esetben egymástól függetlenül halogénatom, CF₃, CH₃, OCF₅, OCH₃, CN, és C(H)O közül választott egy vagy több szubsztituenst tartalmazó oxazolilcsoport.

6. A 2. igénypont szerinti eljárás, ahol A adott esetben egymástól függetlenűl halogénatom, CF₃, CH₃, OCF₃, OCH₃, CN, és C(H)O közül választott egy vagy tőbb szubsztituenst tartalmazó izoxazolilcsoport.

7. A 2-6. ígénypontok bármelyike szerinti eljárás, ahol C adott esetben egymástól függetlenül F, Cl, CH₃, és OCF₃ közül választott egy vagy több szubsztituenst tartalmazó tienilcsoport.

8. A 2-6. igénypontok bármelyike szerinti eljárás, ahol C adott esetben egymástól függetlenül F, Cl, CH₃, és OCF₃ közül választott egy vagy több szubsztituenst tartalmazó furanilcsoport.

9. A 2-6. igénypontok bármelyike szerinti eljárás, ahol C adott esetben egymástól függetlenül F, Cl, CH₃, és OCF₃ közül választott egy vagy több szubsztituenst tartalmazó oxazolilcsoport.

10. A 2-6. igénypontok bármelyike szerinti eljárás, ahol C adott esetben egymástól függetlenül F, Cl, CH₃, és OCF₃ közül választott egy vagy több szubsztituenst tartalmazó izoxazolilcsoport.

Az 1. igénypont szerinti eljárás, ahol a készítmény hatásos mennyiségű (IVa):

képletű vegyületet vagy valamely sóját tartalmazza, ahol

R₁ és R₅ egymástól függetlenül hidrogénatom, CH₅, F, Cl, Br, CF₃, és OCF₃ közül választott;
R₂ és R₄ egymástól függetlenül hidrogénatom, F, Cl, Br, és CF₃ közül választott;
R₃ hidrogénatom, CH₃, CF₃, F, Cl, Br, OCF₃, OCH₃, CN, és C(H)O közül választott;
R₇ és R₈ egymástól függetlenül hidrogénatom és F közül választott;
R₉ hidrogénatom, F, Cl, CH₃, és OCF₃ közül választott; és
E jelentése O vagy S.

12. Az 1. igénypont szerinti eljárás, ahol a készitmény hatásos mennyiségű (IVb):

$$R_0$$
 R_0
 R_0

képletű vegyületet vagy valamely sóját tartalmazza, ahol

 R_1 és R_5 egymástól függetlenül hidrogénatom, CH_3 , F, CI, Br, CF_3 , és OCF_3 közül választott; R_2 és R_4 egymástól függetlenül hidrogénatom, F, CI, Br, és CF_3 közül választott; R_3 hidrogénatom, CH_3 , CF_3 , F, CI, Br, OCF_3 , OCH_3 , CN, és C(H)O közül választott; R_8 hidrogénatom és F közül választott;

 R_6 és R_9 , egymástól függetlenül hidrogénatom, F, Cl, CH_9 , és OCF_5 ; és E is O vagy S közül választott.

13. A 11. vagy 12. igénypont szerinti eljárás, ahol ahol E jelentése O.

14. A 11. vagy 12. igénypont szerinti eljárás, ahol ahol E jelentése S.

15. Az 1. igénypont szerinti eljárás, ahol a készítmény hatásos mennyiségű (V) képletű:

vegyületet tartalmaz, ahol

A közül választott fenil, pirazil, oxazolil és izoxazolilcsoportok közül választott, melyek mindegyike adott esetben egymástól függetlenül egy vagy több, halogénatom, CF₃, CH₃, OCF₃, OCH₃, CN, és C(H)O közül választott szubsztituenst tartalmazhat; és C tienil, furanil, oxazolil és izoxazolilcsoportok közül választott, melyek mindegyike adott esetben egymástól függetlenül egy vagy több, F, Cl, CH₃, és OCF₃ közül választott szubsztituenst tartalmazhat.

Az 1. igénypont szerinti eljárás, ahol a készítmény hatásos mennyiségű (Va):

$$R_0$$
 R_0
 R_0

képletű vegyületet vagy valamely sóját tartalmazza, ahol

R₁ és R₅ egymástól függetlenül hidrogénatom, CH₃, F, CI, Br, CF₃, és OCF₃ közül választott, R₂ és R₄ egymástól függetlenül hidrogénatom, F, CI, Br, és CF₃ közül választott; R₃ hidrogénatom, CH₅, CF₃, F, CI, Br, OCF₃, OCH₃, CN, és C(H)O közül választott; R₇ és R₈ egymástól függetlenül hidrogénatom és F közül választott; R₉ hidrogénatom, F, CI, CH₃, és OCF₃ közül választott; és E jelentése O vagy S.

17. A 15. igénypont szerinti eljárás, ahol a készítmény hatásos mennyiségű (Vb):

képletű vegyületet vagy valamely sóját tartalmazza, ahol

E jelentése O vagy S.

 R_1 és R_5 egymástól függetlenül hidrogénatom, CH_3 , F, CI, Br, CF_3 , és OCF_3 közül választott; R_2 és R_4 egymástól függetlenül hidrogénatom, F, CI, Br, és CF_3 közül választott; R_3 hidrogénatom, CH_3 , CF_3 , F, CI, Br, OCF_3 , OCH_3 , CN, és C(H)O közül választott; R_6 hidrogénatom és F közül választott; R_6 és R_9 egymástól függetlenül hidrogénatom, F, CI, CH_3 , és OCF_3 közül választott; és

18. A 16. vagy 17. igénypont szerinti eljárás, ahol E jelentése O.

19. A 16. vagy 17. igénypont szerinti eljárás, ahol E jelentése S.

20. Az 1-19. igénypontok bármelyike szerinti eljárás, ahol a készítmény egy vagy több felűletaktív szert és koszolvenst tartalmaz.

- 21. Az 1-19. igénypontok bármelyike szerinti eljárás, ahol a készítmény egy vagy több fungicidet, herbicidet vagy másik peszticidet tartalmaz.
- 22. Az 1-19. igénypontok bármelyike szerinti eljárás, ahol a készítményt egy növényhez adagoljuk.
- 23. Az 1-19. igénypontok bármelyike szerinti eljárás, ahol a készítményt egy maghoz adagoljuk.
- 24. Az 1-19. igénypontok bármelyike szerinti eljárás, ahol a készítményt a talajhoz adagoljuk.
- 25. Az 1. Igénypont szerionti eljárás, ahol a készítményt M. incognita, H. glycines, B. longicaudatus, H. contortus, A. suum, B. malayi, és Globodera pallida nematódák; vagy a Pratilenchus, Heterodera, Meloidogyne, Rotilenchulus, Hoplolaimus, Belonolaimus, Longidorus, Paratrichodorus, Ditilenchus, Xiphinema, Helicotilenchus, Radopholus, Hirschmanniella, Tilenchorhynchus, és Trichodorus nemzetségekbe tartozó nematódák fertőzésére hajlamos növényekhez vagy növényi magokhoz adagoljuk.
- 26. A 21. igénypont szerinti eljárás, ahol az inszekticid, fungicid, herbicid vagy peszticid az avermectin, ivermectin, milbemycin, imidacloprid, aldicarb, oxamyl, fenamiphos, fosthiazate, metam sodium, etridiazole, penta-klór-nitrobenzol, flutolanil, metalaxyl, mefonoxam, fosetil-al, silthiofam, fludioxonil, myclobutanil, azoxystrobin, chlorothalonil, propiconazole, tebuconazole, pyraclostrobin, trifloxysulfuron, glyphosate és halosulfuron közül választott.
- 27. Az 1. igénypont szerinti eljárás, ahol a (IV) vagy (V) képletű vegyűlet a
- 3-fenil-5-(tiofen-2-il)-1,2,4-oxadiazol,
- 5-(furan-2-ii)-3-fenil-1,2,4-oxadiazol,
- 3-(4-fluorfenil)-5-(tiofen-2-il)-1,2,4-oxadiazol,
- 3-(4-fluorfenil)-5-(furan-2-il)-1,2,4-oxadiazol,
- 3-(4-klórfenii)-5-(tiofen-2-ii)-1,2,4-oxadiazol,
- 3-(4-klórfenil)-5-(furan-2-il)-1,2,4-oxadiazol,
- 3-(4-brómfenil)-5-(tiofen-2-il)-1,2,4-oxadiazol,
- 3-(4-brómfenil)-5-(furan-2-il)-1,2,4-oxadiazol,
- 3-(4-klór-2-metilfenil)-5-(furan-2-il)-1,2,4-oxadiazol,
- 3-(2,4-diklórfenil)-5-(furan-2-il)-1,2,4-oxadiazol,
- 5-(4-klór-2-metilfenil)-3-(furan-2-il)-1,2,4-oxadiazol,
- 3-(4-klórfenil)-5-(tiofen-3-il)-1,2,4-oxadiazol, és

3-(4-klórfenii)-5-(furan-3-il)-1,2,4-oxadiazol közül választott.

28. A 27. igénypont szerinti eljárás, ahol a nővényhez, maghoz vagy talajhoz a 3-fenil-5-(tiofen-2-il)-1,2,4-oxadiazol hatásos mennyiségét adagoljuk.

SECK Sunday And Security Secur