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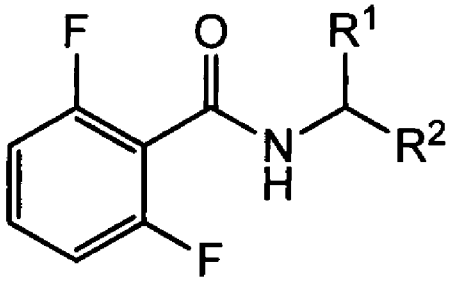
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(54) **Title**: BENZAMIDE DERIVATIVE OR ITS SALT, AND INSECTICIDE, MITICIDE, NEMATICIDE OR SOIL PESTICIDE CONTAINING IT



(I)

(57) **Abstract**: For many years, many insecticides, miticides, nematicides or soil pesticides have been used, but many of them have various problems such that the effects are inadequate, their use is restricted as insects, etc. have acquired resistance, etc. Accordingly, it is desired to develop a novel insecticide, miticide, nematicide or soil pesticide substantially free from such problems. Therefore, the present invention is to provide a novel insecticide, miticide, nematicide or soil pesticide having a very high controlling effect against insects, mites, nematodes or soil pests at a low dose. The present invention provides an insecticide, miticide, nematicide or soil pesticide containing a benzamide derivative represented by the formula (I) or its salt, as an active ingredient: wherein R^1 is a hydrogen atom or C_{1-3} alkyl, and R^2 is C_{2-8} alkyl or C_{3-8} cycloalkyl.

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DESCRIPTION

TITLE OF THE INVENTION: BENZAMIDE DERIVATIVE OR ITS SALT, AND INSECTICIDE, MITICIDE, NEMATICIDE OR SOIL PESTICIDE CONTAINING IT

TECHNICAL FIELD

The present invention relates to a benzamide derivative or its salt, and an insecticide, miticide, nematicide or soil pesticide containing it as an active ingredient.

BACKGROUND ART

Patent Document 1 discloses that a specific benzamide derivative is useful as a herbicide. However, it does not disclose use of the benzamide derivative as an insecticide, miticide, nematicide or soil pesticide. Further, it does not specifically disclose the benzamide derivative represented by the formula (I) given hereinafter. On the other hand, each of Patent Documents 2 and 3 discloses use of a benzamide derivative having a specific chemical structure as a nematicide. However, such a compound is different from the compound of the present invention in the structure.

PRIOR ART DOCUMENTS

PATENT DOCUMENTS

Patent Document 1: WO 2001/091558

Patent Document 2: US Patent No. 4,840,969

Patent Document 3: EP 323637 B1

DISCLOSURE OF INVENTION

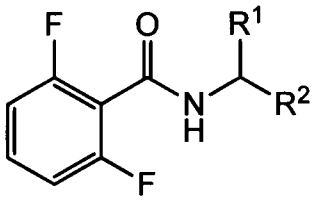
TECHNICAL PROBLEM

For many years, many insecticides, miticides, nematicides or soil pesticides have been used, but many of them have various problems such that the effects are inadequate, their use is restricted as insects, etc. have acquired resistance, etc. Accordingly, it is desired to develop a novel insecticide, miticide, nematicide or soil pesticide substantially free from such problems.

SOLUTION TO PROBLEM

The present inventors have conducted various studies on benzamide derivatives in an effort to find a superior insecticide, miticide, nematicide or soil pesticide. As a result, they have found that the benzamide derivative represented by the formula (I) below has a very high controlling effect particularly against nematodes at a low dose and at the same time has safety to crop plants, natural enemies of insects, etc. or mammals, and have accomplished the present invention.

That is, the present invention relates to a benzamide derivative represented by the formula (I) or its salt:



(I)

wherein R^1 is a hydrogen atom or C_{1-3} alkyl, and R^2 is C_{2-8} alkyl or C_{3-8} cycloalkyl. Further, the present invention relates to an insecticide, miticide, nematicide or soil pesticide containing the benzamide derivative of the above formula (I) or its salt as an active ingredient, and a method for controlling insects, mites, nematodes or soil pests, which comprises applying it.

ADVANTAGEOUS EFFECTS OF INVENTION

An insecticide, miticide, nematicide or soil pesticide containing the benzamide derivative of the above formula (I) or its salt as an active ingredient has a very high controlling effect against insects, mites, nematodes or soil pests at a low dose.

DESCRIPTION OF EMBODIMENTS

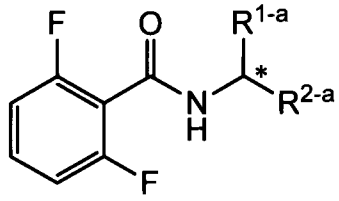
The alkyl in the formula (I) may be linear or branched. As its specific examples, C_{1-8} alkyl such as methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, pentyl, hexyl, heptyl or octyl may be mentioned.

As the cycloalkyl in the formula (I), C_{3-8} cycloalkyl such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl may, for example, be mentioned.

The salt of the benzamide derivative of the above formula (I) includes all kinds so

long as they are acceptable in this technical field. For example, an ammonium salt such as a dimethylammonium salt or a triethylammonium salt; an inorganic acid salt such as a hydrochloride, a perchlorate, a sulfate or a nitrate; or an organic acid salt such as an acetate or a methanesulfonate, may be mentioned.

The benzamide derivative of the above formula (I) may have isomers, and such isomers and mixtures thereof are both included in the present invention. The isomer of the benzamide derivative of the above formula (I) may, for example, be a stereoisomer such as an enantiomer or a diastereomer, and among them, it is preferably an enantiomer wherein the compound of the formula (I) is a compound having R^1 and R^2 which are different from each other, and represented by the formula (I-a):



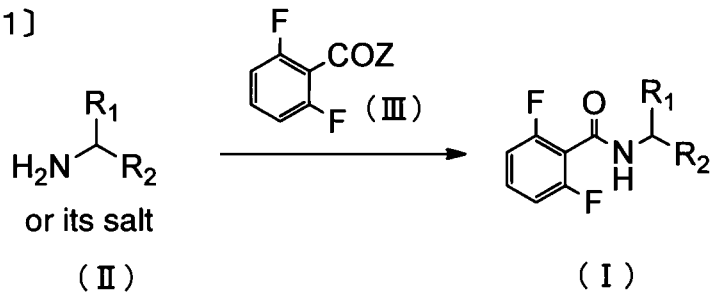
(I-a)

wherein R^{1-a} is C_{1-3} alkyl, R^{2-a} is C_{2-8} alkyl or C_{3-8} cycloalkyl, and R^{1-a} and R^{2-a} are different from each other, and the methine carbon to which R^{1-a} and R^{2-a} are bonded is the chiral center. The enantiomer may be the R-enantiomer or the S-enantiomer, and the S-enantiomer is preferred. In this specification, isomers are in the form of a mixture, unless otherwise specified. Further, in the present invention, various isomers other than those mentioned above, may be included within the scope of the common knowledge in this technical field. Further, depending upon the type of the isomer, it may have a chemical structure different from the above formula (I), but for those skilled in the art, it can sufficiently be recognized that it is in an isomeric relationship and falls within the scope of the present invention.

The benzamide derivative of the above formula (I) or its salt (hereinafter referred to simply as the compound of the present invention) can be produced by the following Process [1] and in accordance with a usual process for producing a salt. However, the present invention is by no means limited to such processes.

PROCESS [1]

[1]



In the above formulae, R^1 and R^2 are as defined above, and Z is hydroxy, alkoxy or halogen. As the halogen, an atom of fluorine, chlorine, bromine or iodine may be mentioned. The salt of the compound of the formula (II) includes all kinds so long as they are acceptable in this technical field, and it may, for example, be an inorganic acid salt such as a hydrochloride or a sulfate ; or an organic acid salt such as an acetate or a methanesulfonate.

The reaction of the Process [1] may be carried out usually in the presence of a base and a solvent. As the base, one or more may suitably be selected from e.g. alkali metals such as sodium and potassium; alkali metal alkoxides such as sodium methoxide, sodium ethoxide and potassium tert-butoxide; carbonates such as sodium carbonate and potassium carbonate; bicarbonates such as sodium bicarbonate and potassium bicarbonate; metal hydroxides such as sodium hydroxide and potassium hydroxide; metal hydrides such as sodium hydride and potassium hydride; amines such as monomethylamine, dimethylamine and triethylamine; and pyridines such as pyridine and 4-dimethylaminopyridine.

The solvent is not particularly limited so long as the reaction thereby proceeds, and one or more may suitably be selected from e.g. aromatic hydrocarbons such as benzene, toluene and xylene; aliphatic hydrocarbons such as hexane, heptane, petroleum ether, ligroin and cyclohexane; halogenated hydrocarbons such as chloroform, dichloromethane, carbon tetrachloride, dichloroethane, trichloroethane and chlorobenzene; ethers such as dioxane, tetrahydrofuran, diethyl ether and dimethoxyethane; esters such as methyl acetate and ethyl acetate; polar aprotic solvents such as dimethylsulfoxide, sulfolane, dimethylacetamide, dimethylformamide and N-methylpyrrolidone; nitriles such as acetonitrile and propiononitrile; ketones such as acetone and methyl ethyl ketone; and water. Further, in addition thereto, among

the above-described bases, amines or pyridines may also be used.

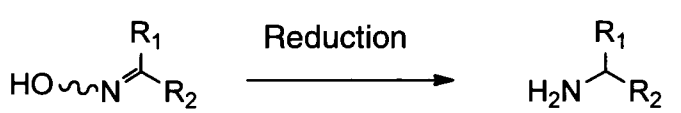
The reaction of the Process [1] may be carried out in the presence of a dehydration-condensation agent as the case requires. As the dehydration-condensation agent, one or more may suitably be selected from e.g. N,N'-dicyclohexylcarbodiimide, chlorosulfonyl isocyanate, N,N'-carbonyldiimidazole and trifluoroacetic anhydride.

In the Process [1], the reaction temperature is usually from 0 to 100°C, preferably from 0 to 50°C, and the reaction time is usually from about 0.1 to 48 hours, preferably from about 0.5 to 24 hours.

The compound of the formula (II) as a starting material of the above Process [1] may be produced, for example, by the following Process [A].

PROCESS [A]

[A]



(Ⅳ) (Ⅱ)

In the above formulae, R^1 and R^2 are as defined above.

The reduction reaction of the Process [A] is a reaction of the compound of the formula (IV) with a reducing agent. The reduction reaction may, for example, be the catalytic hydrogenation reaction or a reduction reaction by a metal hydride described below, and by either of the reactions, it is possible to produce the compound of the formula (II).

[CATALYTIC HYDROGENATION REACTION]

As the reducing agent used for the catalytic hydrogenation reaction, one or more may suitably be selected from e.g. hydrogen, formic acid and ammonium formate.

The catalytic hydrogenation reaction may be carried out usually in the presence of a catalyst and a solvent. As the catalyst, one or more may suitably be selected from e.g. platinum, platinum oxide, platinum black, Raney nickel, palladium, palladium-carbon, rhodium and rhodium-alumina.

The solvent is not particularly limited so long as the reaction thereby proceeds, and one or more may suitably be selected from e.g. aromatic hydrocarbons such as benzene, toluene and xylene; aliphatic hydrocarbons such as hexane, heptane,

petroleum ether, ligroin and cyclohexane; ethers such as dioxane, tetrahydrofuran, diethyl ether and dimethoxyethane; esters such as methyl acetate and ethyl acetate; acid amides such as dimethylacetamide, dimethylformamide and N-methylpyrrolidone; alcohols such as methanol, ethanol, propanol and butanol; and water.

The reaction temperature of the catalytic hydrogenation reaction is usually from -100 to 150°C, preferably from -10 to 100°C, and the reaction time is usually from about 0.5 to 96 hours, preferably from about 1 to 48 hours.

[REDUCTION REACTION BY METAL HYDRIDE]

The reducing agent used for the reduction reaction by a metal hydride may, for example, be a metal hydride such as sodium borohydride or lithium aluminium hydride. The reduction reaction by a metal hydride may be carried out in the presence of e.g. titanium tetrachloride or molybdenum oxide, as the case requires.

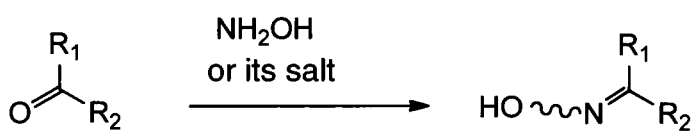
The reduction reaction by a metal hydride may be carried out usually in the presence of a solvent. The solvent is not particularly limited so long as the reaction thereby proceeds, and one or more may suitably be selected from e.g. ethers such as dioxane, tetrahydrofuran, diethyl ether and dimethoxyethane; alcohols such as methanol, ethanol, propanol and butanol; and water.

The reaction temperature of the reduction reaction by a metal hydride is usually from -100 to 150°C, preferably from -10 to 100°C, and the reaction time is usually from about 0.5 to 96 hours, preferably from about 1 to 48 hours.

The compound of the formula (IV) as the starting material for the above Process [A] may be produced, for example, in accordance with the following Process [B].

PROCESS [B]

[B]



(V) (IV)

In the above formulae, R^1 and R^2 are as defined above. The salt of NH_2OH includes all kinds so long as they are acceptable in this technical field, and for example, an inorganic acid salt such as a hydrochloride or a sulfate; or an organic acid salt such as a methanesulfonate may be mentioned.

The reaction of the Process [B] may be carried out in the presence of a base, as

the case requires. As the base, one or more may suitably be selected from e.g. alkali metals such as sodium and potassium; alkali metal alkoxides such as sodium methoxide, sodium ethoxide and potassium tert-butoxide; carbonates such as sodium carbonate and potassium carbonate; bicarbonates such as sodium bicarbonate and potassium bicarbonate; metal hydroxides such as sodium hydroxide and potassium hydroxide; metal hydrides such as sodium hydride and potassium hydride; amines such as monomethylamine, dimethylamine and triethylamine; pyridines such as pyridine and 4-dimethylaminopyridine; and acetates such as sodium acetate and potassium acetate.

The reaction of the Process [B] may be carried out in the presence of a catalytic amount of e.g. hydrochloric acid, sulfuric acid or p-toluenesulfonic acid, as the case requires.

The reaction of the Process [B] may be carried out usually in the presence of a solvent. The solvent is not particularly limited so long as the reaction thereby proceeds, and one or more may suitably be selected from e.g. aromatic hydrocarbons such as benzene, toluene and xylene; aliphatic hydrocarbons such as hexane, heptane, petroleum ether, ligroin and cyclohexane; ethers such as dioxane, tetrahydrofuran, diethyl ether and dimethoxyethane; esters such as methyl acetate and ethyl acetate; polar aprotic solvents such as dimethylsulfoxide, sulfolane, dimethylacetamide, dimethylformamide and N-methylpyrrolidone; nitriles such as acetonitrile and propiononitrile; alcohols such as methanol, ethanol, propanol and butanol; and water. Further, in addition thereto, among the above-described bases, amines or pyridines may also be used with the solvent.

The reaction temperature of the Process [B] is usually from 20 to 150°C, preferably from 50 to 100°C, and the reaction time is usually from about 0.5 to 96 hours, preferably from about 1 to 48 hours.

Preferred embodiments of the insecticide, miticide, nematicide or soil pesticide containing the compound of the present invention will be described below. The insecticide, miticide, nematicide or soil pesticide containing the compound of the present invention is useful, for example, as an agent to control insects, mites, nematodes or soil pests which become problematic in the agricultural and horticultural fields, or as an agent to control insects or mites parasitic on animals.

The insecticide, miticide, nematicide or soil pesticide containing the compound of the present invention is useful in the agricultural and horticultural field. Specifically, it is effective to control insects, e.g. aphids such as green peach aphid (Myzus persicae) and cotton aphid (Aphis gossypii); agricultural insect pests such as diamondback moth (Plutella xylostella), cabbage armyworm (Mamestra brassicae), common cutworm (Spodoptera litura), codling moth (Cydia pomonella), bollworm (Heliothis zea), tobacco budworm (Heliothis virescens), gypsy moth (Lymantria dispar), rice leafroller (Cnaphalocrocis medinalis), smaller tea tortrix (Adoxophyes sp.), colorado potato beetle (Leptinotarsa decemlineata), cucurbit leaf beetle (Aulacophora femoralis), boll weevil (Anthonomus grandis), planthoppers, leafhoppers, scales, bugs, whiteflies, thrips, grasshoppers, anthomyiid flies, scarabs, black cutworm (Agrotis ipsilon), cutworm (Agrotis segetum) and ants; gastropods such as slugs and snails; hygienic insect pests such as tropical rat mite (Ornithonyssus bacoti), cockroaches, housefly (Musca domestica) and house mosquito (Culex pipiens); stored grain insects such as angoumois grain moth (Sitotroga cerealella), adzuki bean weevil (Callosobruchus chinensis), red flour beetle (Tribolium castaneum) and mealworms; clothes insect pests such as casemaking clothes moth (Tinea pellionella) and black carpet beetle (Attagenus japonicus); and house and household insect pests such as subterranean termites; mites, e.g. plant parasitic mites such as two-spotted spider mite (Tetranychus urticae), carmine spider mite (Tetranychus cinnabarinus), kanzawa spider mite (Tetranychus kanzawai), citrus red mite (Panonychus citri), European red mite (Panonychus ulmi), broad mite (Polyphagotarsonemus latus), pink citrus rust mite (Aculops pelekassi) and bulb mite (Rhizoglyphus echinopus); and domestic mites such as mold mite (Tyrophagus putrescentiae), Dermatophagoides farinae and Chelacaropsis moorei; nematodes, e.g. plant parasitic nematodes such as root-knot nematodes, cyst nematodes, root-lesion nematodes, white-tip nematode (Aphelenchoides besseyi), strawberry bud nematode (Nothotylenchus acris), and pine wood nematode (Bursaphelenchus xylophilus); and soil pests, e.g. isopods such as pillbugs (Armadillidium vulgare) and pillbugs (Porcellio scaber). Among them, it is particularly effective for controlling plant parasitic mites, agricultural insect pests and plant parasitic nematodes, and it is more effective for controlling agricultural insect pests and plant parasitic nematodes, and accordingly it is highly useful as an

insecticide or nematicide. Further, it is effective against insect pests having acquired resistance to organophosphorus, carbamate, synthetic pyrethroid and/or neonicotinoid insecticides. Moreover, the compound of the present invention has excellent systemic properties, and by the application of the insecticide, miticide, nematicide or soil pesticide containing the compound of the present invention to soil treatment, not only noxious insects, noxious mites, noxious nematodes, noxious gastropods and noxious isopods in soil but also foliage pests can be controlled.

Another preferred embodiments of the agricultural and horticultural insecticide, miticide, nematicide or soil pesticide containing the compound of the present invention may be insecticides, miticides, nematicides or soil pesticides which collectively control the above-mentioned plant parasitic mites, agricultural insect pests, plant parasitic nematodes, gastropods and soil pests.

The insecticide, miticide, nematicide or soil pesticide containing the compound of the present invention, is usually formulated by mixing the compound with various agricultural adjuvants and used in the form of a formulation such as a dust, granules, water-dispersible granules, a wettable powder, a water-based suspension concentrate, an oil-based suspension concentrate, a water soluble powder, an emulsifiable concentrate, a soluble concentrate, a paste, an aerosol or an ultra low-volume formulation. However, so long as it is suitable for the purpose of the present invention, it may be formulated into any type of formulation which is commonly used in this field. Such agricultural adjuvants include solid carriers such as diatomaceous earth, slaked lime, calcium carbonate, talc, white carbon, kaoline, bentonite, kaolinite, sericite, clay, sodium carbonate, sodium bicarbonate, mirabilite, zeolite and starch; solvents such as water, toluene, xylene, solvent naphtha, dioxane, acetone, isophorone, methyl isobutyl ketone, chlorobenzene, cyclohexane, dimethylsulfoxide, N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone, and alcohol; anionic surfactants such as a salt of fatty acid, a benzoate, an alkylsulfosuccinate, a dialkylsulfosuccinate, a polycarboxylate, a salt of alkylsulfuric acid ester, an alkyl sulfate, an alkylaryl sulfate, an alkyl diglycol ether sulfate, a salt of alcohol sulfuric acid ester, an alkyl sulfonate, an alkylaryl sulfonate, an aryl sulfonate, a lignin sulfonate, an alkyldiphenyl ether disulfonate, a polystyrene sulfonate, a salt of alkylphosphoric acid ester, an alkylaryl phosphate, a styrylaryl phosphate, a salt of polyoxyethylene alkyl ether sulfuric acid

ester, a polyoxyethylene alkylaryl ether sulfate, a salt of polyoxyethylene alkylaryl ether sulfuric acid ester, a polyoxyethylene alkyl ether phosphate, a salt of polyoxyethylene alkylaryl phosphoric acid ester, and a salt of a condensate of naphthalene sulfonate with formaldehyde; nonionic surfactants such as a sorbitan fatty acid ester, a glycerin fatty acid ester, a fatty acid polyglyceride, a fatty acid alcohol polyglycol ether, acetylene glycol, acetylene alcohol, an oxyalkylene block polymer, a polyoxyethylene alkyl ether, a polyoxyethylene alkylaryl ether, a polyoxyethylene styrylaryl ether, a polyoxyethylene glycol alkyl ether, a polyethylene glycol, a polyoxyethylene fatty acid ester, a polyoxyethylene sorbitan fatty acid ester, a polyoxyethylene glycerin fatty acid ester, a polyoxyethylene hydrogenated castor oil, and a polyoxypropylene fatty acid ester; vegetable and mineral oils such as olive oil, kapok oil, castor oil, palm oil, camellia oil, coconut oil, sesame oil, corn oil, rice bran oil, peanut oil, cottonseed oil, soybean oil, rapeseed oil, linseed oil, tung oil, and liquid paraffins; and so on. Each of the components as such adjuvants may be one or more suitably selected for use, so long as the purpose of the present invention can thereby be accomplished. Further, other than the above-mentioned adjuvants, some among those known in this field may suitably be selected for use. For example, various adjuvants which are commonly used, such as a filler, a thickener, an anti-settling agent, an anti-freezing agent, a dispersion stabilizer, a phytotoxicity reducing agent, an anti-mold agent, and so on, may also be employed.

The weight ratio of the compound of the present invention to the various agricultural adjuvants is usually from 0.001:99.999 to 95:5, preferably from 0.005:99.995 to 90:10.

In the actual application of such a formulation, it may be used as it is, or may be diluted to a predetermined concentration with a diluent such as water, and various spreaders e.g. surfactants, vegetable oils or mineral oils may be added thereto, as the case requires.

The application of the insecticide, miticide, nematicide or soil pesticide containing the compound of the present invention cannot generally be defined, as it varies depending upon the weather conditions, the type of the formulation, the application season, the application site or the types or degree of outbreak of the pest insects. However, it is usually applied in a concentration of the active ingredient being from

0.05 to 800,000 ppm, preferably from 0.5 to 500,000 ppm, and the dose per unit area is such that the compound of the present invention is from 0.05 to 50,000 g, preferably from 1 to 30,000 g, per hectare. Further, the present invention includes such a method for controlling insects, mites, nematodes or soil pests, particularly for controlling plant parasitic mites, agricultural insect pests or plant parasitic nematodes by such applications.

Various formulations of the insecticide, miticide, nematicide or soil pesticide containing the compound of the present invention or their diluted compositions may be applied by conventional methods for application which are commonly employed, such as spraying (e.g. jetting, misting, atomizing, powder or grain scattering or dispersing in water), soil application (e.g. mixing or drenching), surface application (e.g. coating, powdering or covering) or impregnation to obtain poisonous feed. Further, it is possible to feed domestic animals with a food containing the above active ingredient and to control the outbreak or growth of pests, particularly insect pests, with their excrements. Furthermore, the active ingredient may also be applied by a so-called ultra low-volume application method. In this method, the composition may be composed of 100% of the active ingredient.

Further, the insecticide, miticide, nematicide or soil pesticide containing the compound of the present invention may be mixed with or may be used in combination with other agricultural chemicals, fertilizers or phytotoxicity-reducing agents, whereby synergistic effects or activities may sometimes be obtained. Such other agricultural chemicals include, for example, a herbicide, an insecticide, a miticide, a nematicide, a soil pesticide, a fungicide, an antivirus agent, an attractant, an antibiotic, a plant hormone, a plant growth regulating agent, and so on. Especially, with an insecticidal, miticidal, nematicidal or soil pesticidal composition having a compound of the present invention mixed with or used in combination with one or more active compounds of other agricultural chemicals, the application range, the application time, the pesticidal activities, etc. may be improved to preferred directions. The compound of the present invention and the active compounds of other agricultural chemicals may separately be formulated so that they may be mixed for use at the time of application, or they may be formulated together. The present invention includes such an insecticidal, miticidal, nematicidal or soil pesticidal composition.

The mixing ratio of the compound of the present invention to the active compounds of other agricultural chemicals can not generally be defined, since it varies depending upon the weather conditions, the types of formulations, the application time, the application site, the types or degree of outbreak of insect pests, etc., but it is usually within a range of from 1:300 to 300:1, preferably from 1:100 to 100:1, by weight. Further, the dose for the application is such that the total amount of the active compounds is from 0.1 to 50,000 g, preferably from 1 to 30,000 g, per hectare. The present invention includes a method for controlling insects, mites, nematodes or soil pests by an application of such an insecticidal, miticidal, nematicidal or soil pesticidal composition.

The active ingredient compounds of an insect pest control agents, such as the insecticide, the miticide, the nematicide or the soil insect pesticide in the above-mentioned other agricultural chemicals, include, for example, (by common names, some of them are still in an application stage, or test codes of Japan Plant Protection Association):

organic phosphate compounds, such as profenofos, dichlorvos, fenamiphos, fenitrothion, EPN, diazinon, chlorpyrifos, chlorpyrifos-methyl, acephate, prothiofos, fosthiazate, cadusafos, disulfoton, isoxathion, isofenphos, ethion, etrimfos, quinalphos, dimethylvinphos, dimethoate, sulprofos, thiometon, vamidothion, pyraclofos, pyridaphenthion, pirimiphos-methyl, propaphos, phosalone, formothion, malathion, tetrachlorvinphos, chlorfenvinphos, cyanophos, trichlorfon, methidathion, phenthoate, ESP, azinphos-methyl, fenthion, heptenophos, methoxychlor, parathion, phosphocarb, demeton-S-methyl, monocrotophos, methamidophos, imicyafos, parathion-methyl, terbufos, phosphamidon, phosmet and phorate;

carbamate compounds, such as carbaryl, propoxur, aldicarb, carbofuran, thiodicarb, methomyl, oxamyl, ethiofencarb, pirimicarb, fenobucarb, carbosulfan, benfuracarb, bendiocarb, furathiocarb, isoprocarb, metolcarb, xylylcarb, XMC and fenothiocarb;

nereistoxin derivatives, such as cartap, thiocyclam, bensultap and thiosultap-sodium;

organic chlorine compounds, such as dicofol, tetradifon, endosulfan, dienochlor and dieldrin;

organic metal compounds, such as fenbutatin oxide and cyhexatin;

pyrethroid compounds, such as fenvalerate, permethrin, cypermethrin, deltamethrin, cyhalothrin, tefluthrin, ethofenprox, flufenprox, cyfluthrin, fenpropathrin, flucythrinate, fluvalinate, cycloprothrin, lambda-cyhalothrin, pyrethrins, esfenvalerate, tetramethrin, resmethrin, protrifenbute, bifenthrin, zeta-cypermethrin, acrinathrin, alpha-cypermethrin, allethrin, gamma-cyhalothrin, theta-cypermethrin, tau-fluvalinate, tralomethrin, profluthrin, beta-cypermethrin, beta-cyfluthrin, metofluthrin, phenothrin and flumethrin;

benzoylurea compounds, such as diflubenzuron, chlorfluazuron, teflubenzuron, flufenoxuron, lufenuron, novaluron, triflumuron, hexaflumuron, bistrifluron, noviflumuron and fluazuron;

juvenile hormone-like compounds, such as methoprene, pyriproxyfen, fenoxycarb and diofenolan;

pyridazinone compounds, such as pridaben;

pyrazole compounds, such as fenpyroximate, fipronil, tebufenpyrad, ethiprole, tolfenpyrad, acetoprole, pyrafluprole and pyriprole;

neonicotinoids, such as imidacloprid, nitenpyram, acetamiprid, thiacloprid, thiamethoxam, clothianidin, nidinotefuran, dinotefuran and nithiazine;

hydrazine compounds, such as tebufenozide, methoxyfenozide, chromafenozide and halofenozide;

pyridine compounds, such as pyridalyl and flonicamid;

cyclic keto-enol compounds, such as spirodiclofen, spiromesifen and spirotetramat;

strobilurin compounds, such as fluacrypyrim;

pyrimidinamine compounds, such as flufenerim;

dinitro compounds; organic sulfur compounds; urea compounds; triazine compounds; hydrazone compounds;

other compounds, such as buprofezin, hexythiazox, amitraz, chlordimeform, silafluofen, triazamate, pymetrozine, pyrimidifen, chlorfenapyr, indoxacarb, acequinocyl, etoxazole, cyromazine, 1,3-dichloropropene, diafenthiuron, benclothiaz, bifenazate, propargite, clofentezine, metaflumizone, flubendiamide, cyflumetofen, chlorantraniliprole, cyenopyrafen, pyrifluquinazon, fenazaquin, amidoflumet,

sulfluramid, hydramethylnon, metaldehyde, cyantraniliprole, ryanodine, verbutin, sulfoxaflor and cypropene; and the like. Further, it may be used in combination with or together with microbial agricultural chemicals, such as insecticidal crystal proteins produced by Bacillus thuringiensis aizawai, Bacillus thuringiensis kurstaki, Bacillus thuringiensis israelensis, Bacillus thuringiensis japonensis, Bacillus thuringiensis tenebrionis or Bacillus thuringiensis, insect viruses, etomopathogenic fungi, and nematophagous fungi; antibiotics or semisynthetic antibiotics, such as avermectin, emamectin benzoate, milbemectin, milbemycin, spinosad, ivermectin, lepimectin, DE-175, abamectin, emamectin and spinetoram; natural products, such as azadirachtin and rotenone; and repellents, such as deet.

The active ingredient compounds of the fungicide in the above-mentioned other agricultural chemicals include, for example, (by common names, some of them are still in an application stage, or test codes of Japan Plant Protection Association):

anilinopyrimidine compounds, such as mepanipyrim, pyrimethanil, and cyprodinil;

triazoropyrimidine compounds, such as 5-chloro-7-(4-methylpiperidin-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

pyridinamine compounds, such as fluazinam;

azole compounds, such as triadimefon, bitertanol, triflumizole, etaconazole, propiconazole, penconazole, flusilazole, myclobutanil, cyproconazole, tebuconazole, hexaconazole, furconazole-cis, prochloraz, metconazole, epoxiconazole, tetraconazole, oxpoconazole fumarate, sipconazole, prothioconazole, triadimenol, flutriafol, difenoconazole, fluquinconazole, fenbuconazole, bromuconazole, diniconazole, tricyclazole, probenazole, simeconazole, pefurazoate, ipconazole and imibenconazole;

quinoxaline compounds, such as quinomethionate;

dithiocarbamate compounds, such as maneb, zineb, mancozeb, polycarbamate, metiram, propineb and thiram;

organic chlorine compounds, such as fthalide, chlorothalonil and quintozene;

imidazole compounds, such as benomyl, cyazofamid, thiophanate-methyl, carbendazim, thiabendazole and fuberiazole;

cyanoacetamide compounds, such as cymoxanil;

anilide compounds, such as metalaxyl, metalaxyl-M, mefenoxam, oxadixyl, ofurace, benalaxyl, benalaxyl-M (another name: kiralaxyl, chiralaxyl), furalaxyl, cyprofuram, carboxin, oxycarboxin, thifluzamide, boscalid, bixafen, isotianil, tiadinil and sedaxane;

sulfamide compounds, such as dichlofluanid;

copper compounds, such as cupric hydroxide and oxine copper;

isoxazole compounds, such as hymexazol;

organophosphorus compounds, such as fosetyl-Al, tolclofos-methyl, S-benzyl O,O-diisopropylphosphorothioate, O-ethyl S,S-diphenylphosphorodithioate, aluminum ethylhydrogen phosphonate, edifenphos, and iprobenfos;

phthalimide compounds, such as captan, captafol and folpet;

dicarboximide compounds, such as procymidone, iprodione and vinclozolin;

benzanilide compounds, such as flutolanil and mepronil;

amide compounds, such as penthiopyrad, mixture of 3-(difluoromethyl)-1-methyl-N-[(1RS,4SR,9RS)-1,2,3,4-tetrahydro-9-isopropyl-1,4-methanonaphthalen-5-yl]pyrazole-4-carboxamide and 3-(difluoromethyl)-1-methyl-N-[(1RS,4SR,9SR)-1,2,3,4-tetrahydro-9-isopropyl-1,4-methanonaphthalen-5-yl]pyrazole-4-carboxamide (isopyrazam), silthiopham and fenoxanil;

benzamide compounds, such as fluopyram and zoxamide;

piperazine compounds, such as triforine;

pyridine compounds, such as pyrifenox;

carbinol compounds, such as fenarimol;

piperidine compounds, such as fenpropidin;

morpholine compounds, such as fenpropimorph and tridemorph;

organotin compounds, such as fentin hydroxide and fentin acetate;

urea compounds, such as pencycuron;

cinnamic acid compounds, such as dimethomorph and flumorph;

phenylcarbamate compounds, such as diethofencarb;

cyanopyrrole compounds, such as fludioxonil and fenpiclonil;

strobilurin compounds, such as azoxystrobin, kresoxim-methyl, metominostrobin, trifloxystrobin, picoxystrobin, oryzastrobin, dimoxystrobin, pyraclostrobin, and fluoxastrobin;

oxazolidinone compounds, such as famoxadone;

thiazolecarboxamide compounds, such as ethaboxam;

valinamide compounds, such as iprovalicarb and benthiavalicarb-isopropyl;

acylamino acid compounds, such as methyl N-(isopropoxycarbonyl)-L-valyl-(3RS)-3-(4-chlorophenyl)-β-alaninate (valiphenalate);

imidazolinone compounds, such as fenamidone;

hydroxyanilide compounds, such as fenhexamid;

benzenesulfonamide compounds, such as flusulfamide;

oxime ether compounds, such as cyflufenamid;

anthraquinone compounds;

crotonic acid compounds;

antibiotics, such as validamycin, kasugamycin and polyoxins;

guanidine compounds, such as iminoctadine and dodine;

quinoline compounds, such as 6-tert-butyl-8-fluoro-2,3-dimethylquinolin-4-yl acetate (tebufloquin);

thiazolidine compounds, such as (Z)-2-(2-fluoro-5-(trifluromethyl)phenylthio)-2-(3-(2-methoxyphenyl)thiazolidin-2-yliden)acetonitrile (flutianil);

and other compounds, such as pyribencarb, isoprothiolane, pyroquilon, diclomezine, quinoxyfen, propamocarb hydrochloride, chloropicrin, dazomet, metam-sodium, nicobifen, metrafenone, UBF-307, diclocymet, proquinazid, amisulbrom (another name: amibromdole), pyriofenone, mandipropamid, fluopicolide, carpropamid, meptyldinocap, ferimzone, spiroxamine, S-2188 (fenpyrazamine), S-2200, ZF-9646, BCF-051, BCM-061 and BCM-062.

Further, agricultural chemicals which may be used in admixture with or in combination with the compounds of the present invention, may, for example, be the active ingredient compounds in the herbicides as disclosed in The Pesticide Manual (15th edition), particularly those of soil treatment type.

The insecticide, miticide, nematicide or soil pesticide containing the compound of the present invention is useful as an agent to control insects or mites parasitic on animals. Specifically, it is effective for controlling e.g. harmful external parasites which are parasitic on the body surface of host animals (such as the back, the axilla, the lower abdomen or inside of the thigh) or harmful internal parasites which are parasitic

in the body of host animals (such as the stomach, the intestinal tract, the lung, the heart, the liver, the blood vessels, the subcutis or lymphatic tissues), but they are particularly effective for controlling the external parasites.

The external parasites may, for example, be animal parasitic acarus or fleas. Their species are so many that it is difficult to list all of them, and therefore, their typical examples will be given.

The animal parasitic acarus may, for example, be ticks such as Boophilus microplus, Rhipicephalus sanguineus, Haemaphysalis longicornis, Haemaphysalis flava, Haemaphysalis campanulata, Haemaphysalis concinna, Haemaphysalis japonica, Haemaphysalis kitaokai, Haemaphysalis ias, Ixodes ovatus, Ixodes nipponensis, Ixodes persulcatus, Amblyomma testudinarium, Haemaphysalis megaspinosa, Dermacentor reticulatus, and Dermacentor taiwanesis; red mite (Dermanyssus gallinae); northern fowl mites such as Ornithonyssus sylviarum, and Ornithonyssus bursa; trombiculidae such as Eutrombicula wichmanni, Leptotrombidium akamushi, Leptotrombidium pallidum, Leptotrombidium fuji, Leptotrombidium tosa, Neotrombicula autumnalis, Eutrombicula alfreddugesi, and Helenicula miyagawai; cheyletidae such as Cheyletiella yasguri, Cheyletiella parasitivorax, and Cheyletiella blakei; sarcoptic mange mites such as Psoroptes cuniculi, Chorioptes bovis, Otodectes cynotis, Sarcoptes scabiei, and Notoedres cati; and Demodicidae such as Demodex canis. Among them, it is particularly effective for the control of ticks.

The animal parasitic fleas may, for example, be externally parasitic wingless insects belonging to Siphonaptera, more specifically, fleas belonging to Pulicidae, Ceratephyllus, etc. Fleas belonging to Pulicidae may for example, be Ctenocephalides canis, Ctenocephalides felis, Pulex irritans, Echidnophaga gallinacea, Xenopsylla cheopis, Leptopsylla segnis, Nosopsyllus fasciatus, and Monopsyllus anisus. The agent to control insects or mites parasitic on animals, containing the compounds of the present invention, is particularly effective for the control of fleas belonging to Pulicidae, particularly Ctenocephalides canis and Ctenocephalides felis, among them.

Other external parasites may, for example, be sucking lice (Anoplura) such as shortnosed cattle louse (Haematopinus eurysternus), horse sucking louse

(Haematopinus asini), sheep louse, longnosed cattle louse (Linognathus vituli), and head louse (Pediculus capitis); biting lice such as dog biting louse (Trichodectes canis); and blood-sucking dipterous insects such as horsefly (Tabanus trigonus), biting midges (Culicoides schultzei), and blackfly (Simulium ornatum). Further, the internal parasites may, for example, be nematodes such as lung worms, whipworms (Trichuris), tuberous worms, gastric parasites, ascaris, and filarioidea; cestoda such as Spirometra erinacei, Diphyllobothrium latum, Dipylidium caninum, Taenia multiceps, Echinococcus granulosus, and Echinococcus multilocularis; trematoda such as Schistosoma japonicum and Fasciola hepatica; and protozoa such as coccidia, malaria parasites (Plasmodium malariae), intestinal sarcocyst, toxoplasma, and cryptosporidium.

The host animals may, for example, be pet animals, domestic animals, and poultry, such as dogs, cats, mice, rats, hamsters, guinea pigs, squirrels, rabbits, ferrets, birds (such as pigeons, parrots, hill mynas, Java sparrows, honey parrots, lovebirds and canaries), cows, horses, pigs, sheep, ducks and chickens. The agent to control insects or mites parasitic on animals, containing the compounds of the present invention, is particularly effective for the control of pests parasitic on pet animals or domestic animals, especially for the control of external parasites, among them. Among pet animals or domestic animals, they are effective particularly for dogs, cats, cows and horses.

When the compound of the present invention is used as an agent to control insects or mites parasitic on animals, it may be used as it is or may be used together with suitable adjuvants, as formulated into various formulations such as a dust, granules, tablets, a powder, capsules, a soluble concentrate, an emulsifiable concentrate, a water-based suspension concentrate and an oil-based suspension concentrate. In addition to such formulations, it may be formulated into any type of formulation which is commonly used in this field, so long as it is suitable for the purpose of the present invention. The adjuvants to be used for formulations may, for example, be anionic surfactants or nonionic surfactants exemplified above as adjuvants for formulation of agricultural and horticultural insecticide, miticide, nematicide or soil pesticides; a cationic surfactant such as cetyl trimethylammonium bromide; a solvent such as water, acetone, acetonitrile, N-methylacetamide, N,N-dimethylacetamide, N,N-dimethylformamide, 2-pyrrolidone, N-methyl-2-pyrrolidone,

kerosene, triacetin, methanol, ethanol, isopropanol, benzyl alcohol, ethylene glycol, propylene glycol, polyethylene glycol, liquid polyoxyethylene glycol, butyl diglycol, ethylene glycol monomethyl ether, ethylene glycol monoethyl ether, diethylene glycol monoethyl ether, diethylene glycol n-butyl ether, dipropylene glycol monomethyl ether, or dipropylene glycol n-butyl ether; an antioxidant such as butylhydroxyanisole, butylhydroxytoluene, ascorbic acid, sodium hydrogenmetasulfite, propyl gallate or sodium thiosulfate; a coating film-forming agent such as polyvinylpyrrolidone, polyvinyl alcohol, or a copolymer of vinyl acetate and vinyl pyrrolidone; the vegetable oils and mineral oils as exemplified above as adjuvants for formulation of agricultural and horticultural insecticide, miticide, nematicide or soil pesticides; a carrier such as lactose, sucrose, glucose, starch, wheat flour, corn powder, soybean cake and meal, defatted rice bran, calcium carbonate or other commercially available feed materials; and so on. One or more of the respective components of these adjuvants may be suitably selected for use, so long as such will not depart from the purpose of the present invention. Further, other than the above-mentioned adjuvants, some among those known in this field may suitably be selected for use, and still further, some among the above-mentioned various adjuvants to be used in the agricultural and horticultural field may suitably be selected for use.

The blend ratio of the compound of the present invention to various adjuvants is usually from 0.1:99.9 to 90:10, by weight. In the actual use of such a formulation, it may be used as it is, or may be diluted to a predetermined concentration with a diluent such as water, and various spreaders (e.g. surfactants, vegetable oils or mineral oils) may be added thereto, as the case requires.

Administration of the compound of the present invention to a host animal is carried out orally or parenterally. As an oral administration method, a method of administering a tablet, a liquid agent, a capsule, a wafer, a biscuit, a minced meat or other feed, containing the compound of the present invention, may be mentioned. As a parenteral administration method, there may, for example, be mentioned a method wherein the compound of the present invention is formulated into a suitable formulation and then taken into the body by e.g. intravenous administration, intramuscular administration, intradermal administration, hypodermic administration, etc.; a method wherein it is administered on the body surface by spot-on treatment, pour-on treatment

or spray treatment; or a method of embedding a resin fragment or the like containing the compound of the present invention under the skin of the host animal.

The dose of the compound of the present invention to a host animal varies depending upon the administration method, the purpose of administration, the deceased symptom, etc., but it is usually administered in a proportion of from 0.01 mg to 100 g, preferably from 0.1 mg to 10 g, per 1 kg of the body weight of the host animal.

The present invention also includes a method for controlling an insects or mites parasitic on animals by the above-mentioned administration method or by the above-mentioned dose, particularly a method for controlling external parasites or internal parasites.

Further, in the present invention, by controlling pests parasitic on animals as described above, it is possible to prevent or cure various diseases of the host animal thereby caused in some cases. Thus, the present invention also includes a preventive or therapeutic agent for an animal disease caused by parasites, containing the compound of the present invention as an active ingredient, and a method for preventing or curing an animal disease caused by parasites.

When the compound of the present invention is used as an agent to control insects or mites parasitic on animals, various vitamins, minerals, amino acids, nutrients, enzymes, antipyretics, sedatives, antiphlogistics, fungicides, colorants, aromatic substances, preservatives, etc., may be used in admixture with or in combination with the adjuvants. Further, as the case requires, other animal drugs or agricultural chemicals, such as vermicides, anti-coccidium agents, insecticides, miticides, pulicides, nematicides, bactericides or antibacterial agents, may be mixed or combined for use, whereby improved effects may sometimes be obtained. The present invention includes such a mixed pesticidal composition having the above-mentioned various components mixed or combined for use, and further a method for controlling a pest by using it, particularly a method for controlling external parasites or internal parasites.

Now, preferred embodiments of the present invention will be described, but it should be understood that the present invention is by no means thereby restricted.

(1) A benzamide derivative represented by the above formula (I) or its salt.

(2) The benzamide derivative or its salt according to (1), wherein R^2 is C_{3-8} cycloalkyl.

(3) The benzamide derivative or its salt according to (1), wherein R^2 is C_{2-8} alkyl.

(4) The benzamide derivative or its salt according to (1), wherein R^1 is a hydrogen atom, and R^2 is C_{3-8} cycloalkyl.

(5) The benzamide derivative or its salt according to (1), wherein R^1 is a hydrogen atom, and R^2 is C_{2-8} alkyl.

(6) The benzamide derivative or its salt according to (1), wherein R^1 is C_{1-3} alkyl, and R^2 is C_{2-8} alkyl or C_{3-8} cycloalkyl.

(7) The benzamide derivative or its salt according to (1), wherein R^1 is C_{1-3} alkyl, and R^2 is C_{3-8} cycloalkyl.

(8) The benzamide derivative or its salt according to (1), wherein R^1 is C_{1-3} alkyl, and R^2 is C_{2-8} alkyl.

(9) The benzamide derivative or its salt according to (6), wherein R^1 is C_{1-3} alkyl, and R^2 is C_{2-8} alkyl or C_{3-8} cycloalkyl, provided that a case where when R^1 is methyl, R^2 is cyclopropyl, is excluded.

(10) The benzamide derivative or its salt according to (1), wherein R^1 is a hydrogen atom or C_{1-3} alkyl, and R^2 is C_{2-5} alkyl or C_{3-6} cycloalkyl.

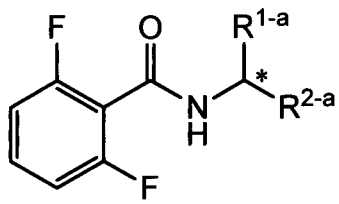
(11) The benzamide derivative or its salt according to (10), wherein R^1 is a hydrogen atom or C_1 alkyl.

(12) A stereoisomer of the benzamide derivative or its salt as defined in any one of (1) to (3) and (6) to (11).

(13) The stereoisomer according to (12), which is an enantiomer or a diastereomer.

(14) An enantiomer of the benzamide derivative or its salt as defined in any one of (1) to (3) and (6) to (11).

(15) The enantiomer of the benzamide derivative or its salt according to (14), wherein the compound of the above formula (I) is a compound having R^1 and R^2 which are different from each other, and represented by the formula (I-a):



(I-a)

wherein R^{1-a} is C_{1-3} alkyl, and R^{2-a} is C_{2-8} alkyl or C_{3-8} cycloalkyl, and R^{1-a} and R^{2-a} are different from each other, and the methine carbon to which R^{1-a} and R^{2-a} are bonded is the chiral center.

(16) The enantiomer according to (14) or (15), which is the S-enantiomer.

(17) (S)-N-(1-cyclopropylethyl)-2,6-difluorobenzamide.

(18) The enantiomer according to (14) or (15), which is the R-enantiomer.

(19) (R)-N-(1-cyclopropylethyl)-2,6-difluorobenzamide.

(20) An insecticide, miticide, nematicide or soil pesticide containing the benzamide derivative or its salt as defined in any one of (1) to (19) as an active ingredient.

(21) A nematicide containing the benzamide derivative or its salt as defined in any one of (1) to (19) as an active ingredient.

(22) An insecticide, miticide, nematicide or soil pesticide for controlling insects, mites, nematodes or soil pests which become problematic in the agricultural and horticultural fields, which contains the benzamide derivative or its salt according to any one of (1) to (19) as an active ingredient.

(23) An insecticide or miticide for controlling insects or mites parasitic on animals, which contains the benzamide derivative or its salt as defined in any one of (1) to (19) as an active ingredient.

(24) A method for controlling insects, mites, nematodes or soil pests, which comprises applying an effective amount of the benzamide derivative or its salt as defined in any one of (1) to (19) thereto.

(25) A method for controlling nematodes, which comprises applying an effective amount of the benzamide derivative or its salt as defined in any one of (1) to (19) thereto.

EXAMPLES

Now, the present invention will be described in further detail with reference to Examples, but it should be understood that the present invention is by no means thereby restricted. Firstly, Preparation Examples for the compounds of the present invention will be described.

PREPARATION EXAMPLE 1

Preparation of (S)-N-(1-cyclopropylethyl)-2,6-difluorobenzamide (Compound No. 2)

A solution of 0.21 g of 2,6-difluorobenzoyl chloride in 5 mL of tetrahydrofuran was added to a mixed solution of 0.13 g of (S)-1-cyclopropylethaneamine and 0.15 g of triethylamine in 5 mL of tetrahydrofuran. The reaction mixture was reacted at room temperature for 1 hour. After completion of the reaction, the reaction mixture was

added to water, followed by extraction with ethyl acetate. Then, the organic layer was washed with brine, dried over anhydrous sodium sulfate, and then filtrated. To the filtrate was added silica gel, and then the suspension was concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (eluent: n-hexane/ethyl acetate=9/1 to 7/3) to obtain 0.20 g of the desired product as a white solid. ^{1}H-NMR data of this product (measured by ^{1}H-Nuclear Magnetic Resonance Spectroscopy, δ is a chemical shift) are as follows.

^{1}H-NMR (solvent: $CDCl_3$/300MHz) δ(ppm): 7.38-7.28(1H,m), 6.95-6.88(2H,m), 5.87(1H,br), 3.69-3.57(1H,m), 1.35(3H,d), 0.93-0.82(1H,m), 0.58-0.37(3H,m), 0.33-0.26(1H,m).

PREPARATION EXAMPLE 2

Preparation of N-(4,4-dimethylpentan-2-yl)-2,6-difluorobenzamide (Compound No. 7)

(1) A mixed solution of 1.14 g of 4,4-dimethylpentan-2-one, 0.83 g of hydroxylamine hydrochloride and 0.64 g of sodium carbonate in 20 mL of ethanol was heated to reflux for 2 hours. After completion of the reaction, the reaction mixture was concentrated under reduced pressure, and water was added to the residue, followed by extraction with ethyl acetate. The organic layer was washed with brine, dried over anhydrous sodium sulfate, filtrated and concentrated under reduced pressure, to obtain 0.96 g of crude 4,4-dimethylpentan-2-one oxime.

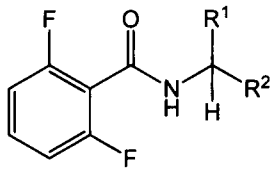
(2) A solution of 1.18 g of titanium tetrachloride in 10 mL of 1,2-dimethoxyethane was cooled with ice, and 0.47 g of sodium borohydride was gradually added. Under cooling with ice, the reaction mixture was stirred for 15 minutes, and then a mixed solution of 0.4 g of the crude 4,4-dimethylpentan-2-one oxime obtained in (1) in 6 mL of 1,2-dimethoxyethane was dropwise added. Then, the temperature of the reaction mixture was raised to room temperature, and the reaction mixture was stirred for 16 hours, followed by cooling with ice. A mixed solution of 3.1 g of potassium hydroxide and 3.3 g of sodium acetate in 20 mL of water was added to this reaction mixture to obtain a basic mixture. Then, the reaction mixture was filtered through Celite, and the filtrate solution was extracted with ethyl acetate. The organic layer was washed with brine, dried over anhydrous sodium sulfate, filtrated and concentrated under reduced pressure, to obtain 0.52 g of crude 4,4-dimethylpentan-2-amine.

(3) A solution of 0.30 g of triethylamine in 5 mL of tetrahydrofuran was added to a

solution of all the amount of the crude 4,4-dimethylpentan-2-amine obtained in (2) in 5 mL of tetrahydrofuran, and then, a solution of 0.14 g of 2,6-difluorobenzoyl chloride in 5 mL of tetrahydrofuran was added thereto at room temperature. The reaction mixture was stirred at room temperature for 45 minutes, and then the reaction solution was poured into water, followed by extraction with ethyl acetate. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and then filtrated. To the filtrate was added silica gel, and the suspension was concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (eluent: n-hexane/ethyl acetate=9/1 to 7/3) to obtain 0.10 g of the desired product. ^{1}H-NMR data of this product are as follows.

^{1}H-NMR (solvent: $CDCl_3$/300MHz) δ(ppm) : 7.38-7.29(1H,m), 6.96-6.89(2H,m), 5.67(1H,br), 4.39-4.30(1H,m), 1.42(2H,d), 1.26(3H,d), 0.98 (9H,s).

Typical examples of the compound of the above formula (I) will be given in Table 1. These compounds can be prepared based on the above-described Preparation Examples 1 and 2 or the above-described various production processes. In Table 1, No. represents the Compound No., Me methyl, Et ethyl, n-Pr normal-propyl, i-Pr isopropyl, c-Pr cyclopropyl, c-Bu cyclobutyl, t-Bu tertiary-butyl, n-Pentyl normal-pentyl and c-Hexyl cyclohexyl, and the temperature shown as the physical properties is the melting point. Further, R/S represents the type of the enantiomer.



(I)

TABLE 1

No.	R1	R2	R/S	Physical properties
1	Me	c-Pr		143-145°C
2	Me	c-Pr	S	150-153°C
3	Me	c-Pr	R	151.5-153.5°C
4	H	c-Pr		66-68°C
5	H	c-Bu		92-94°C
6	Me	i-Pr		143-148°C
7	Me	CH_2-t-Bu		111-114°C
8	Me	c-Bu		142-143.5°C
9	Et	c-Pr		
10	i-Pr	c-Pr		
11	Me	c-Hexyl		116-118°C
12	Me	Et		142-146.5°C
13	Me	n-Pr		116.5-118°C
14	Me	CH_2-i-Pr		93.5-95°C
15	Me	t-Bu		163-164°C
16	H	CH_2-i-Pr		52°C
17	H	CH_2-t-Bu		88.1-90.7°C
18	n-Pr	c-Pr		
19	H	Et		51-56
20	H	i-Pr		100
21	H	t-Bu		106-108
22	H	n-Pentyl		36
23	Et	Et		160-162
24	n-Pr	n-Pr		87.6
25	H	c-Hexyl		120-123

Now, Test Examples will be described.

TEST EXAMPLE 1 Test on southern root-knot nematode (Meloidgyne incognita)

To 400 ml of the soil contaminated by southern root-knot nematode, 7 ml of a chemical solution having the concentration of the compound of the present invention adjusted to be 400 ppm, was poured, followed by mixing so that the compound was uniformly dispersed. The treated soil was put into a pot (diameter: 9 cm, height: 8 cm), and then a tomato seedling in 2-leaf stage was transplanted and placed in a greenhouse. After three to four weeks from the transplantation of the tomato, the root knot index was determined based on the standards shown in Table 2. The compound Nos. 1, 2, 4, 7 and 19 were at a level of a root knot index of not more than 1.

TABLE 2

Root knot index	Degree of formation of root knots
0	No knot was formed
1	Knots were formed to a slight degree
2	Knots were formed to a moderate degree
3	Knots were formed to a heavy degree
4	Knots were formed to the heaviest degree

TEST EXAMPLE 2 Test on Cobb root-lesion nematode (Pratylenchus penetrans)

To 400 ml of the soil contaminated by Cobb root-lesion nematode, 7 ml of a chemical solution having the concentration of the compound of the present invention adjusted to be 400 ppm, was poured, followed by mixing so that the compound was uniformly dispersed. The treated soil was put into a pot (diameter: 9 cm, height: 8 cm), and then 10 seeds of burdock were disseminated and placed in a greenhouse. After about two months from the dissemination of the burdock seeds, injury level of the roots was determined based on the standards shown in Table 3. The compound Nos. 1 and 2 were at a level of an injury index of not more than 1.

TABLE 3

Injury index	Injury level of roots
0	No injury
1	Slight injury
2	Moderate injury
3	Heavy injury
4	Heaviest injury

TEST EXAMPLE 3

Test on knocked down effects/miticidal effects against Haemaphysalis longicornis

On filter paper set in a petri dish, 1 mL of an acetone solution of the compound of the present invention (concentration: 10 mg/mL, 1 mg/mL, 0.1 mg/mL, 0.01 mg/mL, 0.001 mg/mL) is dropped by a micropipette. After the filter paper is dried, 100 larval ticks (Haemaphysalis longicornis) are put in the petri dish and covered by a polyethylene sheet for sealing. After 10, 30, 60 and 240 minutes from putting the larval ticks, the number of knocked down larval ticks is recorded as the time passes. Further, after 24, 48 and 72 hours from putting the larval ticks, the number of dead larval ticks is recorded as the time passes. The test is repeated twice.

TEST EXAMPLE 4

Pesticidal test against Haemaphysalis longicornis employing a dog

A gelatin capsule containing the compound of the present invention at a dose of 10 mg/kg weight is applied to a dog (Beagle, 8 months old), and immediately after the application, about 50 young mites of Haemaphysalis longicornis are released on the auricle of the dog and artificially parasitized. After the treatment, observation is carried out to inspect the parasitic number, the fallen number and the mortality of the fallen Haemaphysalis longicornis. As a result, the compound of the present invention is effective to have the parasitized Haemaphysalis longicornis fallen or dead.

TEST EXAMPLE 5

Pesticidal test against cat flea (Ctenocephalides felis) employing a dog

A gelatin capsule containing the compound of the present invention at a dose of 10 mg/kg weight is applied to a dog (Beagle, 8 months old), and immediately after the application, about 100 non-bloodsucked adults of cat flea are released on the dorsal fur of the dog and artificially parasitized. After the treatment, the cat flea is recovered by means of a flea catching comb, and the parasitized number is counted. As a result, the compound of the present invention is effective to control the parasitizing of cat flea.

Now, Formulation Examples are described below.

FORMULATION EXAMPLE 1

(1) Compound of the present invention	20 parts by weight
(2) Clay	70 parts by weight
(3) White carbon	5 parts by weight
(4) Sodium polycarboxylate	3 parts by weight
(5) Sodium alkylnaphthalene sulfonate	2 parts by weight

The above components are uniformly mixed to obtain a wettable powder.

FORMULATION EXAMPLE 2

(1) Compound of the present invention	5 parts by weight
(2) Talc	60 parts by weight
(3) Calcium carbonate	34.5 parts by weight
(4) Liquid paraffin	0.5 part by weight

The above components are uniformly mixed to obtain a dust.

FORMULATION EXAMPLE 3

(1) Compound of the present invention 20 parts by weight

(2) N,N-dimethylacetamide 20 parts by weight

(3) Polyoxyethylene tristyryl phenyl ether 10 parts by weight

(4) Calcium dodecylbenzene sulfonate 2 parts by weight

(5) Xylene 48 parts by weight

The above components are uniformly mixed and dissolved to obtain an emulsifiable concentrate.

FORMULATION EXAMPLE 4

(1) Clay 68 parts by weight

(2) Sodium lignin sulfonate 2 parts by weight

(3) Polyoxyethylenealkylaryl sulfate 5 parts by weight

(4) White carbon 25 parts by weight

The mixture of the above components is mixed with compound of the present invention in a weight ratio of 4:1 to obtain a wettable powder.

FORMULATION EXAMPLE 5

(1) Compound of the present invention 50 parts by weight

(2) Sodium alkylnaphthalene sulfonate condensation product of formaldehyde 2 parts by weight

(3) Silicone oil 0.2 part by weight

(4) Water 47.8 parts by weight

The above components are uniformly mixed and pulverized to obtain a base liquid, and

(5) Sodium polycarboxylate 5 parts by weight

(6) Anhydrous sodium sulfate 42.8 parts by weight

are added, and the mixture is uniformly mixed, granulated and dried to obtain water-dispersible granules.

FORMULATION EXAMPLE 6

(1) Compound of the present invention 5 parts by weight

(2) Polyoxyethyleneoctylphenyl ether 1 part by weight

(3) Polyoxyethylene alkyl ether phosphoric acid ester 0.1 part by weight

(4) Granular calcium carbonate 93.9 parts by weight

The above components (1) to (3) are preliminarily uniformly mixed and diluted

with a proper amount of acetone, and then the mixture is sprayed onto the component (4), and acetone is removed to obtain granules.

FORMULATION EXAMPLE 7

(1) Compound of the present invention	2.5 parts by weight
(2) N,N-dimethylacetamide	2.5 parts by weight
(3) Soybean oil	95.0 parts by weight

The above components are uniformly mixed and dissolved to obtain an ultra low volume formulation.

FORMULATION EXAMPLE 8

(1) Compound of the present invention	40 parts by weight
(2) Potassium polyoxyethylene tristyryl phenyl ether phosphate	4 parts by weight
(3) Silicone oil	0.2 part by weight
(4) Xanthan gum	0.1 part by weight
(5) Ethylene glycol	5 parts by weight
(6) Water	50.7 parts by weight

The above components are uniformly mixed and pulverized to obtain a water-based suspension concentrate.

FORMULATION EXAMPLE 9

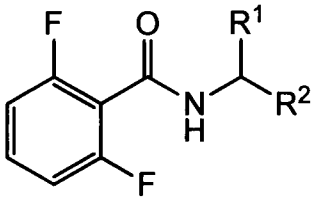
(1) Compound of the present invention	10 parts by weight
(2) Diethylene glycol monoethyl ether	80 parts by weight
(3) Polyoxyethylenealkyl ether	10 parts by weight

The above components are uniformly mixed to obtain a soluble concentrate.

The entire disclosure of Japanese Patent Application No. 2010-195397 filed on September 1, 2010 including specification, claims and summary is incorporated herein by reference in its entirety.

CLAIMS

1. A benzamide derivative represented by the formula (I) or its salt:



(I)

wherein R^1 is a hydrogen atom or C_{1-3} alkyl, and R^2 is C_{2-8} alkyl or C_{3-8} cycloalkyl.

2. The benzamide derivative or its salt according to Claim 1, wherein R^2 is C_{3-8} cycloalkyl.

3. The benzamide derivative or its salt according to Claim 1, wherein R^2 is C_{2-8} alkyl.

4. The benzamide derivative or its salt according to Claim 1, wherein R^1 is a hydrogen atom, and R^2 is C_{3-8} cycloalkyl.

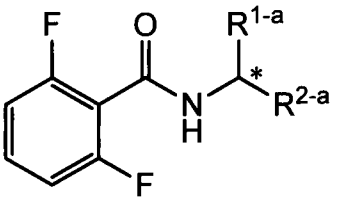
5. The benzamide derivative or its salt according to Claim 1, wherein R^1 is C_{1-3} alkyl, and R^2 is C_{2-8} alkyl or C_{3-8} cycloalkyl.

6. The benzamide derivative or its salt according to Claim 1, wherein R^1 is C_{1-3} alkyl, and R^2 is C_{3-8} cycloalkyl.

7. The benzamide derivative or its salt according to Claim 1, wherein R^1 is C_{1-3} alkyl, and R^2 is C_{2-8} alkyl.

8. The benzamide derivative or its salt according to Claim 1, wherein R^1 is C_{1-3} alkyl, and R^2 is C_{2-8} alkyl or C_{3-8} cycloalkyl, provided that a case where when R^1 is methyl, R^2 is cyclopropyl, is excluded.

9. An enantiomer of the benzamide derivative or its salt as defined in Claim 1, wherein the compound of the formula (I) is a compound having R^1 and R^2 which are different from each other, and represented by the formula (I-a):



(I −a)

wherein R^{1-a} is C_{1-3} alkyl, R^{2-a} is C_{2-8} alkyl or C_{3-8} cycloalkyl, and R^{1-a} and R^{2-a} are different from each other, and the methine carbon (*) to which R^{1-a} and R^{2-a} are bonded is the chiral center.

10. The enantiomer according to Claim 9, which is the S-enantiomer.

11. An insecticide, miticide, nematicide or soil pesticide containing the benzamide derivative or its salt as defined in Claim 1 as an active ingredient.

12. A nematicide containing the benzamide derivative or its salt as defined in Claim 1 as an active ingredient.

13. A method for controlling insects, mites, nematodes or soil pests, which comprises applying an effective amount of the benzamide derivative or its salt as defined in Claim 1 thereto.

14. A method for controlling nematodes, which comprises applying an effective amount of the benzamide derivative or its salt as defined in Claim 1 thereto.

INTERNATIONAL SEARCH REPORT

International application No
PCT/JP2011/070090

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07C233/65 A01N37/18
ADD.

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
C07C A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, WPI Data, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X,P	JP 2010 202545 A (ISHIHARA SANGYO KAISHA) 16 September 2010 (2010-09-16) the whole document	1,2,4-6, 11-14

X	DATABASE REGISTRY [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 18 March 2002 (2002-03-18), XP002662564, retrieved from STN Database accession no. 401587-35-7 abstract	1,3

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[X] Further documents are listed in the continuation of Box C.

[X] See patent family annex.

* Special categories of cited documents :

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"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
1 November 2011	09/11/2011

Name and mailing address of the ISA/	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016	Zervas, Brigitte

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Form PCT/ISA/210 (second sheet) (April 2005)

INTERNATIONAL SEARCH REPORT

International application No
PCT/JP2011/070090

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE REGISTRY [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 30 August 2006 (2006-08-30), XP002662565, retrieved from STN Database accession no. 905416-49-1 abstract	1,3
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A	EP 0 323 637 A1 (BAYER AG [DE]) 12 July 1989 (1989-07-12) cited in the application claims; examples	1-14
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Information on patent family members

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