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(54) **ETHYLENE DERIVATIVES AND PESTICIDES CONTAINING SAID DERIVATIVES**

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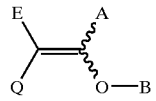
(57) **ABSTRACT**

Ethylene derivatives of formula (I):

(I)



where Q is an unsubstituted or substituted phenyl or heterocyclic group, especially a 4-thiazolyl, 1- or 3-pyrazolyl, 1,3-oxazol-4-yl, phenyl or pyridyl group; E is a substituent such as a cyano group; A is a substituent such as a 4-pyrazolyl or thiazolyl group; and B is a substituent such as an alkylcarbonyl group. Agricultural chemicals and agents for preventing the attachment of aquatic organisms containing one or more such ethylene derivatives.

39 Claims, No Drawings

ETHYLENE DERIVATIVES AND PESTICIDES CONTAINING SAID DERIVATIVES

Matter enclosed in heavy brackets [ ] appears in the original patent but forms no part of this reissue specification; matter printed in italics indicates the additions made by reissue.

This is a cont.-in-part of international application No. PCT/JP97/01449, filed Apr. 24, 1997.

BACKGROUND OF THE INVENTION

1. Field of the Art

The present invention relates to novel ethylene derivatives, and also to agricultural chemicals and agents for preventing the attachment of aquatic organisms containing said derivatives as an active ingredient. The agricultural chemicals as referred to herein include insecticides, acaricides, nematocides, herbicides and fungicides, etc., and are especially pesticides in the field of agriculture, horticulture, stock farming and sanitation. The agent for preventing the attachment of aquatic organisms are chemicals for preventing the attachment of harmful aquatic organisms such as shells and algae to fishing nets, the bottoms of ships, marine equipment such as buoys, marine constructions, circulating water systems in thermal and atomic power plants, inlet channels for heat exchanger cooling water in chemical industry, underwater constructions and reservoirs.

2. Description of the Related Art

For acrylonitrile derivatives, Japanese Patent Application Laid-Open No. Sho 53-92769 discloses the use of 2'-chloro-3-hydroxy-2-(4-phenyl-2-thiazolyl)-cinnamoyl nitrile as an insecticide; and International Patent Application Laid-Open No. WO-95/29591 discloses its use as an aquatic adhesion inhibitor. Japanese Patent Application Laid-open No. Sho 60-11452 discloses the use of 2-(4-chlorophenyl)-3-(3-pyridyl)-3-oxopropionitrile as a herbicide and Japanese Patent Application Laid-open No. Sho 60-11401 discloses its use as a fungicide.

With the long-term use of insecticides and fungicides, recently, some pests have become resistant to chemicals and are often difficult to exterminate with conventional insecticides and fungicides. In addition, some insecticides are highly toxic and are prone to remain long, without being decomposed, to destroy the ecosystem. Accordingly, it is always expected to develop novel, low-toxic and low-persistent insecticides and fungicides.

On the other hand, in order to prevent the adhesion and growth of marine and freshwater aquatics, it is used antifouling coatings comprising organic tin compounds such as bis(tributyltin) oxide or copper compounds such as copper sulfate and cuprous oxide. However, organic tin compounds are highly toxic, though being effective in preventing the adhesion of aquatics, and are especially prone to accumulate in the bodies of fishes and shellfishes. As so promoting the environmental pollution, the use of those compounds is now under legal controls. Copper compounds are widely used in antifouling coatings for inlet channels and for the bottoms of ships. However, like tin compounds, copper compounds contain a copper as a heavy metal. Therefore, the use of copper compounds will bring about the environmental pollution in future, and agents for preventing the attachment of aquatic organisms comprising such copper compounds are not preferred. Under the above-mentioned situation, it has been desired agents for preventing the attachment of aquatic organisms that have few influences on the ecosystem and bring about little secondary pollution.

SUMMARY OF THE INVENTION

In order to solve the above-mentioned problems, the present inventors have assiduously studied to develop agricultural chemicals and agents for preventing the attachment of aquatic organisms which can exhibit excellent pesticidal activities even when used in small amounts, and which have few negative influences on non-targeted organisms such as mammals, fishes and useful insects, and, as a result, have found that the compounds mentioned hereinunder are highly safe and have excellent pesticidal activities and activities for preventing the attachment of aquatic organisms. On the basis of these findings, the present inventors have completed the present invention.

DETAILED DESCRIPTION OF THE INVENTION

Specifically, the present invention provides the following [1] to [25]

[1] Ethylene derivatives of a formula (I):

[wherein;

Q represents a phenyl group optionally substituted by G, a naphthyl group optionally substituted by G, or a heterocyclic group optionally substituted by R (said heterocyclic group being a thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, 1,2,4,5-tetrazinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl, thiazolinyl, imidazolinone, imidazolidinedione, 3(2H)-pyridazinone, benzothiazolyl, benzimidazolyl, indazolyl, benzoxazolyl, quinolyl, isoquinolyl, quinoxalinyl, phthalazinyl, cinnolinyl or quinazolinyl group);

A represents a phenyl group optionally substituted by W, a naphthyl group optionally substituted by W, or a heterocyclic group optionally substituted by Y (said heterocyclic group being a thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl, thiazolinyl, 3(2H)-pyridazinone, benzothiazolyl, benzimidazolyl, indazolyl, benzoxazolyl, quinolyl, isoquinolyl, quinoxalinyl, phthalazinyl, cinnolinyl or quinazolinyl group);

provided that, (a) when Q is a thienyl group optionally substituted by R, a furyl group optionally substituted by R, a quinolyl group optionally substituted by R, or an isoquinolyl group optionally substituted by R, then A is a phenyl group optionally substituted by W, a naphthyl group optionally substituted by W, or a heterocyclic group optionally substituted by Y (said heterocyclic group being a pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl, thiazolinyl, 3(2H)-pyridazinone, benzothiazolyl,

benzimidazolyl, indazolyl, benzoxazolyl, quinoxalinyl, phthalazinyl, cinnolinyl or quinazolinyl group);

(b) when Q is a 2-thiazolyl group optionally substituted by R, then A is a naphthyl group optionally substituted by W, or a heterocyclic group optionally substituted by Y (said heterocyclic group being a thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl, thiazolinyl, 3(2H)-pyridazinone, benzothiazolyl, benzimidazolyl, indazolyl, benzoxazolyl, quinolyl, isoquinolyl, quinoxalinyl, phthalazinyl, cinnolinyl or quinazolinyl group);

(c) when Q is a pyridyl group optionally substituted by R, then A is a heterocyclic group optionally substituted by Y (said heterocyclic group being a pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyrazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl, thiazolinyl, 3(2H)-pyridazinone, benzothiazolyl, benzimidazolyl, indazolyl, benzoxazolyl, quinoxalinyl, phthalazinyl, cinnolinyl or quinazolinyl group);

(d) when Q is an isothiazolyl group optionally substituted by R, a 1,2,3-triazolyl group optionally substituted by R, or a benzoxazolyl group optionally substituted by R, then A is a naphthyl group optionally substituted by W, or a heterocyclic group optionally substituted by Y (said heterocyclic group being a thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl, thiazolinyl, 3(2H)-pyridazinone, benzothiazolyl, benzimidazolyl, indazolyl, benzoxazolyl, quinolyl, isoquinolyl, quinoxalinyl, phthalazinyl, cinnolinyl or quinazolinyl group);

(e) when Q is a 1,2,4-triazolyl group optionally substituted by R, then A is a heterocyclic group optionally substituted by Y (said heterocyclic group being a thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl, thiazolinyl, 3(2H)-pyridazinone, benzothiazolyl, benzimidazolyl, indazolyl, benzoxazolyl, quinolyl, isoquinolyl, quinoxalinyl, phthalazinyl, cinnolinyl or quinazolinyl group);

(f) when Q is a benzothiazolyl group optionally substituted by R, then A is a naphthyl group optionally substituted by W, or a heterocyclic group optionally substituted by Y (said heterocyclic group being a furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl, thiazolinyl, 3(2H)-pyridazinone, benzothiazolyl, benzimidazolyl, indazolyl, benzoxazolyl, quinolyl, isoquinolyl, quinoxalinyl, phthalazinyl, cinnolinyl or quinazolinyl group);

(g) when Q is a benzimidazolyl group optionally substituted by R, then A is a naphthyl group optionally substituted by W, or a heterocyclic group optionally substituted by Y (said heterocyclic group being a pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyrazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl, thiazolinyl, 3(2H)-pyridazinone, benzothiazolyl, benzimidazolyl, indazolyl, benzoxazolyl, quinolyl, isoquinolyl, quinoxalinyl, phthalazinyl, cinnolinyl or quinazolinyl group);

(h) when Q is a phenyl group optionally substituted by G, then A is a heterocyclic group optionally substituted by Y (said heterocyclic group being a pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl, thiazolinyl, 3(2H)-pyridazinone, benzothiazolyl, benzimidazolyl, indazolyl, benzoxazolyl, quinoxalinyl, phthalazinyl, cinnolinyl or quinazolinyl group);

(i) when Q is a naphthyl group optionally substituted by G, than A is a heterocyclic group optionally substituted by Y (said heterocyclic group being a thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl, thiazolinyl, 3(2H)-pyridazinone, benzothiazolyl, benzimidazolyl, indazolyl, benzoxazolyl, quinolyl, isoquinolyl, quinoxalinyl, phthalazinyl, cinnolinyl or quinazolinyl group);

B represents H, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, CH_3SCH_2, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_1–C_4 alkyl group substituted by a benzoyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a tetrahydropyranyl group, $(CH_3)_3Si$, a C_1–C_4 alkylsulfonyl group, a phenylsulfonyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, —SO_2CF_3, a C_1–C_4 monoalkylaminosulfonyl group, a C_2–C_8 dialkylaminosulfonyl group, a phenylaminosulfonyl group, a C_2–C_5 monoalkylaminothiocarbonyl group, a C_3–C_9 dialkylaminothiocarbonyl group, a C_2–C_5 cyanoalkyl group, a C_3–C_9 alkoxycarbonylalkyl group, —$C(=O)T^1$, —$P(=O)T^2T^3$, —$P(=S)T^2T^3$, an alkali metal atom, an alkaline earth metal atom, or $NHT^4T^5T^6$;

provided that, when Q is a 2-thiazolyl or 2-benzothiazolyl group, then B is a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, CH_3SCH_2, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_1–C_4 alkyl group substituted by a benzoyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a tetrahydropyranyl group, $(CH_3)_3Si$, a C_1–C_4 alkylsulfonyl group, a phenylsulfonyl group optionally substi-

tuted by a halogen or a C_1–C_4 alkyl group, —SO_2CF_3, a C_1–C_4 monoalkylaminosulfonyl group, a C_2–C_8 dialkylaminosulfonyl group, a phenylaminosulfonyl group, a C_2–C_5 monoalkylaminothiocarbonyl group, a C_3–C_9 dialkylaminothiocarbonyl group, a C_2–C_5 cyanoalkyl group, a C_3–C_9 alkoxycarbonylalkyl group, —C(=O)T^1, —P(=O)T^2T^3, or —P(=S)T^2T^3;

E represents a heterocyclic group optionally substituted by a C_1–C_4 alkyl or C_1–C_4 haloalkyl group—(said heterocyclic group being a 2-oxazolyl, 2-thiazolyl, 2-imidazolyl, 1,2,4-triazol-3-yl, 1,2,4-oxadiazol-3-yl, 1,2,4-thiadiazol-3-yl, 1,3,4-oxadiazol-2-yl, 5-tetrazolyl, 2-oxazolinyl or 1,2,4,5-tetrazin-3-yl group) - or represents a halogen, a C_2–C_4 alkynyl group, a phenylethynyl group optionally substituted by Z, a C_1–C_4 haloalkyl group, CN, an isonitrile group, NO_2, N_3, CHO, a C_2–C_5 alkylcarbonyl group, a C_2–C_5 alkoxycarbonyl group, a C_3–C_5 alkenyloxycarbonyl group, a C_2–C_4 alkylaminocarbonyl group, a C_3–C_9 dialkylaminocarbonyl group, a benzoyl group optionally substituted by Z, an aminothiocarbonyl group, a C_1–C_4 alkylsulfenyl group, a C_1–C_4 alkylsulfinyl group, a C_1–C_4 alkylsulfonyl group, a phenylsulfenyl group optionally substituted by Z, a phenylsulfinyl group optionally substituted by Z, a phenylsulfonyl group optionally substituted by Z, —P(=O)T^2T^3, or —P(=S)T^2T^3.

G is a substituent freely selected from a halogen atom, a C_1–C_{10} alkyl group, a C_2–C_4 cyanoalkyl group, a C_1–C_4 alkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_2–C_4 alkenyl group, a C_2–C_6 alkynyl group, a C_1–C_6 haloalkyl group, a C_2–C_6 haloalkenyl group, a C_2–C_6 haloalkynyl group, a C_3–C_6 halocycloalkyl group, a C_3–C_6 cycloalkyl group optionally substituted by a C_1–C_3 alkyl group, a C_1–C_{10} alkoxy group, a C_2–C_6 alkenyloxy group, a C_2–C_6 alkynyloxy group, a C_1–C_4 haloalkoxy group, a C_2–C_6 haloalkenyloxy group, a C_2–C_6 haloalkynyloxy group, a C_1–C_4 alkylsulfenyl group, a C_1–C_4 alkylsulfinyl group, a C_1–C_4 alkylsulfonyl group, a C_2–C_6 alkenylsulfenyl group, a C_2–C_6 alkenylsulfinyl group, a C_2–C_6 alkenylsulfonyl group, a C_2–C_6 alkynylsulfenyl group, a C_2–C_6 alkynylsulfinyl group, a C_2–C_6 alkynylsulfonyl group, a C_1–C_4 haloalkylsulfenyl group, a C_1–C_4 haloalkylsulfinyl group, a C_1–C_4 haloalkylsulfonyl group, a C_2–C_6 haloalkenylsulfenyl group, a C_2–C_6 haloalkenylsulfinyl group, a C_2–C_6 haloalkenylsulfonyl group, a C_2–C_6 haloalkynylsulfenyl group, a C_2–C_6 haloalkynylsulfinyl group, a C_2–C_6 haloalkynylsulfonyl group, CHO, NO_2, CN, —NU^1U^2, OH, a naphthyl group, a methoxy group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_2–C_7 alkoxycarbonyl group, a C_2–C_4 alkoxyalkyl group, a C_2–C_4 alkylcarbonyl group, a C_2–C_4 haloalkylcarbonyl group, a C_2–C_5 alkylcarbonyloxy group, a C_2–C_5 haloalkylcarbonyloxy group, a C_3–C_7 dialkylaminocarbonyloxy group, a phenyl group optionally substituted by Z, a phenoxy group optionally substituted by Z, a benzoyl group optionally substituted by Z, a pyridyl group optionally substituted by Z, a pyridyloxy group optionally substituted by Z, a thienyl group optionally substituted by Z, a methylenedioxy group as bonded at the adjacent substituting positions, a halomethylenedioxy group as bonded at the adjacent substituting positions, and —N=CT^7T^8 (in which T^7 and T^8 each independently represent H, or a phenyl, benzyl or C_1–C_6 alkyl group, or T^7 and T^8, together with the carbon atom to which they are bonded, form a 5-, 6-, 7- or 8-membered ring), (provided that when the the substituent is two or more, then said substituents may be the same or different), and the number of the substituent, G, is 1, 2, 3 or 4; or G is an alkylene group as bonded to the adjacent substituting positions to form a 5-, 6-, 7- or 8-membered ring;

R is a substituent freely selected from a halogen atom, a C_1–C_{10} alkyl group, a C_1–C_4 alkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_2–C_8 alkenyl group, a C_2–C_6 alkynyl group, a C_1–C_6 haloalkyl group, a C_2–C_6 haloalkenyl group, a C_2–C_6 haloalkynyl group, a C_3–C_6 halocycloalkyl group, a C_3–C_6 cycloalkyl group optionally substituted by a C_1–C_3 alkyl group, a C_1–C_6 alkoxy group, a C_2–C_6 alkenyloxy group, a C_2–C_6 alkynyloxy group, a C_1–C_4 haloalkoxy group, a C_2–C_6 haloalkenyloxy group, a C_2–C_6 haloalkynyloxy group, a C_1–C_4 alkylsulfenyl group, a C_1–C_4 alkylsulfinyl group, a C_1–C_4 alkylsulfonyl group, a C_2–C_6 alkenylsulfenyl group, a C_2–C_6 alkenylsulfinyl group, a C_2–C_6 alkenylsulfonyl group, a C_2–C_6 alkynylsulfenyl group, a C_2–C_6 alkynylsulfinyl group, a C_2–C_6 alkynylsulfonyl group, a C_1–C_4 haloalkylsulfenyl group, a C_1–C_4 haloalkylsulfinyl group, a C_1–C_4 haloalkylsulfonyl group, a C_2–C_6 haloalkenylsulfenyl group, a C_2–C_6 haloalkenylsulfinyl group, a C_2–C_6 haloalkenylsulfonyl group, a C_2–C_6 haloalkynylsulfenyl group, a C_2–C_6 haloalkynylsulfinyl group, a C_2–C_6 haloalkynylsulfonyl group, NO_2, CN, —NU^1U^2, a phenoxy group, OH, a naphthyl group, a C_2–C_7 alkoxycarbonyl group, a C_2–C_4 alkoxyalkyl group, a C_2–C_4 alkylcarbonyl group, a C_2–C_5 alkylcarbonyloxy group, a C_2–C_5 haloalkylcarbonyloxy group, a benzoyl group optionally substituted by X, a phenyl group optionally substituted by X, a pyridyl group optionally substituted by X, a thienyl group optionally substituted by X, and —N=CT^7T^8, (provided that when the substituent is two or more, then said substituents may be the same or different), and the number of the substituents, R, is 1, 2, 3 or 4; or R is an alkylene group as bonded to the adjacent substituting positions to form a 5-, 6-, 7- or 8-membered ring;

Y is a substituent freely selected from a halogen atom, a C_1–C_{10} alkyl group, a C_1–C_6 haloalkyl group, a C_1–C_6 alkoxy group, a C_2–C_6 alkenyloxy group, a C_2–C_6 alkynyloxy group, a C_1–C_4 haloalkoxy group, a C_2–C_6 haloalkenyloxy group, a C_2–C_6 haloalkynyloxy group, a C_1–C_4 alkylsulfenyl group, a C_1–C_4 alkylsulfinyl group, a C_1–C_4 alkylsulfonyl group, a C_2–C_6 alkenylsulfenyl group, a C_2–C_6 alkenylsulfinyl group, a C_2–C_6 alkenylsulfonyl group, a C_2–C_6 alkynylsulfenyl group, a C_2–C_6 alkynylsulfinyl group, a C_2–C_6 alkynylsulfonyl group, a C_1–C_4 haloalkylsulfenyl group, a C_1–C_4 haloalkylsulfinyl group, a C_1–C_4 haloalkylsulfonyl group, a C_2–C_6 haloalkenylsulfenyl group, a C_2–C_6 haloalkenylsulfinyl group, a C_2–C_6 haloalkenylsulfonyl group, a C_2–C_6 haloalkynylsulfenyl group, a C_2–C_6 haloalkynylsulfinyl group, a C_2–C_6 haloalkynylsulfonyl group, NO_2, CN, —NU^1U^2, OH, a C_2–C_7 alkoxycarbonyl group, a C_2–C_4 alkoxyalkyl group, a C_2–C_6 alkylcarbonyloxy group, a C_2–C_5 haloalkylcarbonyloxy group, a C_3–C_7 dialkylaminocarbonyloxy group, a phenyl group optionally substituted by X, and —N=CT^7T^8 (in which T^7 and T^8 each independently represent H, or a phenyl, benzyl or C_1–C_6 alkyl group, or T^7 and T^8 may, together with the carbon atom to which they are bonded, form a 5-, 6-, 7- or 8-membered ring), (provided that when the substituent is two or more, then said substituents may be the same or different), and the number of the substituent, Y, is 1, 2, 3 or 4; or Y is an alkylene groupas bonded to the adjacent substituting positions to form a 5-, 6-, 7- or 8-membered ring;

W is a substituent freely selected from a halogen atom, a C_1–C_6 alkyl group, a C_1–C_4 haloalkyl group, a C_1–C_4

alkoxy group, a C_1–C_4 haloalkoxy group, a C_1–C_4 alkylsulfenyl group, a C_1–C_4 alkylsulfinyl group, a C_1–C_4 alkylsulfonyl group, a C_1–C_4 haloalkylsulfenyl group, a C_1–C_4 haloalkylsulfinyl group, a C_1–C_4 haloalkylsulfonyl group, a C_2–C_4 alkenyl group, a C_2–C_4 haloalkenyl group, a C_2–C_4 alkenyloxy group, a C_2–C_4 haloalkenyloxy group, a C_2–C_4 alkenylsulfenyl group, a C_2–C_4 alkenylsulfinyl group, a C_2–C_4 alkenylsulfonyl group, a C_2–C_4 haloalkenylsulfenyl group, a C_2–C_4 haloalkenylsulfinyl group, a C_2–C_4 haloalkenylsulfonyl group, a C_2–C_4 alkynyl group, a C_2–C_4 haloalkynyl group, a C_2–C_4 alkynyloxy group, a C_2–C_4 haloalkynyloxy group, a C_2–C_4 alkynylsulfenyl group, a C_2–C_4 alkynylsulfinyl group, a C_1–C_4 alkynylsulfonyl group, a C_2–C_4 haloalkynylsulfenyl group, a C_2–C_4 haloalkynylsulfinyl group, a C_2–C_4 haloalkynylsulfonyl group, NO_2, CN, a formyl group, a C_2–C_6 alkoxycarbonyl group, a C_2–C_6 alkylcarbonyl group, a C_2–C_6 haloalkylcarbonyl group, a C_2–C_6 alkylcarbonyloxy group, and —NU^1U^2, (provided that when the substituent is two or more, then said substituents may be the same or different), and the number of the substituent, W, is 1, 2, 3 or 4;

T^1 represents a C_1–C_{20} alkyl group, a C_2–C_6 alkenyl group, a C_1–C_6 haloalkyl group, a C_1–C_4 alkoxy-C_1–C_4 alkyl group, a C_3–C_6 halocycloalkyl group, a C_1–C_4 alkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_3–C_6 cycloalkyl group optionally substituted by a C_1–C_3 alkyl group, a cycloalkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_3 alkyl group, a cyclopropyl group substituted by both a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group and a C_1–C_4 alkyl group, a C_3–C_4 cycloalkyl group substituted by both a phenyl group optionally substituted by a halogen or a C_1–C_4 alkoxy group, and a halogen, a cyclopropyl group substituted by both a C_2–C_4 alkenyl group optionally substituted by a halogen, and a C_1–C_4 alkyl group, a C_2–C_4 alkenyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_1–C_{12} alkoxy group, a C_1–C_4 haloalkoxy group, a C_2–C_5 alkenyloxy group, a C_3–C_6 cycloalkoxy group optionally substituted by a C_1–C_3 alkyl group, a benzyloxy group, a C_2–C_6 alkoxycarbonyl group, —NU^1U^2, a phenylamino group, a phenyl group optionally substituted by Z, a phenoxy group optionally substituted by Z, a phenylthio group optionally substituted by Z, a naphthyl group optionally substituted by Z, or a 5-membered or 6-membered heterocyclic group optionally substituted by Z, (said heterocyclic group being selected from thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl, thiazolinyl and 3(2H)-pyridazinone groups);

T^2 and T^3 each independently represent OH, a phenyl group, a C_1–C_6 alkyl group, a C_1–C_6 alkoxy group, or a C_1–C_4 alkylsulfenyl group;

T^4, T^6 and T^8 each independently represent H, a C_1–C_6 alkyl group, a C_1–C_6 alkenyl group, a C_3–C_6 cycloalkyl group optionally substituted by a C_1–C_3 alkyl group, or a benzyl group; or any two of T^4, T^5 and T^6 may, together with the nitrogen atom to which they are bonded, form a 5-, 6-, 7- or 8-membered cyclic group optionally containing oxygen, nitrogen and/or sulfur atoms;

X and Z are independently substituents as freely selected from a halogen atom, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy group, a C_1–C_4 haloalkoxy group, a C_1–C_4 alkylsulfenyl group, a C_1–C_4 alkylsulfinyl group, a C_1–C_4 alkylsulfonyl group, a C_2–C_5 alkenylsulfenyl group, a C_2–C_5 alkenylsulfinyl group, a C_2–C_5 alkenylsulfonyl group, a C_1–C_4 haloalkylsulfenyl group, a C_1–C_4 haloalkylsulfinyl group, a C_1–C_4 haloalkylsulfonyl group, NO_2, CN, CHO, OH, —NU^1U^2, a phenyl group, a phenoxy group, and a C_2–C_5 alkoxycarbonyl group, (provided that when the substituent is two or more, then said substituents may be the same or different), and the number of the substituent, X and Z, is 1, 2, 3, 4 or 5 each;

T^7 and T^8 each independently represent H, or a phenyl, benzyl or C_1–C_6 alkyl group, or T^7 and T^8 may, together with the carbon atom to which they are bonded, form a 5-, 6-, 7- or 8-membered ring; and

U^1 and U^2 each independently represent H, a C_1–C_6 alkyl, C_2–C, alkylcarbonyl, phenyl or benzyl group, or U^1 and U^2 may, together with the nitrogen atom to which they are bonded, form a 5-, 6-, 7- or 8-membered ring.

[2] Ethylene derivatives of the above-mentioned 1], in which;

Q is a phenyl group optionally substituted by G, a naphthyl group optionally substituted by G, or a heterocyclic group optionally substituted by R, (said heterocyclic group being a thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, 1,2,4,5-tetrazinyl, pyrazolinyl, imidazolinyl, imidazolinone or imidazolidinedione group;

A is a phenyl group optionally substituted by W, a naphthyl group optionally substituted by W, or a heterocyclic group optionally substituted by Y, said heterocyclic group being a thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, pyrazolinyl or imidazolinyl group;

B is H, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkylsulfonyl group, a phenylsulfonyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, —SO_2CF_3, a C_1–C_8 dialkylaminosulfonyl group, a C_2–C_9 dialkylaminothiocarbonyl group, a C_3–C_9 alkoxycarbonylalkyl group, —$C(=O)T^1$, —$P(=O)T^2T^8$, —$P(=S)T^2T^3$, an alkali metal atom, an alkaline earth metal atom, or $NHT^4T^5T^6$; and

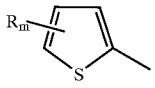
T^1 is a C_1–C_2 O alkyl group, a C_2–C_6 alkenyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy-C_1–C_4 alkyl group, a C_1–C_4 alkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_3–C_6 halocycloalkyl group, a C_3–C_6 cycloalkyl group optionally substituted by a C_1–C_3 alkyl group, a cycloalkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a cyclopropyl group substituted by both a phenyl optionally substituted by a halogen or a C_1–C_4 alkyl group, and a C_1–C_4 alkyl group, a C_3–C_4 cycloalkyl group substituted by both a phenyl group optionally substituted by a halogen or a C_1–C_4 alkoxy group and a halogen, a cyclopropyl group substituted by both a C_2–C_4 alkenyl group optionally substituted by a halogen and a C_1–C_4 alkyl group, a C_2–C_4 alkenyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_1–C_{12} alkoxy group, a C_1–C_4

haloalkoxy group, a C_2–C_5 alkenyloxy group, a C_3–C_6 cycloalkoxy group optionally substituted by a C_1–C_3 alkyl group, a benzyloxy group, a C_2–C_6 alkoxycarbonyl group, a phenyl group optionally substituted by Z, a phenoxy group optionally substituted by Z, a phenylthio group, a naphthyl group, or a heterocyclic group optionally substituted by Z, said heterocyclic group being selected from thienyl, furyl, oxazolyl, thiazolyl, pyrazolyl and pyridinyl groups.

[3] Ethylene derivatives of the above-mentioned [2], in which;

Q is a phenyl group optionally substituted by G, a naphthyl group optionally substituted by G, or a heterocyclic group optionally substituted by R, said heterocyclic group being

Q-1



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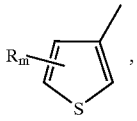

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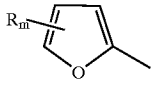

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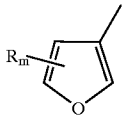

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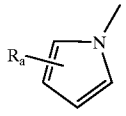

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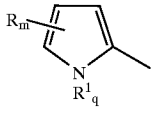

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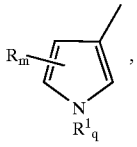

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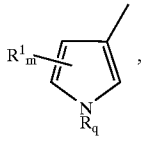

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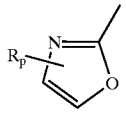

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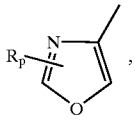

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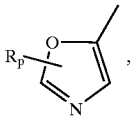

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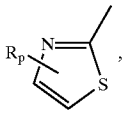

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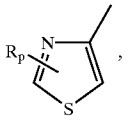

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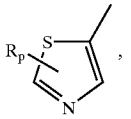

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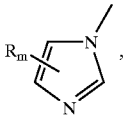

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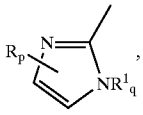

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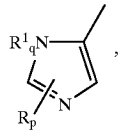

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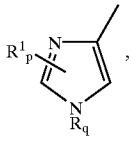

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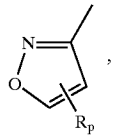

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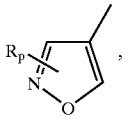

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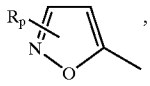

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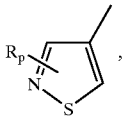

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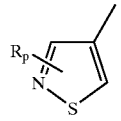

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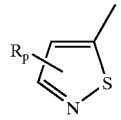

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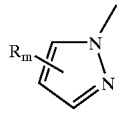

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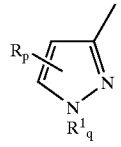

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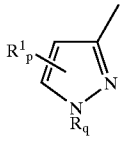

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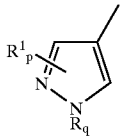

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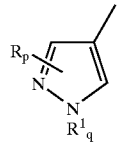

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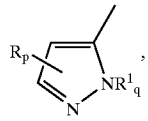

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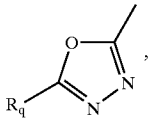

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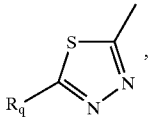

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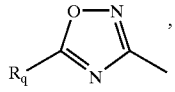

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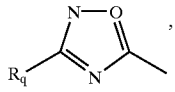

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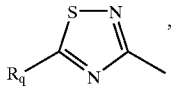

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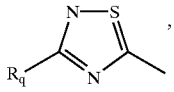

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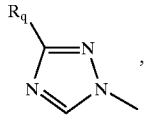

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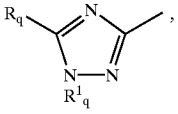

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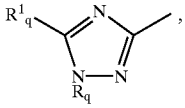

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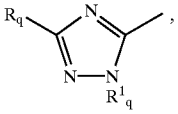

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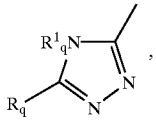

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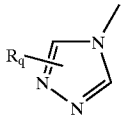

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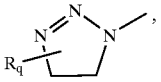

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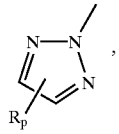

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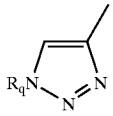

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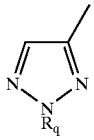

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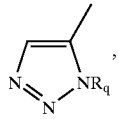

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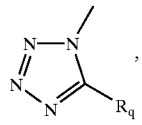

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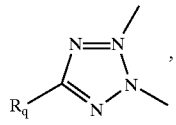

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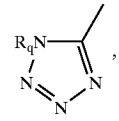

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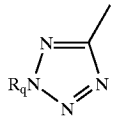

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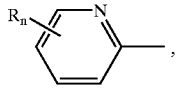

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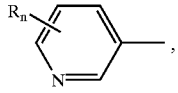

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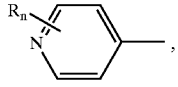

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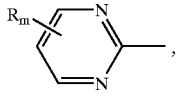

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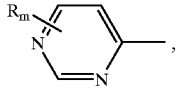

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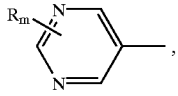

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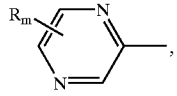

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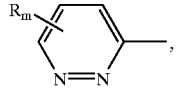

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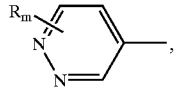

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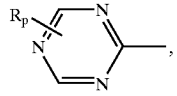

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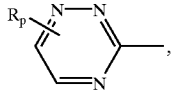

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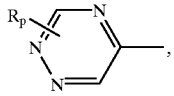

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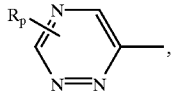

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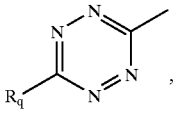

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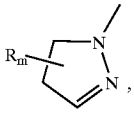

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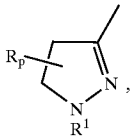

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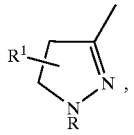

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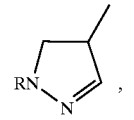

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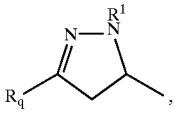

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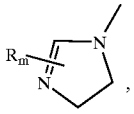

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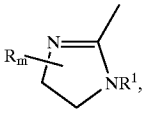

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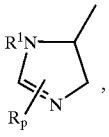

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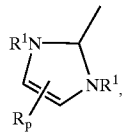

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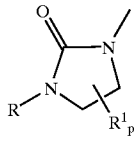

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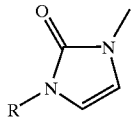
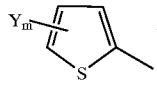


A is a phenyl group optionally substituted by W, a naphthyl group optionally substituted by W, or a heterocyclic group optionally substituted by Y, said heterocyclic group being

A-1



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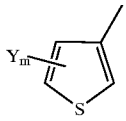

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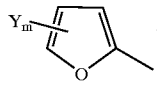

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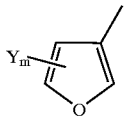

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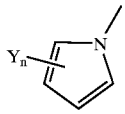

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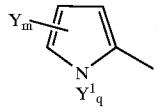

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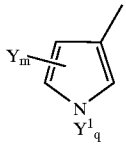

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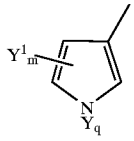

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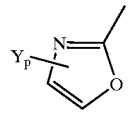

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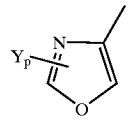

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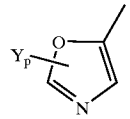

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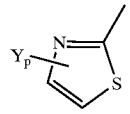

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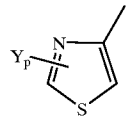

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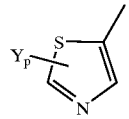

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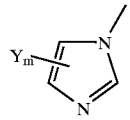

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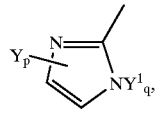

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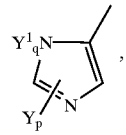

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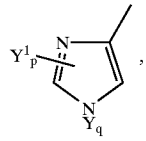

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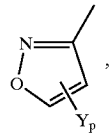

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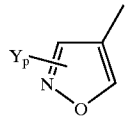

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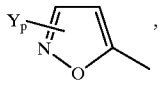

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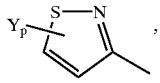

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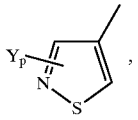

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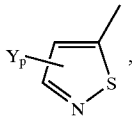

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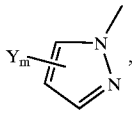

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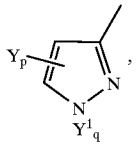

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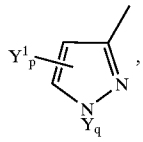

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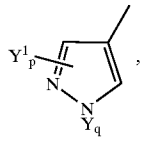

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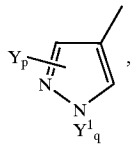

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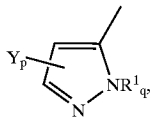

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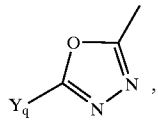

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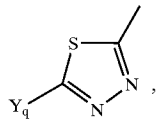

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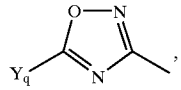

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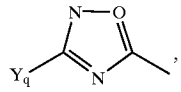

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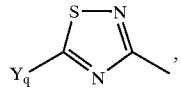

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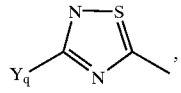

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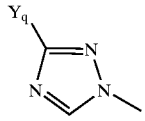

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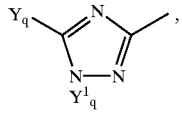

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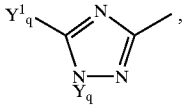

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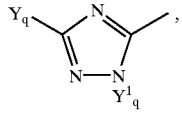

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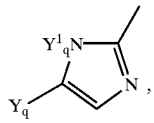

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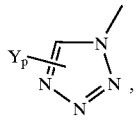

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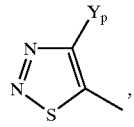

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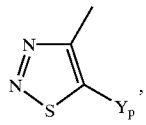

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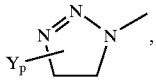

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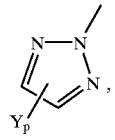

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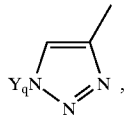

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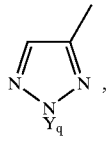

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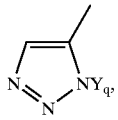
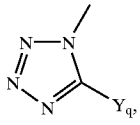
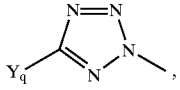


A--50



A-51



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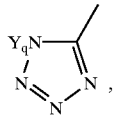

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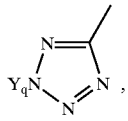
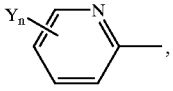


A-54



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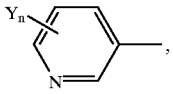
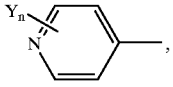
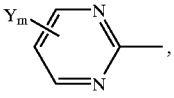


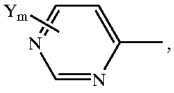
A-56



A-57



A-58



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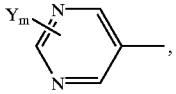
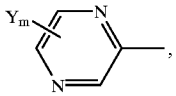
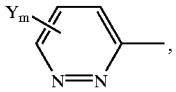
A-59



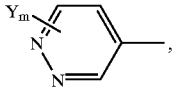
A-60



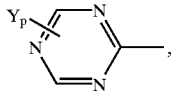
A-61



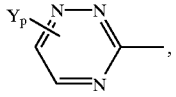
A-62



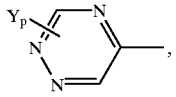
A-63



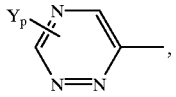
A-64



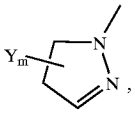
A-65



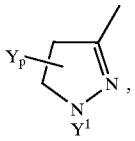
A-66



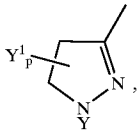
A-67



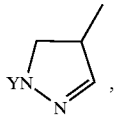
A-68



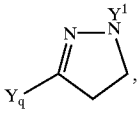
A-69



A-70



A-71



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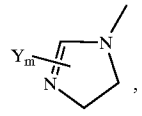
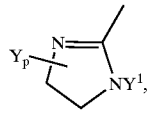
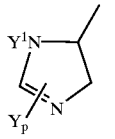
A-72



A-73

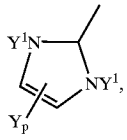


A-74



or

A-75



provided that, when (a) Q is any of Q-1, Q-2, Q-3 or Q-4, then A is a phenyl group optionally substituted by W, a naphthyl group optionally substituted by W, or a heterocyclic group optionally substituted by Y, (said heterocyclic group being any of A-5, A-6, A-7, A-8, A-9, A-10, A-11, A-12, A-13, A-14, A-15, A-16, A-17, A-18, A-19, A-20, A-21, A-22, A-23, A-24, A-25, A-26, A-27, A-28, A-29, A-30, A-31, A-32, A-33, A-34, A-35, A-36, A-37, A-38, A-39, A-40, A-41, A-42, A-43, A-44, A-45, A-46, A-47, A-48, A-49, A-50, A-51, A-52, A-53, A-57, A-58, A-59, A-60, A-61, A-62, A-63, A-64, A-65, A-66, A-67, A-68, A-69, A-70, A-71, A-72, A-73, A-74 or A-75),

(b) when Q is Q-12, then A is a naphthyl group optionally substituted by W, or a heterocyclic group optionally substituted by Y, (said heterocyclic group being any of A-1, A-2, A-3, A-4, A-5, A-6, A-7, A-8, A-9, A-10, A-11, A-12, A-13, A-14, A-15, A-16, A-17, A-18, A-19, A-20, A-21, A-22, A-23, A-24, A-25, A-26, A-27, A-28, A-29, A-30, A-31, A-32, A-33, A-34, A-35, A-36, A-37, A-38, A-39, A-40, A-41, A-42, A-43, A-44, A-45, A-46, A-47, A-48, A-49, A-50, A-51, A-52, A-53, A-54, A-55, A-56, A-57, A-58, A-59, A-60, A-61, A-62, A-63, A-64, A-65, A-66, A-67, A-68, A-69, A-70, A-71, A-72, A-73, A-74 or A-75),

(c) when Q is any of Q-52, Q-53 or Q-54, then A is a heterocyclic group optionally substituted by Y, (said heterocyclic group being any of A-5, A-6, A-7, A-8, A-9, A-10, A-11, A-12, A-13, A-14, A-15, A-16, A-17, A-18, A-19, A-20, A-21, A-22, A-23, A-24, A-25, A-26, A-27, A-28, A-29, A-30, A-31, A-32, A-33, A-34, A-35, A-36, A-37, A-38, A-39, A-40, A-41, A-42, A-43, A-44, A-45, A-46, A-47, A-48, A-49, A-50, A-51, A-52, A-53, A-60, A-63, A-64, A-65, A-66, A-67, A-68, A-69, A-70, A-71, A-72, A-73, A-74 or A-75),

(d) when Q is any of Q-23, Q-24, Q-43, Q-44, Q-45, Q-46 or Q-49, then A is a naphthyl group optionally substituted by W, or a heterocyclic group optionally substituted by Y, (said heterocyclic group being any of A-1, A-2, A-3, A-4, A-5, A-6, A-7, A-8, A-9, A-10, A-11, A-12, A-13, A-14, A-15, A-16, A-17, A-18, A-19, A-20, A-21, A-22, A-23, A-24, A-25, A-26, A-27, A-28, A-29, A-30, A-31, A-32, A-33, A-34, A-35, A-36, A-37, A-38, A-39, A-40, A-41, A-42, A-43, A-44, A-45, A-46, A-47, A-48, A-49, A-50, A-51, A-52, A-53, A-54, A-55, A-56, A-57, A-58, A-59, A-60, A-61, A-62, A-63, A-64, A-65, A-66, A-67, A-68, A-69, A-70, A-71, A-72, A-73, A-74 or A-75),

(e) when Q is any of Q-37, Q-38, Q-39, Q-40, Q-41 or Q-42, then A is a heterocyclic group optionally substituted by Y, (said heterocyclic group being any of A-1, A-2, A-3, A-4, A-5, A-6, A-7, A-8, A-9, A-10, A-11, A-12, A-13, A-14, A-15, A-16, A-17, A-18, A-19, A-20, A-21, A-22, A-23, A-24, A-25, A-26, A-27, A-28, A-29, A-30, A-31, A-32, A-33, A-34, A-35, A-36, A-37, A-38, A-39, A-40, A-41, A-42, A-43, A-44, A-45, A-46, A-47, A-48, A-49, A-50, A-51, A-52, A-53, A-57, A-58, A-59, A-60, A-61, A-62, A-63, A-64, A-65, A-66, A-67, A-68, A-69, A-70, A-71, A-72, A-73, A-74 or A-75),

(f) when Q is a phenyl group optionally substituted by G, then A is a heterocyclic group optionally substituted by Y, said heterocyclic group being any of A-5, A-6, A-7, A-8, A-9, A-10, A-11, A-12, A-13, A-14, A-19, A-20, A-21, A-22, A-23, A-24, A-25, A-26, A-27, A-28, A-29, A-30, A-31, A-32, A-33, A-34, A-35, A-36, A-37, A-38, A-39, A-40, A-41, A-42, A-43, A-44, A-45, A-46, A-47, A-48, A-49, A-50, A-51, A-52, A-53, A-60, A-61, A-62, A-63, A-64, A-65, A-66, A-67, A-68, A-69, A-70, A-71, A-72, A-73, A-74 or A-75,

(g) when Q is a naphthyl group optionally substituted by G, then A is a heterocyclic group optionally substituted by Y, (said heterocyclic group being any of A-1, A-2, A-5, A-6, A-7, A-8, A-9, A-10, A-11, A-12, A-13, A-14, A-15, A-16, A-17, A-18, A-19, A-20, A-21, A-22, A-23, A-24, A-25, A-26, A-27, A-28, A-29, A-30, A-31, A-32, A-33, A-34, A-35, A-36, A-37, A-38, A-39, A-40, A-41, A-42, A-43, A-44, A-45, A-46, A-47, A-48, A-49, A-50, A-51, A-52, A-53, A-54, A-55, A-56, A-57, A-58, A-59, A-60, A-61, A-62, A-63, A-64, A-65, A-66, A-67, A-68, A-69, A-70, A-71, A-72, A-73, A-74 or A-75,

R^1 is selected from a halogen atom, a C_1–C_{10} alkyl group, a C_2–C_6 alkenyl group, a C_2–C_6 alkynyl group, a C_1–C_6 haloalkyl group, a C_1–C_6 alkoxy group, a C_2–C_6 alkenyloxy group, NO_2, CN, —NU^1U^2, OH, a C_2–C_7 alkoxycarbonyl group, a C_2–C_4 alkoxyalkyl group, a C_2–C_4 alkylcarbonyl group, a phenyl group optionally substituted by X, a pyridyl group optionally substituted by X, a thienyl group optionally substituted by X, and —N=CT^7T^8 (in which T^7 and T^8 each independently represent H, a phenyl, benzyl or C,-C, alkyl group, or T^7 and T^8 may, together with the carbon atom to which they are bonded, form a 5-, 6-, 7- or 8-membered ring); or may, together with the adjacent R, form a 5-, 6-, 7- or 8-membered ring as an alkylene group

Y^1 is selected from a halogen atom, a C_1–C_{10} alkyl group, a C_1–C_6 haloalkyl group, a C_1–C_6 alkoxy group, a C_2–C_6 alkenyloxy group, NO_2, CN, —NU^1U^2, OH, a C_2–C_7 alkoxycarbonyl group, a C_2–C_4 alkoxyalkyl group, a phenyl group optionally substituted by X, and —N=CT^7T^8 (in which T^7 and T^8 each independently represent H, or a phenyl, benzyl or C_1–C_6 alkyl group, or T^7 and T^8 may, together with the carbon atom to which they are bonded), form a 5-, 6-, 7- or 8-membered ring); or may, togeher with the adjacent Y^1, form a 5-, 6- 7- or 8-membered ring an alkylene group;

X is a substituent of which the number is from 1 to 4 and which is freely selected from a halogen atom, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy group, a C_1–C_4 haloalkoxy group, a C_1–C_4 alkylsulfenyl group, a C_1–C_4 alkylsulfinyl group, a C_1–C_4 alkylsulfonyl group, a C_2–C_5 alkenylsulfenyl group, a C_2–C_5 alkenylsulfinyl group, a C_2–C_5 alkenylsulfonyl group, a C_1–C_4 haloalkylsulfenyl group, a C_1–C_4 haloalkylsulfinyl group, a C_1–C_4 haloalkylsulfonyl group, NO_2, CN, CHO, OH, —NU^1U^2, a

phenyl group, a phenoxy group, and a C_2–C_5 alkoxycarbonyl group, (provided that when the number of the substituent, X, is two or more then said substituents may be the same or different);

Z is a substituent of which the number is from 1 to 4 and which is freely selected from a halogen atom, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy group, a C_1–C_4 haloalkoxy group, a C_1–C_4 alkylsulfenyl group, a C_1–C_4 alkylsulfinyl group, a C_1–C_4 alkylsulfonyl group, a C_1–C_4 alkenylsulfenyl group, a C_1–C_4 alkenylsulfinyl group, a C_1–C_4 alkenylsulfonyl group, NO_2, CN, —NU^1U^2, a phenyl group, a phenoxy group, and a C_2–C_5 alkoxycarbonyl group, (provided when the number of the substituent, Z, is two or more, said substituents may be the same or different);

m indicates the number of the substituents, and is 0, 1, 2 or 3;

n indicates the number of the substituents, and is 0, 1, 2, 3, or 4;

p indicates the number of substituents, and is 0, 1 or 2;

q indicates the number of the substituents, and is 0 or 1;

(provided that when m, n and p each are an integer of 2 or more, the substituents may be the same or different).

[4] Ethylene derivatives of the above-mentioned [2], in which E is CN.

[5] Ethylene derivatives of the above-mentioned [5], in which E is CN.

[6] Ethylene derivatives of the above-mentioned [2], in which E is a heterocyclic group optionally substituted by a C_1–C_4 alkyl or C_1–C_4 haloalkyl group—(said heterocyclic group being a 2-oxazolyl, 2-thiazolyl, 2-imidazolyl, 1,2,4-triazol-3-yl, 1,2,4-oxadiazol-3-yl, 1,2,4-thiadiazol-3-yl or 1,3,4-oxadiazol-2-yl group)—or is a halogen atom, a C_2–C_4 alkynyl group, a phenylethynyl group optionally substituted by Z, a C_1–C_4 haloalkyl group, CN, NO_2, N_3, CHO, a C_2–C_5 alkylcarbonyl group, a C_2–C_5 alkoxycarbonyl group, a C_2–C_4 alkylaminocarbonyl group, a C_3–C_9 dialkylaminocarbonyl group, a benzoyl group optionally substituted by Z, an aminothiocarbonyl group, a C_1–C_4 alkylsulfenyl group, a C_1–C_4 alkylsulfinyl group, a C_1–C_4 alkylsulfonyl group, a phenylsulfenyl group optionally substituted by Z a phenylsulfinyl group optionally substituted by Z, a phenylsulfonyl group optionally substituted by Z, —$P(=O)T^2T^3$, or —$P(=S)T^2T^3$.

[7] Ethylene derivatives of the above-mentioned [3], in which E is a heterocyclic group optionally substituted by a C_1–C_4 alkyl or C_1–C_4 haloalkyl group—(said heterocyclic group being a 2-oxazolyl, 2-thiazolyl, 2-imidazolyl, 1,2,4-triazol-3-yl, 1,2,4-oxadiazol-3-yl, 1,2,4-thiadiazol-3-yl or 1,3,4-oxadiazol-2-yl group)—or is a halogen atom, a C_2–C_4 alkynyl group, a phenylethynyl group optionally substituted by a Z, a C_1–C_4 haloalkyl group, CN, NO_2, N_3, CHO, a C_2–C_5 alkylcarbonyl group, a C_2–C_5 alkoxycarbonyl group, a C_2–C_4 alkylaminocarbonyl group, a C_3–C_9 dialkylaminocarbonyl group, a benzoyl group optionally substituted by Z, an aminothiocarbonyl group, a C_1–C_4 alkylsulfenyl group, a C_1–C_4 alkylsulfinyl group, a C_1–C_4 alkylsulfonyl group, a phenylsulfenyl group optionally substituted by Z, a phenylsulfinyl group optionally substituted by Z, a phenylsulfonyl group optionally substituted by Z, —$P(=O)T^2T^3$, or —$P(=S)T^2T^3$.

(8] Ethylene derivatives of the above-mentioned [4], in which Q is a phenyl group optionally substituted by G, an oxazolyl group optionally substituted by R, a thiazolyl group optionally substituted by R, a pyrazolyl group optionally substituted by R, a 1,2,3-triazolyl group optionally substituted by R, a pyridinyl group optionally substituted by R, or a pyrimidinyl group optionally substituted by R.

[9] Ethylene derviatives of the above-mentioned [8], in which Q is a phenyl group optionally substituted by G.

[10] Ethylene derivatives of the above-mentioned [8], in which Q is an oxazolyl group optionally subsituted by R or a 1,2,3-triazolyl group optionally substituted by R.

[11] Ethylene derivatives of the above-mentioned [8], in which Q is a thiazolyl group optionally substituted by R.

[12] Ethylene derivatives of the above-mentioned (8], in which Q is a pyrazolyl group optionally substituted by R.

[13] Ethylene derivatives of the above-mentioned 5], in which Q is a phenyl group optionally substituted by G, or is Q-9, Q-10, Q-11, Q-12, Q-13, Q-14, Q-25, Q-26, Q-27, Q-28, Q-29, Q-30, Q-44, Q-45, Q-46, Q-47, Q-52, Q-53, Q-54, Q-55, Q-56, or Q-57.

[14] Ethylene derivatives of the above-mentioned [7], in which Q is a phenyl group optionally subsituted by G, or is Q-9, Q-10, Q-11, Q-12, Q-13, Q-14, Q-25, Q-26, Q-27, Q-28, Q-29, Q-30, Q-44, Q-45, Q-46, Q-47, Q-52, Q-53, Q-54, Q-55, Q-56, or Q-57.

[15] Ethylene derivatives of the above-mentioned [13), in which Q-10, Q-44, Q-45, Q-46 or Q-47.

[16] Ethylene derivatives of the above-mentioned [13], in which Q is Q-12, Q-13 or Q-14.

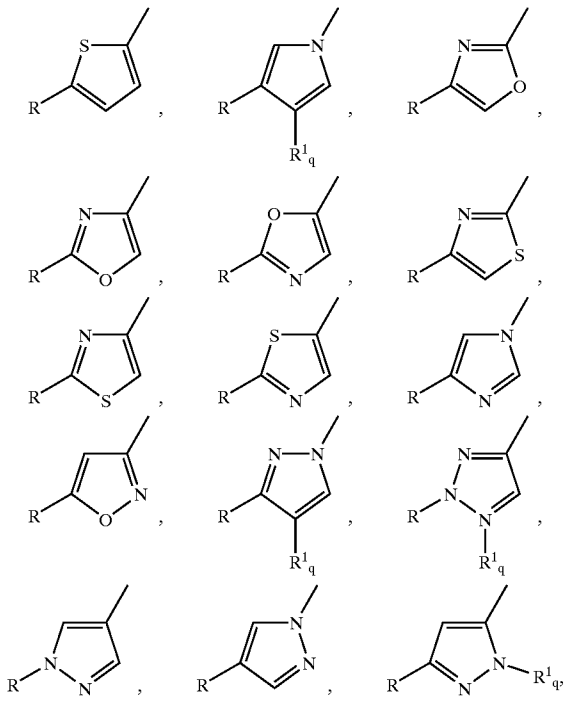
[17] Ethylene derivatives of the above-mentioned [13], in which 0 is Q-25, Q-26, Q-27, Q-28, Q-29 or Q-30.

[18] Ethylene derivatives of the above-mentioned [13], in which Q is a phenyl group optionally substituted by G.

[19] Ethylene derivaties of the above-mentioned 2], in which A is a phenyl group optionally substituted by W, a thiazolyl group optionally substituted by Y, a pyrazolyl group optionally substituted by Y, a pyridinyl group optionally subsituted by Y, or a pyrimidinyl group optionally substituted by Y.

[20] Ethylene derivatives of the above-mentioned [3], in which;

Q is a phenyl group optionally subsitited by G, a naphthyl group, Q-31, Q-32, Q-33, Q-34, Q-35, Q-36, Q-37, Q-44, Q-45, Q-46, Q-49,



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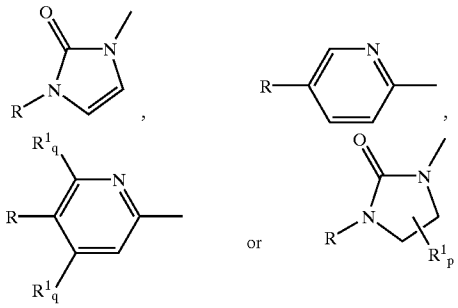
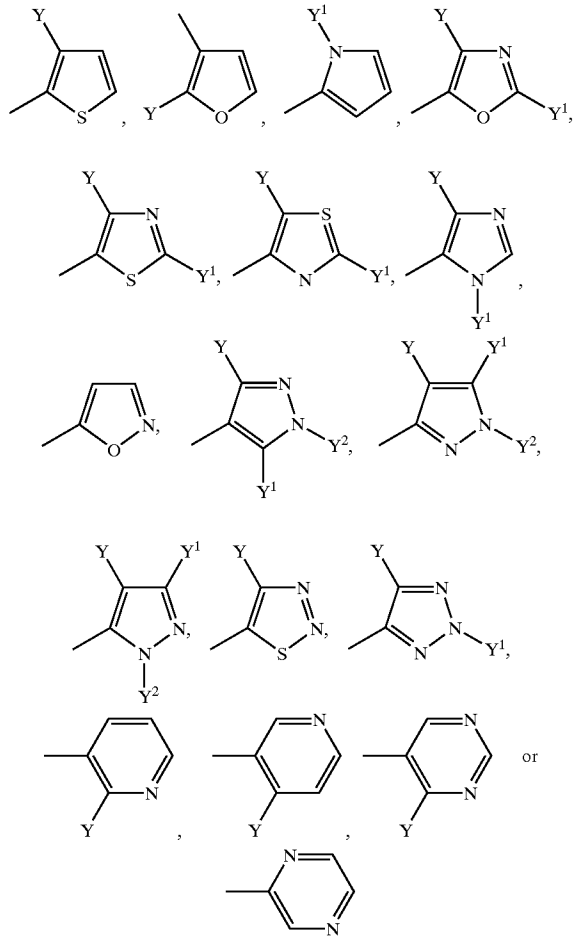


A is



Y^2 is a halogen atom, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 akoxy group, NO_2, CN, or a C_2–C_5 alkoxycarbonyl group; and

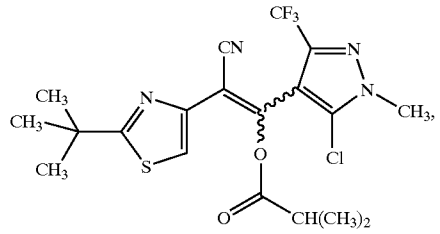
Y^3 is a halogen atom, a C_1–C_4 alkyl group, a C_1–C_6 haloalkyl group, a C_2–C_4 alkoxyalkyl group, or a phenyl group optionally substituted by X.

[21] Ethylene derivatives of the above-mentioned [20], in which E is CN.

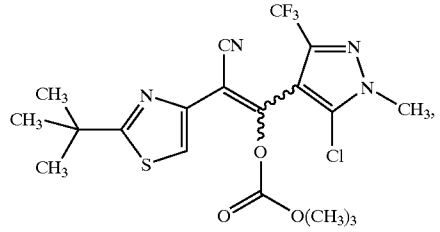
[22] Ethylene derivatives of the above-mentioned [20], in which E is a heterocyclic group optionally substituted by a C_1–C_4 alkyl or C_1–C_4 haloalkyl group—(said heterocyclic group being a 2-oxazolyl, 2-thiazolyl, 2-imidazolyl, 1,2,4-triazol-3-yl, 1,2,4-oxadialol-3-yl, 1,2,4-thiadiazol-3-yl or 1,3,4-oxadiazol-2-yl group)—or is a halogen atom, a C_2–C_4 alkynyl group, a phenylethynyl group optionally substituted by Z, a C_1–C_4 haloalkyl group, CN, NO_2, N_3, CHO, a C_2–C_5 alkylcarbonyl group, a C_2–C_5 alkoxycarbonyl group, a C_2–C_4 alkylaminocarbonyl group, a C_3–C_9 dialkylaminocarbonyl group, a benzoyl group optionally substituted by Z, an aminothiocarbonyl group, a C_1–C_4 alkylsulfenyl group, a C_1–C_4 alkylsufinyl group, a C_1–C_4 alkylsulfonyl group, a phenylsulfenyl group optionally substituted by Z, a phenylsulfinyl group optionally substituded by Z, a phenylsulfonyl group optionally substituted by Z, —P(=O)T^2T^3, or —P(=S)T^2T^3.

[23] Ethylene derivatives of the above-mentioned [1], which are selected from the following:

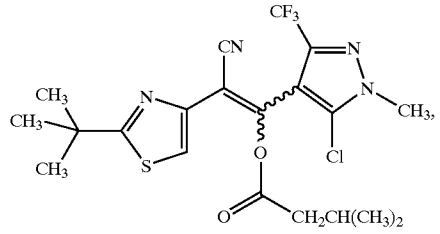
(1)



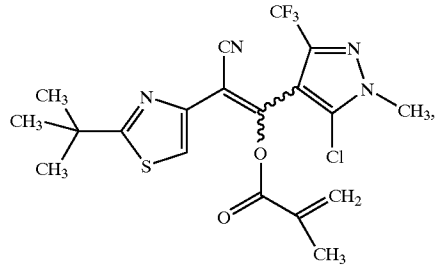
(2)



(3)



(4)



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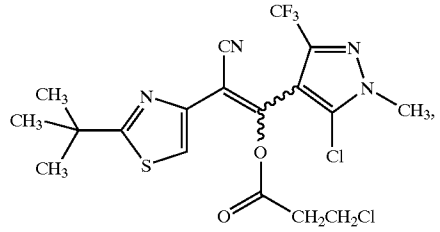

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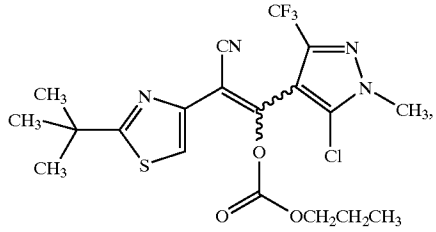
(6)



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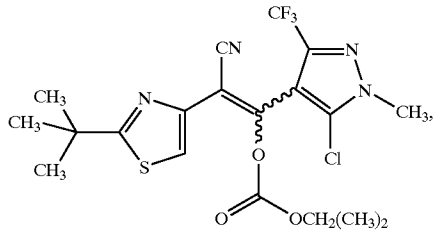

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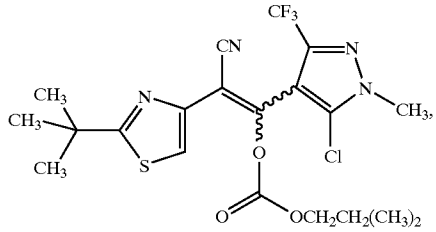

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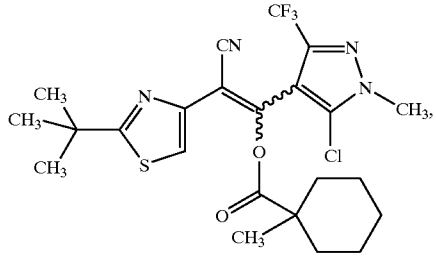

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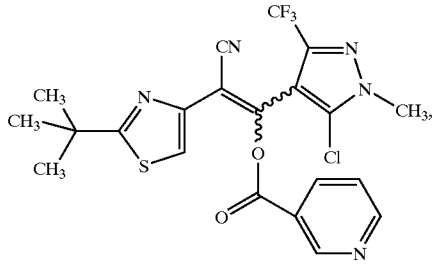

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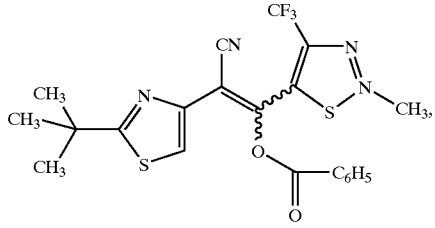

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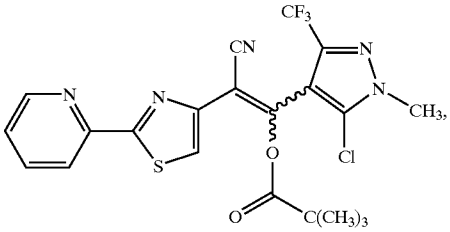

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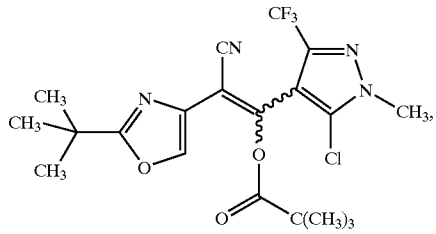

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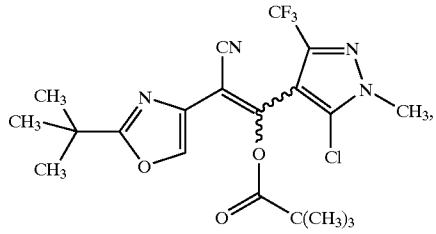

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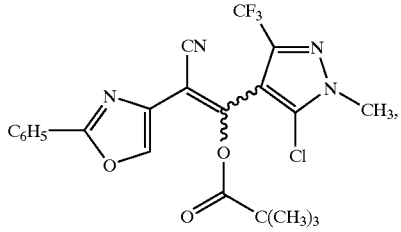

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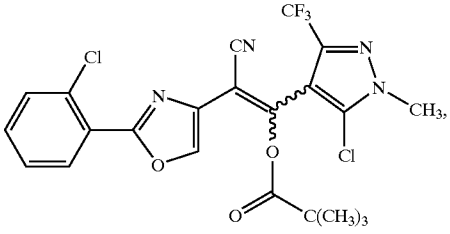

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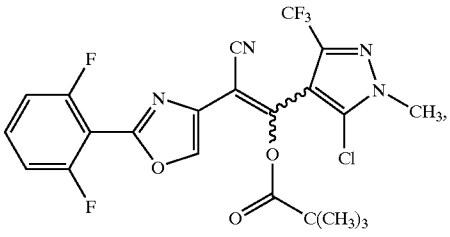

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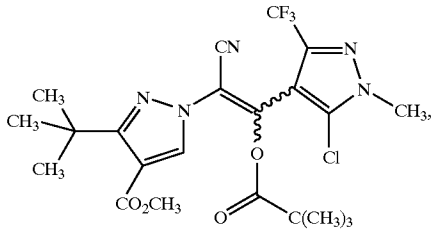

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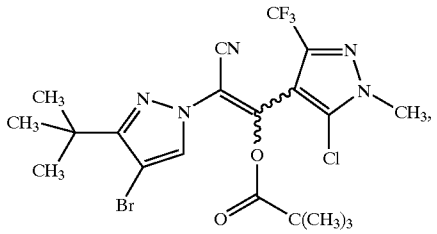

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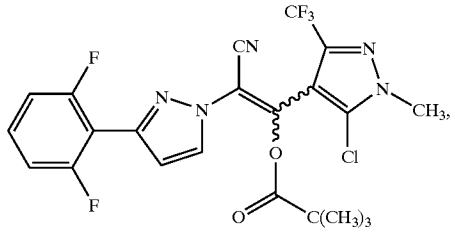

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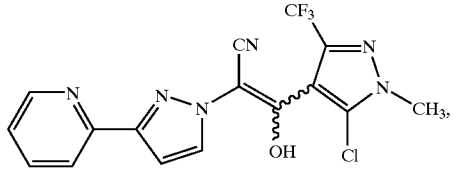

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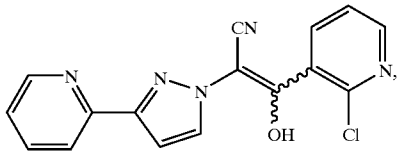

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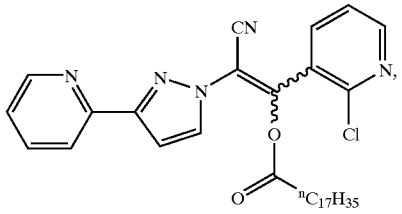

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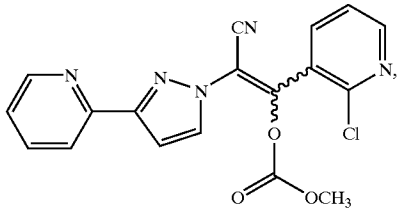

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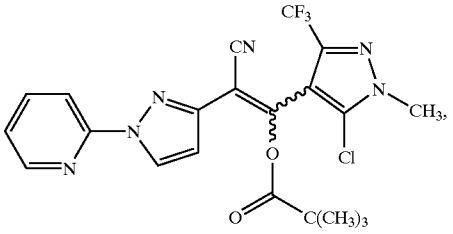

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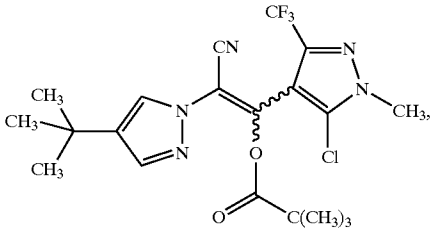

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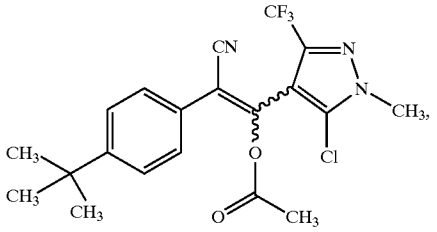

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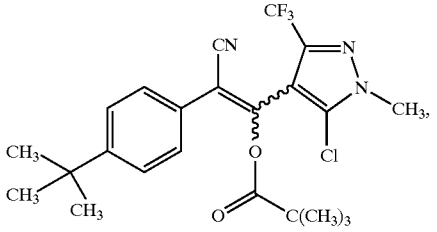

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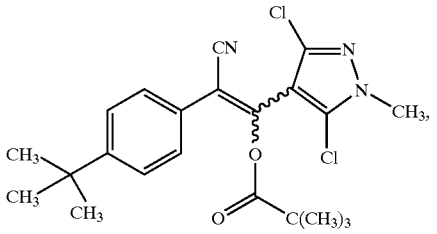

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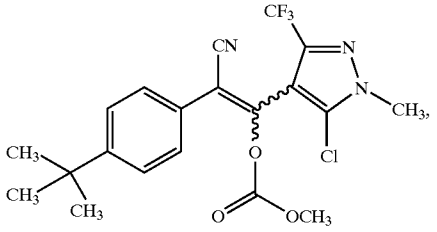

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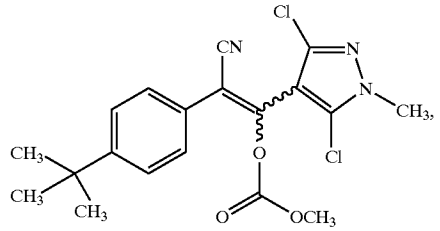

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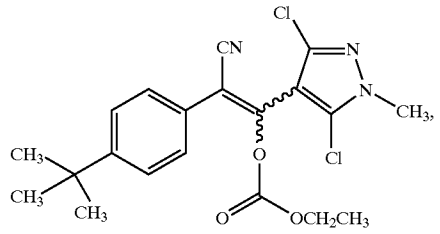

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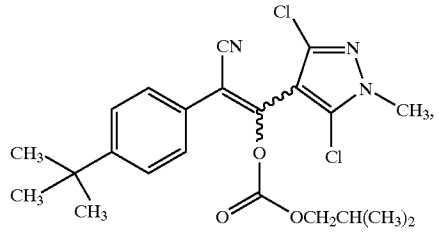

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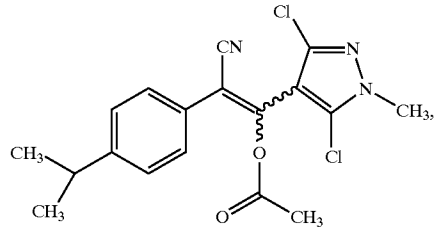

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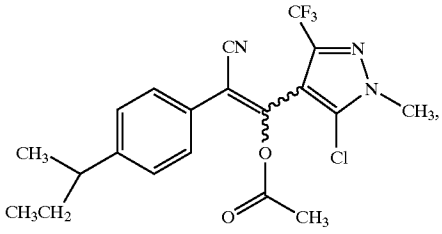

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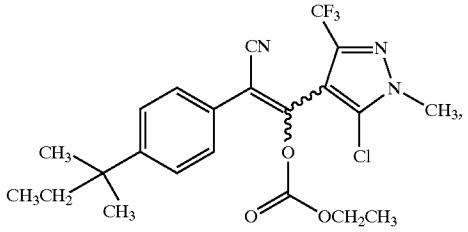

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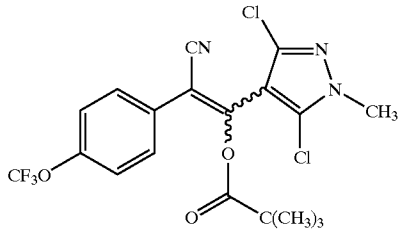
(37)



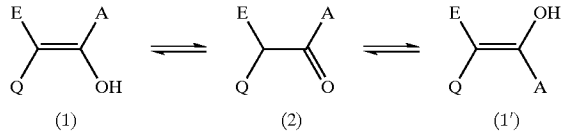
[24] An agricultural chemical comprising, as the active ingredient, one or more ethylene derivatives of the above-mentioned [1] to [23].

[25] An agent for preventing the attachment of aquatic organisms containing, as an active ingredient, one or more ethylene derivatives of the above-mentioned [1] to [23].

MODES OF CARRYING OUT THE INVENTION

The moiety —C(E)═C(OB)— of the compounds (I) of the present invention includes two isomers of E-form and Z-form, both of which are within the scope of the invention.

It will be understood that the compounds (I) of the present invention where the substituent B is a hydrogen atom exist as tautomers to be represented by the following:



(1) (2) (1')

Although the compounds (I) will exist essentially as the enol-form (1'), they could be as the tautomer form (2) under some conditions. It should be understood that the present invention includes all these three tautomers and their mixtures.

Now, preferred embodiments of Q, A, B, E, G, R, R^1, Y, Y^1, Y^2, W, X, Z, T^1, T^2, T^3, T^4, T^5, T^6, T^7, T^8, U^1, U^2, m, n, p and q are referred to hereinunder.

The heterocyclic group for Q, A and B indicates the following meanings.

Specifically, thienyl is thiophen-2-yl or thiophen-3-yl; furyl is furan-2-yl or furan-3-yl; pyrrolyl is pyrrol-1-yl, pyrrrol-2-yl or pyrrol-3-yl; oxazolyl is oxazol-2-yl, oxazol-3-yl, oxazol-4-yl or oxazol-5-yl; thiazolyl is thiazol-2-yl, thiazol-4-yl or thiazol-5-yl; imidazolyl is imidazol-1-yl, imidazol-2-yl or imidazol-4-yl; isoxazolyl is isoxazol-3-yl, isoxazol-4-yl or isoxazol-5-yl; isothiazolyl is isothiazol-3-yl, isothiazol-4-yl or isothiazol-5-yl; pyrazolyl is pyrazol-1-yl, pyrazol-3-yl, pyrazol-4-yl or pyrazol-5-yl; 1,3,4-oxadiazolyl is 1,3,4-oxadiazol-2-yl; 1,3,4-thiadiazolyl is 1,4-thiadiazol-2-yl; 1,2,4-oxadiazolyl is 1,2,4-oxadiazol-3-yl or 1,2,4-oxadiazol-5-yl; 1,2,4-thiadizolyl is 1,2,4-thiadiazol-3-yl or 1,2,4-thiadiazol-5-yl; 1,2,4-traizolyl is 1,2,4-triazol-1-yl, 1,2,4-triazol-3-yl or 1,2,4-triazol-4-yl; 1,2,3-thiadiazolyl is 1,2,3-thiadiazol-4-yl or 1,2,3-thiadiazol-5-yl; 1,2,3-triazolyl is 1,2,3-triazol-1-yl; 1,2,3-triazol-2-yl or 1,2,3-triazol-4-yl; 1,2,3,4-tetrazolyl is 1,2,3, 4-tetrazol-1-yl, 1,2,

3,4-tetrazol-2yl or 1,2,3,4-tetrazol-5-yl; pyridinyl is pyridin-2yl, pyridin-3-yl or pyridin-4-yl; pyrimidinyl is pyrimidin-2-yl, pyrimidin-4-yl or pyrimidin-5-yl; pyrazinyl is pyrazin-2-yl; pyridazinyl is pyridazin-3-yl or pyridazin-4-yl; 1,3,5-triazinyl is 1,3,5-triazin-2-yl; 1,2,4-triazinyl is 1,2,4-triazin-3-yl, 1,2,4-triazin5-yl or 1,2,4-triazin-6-yl; 1,2,4,5-tetrazinyl is 1,2,4,5-tetrazin-3-yl; pyrazolinyl is 3-pyrazolin-1-yl, 3-pyrazolin-3-yl, 3-pyrazolin-4-yl or 3-pyrazolin-5-yl; imidazolinyl is 1-imidazolin-3-yl, 1-imidazolin-2yl, 1-imidazolin-4-yl or 4-imidazolin-2-yl; oxazolinyl is 2-oxazolin-2-yl, 2-oxazolin-4-yl or 2-oxazolin-5-yl; isoxazolinyl is 2-isoxazolin-3-yl, 2-isoxazolin-4-yl or 2-isoxazolin-5-yl; thiazolinyl is 2-thiazolin-2-yl, 2-thiazolin-4-yl or 3-thiazolin-2-yl; imidazolidinon-yl is imidazolidin-2-on-1-yl; imidazolinon-yl is 2-imidazolinon-1-yl; and 3(2H)-pyridazinon-yl is 3(2H)-pyridazinon-2-yl, 3(2H)-pyridazinon-4-yl, 3(2H)-pyridazinon-5-yl or 3(2H)-pyridazinon-6-yl.

Preferred scope of Q is the following groups.

QI: phenyl, thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, 1,2,4,5-tetrazinyl.

QII: phenyl, thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,4-thiadiazolyl, , 1,2,4-triazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl.

QIII: phenyl, thienyl, oxazolyl, thiazolyl, pyrazolyl, pyridinyl, pyrimidinyl, 1,2,3-triazolyl.

QIV: phenyl.

QV: oxazoly.

QVI: thiazolyl.

QVII: pyrazolyl.

QVIII: pyrimidinyl.

QIX: 1,2,3-triazolyl.

Preferred scope of A is the following groups.

AI: phenyl, thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl.

AII: phenyl, thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, 1,2,3-thiadiazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl.

AIII: phenyl, thiazolyl, pyrazolyl, pyridinyl, pyrimidinyl.

AIV: thiazolyl, pyrazolyl, pyridinyl.

AV: thiazolyl.

AVI: pyrazolyl.

AVII: pyridinyl.

Preferred scope of B is the following groups.

BI: H, C_2–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkoxyalkyl, $CH_3OC_2H_4OCH_2$, C_1–C_4 alkylsulfonyl, phenylsulfonyl optionally substituted by halogen or C_1–C_4 alkyl, —SO_2CF_3, C_2–C_8 dialkylaminosulfonyl, C_3–C_9 dialkylaminothiocarbonyl, C_3–C_9 alkoxycarbonylalkyl, —C(=O)T^1, —P(=O)T^2T^3, —P(=S)T^2T_3, alkali metal, alkaline earth metal or $NHT^4T^5T^6$.

BII: H, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkoxyalkyl, $CH_3OC_2H_4OCH_2$, C_1–C_4 alkylsulfonyl, —SO_2CF_3, —C(=O)T^1, alkali metal, alkaline earth metal or $NHT^4T^5T^6$.

BIII: H, C_2–C_4 alkoxyalkyl, C_1–C_4 alkylsulfonyl, —SO_2CF_3, —C(=O)T^1, alkali metal, alkaline earth metal, $NHT^4T^5T^6$.

BIV: C_2–C_4 alkoxyalkyl, C_1–C_4 alkylsulfonyl, —SO_2CF_3, —C(=O)T^1, alkali metal, alkaline earth metal or $NHT^4T^5T^6$.

Preferred scope of E is the following grouips.

EI: halogen, C_2–C_4 alkynyl, phenylethynyl optionally substituted by Z, C_1–C_4 haloalkyl, CN, NO_2, N_3, CHO, C_2–C_5 alkylcarbonyl, C_2–C_5 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_9 dialkylaminocarbonyl, benzoyl optionally substituted by Z, aminothiocarbonyl, C_1–C_4 alkylsulfenyl, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, phenylsulfenyl optionally substituted by Z, phenylsulfinyl optionally substituted by Z, phenylsulfonyl optionally substituted by Z, —P(=O)T^2T^3, —P(=S)T^2T^3.

EII: halogen, C_2–C_4 alkynyl, phenylethynyl optionally substituted by Z, CN, C_2–C_5 alkylcarbonyl, C_2–C_5 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_9 dialkylaminocarbonyl, benzoyl optionally substituted by Z, aminothiocarbonyl, C_1–C_4 alkylsulfenyl, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, phenylsulfenyl optionally substituted by Z, phenylsulfinyl optionally substituted by Z, phenylsulfonyl optionally substituted by Z or —P(=O)T^2T^3.

EIII: CN.

Preferred scope of G is the following groups.

GI: substituents freely selected from halogen, C_1–C_6 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 haloalkynyl, C_3–C_6 cycloalkyl optionally substituted by C_1–C_3 alkyl, C_1–C_6 alkoxy, C_2–C_4alkenyloxy, C_2–C_4 alkynyloxy, C_1–C_4 haloalkoxy, C_2–C_4 haloalkenyloxy, C_3–C_4 haloalkynyloxy, C_1–C_4 alkylsulfenyl, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_2–C_4 alkenylsulfenyl, C_2–C_4 alkenylsulfinyl, C_2–C_4 alkenylsulfonyl, C_2–C_4 alkylnylsulfenyl, C_2–C_4 alkynylsulfinyl, C_2–C_4 alkynylsulfonyl, C_1–C_4 haloalkylsulfenyl, C_2–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_2–C_4 haloalkenylsulfenyl, C_2–C_4 haloalkenylsulfinyl, C_2–C_4 haloalkenylsulfonyl, C_2–C_4 haloalkynylsulfenyl, C_2–C_4 haloalkynylsulfinyl, C_2–C_4 haloalkynylsulfonyl, NO_2, CN, —NU^1U^2, methoxy substituted by phenyl optionally substituted by halogen, or C_1–C_4 alkyl, C_2–C_6 alkoxycarbonyl, C_2–C_4 alkoxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkylcarbonyloxy, C_2–C_6 haloalkylcarbonyloxy, C_3–C_7 dialkylaminocarbonyloxy, phenyl optionally substituted by Z, phenoxy optionally substituted by Z, benzoyl optionally substituted by Z, pyridyl optionally substituted by Z, and pyridyloxy optionally substituted by Z (provided that when the substituent is two or more, said substituents may be the same or different) and the number of the substituent G, is 1, 2, 3 or 4, or G is an alkylene group as bonded to the adjacent substituting positions to form a 5-, 6-, 7- or 8-membered ring

GII: substituents freely selected from halogen, C_1–C_6 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_1–C_6 cycloalkyl optionally substituted by C_1–C_3 alkyl, C_1–C_6 alkoxy, C_2–C_4 alkenyloxy, C_2–C_4 alkynyloxy, C_1–C_4 haloalkoxy, C_2–C_4 haloalkenyloxy, C_1–C_4 alkylsulfenyl, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_2–C_4 alkenylsulfenyl, C_2–C_4 alkenylsulfinyl, C_2–C_4 alkenylsulfonyl, C_2–C_4 alkynylsulfenyl, C_2–C_4 alkynylsulfinyl, C_2–C_4 alkynylsulfonyl, C_1–C_4 haloalkylsulfenyl, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_2–C_4 haloalkenylsulfenyl, C_2–C_4 haloalkenylsulfinyl, C_2–C_4 haloalkenylsulfonyl, methoxy substituted by phenyl optionally substituted by halogen or C_1–C_4 alkyl, C_2–C_6 alkoxycarbonyl, C_2–C_5 alkylcarbonyloxy, C_2–C_5 haloalkylcarbonyloxy, phenoxy optionally substituted by Z, and pyridyloxy optionally sub-

stituted by Z (provided that the number of the substituent is two or more, said substituebts may be the same or different), and the number of the substituent, G, is 1, 2 or 3.

GIII: substituents freely selected from halogen C_1–C_6 alkyl, C_1–C_4 haloalkyl, C_3–C_6 cycloalkyl optionally substituted by C_1–C_3 alkyl, C_1–C_6 alkoxy, C_2–C_4 alkenyloxy, C_2–C_4 alkynyloxy, C_1–C_4 haloalkoxy, C_2–C_4 haloalkenyloxy, C_1–C_4 alkylsulfenyl, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_2–C_4 alkenylsulfenyl, C_2–C_4 alkenylsulfinyl, C_2–C_4 alkenylsufonyl, C_2–C_4 alkynylsulfenyl, C_2–C_4 alkynylsulfinyl, C_2–C_4 alkynylsulfonyl, C_1–C_4 haloalkylsulfenyl, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_2–C_4 haloalkenylsulfenyl, C_2–C_4 haloalkenylsulfinyl, C_2–C_4 haloalkenylsulfonyl, methoxy substituted by phenyl optionally substituted by halogen or C_1–C_4 alkyl, C_2–C_6 alkoxycarbonyl, C_2–C_5 alkylcarbonyloxy, phenoxy optionally substituted by Z, and pyridyloxy optionally substituted by Z (provided that when the substituent is two or more, said substituents may be the same or different), and the number of the substituent, G is 1 or 2.

Preferred scope of R is the following group.

RI: substituents freely selected from halogen, C_1–C_6 alkyl, C_1–C_3 alkyl substituted by phenyl optionally substituted by halogen or C_1–C_4 alkyl, C_1–C_6 haloalkyl, C_3–C_6 cycloalkyl optionally substituted by C_1–C_3 alkyl, C_1–C_4 alkoxy, C_2–C_4 alkenyloxy, C_2–C_4 alkynyloxy, C_1–C_4 haloalkoxy, C_2–C_4 haloalkenyloxy, C_2–C_4 haloalkynyloxy, C_1–C_4 alkylsulfenyl, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_2–C_4 alkenylsulfenyl, C_2–C_4 alkenylsulfinyl, C_2–C_4 alkenylsulfonyl, C_2–C_4 alkynylsulfenyl, C_2–C_4 alkynylsulfinyl, C_2–C_4 alkynylsulfonyl, C_1–C_4 haloalkylsulfenyl, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_2–C_4 haloalkenylsulfenyl, C_2–C_4 haloalkenylsulfinyl, C_2–C_4 haloalkenylsulfonyl, NO_2, CN, —NU^1U^2, naphthyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkoxyalkyl, phenyl optionally substituted by X, pyridyl optionally substituted by X, and thienyl optionally substituted by X (provided that when the substituent is two or more, said substituents may be the same or different), and the number of the substituent, R, is 1, 2 or 3, or R is an alkylene group as bonded to the adjacent substituting positions to form a 5-, 6-, 7- or 8-membered ring.

RII: substituents freely selected from halogen, C_1–C_6 alkyl, C_1–C_3 alkyl substituted by phenyl optionally substituted by halogen or C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_3–C_6 cycloalkyl optionally substituted by C_1–C_3 alkyl, NO_2, CN, —NU^1U^2, naphthyl, C_2–C_4 alkoxycarbonyl, C_1–C_4 alkoxyalkyl, phenyl optionally substituted by X, and pyridyl optionally substituted by X (provided that when the substituents is two or more, said substituents may be the same or different), and the number of the substituents, R, is 1, 2 or 3.

RIII: substituents freely selected from halogen, C_1–C_6 alkyl, C_1–C_3 alkyl substituted by phenyl optionally substituted by halogen or C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_3–C_6 cycloalkyl optionally substituted by C_1–C_3 alkyl, NO_2, CN, —NU^1U^2, naphthyl, C_2–C_4 alkoxycarbonyl, phenyl optionally substituted by X, and pyridyl optionally substituted by X (provided that when the substituent is two or more, said substituents may be the same or different), and the number of the substituent R, is 1 or 2.

Depending on the type of the heterocyclic group to be substituted by R, the number of R differs. For the group of 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,3-oxadiazolyl, 1,2,3-thiadiazolyl or 1,2,3,4-tetrazolyl, the number of R is 0 or 1, preferably 1. For the group of thiazolyl, oxazolyl, isoxazolyl, isothiazolyl, 1,3,4-triazolyl, 1,2,4-triazolyl, 1,2,3-triazolyl, 1,3,5-triazinyl, 1,2,4-triazinyl or 1,2,4-triazinyl, the number of R is an integer of from 0 to 2, preferably 1 or 2. For the group of thienyl, furyl, pyrazolyl, imidazolyl, pyrimidinyl, pyrazinyl or pyridazinyl, the number of R is an integer of from 0 to 3, preferably an integer of from 0 to 2, member preferably 1 or 2. For the group of pyrrolyl, pyridinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl or thiazolinyl, the number of R is an integer of from 0 to 4, preferably an integer of from 0 to 3, more preferably 1 or 2.

Preferred scope of Y is the following groups.

YI: substituents freely selected from halogen, C_1–C_6 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_2–C_4 alkenyloxy, C_2–C_4 alkynyloxy, C_1–C_4 haloalkoxy, C_2–C_4 haloalkenyloxy, C_2–C_4 haloalkynyloxy, C_1–C_4 alkylsulfenyl, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_2–C_4 alkenylsulfenyl, C_2–C_4 alkenylsulfinyl, C_2–C_4 alkenylsulfonyl, C_2–C_4 alkynylsulfenyl, C_2–C_4 alkynylsulfinyl, C_2–C_4 alkynylsulfonyl, C_1–C_4 haloalkylsulfenyl, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_2–C_4 haloalkenylsulfenyl, C_2–C_4 haloalkenylsulfinyl, C_2–C_4 haloalkenylsulfonyl, C_2–C_4 haloalkynylsulfenyl, C_2–C_4 haloalkynylsulfinyl, C_2–C_4 haloalkynylsulfonyl, NO_2, CN, —NU^1U^2, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkoxyalkyl, C_2–C_4 alkylcarbonyloxy, C_2–C_4 haloalkylcarbonyloxy, and phenyl optionally substituted by X (provided that the substituent is two or more, said substituents may be the same or different) and the number of the substituent, Y, is 1, 2 or 3.

YII: substituents freely selected from halogen, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 alkylsulfenyl, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylsulfenyl, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, NO_2,CN, C_2–C_4 alkoxycarbonyl, and phenyl optionally substituted by X (provided that when the substituent is two or more, said substituents may be the same or different) and the number of the substituent, Y, is 1, 2 or 3.

Depending on the type of the heterocyclic group to be substituted by Y, the number of Y differs. For the group of 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,3-thiadiazolyl or 1,2,3,4-tetrazolyl, the number of Y is 0 or 1, preferably 1. For the group of thiazolyl, oxazolyl, isoxazolyl, isothiazolyl, 1,3,4-triazolyl, 1,2,4-triazolyl, 1,2,3-triazolyl, 1,3,5-triazinyl, 1,2,4-triazinyl or 1,2,4-triazinyl, the number of Y is an integer of from 0 to 2, preferably 1 or 2. For the group of thienyl, furyl, pyrazolyl, imidazolyl, pyrimidinyl, pyrazinyl or pyridazinyl, the number of Y is an integer of from 0 to 3, preferably an integer of from 0 to 2, more preferably 1 or 2. For the group of pyrrolyl, pyridinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl or thiazolinyl, the number of Y is an integer of from 0 to 4, preferably an integer of from 0 to 3, more preferably 1 or 2.

Preferred scope of W is the following group.

WI: substituents freely selected from halogen, C_1–C_6 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylsulfenyl, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylsulfenyl, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_2–C_4 alkenyloxy, C_2–C_4 haloalkenyloxy, C_2–C_4 alkenylsulfenyl, C_2–C_4 alkenylsulfinyl, C_2–C_4 alkenylsulfonyl, C_2–C_4 haloalkenylsulfenyl, C_2–C_4 haloalkenylsulfinyl, c_2–C_4 haloalkenylsufonyl, C_2–C_4 alkynyloxy, C_2–C_4 alkynylsulfenyl, C_2–C_4 alkynylsulfinyl, C_2–C_4

alkynylsulfonyl, NO_2, CN, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkylcarbonyloxy, and —NU^1U^2 (provided that when the substituent is two or more, said substituents are the same or different) and the number of the substituent, W is 1, 2, 3 or 4.

WII: substituents freely selected from halogen, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylsulfenyl, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylsulfenyl, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_2–C_4 alkenyloxy, C_2–C_4 haloalkenyloxy, C_2–C_4 alkenylsulfenyl, C_2–C_4 alkenylsulfinyl, C_1–C_4 alkenylsulfony, C_2–C_4 alkynyloxy, C_2–C_4 alkynylsulfenyl, C_2–C_4 alkynylsulfinyl, C_2–C_4 alkynylsulfonyl, NO_2, and CN (provided that the substituent is two or more, said substituents may be the same or different) and the number of the substituent, W, is 1, 2 or 3.

WIII: substituents freely selected from halogen, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylsulfenyl, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylsulfenyl, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, NO_2, and CN (provided that when the substituent is two or more, the substituents may be the same or different) and the number of the substituent, W, is 1 or 2.

Preferred scope of T^1 is the following groups.

T^1I: C_1–C_{18} alkyl, C_2–C_6 alkenyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy-C_1–C_4 alkyl, C_1–C_4 alkyl substituted by phenyl optionally substituted by halogen or C_1–C_4 alkyl, C_3–C_6 halocycloalkyl, C_3–C_6 cycloalkyl optionally substituted by C_1–C_3 alkyl, cycloalkyl substituted by phenyl optionally substituted by halogen or C_1–C_4 alkyl, C_2–C_4 alkenyl substituted by phenyl optionally substituted by halogen or C_1–C_4 alkyl, C_1–C_{10} alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkenyloxy, C_3–C_6 cycloalkoxy optionally substituted by C_1–C_4 alkyl, benzyloxy, C_2–C_6 alkoxycarbonyl, —NU^1U^2, phenyl optionally substituted by Z, phenoxy optionally substituted by Z, phenylthio optionally substituted by Z, naphthyl, and heterocyclic group optionally substituted by Z. (said heterocyclic group being selected from thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, and 1,2,4-triazinyl groups).

T^1II: C_1–C_1 alkyl, C_2–C_6 alkenyl, C_1–C_4 haloalkyl, C_2–C_4 alkoxyalkyl, C_1–C_4 alkyl substituted by phenyl optionally substituted by halogen or C_1–C_4 alkyl, C_3–C_6 cycloalkyl optionally substituted by C_1–C_3 alkyl, cycloalkyl substituted by phenyl optionally substituted by halogen or C_1–C_4 alkyl, C_2–C_4 alkenyl substituted by phenyl optionally substituted by halogen or C_1–C_4 alkyl, C_1–C_{10} alkoxy, C_1–C_4 haloalkoxy, C_2–C_5 alkenyloxy, C_3–C_6 cycloalkoxy, benzyloxy, C_2–C_5 alkoxycarbonyl, phenyl optionally substituted by Z, phenoxy optionally substituted by Z, phenylthio, naphthyl, and heterocyclic group optionally substituted by Z (said heterocyclic group selected from thienyl, furyl, oxazolyl, thiazolyl, pyrazolyl and pyridinyl groups).

T^1III: C_1–C_{17} alkyl, C_2–C_6 alkenyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxyalkyl, C_1–C_4 alkyl substituted by phenyl, C_3–C_6 cycloalkyl optionally substituted C_1–C_3 alkyl, cycloalkyl substituted by phenyl, C_2–C_4 alkenyl substituted by phenyl, C_1–C_8 alkoxy, C_1–C_4 haloalkoxy, C_2–C_5 alkenyloxy, C_3–C_6 cycloalkoxy, benzyloxy, C_1–C_5 alkoxycarbonyl, phenyl optionally substituted by Z, phenoxy optionally substituted by Z, phenylthio, naphthyl, pyrazolyl optionally substituted by Z or pyridinyl optionally substituted by Z.

Preferred scope of T^2 is the following groups.

T^2I: phenyl, C_1–C_4 alkyl, C_1–C_4 alkoxy of C_1–C_4 alkylsulfenyl.

Preferred scope of T^4 is the following groups.

T^3I: phenyl, C_1–C_4 alkyl, C_3–C_6 alkoxy or C_1–C_4 alkylsulfenyl.

Preferred scope of T^4 is the following groups.

T^4I: H, C_1–C_4 alkyl, C_3–C_6 cycloalkyl or benzyl. Also preferred is such that any two of T^4, T^5 and T^6, together with the nitrogen atom to which they are bonded, forms a 5-, 6- or 7-membered ring optionally having oxygen, nitrogen and/or sulfur atoms.

Preferred scope of T^5 is the following groups.

T^5I: H, C_1–C_4 alkyl, C_3–C_6 cycloalkyl or benzyl. Also, preferred is such that any two of T^4, T^5 and T^6, together with the nitrogen atom to which they are bonded, forms a 5-, 6- or 7-membered ring optionally having oxygen, nitrogen and/or sulfur atoms.

Preferred scope of TO is the following groups.

T^6I: H, C_1–C_4 alkyl, C_3–C_6 cycloalkyl or benzyl. Also, preferred is such that any two of T^4, T^5 and T^6, together with the nitrogen atom to which they are bonded, forms a 5-, 6- or 7-membered ring optionally having oxygen, nitrogen and/or sulfur atoms.

Preferred scope of X is the following groups.

XI: substituents freely selected from halogen, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylsulfenyl, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_2–C_5 alkenylsulfenyl, C_2–C_5 alkenylsulfinyl, C_2–C_5 alkenylsulfonyl, C_1–C_4 haloalkylsulfenyl, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, NO_2, CN, —NU^1U^2 and C_2–C_5 alkoxycarbonyl (provided that the substituent is two or more, said substituents may be the same or different) and the substituent X is 1,2 or 3.

XII: substituents freely selected from halogen, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylsulenyl, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_2–C_5 alkenylsulfenyl, C_1–C_4 haloalkylsulfenyl, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, and NO_2 (provided that when the substituent is two or more, said substituents may be the same or (different) and the number of the substituent X, is 1, 2 or 3.

XIII: substituents freely selected from halogen, C_1–C_4 alkyl, C_1–C_4 haloalkyl, and C_1–C_4 alkoxy (provided that when the substituent is two is more, said substituents may be the same or different) and the number of the substituent, X, is 1 or 2.

Depending on the type of the ring to be substituted by X, the number of X differs. For phenyl, the number of X is an integer of from 0 to 5, preferably an integer of from 0 to 3, more preferably an integer of from 0 to 2. For pyridyl, the number of X is an integer of from 0 to 4, preferably 0, 1 or 2, more preferably 0 or 1. For thienyl, the number of X is an integer of from 0 to 3, preferably 0 or 1.

Preferred scope of Z is the following groups.

ZI: substituents freely selected from halogen, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_{1-C4} alkylsulfenyl, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_2–C_5 alkenylsulfenyl, C_2–C_6 alkenylsulfinyl, C_2–C, alkenylsulfonyl, C_1–C_4 haloalkylsulfenyl, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, NO_2, CN, —NU^1U^2 and C_2–C_5 alkoxycarbonyl (provided that when the substituent is two or more,

said substituents may be the same or different) and the number of the substituent, Z, is 1, 2, 3, or 4.

ZII: substituents freely selected from halogen, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylsulfenyl, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_2–C_5 alkenylsulfenyl, C_2–C_5 alkenylsulfinyl, C_2–C_5 alkenylsulfonyl, C_1–C_4 haloalkylsulfenyl, C_1–C_4 haloalkylsulfinyl and C_1–C_4 haloalkylsulfonyl (provided that when the substitutent is two or more, said substituents may be the same or different) and the substituent Z, is 1, 2, 3, or 4.

Depending on the type of the ring to be substituted by Z, the number of Z differs. For phenyl, the number of Z is an integer of from 0 to 5, preferably an integer of from 0 to 4, more preferably 0, 1, 2 or 3, even more preferably 0, 1 or 2. For naphthyl, the number of Z is an integer of from 0 to 7, preferably 0. Where the ring to be substituted by Z is a heterocyclic group, the number of the substituents of Z also differs depending on the type of the heterocyclic group. For the group of 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,3-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,3,4-tetrazolyl or 1,2,3,5-tetrazolyl, the number of Z is 0 or 1. For the group of thiazolyl, oxazolyl, isoxazolyl, isothiazolyl, 1,3,4-triazolyl, 1,2,4-triazolyl, 1,2,3-triazolyl, 1,3,5-triazinyl, 1,2,4-triazinyl or 1,2,4-triazinyl, the number of Z is an integer of from 0 to 2, preferably 1 or 2. For the group of thienyl, furyl, pyrazolyl, imidazolyl, pyrimidinyl, pyrazinyl or pyridazinyl, the number of Z is an integer of from 0 to 3, preferably an integer of from 0 to 2, more preferably 1 or 2. For the group of pyrrolyl, pyridinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl or thiazolinyl, the number of Z is an integer of from 0 to 4, preferably an integer of from 0 to 2, more preferably 1 or 2.

Preferred scope of T^7 is the following groups.

T^7I: H, phenyl, benyzl or C_1–C_4 alkyl. Also preferred is such that T^7 and T^8, together with the carbon atom to which they are bonded, form a 5-membered or 6-membered ring.

Preferred scope of T^8 is the following groups.

T^8I: phenyl, benzyl or C_1–C_4 alkyl. Also preferred is such that T^7 and T^8, together with the carbon atom to which they are bonded, form a 5-membered or 6 -membered ring.

Preferred scope of U^1 is the following groups.

U^1I: H, C_1–C_4 alkyl or C_2–C_5 alkylcarbonyl. Also preferred is such that U^1 and U^2, together with nitrogen atom to which they are bonded, form a 5-, 6- or 7-membered ring.

Preferred scope of U^2 is the following groups.

U^2I: H, C_1–C_4 alkyl or C_2–C_5 alkylcarbonyl. Also preferred is such that U^1 and U^2, together with the carbon atom to which they are bonded, form a 5-, 6- or 7-membered ring.

Preferably, m is 1, 2, or 3, more preferably 1 or 2.

Preferably n is 0, 1, 2 or 3, more preferably 1 or 2.

Preferably, p is 1 or 2.

The above-mentioned preferred groups in the scopes of the preferred substituents can be optionally combined and show the scopes of the preferred compounds of the present invention. Hereinunder mentioned are especially preferred scopes.

Compounds of the invention comprising preferred substituents of QI, AI, BI, EI, GI, RI, YI, WI, T^1I, T^2I, T^2I T^3I, T^4I, T^5I, T^6I, XI, ZI, T^7I, T^8I, U^1I and U^2I.

Compounds of the invention comprising preferred substituents of QI, AI, BI, EIII, GI, RI, YI, WI, T^1I, T^2I, T^3I, T^4I, T^5I, T^6I, XI, ZI, T^7I, T^8I, U^1I and U^2I.

Compounds of the invention comprising preferred substituents of QII, AII, BI, EII, GI, RI, YI, WI, T^1I, T^2I, T^3I, T^4I, T^5I, T^6I, XI, ZI, T^7I, T^8I, U^1I and U^2I.

Compounds of the invention comprising preferred substituents of QII, AII, BI, EIII, GI, RI, YI, WI, T^1I, T^2I, T^3I, T^4I, T^5I, T^6I, XI, ZI, T^7I, T^8I, U^1I and U^2I.

Compounds of the invention comprising preferred substituents of QIII, AIII, BI, EIII, GI, RI, YI, WI, T^1I, T^2I, T^3I, T^4I, T^5I, T^6, XI, ZI, T^7I, T^8, U^1I and U^2I.

Compounds of the invention comprising preferred substituents of QIII, AIII, BII, EIII, GII, RII, YII, WII, T^1II, T^2I, T^3I, T^4I, T^5I, T^6I, XII, ZII, T^7I, T^8I, U^1I and U_2I.

Compounds of the invention comprising preferred substituents of QIII, AIII, BII, EIII, GIII, RIII, YII, WII, T^1III, T^2I, T^3I, T^4I, T^5I, T^6I, XIII, ZII, T^7I, T^8I, U^1I and U^1I.

Compounds of the invention comprising preferred substituents of QIII, AIV, BIII, EIII, GIII, RIII, YII, WII, T^1III, T^2I, T^3I, T^4I, T^5I, T^6I, XIII, ZII, T^7I, T^8I, U^1I and U^2I.

Compounds of the invention comprising preferred substituents of QIV, AIV, BIII, EIII, GIII, RIII, YII, WII, T^1III, T^2I, T^3I, T^4I, T^5I, T^6I, XIII, ZII, T^7I, T^8I, U^1I and U^2I.

Compounds of the invention comprising preferred substituents of QV, AIII, BIII, EIII, GIII, RIII, YII, WII, T^1III, T^2I, T^3I, T^4I, T^5I, T^6I, XIII, ZII, T^7I, T^8I, U^1I and U^2I.

Compounds of the invention comprising preferred substituents of QVI, AIII, BIII, EIII, GIII, RIII, YII, WII, T^1III, T^2I, T^3I, T^4I, T^5I, T^6I, XIII, ZII, T^7I, T^8I, U^1I and U^2I.

Compounds of the invention comprising preferred substituents of QVI, AIV, BIII, EIII, GIII, RIII, YII, WII, T^1III, T^2I, T^3I, T^4I, T^5I, T^6I, XIII, ZII, T^7I, T^8I, U^1I and U^2I.

Compounds of the invention comprising preferred substituents of QVII, AIII, BIII, EIII, GIII, RIII, YII, WII, T^1III, T^2I, T^3I, T^4I, T^5I, T^6I, XIII, ZII, T^7I, T^8I, U^1I and U^2I.

Compounds of the invention comprising preferred substituents of QVIII, AIII, Bill, EIII, GIII, RIII, YII, WII, T^1III, T^2I, T^3I, T^4I, T^5I, T^6I, XIII, ZII, T^7I, T^8I, U^1I U^2.

Compounds of the invention comprising preferred substituents of QIX, AIII, Bill, EIII, GIII, RIII, YII, WII, T^1III, T^2I, T^3I, T^4I, T^5I , T^6I, XIII, ZII, T^7I, T^8I, U^1I and U^2I.

Compounds of the invention comprising preferred substituents of QIII, AV, BIII, EIII, GIII, RIII, YII, WII, T^1III, T^2I, T^3I, T^4I, T^5I, T^6I, XIII, ZII, T^7I, T^8I, U^1I and U^2I.

Compounds of the invention comprising preferred substituents of QIII, AVI, BIII, EIII, GIII, RIII, YII, WII, T^1III, T^2I, T^3I, T^4I, T^5I, XIII, ZII, T^7I, T^8I , U^1I and U^2I.

Compounds of the invention comprising preferred substituents of QIII, AVII, BIII, EIII, GIII, RIII, YII, WII, T^1III, T^2I, T^3I, T^4I, T^5I, T^6I, XIII, ZII, T^7I, T^8I, U^1I and U^2I.

Now specific examples of Q, A, B, E, G, R, R^1, Y, Y^1, Y^2, W, X, Z, T^1, T^2, T^3, T^4, T^5, T^6, T^7, T^8, U^1 and U^2 are mentioned below.

The halogen atom for E, G, R, R^1, W, X, Y, Y^1, Y^2, Y^3 and Z includes a fluorine atom, a chlorine atom, a bromine atom, and an iodine atom. Preferred are a fluorine atom, a chlorine atom and a bromine atom.

The alkyl group for B, G, R, R^1, T^1, T^2, T^3, T^4, T^5, T^6, T^7, T^8, U^1, U^2, W, X, Y, Y^1, Y^2, Y^3 and Z may be a straight chain or branched alkyl group having indicated carbon atoms, which includes, for example, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, tert-butyl, sec-butyl, pentyl-1, pentyl-2, pentyl-3, 2-methylbutyl-1, 2-methylbutyl-2, 2-methylbutyl-3, 3-methylbutyl-1, 2,2-dimethylpropyl-1, hexyl-1, hexyl-2, hexyl-3, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl, 1-ethyl-2-methylpropyl, n-heptyl, n-octyl, n-nonyl, n-undecyl, n-dodecyl, n-tridecyl, n-tetradecyl, n-pentadecyl, n-hexadecyl, n-heptadecyl, n-octadecyl, n-nonadecyl and n-eicosyl groups.

The alkenyl group for G, R, R^1, T^1, T^4, T^5, T^6, Y^1 and W may be a straight chain or branched alkenyl group having

indicated carbon atoms, which includes, for example, ethenyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl, 1-pentenyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 1-methyl-2-butenyl, 2-methyl-2-butenyl, 3-methyl-2-butenyl, 1-methyl-3-butenyl, 2-methyl-3-butenyl, 3-methyl-3-butenyl, 1,1-dimethyl-2-propenyl, 1,2-dimethyl-2-propenyl, 1-ethyl-2-propenyl, 1-hexenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 1-methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-methyl-2-pentenyl, 4-methyl-2-pentenyl, 1-methyl-3-pentenyl, 2-methyl-3-pentenyl, 3-methyl-3-pentenyl, 4-methyl-3-pentenyl, 1-methyl-4-pentenyl, 2-methyl-4-pentenyl, 3-methyl-4-pentenyl, 4-methyl-4-pentenyl, 1,1-dimethyl-2-butenyl, 1,1-dimethyl-3-butenyl, 1,2-dimethyl-2-butenyl, 1,2-dimethyl-3-butenyl, 1,3-dimethyl-2-butenyl, 1,3-dimethyl-3-butenyl, 2,3-dimethyl-2-butenyl, 2,3-dimethyl-3-butenyl, 3,3-dimethyl-2-butenyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 2-ethyl-2-butenyl, 2-ethyl-3-butenyl, 1,1,2-trimethyl-2-propenyl and 1-ethyl-1-methyl-2-propenyl groups.

The alkynyl group for E, G, R, R^1, Y^1 and W may be a straight chain or branched alkynyl group having indicated carbon atoms, which includes, for example, ethynyl, 1-propynyl, 2-propynyl, 1-butynyl, 2-butynyl, 3-butynyl, 1-methyl-2-propynyl, 1-pentynyl, 2-pentynyl, 3-pentynyl, 4-pentynyl, 1-methyl-2-butynyl, 1-methyl-3-butynyl, 2-methyl-3-butynyl, hexynyl, 1-methyl-3-pentynyl, 1-methyl-4-pentynyl, 2-methyl-3-pentynyl, 2-methyl-4-pentynyl, 3-methyl-4-pentynyl, 4-methyl-2-pentynyl ,1a-dimethyl-2-butynyl, 1 1-dimethyl-3-butynyl, 1,2-dimethyl-3-butynyl, 2,2-dimethyl-3-butynyl, 1-ethyl-2-butynyl, 1-ethyl-3-butynyl and 2-ethyl-3-butynyl groups.

The haloalkyl group for B, E, G, R, R^1, T^1, W, X, Y, Y^1, Y^2, Y^3 and Z may be a straight chain or branched haloalkyl group having indicated carbon atoms, which includes, for example, fluoromethyl, chloromethyl, bromomethyl, fluoroethyl, chloroethyl, bromoethyl, fluoro-n-propyl, chloro-n-propyl, difluoromethyl, chlorodifluoromethyl, trifluoromethyl, dichloromethyl, trichloromethl, difluoroethyl, trifluoroethyl, trichloroethyl, chlorodifluoromethyl, bromodifluoromethyl, trifluoroethyl, hexafluoro-n-propyl, chlorobutyl, fluorobutyl, chloro-n-pentyl, fluoro-n-pentyl, chloro-n-hexyl and fluoro-n-hexyl groups.

The C_3–C_6 cycloalkyl group optionally substituted by a C_1–C_3 alkyl group for G, R, T^1, T^4, T^5 and T^6 includes, for example, cyclopropyl, 1-methylcyclopropyl, 2,2,3,3-tetramethylcyclopropyl, cyclobutyl, 1-ethylcyclobutyl, 1-n-butylcyclobutyl, cyclopentyl, 1-methylcyclopentyl, cyclohexyl, 1-methylcyclohexyl and 4-methylcyclohexyl groups.

The C_1–C_4 alkyl group substituted by a phenyl group optionally substituted by a halogen atom or a C_1–C_4 alkyl group for B, G, R and T^1 includes, for example, benzyl, 2-chlorobenzyl, 3-bromobenzyl, 4-chlorobenzyl, 4-methylbenzyl, 4-tert-butylbenzyl, 1-phenylethyl, 1-(3-chlorophenyl)ethyl, 2-phenylethyl, 1-methyl-1-phenylethyl, 1-(4-chlorophenyl)-1-methylethyl, 1-(3-chlorophenyl)-1-methylethyl, 1-phenylpropyl, 2-phenylpropyl, 3-phenylpropyl, 1-phenylpropyl, 2-phenylbutyl, 3-phenylbutyl, 4-phenylbutyl, 1-methyl-1-phenylpropyl, 1-methyl-2-phenylpropyl, 1-methyl-3-phenylpropyl, 2-methyl-2-phenylpropyl, 2-(4-chlorophenyl)-2-methylpropyl and 2-methyl-2-(3-methylphenyl)propyl groups.

For T^1, the C_3–C_6 cycloalkyl group substituted by a phenyl group optionally substituted by a halogen atom or a C_1–C_4 alkyl group includes, for example, 1-phenylcyclopropyl, 1-(3-chlorophenyl)cyclopropyl, 1-(4-chlorophenyl)cyclopropyl, 1-(4-bromophenyl)cyclopropyl, 1-(4-fluorophenyl)cyclopropyl, 1-(4-ethylphenyl)cyclopropyl, 1-(4-propylphenyl)cyclopropyl, 2-phenylcyclopropyl, 1-phenylcyclobutyl, 2-phenylcyclobutyl, 1-phenylcyclopentyl, 1-(4-chlorophenyl)cycloptentyl, 2-phenylcyclopentyl, 3-phenylcyclopentyl, 1-phenylcyclohexyl, 1-(3-fluorophenyl)cyclohexyl, 1-(4-chlorophenyl)cyclohexyl, 1-(4-tert-butylphenl)cyclohexyl, 2-phenylcyclohexyl, 3-phenylcyclohexyl and 4-phenylcyclohexyl groups.

For T^1, the cyclopropyl group substituted by both a phenyl group optionally substituted by a halogen atom or a C_1–C_4 alkyl group and a C_1–C_4 alkyl group includes, for example, 2,2-dimethyl-1-phenylcyclopropyl, 1-(4-chlorophenyl)-2,2-dimethylcyclopropyl, 2,2-dimethyl-3-phenylcyclopropyl, 3-(3-chrlorophenyl)-2,2-dimethylcyclopropyl, (4-chlorophenyl)-2,2-dimethyl-3-phenylcyclopropyl, (4-bromophenyl)-2,2-dimethyl-3-phenylcyclopropyl, 2,2-dimethyl-3-(4-methylphenyl)cyclopropyl and (4-tert-butylphenyl)-2,2-dimethyl-3-phenylcyclopropyl groups.

For T^1, the C_3–C_4 cycloalkyl group substituted by both a phenyl group optionally substituted by a halogen atom or a C_1–C_4 alkoxy group and a halogen atom includes, for example, 2,2-dichloro-1-phenylcyclopropyl, 2,2-dichloro-1-(3-chlorophenyl)cyclopropyl, 2,2-dichloro-1-(4-methoxyphenyl)cyclopropyl, 2,2-dichloro-1-(4-ethoxyphenyl)cyclopropyl, 2,2-dichloro-(4-i-propyloxyphenyl)cyclopropyl, 2,2-dichloro-(4-t-butylphenyl)cyclopropyl, 2,2-dichloro-1-(4-methoxyphenyl)-3-phenylcyclopropyl and 1-(4-ethoxyphenyl)-2,2,3,3-tetrafluorobutyl groups.

For T^1, the cyclopropyl group substituted by both a C_2–C_4 alkenyl group optionally substituted by a halogen atom, and a C_1–C_4 alkyl group includes, for example, 2,2-dimethyl-3-(2,2-dimethylethenyl)cyclopropyl, 3-(2,2-dibromoethenyl)-2,2-dimethylcyclopropyl, 3-(2,2-dichloroethyenyl)-2,2-dimethylcyclopropyl and 3-(2,2-chlorotrifluoroethenyl)-2,2-dimethylcyclopropyl groups.

For T^1, the C_3–C_6 cycloalkoxy group optionally substituted by a C_1–C_3 alkyl group includes, for example, cyclopropoxy, cyclobutoxy, cyclopentoxy, cyclohexyloxy and 1-methylcyclopropoxy groups.

For T^1, the C_2–C_4 alkenyl group substituted by a phenyl group optionally substituted by a halogen atom or a C_1–C_4 alkyl group includes, for example, 1-phenylethenyl, 2-phenylethenyl, 2-(2-chlorophenyl)ethenyl, 2-(3-chlorophenyl)ethenyl, 2-(4-chlorophenyl)ethenyl, 2-(4-methylphenyl)ethenyl, 2-(2,6-difluorophenyl)ethenyl, 2-(2,5-dimethylphenyl)ethenyl, 1-methyl-2-phenylethenyl, 2-phenyl-1-propenyl, 2-(4-bromophenyl)-1-propenyl and 2-(2,4,6-trimethylphenyl)-1-propenyl groups.

The alkoxy group for G, R, T^1, T^2, T^3, R^1, W, X, Y, Y^1, Y^2 and Z may be straight chain or branched alkoxy group having indicated carbon atoms, which includes, for example, methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy, tert-butoxy, n-pentyloxy, 1-methylbutyloxy, 2-methylbutyloxy, 3-methylbutyloxy, 1,1-dimethylpropoxy, 1,2-dimethylpropoxy, 2,2-dimethylpropoxy, 1-ethylpropyloxy, n-hexyloxy, 1-methylpentyloxy, 2-methylpentyloxy, 3-methylpentyloxy, 4-methylpentyloxy, 1,1-dimethylbutyloxy, 1,2-dimethylbutyloxy, 1,3-dimethylbutyloxy, 2,2-dimethylbutyloxy, 2,3-dimethylbutyloxy, 3,3-dimethylbutyloxy, 1-ethylbutyloxy, 2-ethylbutyloxy, 1,1,2-

trimethylpropyloxy, 1,2,2-trimethylpropyloxy, 1-ethyl-1-methylpropyloxy, 1-ethyl-2-methylpropyloxy, n-heptyloxy, n-octyloxy, n-nonyloxy and n-decyloxy groups.

The C_3–C_6 halocycloalkyl group for G, R and T^1 includes, for example, fluorocyclopropyl, difluorocyclopropyl, chlorocyclopropyl, dichlorocyclopropyl, 1-methyl-2,2-dichlorocyclopropyl, chlorocyclobutyl, dichlorocyclobutyl, chlorocyclopentyl, dichlorocyclopentyl, chlorocyclohexyl, dichlorocyclohexyl and tetrafluorocyclobutyl groups.

The group —NU^1U^2 for G, R, R^1, T^1, W, X, Y, Y^1 and Z includes, for example, methylamino, ethylamino, n-propylamino, isopropylamino, n-butylamino, isobutylamino, sec-butylamino, tert-butylamino, n-pentylamino, 1-methylbutylamino, 2-methylbutylamino, 3-methylbutylamino, 1,1-dimethylpropylamino, 1,2-dimethylpropylamino, 2,2-dimethylpropylamino, 1-ethylpropylamino, n-hexylamino, 1-methylpentylamino, 2-methylpentylamino, 3-methylpentylamino, 4-methylpentylamino, 1,1-dimethylbutylamino, 1,2-dimethylbutylamino, -1,3-dimethylbutylamino, 2,2-dimethylbutylamino, 2,3-dimethylbutylamino, 3,3-dimethylbutylamino, 1-ethylbutylamino, 2-ethylbutylamino, 1,1,2-trimethylpropylamino, 1,2,2-trimethylpropylamino, 1-ethyl-1-methylpropylamino, 1-ethyl-2-methylpropylamino, dimethylamino, diethylamino, di-n-propylamino, diisopropylamino, di-n-butylamino, di-sec-butylamino, diisobutylamino, di-n-pentylamino, di-n-hexylamino, methylethylamino, methylpropylamino, methylisopropylamino, methylbutylamino, methyl-sec-butylamino, methylisobutylamino, methyl-tert-butylamino, methylpentylamino, methylhexylamino, ethylpropylamino, ethylisopropylamino, ethylbutylamino, ethyl-sec-butylamino, ethyl-isobutylamino, ethylpentylamino, ethylhexylamino, phenylamino, benzylamino, N-merthylacetamido, N-ethylacetamido, N-phenylacetamido and N-acerylacetamido groups, to which is applied the indicated scope of the carbon atoms constituting it.

The C_2–C_5 alkoxycarbonyl group for E, G, R, R^1T^1, W, X, Y, Y^1, Y^2 and Z includes, for example, methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl, iso-propoxycarbonyl, n-butoxycarbonyl, sec-butoxycarbonyl, iso-butoxycarbonyl and tart-butoxycarbonyl groups.

The C_1–C_4 haloalkoxy group for G, R, T^1, W, X, Y and Z may be a straight chain or branched C_1–C_4 haloalkoxy group, including, for example, fluoromethoxy, difluoromethoxy, trifluoromethoxy, chlorodifluoromethoxy, bromodifluoromethoxy, dichlorofluoromethoxy, chloromethoxy, dichloromethoxy, trichloromethoxy, bromomethoxy, fluorcethoxy, chlorcethoxy, bromcethoxy, difluoroethozy, trifluoroethoxy, tetrafluoroethoxy, pentafluorcethoxy, trichloroethoxy, trifluorochlorethoxy, fluoropropoxy, chloropropoxy, bromopropoxy, fluorobutoxy, chlorobutoxy, fluoro-iso-propoxy and chloro-iso-propoxy groups.

The C_1–C_4 alkylsulfenyl group for E, G, R, T^2, T^3, W, X, Y and Z includes, for example, methylthio, ethylthio, n-propylthio, iso-propylthio, n-butylthio, iso-butylthio, sec-butylthio and tert-butylthio groups.

The C_1–C_4 alkylsulfinyl group for E, G, R, X, W, Y and Z includes, for example, methylsulfinyl, ethylsulfinyl, n-propylsulfinyl, iso-propylsulfinyl, n-butylsulfinyl, iso-butylsulfinyl, sec-butylsulfinyl and tart-butylsulfinyl groups.

The C_1–C_4 alkylsulfonyl group for B, E, G, R, W, X, Y and Z includes, for example, methylsulfonyl, ethylsulfonyl, n-propylsulfonyl, iso-propylsulfonyl, n-butylsulfonyl, iso-butylsulfonyl, sec-butylsulfonyl and tart-butylsulfonyl groups.

The C_2–C_4 alkoxyalkyl group for B, G, R, R^1, T^1, Y, Y^1 and Y^2 includes, for example, C_1–C_3 alkoxy-methyl, C_1–C_2 alkoxy-ethyl, methoxyethoxymethyl and methoxypropyl groups.

The C_2–C_4 alkylcarbonyl group for E, G, R, R^1, U^1, U^2, Y^1, Y^2 and W includes, for example, acetyl, propionyl, butanoyl and iso-butanoyl groups.

The C_2–C_6 haloalkylcarbonyl group for G and W includes, for example, chloroacetyl, trifluoroacetyl, 3,3,3-trifluoropropionyl and pentafluoropropionyl groups.

The C_2–C_5 haloalkylcarbonyloxy group for G, R and Y includes, for example, chloroacetyloxy, trifluoroacetyloxy, 3,3,3-trifluoropropionyloxy and pentafluoropropionyloxy groups.

The C_3–C_7 dialkylaminocarbonyloxy group for G and Y includes, for example, dimethylaminocarbonyloxy, diethylaminocarbonyloxy and di-i-propylaminocarbonyloxy groups.

The naphthyl group for R, Y, Z and T^1 includes, for example, 1-naphthyl and 2-naphthyl groups.

The pyridyl group optionally substituted by Z for G and T^1 includes, for example, 2-pyridyl, 3-pyridyl and 4-pyridyl groups all optionally substituted by Z. Preferred are 2-pyridyl and 3-pyridyl groups both optionally substituted by Z; and more preferred is a 2-pyridyl group optionally substituted by Z.

The pyridyloxy group optionally substituted by Z for G and T^1 includes, for example, 2-pyridyloxy, 3-pyridyloxy and 4-pyridyloxy groups all optionally substituted by Z.

The pyridyl group optionally substituted by X for R and R^1 includes, for example, 2-pyridyl, 3-pyridyl and 4-pyridyl groups all optionally substituted by X. Preferred are 2-pyridyl and 3-pyridyl groups both optionally substituted by X; and more preferred is a 2-pyridyl group optionally substituted by X.

The pyridyloxy group optionally substituted by X for N and R^1 includes, for example, 2-pyridyloxy, 3-pyridyloxy and 4-pyridyloxy groups all optionally substituted by X.

The thienyl group-optionally substituted by X for R and R^1 includes, for example, 2-thienyl and 3-thienyl groups both optionally substituted by X.

The thienyl group optionally substituted by Z for G and Y^1 includes, for example, 2-thienyl and 3-thienyl groups both optionally substituted by Z.

The group —N=CT^7T^8 for G, R, R^1, Y and Y^1 indicates an alkylidenamino group, a benzylidenamino group, an arylidenamino group or a cycloalkylidenamino group, including, for example, methylidenamino, ethylidenamino, propylidenamino, isopropylidenamino, 4-methyl-2-pentylidenamino, cyclopentylidenamino and cyclohexylidenamino groups.

The C_2–C_4 alkylaminocarbonyl group for E includes, for example, methylaminocarbonyl, ethylaminocarbonyl and n-propylaminocarbonyl groups.

The C_3–C_9 dialkylaminocarbonyl group for E includes, for example, dimethylaminocarbonyl, diethylaminocarbonyl, di-n-propylaminocarbonyl, di-isopropylaminocarbonyl and di-n-butylaminocarbonyl groups.

The C_1–C_4 alkylaminosulfonyl group for B includes, for example, methylaminosulfonyl, ethylaminosulfonyl, n-propylaminosulfonyl, isopropylaminosulfonyl and n-butylaminosulfonyl groups.

The C_2–C_8 dialkylaminosulfonyl group for B includes, for example, dimethylaminosulfonyl, diethylaminosulfonyl, di-n-propylaminosulfonyl, di-isopropylaminosulfonyl and di-n-butylaminosulfonyl groups.

The C_2–C_5 alkylaminothiocarbonyl group for B includes, for example, methylaminothiocarbonyl, ethylaminothiocarbonyl, n-propylaminothiocarbonyl, isopropylaminothiocarbonyl and n-butylaminothiocarbonyl groups.

The C_3–C_9 dialkylaminothiocarbonyl group for B includes, for example, dimethylaminothiocarbonyl, diethylaminothiocarbonyl, di-n-propylaminothiocarbonyl, di-isopropylaminothiocarbonyl and di-n-butylaminothiocarbonyl groups.

The C_1–C_4 alkyl group substituted by a benzoyl group optionally substituted by a halogen atom or a C_1–C_4 alkyl group for B includes, for example, phenacyl, 2-fluorophenacyl, 3-chlorophenacyl, 4-bromophenacyl, 2-methylphenacyl,3-ethylphenacyl,4-i-propylphenacyl and 4-t-butylphenacyl groups.

The phenylsulfonyl group optionally substituted by a halogen atom or a C_1–C_4 alkyl group for B includes, for example, 2-fluorophenylsulfonyl, 4-fluorophenylsulfonyl, 2-chlorophenylsulfonyl, 4-chlorophenylsulfonyl, 4-bromophenylsulfonyl, 2,5-dichlorophenylsulfonyl, pentafluorophenylsulfonyl, 4-methylphenylsulfonyl, 2-methylphenylsulfonyl, 4-t-butylphenylsulfonyl, 2,5-dimethylphcnylsulfonyl, 2,4-dimethylphenylsulfonyl, 2,4,6-trimethylphenylsulfonyl and 2,4,6-tri-i-propylphenylsulfonyl groups.

The C_2–C_5 cyanoalkyl group for G and B includes, for example, cyanomethyl, 2-cyanoethyl, 3-cyanopropyl and 1-cyano-1-methylethyl groups.

The C_3–C_9 alkoxycarbonylalkyl group for B may be a straight chain or branched one, including, for example, methoxycarbonylmethyl, ethoxycarbonylmethyl, n-propoxycerbonylmethyl, i-propoxycarbonylmethyl, n-butoxycarbonylmethyl, i-butoxycarbonylmethyl, t-butoxycarbonylmethyl, n-hexyloxycarbonylmethyl, n-heptyloxycarbonylmethyl, 1-methoxycarbonylethyl, 1-ethoxycarbonylethyl, 1-n-butoxyethyl, 2-methoxycarbonylethyl, 2-ethoxycarbonylethyl, 1-methoxycarbonylpropyl, 3-ethoxycarbonylpropyl, 4-methoxycarbonylbutyl, 6-ethoxycarbonylhexyl, 1-methoxycarbonyl-1-methylethyl, 1-iso-propoxycarbonyl-1-methylethyl and 1-ethoxycarbonyl-2-methylpropyl groups.

The alkali metal for B includes, for example, lithium sodium and potassium.

The alkaline earth metal for H includes, for example, magnesium, calcium, strontium and barium. Preferred are magnesium, calcium and barium.

The ammonium group of $NHT^4T^5T^6$ for B includes, for example, ammonium, monomethylammonium, dimethylammonium, trimethylammonium, diethylammonium, triethylammonium, di-isopropylammonium, di-isopropylethylammonium, hexylmethylammonium, cyclopropylmethylammonium, cyclohexylmethylammonium, allylmethylammonium, benzylmethylammonium and 4-methylcyclohexylethylammonium groups. Any two of T^4, T^5 and T^6 may form, along with the nitrogen atom to which they are bonded, a heterocyclic, 5-, 6-, 7- or 8-membered ammonium group optionally having oxygen, nitrogen and/or sulfur atoms.

The methoxy group substituted by a phenyl group optionally substituted by a halogen atom or a C_1–C_4 alkyl group for G includes, for example, benzyloxy, 2-chlorobenzyloxy, 3-chlorobenzyloxy, 4-chlorobenzyloxy, 3-methylbenzyloxy, 4-t-butylbenzyloxy, 2,6-difluorobenzyloxy and 2-fluoro-4-chlorobenzyloxy groups.

The heterocyclic, 5-, 6-, 7- or 8-membered ammonium group optionally having oxygen, nitrogen and/or sulfur atoms, which is formed by two of T^4, T^5 and T^6 along with the nitrogen atom to which they are bonded, includes, for example, pyrrolidine, pyrazolidine, imidazolidine, oxazolidine, isoxazolidine, thiazolidine, piperazine, piperazine, morpholine, thiamorpholine, hexamethylenimine and heptamethylenimine groups. The haloalkylsulfenyl group for G, R, W, X, Y and Z may be a straight chain or branched C_1–C_4 haloalkylthio group, including, for example, fluoromethylthio, chlorodifluoromethylthio, bromodifluoromethylthio, trifluoromethylthio, trichloromethylthio, 2,2,2-trifluoroethylthio, 1,1,2,2-tetrafluoroethylthio, fluoroethylthio, pentafluoroethylthio and fluoro-iso-propylthio groups.

The haloalkylsulfinyl group for G, R, W, X, Y and Z may be a straight chain or branched, C_1–C_4 haloalkylsulfinyl group, including, for example, fluoromethylsulfinyl, chlorodifluoromethylsulfinyl, bromodifluoromethylsulfinyl, trifluoromethylsulfinyl, trichloromethylsulfinyl, 2,2,2-trifluoroethylsulfinyl, 1,1,2,2-tetrafluoroethylsulfinyl, fluorcethylsulfinyl, pentafluoroethylsulfinyl and fluoro-isopropylsulfinyl groups.

The haloalkylsulfonyl group for G, R, W, X, Y and Z may be a straight chain or branched, C_2–C_4 haloalkylsulfonyl group, including, for example, fluoromethylsulfonyl, chlorodifluoromethylsulfonyl, bromodifluoromethylsulfonyl, trifluoromethylsulfonyl, trichloromethylsulfonyl, 2,2,2-trifluoroethylsulfonyl, 1,1,2,2-tetrafluoroethylsulfonyl, ftuoroethylsulfonyl, pentafluoroethylsulfonyl and fluoro-isopropylsulfonyl groups.

The heloalkenyl group for G, R, T^1 and W may be a straight chain or branched C2–C_4 haloalkenyl group, including, for example, 2-chloroethenyl, 2-bromoethenyl- and 2,2-dichloroethenyl groups.

The alkenyloxy group for G, R, R^1, T^1, W, Y and Y^1 may be a straight chain or branched C_2–C_4 alkenyloxy group, including, for example, allyloxy, 2-propenyloxy, 2-butenyloxy and 2-methyl-2-propenyloxy groups.

The haloalkenyloxy group for G, R, W and Y may be a straight chain or branched C_2–C_5 haloalkenyloxy group, including, for example, 3-chloro-2-propenyloxy, 3,3-dichloro-2-propenyloxy, 4-chloro-2-butenyloxy, 4,4-dichloro-3-butenyloxy and 4,4-difluoro-3-butenyloxy groups.

The alkenylsulfenyl group for G, R, W, X, Y and Z may be a straight chain or branched C_2–C_4 alkenylsulfenyl group, including, for example, allylsulfenyl, 2-propenylsulfenyl, 2-butenylsulfenyl and 2-methyl-2-propenylsulfenyl groups.

The alkenylsulfinyl group for G, R, W, X, Y and Z may be a straight chain or branched C_2–C_5 alkenylsulfinyl group, including, for example, allylsulfinyl, 2-propenylsulfinyl, 2-butenylsulfinyl and 2-methyl-2-propenylsulfinyl groups.

The alkenylsulfonyl group for G, R, W, X, Y and Z may be a straight chain or branched C_2–C_4 alkenylsulfonyl group, including, for example, allylsulfonyl, 2-propenylsulfonyl, 2-butenylsulfonyl and 2-methyl-2-propenylsulfonyl groups.

The haloalkenylsulfenyl group for G, R, W and Y may be a straight chain or branched C, C, haloalkenylsulfenyl group, including, for example, 3-chloro-2-propenylsulfenyl, 4-chloro-2-butenylsulfenyl, 3,3-dichloro-2-propenylsulfenyl, 4,4-dichloro-3-butenylsulfenyl and 4,4-difluoro-3-butenylsulfenyl groups.

The haloalkenylsulfinyl group for G, R, W and Y may be a straight chain or branched C_2–C_4 haloalkenylsulfinyl

group, including, for example, 3-chloro-2-propenylsulfinyl, 3,3-dichloro-2-propenylsulfinyl, 4-chloro-2-butenylsulfinyl, 4,4-dichloro-3-butenylsulfinyl and 4,4-difluoro-3-butenylsulfinyl groups.

The haloalkenylsulfonyl group for G, R, W and Y may be a straight chain or branched C_2–C_4 haloalkenylsulfonyl group, including, for example, 3-chloro-2-propenylsulfonyl, 3,3-dichloro-2-propenylsulfonyl, 4-chloro-2-butenylsulfonyl, 4,4-dichloro-3-butenylsulfonyl and 4,4-difluoro-3-butenylsulfonyl groups.

The C_2–C_4 haloalkynyl group for G, R and W includes, for example, chloroethynyl, bromoethynyl, iodoethynyl, 3-chloro-1-propynyl and 3-bromo-1-butynyl groups.

The C_2–C_4 alkenyloxy group for G, R, W and Y includes, for example, 2-propynyloxy, 2-butenyloxy and 1-methyl-2-propynyloxy groups.

The C_2–C_4 haloalkenyloxy group for G, R, W and Y includes, for example, 3-chloro-2-propynyloxy, 3-bromo-2-propynyloxy and 3-iodo-2-propynyloxy groups.

The C_2–C_6 alkenylsulfenyl group for G, R, W and Y includes, for example, 2-propynylsulfenyl, 2-butynylsulfenyl and 1-methyl-2-propynylsulfenyl groups.

The C_2–C_6 alkenylsulfinyl group for G, R, W and Y includes, for example, 2-propynylsulfinyl, 2-butenylsulfinyl and 1-methyl-2-propynylsulfinyl groups.

The C_2–C_6 alkenylsulfonyl group for G, R, W and Y includes, for example, 2-propynylsulfonyl, 2-butynylsulfonyl and 1-methyl-2-propynylsulfonyl groups.

The C_2–C_6 haloalkenylsulfenyl group for G, R, W and Y includes, for example, 3-chloro-2-propynylsulfenyl, 3-bromo-2-propynylsulfenyl and 3-iodo-2-propynylsulfenyl groups.

The C_2–C_6 haloalkenylsulfinyl group for G, R, W and Y includes, for example, 3-chloro-2-propynylsulfinyl, 3-bromo-2-propynylsulfinyl and 3-iodo-2-propynylsulfinyl groups.

The C_2–C_6 haloalkynylsulfonyl group for G, R, W and Y includes, for example, 3-chloro-2-propynylsulfonyl, 3-bromo-2-propynylsulfonyl and 3-iodo-2-propynylsulfonyl groups.

The C_2–C_4 alkylcarbonyloxy group for G, R, W and Y includes, for example, acetoxy, propanoyloxy, butanoyloxy and isopropylcarbonyloxy groups.

The benzoyl group optionally substituted by X for E and G includes, for example, benzoyl, 2-chlorobenzoyl, 3-chlorobenzoyl, 4-chlorobenzoyl, 4-bromobenzoyl, 4-fluorobenzoyl, 3-methylbenzoyl, 4-methylbenzoyl, 4-tert-butylbenzoyl and 3,4-dichlorobenzoyl groups.

Even at low concentration, the compounds of the present invention effectively prevent various pests, which include, for example, so-called agricultural insect pests that injure agricultural and horticultural crops and trees, so-called livestock insect pests that live on livestock and poultry, so-called sanitary insect pests that have various negative influences on the human living environment including houses, so-called stored products insect pests that injure grains stored in storehouses, and also acarids, nematodes, molluscs and crustaceans that live in the same sites as above and injure those mentioned above.

Examples of insect pests, stands, nematodes, molluscs and crustaceans capable of being exterminated by the compounds of the present invention are mentioned below, which, however, are not limitative.

Insect pests of Lepidoptera, such as rice stem borer (*Chilo suppressalis* Walker), rice leafroller (*Cnaphalocrocis medinalis* Guenée), green rice caterpillar (*Naranga aenescens* Moore), rice skipper (*Parnara guttata* Bremer et Gvey), diamond back moths (*Plutella xylostella* Linneé), cabbage armyworms (*Mamestra brassicae* Linneé), common white (*Pieris rapae crucivora* Boisduval), turnip moth (*Agrotis segetum* Denis et Schiffermüller), common cutworn (*Spodptera litura* Fabricius), beet armyworm (*Spodoptera exigua* Hübner), smaller tea tortrix (Adoxophyes sp.), oriental tea tortrix (*Homona magnanima* Diakonoff), peach fruit moth (*Carposina niponensis* Walsingham), oriental fruit moth (*Grapholita molests* Busck), summer fruit tortrix (*Adoxophyes orana fascists* Walsingham), apple leafminers (*Phyllonorycter ringoniella* Matsumura), corn earworm (*Helicoverpo zea* Boddie), tobacco bad worms (*Heliothis virescens* Fabricius), European corn borer (*Ostrinia nubilalis* Hübner), fall armyworm (*Spodoptera frugiperda* J. E. Smith), Codling moth moth (*Cydia pomonella* Linneé), fall webworms (*Hyphantria cunea* Drury), etc.;

Insect pests of Hemiptera, such as green rice leafhopper (*Nephotettix cincticeps* Uhler), brown rice planthoppers (*Nilaparvata lugens* Stal), green peach aphid (*Myzus persicae* Sulzer), cotton aphid (*Aphis gossypii* Glover), greenhouse whitefly (*Trialeurodes vaporariorum* Westwood), sweetpotato white fly (*Bemisia tabaci* Gennadius), pear psylla (*Psylla pyricola* Forster), azalea lace bug (*Stephanitis pyrioides* Scott), arrowhead scale (*Unaspis yanonensis* Kuwana), comstock mealybug (*Pseudococcus comrtocki* Kuwana), red wax scale (*Ceroplastes rubens* Maskell), brown-mamrorated stinkbug (Halyomorpha mists Uhler), cabbage bug (*Eurydema* rugosam Motschulsky), bed bug (*Cimex lectularius* Linneé), etc.;

Insect pests of Coleoptera, such as twenty-eight-spotted ladybird (*Henosepilachna vigintioctopunctata* Fabricius), cupreous chafers (*Anomala cuprea* Hope), ricewater weevil (*Lissorhoptrus oryzophilus* Kuschel), sweetpotato weevil (*Cylas formicarius* Fabricius), cucurbit leaf beetle (*Aulacophora femoralis* Motschulsky), striped flea beetle (Phyllotreta striolata Fablicius), white-spotted longicom beetle (*Anoplophora malasiaca* Thomson), pine sawyers (*Monochamus alternatus* Hope), corn rootworms (Diabrotica spp.), rice weevil (*Sitophilus zeamais* Motschulsky), lesser rice weevil (*Sitophilus oryzae* Linneé), granary weevils (*Sitophilus granarius* Linneé), red four beetle (*Tribolium casianeum* Herbst), etc.;

Insect pests of Diptera, such as legume leafminer (*Liriomyza trifolii* Burgess), seedcorn maggot (*Delis platura* Meigen), Hessia fly (*Mayetiola destructor* Say), melon fly (Dacus (Zengodacus) cucurbitae Coquillett), Mediterranear fruit fly (*Ceratitis capitata* Wiedemann), house flies (*Musca domestics* Linneé), stable fly (*Stomoxys calcitrans* Linneé), Sheep ked (*Melophagus orinus*), common cattle grub (*Hypoderm lineatum* devillers), nothern cattle grub (*Hypoderma baris* Linneé), sheep botfly (*Oestrus ovis* Linneé), tsetse fly (*Golossina palpalis* Robineau-Desvoidy), common gnat (*Culex pipiens* pollens Coquillett), yellow-fever mosquitoes (*Aedes aegypti* Linneé), *Anopheles culicifacies*), etc.

Insect pests of Hymenoptera, such as cabbage sawfly (*Athalis rosae ruficornis* Jakovlev), pine sawfly (*Neodiprion sertifer* Geoffroy), chestnut sawfly (*Apethymus kuri* Takeuchi), etc.;

Insect pests of Thysanoptera, such as melon thrips (*Thrips palmi* Karny), onion thrips (*Thrips tabaci* Lindeman), western flower thrips (*Frankliniella occidentalis* Pergande), flower thrip (*Frankliniella intonsa* Trybom), yellow tea thrip (*Scirtothrips dorsalis* Hood), etc.;

Insect pests of Dictyoptera, such as smokybrown cockroache (*Periplaneta fuliginosa* Serville), Japanese cockroach (*Periplaneta japonica* Kamy), German cockroaches (*Blattella germanica* Linneé), etc.;

Insect pests of Orthoptera, such as oriental migratory locust (*Locusts migratoria* Linneé), rice grasshopper (*Oxya yezoensis* Shiraki), desert locust (*Schistocetca gregaria* Forskal), etc.;

Insect pests of Isoptera, such as Formosan subterranean tetmit (*Coptotermes formosanus* Shiraki), (*Reticulitermes Leucotermes speratus* Kolbe), (*Odontotermes formosanus* Shirakif), etc.;

Insect pests of Siphonaptera, such as cat fleas (*Ctenocephalides felis* Bouché), human fleas (*Pulex irritans* Linneé), oriental rat flea (*Xenopsylla cheopis* Rothschild), etc.;

Insect pests of Mallophaga, such as Chicken bodylouse (*Menacanthus stramineus* Nitsch), cattle biting louse (*Bavicola bovis* Linneé), etc.;

Insect pests of Anoplura, such as short-nosed cattle louse (*Haematopinus eurysternus* Nitzsh), hog louse (*Haematopinus suis* Linneé), longnosed cattle louse (*Linognathus vituli* Linneé), little cattle louse (*Solenopates capillatus* Enderlein), etc.

Pests of TETRANYCHIDAE, such as citrus red mite (*Panonychus cirri* McGregor), European red mite (*Panonychus ulmi* Kock), two-spotted spider mite (*Tetranychus urticae* Koch), Kanzawa spinder mite (*Tetranychus kanzawai* Kishida), etc.;

Pests of ERIOPHYDAE, such as pink citrus rust mite (*Aculops pelekassi* Keifor), pear rust mite (*Epitrimerus pyri* Nalepa), dry bulb mite (*Aceria tulipae* Keiter), pink tea mite (*Acaphylla theae* watt), etc.;

Pests of TARSONEMIDAE, such as broad mites (*Polyphagotarsonemus lotus* Banks), cyclamen mite, strawberry mite (*Steneotarsonemus pallidus* Banks), etc.;

Pests of ACARIDAE, such as mold mite, copra mite, forage mite (*Tyrophagus putrescetiae* Schrank), bulb mite (*Rhizoglyphus robini* Claparede), etc.;

Pests of VARROIDAE, such as bee brood mite (*Varroa jacobsoni* Oudemans), etc.;

Pests of Ixodidae, such as bull ticks (*Boophilus microplus* Canestrini), (*Haemaphysalis longicornis* Neumann), etc.;

Pests of Sarcoptidae, such as sarcoptes mange mite (*Sarcaptes scabiei* Linneé), etc.;

Nematodes, such as southern root-knot nematode (*Meloidogyne incognito* Kofoid et White), northern root-knot nematode (*Meloidogyne hapla* Chitwood), Cobb root-lesion nematode (*Pratylenchus penetrous* Cobb), walnut root-lesion nematode (*Pratylenchus vulnus* Allen et Jensen), potato cyst nematode (*Globodera rostochiensis* Wollenweber), pine wood nematode (*Bursaphelenchus xylophilus* Steiner et Buhrer), etc.;

Mollusca, such as apple snail (*Pomacea canaliculata* Lamarck), (*Incilaria pilineata* Benson), (*Acusta despecta sieboldiana* Pfeiffer), (*Euhadra peliomphala* Pfeiffer), pillbug (*Armadillidium vulgare* Latreille), etc.;

Crustaceans, such as pillbug (*Armadillidium vulgare* Latreille), etc.

The plant diseases to be controlled by the compounds of the present invention are as follows:

Blast (*Pyricularia oryzae*), Helminthosporium leaf spot (*Cochliobalus miyabeanus*) and Sheath blight (*Rhizoctonia solani*) of rice,

Powdery mildew (*Erysiphe graminis* f. sp. hordei, f. sp. tritici), Leaf stripe (*Pyrenophora graminea*), Net blotch (*Pyrenophora teres*), Fusarium blight (*Gibberella zeae*), yellow rust, black stem rust and brown rust (*Puccinia striijormis, P. graminis, P. recondita* and *P. hondei*), Snow blight and snow mold (Typhula sp., *Micronectriella nivais*), Loose smut (*Ustilago tritici, U. nuda*), Eyespot (*Pseudocercorsporella herpotrichoides*), Scald and leaft blotch (*Rhynchosporium secalis*), Speckled blotch (*Septoria tritici*), and Glume blotch (*Leptosphaeria nodorum*) of barley and wheat,

Melanose (*Diaporthe citri*), Scab (*Elsinoe fawcetti*) and Common green mold and blue mold (*Penicillium digitatum, P. italicum*) of citrus fruit,

Blossom blight (*Sclerotinia mali*), Canker (*Valsa mali*), Powder mildew (*Podosphaera leucotricha*), Alternaria leaft spot (*Alternaria mali*) and Scab (*Yenturia inaequalis*) of apple,

Scab (*Yenturia nashicola*), Black spot (Alternaria Kikuchiana) and Rust (*Gymnosporangium haraeanum*) of pear,

Brown rot (*Sclerotinia cinerea*), Scab (*Cladosporium carpophilum*), and Phomopsis rot (Phomopsis sp.) of peach,

Downy mildew (*Plasmopara viticola*), Anthracnose (*Elsinoe ampelina*), Ripe rot (*Glomerella cingulata*), Powdery mildew (*Uncinula nacator*) and Rust (*Phakopsora Ampelopsidis*) of grape,

Anthracnose (*Gloesporium kaki*), Powdery mildew (*Phyllactinia kakicole*), Angular leaf spot (*Cercospora kaki*), and Circular leaf spot (*Mycosphaerella nawae*) of persimmon,

Downy mildew (*Pseudoperenospora cubensis*), Anthracnose (*Colletotrichum lagenarium*), Powdery mildew (*Sphaerotheca fuliginea*), and Gummy stem blight (*Mycosphaerella melonis*) of cucurbit.

Late blight (*Phytophthora injestans*), Early blight (*Alternaria solani*) and Leaf mold (*Cladosporium fulvam*) of tomato.

Brown spot (*Phomopsis vexans*) and Powdery mildew (*Erysiphe cichoracoarum*) of egg plant,

Alternaria leaft spot (*Alternaria japonica*) and white spot (*Cerocosporella brassicae*) of vegetable of the family crucifers.

Rust (*Puccinia allii*) of Welsh onion.

Purple seed stain (*Cercospora kikuchii*), Sphaceloma scab (*Elsinoe glycines*) and Pot and stem blight (*Diaporthe phaseololum*) of soybean,

Anthracnose (*Collectorichum lindemuthianum*) of kidney bean.

Leaf spot (*Mycosphaerella personatum*) and Brown leaf spot (*Cercospora arachidicola*) of peanut,

Powdery mildew (*Erysiphe pisi*) of garden pea,

Early blight (*Alternaria solani*) of potato,

Powdery mildew (*Sphaerotheca humuli*) of strawberry,

Net blister blight (*Exobasidium reticulatum*) and White scab (*Elsinoe leucospila*) of tea,

Brown spot (*Alternaria longipes*), Powdery mildew (*Erysiphe cichoracearum*) and Anthracnose (*Colletorrichum tabacum*) of tobacco,

Cercospora leaft spot (*Cercospora beticolo*) of sugar beat,

Black spot (*Diplocarpon rosae*) and Powdery mildew (*Sphaerotheca pannosa*) of rose,

Leaf spot (*Septoria chrysanthemiindici*) and Rust (*Puccinia horiana*) of chrysanthemum,

Grey mold (*Botrytis cinerea*) of various kinds of crops, and,

Sclerotinia rot (*Sclerotinia sclerotiorum*) of various kinds of crops.

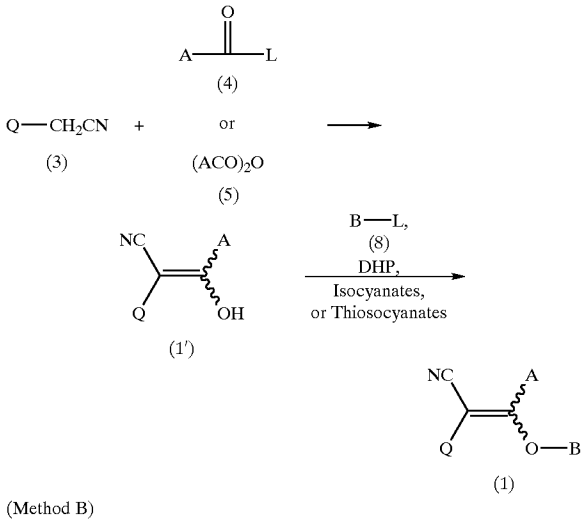
In addition, the compounds of the present invention are effective in preventing the attachment of aquatic organisms, even at extremely low concentrations. Aquatic organisms to which the invention is directed are, for example, shellfishes and algae, such as mussel, barnacle, oyster, hydrozoan, hydra, Serpula, ascidian, seamoss, Bagula, mud pond snail, sea lettuce, green lever, Ectocarpus, etc.

Specifically, the compounds of the present invention can effectively eztertninete various pests and phytopathogenic microbes of, for example, Orthoptera, Hemiptera, Lepidoptera, Coleoptera, Hymenoptera, Diptera, Temitidae, and also mites and louses, even when used at low concentrations. In addition, the compounds of the invention are effective in preventing the attachment of various aquatic organisms living in sea water and fresh water to aquatic constructions, etc. On the other hand, the compounds of the present invention contains useful compounds that have few negative influences on mammals, fishes, shellfishes and useful insects.

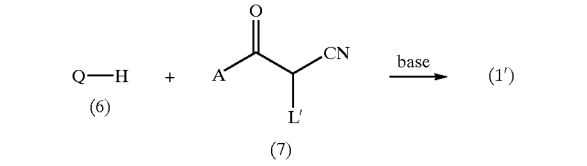
Of the compounds of the invention, those having CN as E can be produced according to the following methods (Scheme 1).

Scheme 1:

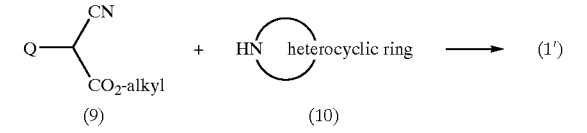
(Method A)



(Method B)



(Method C)



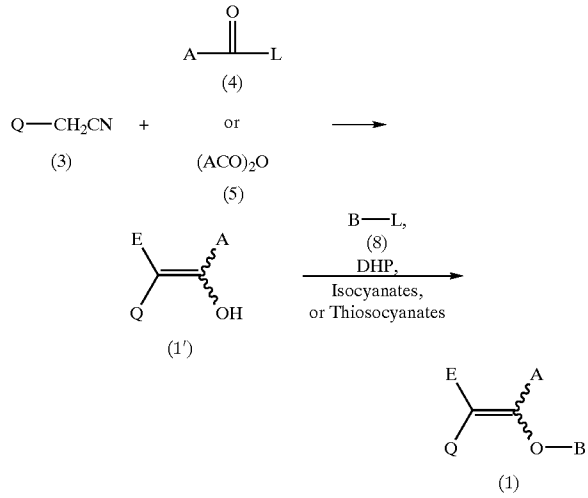
In (Scheme 1), Q, A and B have the same meanings as defined above; L represents a suitable leaving group, such as a chlorine atom, a bromine atom, an iodine atom, an alkoxy group having from 1 to 4 carbon atoms, a phenoxy group, an alkylsulfonyloxy group having from 1 to 4 carbon atoms, a benzenesulfonyloxy group, a toluenesulfonyloxy group, a phenoxy group, a 1-pyrazolyl group or a 1-imidazolyl group; L' represents a halogen atom; and alkyl represents an alkyl group preferably having from 1 to 4 carbon atoms. Method A in (Scheme 1) is to react an acetonitrile derivative of formula (3) with an acid chloride, ester or amide of formula (4) or with an acid anhydride of formula (5) to give compounds (1') of the present invention. The compound (1') of the invention is optionally reacted with an alkyl halide, an alkyl sulfonate, a trimethylsilyl halide, a sulfonyl chloride, a sulfamoyl chloride, a thiocarbamoyl chloride, an acid chloride or an ester of formula (8) to be converted into compounds (1) of the invention. Depending on the type of B in the compounds (l) of the invention, the compound (1') is reacted with a dihydropyran, an isocyanate or a thioisocyanate to give the compounds (1). In Method A, if the compound of formula (4) or (5) is used in an excessive amount, the compounds (1) can be directly obtained without isolating the compounds (1').

Where Q is bonded to the acrylonitrile moiety via a nitrogen atom, compounds (1') may be produced according to Method B. Method B is to react a heterocyclic compound of formula (6) with a halogenocyanoketone derivative of formula (7) to give compounds (1') of the invention. Where A is bonded to the acrylonitrile moiety via a nitrogen atom, compounds (1') may be produced according to Method C. Method C is to react a cyanoacetic acid derivative of formula (9) with a heterocyclic compound of formula (10) to give compounds (1') of the invention. As the case may be, the compound of formula (9) will be prepared by reacting an acetonitrile derivative of formula (3), such as that used in Method A, with a carbonic acid ester in the presence of a base.

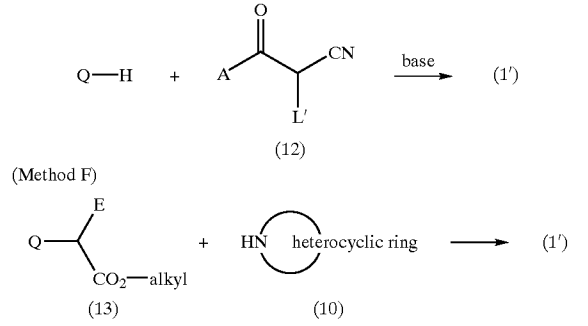
Of the compounds of the invention, those where E is

Scheme 2:

(Method D)



(Method E)



(Method F)

In (Scheme 2), Q, A, E, B, L, L' and alkyl have the same meanings as above.

Method D in (Scheme 2) is to react a compound of formula (11) with an acid chloride, ester or amide of formula (4) or with an acid anhydride of formula (5) to give the compounds (1') of the present invention. The compound (1') of the invention is optionally reacted with an alkyl halide, an alkyl sulfonate, a trimethylsilyl halide, a sulfonyl chloride,

a sulfamoyl chloride, a thiocarbamoyl chloride, an acid chloride or an ester of formula (8) to be converted into the compounds (1) of the invention. Depending on the type of B in the compounds (1) of the invention, the compound (1') is reacted with a dihydropyran, an isocyanate or a thioisocyanate to give the compounds (1). In Method A, if the compound of formula (4) or (5) is used in an excessive amount, the compounds (1) can be directly obtained without isolating the compounds (1').

Where Q is bonded to the ethylene moiety via a nitrogen atom, the compounds (1') may be produced according to Method E. Method E is to react a heterocyclic compound of formula (6) with a compound of formula (12) in the presence of a base to give compounds (1') of the-invention.

Where A is bonded to the ethylene moiety via a nitrogen atom, the compounds (1') may be produced according to Method F. Method F is to react a compound of formula (13) with a heterocyclic compound of formula (10) through dealcoholation to give the compounds (1') of the invention. As the case may be, the compound of formula (13) will he prepared by reacting a compound of formula (11), such as that used in Method D, with a carbonic acid ester in the presence of e base.

If desired, the compounds (1') where E is an alkoxycarbonyl group may be hydrolyzed, decarbozylated and halogenated to give the compounds of the invention where E is a halogen atom. As the case may be, the compounds of the invention where E is a halogen atom may be reacted with a nucleophilic reagent corresponding to E (e.g., triester phosphites, alkyl mercaptans, thiophenols, metal acerylides, metal cyanides, metal azides, nitrites) to give different compounds of the invention. Also as the case may be, the compounds to be obtained through decarboxylation of the compounds (1') where E is an alkoxycarbonyl group may be reacted with an electrophilic reagent under basic conditions to give the compounds of the invention.

As the case may be, the processes of (Scheme 1) and (Scheme 2) are preferably effected in the presence of a base. The base to be used includes, for example, alkali metal alkoxides such as sodium ethoxide, sodium methoxide and t-butoxy potassium; alkali metal hydroxides such as sodium hydroxide and potassium hydroxide; alkali metal carbonates such as sodium carbonate and potassium carbonate; organic bases such as triethylamine, pyridine and DBU; organic lithium compounds such as butyl lithium; lithium amides such as lithium diisopropylamide and lithium bistrimethylsilylamide; and sodium hydride.

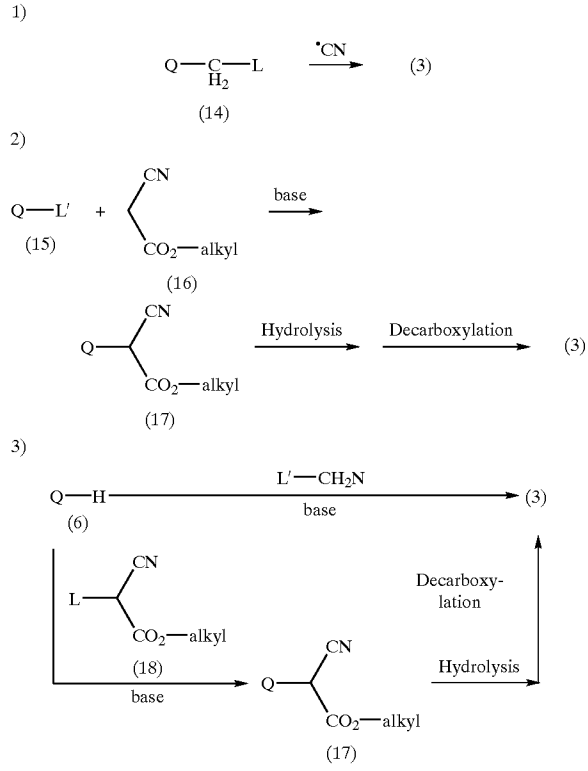
The reactions of (Scheme 1) and (Scheme 2) may be effected in a solvent that is inert to the reaction. The solvent includes, for example, lower alcohols such as methanol and ethanol; aromatic hydrocarbons such as benzene and toluene; etherd such as diethyl ether, tetrahydrofuran, 1,4-diozane, 1,2-dimethoxyethane and 1,2-diethoxyethane; halogenated hydrocarbons such as methylene chloride, chloroform and 1,2-dichloroethene; amides such as dimethylformamide and dimethylacetamide; acetonitrile; dimethylsulfoxide; and mixed solvents of these. As the case may be, also employable are mixed solvent comprising said solvents and water. Also as the case may be, a quaternary ammonium salt such as tetra-n-butylammonium bromide may be added to the reaction system as a catalyst to obtain good results. The reaction temperature may be freely settled within a range between −30° C. and 200° C. Preferably, the reaction temperature falls between 0° C. and 150° C., or between 0° C. and the boiling point of the solvent if used. The base may be used in an amount of from 0.05 to 10 equivalents, preferably from 0.05 to 3 equivalents, of the reaction substrate.

The compounds of the present invention may be separated from reaction mixtures according to any ordinary methods. If the purification of the compounds of the invention is needed, they can be separated and purified by any ordinary methods of, for example, recrystallization or column chromatography.

Of the compounds of the invention, those having an asymmetric carbon atom include optically-active compounds of (+) forth and (−) form.

Methods of producing the compounds (3) to be used in (Scheme 1) are referred to hereinunder. The compounds (3) can be produced according to the following (Scheme 3).

Scheme 3:



1) A benzyl halide, a benzyl alkylsulfonate, a benzyl arylsulfonate, a halomethyl-heterocyclic compound, an alkylsulfonyloxymethyl-heterocyclic compound or an arylsulfonyloxymethyl-heterocyclic compound of formula (14) is reacted with a suitable cyanating reagent to give compounds (3). Alternatively, a phenylacetic acid derivative or a heterocyclic acetic acid derivative is converted into the corresponding amide derivative, which is then dehydrated to give compounds (3).

2)A heterocyclic halide (15) is condensed with a cyanoacetate (16) in the presence of a base to give a compound (17), which is then hydrolyzed and decarboxylated to give compounds (3).

3) To obtain compounds (3) where Q is bonded to the ethylene moiety via e nitrogen atom, a heterocyclic derivative (6) where the nitrogen atom of Q is unsubstituted is reacted with a haloacetonitrile derivative in the presence of a base. Alternatively, the compound (6) is reacted with a compound (18) in the presence of a base to give a compound (17), said compound (18) being obtained through halogenation of a cyanoacetate, and thereafter the compound (17) is hydrolyzed and decarbozylated to obtain the compounds (3).

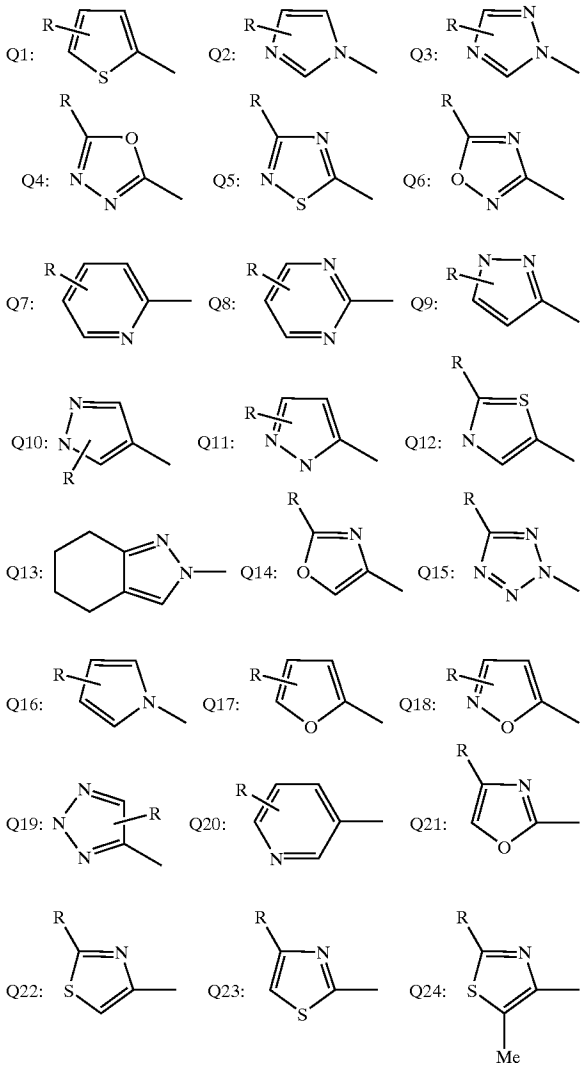
The compounds (11) to be used in (Scheme 2) can be produced in the same manner as in the production of the compounds (3) mentioned above.

The compounds (7) to be used in (Scheme I) can be produced by condensing a benzoic acid halide or heterocyclic carboxylic acid halide with a cyanoacetate in the presence of a base followed by halogenating the resulting condensate.

The above-mentioned halomethyl-heterocyclic compounds, alkylsulfonyloxymethyl-heterocyclic compounds and arylsulfonyloxymethyl-heterocyclic compounds can be derived from heterocyclic methane derivatives or heterocyclic carboxylate derivatives that are produced according to ordinary methods (see Alan R. Katritzky, and Charles W. Rees; Comprehensive Heterocyclic Chemistry, Vol. 2, Vol. 3, Vol. 4, Vol. 5 or Vol. 6). To produce the compounds (14) where Q is an oxazol-4-yl or thiazol-4-yl group, a carboxylic acid amide or thioamide can be reacted with 1,3-dichloro-2-propanone.

Examples of the compounds of the present invention are shown in Table 1 to Table 14 below. The abbreviations in these Tables are for the meanings mentioned below:

Me: methyl group, Et: ethyl group, Pr: propyl group, Bu: butyl group, Pen: pentyl group, Hex: hexyl group, Hep: heptyl group, Oct: cetyl group, Non: nonyl group, Dec: decyl group, Ph: phenyl group, n: normal, is iso, sec: secondary, t: tertiary, c: cyclo.



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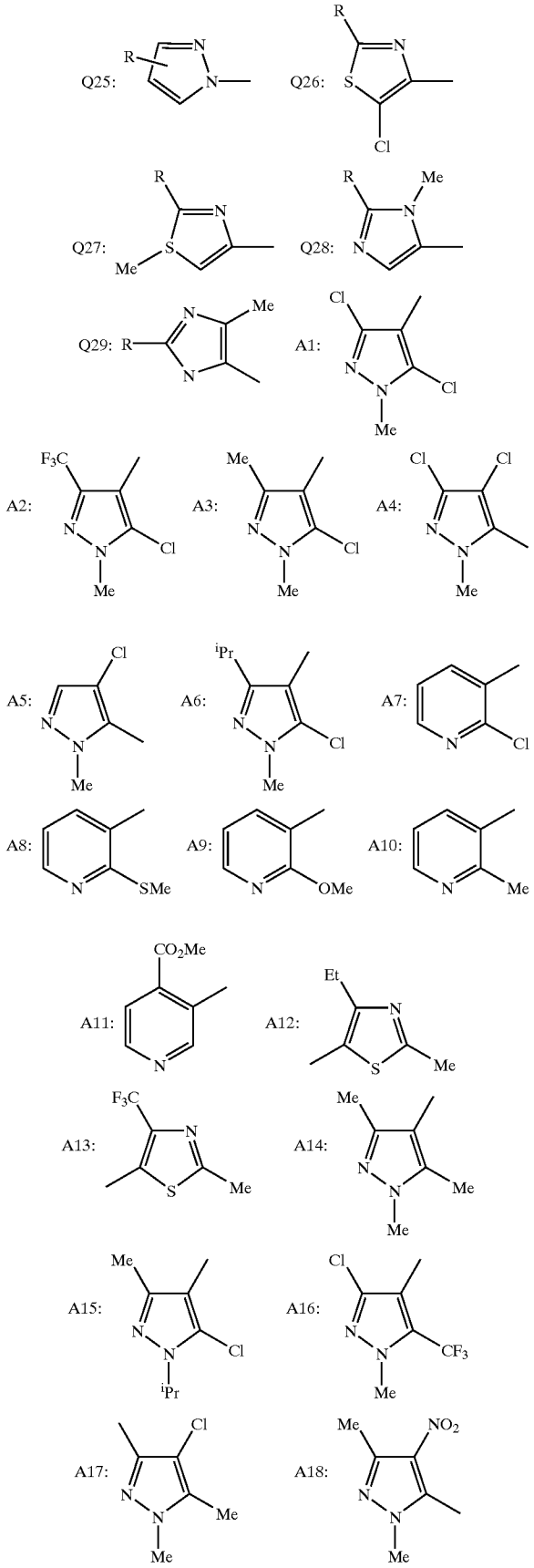

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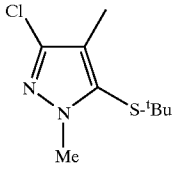
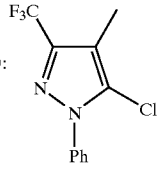
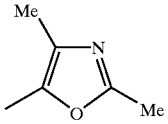
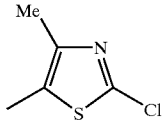
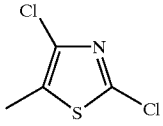
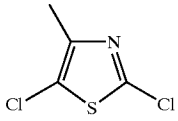
A19: 

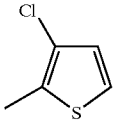
A20: 

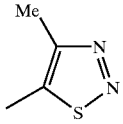
A21: 

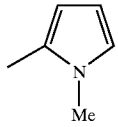
A22: 

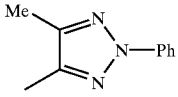
A23: 

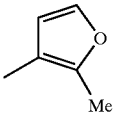
A24: 

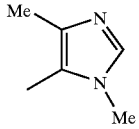
A25: 

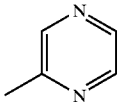
A26: 

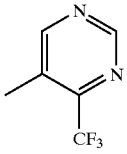
A27: 

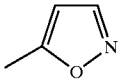
A28: 

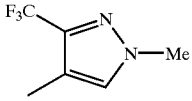
A29: 

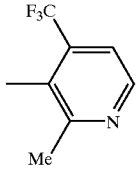
A30: 

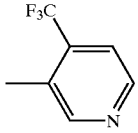
A31: 

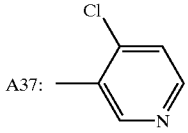
A32: 

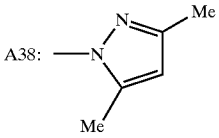
A33: 

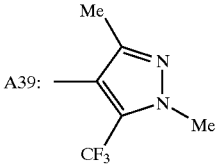
A34: 

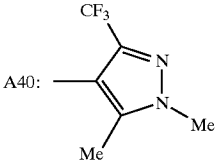
A35: 

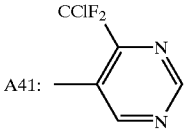
A36: 

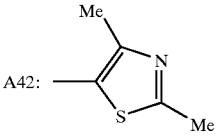
A37: 

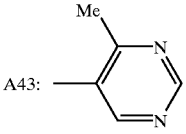
A38: 

A39: 

A40: 

A41: 

A42: 

A43: 

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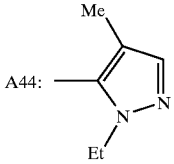
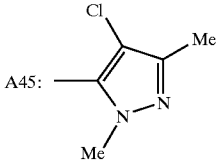
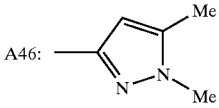
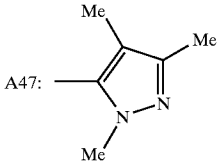
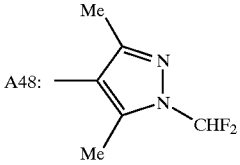
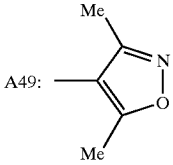
A44: 

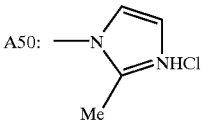
A45: 

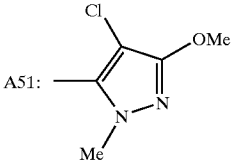
A46: 

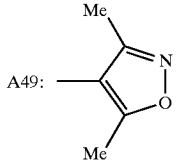
A47: 

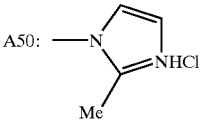
A48: 

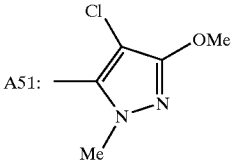
A49: 

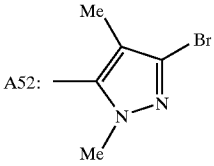
A50: 

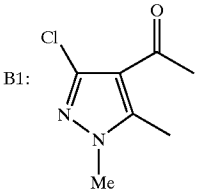
A51: 

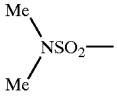
A49: 

A50: 

A51: 

A52: 

B1: 

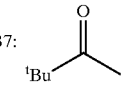
B2: 

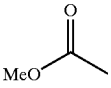
B3: CH_3OCH_2—

B4: CF_3SO_2—

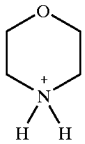
B5: CH_2SO_2—

B6:

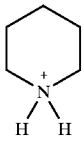
B7: 

B8: 

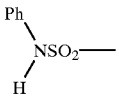
B9: $Et_3\overset{+}{N}H$

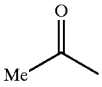
B10: 

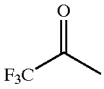
B11: $^{n}Bu_3\overset{+}{N}H$

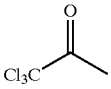
B12: 

B13: Me_3Si—

B14: 

B15: 

B16: 

B17: 

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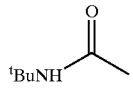
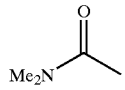
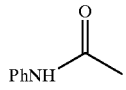
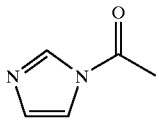
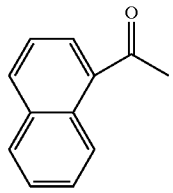
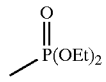
B18: 

B19: 

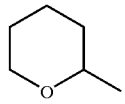
B20: 

B21: 

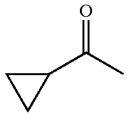
B22: 

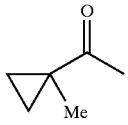
B23: 

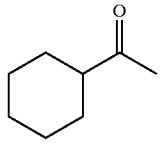
B24: $CH_3O(CH_2)_2OCH_2$—

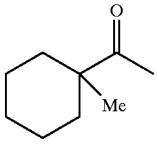
B25: 

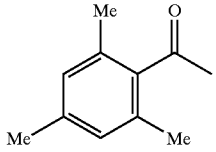
B26: $PhSO_2$—

B27: 

B28: 

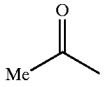
B29: 

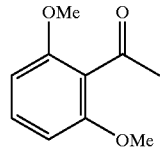
B30: 

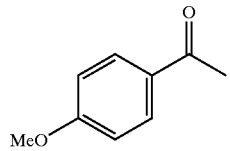
B31: 

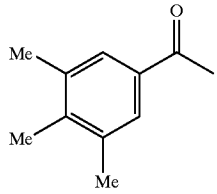
B32: CH_3SCH_2—

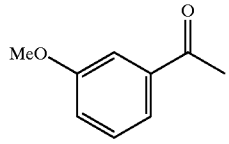
B33: $CH_3CH_2OCH_2$—

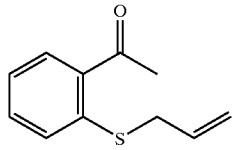
B34: 

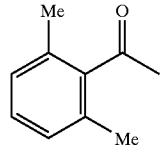
B35: 

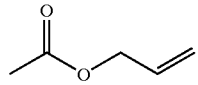
B36: 

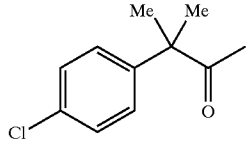
B37: 

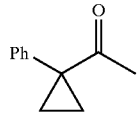
B38: 

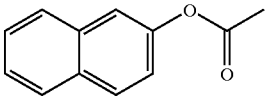
B39: 

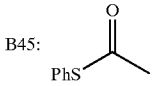
B40: 

B41: 

B42: 

B43: 

B44: 

B45: 

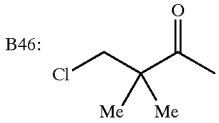
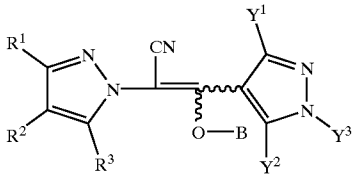
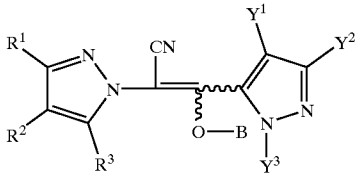
B46: 

TABLE 1





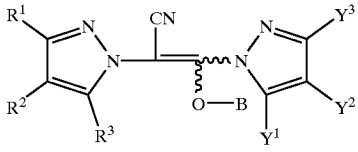
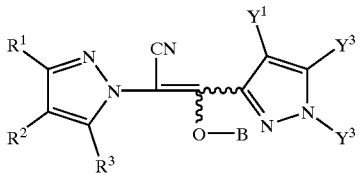


TABLE 1-continued



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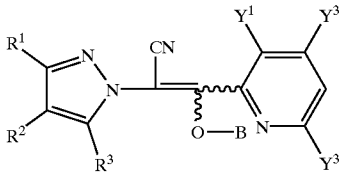

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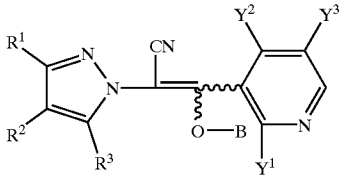

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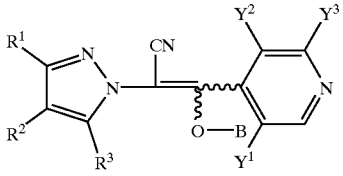

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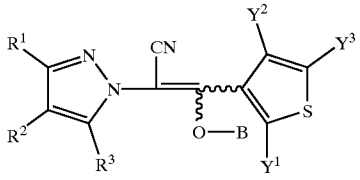

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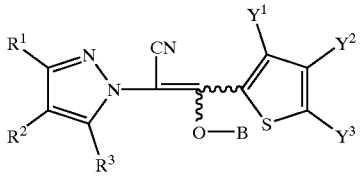

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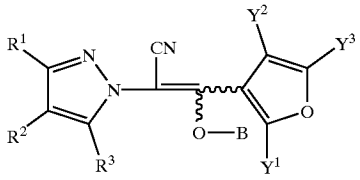

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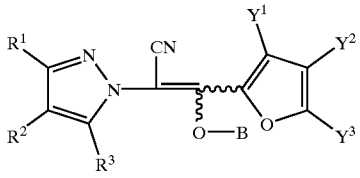

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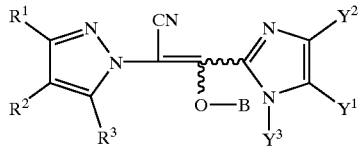
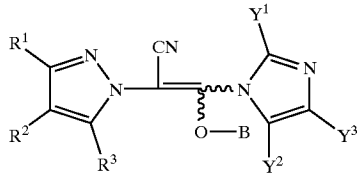
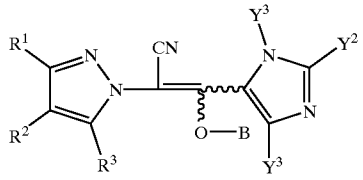
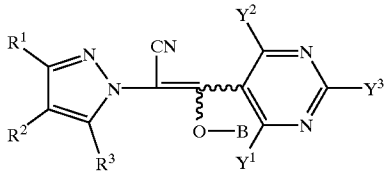
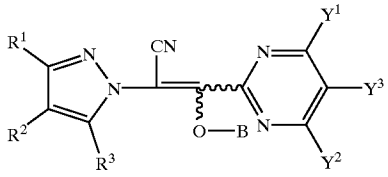
TABLE 1-continued

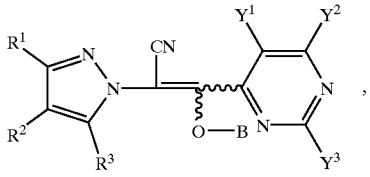


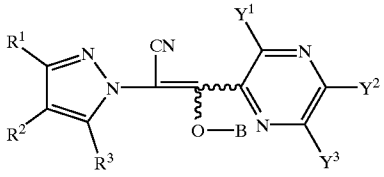












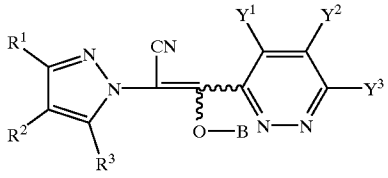
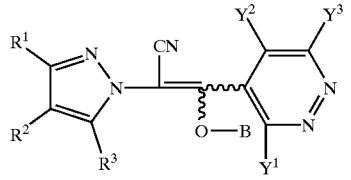
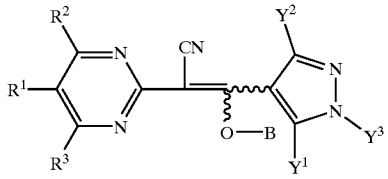
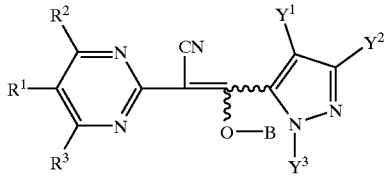
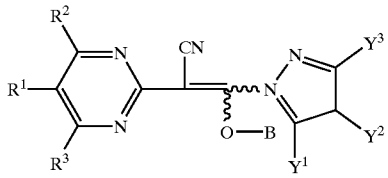


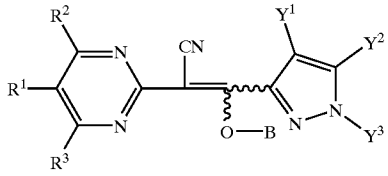
TABLE 1-continued

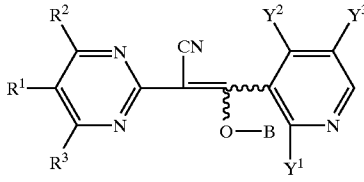


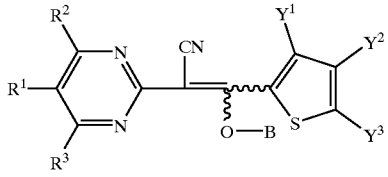












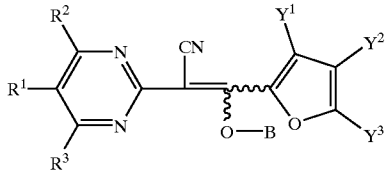
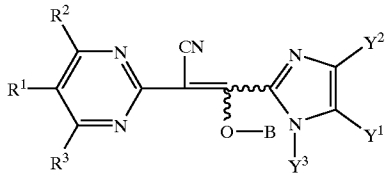
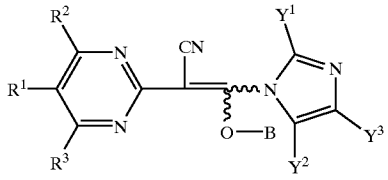
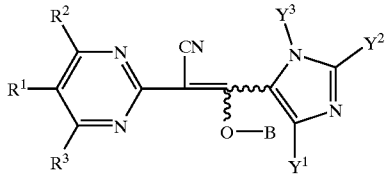
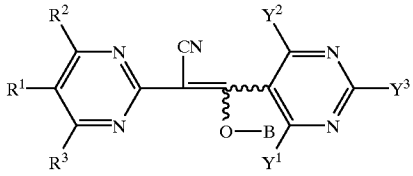


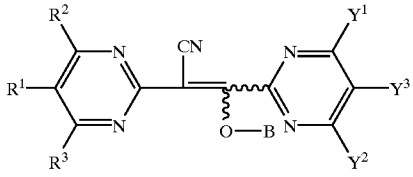
TABLE 1-continued

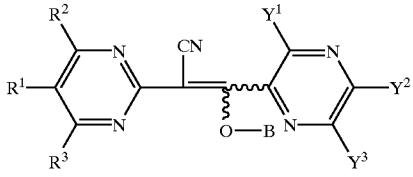


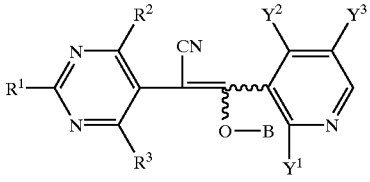












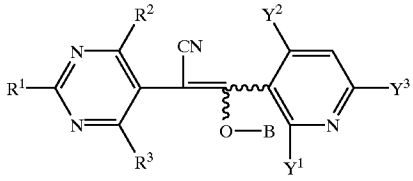
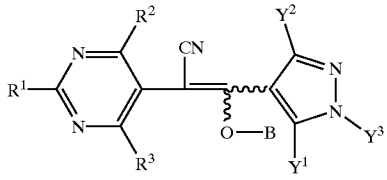


TABLE 1-continued



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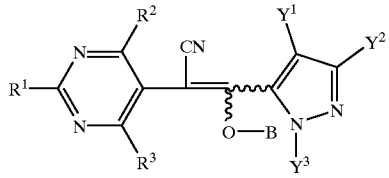

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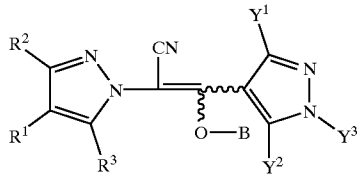

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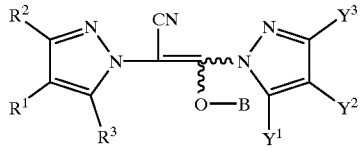

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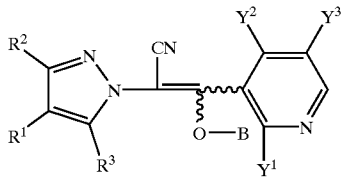

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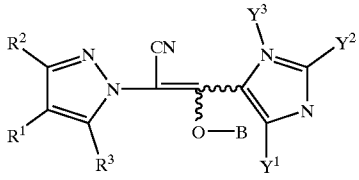

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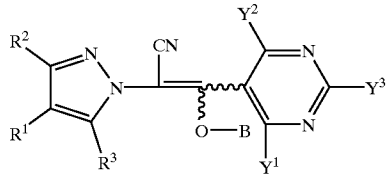

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R^1	R^2	R^3	B	Y^1	Y^2	Y^3
2,6-F_2—Ph	H	H	H	H	H	H
2,6-F_2—Ph	H	H	H	H	Cl	H
2,6-F_2—Ph	H	H	H	H	Me	H
2,6-F_2—Ph	H	H	H	H	OMe	H
2,6-F_2—Ph	H	H	H	H	SMe	H
2,6-F_2—Ph	H	H	H	H	OCF_3	H
2,6-F_2—Ph	H	H	H	H	CF_3	H
2,6-F_2—Ph	H	H	H	H	CO_2Me	H
2,6-F_2—Ph	H	H	H	H	H	Me
2,6-F_2—Ph	H	H	H	Me	H	Me
2,6-F_2—Ph	H	H	H	Me	H	CF_3
2,6-F_2—Ph	H	H	H	Me	H	H

TABLE 1-continued

2,6-F_2—Ph	H	H	H	Me	Me	Me
2,6-F_2—Ph	H	H	H	Me	Me	H
2,6-F_2—Ph	H	H	H	Me	Cl	Me
2,6-F_2—Ph	H	H	H	Me	Cl	H
2,6-F_2—Ph	Cl	H	H	Me	Cl	Me
2,6-F_2—Ph	H	H	H	Et	Me	Me
2,6-F_2—Ph	H	H	H	Et	H	H
2,6-F_2—Ph	H	H	H	nPr	Me	Me
2,6-F_2—Ph	H	H	H	iPr	Me	Me
2,6-F_2—Ph	H	H	H	iPr	Cl	Me
2,6-F_2—Ph	H	H	H	nBu	Me	Me
2,6-F_2—Ph	H	H	H	tBu	Me	Me
2,6-F_2—Ph	H	H	H	Cl	Me	Me
2,6-F_2—Ph	H	H	H	Cl	Me	H
2,6-F_2—Ph	H	H	H	Cl	H	Me
2,6-F_2—Ph	H	H	H	Cl	H	CF_3
2,6-F_2—Ph	H	H	H	Cl	H	H
2,6-F_2—Ph	Me	H	H	Cl	H	H
2,6-F_2—Ph	Cl	H	H	Cl	H	H
2,6-F_2—Ph	NO_2	H	H	Cl	H	H
2,6-F_2—Ph	CO_2Me	H	H	Cl	H	H
2,6-F_2—Ph	CO_2Et	H	H	Cl	H	H
2,6-F_2—Ph	H	H	H	Cl	Cl	Me
2,6-F_2—Ph	Me	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	Me	H	Cl	Cl	Me
2,6-F_2—Ph	H	Cl	H	Cl	Cl	Me
2,6-F_2—Ph	Cl	H	H	Cl	Cl	Me
2,6-F_2—Ph	Cl	Cl	H	Cl	Cl	Me
2,6-F_2—Ph	Cl	Cl	B1	Cl	Cl	Me
2,6-F_2—Ph	Ph	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	Ph	H	Cl	Cl	Me
2,6-F_2—Ph	CF_3	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	CF_3	H	Cl	Cl	Me
2,6-F_2—Ph	cPr	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	cPr	H	Cl	Cl	Me
2,6-F_2—Ph	OMe	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	OMe	H	Cl	Cl	Me
2,6-F_2—Ph	NH_2	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	NH_2	H	Cl	Cl	Me
2,6-F_2—Ph	NHMe	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	NHMe	H	Cl	Cl	Me
2,6-F_2—Ph	NMe_2	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	NMe_2	H	Cl	Cl	Me
2,6-F_2—Ph	NO_2	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	NO_2	H	Cl	Cl	Me
2,6-F_2—Ph	CN	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	CN	H	Cl	Cl	Me
2,6-F_2—Ph	OH	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	OH	H	Cl	Cl	Me
2,6-F_2—Ph	CO_2Me	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	CO_2Me	H	Cl	Cl	Me
2,6-F_2—Ph	CO_2Et	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	H	H	Cl	Cl	H
2,6-F_2—Ph	H	H	H	Cl	Cl	CF_3
2,6-F_2—Ph	H	H	H	Cl	Cl	CF_2H
2,6-F_2—Ph	H	H	H	Cl	Cl	CH_2OMe
2,6-F_2—Ph	H	H	H	Cl	Cl	COMe
2,6-F_2—Ph	H	H	H	Cl	Cl	Ph
2,6-F_2—Ph	H	H	H	Cl	Cl	CH_2Ph
2,6-F_2—Ph	H	H	H	Cl	CF_3	Me
2,6-F_2—Ph	H	H	H	Br	Me	Me
2,6-F_2—Ph	H	H	H	Me	Br	Me
2,6-F_2—Ph	H	H	H	Me	Br	H
2,6-F_2—Ph	H	H	H	Br	H	H
2,6-F_2—Ph	H	H	H	OMe	Me	Me
2,6-F_2—Ph	H	H	H	Me	OMe	Me
2,6-F_2—Ph	H	H	H	OMe	H	H
2,6-F_2—Ph	H	H	H	H	OMe	H
2,6-F_2—Ph	H	H	H	Cl	OMe	Me
2,6-F_2—Ph	H	H	H	OCF_3	Me	Me
2,6-F_2—Ph	H	H	H	OCF_3	Cl	Me
2,6-F_2—Ph	H	H	H	OCF_3	H	H
2,6-F_2—Ph	H	H	H	Me	OCF_3	Me
2,6-F_2—Ph	H	H	H	Cl	OCF_3	Me
2,6-F_2—Ph	H	H	H	SMe	Cl	Me
2,6-F_2—Ph	H	H	H	Me	SMe	Me
2,6-F_2—Ph	H	H	H	Cl	SMe	Me
2,6-F_2—Ph	H	H	H	SMe	H	H
2,6-F_2—Ph	H	H	H	SOMe	Cl	Me

TABLE 1-continued

2,6-F_2—Ph	H	H	H	Cl	SOMe	Me
2,6-F_2—Ph	H	H	H	SOMe	H	H
2,6-F_2—Ph	H	H	H	SO_2Me	Cl	Me
2,6-F_2—Ph	H	H	H	Cl	So_2Me	Me
2,6-F_2—Ph	H	H	H	SO_2Me	H	H
2,6-F_2—Ph	H	H	H	CF_3	Me	Me
2,6-F_2—Ph	H	H	H	CF_3	Cl	H
2,6-F_2—Ph	H	H	H	CF_3	Cl	Me
2,6-F_2—Ph	Me	H	H	CF_3	Cl	Me
2,6-F_2—Ph	Cl	H	H	CF_3	Cl	Me
2,6-F_2—Ph	Br	H	H	CF_3	Cl	Me
2,6-F_2—Ph	CF_3	H	H	CF_3	Cl	Me
2,6-F_2—Ph	NO_2	H	H	CF_3	Cl	Me
2,6-F_2—Ph	CN	H	H	CF_3	Cl	Me
2,6-F_2—Ph	CO_2Me	H	H	CF_3	Cl	Me
2,6-F_2—Ph	CO_2Et	H	H	CF_3	Cl	Me
2,6-F_2—Ph	H	H	H	CF_3	Cl	CF_3
2,6-F_2—Ph	H	H	H	CF_3	Cl	CF_2H
2,6-F_2—Ph	H	H	H	CF_3	Cl	CH_2OMe
2,6-F_2—Ph	H	H	H	CF_3	Cl	$COCH_3$
2,6-F_2—Ph	H	H	H	CF_3	H	Me
2,6-F_2—Ph	H	H	H	CF_3	H	H
2,6-F_2—Ph	H	H	H	CF_3	Br	Me
2,6-F_2—Ph	H	H	H	CF_3	SMe	Me
2,6-F_2—Ph	H	H	H	CF_3	SOMe	Me
2,6-F_2—Ph	H	H	H	CF_3	SO_2Me	Me
2,6-F_2—Ph	H	H	H	CF_3	CF_3	Me
2,6-F_2—Ph	H	H	H	CF_3	NO_2	Me
2,6-F_2—Ph	H	H	H	CF_3	CN	Me
2,6-F_2—Ph	H	H	H	CF_3	NHMe	Me
2,6-F_2—Ph	H	H	H	CF_3	NMe_2	Me
2,6-F_2—Ph	H	H	H	CF_3	Ph	Me
2,6-F_2—Ph	H	H	H	CF_3	CH_2Ph	Me
2,6-F_2—Ph	H	H	H	CF_3	OPh	Me
2,6-F_2—Ph	H	H	H	CF_3	OH	Me
2,6-F_2—Ph	H	H	H	CF_3	CO_2Me	Me
2,6-F_2—Ph	H	H	H	CF_3	OMe	Me
2,6-F_2—Ph	H	H	H	CF_3	OCF_3	Me
2,6-F_2—Ph	H	H	H	CF_3	OCF_2H	Me
2,6-F_2—Ph	H	H	H	CF_3	OCF_2H	CF_2H
2,6-F_2—Ph	H	H	H	NO_2	CF_3	Me
2,6-F_2—Ph	H	H	H	NO_2	Cl	Me
2,6-F_2—Ph	H	H	H	NO_2	Me	Me
2,6-F_2—Ph	H	H	H	NO_2	H	H
2,6-F_2—Ph	H	H	H	Cl	NO_2	Me
2,6-F_2—Ph	H	H	H	CN	CF_3	Me
2,6-F_2—Ph	H	H	H	CN	Cl	Me
2,6-F_2—Ph	H	H	H	CN	Me	Me
2,6-F_2—Ph	H	H	H	CN	H	H
2,6-F_2—Ph	H	H	H	Cl	CN	Me
2,6-F_2—Ph	H	H	H	Br	CN	Me
2,6-F_2—Ph	H	H	H	NHMe	Me	Me
2,6-F_2—Ph	H	H	H	NHMe	Cl	Me
2,6-F_2—Ph	H	H	H	NHMe	H	H
2,6-F_2—Ph	H	H	H	Cl	NHMe	Me
2,6-F_2—Ph	H	H	H	NMe_2	Me	Me
2,6-F_2—Ph	H	H	H	NMe_2	Cl	Me
2,6-F_2—Ph	H	H	H	NMe_2	H	H
2,6-F_2—Ph	H	H	H	Cl	NMe_2	Me
2,6-F_2—Ph	H	H	H	Ph	Cl	Me
2,6-F_2—Ph	H	H	H	Ph	H	H
2,6-F_2—Ph	H	H	H	Cl	Ph	Me
2,6-F_2—Ph	H	H	H	CH_2Ph	Me	Me
2,6-F_2—Ph	H	H	H	CH_2Ph	H	H
2,6-F_2—Ph	H	H	H	Cl	CH_2Ph	Me
2,6-F_2—Ph	H	H	H	OPh	H	H
2,6-F_2—Ph	H	H	H	Cl	OPh	Me
2,6-F_2—Ph	H	H	H	OH	Me	Me
2,6-F_2—Ph	H	H	H	OH	H	H
2,6-F_2—Ph	H	H	H	Cl	OH	Me
2,6-F_2—Ph	H	H	H	CO_2Me	Me	Me
2,6-F_2—Ph	H	H	H	CO_2Me	Cl	Me
2,6-F_2—Ph	H	H	H	CO_2Me	H	H
2,6-F_2—Ph	H	H	H	cPr	Me	Me
2,6-F_2—Ph	H	H	H	cPr	Cl	Me
2,6-F_2—Ph	H	H	B1	Cl	Cl	Me
2,6-F_2—Ph	Cl	H	B1	Cl	Cl	Me
2,6-F_2—Ph	H	H	B2	Cl	Cl	Me
2,6-F_2—Ph	H	H	B3	Cl	Cl	Me

TABLE 1-continued

2,6-F_2—Ph	H	H	B4	Cl	Cl	Me
2,6-F_2—Ph	Cl	H	B4	Cl	Cl	Me
2,6-F_2—Ph	Cl	Me	B4	Cl	Cl	Me
2,6-F_2—Ph	NO_2	H	B4	Cl	Cl	Me
2,6-F_2—Ph	CO_2Me	H	B4	Cl	Cl	Me
2,6-F_2—Ph	CO_2Et	H	B4	Cl	Cl	Me
2,6-F_2—Ph	H	H	B5	Cl	Cl	Me
2,6-F_2—Ph	Cl	H	B5	Cl	Cl	Me
2,6-F_2—Ph	H	H	B6	Cl	Cl	Me
2,6-F_2—Ph	Cl	H	B6	Cl	Cl	Me
2,6-F_2—Ph	NO_2	H	B6	Cl	Cl	Me
2,6-F_2—Ph	CO_2Me	H	B6	Cl	Cl	Me
2,6-F_2—Ph	CO_2Et	H	B6	Cl	Cl	Me
2,6-F_2—Ph	H	H	B7	Cl	Cl	Me
2,6-F_2—Ph	Cl	H	B7	Cl	Cl	Me
2,6-F_2—Ph	NO_2	H	B7	Cl	Cl	Me
2,6-F_2—Ph	CO_2Me	H	B7	Cl	Cl	Me
2,6-F_2—Ph	CO_2Et	H	B7	Cl	Cl	Me
2,6-F_2—Ph	H	H	B8	Cl	Cl	Me
2,6-F_2—Ph	H	H	B9	Cl	Cl	Me
2,6-F_2—Ph	H	H	B10	Cl	Cl	Me
2,6-F_2—Ph	H	H	B11	Cl	Cl	Me
2,6-F_2—Ph	H	H	B12	Cl	Cl	Me
2,6-F_2—Ph	H	H	B13	Cl	Cl	Me
2,6-F_2—Ph	H	H	B14	Cl	Cl	Me
2,6-F_2—Ph	H	H	B15	Cl	Cl	Me
2,6-F_2—Ph	H	H	B16	Cl	Cl	Me
2,6-F_2—Ph	H	H	B17	Cl	Cl	Me
2,6-F_2—Ph	H	H	B18	Cl	Cl	Me
2,6-F_2—Ph	H	H	B19	Cl	Cl	Me
2,6-F_2—Ph	H	H	B20	Cl	Cl	Me
2,6-F_2—Ph	H	H	B21	Cl	Cl	Me
2,6-F_2—Ph	H	H	B22	Cl	Cl	Me
2,6-F_2—Ph	H	H	B23	Cl	Cl	Me
2,6-F_2—Ph	H	H	B24	Cl	Cl	Me
2,6-F_2—Ph	H	H	B25	Cl	Cl	Me
2,6-F_2—Ph	H	H	B26	Cl	Cl	Me
2,6-F_2—Ph	H	H	B27	Cl	Cl	Me
2,6-F_2—Ph	H	H	B28	Cl	Cl	Me
2,6-F_2—Ph	H	H	B29	Cl	Cl	Me
2,6-F_2—Ph	H	H	B30	Cl	Cl	Me
2,6-F_2—Ph	H	H	B31	Cl	Cl	Me
2,6-F_2—Ph	H	H	B32	Cl	Cl	Me
2,6-F_2—Ph	H	H	B33	Cl	Cl	Me
2,6-F_2—Ph	H	H	B34	Cl	Cl	Me
2,6-F_2—Ph	H	H	Na	Cl	Cl	Me
2,6-F_2—Ph	H	H	K	Cl	Cl	Me
2,6-F_2—Ph	H	H	B1	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B2	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B3	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B4	CF_3	Cl	Me
2,6-F_2—Ph	Me	H	B4	CF_3	Cl	Me
2,6-F_2—Ph	Cl	H	B4	CF_3	Cl	Me
2,6-F_2—Ph	NO_2	H	B4	CF_3	Cl	Me
2,6-F_2—Ph	CO_2Me	H	B4	CF_3	Cl	Me
2,6-F_2—Ph	CO_{2Et}	H	B4	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B5	CF_3	Cl	Me
2,6-F_2—Ph	Cl	H	B5	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B6	CF_3	Cl	Me
2,6-F_2—Ph	Me	H	B6	CF_3	Cl	Me
2,6-F_2—Ph	Cl	H	B6	CF_3	Cl	Me
2,6-F_2—Ph	NO_2	H	B6	CF_3	Cl	Me
2,6-F_2—Ph	CO_2Me	H	B6	CF_3	Cl	Me
2,6-F_2—Ph	CO_2Et	H	B6	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B7	CF_3	Cl	Me
2,6-F_2—Ph	Me	H	B7	CF_3	Cl	Me
2,6-F_2—Ph	Cl	H	B7	CF_3	Cl	Me
2,6-F_2—Ph	NO_2	H	B7	CF_3	Cl	Me
2,6-F_2—Ph	CO_2Me	H	B7	CF_3	Cl	Me
2,6-F_2—Ph	CO_2Et	H	B7	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B8	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B9	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B10	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B11	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B12	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B13	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B14	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B15	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B16	CF_3	Cl	Me

TABLE 1-continued

2,6-F_2—Ph	H	H	B17	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B18	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B19	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B20	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B21	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B22	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B23	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B24	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B25	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B26	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B27	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B28	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B29	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B30	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B31	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B32	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B33	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B34	CF_3	Cl	Me
2,6-F_2—Ph	H	H	Na	CF_3	Cl	Me
2,6-F_2—Ph	H	H	K	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B1	Cl	H	H
2,6-F_2—Ph	H	H	B2	Cl	H	H
2,6-F_2—Ph	H	H	B3	Cl	H	H
2,6-F_2—Ph	H	H	B4	Cl	H	H
2,6-F_2—Ph	Me	H	B4	Cl	H	H
2,6-F_2—Ph	Cl	H	B4	Cl	H	H
2,6-F_2—Ph	NO_2	H	B4	Cl	H	H
2,6-F_2—Ph	CO_2Me	H	B4	Cl	H	H
2,6-F_2—Ph	CO_2Et	H	B4	Cl	H	H
2,6-F_2—Ph	H	H	B5	Cl	H	H
2,6-F_2—Ph	H	H	B6	Cl	H	H
2,6-F_2—Ph	NO_2	H	B6	Cl	H	H
2,6-F_2—Ph	H	H	B7	Cl	H	H
2,6-F_2—Ph	Me	H	B7	Cl	H	H
2,6-F_2—Ph	Cl	H	B7	Cl	H	H
2,6-F_2—Ph	NO_2	H	B7	Cl	H	H
2,6-F_2—Ph	CO_2Me	H	B7	Cl	H	H
2,6-F_2—Ph	CO_2Et	H	B7	Cl	H	H
2,6-F_2—Ph	H	H	B8	Cl	H	H
2,6-F_2—Ph	H	H	B9	Cl	H	H
2,6-F_2—Ph	H	H	B10	Cl	H	H
2,6-F_2—Ph	H	H	B11	Cl	H	H
2,6-F_2—Ph	H	H	B12	Cl	H	H
2,6-F_2—Ph	H	H	B13	Cl	H	H
2,6-F_2—Ph	H	H	B14	Cl	H	H
2,6-F_2—Ph	H	H	B15	Cl	H	H
2,6-F_2—Ph	H	H	B16	Cl	H	H
2,6-F_2—Ph	H	H	B17	Cl	H	H
2,6-F_2—Ph	H	H	B18	Cl	H	H
2,6-F_2—Ph	H	H	B19	Cl	H	H
2,6-F_2—Ph	H	H	B20	Cl	H	H
2,6-F_2—Ph	H	H	B21	Cl	H	H
2,6-F_2—Ph	H	H	B22	Cl	H	H
2,6-F_2—Ph	H	H	B23	Cl	H	H
2,6-F_2—Ph	H	H	B24	Cl	H	H
2,6-F_2—Ph	H	H	B25	Cl	H	H
2,6-F_2—Ph	H	H	B26	Cl	H	H
2,6-F_2—Ph	H	H	B27	Cl	H	H
2,6-F_2—Ph	H	H	B28	Cl	H	H
2,6-F_2—Ph	H	H	B29	Cl	H	H
2,6-F_2—Ph	H	H	B30	Cl	H	H
2,6-F_2—Ph	H	H	B31	Cl	H	H
2,6-F_2—Ph	H	H	B32	Cl	H	H
2,6-F_2—Ph	H	H	B33	Cl	H	H
2,6-F_2—Ph	H	H	B34	Cl	H	H
2,6-F_2—Ph	H	H	Na	Cl	H	H
2,6-F_2—Ph	H	H	K	Cl	H	H
tBu	H	H	H	H	H	Me
tBu	H	H	H	Me	H	Me
tBu	H	H	H	Me	H	CF_3
tBu	H	H	B7	Me	H	H
tBu	H	H	H	Me	Me	Me
tBu	H	H	H	Me	Cl	Me
tBu	Cl	H	H	Me	Cl	Me
tBu	H	H	H	Et	Me	Me
tBu	H	H	B8	Et	H	H
tBu	H	H	H	Cl	Me	Me
tBu	H	H	H	Cl	Me	H
tBu	H	H	H	Cl	H	Me

TABLE 1-continued

tBu	H	H	H	Cl	H	CF_3
tBu	H	H	H	Cl	H	H
tBu	Me	H	B7	Cl	H	H
tBu	Cl	H	B7	Cl	H	H
tBu	NO_2	H	B7	Cl	H	H
tBu	CO_2Me	H	B7	Cl	H	H
tBu	CO_2Et	H	B7	Cl	H	H
tBu	H	H	H	Cl	Cl	Me
tBu	Me	H	H	Cl	Cl	Me
tBu	Cl	H	H	Cl	Cl	Me
tBu	CF_3	H	H	Cl	Cl	Me
tBu	cPr	H	H	Cl	Cl	Me
tBu	OMe	H	H	Cl	Cl	Me
tBu	NO_2	H	H	Cl	Cl	Me
tBu	CN	H	H	Cl	Cl	Me
tBu	CO_2Me	H	H	Cl	Cl	Me
tBu	CO_2Et	H	H	Cl	Cl	Me
tBu	H	H	H	Cl	Cl	H
tBu	H	H	H	Cl	Cl	CF_3
tBu	H	H	H	Cl	Cl	CF_2H
tBu	H	H	H	Cl	Cl	CH_2OMe
tBu	H	H	H	Cl	Cl	COMe
tBu	H	H	H	Cl	CF_3	Me
tBu	H	H	H	Br	Me	Me
tBu	H	H	H	Me	Br	Me
tBu	H	H	H	Me	Br	H
tBu	H	H	B34	Br	H	H
tBu	H	H	H	OMe	Me	Me
tBu	H	H	H	Me	OMe	Me
tBu	H	H	H	OMe	H	H
tBu	H	H	H	Cl	OMe	Me
tBu	H	H	H	OCF_3	Me	Me
tBu	H	H	H	OCF_3	Cl	Me
tBu	H	H	H	OCF_3	H	H
tBu	H	H	H	Me	OCF_3	Me
tBu	H	H	H	Cl	OCF_3	Me
tBu	H	H	H	SMe	Cl	Me
tBu	H	H	H	Me	SMe	Me
tBu	H	H	H	Cl	SMe	Me
tBu	H	H	B7	SMe	H	H
tBu	H	H	H	CF_3	Me	Me
tBu	H	H	H	CF_3	Cl	H
tBu	H	H	H	CF_3	Cl	Me
tBu	Me	H	H	CF_3	Cl	Me
tBu	Cl	H	H	CF_3	Cl	Me
tBu	Br	H	H	CF_3	Cl	Me
tBu	CF_3	H	H	CF_3	Cl	Me
tBu	NO_2	H	H	CF_3	Cl	Me
tBu	CN	H	H	CF_3	Cl	Me
tBu	CO_2Me	H	H	CF_3	Cl	Me
tBu	CO_2Et	H	H	CF_3	Cl	Me
tBu	H	H	H	CF_3	Cl	CF_3
tBu	H	H	H	CF_3	Cl	CF_2H
tBu	H	H	H	CF_3	Cl	CH_2OMe
tBu	H	H	H	CF_3	Cl	$COCH_3$
tBu	H	H	H	CF_3	H	Me
tBu	H	H	H	CF_3	H	Me
tBu	H	H	H	CF_3	Br	Me
tBu	H	H	H	CF_3	SMe	Me
tBu	H	H	H	CF_3	SOMe	Me
tBu	H	H	H	CF_3	SO_2Me	Me
tBu	H	H	H	CF_3	CF_3	Me
tBu	H	H	H	CF_3	NO_2	Me
tBu	H	H	H	CF_3	CN	Me
tBu	H	H	H	CF_3	NHMe	Me
tBu	H	H	H	CF_3	NMe_2	Me
tBu	H	H	H	CF_3	OH	Me
tBu	H	H	H	CF_3	CO_2Me	Me
tBu	H	H	H	CF_3	OMe	Me
tBu	H	H	H	CF_3	OCF_3	Me
tBu	H	H	H	CF_3	OCF_2H	Me
tBu	H	H	H	CF_3	OCF_2H	CF_2H
tBu	H	H	H	NO_2	Cl	Me
tBu	H	H	H	NO_2	Me	Me
tBu	H	H	H	NO_2	H	H
tBu	H	H	H	Cl	NO_2	Me
tBu	H	H	H	CN	Cl	Me
tBu	H	H	H	CN	Me	Me
tBu	H	H	H	CN	H	H

TABLE 1-continued

tBu	H	H	H	Cl	CN	Me
tBu	H	H	H	NMe_2	H	H
tBu	H	H	H	Cl	NMe_2	Me
tBu	H	H	H	OH	Me	Me
tBu	H	H	H	OH	H	H
tBu	H	H	H	Cl	OH	Me
tBu	H	H	H	CO_2Me	Me	Me
tBu	H	H	H	CO_2Me	Cl	Me
tBu	H	H	H	CO_2Me	H	H
tBu	H	H	B1	Cl	Cl	Me
tBu	Cl	H	B1	Cl	Cl	Me
tBu	H	H	B2	Cl	Cl	Me
tBu	H	H	B3	Cl	Cl	Me
tBu	H	H	B4	Cl	Cl	Me
tBu	Me	H	B4	Cl	Cl	Me
tBu	Cl	H	B4	Cl	Cl	Me
tBu	NO_2	H	B4	Cl	Cl	Me
tBu	CO_2Me	H	B4	Cl	Cl	Me
tBu	CO_2Et	H	B4	Cl	Cl	Me
tBu	H	H	B5	Cl	Cl	Me
tBu	H	H	B6	Cl	Cl	Me
tBu	Cl	H	B6	Cl	Cl	Me
tBu	H	H	B7	Cl	Cl	Me
tBu	Me	H	B7	Cl	Cl	Me
tBu	Cl	H	B7	Cl	Cl	Me
tBu	NO_2	H	B7	Cl	Cl	Me
tBu	CO_2Me	H	B7	Cl	Cl	Me
tBu	CO_2Et	H	B7	Cl	Cl	Me
tBu	H	H	B8	Cl	Cl	Me
tBu	H	H	B9	Cl	Cl	Me
tBu	H	H	B10	Cl	Cl	Me
tBu	H	H	B11	Cl	Cl	Me
tBu	H	H	B12	Cl	Cl	Me
tBu	H	H	B13	Cl	Cl	Me
tBu	H	H	B14	Cl	Cl	Me
tBu	H	H	B15	Cl	Cl	Me
tBu	H	H	B16	Cl	Cl	Me
tBu	H	H	B17	Cl	Cl	Me
tBu	H	H	B18	Cl	Cl	Me
tBu	H	H	B19	Cl	Cl	Me
tBu	H	H	B20	Cl	Cl	Me
tBu	H	H	B21	Cl	Cl	Me
tBu	H	H	B22	Cl	Cl	Me
tBu	H	H	B23	Cl	Cl	Me
tBu	H	H	B24	Cl	Cl	Me
tBu	H	H	B25	Cl	Cl	Me
tBu	H	H	B26	Cl	Cl	Me
tBu	H	H	B27	Cl	Cl	Me
tBu	H	H	B28	Cl	Cl	Me
tBu	H	H	B29	Cl	Cl	Me
tBu	H	H	B30	Cl	Cl	Me
tBu	H	H	B31	Cl	Cl	Me
tBu	H	H	B32	Cl	Cl	Me
tBu	H	H	B33	Cl	Cl	Me
tBu	H	H	B34	Cl	Cl	Me
tBu	H	H	Na	Cl	Cl	Me
tBu	H	H	K	Cl	Cl	Me
tBu	H	H	B1	CF_3	Cl	Me
tBu	H	H	B2	CF_3	Cl	Me
tBu	H	H	B3	CF_3	Cl	Me
tBu	H	H	B4	CF_3	Cl	Me
tBu	Me	H	B4	CF_3	Cl	Me
tBu	Cl	H	B4	CF_3	Cl	Me
tBu	NO_2	H	B4	CF_3	Cl	Me
tBu	CO_2Me	H	B4	CF_3	Cl	Me
tBu	CO_2Et	H	B4	CF_3	Cl	Me
tBu	H	H	B5	CF_3	Cl	Me
tBu	H	H	B6	CF_3	Cl	Me
tBu	Cl	H	B6	CF_3	Cl	Me
tBu	H	H	B7	CF_3	Cl	Me
tBu	Me	H	B7	CF_3	Cl	Me
tBu	Cl	H	B7	CF_3	Cl	Me
tBu	NO_2	H	B7	CF_3	Cl	Me
tBu	CO_2Me	H	B7	CF_3	Cl	Me
tBu	CO_2Et	H	B7	CF_3	Cl	Me
tBu	H	H	B8	CF_3	Cl	Me
tBu	H	H	B9	CF_3	Cl	Me
tBu	H	H	B10	CF_3	Cl	Me
tBu	H	H	B11	CF_3	Cl	Me

TABLE 1-continued

tBu	H	H	B12	CF_3	Cl	Me
tBu	H	H	B13	CF_3	Cl	Me
tBu	H	H	B14	CF_3	Cl	Me
tBu	H	H	B15	CF_3	Cl	Me
tBu	H	H	B16	CF_3	Cl	Me
tBu	H	H	B17	CF_3	Cl	Me
tBu	H	H	B18	CF_3	Cl	Me
tBu	H	H	B19	CF_3	Cl	Me
tBu	H	H	B20	CF_3	Cl	Me
tBu	H	H	B21	CF_3	Cl	Me
tBu	H	H	B22	CF_3	Cl	Me
tBu	H	H	B23	CF_3	Cl	Me
tBu	H	H	B24	CF_3	Cl	Me
tBu	H	H	B25	CF_3	Cl	Me
tBu	H	H	B26	CF_3	Cl	Me
tBu	H	H	B27	CF_3	Cl	Me
tBu	H	H	B28	CF_3	Cl	Me
tBu	H	H	B29	CF_3	Cl	Me
tBu	H	H	B30	CF_3	Cl	Me
tBu	H	H	B31	CF_3	Cl	Me
tBu	H	H	B32	CF_3	Cl	Me
tBu	H	H	B33	CF_3	Cl	Me
tBu	H	H	B34	CF_3	Cl	Me
tBu	H	H	Na	CF_3	Cl	Me
tBu	H	H	K	CF_3	Cl	Me
tBu	H	H	B1	Cl	H	H
tBu	H	H	B2	Cl	H	H
tBu	H	H	B3	Cl	H	H
tBu	H	H	B4	Cl	H	H
tBu	H	H	B5	Cl	H	H
tBu	H	H	B6	Cl	H	H
tBu	H	H	B7	Cl	H	H
tBu	H	H	B8	Cl	H	H
tBu	H	H	B9	Cl	H	H
tBu	H	H	B10	Cl	H	H
tBu	H	H	B11	Cl	H	H
tBu	H	H	B12	Cl	H	H
tBu	H	H	B13	Cl	H	H
tBu	H	H	B14	Cl	H	H
tBu	H	H	B15	Cl	H	H
tBu	H	H	B16	Cl	H	H
tBu	H	H	B17	Cl	H	H
tBu	H	H	B18	Cl	H	H
tBu	H	H	B19	Cl	H	H
tBu	H	H	B20	Cl	H	H
tBu	H	H	B21	Cl	H	H
tBu	H	H	B22	Cl	H	H
tBu	H	H	B23	Cl	H	H
tBu	H	H	B24	Cl	H	H
tBu	H	H	B25	Cl	H	H
tBu	H	H	B26	Cl	H	H
tBu	H	H	B27	Cl	H	H
tBu	H	H	B28	Cl	H	H
tBu	H	H	B29	Cl	H	H
tBu	H	H	B30	Cl	H	H
tBu	H	H	B31	Cl	H	H
tBu	H	H	B32	Cl	H	H
tBu	H	H	B33	Cl	H	H
tBu	H	H	B34	Cl	H	H
tBu	H	H	Na	Cl	H	H
tBu	H	H	K	Cl	H	H
2-pyridyl	H	H	H	H	H	Me
2-pyridyl	H	H	H	Me	H	Me
2-pyridyl	H	H	H	Me	H	CF_3
2-pyridyl	H	H	B7	Me	H	H
2-pyridyl	H	H	H	Me	Me	Me
2-pyridyl	H	H	H	Me	Cl	Me
2-pyridyl	Cl	H	H	Me	Cl	Me
2-pyridyl	H	H	H	Et	Me	Me
2-pyridyl	H	H	H	Et	H	H
2-pyridyl	H	H	H	Cl	Me	Me
2-pyridyl	H	H	H	Cl	Me	H
2-pyridyl	H	H	H	Cl	H	Me
2-pyridyl	H	H	H	Cl	H	CF_3
2-pyridyl	H	H	B7	Cl	H	H
2-pyridyl	Me	H	B7	Cl	H	H
2-pyridyl	Cl	H	B7	Cl	H	H
2-pyridyl	CF_3	H	B7	Cl	H	H
2-pyridyl	cPr	H	B7	Cl	H	H

TABLE 1-continued

2-pyridyl	OMe	H	B7	Cl	H	H
2-pyridyl	NO_2	H	B7	Cl	H	H
2-pyridyl	CN	H	B7	Cl	H	H
2-pyridyl	CO_2Me	H	B7	Cl	H	H
2-pyridyl	CO_2Et	H	B7	Cl	H	H
2-pyridyl	H	H	H	Cl	Cl	Me
2-pyridyl	Me	H	H	Cl	Cl	Me
2-pyridyl	Cl	H	H	Cl	Cl	Me
2-pyridyl	CF_3	H	H	Cl	Cl	Me
2-pyridyl	cPr	H	H	Cl	Cl	Me
2-pyridyl	OMe	H	H	Cl	Cl	Me
2-pyridyl	NO_2	H	H	Cl	Cl	Me
2-pyridyl	CN	H	H	Cl	Cl	Me
2-pyridyl	CO_2Me	H	H	Cl	Cl	Me
2-pyridyl	CO_2Et	H	H	Cl	Cl	Me
2-pyridyl	H	H	H	Cl	Cl	H
2-pyridyl	H	H	H	Cl	Cl	CF_3
2-pyridyl	H	H	H	Cl	Cl	CF_2H
2-pyridyl	H	H	H	Cl	Cl	CH_2OMe
2-pyridyl	H	H	H	Cl	Cl	COMe
2-pyridyl	H	H	H	Cl	CF_3	Me
2-pyridyl	H	H	H	Br	Me	Me
2-pyridyl	H	H	H	Me	Br	Me
2-pyridyl	H	H	H	Me	Br	H
2-pyridyl	H	H	H	Br	H	H
2-pyridyl	H	H	H	OMe	Me	Me
2-pyridyl	H	H	H	Me	OMe	Me
2-pyridyl	H	H	B7	OMe	H	H
2-pyridyl	H	H	H	Cl	OMe	Me
2-pyridyl	H	H	H	OCF_3	Me	Me
2-pyridyl	H	H	H	OCF_3	Cl	Me
2-pyridyl	H	H	B7	OCF_3	H	H
2-pyridyl	H	H	H	Me	OCF_3	Me
2-pyridyl	H	H	H	Cl	OCF_3	Me
2-pyridyl	H	H	H	SMe	Cl	Me
2-pyridyl	H	H	H	Me	SMe	Me
2-pyridyl	H	H	H	Cl	SMe	Me
2-pyridyl	H	H	B7	SMe	H	H
2-pyridyl	H	H	H	CF_3	Me	Me
2-pyridyl	H	H	H	CF_3	Cl	H
2-pyridyl	H	H	H	CF_3	Cl	Me
2-pyridyl	Me	H	H	CF_3	Cl	Me
2-pyridyl	Cl	H	H	CF_3	Cl	Me
2-pyridyl	Br	H	H	CF_3	Cl	Me
2-pyridyl	CF_3	H	H	CF_3	Cl	Me
2-pyridyl	NO_2	H	H	CF_3	Cl	Me
2-pyridyl	CN	H	H	CF_3	Cl	Me
2-pyridyl	CO_2Me	H	H	CF_3	Cl	Me
2-pyridyl	CO_2Et	H	H	CF_3	Cl	Me
2-pyridyl	H	H	H	CF_3	Cl	CF_3
2-pyridyl	H	H	H	CF_3	Cl	CF_2H
2-pyridyl	H	H	H	CF_3	Cl	CH_2OMe
2-pyridyl	H	H	H	CF_3	Cl	$COCH_3$
2-pyridyl	H	H	H	CF_3	H	Me
2-pyridyl	H	H	H	CF_3	H	H
2-pyridyl	H	H	H	CF_3	Br	Me
2-pyridyl	H	H	H	CF_3	SMe	Me
2-pyridyl	H	H	H	CF_3	SOMe	Me
2-pyridyl	H	H	H	CF_3	SO_2Me	Me
2-pyridyl	H	H	H	CF_3	CF_3	Me
2-pyridyl	H	H	H	CF_3	NO_2	Me
2-pyridyl	H	H	H	CF_3	CN	Me
2-pyridyl	H	H	H	CF_3	NHMe	Me
2-pyridyl	H	H	H	CF_3	NMe_2	Me
2-pyridyl	H	H	H	CF_3	OH	Me
2-pyridyl	H	H	H	CF_3	CO_2Me	Me
2-pyridyl	H	H	H	CF_3	OMe	Me
2-pyridyl	H	H	H	CF_3	OCF_3	Me
2-pyridyl	H	H	H	CF_3	OCF_2H	Me
2-pyridyl	H	H	H	CF_3	OCF_2H	CF_2H
2-pyridyl	H	H	H	NO_2	Cl	Me
2-pyridyl	H	H	H	NO_2	Me	Me
2-pyridyl	H	H	B7	NO_2	H	H
2-pyridyl	H	H	H	Cl	NO_2	Me
2-pyridyl	H	H	H	CN	Cl	Me
2-pyridyl	H	H	H	CN	Me	Me
2-pyridyl	H	H	H	CN	H	H
2-pyridyl	H	H	H	Cl	CN	Me
2-pyridyl	H	H	H	NMe_2	H	H

TABLE 1-continued

2-pyridyl	H	H	H	Cl	NMe_2	Me
2-pyridyl	H	H	H	OH	Me	Me
2-pyridyl	H	H	H	OH	H	H
2-pyridyl	H	H	H	Cl	OH	Me
2-pyridyl	H	H	H	CO_2Me	Me	Me
2-pyridyl	H	H	H	CO_2Me	Cl	Me
2-pyridyl	H	H	H	CO_2Me	H	H
2-pyridyl	H	H	B1	Cl	Cl	Me
2-pyridyl	H	H	B2	Cl	Cl	Me
2-pyridyl	H	H	B3	Cl	Cl	Me
2-pyridyl	H	H	B4	Cl	Cl	Me
2-pyridyl	H	H	B5	Cl	Cl	Me
2-pyridyl	H	H	B6	Cl	Cl	Me
2-pyridyl	H	H	B7	Cl	Cl	Me
2-pyridyl	Cl	H	B7	Cl	Cl	Me
2-pyridyl	H	H	B8	Cl	Cl	Me
2-pyridyl	H	H	B9	Cl	Cl	Me
2-pyridyl	H	H	B10	Cl	Cl	Me
2-pyridyl	H	H	B11	Cl	Cl	Me
2-pyridyl	H	H	B12	Cl	Cl	Me
2-pyridyl	H	H	B13	Cl	Cl	Me
2-pyridyl	H	H	B14	Cl	Cl	Me
2-pyridyl	H	H	B15	Cl	Cl	Me
2-pyridyl	H	H	B16	Cl	Cl	Me
2-pyridyl	H	H	B17	Cl	Cl	Me
2-pyridyl	H	H	B18	Cl	Cl	Me
2-pyridyl	H	H	B19	Cl	Cl	Me
2-pyridyl	H	H	B20	Cl	Cl	Me
2-pyridyl	H	H	B21	Cl	Cl	Me
2-pyridyl	H	H	B22	Cl	Cl	Me
2-pyridyl	H	H	B23	Cl	Cl	Me
2-pyridyl	H	H	B24	Cl	Cl	Me
2-pyridyl	H	H	B25	Cl	Cl	Me
2-pyridyl	H	H	B26	Cl	Cl	Me
2-pyridyl	H	H	B27	Cl	Cl	Me
2-pyridyl	H	H	B28	Cl	Cl	Me
2-pyridyl	H	H	B29	Cl	Cl	Me
2-pyridyl	H	H	B30	Cl	Cl	Me
2-pyridyl	H	H	B31	Cl	Cl	Me
2-pyridyl	H	H	B32	Cl	Cl	Me
2-pyridyl	H	H	B33	Cl	Cl	Me
2-pyridyl	H	H	B34	Cl	Cl	Me
2-pyridyl	H	H	Na	Cl	Cl	Me
2-pyridyl	H	H	K	Cl	Cl	Me
2-pyridyl	H	H	B1	CF_3	Cl	Me
2-pyridyl	H	H	B2	CF_3	Cl	Me
2-pyridyl	H	H	B3	CF_3	Cl	Me
2-pyridyl	H	H	B4	CF_3	Cl	Me
2-pyridyl	Cl	H	B4	CF_3	Cl	Me
2-pyridyl	CO_2Me	H	B4	CF_3	Cl	Me
2-pyridyl	H	H	B5	CF_3	Cl	Me
2-pyridyl	H	H	B6	CF_3	Cl	Me
2-pyridyl	H	H	B7	CF_3	Cl	Me
2-pyridyl	Cl	H	B7	CF_3	Cl	Me
2-pyridyl	NO_2	H	B7	CF_3	Cl	Me
2-pyridyl	CO_2Me	H	B7	CF_3	Cl	Me
2-pyridyl	H	H	B8	CF_3	Cl	Me
2-pyridyl	H	H	B9	CF_3	Cl	Me
2-pyridyl	H	H	B10	CF_3	Cl	Me
2-pyridyl	H	H	B11	CF_3	Cl	Me
2-pyridyl	H	H	B12	CF_3	Cl	Me
2-pyridyl	H	H	B13	CF_3	Cl	Me
2-pyridyl	H	H	B14	CF_3	Cl	Me
2-pyridyl	H	H	B15	CF_3	Cl	Me
2-pyridyl	H	H	B16	CF_3	Cl	Me
2-pyridyl	H	H	B17	CF_3	Cl	Me
2-pyridyl	H	H	B18	CF_3	Cl	Me
2-pyridyl	H	H	B19	CF_3	Cl	Me
2-pyridyl	H	H	B20	CF_3	Cl	Me
2-pyridyl	H	H	B21	CF_3	Cl	Me
2-pyridyl	H	H	B22	CF_3	Cl	Me
2-pyridyl	H	H	B23	CF_3	Cl	Me
2-pyridyl	H	H	B24	CF_3	Cl	Me
2-pyridyl	H	H	B25	CF_3	Cl	Me
2-pyridyl	H	H	B26	CF_3	Cl	Me
2-pyridyl	H	H	B27	CF_3	Cl	Me
2-pyridyl	H	H	B28	CF_3	Cl	Me
2-pyridyl	H	H	B29	CF_3	Cl	Me
2-pyridyl	H	H	B30	CF_3	Cl	Me

TABLE 1-continued

2-pyridyl	H	H	B31	CF_3	Cl	Me
2-pyridyl	H	H	B32	CF_3	Cl	Me
2-pyridyl	H	H	B33	CF_3	Cl	Me
2-pyridyl	H	H	B34	CF_3	Cl	Me
2-pyridyl	H	H	Na	CF_3	Cl	Me
2-pyridyl	H	H	K	CF_3	Cl	Me
2-pyridyl	H	H	B1	Cl	H	H
2-pyridyl	H	H	B2	Cl	H	H
2-pyridyl	H	H	B3	Cl	H	H
2-pyridyl	H	H	B4	Cl	H	H
2-pyridyl	Me	H	B4	Cl	H	H
2-pyridyl	Cl	H	B4	Cl	H	H
2-pyridyl	NO_2	H	B4	Cl	H	H
2-pyridyl	CO_2Me	H	B4	Cl	H	H
2-pyridyl	CO_2Et	H	B4	Cl	H	H
2-pyridyl	H	H	B5	Cl	H	H
2-pyridyl	H	H	B6	Cl	H	H
2-pyridyl	NO_2	H	B6	Cl	H	H
2-pyridyl	H	H	B7	Cl	H	H
2-pyridyl	Me	H	B7	Cl	H	H
2-pyridyl	Cl	H	B7	Cl	H	H
2-pyridyl	NO_2	H	B7	Cl	H	H
2-pyridyl	CO_2Me	H	B7	Cl	H	H
2-pyridyl	CO_2Et	H	B7	Cl	H	H
2-pyridyl	H	H	B8	Cl	H	H
2-pyridyl	H	H	B9	Cl	H	H
2-pyridyl	H	H	B10	Cl	H	H
2-pyridyl	H	H	B11	Cl	H	H
2-pyridyl	H	H	B12	Cl	H	H
2-pyridyl	H	H	B13	Cl	H	H
2-pyridyl	H	H	B14	Cl	H	H
2-pyridyl	H	H	B15	Cl	H	H
2-pyridyl	H	H	B16	Cl	H	H
2-pyridyl	H	H	B17	Cl	H	H
2-pyridyl	H	H	B18	Cl	H	H
2-pyridyl	H	H	B19	Cl	H	H
2-pyridyl	H	H	B20	Cl	H	H
2-pyridyl	H	H	B21	Cl	H	H
2-pyridyl	H	H	B22	Cl	H	H
2-pyridyl	H	H	B23	Cl	H	H
2-pyridyl	H	H	B24	Cl	H	H
2-pyridyl	H	H	B25	Cl	H	H
2-pyridyl	H	H	B26	Cl	H	H
2-pyridyl	H	H	B27	Cl	H	H
2-pyridyl	H	H	B28	Cl	H	H
2-pyridyl	H	H	B29	Cl	H	H
2-pyridyl	H	H	B30	Cl	H	H
2-pyridyl	H	H	B31	Cl	H	H
2-pyridyl	H	H	B32	Cl	H	H
2-pyridyl	H	H	B33	Cl	H	H
2-pyridyl	H	H	B34	Cl	H	H
2-pyridyl	H	H	Na	Cl	H	H
2-pyridyl	H	H	K	Cl	H	H
3-pyridyl	H	H	H	Cl	Cl	Me
3-pyridyl	H	H	H	CF_3	Cl	Me
3-pyridyl	H	H	H	Cl	H	H
3-pyridyl	H	H	B4	Cl	H	H
3-pyridyl	H	H	B7	Cl	H	H
4-pyridyl	H	H	H	Cl	Cl	Me
4-pyridyl	H	H	H	CF_3	Cl	Me
4-pyridyl	H	H	H	Cl	H	H
4-pyridyl	H	H	B4	Cl	H	H
4-pyridyl	H	H	B7	Cl	H	H
3-Me-pyridin-2-yl	H	H	H	Cl	Cl	Me
2-F—Ph	H	H	H	Me	H	Me
2-F—Ph	H	H	H	Me	Me	Me
2-F—Ph	H	H	H	Me	Cl	Me
2-F—Ph	H	H	H	Cl	H	H
2-F—Ph	H	H	H	Cl	H	Me
2-F—Ph	H	H	H	Cl	H	CF_3
2-F—Ph	H	H	H	Cl	Cl	Me
2-F—Ph	Cl	H	H	Cl	Cl	Me
2-F—Ph	H	H	B7	OMe	H	H
2-F—Ph	H	H	B7	SMe	H	H
2-F—Ph	H	H	H	CF_3	Me	Me
2-F—Ph	H	H	H	CF_3	Cl	Me
2-F—Ph	Cl	H	H	CF_3	Cl	Me
2-F—Ph	H	H	H	CF_3	H	Me
2-F—Ph	H	H	B1	Cl	Cl	Me

TABLE 1-continued

2-F—Ph	Cl	H	B1	Cl	Cl	Me
2-F—Ph	H	H	B3	Cl	Cl	Me
2-F—Ph	Cl	H	B4	Cl	Cl	Me
2-F—Ph	H	H	B6	Cl	Cl	Me
2-F—Ph	H	H	B7	Cl	Cl	Me
2-F—Ph	Cl	H	B7	Cl	Cl	Me
2-F—Ph	H	H	B9	Cl	Cl	Me
2-F—Ph	H	H	B20	Cl	Cl	Me
2-F—Ph	H	H	B24	Cl	Cl	Me
2-F—Ph	H	H	B2	CF_3	Cl	Me
2-F—Ph	H	H	B3	CF_3	Cl	Me
2-F—Ph	H	H	B4	CF_3	Cl	Me
2-F—Ph	Cl	H	B4	CF_3	Cl	Me
2-F—Ph	H	H	B6	CF_3	Cl	Me
2-F—Ph	H	H	B7	CF_3	Cl	Me
2-F—Ph	Cl	H	B7	CF_3	Cl	Me
2-F—Ph	H	H	B9	CF_3	Cl	Me
2-F—Ph	H	H	B20	CF_3	Cl	Me
2-F—Ph	H	H	B24	CF_3	Cl	Me
2-F—Ph	H	H	B6	Cl	H	H
2-F—Ph	H	H	B7	Cl	H	H
3-F—Ph	H	H	H	Cl	Cl	Me
3-F—Ph	Cl	H	H	Cl	Cl	Me
3-F—Ph	H	H	H	CF_3	Cl	Me
3-F—Ph	Cl	H	H	CF_3	Cl	Me
4-F—Ph	H	H	H	Cl	Cl	Me
4-F—Ph	Cl	H	H	Cl	Cl	Me
4-F—Ph	H	H	H	CF_3	Cl	Me
4-F—Ph	Cl	H	H	CF_3	Cl	Me
2,3-F_2—Ph	H	H	H	Cl	Cl	Me
2,3-F_2—Ph	H	H	H	CF_3	Cl	Me
2,4-F_2—Ph	H	H	H	Cl	Cl	Me
2,4-F_2—Ph	H	H	H	CF_3	Cl	Me
2,5-F_2—Ph	H	H	H	Cl	Cl	Me
2,5-F_2—Ph	H	H	H	CF_3	Cl	Me
Ph	H	H	H	Me	H	Me
Ph	H	H	H	Me	Me	Me
Ph	H	H	H	Me	Cl	Me
Ph	H	H	B7	Cl	H	H
Ph	H	H	H	Cl	H	Me
Ph	H	H	H	Cl	H	CF_3
Ph	H	H	H	Cl	Cl	Me
Ph	Cl	H	H	Cl	Cl	Me
Ph	H	H	B7	OMe	H	H
Ph	H	H	B7	SMe	H	H
Ph	H	H	H	CF_3	Me	Me
Ph	H	H	H	CF_3	Cl	Me
Ph	Cl	H	H	CF_3	Cl	Me
Ph	H	H	B7	CF_3	H	Me
Ph	H	H	B1	Cl	Cl	Me
Ph	Cl	H	B1	Cl	Cl	Me
Ph	H	H	B3	Cl	Cl	Me
Ph	Cl	H	B4	Cl	Cl	Me
Ph	H	H	B6	Cl	Cl	Me
Ph	H	H	B7	Cl	Cl	Me
Ph	Cl	H	B7	Cl	Cl	Me
Ph	H	H	B9	Cl	Cl	Me
Ph	H	H	B20	Cl	Cl	Me
Ph	H	H	B24	Cl	Cl	Me
Ph	H	H	B2	CF_3	Cl	Me
Ph	H	H	B3	CF_3	Cl	Me
Ph	H	H	B4	CF_3	Cl	Me
Ph	Cl	H	B4	CF_3	Cl	Me
Ph	H	H	B6	CF_3	Cl	Me
Ph	H	H	B7	CF_3	Cl	Me
Ph	Cl	H	B7	CF_3	Cl	Me
Ph	H	H	B9	CF_3	Cl	Me
Ph	H	H	B20	CF_3	Cl	Me
Ph	H	H	B24	CF_3	Cl	Me
Ph	H	H	B6	Cl	H	H
Ph	H	H	B7	Cl	H	H
3,4-F_2—Ph	H	H	H	Cl	Cl	Me
3,4-F_2—Ph	H	H	H	CF_3	Cl	Me
3,5-F_2—Ph	H	H	H	Cl	Cl	Me
3,5-F_2—Ph	H	H	H	CF_3	Cl	Me
2-Cl—Ph	H	H	H	Me	H	Me
2-Cl—Ph	H	H	H	Me	Me	Me
2-Cl—Ph	H	H	H	Me	Cl	Me
2-Cl—Ph	H	H	H	Cl	H	H

TABLE 1-continued

2-Cl—Ph	H	H	H	Cl	H	Me
2-Cl—Ph	H	H	H	Cl	H	CF_3
2-Cl—Ph	H	H	H	Cl	Cl	Me
2-Cl—Ph	Cl	H	H	Cl	Cl	Me
2-Cl—Ph	H	H	H	OMe	H	H
2-Cl—Ph	H	H	H	SMe	H	H
2-Cl—Ph	H	H	H	CF_3	Me	Me
2-Cl—Ph	H	H	H	CF_3	Cl	Me
2-Cl—Ph	Cl	H	H	CF_3	Cl	Me
2-Cl—Ph	H	H	H	CF_3	H	Me
2-Cl—Ph	H	H	H	Cl	Cl	Me
2-Cl—Ph	Cl	H	B1	Cl	Cl	Me
2-Cl—Ph	H	H	B3	Cl	Cl	Me
2-Cl—Ph	Cl	H	B4	Cl	Cl	Me
2-Cl—Ph	H	H	B6	Cl	Cl	Me
2-Cl—Ph	H	H	B7	Cl	Cl	Me
2-Cl—Ph	Cl	H	B7	Cl	Cl	Me
2-Cl—Ph	H	H	B9	Cl	Cl	Me
2-Cl—Ph	H	H	B20	Cl	Cl	Me
2-Cl—Ph	H	H	B24	Cl	Cl	Me
2-Cl—Ph	H	H	B2	CF_3	Cl	Me
2-Cl—Ph	H	H	B3	CF_3	Cl	Me
2-Cl—Ph	H	H	B4	CF_3	Cl	Me
2-Cl—Ph	Cl	H	B4	CF_3	Cl	Me
2-Cl—Ph	H	H	B6	CF_3	Cl	Me
2-Cl—Ph	H	H	B7	CF_3	Cl	Me
2-Cl—Ph	Cl	H	B7	CF_3	Cl	Me
2-Cl—Ph	H	H	B9	CF_3	Cl	Me
2-Cl—Ph	H	H	B20	CF_3	Cl	Me
2-Cl—Ph	H	H	B24	CF_3	Cl	Me
2-Cl—Ph	H	H	B6	Cl	H	H
2-Cl—Ph	H	H	B7	Cl	H	H
3-Cl—Ph	H	H	H	Cl	Cl	Me
3-Cl—Ph	Cl	H	H	Cl	Cl	Me
3-Cl—Ph	H	H	H	CF_3	Cl	Me
3-Cl—Ph	Cl	H	H	CF_3	Cl	Me
4-Cl—Ph	H	H	H	Cl	Cl	Me
4-Cl—Ph	Cl	H	H	Cl	Cl	Me
4-Cl—Ph	H	H	H	CF_3	Cl	Me
4-Cl—Ph	Cl	H	H	CF_3	Cl	Me
2,3-Cl_2—Ph	H	H	H	Cl	Cl	Me
2,3-Cl_2—Ph	H	H	H	CF_3	Cl	Me
2,4-Cl_2—Ph	H	H	H	Cl	Cl	Me
2,4-Cl_2—Ph	H	H	H	CF_3	Cl	Me
2,5-Cl_2—Ph	H	H	H	Cl	Cl	Me
2,5-Cl_2—Ph	H	H	H	CF_3	Cl	Me
2,6-Cl_2—Ph	H	H	H	Me	H	Me
2,6-Cl_2—Ph	H	H	H	Me	Me	Me
2,6-Cl_2—Ph	H	H	H	Me	Cl	Me
2,6-Cl_2—Ph	H	H	B7	Cl	H	H
2,6-Cl_2—Ph	H	H	H	Cl	H	Me
2,6-Cl_2—Ph	H	H	H	Cl	H	CF_3
2,6-Cl_2—Ph	H	H	H	Cl	Cl	Me
2,6-Cl_2—Ph	Cl	H	H	Cl	Cl	Me
2,6-Cl_2—Ph	H	H	B7	OMe	H	H
2,6-Cl_2—Ph	H	H	B7	SMe	H	H
2,6-Cl_2—Ph	H	H	H	CF_3	Me	Me
2,6-Cl_2—Ph	H	H	H	CF_3	Cl	Me
2,6-Cl_2—Ph	Cl	H	H	CF_3	Cl	Me
2,6-Cl_2—Ph	H	H	H	CF_3	H	Me
2,6-Cl_2—Ph	H	H	B1	Cl	Cl	Me
2,6-Cl_2—Ph	Cl	H	B1	Cl	Cl	Me
2,6-Cl_2—Ph	H	H	B3	Cl	Cl	Me
2,6-Cl_2—Ph	Cl	H	B4	Cl	Cl	Me
2,6-Cl_2—Ph	H	H	B6	Cl	Cl	Me
2,6-Cl_2—Ph	H	H	B7	Cl	Cl	Me
2,6-Cl_2—Ph	Cl	H	B7	Cl	Cl	Me
2,6-Cl_2—Ph	H	H	B9	Cl	Cl	Me
2,6-Cl_2—Ph	H	H	B20	Cl	Cl	Me
2,6-Cl_2—Ph	H	H	B24	Cl	Cl	Me
2,6-Cl_2—Ph	H	H	B2	CF_3	Cl	Me
2,6-Cl_2—Ph	H	H	B3	CF_3	Cl	Me
2,6-Cl_2—Ph	H	H	B4	CF_3	Cl	Me
2,6-Cl_2—Ph	Cl	H	B4	CF_3	Cl	Me
2,6-Cl_2—Ph	H	H	B6	CF_3	Cl	Me
2,6-Cl_2—Ph	H	H	B7	CF_3	Cl	Me
2,6-Cl_2—Ph	Cl	H	B7	CF_3	Cl	Me
2,6-Cl_2—Ph	H	H	B9	CF_3	Cl	Me
2,6-Cl_2—Ph	H	H	B20	CF_3	Cl	Me

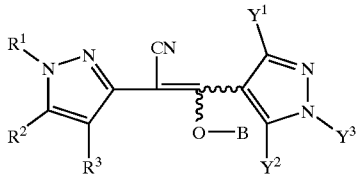
TABLE 1-continued

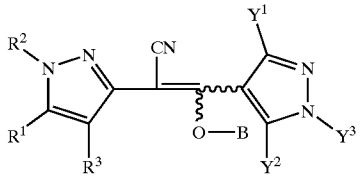
2,6-Cl_2—Ph	H	H	B24	CF_3	Cl	Me
2,6-Cl_2—Ph	H	H	B8	Cl	H	H
2,6-Cl_2—Ph	H	H	B15	Cl	H	H
3,4-Cl_2—Ph	H	H	H	Cl	Cl	Me
3,4-Cl_2—Ph	H	H	H	CF_3	Cl	Me
3,5-Cl_2—Ph	H	H	H	Cl	Cl	Mc
3,5-Cl_2—Ph	H	H	H	CF_3	Cl	Me
2-Me—Ph	H	H	H	Cl	Cl	Me
2-Me—Ph	H	H	H	CF_3	Cl	Me
2,6-Me_2—Ph	H	H	H	Cl	Cl	Me
2,6-Me_2—Ph	H	H	H	CF_3	Cl	Me
2-MeO—Ph	H	H	H	Cl	Cl	Me
2-MeO—Ph	H	H	H	CF_3	Cl	Me
2-MeO—Ph	Cl	H	H	CF_3	Cl	Me
2-CF_3O—Ph	H	H	H	Cl	Cl	Me
2-CF_3O—Ph	H	H	H	CF_3	Cl	Me
2-SMe—Ph	H	H	H	Cl	Cl	Me
2-SMe—Ph	H	H	H	CF_3	Cl	Me
2-SOMe—Ph	H	H	H	Cl	Cl	Me
2-SOMe—Ph	H	H	H	CF_3	Cl	Me
2-SO_2Me—Ph	H	H	H	Cl	Cl	Me
2-SO_2Me—Ph	H	H	H	CF_3	Cl	Me
2-CF_3—Ph	H	H	H	Cl	Cl	Me
2-CF_3—Ph	H	H	H	CF_3	Cl	Me
2-NO_2—Ph	H	H	H	Cl	Cl	Me
2-NO_2—Ph	H	H	H	CF_3	Cl	Me
2-CN—Ph	H	H	H	Cl	Cl	Me
2-CN—Ph	H	H	H	CF_3	Cl	Me
2-NHMe—Ph	H	H	H	Cl	Cl	Me
2-NHMe—Ph	H	H	H	CF_3	Cl	Me
2-NMe_2—Ph	H	H	H	Cl	Cl	Me
2-NMe_2—Ph	H	H	H	CF_3	Cl	Me
4-CH_2Ph—Ph	H	H	H	Cl	Cl	Me
4-OPh—Ph	H	H	H	Cl	Cl	Me
2-OH—Ph	H	H	H	Cl	Cl	Me
2-OH—Ph	H	H	H	CF_3	Cl	Me
2-CO_2Me—Ph	H	H	H	Cl	Cl	Me
2-CO_2Me—Ph	H	H	H	CF_3	Cl	Me
2-CO_2Et—Ph	H	H	H	Cl	Cl	Me
2-CO_2Et—Ph	H	H	H	CF_3	Cl	Me
H	CO_2Et	Ph	H	Cl	Cl	Me
Cl	CO_2Et	H	H	Cl	Cl	Me
Me	Ph	H	H	Cl	Cl	Me
Et	Me	H	H	Cl	Cl	Me
nPr	H	H	H	Cl	Cl	Me
iPr	H	H	H	Cl	Cl	Me
iPr	H	H	H	CF_3	Cl	Me
nBu	H	H	H	Cl	Cl	Me
nBu	H	H	H	CF_3	Cl	Me
iBu	H	H	H	Cl	Cl	Me
iBu	H	H	H	CF_3	Cl	Me
iBu	H	H	B7	Cl	Cl	Me
iBu	H	H	B7	CF_3	Cl	Me
secBu	H	H	H	Cl	Cl	Me
secBu	H	H	H	CF_3	Cl	Me
2,2-Me_2-propyl	H	H	H	Cl	Cl	Me
nHex	H	H	H	Cl	Cl	Me
ethenyl	H	H	H	Cl	Cl	Me
1-propenyl	H	H	H	Cl	Cl	Me
1-propenyl	H	H	H	CF_3	Cl	Me
ethynyl	H	H	H	Cl	Cl	Me
1-propynyl	H	H	H	Cl	Cl	Me
1-propynyl	H	H	H	CF_3	Cl	Me
CF_3	H	H	H	Cl	Cl	Me
CF_3	H	H	H	CF_3	Cl	Me
C_2F_5	H	H	H	Cl	Cl	Me
C_2F_5	H	H	H	CF_3	Cl	Me
2,2-Cl_2-cPr	H	H	H	Cl	Cl	Me
2,2-Cl_2-cPr	H	H	H	CF_3	Cl	Me
cPr	H	H	H	Cl	Cl	Me
cPr	H	H	H	CF_3	Cl	Me
1-Me-cPr	H	H	H	Cl	Cl	Me
1-Me-cpr	H	H	H	CF_3	Cl	Me
cHex	H	H	H	Cl	Cl	Me
cHex	H	H	H	CF_3	Cl	Me
OMe	H	H	H	Cl	Cl	Me
OtBu	H	H	H	Cl	Cl	Me
OtBu	H	H	H	CF_3	Cl	Me
OCF_3	H	H	H	Cl	Cl	Me

TABLE 1-continued

OCF_3	H	H	H	CF_3	Cl	Me
StBu	H	H	H	Cl	Cl	Me
StBu	H	H	H	CF_3	Cl	Me
SOtBu	H	H	H	Cl	Cl	Me
SOtBu	H	H	H	CF_3	Cl	Me
SO_2tBu	H	H	H	Cl	Cl	Me
SO_2tBu	H	H	H	CF_3	Cl	Me
NO_2	H	H	H	Cl	Cl	Me
NO_2	H	H	H	CF_3	Cl	Me
CN	H	H	H	Cl	Cl	Me
CN	H	H	H	CF_3	Cl	Me
NH_2	H	H	H	Cl	Cl	Me
NH_2	H	H	H	CF_3	Cl	Me
NHMe	H	H	H	Cl	Cl	Me
NHMe	H	H	H	CF_3	Cl	Me
NMe_2	H	H	H	Cl	Cl	Me
NMe_2	H	H	H	CF_3	Cl	Me
CH_2Ph	H	H	H	Cl	Cl	Me
CH_2Ph	H	H	H	CF_3	Cl	Me
OPh	H	H	H	Cl	Cl	Me
OPh	H	H	H	CF_3	Cl	Me
OH	H	H	H	Cl	Cl	Me
OH	H	H	H	CF_3	Cl	Me
naphthyl-1	H	H	H	Cl	Cl	Me
naphthyl-1	H	H	H	CF_3	Cl	Me
naphthyl-2	H	H	H	Cl	Cl	Me
naphthyl-2	H	H	H	CF_3	Cl	Me
CO_2Me	H	H	H	Cl	Cl	Me
CO_2Me	H	H	H	CF_3	Cl	Me
CO_2Et	H	H	H	Cl	Cl	Me
CO_2Et	H	H	H	CF_3	Cl	Me
2-thienyl	H	H	H	Cl	Cl	Me
2-thienyl	H	H	H	CF_3	Cl	Me
CH_2OMe	H	H	H	Cl	Cl	Me
$COCH_3$	H	H	H	Cl	Cl	Me
—N=CMe_2	H	H	H	Cl	Cl	Me
—N=CMe_2	H	H	H	CF_3	Cl	Me
—$(CH_2)_3$—		H	H	Cl	Cl	Me
—$(CH_2)_3$—		H	H	CF_3	Cl	Me
—$(CH_2)_4$—		H	H	Cl	Cl	Me
—$(CH_2)_4$—		H	H	CF_3	Cl	Me

TABLE 2





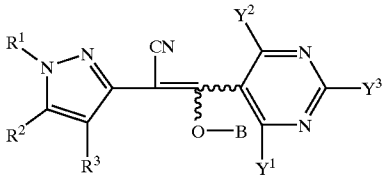
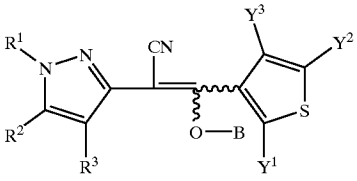
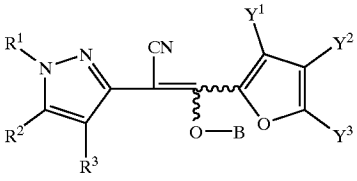


TABLE 2-continued





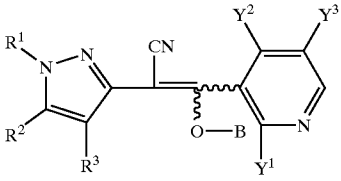
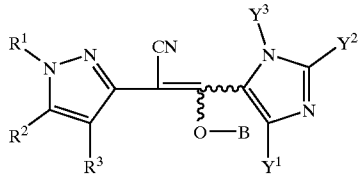
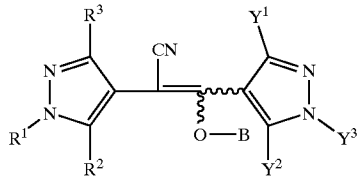
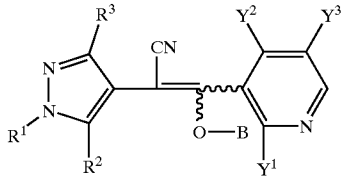
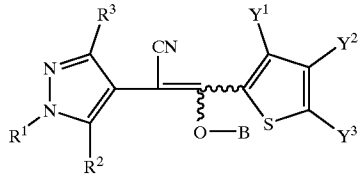


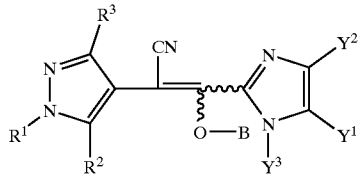
TABLE 2-continued

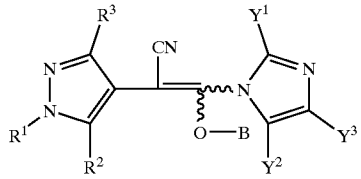


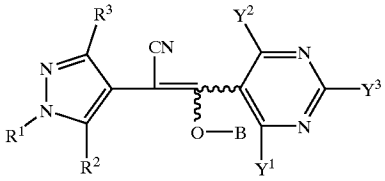












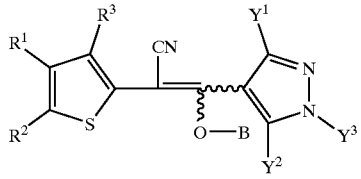
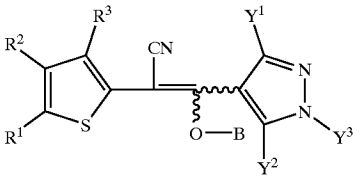
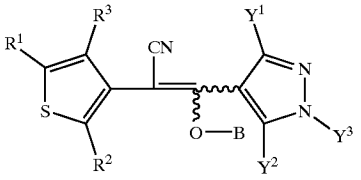
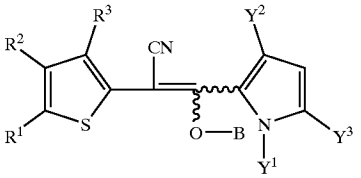
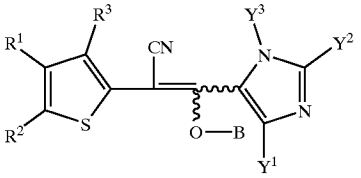


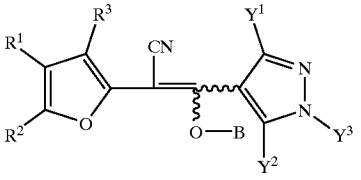
TABLE 2-continued

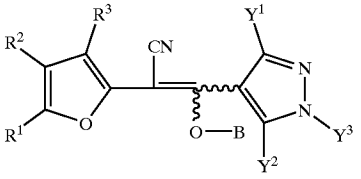


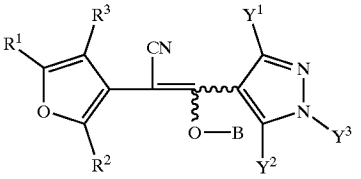












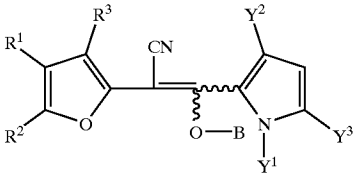
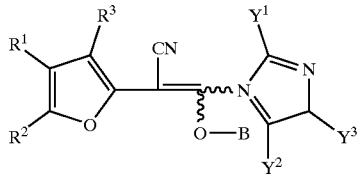


TABLE 2-continued



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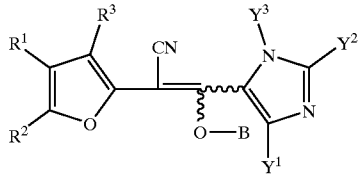

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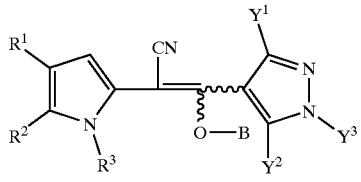

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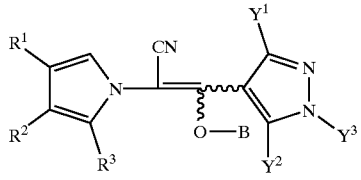

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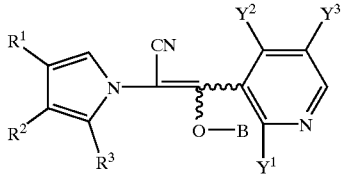

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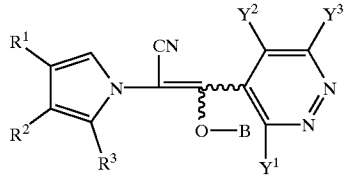


R^1	R^2	R^3	B	Y^1	Y^2	Y^3
2,6-F_2—Ph	H	H	H	Me	H	Me
2,6-F_2—Ph	H	H	H	Me	H	CF_3
2,6-F_2—Ph	H	H	H	Me	H	H
2,6-F_2—Ph	H	H	H	Me	Me	Me
2,6-F_2—Ph	H	H	H	Me	Cl	Me
2,6-F_2—Ph	Cl	H	H	Me	Cl	Me
2,6-F_2—Ph	H	H	H	Et	Me	Me
2,6-F_2—Ph	H	H	H	Cl	Me	Me
2,6-F_2—Ph	H	H	H	Cl	H	Me
2,6-F_2—Ph	H	H	H	Cl	H	CF_3
2,6-F_2—Ph	H	H	H	Cl	H	H
2,6-F_2—Ph	Me	H	H	Cl	H	H
2,6-F_2—Ph	Cl	H	H	Cl	H	H
2,6-F_2—Ph	H	H	H	Cl	Cl	Me
2,6-F_2—Ph	Me	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	Cl	H	Cl	Cl	Me
2,6-F_2—Ph	Cl	H	H	Cl	Cl	Me
2,6-F_2—Ph	CF_3	H	H	Cl	Cl	Me
2,6-F_2—Ph	OMe	H	H	Cl	Cl	Me
2,6-F_2—Ph	NH_2	H	H	Cl	Cl	Me
2,6-F_2—Ph	NHMe	H	H	Cl	Cl	Me

TABLE 2-continued

2,6-F_2—Ph	NMe_2	H	H	Cl	Cl	Me
2,6-F_2—Ph	NO_2	H	H	Cl	Cl	Me
2,6-F_2—Ph	CN	H	H	Cl	Cl	Me
2,6-F_2—Ph	OH	H	H	Cl	Cl	Me
2,6-F_2—Ph	CO_2Me	H	H	Cl	Cl	Me
2,6-F_2—Ph	CO_2Et	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	H	H	Cl	Cl	CF_3
2,6-F_2—Ph	H	H	H	Cl	Cl	CF_2H
2,6-F_2—Ph	H	H	H	Cl	Cl	CH_2OMe
2,6-F_2—Ph	H	H	H	Cl	Cl	COMe
2,6-F_2—Ph	H	H	H	Cl	CF_3	Me
2,6-F_2—Ph	H	H	H	Br	Me	Me
2,6-F_2—Ph	H	H	H	Me	Br	Me
2,6-F_2—Ph	H	H	H	Me	OMe	Me
2,6-F_2—Ph	H	H	H	OMe	H	H
2,6-F_2—Ph	H	H	H	OCF_3	H	H
2,6-F_2—Ph	H	H	H	SMe	H	H
2,6-F_2—Ph	H	H	H	CF_3	Me	Me
2,6-F_2—Ph	H	H	H	CF_3	Cl	Me
2,6-F_2—Ph	Me	H	H	CF_3	Cl	Me
2,6-F_2—Ph	Cl	H	H	CF_3	Cl	Me
2,6-F_2—Ph	Br	H	H	CF_3	Cl	Me
2,6-F_2—Ph	CF_3	H	H	CF_3	Cl	Me
2,6-F_2—Ph	NO_2	H	H	CF_3	Cl	Me
2,6-F_2—Ph	CN	H	H	CF_3	Cl	Me
2,6-F_2—Ph	CO_2Me	H	H	CF_3	Cl	Me
2,6-F_2—Ph	CO_2Et	H	H	CF_3	Cl	Me
2,6-F_2—Ph	H	H	H	CF_3	Cl	CF_3
2,6-F_2—Ph	H	H	H	CF_3	Cl	CF_2H
2,6-F_2—Ph	H	H	H	CF_3	Cl	CH_2OMe
2,6-F_2—Ph	H	H	H	CF_3	Cl	$COCH_3$
2,6-F_2—Ph	H	H	H	CF_3	H	Me
2,6-F_2—Ph	H	H	H	CF_3	Br	Me
2,6-F_2—Ph	H	H	H	CF_3	NO_2	Me
2,6-F_2—Ph	H	H	H	CF_3	CN	Me
2,6-F_2—Ph	H	H	H	CF_3	NHMe	Me
2,6-F_2—Ph	H	H	H	CF_3	NMe_2	Me
2,6-F_2—Ph	H	H	H	CF_3	CO_2Me	Me
2,6-F_2—Ph	H	H	H	CF_3	OMe	Me
2,6-F_2—Ph	H	H	H	CF_3	OCF_2H	CF_2H
2,6-F_2—Ph	H	H	H	Cl	NO_2	Me
2,6-F_2—Ph	H	H	H	Cl	CN	Me
2,6-F_2—Ph	H	H	H	CO_2Me	Me	Me
2,6-F_2—Ph	H	H	B1	Cl	Cl	Me
2,6-F_2—Ph	H	H	B2	Cl	Cl	Me
2,6-F_2—Ph	H	H	B3	Cl	Cl	Me
2,6-F_2—Ph	H	H	B4	Cl	Cl	Me
2,6-F_2—Ph	H	H	B5	Cl	Cl	Me
2,6-F_2—Ph	H	H	B6	Cl	Cl	Me
2,6-F_2—Ph	H	H	B7	Cl	Cl	Me
2,6-F_2—Ph	H	H	B8	Cl	Cl	Me
2,6-F_2—Ph	H	H	B9	Cl	Cl	Me
2,6-F_2—Ph	H	H	B10	Cl	Cl	Me
2,6-F_2—Ph	H	H	B11	Cl	Cl	Me
2,6-F_2—Ph	H	H	B12	Cl	Cl	Me
2,6-F_2—Ph	H	H	B13	Cl	Cl	Me
2,6-F_2—Ph	H	H	B14	Cl	Cl	Me
2,6-F_2—Ph	H	H	B15	Cl	Cl	Me
2,6-F_2—Ph	H	H	B16	Cl	Cl	Me
2,6-F_2—Ph	H	H	B17	Cl	Cl	Me
2,6-F_2—Ph	H	H	B18	Cl	Cl	Me
2,6-F_2—Ph	H	H	B19	Cl	Cl	Me
2,6-F_2—Ph	H	H	B20	Cl	Cl	Me
2,6-F_2—Ph	H	H	B21	Cl	Cl	Me
2,6-F_2—Ph	H	H	B22	Cl	Cl	Me
2,6-F_2—Ph	H	H	B23	Cl	Cl	Me
2,6-F_2—Ph	H	H	B24	Cl	Cl	Me
2,6-F_2—Ph	H	H	B25	Cl	Cl	Me
2,6-F_2—Ph	H	H	B26	Cl	Cl	Me
2,6-F_2—Ph	H	H	B27	Cl	Cl	Me
2,6-F_2—Ph	H	H	B28	Cl	Cl	Me
2,6-F_2—Ph	H	H	B29	Cl	Cl	Me
2,6-F_2—Ph	H	H	B30	Cl	Cl	Me
2,6-F_2—Ph	H	H	B31	Cl	Cl	Me
2,6-F_2—Ph	H	H	B32	Cl	Cl	Me
2,6-F_2—Ph	H	H	B33	Cl	Cl	Me
2,6-F_2—Ph	H	H	B34	Cl	Cl	Me
2,6-F_2—Ph	H	H	Na	Cl	Cl	Me
2,6-F_2—Ph	H	H	K	Cl	Cl	Me

TABLE 2-continued

2,6-F_2—Ph	H	H	B2	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B3	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B4	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B5	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B6	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B7	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B8	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B9	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B10	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B11	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B12	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B13	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B14	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B15	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B16	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B17	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B18	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B19	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B20	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B21	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B22	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B23	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B24	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B25	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B26	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B27	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B28	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B29	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B30	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B31	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B32	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B33	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B34	CF_3	Cl	Me
2,6-F_2—Ph	H	H	Na	CF_3	Cl	Me
2,6-F_2—Ph	H	H	K	CF_3	Cl	Me
2,6-F_2—Ph	H	H	B7	Cl	H	H
2,6-F_2—Ph	H	H	B9	Cl	H	H
2,6-F_2—Ph	H	H	B10	Cl	H	H
2,6-F_2—PhPh	H	H	B11	Cl	H	H
tBu	H	H	H	Me	H	Me
tBu	H	H	H	Me	H	CF_3
tBu	H	H	H	Me	H	H
tBu	H	H	H	Me	Me	Me
tBu	H	H	H	Me	Cl	Me
tBu	Cl	H	H	Me	Cl	Me
tBu	H	H	H	Cl	Me	Me
tBu	H	H	H	Cl	H	Me
tBu	H	H	H	Cl	H	CF_3
tBu	H	H	H	Cl	H	H
tBu	H	H	H	Cl	Cl	Me
tBu	Me	H	H	Cl	Cl	Me
tBu	Cl	H	H	Cl	Cl	Me
tBu	NO_2	H	H	Cl	Cl	Me
tBu	CO_2Me	H	H	Cl	Cl	Me
tBu	CO_2Et	H	H	Cl	Cl	Me
tBu	H	H	H	Cl	Cl	CF_3
tBu	H	H	H	Cl	Cl	CF_2H
tBu	H	H	H	Cl	Cl	CH_2OMe
tBu	H	H	H	Cl	Cl	COMe
tBu	H	H	H	Cl	CF_3	Me
tBu	H	H	H	Br	Me	Me
tBu	H	H	H	Me	Br	Me
tBu	H	H	H	OMe	H	H
tBu	H	H	H	CF_3	Me	Me
tBu	H	H	H	CF_3	Cl	Me
tBu	Me	H	H	CF_3	Cl	Me
tBu	Cl	H	H	CF_3	Cl	Me
tBu	NO_2	H	H	CF_3	Cl	Me
tBu	CO_2Me	H	H	CF_3	Cl	Me
tBu	CO_2Et	H	H	CF_3	Cl	Me
tBu	H	H	H	CF_3	Cl	CF_3
tBu	H	H	H	CF_3	Cl	CF_2H
tBu	H	H	H	CF_3	Cl	CH_2OMe
tBu	H	H	H	CF_3	Cl	$COCH_3$
tBu	H	H	H	CF_3	H	Me
tBu	H	H	H	CF_3	NO_2	Me
tBu	H	H	H	CF_3	NHMe	Me
tBu	H	H	H	CF_3	NMe_2	Me
tBu	H	H	H	NO_2	Me	Me

TABLE 2-continued

tBu	H	H	H	CN	Cl	Me
tBu	H	H	H	CN	Me	Me
tBu	H	H	H	Cl	CN	Me
tBu	H	H	H	CO_2Me	Me	Me
tBu	H	H	H	CO_2Me	Cl	Me
tBu	H	H	B1	Cl	Cl	Me
tBu	Cl	H	B1	Cl	Cl	Me
tBu	H	H	B2	Cl	Cl	Me
tBu	H	H	B3	Cl	Cl	Me
tBu	H	H	B4	Cl	Cl	Me
tBu	H	H	B5	Cl	Cl	Me
tBu	H	H	B6	Cl	Cl	Me
tBu	H	H	B7	Cl	Cl	Me
tBu	H	H	B8	Cl	Cl	Me
tBu	H	H	B9	Cl	Cl	Me
tBu	H	H	B10	Cl	Cl	Me
tBu	H	H	B11	Cl	Cl	Me
tBu	H	H	B12	Cl	Cl	Me
tBu	H	H	B13	Cl	Cl	Me
tBu	H	H	B14	Cl	Cl	Me
tBu	H	H	B15	Cl	Cl	Me
tBu	H	H	B16	Cl	Cl	Me
tBu	H	H	B17	Cl	Cl	Me
tBu	H	H	B18	Cl	Cl	Me
tBu	H	H	B19	Cl	Cl	Me
tBu	H	H	B20	Cl	Cl	Me
tBu	H	H	B21	Cl	Cl	Me
tBu	H	H	B22	Cl	Cl	Me
tBu	H	H	B23	Cl	Cl	Me
tBu	H	H	B24	Cl	Cl	Me
tBu	H	H	B25	Cl	Cl	Me
tBu	H	H	B26	Cl	Cl	Me
tBu	H	H	B27	Cl	Cl	Me
tBu	H	H	B28	Cl	Cl	Me
tBu	H	H	B29	Cl	Cl	Me
tBu	H	H	B30	Cl	Cl	Me
tBu	H	H	B31	Cl	Cl	Me
tBu	H	H	B32	Cl	Cl	Me
tBu	H	H	B33	Cl	Cl	Me
tBu	H	H	B34	Cl	Cl	Me
tBu	H	H	Na	Cl	Cl	Me
tBu	H	H	K	Cl	Cl	Me
tBu	H	H	B2	CF_3	Cl	Me
tBu	H	H	B3	CF_3	Cl	Me
tBu	H	H	B4	CF_3	Cl	Me
tBu	H	H	B5	CF_3	Cl	Me
tBu	H	H	B6	CF_3	Cl	Me
tBu	H	H	B7	CF_3	Cl	Me
tBu	H	H	B8	CF_3	Cl	Me
tBu	H	H	B9	CF_3	Cl	Me
tBu	H	H	B10	CF_3	Cl	Me
tBu	H	H	B11	CF_3	Cl	Me
tBu	H	H	B12	CF_3	Cl	Me
tBu	H	H	B13	CF_3	Cl	Me
tBu	H	H	B14	CF_3	Cl	Me
tBu	H	H	B15	CF_3	Cl	Me
tBu	H	H	B16	CF_3	Cl	Me
tBu	H	H	B17	CF_3	Cl	Me
tBu	H	H	B18	CF_3	Cl	Me
tBu	H	H	B19	CF_3	Cl	Me
tBu	H	H	B20	CF_3	Cl	Me
tBu	H	H	B21	CF_3	Cl	Me
tBu	H	H	B22	CF_3	Cl	Me
tBu	H	H	B23	CF_3	Cl	Me
tBu	H	H	B24	CF_3	Cl	Me
tBu	H	H	B25	CF_3	Cl	Me
tBu	H	H	B26	CF_3	Cl	Me
tBu	H	H	B27	CF_3	Cl	Me
tBu	H	H	B28	CF_3	Cl	Me
tBu	H	H	B29	CF_3	Cl	Me
tBu	H	H	B30	CF_3	Cl	Me
tBu	H	H	B31	CF_3	Cl	Me
tBu	H	H	B32	CF_3	Cl	Me
tBu	H	H	B33	CF_3	Cl	Me
tBu	H	H	B34	CF_3	Cl	Me
tBu	H	H	Na	CF_3	Cl	Me
tBu	H	H	K	CF_3	Cl	Me
tBu	H	H	B7	Cl	H	H
tBu	H	H	B8	Cl	H	H

TABLE 2-continued

tBu	H	H	B15	Cl	H	H
tBu	H	H	B17	Cl	H	H
tBu	H	H	B18	Cl	H	H
tBu	H	H	B34	Cl	H	H
2-pyridyl	H	H	H	Me	H	Me
2-pyridyl	H	H	H	Me	H	CF_3
2-pyridyl	H	H	B7	Me	H	H
2-pyridyl	H	H	H	Me	Me	Me
2-pyridyl	H	H	H	Me	Cl	Me
2-pyridyl	H	H	H	Cl	Me	Me
2-pyridyl	H	H	H	Cl	H	Me
2-pyridyl	H	H	H	Cl	H	CF_3
2-pyridyl	H	H	H	Cl	H	H
2-pyridyl	Cl	H	H	Cl	H	H
2-pyridyl	CO_2Me	H	H	Cl	H	H
2-pyridyl	CO_2Et	H	H	Cl	H	H
2-pyridyl	H	H	H	Cl	Cl	Me
2-pyridyl	H	H	H	Cl	CF_3	Me
2-pyridyl	H	H	H	Br	Me	Me
2-pyridyl	H	H	H	Me	Br	Me
2-pyridyl	H	H	B7	OMe	H	H
2-pyridyl	H	H	H	CF_3	Me	Me
2-pyridyl	H	H	H	CF_3	Cl	Me
2-pyridyl	H	H	H	CF_3	H	Me
2-pyridyl	H	H	B7	CF_3	H	H
2-pyridyl	H	H	B1	Cl	Cl	Me
2-pyridyl	H	H	B3	Cl	Cl	Me
2-pyridyl	H	H	B7	Cl	Cl	Me
2-pyridyl	H	H	B3	CF_3	Cl	Me
2-pyridyl	H	H	B7	CF_3	Cl	Me
2-pyridyl	H	H	B3	Cl	H	H
2-pyridyl	H	H	B4	Cl	H	H
2-pyridyl	H	H	B5	Cl	H	H
2-pyridyl	H	H	B6	Cl	H	H
2-pyridyl	H	H	B7	Cl	H	H
2-pyridyl	H	H	B8	Cl	H	H
2-pyridyl	H	H	B9	Cl	H	H
2-pyridyl	H	H	B10	Cl	H	H
2-pyridyl	H	H	B11	Cl	H	H
2-pyridyl	H	H	B15	Cl	H	H
2-pyridyl	H	H	B16	Cl	H	H
2-pyridyl	H	H	B17	Cl	H	H
2-pyridyl	H	H	B28	Cl	H	H
2-pyridyl	H	H	B30	Cl	H	H
2-pyridyl	H	H	B31	Cl	H	H
2-pyridyl	H	H	B32	Cl	H	H
2-pyridyl	H	H	B34	Cl	H	H
3-pyridyl	H	H	H	Cl	Cl	Me
3-pyridyl	H	H	H	Cl	H	H
4-pyridyl	H	H	H	Cl	Cl	Me
4-pyridyl	H	H	H	Cl	H	H
2-F—Ph	H	H	H	Cl	H	H
2-F—Ph	H	H	H	Cl	Cl	Me
2-F—Ph	H	H	B7	OMe	H	H
2-F—Ph	H	H	B7	SMe	H	H
2-F—Ph	H	H	H	CF_3	Cl	Me
2-F—Ph	H	H	H	CF_3	H	Me
2-F—Ph	H	H	B1	Cl	Cl	Me
2-F—Ph	H	H	B3	Cl	Cl	Me
2-F—Ph	H	H	B6	Cl	Cl	Me
2-F—Ph	H	H	B7	Cl	Cl	Me
2-F—Ph	Cl	H	B7	Cl	Cl	Me
2-F—Ph	H	H	B3	CF_3	Cl	Me
2-F—Ph	H	H	B6	CF_3	Cl	Me
2-F—Ph	H	H	B7	CF_3	Cl	Me
2-F—Ph	Cl	H	B7	CF_3	Cl	Me
2-F—Ph	H	H	B7	Cl	H	H
3-F—Ph	H	H	H	Cl	Cl	Me
3-F—Ph	H	H	H	CF_3	Cl	Me
4-F—Ph	H	H	H	Cl	Cl	Me
4-F—Ph	H	H	H	CF_3	Cl	Me
2,3-F_2—Ph	H	H	H	Cl	Cl	Me
2,4-F_2—Ph	H	H	H	Cl	Cl	Me
2,5-F_2—Ph	H	H	H	Cl	Cl	Me
Ph	H	H	H	Cl	H	H
Ph	H	H	H	Cl	Cl	Me
Ph	H	H	H	OMe	Cl	H
Ph	H	H	H	SMe	Cl	H
Ph	H	H	H	CF_3	Cl	Me

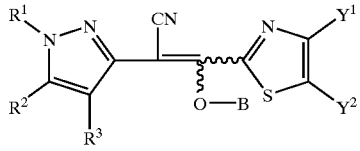
TABLE 2-continued

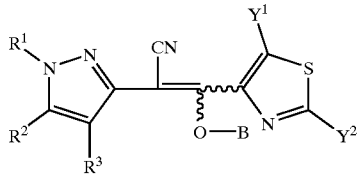
Ph	H	H	H	CF_3	H	Me
Ph	H	H	B1	Cl	Cl	Me
Ph	H	H	B3	Cl	Cl	Me
Ph	H	H	B6	Cl	Cl	Me
Ph	H	H	B7	Cl	Cl	Me
Ph	Cl	H	B7	Cl	Cl	Me
Ph	H	H	B3	CF_3	Cl	Me
Ph	H	H	B6	CF_3	Cl	Me
Ph	H	H	B7	CF_3	Cl	Me
Ph	Cl	H	B7	CF_3	Cl	Me
Ph	H	H	B7	Cl	H	H
Ph	H	H	H	Cl	Cl	Me
Ph	H	H	H	Cl	Cl	Me
2-Cl—Ph	H	H	H	Cl	H	H
2-Cl—Ph	H	H	H	Cl	Cl	Me
2-Cl—Ph	H	H	H	OMe	H	H
2-Cl—Ph	H	H	H	SMe	H	H
2-Cl—Ph	H	H	H	CF_3	Cl	Me
2-Cl—Ph	H	H	H	CF_3	H	Me
2-Cl—Ph	H	H	B1	Cl	Cl	Me
2-Cl—Ph	H	H	B3	Cl	Cl	Me
2-Cl—Ph	H	H	B6	Cl	Cl	Me
2-Cl—Ph	H	H	B7	Cl	Cl	Me
2-Cl—Ph	Cl	H	B7	Cl	Cl	Me
2-Cl—Ph	H	H	B3	CF_3	Cl	Me
2-Cl—Ph	H	H	B6	CF_3	Cl	Me
2-Cl—Ph	H	H	B7	CF_3	Cl	Me
2-Cl—Ph	Cl	H	B7	CF_3	Cl	Me
2-Cl—Ph	H	H	B7	Cl	H	H
3-Cl—Ph	H	H	H	Cl	Cl	Me
4-Cl—Ph	H	H	H	Cl	Cl	Me
2,3-Cl_2—Ph	H	H	H	Cl	Cl	Me
2,4-Cl_2—Ph	H	H	H	Cl	Cl	Me
2,5-Cl_2—Ph	H	H	H	Cl	Cl	Me
2,6-Cl_2—Ph	H	H	H	Cl	H	H
2,6-Cl_2—Ph	H	H	H	Cl	Cl	Me
2,6-Cl_2—Ph	H	H	H	OMe	H	H
2,6-Cl_2—Ph	H	H	H	SMe	H	H
2,6-Cl_2—Ph	H	H	H	CF_3	Cl	Me
2,6-Cl_2—Ph	H	H	H	CF_3	H	Me
2,6-Cl_2—Ph	H	H	B1	Cl	Cl	Me
2,6-Cl_2—Ph	H	H	B3	Cl	Cl	Me
2,6-Cl_2—Ph	H	H	B6	Cl	Cl	Me
2,6-Cl_2—Ph	H	H	B7	Cl	Cl	Me
2,6-Cl_2—Ph	Cl	H	B7	Cl	Cl	Me
2,6-Cl_2—Ph	H	H	B3	CF_3	Cl	Me
2,6-Cl_2—Ph	H	H	B6	CF_3	Cl	Me
2,6-Cl_2—Ph	H	H	B7	CF_3	Cl	Me
2,6-Cl_2—Ph	Cl	H	B7	CF_3	Cl	Me
2,6-Cl_2—Ph	H	H	B7	Cl	H	H
3,4-Cl_2—Ph	H	H	H	Cl	Cl	Me
3,5-Cl_2—Ph	H	H	H	Cl	Cl	Me
2-MeO—Ph	H	H	H	Cl	Cl	Me
2-MeO—Ph	H	H	H	CF_3	Cl	Me
2,6-Me_2—Ph	H	H	H	Cl	Cl	Me
2,6-Me_2—Ph	H	H	H	CF_3	Cl	Me
2-MeO—Ph	H	H	H	Cl	Cl	Me
2-MeO—Ph	H	H	H	CF_3	Cl	Me
2-CF_3O—Ph	H	H	H	Cl	Cl	Me
2-SMe—Ph	H	H	H	Cl	Cl	Me
2-SOMe—Ph	H	H	H	Cl	Cl	Me
2-SO_2Me—Ph	H	H	H	Cl	Cl	Me
2-CF_3—Ph	H	H	H	Cl	Cl	Me
2-NO_2—Ph	H	H	H	Cl	Cl	Me
2-CN—Ph	H	H	H	Cl	Cl	Me
2-NHMe—Ph	H	H	H	Cl	Cl	Me
2-NMe_2—Ph	H	H	H	Cl	Cl	Me
4-benzyl-Ph	H	H	H	Cl	Cl	Me
4-phenoxy-Ph	H	H	H	Cl	Cl	Me
2-OH—Ph	H	H	H	Cl	Cl	Me
2-CO_2Me—Ph	H	H	H	Cl	Cl	Me
2-CO_2Et—Ph	H	H	H	Cl	Cl	Me
H	CO_2Et	Ph	H	Cl	Cl	Me
Me	Ph	H	H	Cl	Cl	Me
Et	Me	H	H	Cl	Cl	Me
nPr	H	H	H	Cl	Cl	Me
iPr	H	H	H	Cl	Cl	Me
iPr	H	H	H	CF_3	Cl	Me
nBu	H	H	H	Cl	Cl	Me

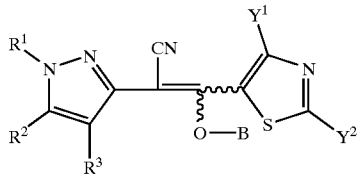
TABLE 2-continued

nBu	H	H	H	CF_3	Cl	Me
iBu	H	H	H	Cl	Cl	Me
iBu	H	H	H	CF_3	Cl	Me
iBu	H	H	B7	Cl	Cl	Me
iBu	H	H	B7	CF_3	Cl	Me
iBu	H	H	H	Cl	Cl	H
secBu	H	H	H	Cl	Cl	Me
secBu	H	H	H	CF_3	Cl	Me
2,2-Me_2-propyl	H	H	H	Cl	Cl	Me
nHex	H	H	H	Cl	Cl	Me
ethenyl	H	H	H	Cl	Cl	Me
1-propenyl	H	H	H	Cl	Cl	Me
1-propenyl	H	H	H	CF_3	Cl	Me
ethynyl	H	H	H	Cl	Cl	Me
1-propynyl	H	H	H	Cl	Cl	Me
CF_3	H	H	H	Cl	Cl	Me
CHF_2	H	H	H	Cl	Cl	Me
C_2H_5	H	H	H	Cl	Cl	Me
2,2-Cl_2-cPr	H	H	H	Cl	Cl	Me
2,2-Cl_2-cPr	H	H	H	CF_3	Cl	Me
cPr	H	H	H	Cl	Cl	Me
cPr	H	H	H	CF_3	Cl	Me
1-Me-cPr	H	H	H	Cl	Cl	Me
1-Me-cPr	H	H	H	CF_3	Cl	Me
cHex	H	H	H	Cl	Cl	Me
cHex	H	H	H	CF_3	Cl	Me
CH_2Ph	H	H	H	Cl	Cl	Me
naphthyl-1	H	H	H	Cl	Cl	Me
naphthyl-1	H	H	H	CF_3	Cl	Me
naphthyl-2	H	H	H	Cl	Cl	Me
CO_2Me	H	H	H	Cl	Cl	Me
CO_2Et	H	H	H	Cl	Cl	Me
2-thienyl	H	H	H	Cl	Cl	Me
CH_2OMe	H	H	H	Cl	Cl	Me
CH_2OEt	H	H	H	Cl	Cl	Me
$COCH_3$	H	H	H	Cl	Cl	Me
COtBu	H	H	H	Cl	Cl	Me

TABLE 3







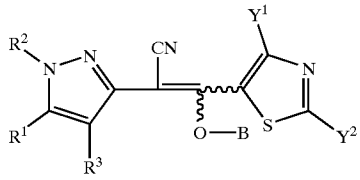
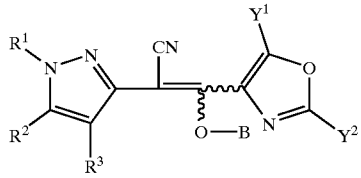
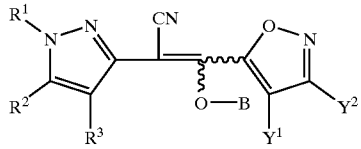
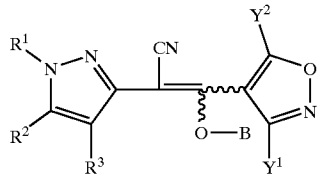
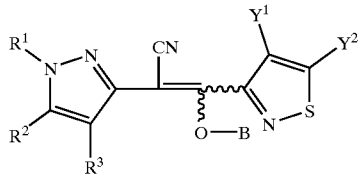


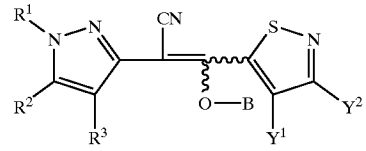
TABLE 3-continued

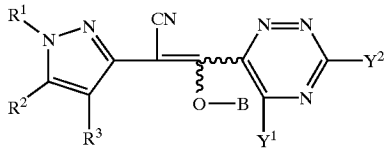


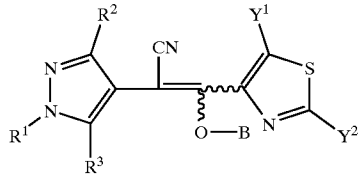












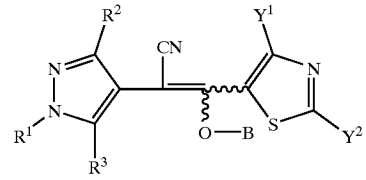
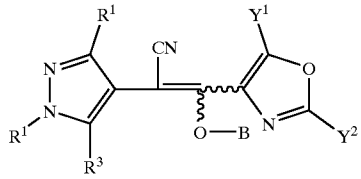
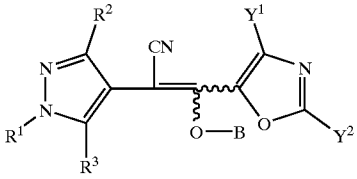
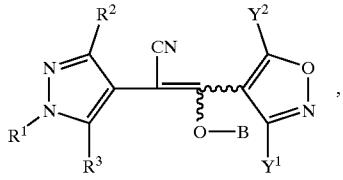
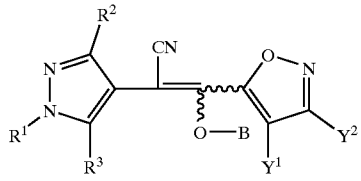


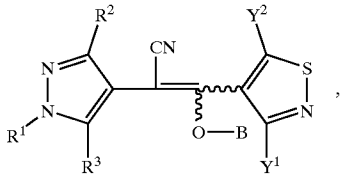
TABLE 3-continued

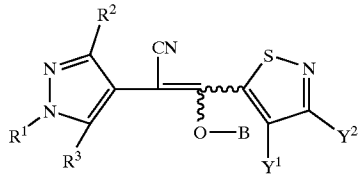


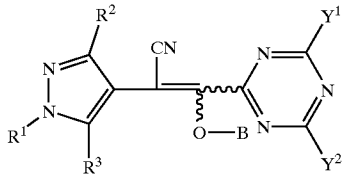












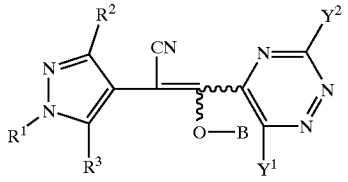
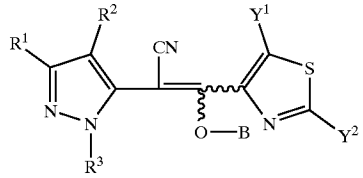
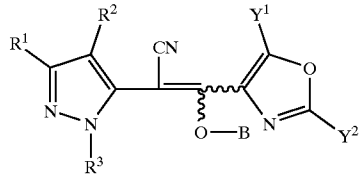
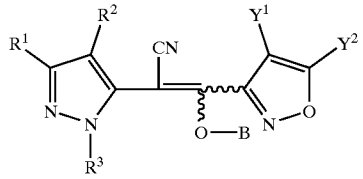
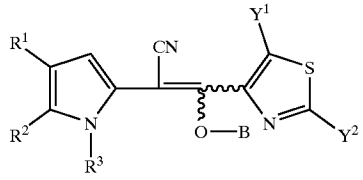


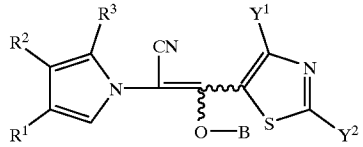
TABLE 3-continued

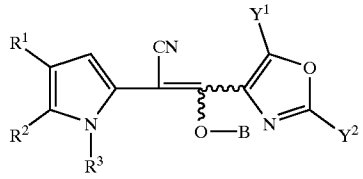


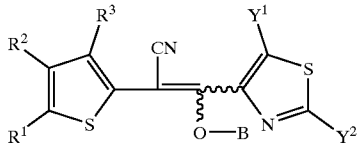


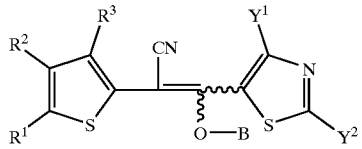












R^1	R^2	R^3	B	Y^1	Y^2
2,6-F_2—Ph	H	H	H	Me	Me
2,6-F_2—Ph	H	H	H	Et	Me
2,6-F_2—Ph	Me	H	H	Et	Me
2,6-F_2—Ph	Cl	H	H	Et	Me
2,6-F_2—Ph	H	Cl	H	Et	Me
2,6-F_2—Ph	H	H	H	Cl	Cl
2,6-F_2—Ph	H	H	H	Cl	Me

TABLE 3-continued

2,6-F_2—Ph	H	H	H	Cl	CF_3
2,6-F_2—Ph	H	H	H	Me	Cl
2,6-F_2—Ph	H	H	H	Br	Me
2,6-F_2—Ph	H	H	H	Me	Br
2,6-F_2—Ph	H	H	H	Me	CF_3
2,6-F_2—Ph	H	H	H	OMe	Me
2,6-F_2—Ph	H	H	H	OCF_3	Me
2,6-F_2—Ph	H	H	H	SMe	Me
2,6-F_2—Ph	H	H	H	CO_2Me	Me
2,6-F_2—Ph	H	H	H	CO_2Et	Me
2,6-F_2—Ph	H	H	H	CF_3	Me
2,6-F_2—Ph	H	H	H	CF_3	H
2,6-F_2—Ph	H	H	B3	CF_3	Me
2,6-F_2—Ph	H	H	B6	CF_3	Me
2,6-F_2—Ph	H	H	B7	CF_3	Me
2,6-F_2—Ph	Cl	H	B7	CF_3	Me
tBu	H	H	H	Me	Me
tBu	H	H	H	Et	Me
tBu	H	H	H	Cl	Cl
tBu	H	H	H	Cl	Me
tBu	H	H	H	Me	Cl
tBu	H	H	H	Cl	CF_3
tBu	H	H	H	Br	Me
tBu	H	H	H	Me	Br
tBu	H	H	H	Me	CF_3
tBu	H	H	H	OMe	Me
tBu	H	H	H	OCF_3	Me
tBu	H	H	H	SMe	Me
tBu	H	H	H	CO_2Me	Me
tBu	H	H	H	CO_2Et	Me
tBu	H	H	H	CF_3	Me
tBu	Me	H	H	CF_3	Me
tBu	Cl	H	H	CF_3	Me
tBu	Br	H	H	CF_3	Me
tBu	CF_3	H	H	CF_3	Me
tBu	NO_2	H	H	CF_3	Me
tBu	CN	H	H	CF_3	Me
tBu	CO_2Me	H	H	CF_3	Me
tBu	CO_2Et	H	H	CF_3	Me
tBu	H	H	H	CF_3	H
tBu	H	H	H	CF_3	Br
tBu	H	H	H	CF_3	NO_2
tBu	H	H	H	CF_3	CN
tBu	H	H	H	CF_3	NHMe
tBu	H	H	H	CF_3	NMe_2
tBu	H	H	H	CF_3	CO_2Me
tBu	H	H	B2	CF_3	Me
tBu	H	H	B3	CF_3	Me
tBu	H	H	B4	CF_3	Me
tBu	H	H	B5	CF_3	Me
tBu	H	H	B6	CF_3	Me
tBu	H	H	B7	CF_3	Me
tBu	Cl	H	B7	CF_3	Me
tBu	H	H	B8	CF_3	Me
tBu	H	H	B9	CF_3	Me
tBu	H	H	B10	CF_3	Me
tBu	H	H	B11	CF_3	Me
tBu	H	H	B12	CF_3	Me
tBu	H	H	B13	CF_3	Me
tBu	H	H	B14	CF_3	Me
tBu	H	H	B15	CF_3	Me
tBu	H	H	B16	CF_3	Me
tBu	H	H	B17	CF_3	Me
tBu	H	H	B18	CF_3	Me
tBu	H	H	B19	CF_3	Me
tBu	H	H	B20	CF_3	Me
tBu	H	H	B21	CF_3	Me
tBu	H	H	B22	CF_3	Me
tBu	H	H	B23	CF_3	Me
tBu	H	H	B24	CF_3	Me
tBu	H	H	B25	CF_3	Me
tBu	H	H	B26	CF_3	Me
tBu	H	H	B27	CF_3	Me
tBu	H	H	B28	CF_3	Me
tBu	H	H	B29	CF_3	Me
tBu	H	H	B30	CF_3	Me
tBu	H	H	B31	CF_3	Me
tBu	H	H	B32	CF_3	Me
tBu	H	H	B33	CF_3	Me

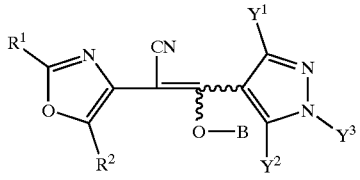
TABLE 3-continued

tBu	H	H	B34	CF_3	Me
tBu	H	H	Na	CF_3	Me
tBu	H	H	K	CF_3	Me
2-pyridyl	H	H	H	Me	Me
2-pyridyl	H	H	H	Et	Me
2-pyridyl	H	H	H	Cl	Cl
2-pyridyl	H	H	H	Cl	Me
2-pyridyl	H	H	H	Cl	CF_3
2-pyridyl	H	H	H	Me	Cl
2-pyridyl	H	H	H	Br	Me
2-pyridyl	H	H	H	Me	Br
2-pyridyl	H	H	H	Me	CF_3
2-pyridyl	H	H	H	OMe	Me
2-pyridyl	H	H	H	OCF_3	Me
2-pyridyl	H	H	H	SMe	Me
2-pyridyl	H	H	H	CO_2Me	Me
2-pyridyl	H	H	H	CO_2Et	Me
2-pyridyl	H	H	H	CF_3	Me
2-pyridyl	H	H	H	CF_3	H
2-pyridyl	H	H	B3	CF_3	Me
2-pyridyl	H	H	B6	CF_3	Me
2-pyridyl	H	H	B7	CF_3	Me
3-pyridyl	H	H	H	CF_3	Me
4-pyridyl	H	H	H	CF_3	Me
2-F—Ph	H	H	H	Me	Me
2-F—Ph	H	H	H	Et	Me
2-F—Ph	H	H	H	CF_3	Me
2-F—Ph	H	H	H	CF_3	Cl
2-F—Ph	H	H	H	CF_3	H
2-F—Ph	H	H	B3	CF_3	Me
2-F—Ph	H	H	B6	CF_3	Me
2-F—Ph	H	H	B7	CF_3	Me
3-F—Ph	H	H	H	CF_3	Me
4-F—Ph	H	H	H	CF_3	Me
2,3-F_2—Ph	H	H	H	CF_3	Me
2,4-F_2—Ph	H	H	H	CF_3	Me
2,5-F_2—Ph	H	H	H	CF_3	Me
Ph	H	H	H	Me	Me
Ph	H	H	H	Et	Me
Ph	H	H	H	CF_3	Me
Ph	H	H	H	CF_3	Cl
Ph	H	H	H	CF_3	H
Ph	H	H	B3	CF_3	Me
Ph	H	H	B6	CF_3	Me
Ph	H	H	B7	CF_3	Me
3,4-F_2—Ph	H	H	H	CF_3	Me
3,5-F_2—Ph	H	H	H	CF_3	Me
2-Cl—Ph	H	H	H	Me	Me
2-Cl—Ph	H	H	H	Et	Me
2-Cl—Ph	H	H	H	CF_3	Me
2-Cl—Ph	H	H	H	CF_3	Cl
2-Cl—Ph	H	H	H	CF_3	H
2-Cl—Ph	H	H	B3	CF_3	Me
2-Cl—Ph	H	H	B6	CF_3	Me
2-Cl—Ph	H	H	B7	CF_3	Me
3-Cl—Ph	H	H	H	CF_3	Me
4-Cl—Ph	H	H	H	CF_3	Me
2,3-Cl_2—Ph	H	H	H	CF_3	Me
2,4-Cl_2—Ph	H	H	H	CF_3	Me
2,5-Cl_2—Ph	H	H	H	CF_3	Me
2,6-Cl_2—Ph	H	H	H	Me	Me
2,6-Cl_2—Ph	H	H	H	Et	Me
2,6-Cl_2—Ph	H	H	H	CF_3	Me
2,6-Cl_2—Ph	H	H	H	CF_3	Cl
2,6-Cl_2—Ph	H	H	H	CF_3	H
2,6-Cl_2—Ph	H	H	B3	CF_3	Me
2,6-Cl_2—Ph	H	H	B6	CF_3	Me
2,6-Cl_2—Ph	H	H	B7	CF_3	Me
3,4-Cl_2—Ph	H	H	H	CF_3	Me
3,5-Cl_2—Ph	H	H	H	CF_3	Me
2-Me—Ph	H	H	H	CF_3	Me
2,6-Me_2—Ph	H	H	H	CF_3	Me
2-MeO—Ph	H	H	H	CF_3	Me
2-CF_3O—Ph	H	H	H	CF_3	Me
2-SMe—Ph	H	H	H	CF_3	Me
2-SOMe—Ph	H	H	H	CF_3	Me
2-SO_2Me—Ph	H	H	H	CF_3	Me
2-CF_3—Ph	H	H	H	CF_3	Me
2-NO_2—Ph	H	H	H	CF_3	Me

TABLE 3-continued

2-CN—Ph	H	H	H	CF_3	Me
2-NHMe—Ph	H	H	H	CF_3	Me
2-NMe_2—Ph	H	H	H	CF_3	Me
4-benzyl-Ph	H	H	H	Et	Me
4-phenoxy-Ph	H	H	H	CF_3	Me
2-OH—Ph	H	H	H	CF_3	Me
2-CO_2Me—Ph	H	H	H	CF_3	Me
2-CO_2Et—Ph	H	H	H	CF_3	Me
H	CO_2Et	Ph	H	CF_3	Me
Me	Ph	H	H	CF_3	Me
Et	Me	H	H	CF_3	Me
nPr	H	H	H	CF_3	Me
iPr	H	H	H	Et	Me
iPr	H	H	H	CF_3	Me
nBu	H	H	H	Et	Me
nBu	H	H	H	CF_3	Me
iBu	H	H	H	Et	Me
iBu	H	H	H	CF_3	Me
iBu	H	H	B7	Et	Me
iBu	H	H	B7	CF_3	Me
secBu	H	H	H	Et	Me
secBu	H	H	H	CF_3	Me
2,2-Me_2-propyl	H	H	H	CF_3	Me
nHex	H	H	H	CF_3	Me
ethenyl	H	H	H	CF_3	Me
1-propenyl	H	H	H	CF_3	Me
ethynyl	H	H	H	CF_3	Me
1-propynyl	H	H	H	CF_3	Me
CF_3	H	H	H	CF_3	Me
CHF_2	H	H	H	CF_3	Me
C_2F_5	H	H	H	CF_3	Me
2,2-Cl_2-cPr	H	H	H	Et	Me
2,2-Cl_2-cPr	H	H	H	CF_3	Me
cPr	H	H	H	Et	Me
cPr	H	H	H	CF_3	Me
1-Me-cPr	H	H	H	Et	Me
1-Me-cPr	H	H	H	CF_3	Me
cHex	H	H	H	Et	Me
cHex	H	H	H	CF_3	Me
CH_2Ph	H	H	H	CF_3	Me
naphthyl-1	H	H	H	Et	Me
naphthyl-1	H	H	H	CF_3	Me
naphthyl-2	H	H	H	CF_3	Me
CO_2Me	H	H	H	CF_3	Me
CO_2Et	H	H	H	CF_3	Me
2-thienyl	H	H	H	CF_3	Me
CH_2OMe	H	H	H	CF_3	Me
CH_2OEt	H	H	H	CF_3	Me
$COCH_3$	H	H	H	CF_3	Me
COtBu	H	H	H	CF_3	Me
COPh	H	H	H	CF_3	Me

TABLE 4



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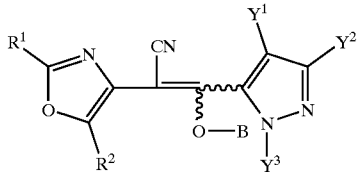

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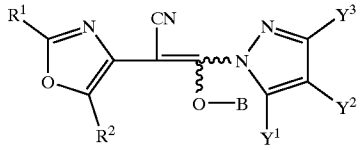

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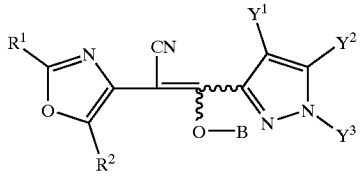

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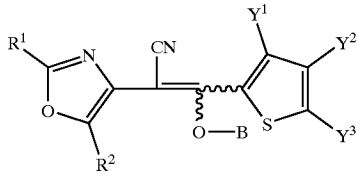

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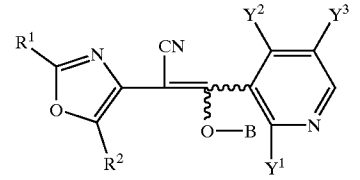

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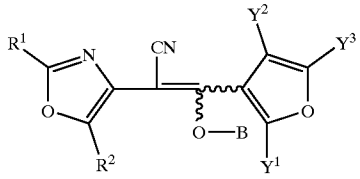

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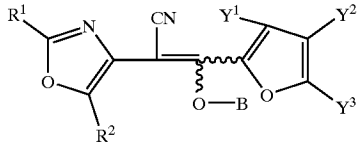

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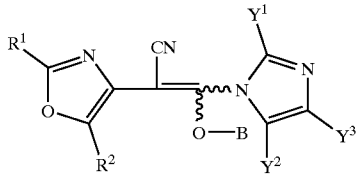

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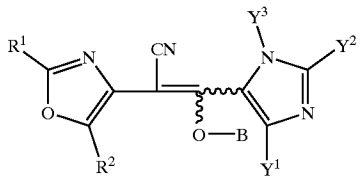

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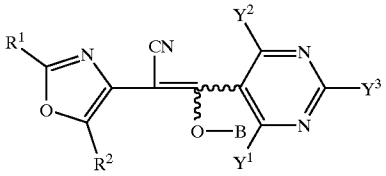
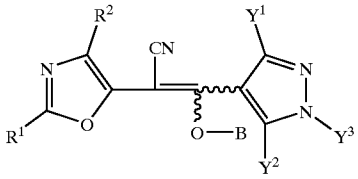
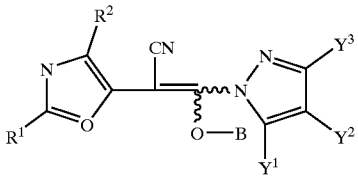
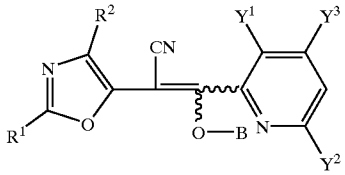
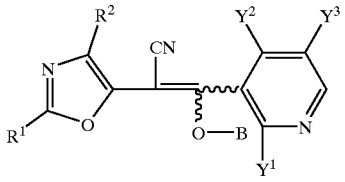
TABLE 4-continued

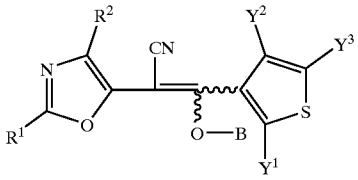


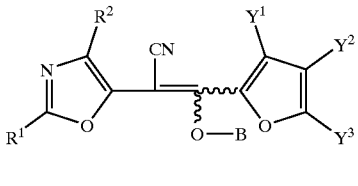












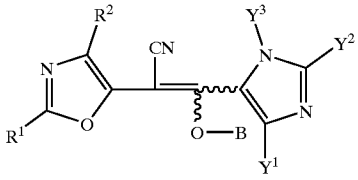
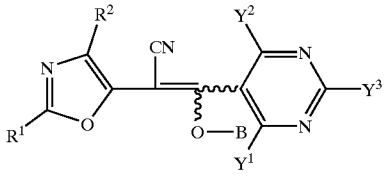
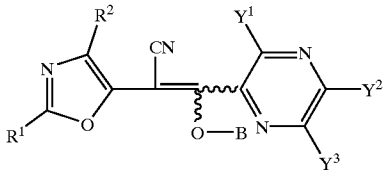
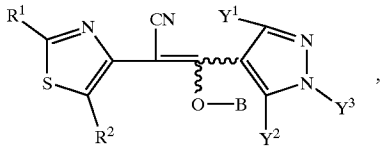
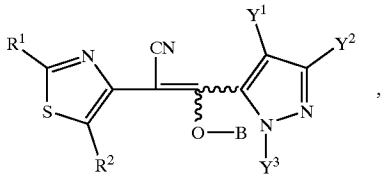


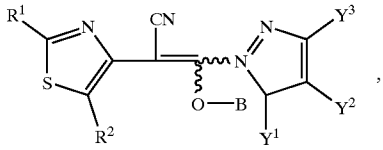
TABLE 4-continued

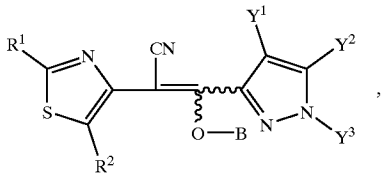


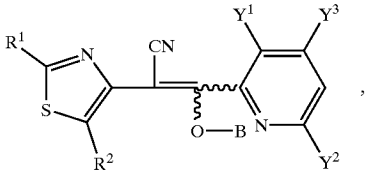


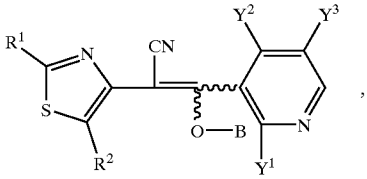












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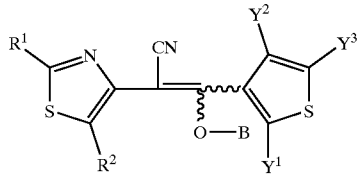
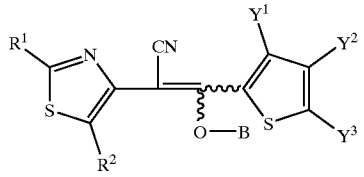
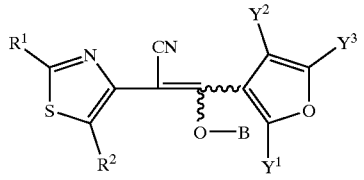
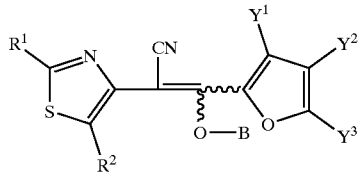
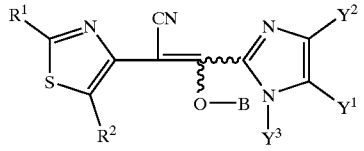
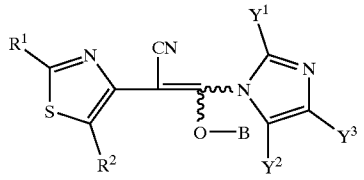


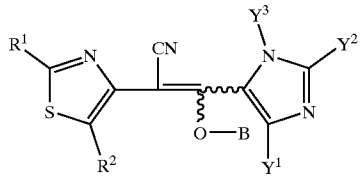












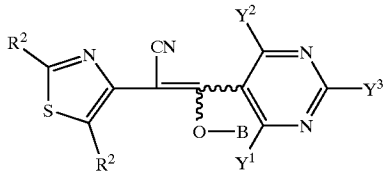
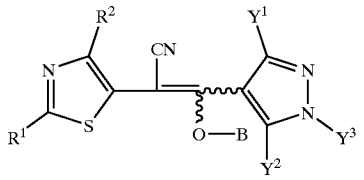
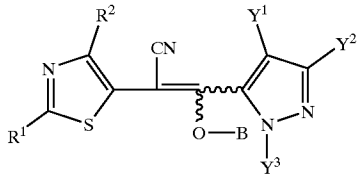
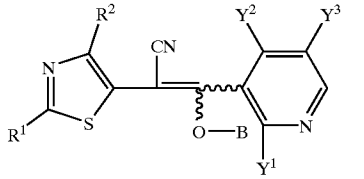
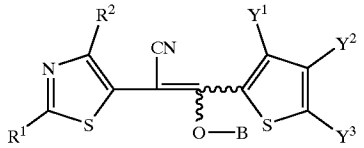


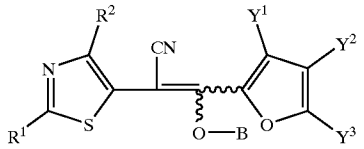
TABLE 4-continued

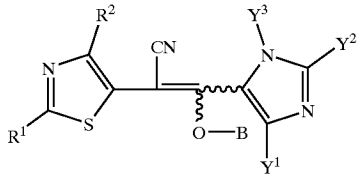


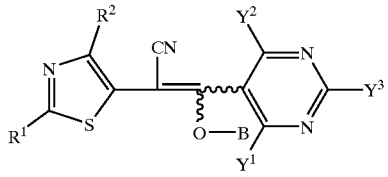












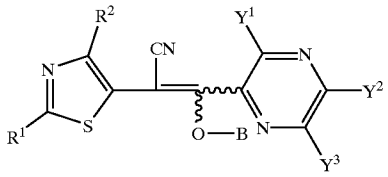
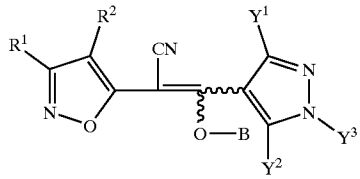
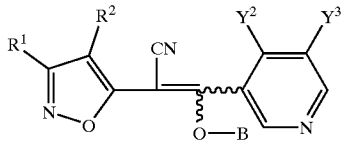
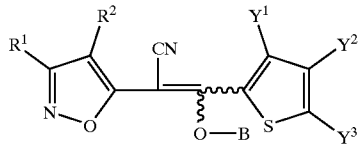
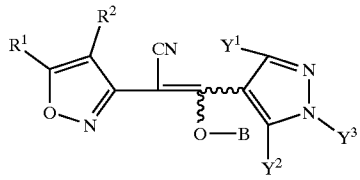


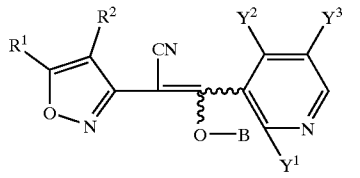
TABLE 4-continued

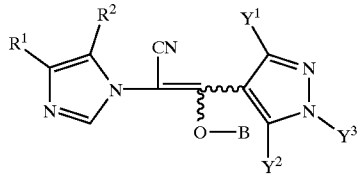


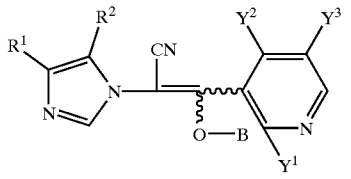












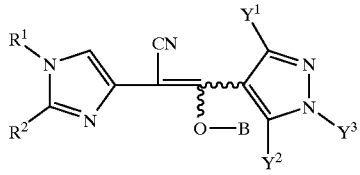
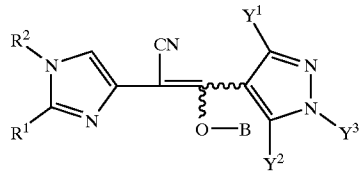
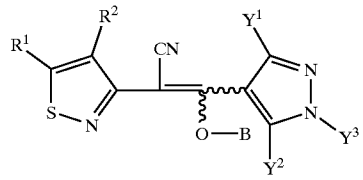
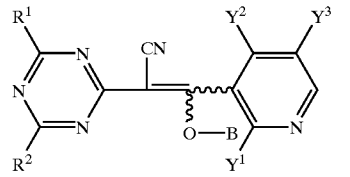
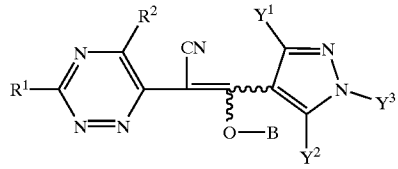


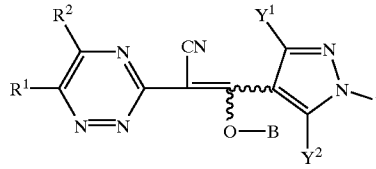
TABLE 4-continued

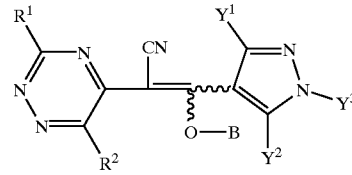












R^1	R^2	B	Y^1	Y^2	Y^3
2,6-F_2—Ph	H	H	H	CF_3	Me
2,6-F_2—Ph	H	H	Me	H	Me
2,6-F_2—Ph	H	H	Me	H	CF_3
2,6-F_2—Ph	H	B7	Me	H	H
2,6-F_2—Ph	H	H	Me	Me	Me
2,6-F_2—Ph	H	H	Me	Cl	Me
2,6-F_2—Ph	H	H	Me	Br	Me
2,6-F_2—Ph	H	H	Et	Me	Me
2,6-F_2—Ph	H	H	Cl	Me	Me
2,6-F_2—Ph	H	H	Cl	H	Me
2,6-F_2—Ph	H	H	Cl	H	CF_3
2,6-F_2—Ph	H	H	Cl	H	H
2,6-F_2—Ph	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	H	Cl	Cl	CF_3
2,6-F_2—Ph	H	H	Cl	Cl	CF_2OMe
2,6-F_2—Ph	H	H	Cl	Cl	COMe
2,6-F_2—Ph	H	H	Cl	Cl	Me
2,6-F_2—Ph	H	H	Cl	CF_3	Me
2,6-F_2—Ph	H	H	Br	Me	Me
2,6-F_2—Ph	H	H	Me	OMe	H
2,6-F_2—Ph	H	B7	OMe	H	H
2,6-F_2—Ph	H	B7	OCF_3	H	H

TABLE 4-continued

2,6-F_2—Ph	H	B7	SMe	H	Me
2,6-F_2—Ph	H	H	CF_3	Me	Me
2,6-F_2—Ph	H	H	CF_3	Cl	CF_3
2,6-F_2—Ph	H	H	CF_3	Cl	CF_2H
2,6-F_2—Ph	H	H	CF_3	Cl	CH_2OMe
2,6-F_2—Ph	H	H	CF_3	Cl	Me
2,6-F_2—Ph	H	H	CF_3	H	Me
2,6-F_2—Ph	H	H	CF_3	Br	Me
2,6-F_2—Ph	H	H	CF_3	NO_2	Me
2,6-F_2—Ph	H	H	CF_3	CN	Me
2,6-F_2—Ph	H	H	CF_3	NHMe	Me
2,6-F_2—Ph	H	H	CF_3	NMe	Me
2,6-F_2—Ph	H	H	CF_3	CO_2Me	Me
2,6-F_2—Ph	H	H	CF_3	OMe	CF_2H
2,6-F_2—Ph	H	H	CF_3	OCF_2H	Me
2,6-F_2—Ph	H	H	Cl	NO_2	Me
2,6-F_2—Ph	H	H	Cl	CN	Me
2,6-F_2—Ph	H	H	CO_2Me	Me	Me
2,6-F_2—Ph	H	B1	Cl	Cl	Me
2,6-F_2—Ph	H	B3	Cl	Cl	Me
2,6-F_2—Ph	H	B6	Cl	Cl	Me
2,6-F_2—Ph	H	B7	Cl	Cl	Me
2,6-F_2—Ph	H	B2	CF_3	Cl	Me
2,6-F_2—Ph	H	B3	CF_3	Cl	Me
2,6-F_2—Ph	H	B4	CF_3	Cl	Me
2,6-F_2—Ph	H	B5	CF_3	Cl	Me
2,6-F_2—Ph	H	B6	CF_3	Cl	Me
2,6-F_2—Ph	H	B7	CF_3	Cl	Me
2,6-F_2—Ph	H	B8	CF_3	Cl	Me
2,6-F_2—Ph	H	B9	CF_3	Cl	Me
2,6-F_2—Ph	H	B10	CF_3	Cl	Me
2,6-F_2—Ph	H	B11	CF_3	Cl	Me
2,6-F_2—Ph	H	B12	CF_3	Cl	Me
2,6-F_2—Ph	H	B13	CF_3	Cl	Me
2,6-F_2—Ph	H	B14	CF_3	Cl	Me
2,6-F_2—Ph	H	B15	CF_3	Cl	Me
2,6-F_2—Ph	H	B16	CF_3	Cl	Me
2,6-F_2—Ph	H	B17	CF_3	Cl	Me
2,6-F_2—Ph	H	B18	CF_3	Cl	Me
2,6-F_2—Ph	H	B19	CF_3	Cl	Me
2,6-F_2—Ph	H	B20	CF_3	Cl	Me
2,6-F_2—Ph	H	B21	CF_3	Cl	Me
2,6-F_2—Ph	H	B22	CF_3	Cl	Me
2,6-F_2—Ph	H	B23	CF_3	Cl	Me
2,6-F_2—Ph	H	B24	CF_3	Cl	Me
2,6-F_2—Ph	H	B25	CF_3	Cl	Me
2,6-F_2—Ph	H	B26	CF_3	Cl	Me
2,6-F_2—Ph	H	B27	CF_3	Cl	Me
2,6-F_2—Ph	H	B28	CF_3	Cl	Me
2,6-F_2—Ph	H	B29	CF_3	Cl	Me
2,6-F_2—Ph	H	B30	CF_3	Cl	Me
2,6-F_2—Ph	H	B31	CF_3	Cl	Me
2,6-F_2—Ph	H	B32	CF_3	Cl	Me
2,6-F_2—Ph	H	B33	CF_3	Cl	Me
2,6-F_2—Ph	H	B34	CF_3	Cl	Me
2,6-F_2—Ph	H	Na	CF_3	Cl	Me
2,6-F_2—Ph	H	K	CF_3	Cl	Me
2,6-F_2—Ph	H	B7	Cl	H	H
2,6-F_2—Ph	H	B9	Cl	H	H
2,6-F_2—Ph	H	B10	Cl	H	H
2,6-F_2—Ph	H	B11	Cl	H	H
tBu	H	H	H	CF_3	Me
tBu	H	H	Me	H	Me
tBu	H	H	Me	H	CF_3
tBu	H	B7	Me	H	H
tBu	H	H	Me	Me	Me
tBu	H	H	Me	Cl	Me
tBu	H	H	Me	Br	Me
tBu	H	H	Et	Me	Me
tBu	H	H	Cl	Me	Me
tBu	H	H	Cl	H	Me
tBu	H	H	Cl	H	CF_3
tBu	H	H	Cl	H	H
tBu	Me	H	Cl	H	H
tBu	Cl	H	Cl	H	H
tBu	H	H	Cl	Cl	Me
tBu	H	H	Cl	Cl	CF_3
tBu	H	H	Cl	Cl	CF_2H
tBu	H	H	Cl	Cl	CH_2OMe

TABLE 4-continued

tBu	H	H	Cl	Cl	COMe
tBu	H	H	Cl	CF_3	Me
tBu	H	H	Br	Me	Me
tBu	H	H	Me	OMe	Me
tBu	H	B7	OMe	H	H
tBu	H	B7	OC_3	H	H
tBu	H	B7	SMe	H	H
tBu	H	H	CF_3	Me	Me
tBu	H	H	CF_3	Cl	Me
tBu	H	H	CF_3	Cl	Me
tBu	H	H	CF_3	Cl	Me
tBu	Br	H	CF_3	Cl	Me
tBu	CF_3	H	CF_3	Cl	Me
tBu	NO_2	H	CF_3	Cl	Me
tBu	CN	H	CF_3	Cl	Me
tBu	CO_2Me	H	CF_3	Cl	CF_3
tBu	CO_2Et	H	CF_3	Cl	CF_2H
tBu	H	H	CF_3	Cl	CH_2OMe
tBu	H	H	CF_3	H	Me
tBu	H	H	CF_3	Br	Me
tBu	H	H	CF_3	NO_2	Me
tBu	H	H	CF_3	CN	Me
tBu	H	H	CF_3	NHMe	Me
tBu	H	H	CF_3	NMe_2	Me
tBu	H	H	CF_3	CO_2Me	Me
tBu	H	H	CF_3	OMe	Me
tBu	H	H	CF_3	OCF_2H	CF_2H
tBu	H	H	Cl	NO_2	Me
tBu	H	H	Cl	CN	Me
tBu	H	H	CO_2Me	Me	Me
tBu	H	B1	Cl	Cl	Me
tBu	H	B2	Cl	Cl	Me
tBu	H	B3	Cl	Cl	Me
tBu	H	B4	Cl	Cl	Me
tBu	H	B5	Cl	Cl	Me
tBu	H	B6	Cl	Cl	Me
tBu	H	B7	Cl	Cl	Me
tBu	H	B8	Cl	Cl	Me
tBu	H	B9	Cl	Cl	Me
tBu	H	B10	Cl	Cl	Me
tBu	H	B11	Cl	Cl	Me
tBu	H	B12	Cl	Cl	Me
tBu	H	B13	Cl	Cl	Me
tBu	H	B14	Cl	Cl	Me
tBu	H	B15	Cl	Cl	Me
tBu	H	B16	Cl	Cl	Me
tBu	H	B17	Cl	Cl	Me
tBu	H	B18	Cl	Cl	Me
tBu	H	B19	Cl	Cl	Me
tBu	H	B20	Cl	Cl	Me
tBu	H	B21	Cl	Cl	Me
tBu	H	B22	Cl	Cl	Me
tBu	H	B23	Cl	Cl	Me
tBu	H	B24	Cl	Cl	Me
tBu	H	B25	Cl	Cl	Me
tBu	H	B26	Cl	Cl	Me
tBu	H	B27	Cl	Cl	Me
tBu	H	B28	Cl	Cl	Me
tBu	H	B29	Cl	Cl	Me
tBu	H	B30	Cl	Cl	Me
tBu	H	B31	Cl	Cl	Me
tBu	H	B32	Cl	Cl	Me
tBu	H	B33	Cl	Cl	Me
tBu	H	B34	Cl	Cl	Me
tBu	H	Na	Cl	Cl	Me
tBu	H	K	Cl	Cl	Me
tBu	H	B2	CF_3	Cl	Me
tBu	H	B3	CF_3	Cl	Me
tBu	H	B4	CF_3	Cl	Me
tBu	H	B5	CF_3	Cl	Me
tBu	H	B6	CF_3	Cl	Me
tBu	H	B7	CF_3	Cl	Me
tBu	H	B8	CF_3	Cl	Me
tBu	H	B9	CF_3	Cl	Me
tBu	H	B10	CF_3	Cl	Me
tBu	H	B11	CF_3	Cl	Me
tBu	H	B12	CF_3	Cl	Me
tBu	H	B13	CF_3	Cl	Me
tBu	H	B14	CF_3	Cl	Me

TABLE 4-continued

tBu	H	B15	CF_3	Cl	Me
tBu	H	B16	CF_3	Cl	Me
tBu	H	B17	CF_3	Cl	Me
tBu	H	B18	CF_3	Cl	Me
tBu	H	B19	CF_3	Cl	Me
tBu	H	B20	CF_3	Cl	Me
tBu	H	B21	CF_3	Cl	Me
tBu	H	B22	CF_3	Cl	Me
tBu	H	B23	CF_3	Cl	Me
tBu	H	B24	CF_3	Cl	Me
tBu	H	B25	CF_3	Cl	Me
tBu	H	B26	CF_3	Cl	Me
tBu	H	B27	CF_3	Cl	Me
tBu	H	B28	CF_3	Cl	Me
tBu	H	B29	CF_3	Cl	Me
tBu	H	B30	CF_3	Cl	Me
tBu	H	B31	CF_3	Cl	Me
tBu	H	B32	CF_3	Cl	Me
tBu	H	B33	CF_3	Cl	Me
tBu	H	B34	CF_3	Cl	Me
tBu	H	Na	CF_3	Cl	Me
tBu	H	K	CF_3	Cl	Me
tBu	H	B7	Cl	H	H
tBu	H	B8	Cl	H	H
tBu	H	B15	Cl	H	H
tBu	H	B34	Cl	H	H
2-pyridyl	H	H	H	CF_3	Me
2-pyridyl	H	H	Me	H	Me
2-pyridyl	H	H	Me	H	CF_3
2-pyridyl	H	B7	Me	H	H
2-pyridyl	H	H	Me	Me	Me
2-pyridyl	H	H	Me	Cl	Me
2-pyridyl	H	H	Me	Br	Me
2-pyridyl	H	H	Et	Me	Me
2-pyridyl	H	H	Cl	Me	Me
2-pyridyl	H	H	Cl	H	Me
2-pyridyl	H	H	Cl	H	CF_3
2-pyridyl	H	H	Cl	H	H
2-pyridyl	Me	H	Cl	H	H
2-pyridyl	Cl	H	Cl	H	H
2-pyridyl	H	H	Cl	Cl	Me
2-pyridyl	H	H	Cl	Cl	CF_3
2-pyridyl	H	H	Cl	Cl	CF_2H
2-pyridyl	H	H	Cl	Cl	CH_2OMe
2-pyridyl	H	H	Cl	Cl	COMe
2-pyridyl	H	H	Cl	CF_3	Me
2-pyridyl	H	H	Br	Me	Me
2-pyridyl	H	H	Me	OMe	Me
2-pyridyl	H	H	Br	H	H
2-pyridyl	H	B7	OMe	H	H
2-pyridyl	H	B7	OCF_3	H	H
2-pyridyl	H	B7	SMe	H	H
2-pyridyl	H	B7	NH_2	H	H
2-pyridyl	H	B7	NHMe	H	H
2-pyridyl	H	B7	NMe_2	H	H
2-pyridyl	H	B7	NO_2	H	H
2-pyridyl	H	B7	CN	H	H
2-pyridyl	H	B7	CO_2Me	H	H
2-pyridyl	H	H	CO_2Et	H	H
2-pyridyl	H	H	CF_3	Me	Me
2-pyridyl	H	H	CF_3	Cl	Me
2-pyridyl	H	H	CF_3	H	Me
2-pyridyl	H	H	CF_3	Br	Me
2-pyridyl	H	H	CF_3	CO_2Me	Me
2-pyridyl	H	H	Cl	NO_2	Me
2-pyridyl	H	H	Cl	CN	Me
2-pyridyl	H	H	CO_2Me	Me	Me
2-pyridyl	H	B3	Cl	Cl	Me
2-pyridyl	H	B6	Cl	Cl	Me
2-pyridyl	H	B7	Cl	Cl	Me
2-pyridyl	H	B3	CF_3	Cl	Me
2-pyridyl	H	B6	CF_3	Cl	Me
2-pyridyl	H	B7	CF_3	Cl	Me
2-pyridyl	H	B2	Cl	H	H
2-pyridyl	H	B3	Cl	H	H
2-pyridyl	H	B4	Cl	H	H
2-pyridyl	H	B5	Cl	H	H
2-pyridyl	H	B6	Cl	H	H
2-pyridyl	H	B7	Cl	H	H

TABLE 4-continued

2-pyridyl	H	B8	Cl	H	H
2-pyridyl	H	B9	Cl	H	H
2-pyridyl	H	B10	Cl	H	H
2-pyridyl	H	B11	Cl	H	H
2-pyridyl	H	B12	Cl	H	H
2-pyridyl	H	B13	Cl	H	H
2-pyridyl	H	B14	Cl	H	H
2-pyridyl	H	B15	Cl	H	H
2-pyridyl	H	B16	Cl	H	H
2-pyridyl	H	B17	Cl	H	H
2-pyridyl	H	B18	Cl	H	H
2-pyridyl	H	B19	Cl	H	H
2-pyridyl	H	B20	Cl	H	H
2-pyridyl	H	B21	Cl	H	H
2-pyridyl	H	B22	Cl	H	H
2-pyridyl	H	B23	Cl	H	H
2-pyridyl	H	B24	Cl	H	H
2-pyridyl	H	B25	Cl	H	H
2-pyridyl	H	B26	Cl	H	H
2-pyridyl	H	B27	Cl	H	H
2-pyridyl	H	B28	Cl	H	H
2-pyridyl	H	B29	Cl	H	H
2-pyridyl	H	B30	Cl	H	H
2-pyridyl	H	B31	Cl	H	H
2-pyridyl	H	B32	Cl	H	H
2-pyridyl	H	B33	Cl	H	H
2-pyridyl	H	B34	Cl	H	H
2-pyridyl	H	Na	Cl	H	H
2-pyridyl	H	K	Cl	H	H
3-pyridyl	H	H	Cl	Cl	Me
3-pyridyl	H	H	CF_3	Cl	Me
3-pyridyl	H	H	Cl	H	H
4-pyridyl	H	H	Cl	Cl	Me
4-pyridyl	H	H	CF_3	Cl	Me
4-pyridyl	H	H	Cl	H	H
2-F—Ph	H	H	Me	Me	Me
2-F—Ph	H	H	Me	Cl	Me
2-F—Ph	H	H	Cl	Me	Me
2-F—Ph	H	H	Cl	H	H
2-F—Ph	H	H	Cl	Cl	Me
2-F—Ph	H	B7	OMe	H	H
2-F—Ph	H	B7	SMe	H	H
2-F—Ph	H	B7	CF_3	Cl	Me
2-F—Ph	H	B7	CF_3	H	Me
2-F—Ph	H	B1	Cl	Cl	Me
2-F—Ph	H	B3	Cl	Cl	Me
2-F—Ph	H	B6	Cl	Cl	Me
2-F—Ph	H	B7	Cl	Cl	Me
2-F—Ph	H	B3	CF_3	Cl	Me
2-F—Ph	H	B6	CF_3	Cl	Me
2-F—Ph	H	B7	CF_3	Cl	Me
2-F—Ph	H	B7	Cl	H	H
3-F—Ph	H	H	Cl	Cl	Me
4-F—Ph	H	H	Cl	Cl	Me
2,3-F_2—Ph	H	H	Cl	Cl	Me
2,4-F_2—Ph	H	H	Cl	Cl	Me
2,5-F_2—Ph	H	H	Cl	Cl	Me
Ph	H	H	Me	Me	Me
Ph	H	H	Me	Cl	Me
Ph	H	H	Cl	Me	Me
Ph	H	H	Cl	H	H
Ph	H	H	Cl	Cl	Me
Ph	H	B7	OMe	H	H
Ph	H	B7	SMe	H	H
Ph	H	H	CF_3	Cl	Me
Ph	H	H	CF_3	H	Me
Ph	H	B1	Cl	Cl	Me
Ph	H	B3	Cl	Cl	Me
Ph	H	B6	Cl	Cl	Me
Ph	H	B7	Cl	Cl	Me
Ph	H	B3	CF_3	Cl	Me
Ph	H	B6	CF_3	Cl	Me
Ph	H	B7	CF_3	Cl	Me
Ph	Me	B7	CF_3	Cl	Me
Ph	H	B7	Cl	H	H
3,4-F_2—Ph	H	H	Cl	Cl	Me
3,5-F_2—Ph	H	H	Cl	Cl	Me
2-Cl—Ph	H	H	Me	Me	Me
2-Cl—Ph	H	H	Me	Cl	Me

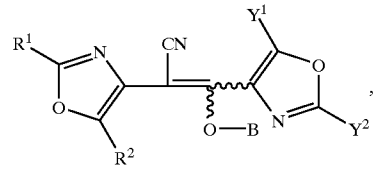
TABLE 4-continued

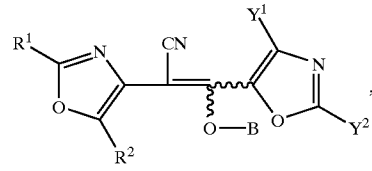
2-Cl—Ph	H	H	Cl	Me	Me
2-Cl—Ph	H	H	Cl	H	H
2-Cl—Ph	H	H	Cl	Cl	Me
2-Cl—Ph	H	H	OMe	H	H
2-Cl—Ph	H	H	SMe	H	H
2-Cl—Ph	H	H	CF_3	Cl	Me
2-Cl—Ph	H	H	CF_3	H	Me
2-Cl—Ph	H	B1	Cl	Cl	Me
2-Cl—Ph	H	B3	Cl	Cl	Me
2-Cl—Ph	H	B6	Cl	Cl	Me
2-Cl—Ph	H	B7	Cl	Cl	Me
2-Cl—Ph	H	B3	CF_3	Cl	Me
2-Cl—Ph	H	B6	CF_3	Cl	Me
2-Cl—Ph	H	B7	CF_3	Cl	Me
2-Cl—Ph	H	B7	Cl	H	H
3-Cl—Ph	H	H	Cl	Cl	Me
4-Cl—Ph	H	H	Cl	Cl	Me
2,3-Cl_2—Ph	H	H	Cl	Cl	Me
2,4-Cl_2—Ph	H	H	Cl	Cl	Me
2,5-Cl_2—Ph	H	H	Cl	Cl	Me
2,6-Cl_2—Ph	H	H	Me	Me	Me
2,6-Cl_2—Ph	H	H	Me	Cl	Me
2,6-Cl_2—Ph	H	H	Cl	Me	Me
2,6-Cl_2—Ph	H	H	Cl	H	H
2,6-Cl_2—Ph	H	H	Cl	Cl	Me
2,6-Cl_2—Ph	H	B7	OMe	H	H
2,6-Cl_2—Ph	H	B7	SMe	H	H
2,6-Cl_2—Ph	H	H	CF_3	Cl	Me
2,6-Cl_2—Ph	H	H	CF_3	H	Me
2,6-Cl_2—Ph	H	B1	Cl	Cl	Me
2,6-Cl_2—Ph	H	B3	Cl	Cl	Me
2,6-Cl_2—Ph	H	B6	Cl	Cl	Me
2,6-Cl_2—Ph	Cl	B7	Cl	Cl	Me
2,6-Cl_2—Ph	H	B7	Cl	Cl	Me
2,6-Cl_2—Ph	H	B3	CF_3	Cl	Me
2,6-Cl_2—Ph	H	B6	CF_3	Cl	Me
2,6-Cl_2—Ph	Cl	B7	CF_3	Cl	Me
2,6-Cl_2—Ph	H	B7	CF_3	Cl	Me
2,6-Cl_2—Ph	H	H	Cl	H	H
3,4-Cl_2—Ph	H	H	Cl	Cl	Me
3,5-Cl_2—Ph	H	H	Cl	Cl	Me
2-Me—Ph	H	H	Cl	Cl	Me
2-Me—Ph	H	H	CF_3	Cl	Me
2,6-Me_2—Ph	H	H	Cl	Cl	Me
2,6-Me_2—Ph	H	H	CF_3	Cl	Me
2-MeO—Ph	H	H	Cl	Cl	Me
2-MeO—Ph	H	H	CF_3	Cl	Me
2-CF_3O—Ph	H	H	Cl	Cl	Me
2-CF_3O—Ph	H	H	CF_3	Cl	Me
2-SMe—Ph	H	H	Cl	Cl	Me
2-SMe—Ph	H	H	CF_3	Cl	Me
2-SOMe—Ph	H	H	Cl	Cl	Me
2-SOMe—Ph	H	H	CF_3	Cl	Me
2-SO_2Me—Ph	H	H	Cl	Cl	Me
2-SO_2Me—Ph	H	H	CF_3	Cl	Me
2-CF_3—Ph	H	H	Cl	Cl	Me
2-CF_3—Ph	H	H	CF_3	Cl	Me
2-NO_2—Ph	H	H	Cl	Cl	Me
2-NO_2—Ph	H	H	CF_3	Cl	Me
2-CN—Ph	H	H	Cl	Cl	Me
2-CN—Ph	H	H	CF_3	Cl	Me
2-NHMe—Ph	H	H	Cl	Cl	Me
2-NMe_2—Ph	H	H	Cl	Cl	Me
4-benzyl-Ph	H	H	Cl	Cl	Me
4-phenoxy-Ph	H	H	Cl	Cl	Me
2-OH—Ph	H	H	Cl	Cl	Me
2-CO_2Me—Ph	H	H	Cl	Cl	Me
2-CO_2Me—Ph	H	H	CF_3	Cl	Me
2-CO_2Et—Ph	H	H	Cl	Cl	Me
2-CO_2Et—Ph	H	H	CF_3	Cl	Me
H	H	H	Cl	Cl	Me
Me	H	H	Cl	Cl	Me
Et	H	H	Cl	Cl	Me
nPr	H	H	Cl	Cl	Me
iPr	H	H	Cl	Cl	Me
iPr	H	H	CF_3	Cl	Me
nBu	H	H	Cl	Cl	Me
nBu	H	H	CF_3	Cl	Me
iBu	H	H	Cl	Cl	Me

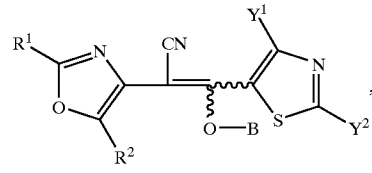
TABLE 4-continued

iBu	H	H	CF_3	Cl	Me
iBu	H	B7	Cl	Cl	Me
iBu	H	B7	CF_3	Cl	Me
iBu	H	H	Cl	H	H
secBu	H	H	Cl	Cl	Me
secBu	H	H	CF_3	Cl	Me
2,2-Me_2-propyl	H	H	Cl	Cl	Me
nHex	H	H	Cl	Cl	Me
ethenyl	H	H	Cl	Cl	Me
1-propenyl	H	H	Cl	Cl	Me
1-propenyl	H	H	CF_3	Cl	Me
ethynyl	H	H	Cl	Cl	Me
1-propynyl	H	H	Cl	Cl	Me
CF_3	H	H	Cl	Cl	Me
CF_3	H	H	CF_3	Cl	Me
CHF_2	H	H	Cl	Cl	Me
C_2F_5	H	H	Cl	Cl	Me
2,2-Cl_2-cPr	H	H	Cl	Cl	Me
2,2-Cl_2-cPr	H	H	CF_3	Cl	Me
cPr	H	H	Cl	Cl	Me
cPr	H	H	CF_3	Cl	Me
1-Me-cPr	H	H	Cl	Cl	Me
1-Me-cPr	H	H	CF_3	Cl	Me
cHex	H	H	Cl	Cl	Me
cHex	H	H	CF_3	Cl	Me
CH_2Ph	H	H	Cl	Cl	Me
naphthyl-1	H	H	Cl	Cl	Me
naphthyl-1	H	H	CF_3	Cl	Me
naphthyl-2	H	H	Cl	Cl	Me
CO_2Me	H	H	Cl	Cl	Me
CO_2Et	H	H	Cl	Cl	Me
2-thienyl	H	H	Cl	Cl	Me
2-thienyl	H	H	CF_3	Cl	Me
CH_2OMe	H	H	Cl	Cl	Me
CH_2OEt	H	H	Cl	Cl	Me
$COCH_3$	H	H	Cl	Cl	Me
COtBu	H	H	Cl	Cl	Me
COPh	H	H	Cl	Cl	Me

TABLE 5







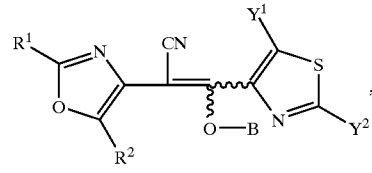
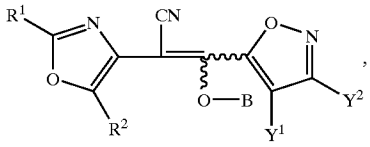
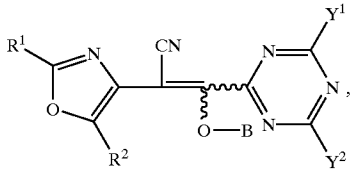
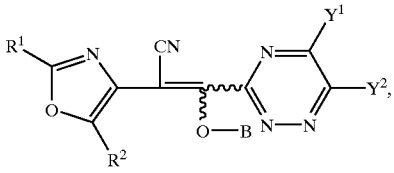
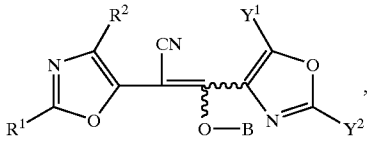


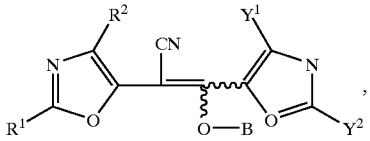
TABLE 5-continued

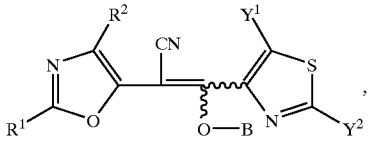


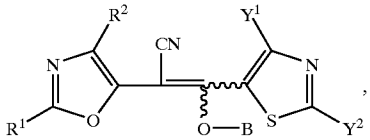


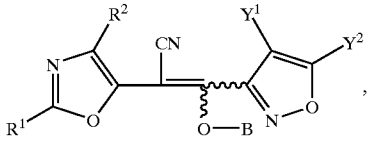












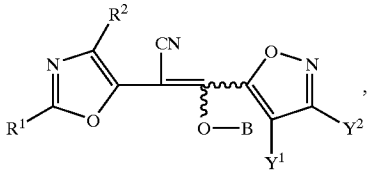
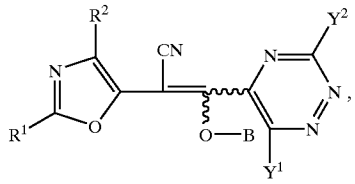
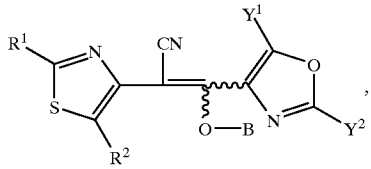
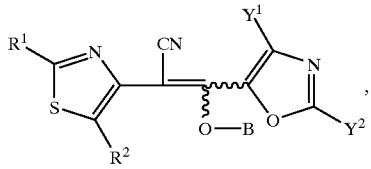
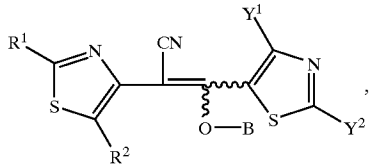


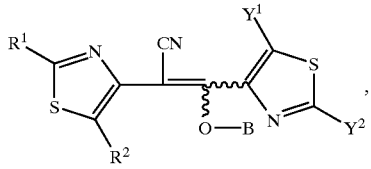
TABLE 5-continued

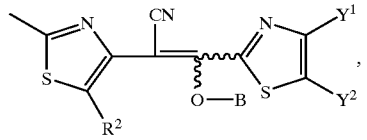


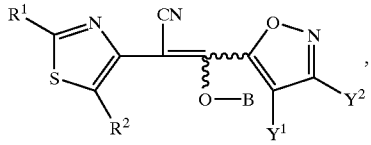


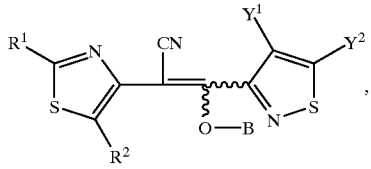












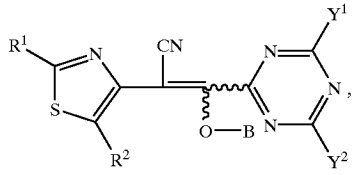
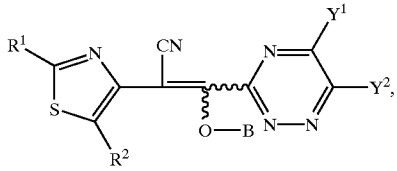
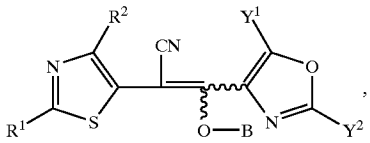
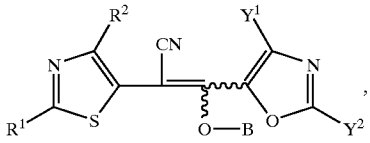
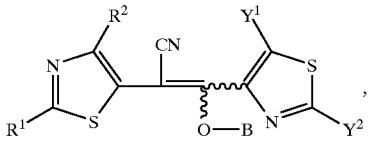


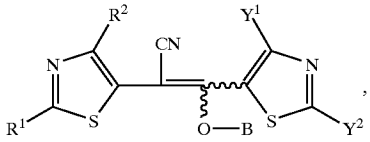
TABLE 5-continued

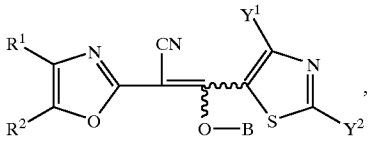


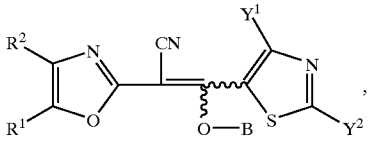


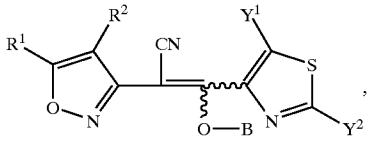


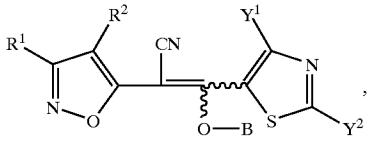












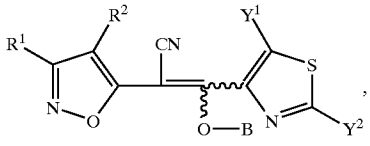
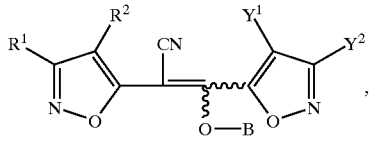
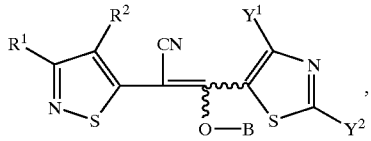
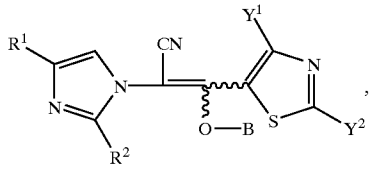
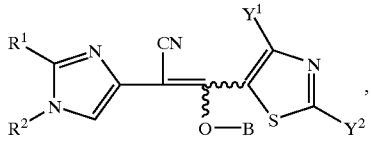


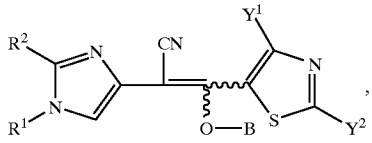
TABLE 5-continued

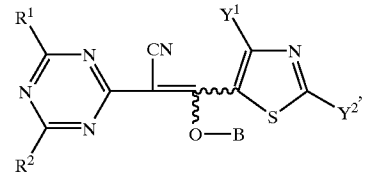


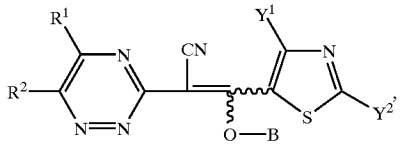


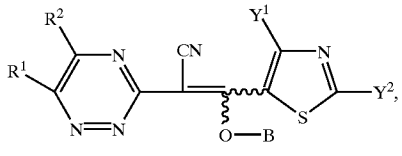


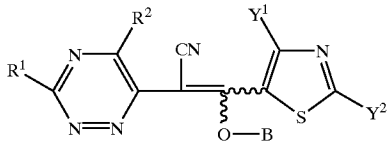






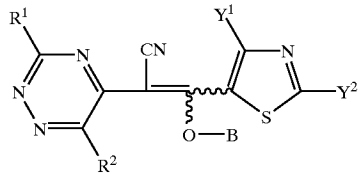






or

TABLE 5-continued



R^1	R^2	B	Y^1	Y^2
2,6-F_2—Ph	H	H	Me	H
2,6-F_2—Ph	H	H	Me	Me
2,6-F_2—Ph	H	H	Et	Me
2,6-F_2—Ph	Me	H	Et	Me
2,6-F_2—Ph	H	H	Cl	Cl
2,6-F_2—Ph	H	H	Cl	Me
2,6-F_2—Ph	H	H	Cl	CF_3
2,6-F_2—Ph	H	H	Me	Cl
2,6-F_2—Ph	H	H	Br	Me
2,6-F_2—Ph	H	H	Me	CF_3
2,6-F_2—Ph	H	H	OMe	Me
2,6-F_2—Ph	H	H	OCF_3	Me
2,6-F_2—Ph	H	H	SMe	Me
2,6-F_2—Ph	H	H	CO_2Me	Me
2,6-F_2—Ph	H	H	CO_2Et	Me
2,6-F_2—Ph	H	H	CF_3	Me
2,6-F_2—Ph	H	H	CF_3	H
2,6-F_2—Ph	H	H	CF_3	Br
2,6-F_2—Ph	H	H	CF_3	NO_2
2,6-F_2—Ph	H	H	CF_3	CN
2,6-F_2—Ph	H	H	CF_3	NHMe
2,6-F_2—Ph	H	H	CF_3	NMe_2
2,6-F_2—Ph	H	H	CF_3	CO_2Me
2,6-F_2—Ph	H	B3	CF_3	Me
2,6-F_2—Ph	H	B6	CF_3	Me
2,6-F_2—Ph	H	B7	CF_3	Me
tBu	H	H	Me	Me
tBu	H	H	Et	Me
tBu	H	H	Cl	Cl
tBu	H	H	Cl	Me
tBu	H	H	Me	Cl
tBu	H	H	Cl	CF_3
tBu	H	H	Br	Me
tBu	H	H	Me	Br
tBu	H	H	Me	CF_3
tBu	H	H	OMe	Me
tBu	H	H	OCF_3	Me
tBu	H	H	SMe	Me
tBu	H	H	CO_2Me	Me
tBu	H	H	CO_2Et	Me
tBu	H	H	CF_3	Me
tBu	H	H	CF_3	H
tBu	H	H	CF_3	Br
tBu	H	H	CF_3	NO_2
tBu	H	B2	CF_3	Me
tBu	H	B3	CF_3	Me
tBu	H	B4	CF_3	Me
tBu	H	B5	CF_3	Me
tBu	H	B6	CF_3	Me
tBu	H	B7	CF_3	Me
tBu	H	B8	CF_3	Me
tBu	H	B9	CF_3	Me
tBu	H	B10	CF_3	Me
tBu	H	B11	CF_3	Me
tBu	H	B14	CF_3	Me
tBu	H	B20	CF_3	Me
tBu	H	B23	CF_3	Me
tBu	H	B24	CF_3	Me
tBu	H	B25	CF_3	Me
tBu	H	B26	CF_3	Me
tBu	H	B28	CF_3	Me
tBu	H	B30	CF_3	Me
tBu	H	B31	CF_3	Me
tBu	H	B32	CF_3	Me
tBu	H	B33	CF_3	Me
tBu	H	B34	CF_3	Me
tBu	H	Na	CF_3	Me

TABLE 5-continued

tBu	H	K	CF_3	Me
2-pyridyl	H	H	Me	Me
2-pyridyl	H	H	Et	Me
2-pyridyl	H	H	Cl	Cl
2-pyridyl	H	H	Cl	Me
2-pyridyl	H	H	Me	Cl
2-pyridyl	H	H	Br	Me
2-pyridyl	H	H	Me	CF_3
2-pyridyl	H	H	CO_2Me	Me
2-pyridyl	H	H	CO_2Et	Me
2-pyridyl	H	H	CF_3	Me
2-pyridyl	H	H	CF_3	Br
2-pyridyl	H	H	CF_3	NO_2
2-pyridyl	H	H	CF_3	CN
2-pyridyl	H	H	CF_3	NMe_2
2-pyridyl	H	B3	CF_3	Me
2-pyridyl	H	B6	CF_3	Me
2-pyridyl	H	B7	CF_3	Me
3-pyridyl	H	H	Et	Me
3-pyridyl	H	H	CF_3	Me
4-pyridyl	H	H	Et	Me
4-pyridyl	H	H	CF_3	Me
2-F—Ph	H	H	Me	Me
2-F—Ph	H	H	Et	Me
2-F—Ph	H	H	CF_3	Me
2-F—Ph	H	H	CF_3	Cl
2-F—Ph	H	H	CF_3	H
2-F—Ph	H	B3	CF_3	Me
2-F—Ph	H	B6	CF_3	Me
2-F—Ph	H	B7	CF_3	Me
3-F—Ph	H	H	Et	Me
3-F—Ph	H	H	CF_3	Me
4-F—Ph	H	H	Et	Me
2,3-F_2—Ph	H	H	Et	Me
2,4-F_2—Ph	H	H	Et	Me
2,5-F_2—Ph	H	H	Et	Me
Ph	H	H	Me	Me
Ph	H	H	Et	Me
Ph	H	H	CF_3	Me
Ph	H	H	CF_3	Cl
Ph	H	H	CF_3	H
Ph	H	B3	CF_3	Me
Ph	H	B6	CF_3	Me
Ph	H	B7	CF_3	Me
3,4-F_2—Ph	H	H	Et	Me
3,5-F_2—Ph	H	H	Et	Me
2-Cl—Ph	H	R	Me	Me
2-Cl—Ph	H	H	Et	Me
2-Cl—Ph	H	H	CF_3	Me
2-Cl—Ph	H	H	CF_3	Cl
2-Cl—Ph	H	H	CF_3	H
2-Cl—Ph	H	B3	CF_3	Me
2-Cl—Ph	H	B6	CF_3	Me
2-Cl—Ph	H	B7	CF_3	Me
3-Cl—Ph	H	H	CF_3	Me
4-Cl—Ph	H	H	CF_3	Me
2,3-Cl_2—Ph	H	H	CF_3	Me
2,4-Cl_2—Ph	H	H	CF_3	Me
2,5-Cl_2—Ph	H	H	CF_3	Me
2,6-Cl_2—Ph	H	H	Me	Me
2,6-Cl_2—Ph	H	H	Et	Me
2,6-Cl_2—Ph	H	H	CF_3	Me
2,6-Cl_2—Ph	H	H	CF_3	Cl
2,6-Cl_2—Ph	H	H	CF_3	H
2,6-Cl_2—Ph	H	B3	CF_3	Me
2,6-Cl_2—Ph	H	B6	CF_3	Me
2,6-Cl_2—Ph	H	B7	CF_3	Me
3,4-Cl_2—Ph	H	H	CF_3	Me
3,5-Cl_2—Ph	H	H	CF_3	Me
2-Me—Ph	H	H	Et	Me
2-Me—Ph	H	H	CF_3	Me
2,6-Me_2—Ph	H	H	Et	Me
2,6-Me_2—Ph	H	H	CF_3	Me
2-MeO—Ph	H	H	Et	Me
2-MeO—Ph	H	H	CF_3	Me
2-CF_3O—Ph	H	H	Et	Me
2-CF_3O—Ph	H	H	CF_3	Me
2-SMe—Ph	H	H	Et	Me
2-SMe—Ph	H	H	CF_3	Me

TABLE 5-continued

2-SOMe—Ph	H	H	Et	Me
2-SOMe—Ph	H	H	CF_3	Me
2-SO_2Me—Ph	H	H	Et	Me
2-SO_2Me—Ph	H	H	CF_3	Me
2-CF_3—Ph	H	H	Et	Me
2-CF_3—Ph	H	H	CF_3	Me
2-NO_2—Ph	H	H	Et	Me
2-NO_2—Ph	H	H	CF_3	Me
2-CN—Ph	H	H	Et	Me
2-$NHMe_2$—Ph	H	H	CF_3	Me
2-NMe_2—Ph	H	H	Et	Me
2-NMe_2—Ph	H	H	CF_3	Me
4-benzyl-Ph	H	H	CF_3	Me
4-phenoxy-Ph	H	H	CF_3	Me
2-OH—Ph	H	H	CF_3	Me
2-CO_2Me—Ph	H	H	Et	Me
2-CO_2Me—Ph	H	H	CF_3	Me
2-CO_2Et—Ph	H	H	Et	Me
2-CO_2Et—Ph	H	H	CF_3	Me
H	H	H	CF_3	Me
Me	H	H	CF_3	Me
Et	H	H	CF_3	Me
nPr	H	H	CF_3	Me
iPr	H	H	Et	Me
iPr	H	H	CF_3	Me
nBu	H	H	Et	Me
nBu	H	H	CF_3	Me
iBu	H	H	Et	Me
iBu	H	H	CF_3	Me
iBu	H	B7	Et	Me
iBu	H	B7	CF_3	Me
secBu	H	H	Et	Me
secBu	H	H	CF_3	Me
2,2-Me_2-propyl	H	H	CF_3	Me
nHex	H	H	CF_3	Me
ethenyl	H	H	CF_3	Me
1-propenyl	H	H	Et	Me
1-propenyl	H	H	CF_3	Me
ethynyl	H	H	CF_3	Me
1-propynyl	H	H	CF_3	Me
CF_3	H	H	Et	Me
CF_3	H	H	CF_3	Me
CHF_2	H	H	Et	Me
C_2F_5	H	H	Et	Me
2,2-Cl_2-cPr	H	H	Et	Me
2,2-Cl_2-cPr	H	H	CF_3	Me
cPr	H	H	Et	Me
cPr	H	H	CF_3	Me
1-Me-cPr	H	H	Et	Me
1-Me-cPr	H	H	CF_3	Me
cHex	H	H	Et	Me
cHex	H	H	CF_3	Me
CH_2Ph	H	H	CF_3	Me
1-naphthyl	H	H	Et	Me
1-naphthyl	H	H	CF_3	Me
2-naphthyl	H	H	CF_3	Me
CO_2Me	H	H	CF_3	Me
CO_2Et	H	H	CF_3	Me
2-thienyl	H	H	CF_3	Me

TABLE 6

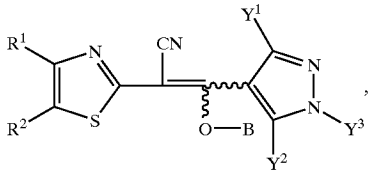
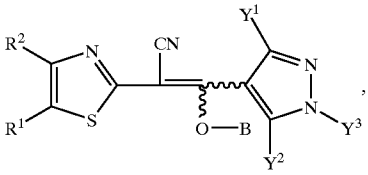
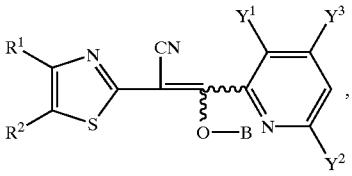
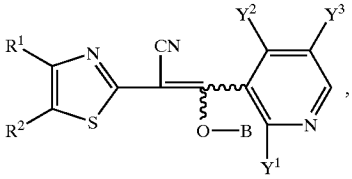
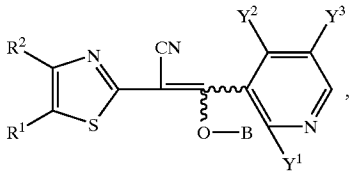


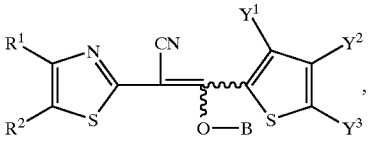
TABLE 6-continued

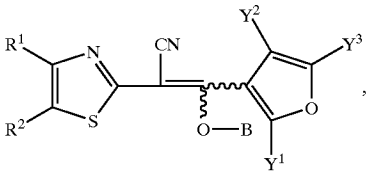


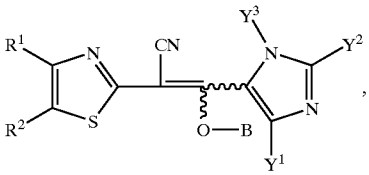


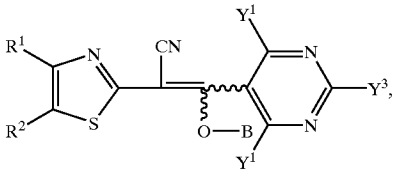












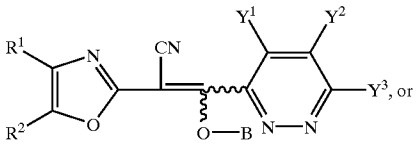
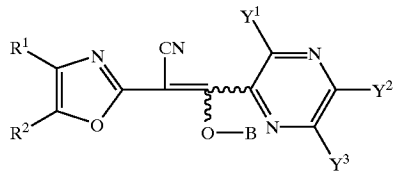


TABLE 6-continued



R^1	R^2	B	Y^1	Y^2	Y^3
2,6-F_2—Ph	H	B7	H	CF_3	Me
2,6-F_2—Ph	H	B7	Me	H	Me
2,6-F_2—Ph	H	B7	Me	H	CF_3
2,6-F_2—Ph	H	B7	Me	H	H
2,6-F_2—Ph	H	B7	Me	Me	Me
2,6-F_2—Ph	H	B7	Me	Cl	Me
2,6-F_2—Ph	H	B7	Me	Br	Me
2,6-F_2—Ph	H	B7	Et	Me	Me
2,6-F_2—Ph	H	B7	Cl	Me	Me
2,6-F_2—Ph	H	B7	Cl	H	Me
2,6-F_2—Ph	H	B7	Cl	H	CF_3
2,6-F_2—Ph	H	B7	Cl	H	H
2,6-F_2—Ph	H	B7	Cl	Cl	CF_3
2,6-F_2—Ph	H	B7	Cl	Cl	CF_2H
2,6-F_2—Ph	H	B7	Cl	Cl	CH_2OMe
2,6-F_2—Ph	H	B7	Cl	Cl	COMe
2,6-F_2—Ph	H	B7	Cl	CF_3	Me
2,6-F_2—Ph	H	B7	Br	Me	Me
2,6-F_2—Ph	H	B7	Me	OMe	Me
2,6-F_2—Ph	H	B7	OMe	H	H
2,6-F_2—Ph	H	B7	OCF_3	H	H
2,6-F_2—Ph	H	B7	SMe	H	H
2,6-F_2—Ph	H	B7	CF_3	Me	Me
2,6-F_2—Ph	H	B7	CF_3	Cl	CF_3
2,6-F_2—Ph	H	B7	CF_3	Cl	CF_2H
2,6-F_2—Ph	H	B7	CF_3	Cl	CH_2OMe
2,6-F_2—Ph	H	B7	CF_3	H	Me
2,6-F_2—Ph	H	B7	CF_3	Br	Me
2,6-F_2—Ph	H	B7	CF_3	NO_2	Me
2,6-F_2—Ph	H	B7	CF_3	CN	Me
2,6-F_2—Ph	H	B7	CF_3	NHMe	Me
2,6-F_2—Ph	H	B7	CF_3	NMe_2	Me
2,6-F_2—Ph	H	B7	CF_3	CO_2Me	Me
2,6-F_2—Ph	H	B7	CF_3	OMe	Me
2,6-F_2—Ph	H	B7	CF_3	OCF_2H	CF_2H
2,6-F_2—Ph	H	B7	Cl	NO_2	Me
2,6-F_2—Ph	H	B7	Cl	CN	Me
2,6-F_2—Ph	H	B7	CO_2Me	Me	Me
2,6-F_2—Ph	H	B1	Cl	Cl	Me
2,6-F_2—Ph	Me	B1	Cl	Cl	Me
2,6-F_2—Ph	H	B3	Cl	Cl	Me
2,6-F_2—Ph	H	B4	Cl	Cl	Me
2,6-F_2—Ph	H	B5	Cl	Cl	Me
2,6-F_2—Ph	H	B6	Cl	Cl	Me
2,6-F_2—Ph	H	B7	Cl	Cl	Me
2,6-F_2—Ph	Me	B7	Cl	Cl	Me
2,6-F_2—Ph	H	B2	CF_3	Cl	Me
2,6-F_2—Ph	H	B3	CF_3	Cl	Me
2,6-F_2—Ph	H	B4	CF_3	Cl	Me
2,6-F_2—Ph	H	B5	CF_3	Cl	Me
2,6-F_2—Ph	H	B6	CF_3	Cl	Me
2,6-F_2—Ph	H	B7	CF_3	Cl	Me
2,6-F_2—Ph	Me	B7	CF_3	Cl	Me
2,6-F_2—Ph	H	B8	CF_3	Cl	Me
2,6-F_2—Ph	H	B9	CF_3	Cl	Me
2,6-F_2—Ph	H	B10	CF_3	Cl	Me
2,6-F_2—Ph	H	B11	CF_3	Cl	Me
2,6-F_2—Ph	H	B12	CF_3	Cl	Me
2,6-F_2—Ph	H	B13	CF_3	Cl	Me
2,6-F_2—Ph	H	B14	CF_3	Cl	Me
2,6-F_2—Ph	H	B15	CF_3	Cl	Me
2,6-F_2—Ph	H	B16	CF_3	Cl	Me
2,6-F_2—Ph	H	B17	CF_3	Cl	Me
2,6-F_2—Ph	H	B18	CF_3	Cl	Me
2,6-F_2—Ph	H	B19	CF_3	Cl	Me
2,6-F_2—Ph	H	B20	CF_3	Cl	Me

TABLE 6-continued

2,6-F_2—Ph	H	B21	CF_3	Cl	Me
2,6-F_2—Ph	H	B22	CF_3	Cl	Me
2,6-F_2—Ph	H	B23	CF_3	Cl	Me
2,6-F_2—Ph	H	B24	CF_3	Cl	Me
2,6-F_2—Ph	H	B25	CF_3	Cl	Me
2,6-F_2—Ph	H	B26	CF_3	Cl	Me
2,6-F_2—Ph	H	B27	CF_3	Cl	Me
2,6-F_2—Ph	H	B28	CF_3	Cl	Me
2,6-F_2—Ph	H	B29	CF_3	Cl	Me
2,6-F_2—Ph	H	B30	CF_3	Cl	Me
2,6-F_2—Ph	H	B31	CF_3	Cl	Me
2,6-F_2—Ph	H	B32	CF_3	Cl	Me
2,6-F_2—Ph	H	B33	CF_3	Cl	Me
2,6-F_2—Ph	H	B34	CF_3	Cl	Me
2,6-F_2—Ph	H	B7	Cl	H	H
2,6-F_2—Ph	H	B9	Cl	H	H
2,6-F_2—Ph	H	B10	Cl	H	H
2,6-F_2—Ph	H	B11	Cl	H	H
2,6-F_2—Ph	H	B45	CF_3	Cl	Me
2,6-F_2—Ph	H	B46	CF_3	Cl	Me
tBu	H	B7	H	CF_3	Me
tBu	H	B7	Me	H	Me
tBu	H	B7	Me	H	CF_3
tBu	H	B7	Me	H	H
tBu	H	B7	Me	Me	Me
tBu	H	B7	Me	Cl	Me
tBu	H	B7	Me	Br	Me
tBu	H	B7	Et	Me	Me
tBu	H	B7	Cl	Me	Me
tBu	H	B7	Cl	H	Me
tBu	H	B7	Cl	H	CF_3
tBu	H	B7	Cl	H	H
tBu	H	B7	Cl	Cl	CF_3
tBu	H	B7	Cl	Cl	CF_2H
tBu	H	B7	Cl	Cl	CH_2OMe
tBu	H	B7	Cl	Cl	COMe
tBu	H	B7	Cl	CF_3	Me
tBu	H	B7	Br	Me	Me
tBu	H	B7	Me	OMe	Me
tBu	H	B7	OMe	H	H
tBu	H	B7	OCF_3	H	H
tBu	H	B7	SMe	H	H
tBu	H	B7	CF_3	Me	Me
tBu	Br	B7	CF_3	Cl	Me
tBu	Me	B7	CF_3	Cl	Me
tBu	CF_3	B7	CF_3	Cl	Me
tBu	NO_2	B7	CF_3	Cl	Me
tBu	CN	B7	CF_3	Cl	Me
tBu	CO_2Me	B7	CF_3	Cl	Me
tBu	CO_2Et	B7	CF_3	Cl	Me
tBu	H	B7	CF_3	Cl	CF_3
tBu	H	B7	CF_3	Cl	CF_2H
tBu	H	B7	CF_3	Cl	CH_2OMe
tBu	H	B7	CF_3	H	Me
tBu	H	B7	CF_3	Br	Me
tBu	H	B7	CF_3	NO_2	Me
tBu	H	B7	CF_3	CN	Me
tBu	H	B7	CF_3	NHMe	Me
tBu	H	B7	CF_3	NMe_2	Me
tBu	H	B7	CF_3	CO_2Me	Me
tBu	H	B7	CF_3	OMe	Me
tBu	H	B7	CF_3	OCF_2H	CF_2H
tBu	H	B7	Cl	NO_2	Me
tBu	H	B7	Cl	CN	Me
tBu	H	B7	CO_2Me	Me	Me
tBu	H	B1	Cl	Cl	Me
tBu	H	B2	Cl	Cl	Me
tBu	H	B3	Cl	Cl	Me
tBu	H	B4	Cl	Cl	Me
tBu	H	B5	Cl	Cl	Me
tBu	H	B6	Cl	Cl	Me
tBu	H	B7	Cl	Cl	Me
tBu	Me	B7	Cl	Cl	Me
tBu	H	B8	Cl	Cl	Me
tBu	H	B9	Cl	Cl	Me
tBu	H	B10	Cl	Cl	Me
tBu	H	B11	Cl	Cl	Me
tBu	H	B12	Cl	Cl	Me
tBu	H	B13	Cl	Cl	Me

TABLE 6-continued

tBu	H	B14	Cl	Cl	Me
tBu	H	B15	Cl	Cl	Me
tBu	H	B16	Cl	Cl	Me
tBu	H	B17	Cl	Cl	Me
tBu	H	B18	Cl	Cl	Me
tBu	H	B19	Cl	Cl	Me
tBu	H	B20	Cl	Cl	Me
tBu	H	B21	Cl	Cl	Me
tBu	H	B22	Cl	Cl	Me
tBu	H	B23	Cl	Cl	Me
tBu	H	B24	Cl	Cl	Me
tBu	H	B25	Cl	Cl	Me
tBu	H	B26	Cl	Cl	Me
tBu	H	B27	Cl	Cl	Me
tBu	H	B28	Cl	Cl	Me
tBu	H	B29	Cl	Cl	Me
tBu	H	B30	Cl	Cl	Me
tBu	H	B31	Cl	Cl	Me
tBu	H	B32	Cl	Cl	Me
tBu	H	B33	Cl	Cl	Me
tBu	H	B34	Cl	Cl	Me
tBu	H	B45	Cl	Cl	Me
tBu	H	B46	Cl	Cl	Me
tBu	H	B2	CF_3	Cl	Me
tBu	H	B3	CF_3	Cl	Me
tBu	H	B4	CF_3	Cl	Me
tBu	H	B5	CF_3	Cl	Me
tBu	H	B6	CF_3	Cl	Me
tBu	H	B7	CF_3	Cl	Me
tBu	H	B8	CF_3	Cl	Me
tBu	H	B9	CF_3	Cl	Me
tBu	H	B10	CF_3	Cl	Me
tBu	H	B11	CF_3	Cl	Me
tBu	H	B12	CF_3	Cl	Me
tBu	H	B13	CF_3	Cl	Me
tBu	H	B14	CF_3	Cl	Me
tBu	H	B15	CF_3	Cl	Me
tBu	H	B16	CF_3	Cl	Me
tBu	H	B17	CF_3	Cl	Me
tBu	H	B18	CF_3	Cl	Me
tBu	H	B19	CF_3	Cl	Me
tBu	H	B20	CF_3	Cl	Me
tBu	H	B21	CF_3	Cl	Me
tBu	H	B22	CF_3	Cl	Me
tBu	H	B23	CF_3	Cl	Me
tBu	H	B24	CF_3	Cl	Me
tBu	H	B25	CF_3	Cl	Me
tBu	H	B26	CF_3	Cl	Me
tBu	H	B27	CF_3	Cl	Me
tBu	H	B28	CF_3	Cl	Me
tBu	H	B29	CF_3	Cl	Me
tBu	H	B30	CF_3	Cl	Me
tBu	H	B31	CF_3	Cl	Me
tBu	H	B32	CF_3	Cl	Me
tBu	H	B33	CF_3	Cl	Me
tBu	H	B34	CF_3	Cl	Me
tBu	H	B35	CF_3	Cl	Me
tBu	H	B43	CF_3	Cl	Me
tBu	H	B7	Cl	H	H
tBu	H	B9	Cl	H	H
tBu	H	B10	Cl	H	H
tBu	H	B11	Cl	H	H
2-pyridyl	H	B7	H	CF_3	Me
2-pyridyl	H	B7	Me	H	Me
2-pyridyl	H	B7	Me	H	CF_3
2-pyridyl	H	B7	Me	H	H
2-pyridyl	H	B7	Me	Me	Me
2-pyridyl	H	B7	Me	Cl	Me
2-pyridyl	H	B7	Cl	H	Me
2-pyridyl	H	B7	Cl	H	CF_3
2-pyridyl	H	B7	OMe	H	H
2-pyridyl	H	B7	OCF_3	H	H
2-pyridyl	H	B7	SMe	H	H
2-pyridyl	H	B7	NO_2	H	H
2-pyridyl	H	B7	CO_2Me	H	H
2-pyridyl	H	B7	CO_2Et	H	H
2-pyridyl	H	B7	CF_3	Me	Me
2-pyridyl	H	B7	CF_3	H	Me
2-pyridyl	H	B7	CF_3	Br	Me

TABLE 6-continued

2-pyridyl	H	B3	Cl	Cl	Me
2-pyridyl	H	B7	Cl	Cl	Me
2-pyridyl	H	B3	CF_3	Cl	Me
2-pyridyl	H	B7	CF_3	Cl	Me
2-pyridyl	H	B3	Cl	H	H
2-pyridyl	H	B4	Cl	H	H
2-pyridyl	H	B5	Cl	H	H
2-pyridyl	H	B6	Cl	H	H
2-pyridyl	H	B7	Cl	H	H
2-pyridyl	H	B8	Cl	H	H
2-pyridyl	H	B9	Cl	H	H
2-pyridyl	H	B10	Cl	H	H
2-pyridyl	H	B11	Cl	H	H
2-pyridyl	H	B20	Cl	H	H
2-pyridyl	H	B24	Cl	H	H
2-pyridyl	H	B25	Cl	H	H
2-pyridyl	H	B26	Cl	H	H
2-pyridyl	H	B28	Cl	H	H
2-pyridyl	H	B30	Cl	H	H
2-pyridyl	H	B31	Cl	H	H
2-pyridyl	H	B32	Cl	H	H
2-pyridyl	H	B33	Cl	H	H
2-pyridyl	H	B43	Cl	H	H
2-pyridyl	H	B46	Cl	H	H
3-pyridyl	H	B7	Cl	Cl	Me
3-pyridyl	H	B7	Cl	H	H
4-pyridyl	H	B7	Cl	Cl	Me
4-pyridyl	H	B7	Cl	H	H
2-F—Ph	H	B7	Me	Me	Me
2-F—Ph	H	B7	Me	Cl	Me
2-F—Ph	H	B7	Cl	Me	Me
2-F—Ph	H	B7	Cl	H	H
2-F—Ph	H	B7	OMe	H	H
2-F—Ph	H	B7	SMe	H	H
2-F—Ph	H	B7	CF_3	H	Me
2-F—Ph	H	B1	Cl	Cl	Me
2-F—Ph	H	B3	Cl	Cl	Me
2-F—Ph	H	B6	Cl	Cl	Me
2-F—Ph	H	B7	Cl	Cl	Me
2-F—Ph	H	B3	CF_3	Cl	Me
2-F—Ph	H	B6	CF_3	Cl	Me
2-F—Ph	H	B7	CF_3	Cl	Me
2-F—Ph	H	B7	Cl	H	H
3-F—Ph	H	B7	Cl	Cl	Me
4-F—Ph	H	B7	Cl	Cl	Me
2,3-F_2—Ph	H	B7	CF_3	Cl	Me
2,4-F_2—Ph	H	B7	Cl	Cl	Me
2,5-F_2—Ph	H	B7	Cl	Cl	Me
Ph	H	B7	Me	Me	Me
Ph	H	B7	Me	Cl	Me
Ph	H	B7	Cl	Me	Me
Ph	H	B7	Cl	H	H
Ph	H	B7	OMe	H	H
Ph	H	B7	SMe	H	H
Ph	H	B7	CF_3	H	Me
Ph	H	B1	Cl	Cl	Me
Ph	H	B3	Cl	Cl	Me
Ph	H	B6	Cl	Cl	Me
Ph	H	B7	Cl	Cl	Me
Ph	H	B3	CF_3	Cl	Me
Ph	H	B6	CF_3	Cl	Me
Ph	H	B7	CF_3	Cl	Me
Ph	Me	B7	CF_3	Cl	Me
Ph	H	B7	Cl	H	H
3,4-F_2—Ph	H	B7	Cl	Cl	Me
3,5-F_2—Ph	H	B7	Cl	Cl	Me
2-Cl—Ph	H	B7	Me	Me	Me
2-Cl—Ph	H	B7	Me	Cl	Me
2-Cl—Ph	H	B7	Cl	Me	Me
2-Cl—Ph	H	B7	Cl	H	H
2-Cl—Ph	H	B7	OMe	H	H
2-Cl—Ph	H	B7	SMe	H	H
2-Cl—Ph	H	B7	CF_3	H	Me
2-Cl—Ph	H	B6	Cl	Cl	Me
2-Cl—Ph	H	B7	Cl	Cl	Me
2-Cl—Ph	H	B3	CF_3	Cl	Me
2-Cl—Ph	H	B6	CF_3	Cl	Me
2-Cl—Ph	H	B7	CF_3	Cl	Me
2-Cl—Ph	H	B7	Cl	H	H

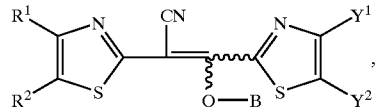
TABLE 6-continued

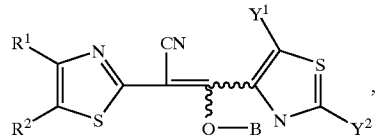
3-Cl—Ph	H	B7	Cl	Cl	Me
4-Cl—Ph	H	B7	Cl	Cl	Me
2,3-Cl_2—Ph	H	B7	Cl	Cl	Me
2,4-Cl_2—Ph	H	B7	Cl	Cl	Me
2,5-Cl_2—Ph	H	B7	Cl	Cl	Me
2,6-Cl_2—Ph	H	B7	Me	Me	Me
2,6-Cl_2—Ph	H	B7	Me	Cl	Me
2,6-Cl_2—Ph	H	B7	Cl	Me	Me
2,6-Cl_2—Ph	H	B7	Cl	H	H
2,6-Cl_2—Ph	H	B7	OMe	H	H
2,6-Cl_2—Ph	H	B7	SMe	H	H
2,6-Cl_2—Ph	H	B7	CF_3	H	Me
2,6-Cl_2—Ph	H	B1	Cl	Cl	Me
2,6-Cl_2—Ph	H	B3	Cl	Cl	Me
2,6-Cl_2—Ph	H	B6	Cl	Cl	Me
2,6-Cl_2—Ph	H	B7	Cl	Cl	Me
2,6-Cl_2—Ph	Me	B7	Cl	Cl	Me
2,6-Cl_2—Ph	H	B3	CF_3	Cl	Me
2,6-Cl_2—Ph	H	B6	CF_3	Cl	Me
2,6-Cl_2—Ph	H	B7	CF_3	Cl	Me
2,6-Cl_2—Ph	Me	B7	CF_3	Cl	Me
3,4-Cl_2—Ph	H	B7	Cl	Cl	Me
3,5-Cl_2—Ph	H	B7	Cl	Cl	Me
2-Me—Ph	H	B7	Cl	Cl	Me
2-Me—Ph	H	B7	CF_3	Cl	Me
2,6-Me_2—Ph	H	B7	Cl	Cl	Me
2,6-Me_2—Ph	H	B7	CF_3	Cl	Me
2-MeO—Ph	H	B7	Cl	Cl	Me
2-MeO—Ph	H	B7	CF_3	Cl	Me
2-CF_3O—Ph	H	B7	Cl	Cl	Me
2-CF_3O—Ph	H	B7	CF_3	Cl	Me
2-SMe—Ph	H	B7	Cl	Cl	Me
2-SOMe—Ph	H	B7	Cl	Cl	Me
2-SO_2Me—Ph	H	B7	Cl	Cl	Me
2-CF_3—Ph	H	B7	Cl	Cl	Me
2-NO_2—Ph	H	B7	Cl	Cl	Me
2-NO_2—Ph	H	B9	Cl	Cl	Me
2-CN—Ph	H	B7	Cl	Cl	Me
2-NHMe—Ph	H	B7	Cl	Cl	Me
2-NMe_2—Ph	H	B7	Cl	Cl	Me
4-benzyl-Ph	H	B7	Cl	Cl	Me
4-phenoxy-Ph	H	B7	Cl	Cl	Me
4-tBu—Ph	H	B1	Cl	H	Me
2-OH—Ph	H	B7	Cl	Cl	Me
2-CO_2Me—Ph	H	B7	Cl	Cl	Me
2-CO_2Et—Ph	H	B7	Cl	Cl	Me
H	H	B7	Cl	Cl	Me
Me	H	B7	Cl	Cl	Me
Et	H	B7	Cl	Cl	Me
nPr	H	B7	Cl	Cl	Me
iPr	H	B7	Cl	Cl	Me
iPr	H	B7	CF_3	Cl	Me
nBu	H	B7	Cl	Cl	Me
nBu	H	B7	CF_3	Cl	Me
iBu	H	B7	Cl	Cl	Me
iBu	H	B7	CF_3	Cl	Me
iBu	Me	B7	Cl	Cl	Me
iBu	Me	B7	CF_3	Cl	Me
iBu	H	B7	Cl	H	H
secBu	H	B7	Cl	Cl	Me
secBu	H	B7	CF_3	Cl	Me
pentyl-2	H	B1	Cl	Cl	Me
2,2-Me_2-propyl	H	B7	Cl	Cl	Me
nHex	H	B7	Cl	Cl	Me
ethenyl	H	B7	Cl	Cl	Me
1-propenyl	H	B7	Cl	Cl	Me
1-propenyl	H	B7	CF_3	Cl	Me
ethynyl	H	B7	Cl	Cl	Me
1-propynyl	H	B7	Cl	Cl	Me
CF_3	H	B7	Cl	Cl	Me
CHF_2	H	B7	Cl	Cl	Me
C_2F_5	H	B7	Cl	Cl	Me
2,2-Cl_2-cPr	H	B7	Cl	Cl	Me
2,2-Cl_2-cPr	H	B7	CF_3	Cl	Me
cPr	H	B7	Cl	Cl	Me
cPr	H	B7	CF_3	Cl	Me
1-Me-cPr	H	B7	Cl	Cl	Me
1-Me-cPr	H	B7	CF_3	Cl	Me
cHex	H	B7	Cl	Cl	Me

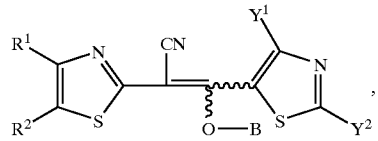
TABLE 6-continued

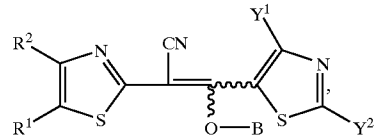
cHex	H	B7	CF_3	Cl	Me
CH_2Ph	H	B7	Cl	Cl	Me
naphthyl-1	H	B7	Cl	Cl	Me
naphthyl-1	H	B7	CF_3	Cl	Me
naphthyl-2	H	B9	Cl	H	H
naphthyl-2	H	B7	Cl	Cl	Me
CO_2Me	H	B7	Cl	Cl	Me
CO_2Et	H	B7	Cl	Cl	Me
2-thienyl	H	B7	Cl	Cl	Me
2-thienyl	H	B7	CF_3	Cl	Me
CH_2OMe	H	B7	Cl	Cl	Me
CH_2OEt	H	B7	Cl	Cl	Me
$COCH_3$	H	B7	Cl	Cl	Me
COtBu	H	B7	Cl	Cl	Me
COPh	H	B7	Cl	Cl	Me

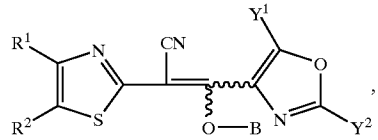
TABLE 7

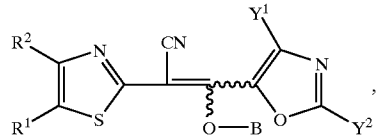












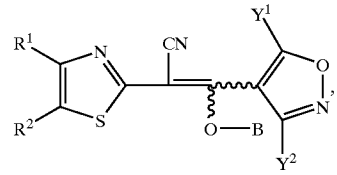
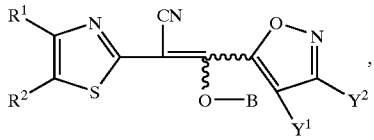
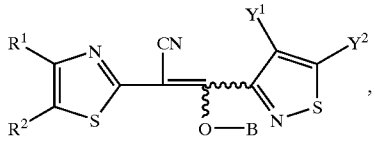
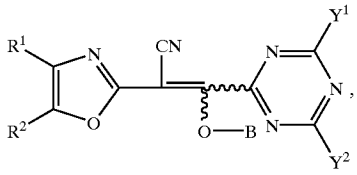
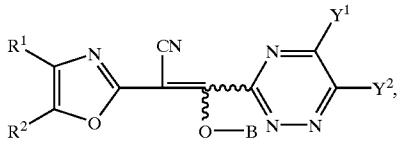


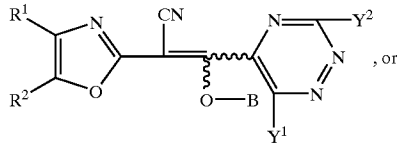
TABLE 7-continued

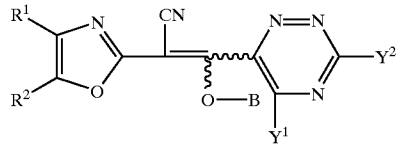












R^1	R^2	B	Y^1	Y^2
Ph	H	B7	Me	H
Ph	H	B7	Me	Me
Ph	H	B7	Et	Me
Ph	Me	B7	Et	Me
Ph	H	B7	Me	Cl
Ph	H	B7	Cl	Me
Ph	H	B7	Br	Me
Ph	H	B7	Me	Br
Ph	H	B7	Me	CF_3
Ph	H	B7	CF_3	H
Ph	H	B7	CF_3	Br
Ph	H	B7	CF_3	NO_2
Ph	H	B7	CF_3	CN
Ph	H	B4	CF_3	Me
Ph	H	B7	CF_3	Me
Ph	H	B9	CF_3	Me
tBu	H	B7	Me	Me
tBu	H	B7	Et	Me
tBu	H	B7	Cl	Cl
tBu	H	B7	Cl	Me
tBu	H	B7	Me	Cl
tBu	H	B7	Cl	CF_3
tBu	H	B7	Br	Me
tBu	H	B7	Me	Br
tBu	H	B7	Me	CF_3
tBu	H	B7	CF_3	Me
tBu	H	B7	CF_3	H
tBu	H	B7	CF_3	Br
tBu	H	B7	CF_3	NO_2

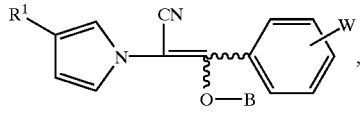
TABLE 7-continued

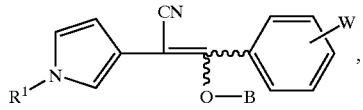
tBu	H	B7	CF_3	CN
tBu	H	B4	CF_3	Me
tBu	H	B5	CF_3	Me
tBu	H	B6	CF_3	Me
tBu	H	B7	CF_3	Me
tBu	H	B9	CF_3	Me
tBu	H	B20	CF_3	Me
tBu	H	B24	CF_3	Me
tBu	H	B25	CF_3	Me
tBu	H	B26	CF_3	Me
2-pyridyl	H	B7	Me	Me
2-pyridyl	H	B7	Et	Me
2-pyridyl	H	B7	Cl	Cl
2-pyridyl	H	B7	Cl	Me
2-pyridyl	H	B7	Cl	CF_3
2-pyridyl	H	B7	Me	Cl
2-pyridyl	H	B7	Br	Me
2-thienyl	H	B7	Me	CF_3
2-pyridyl	H	B7	CF_3	H
2-pyridyl	H	B7	CF_3	Br
2-pyridyl	H	B7	CF_3	Me
3-pyridyl	H	B7	Et	Me
4-pyridyl	H	B7	CF_3	Me
2-F—Ph	H	B7	Me	Me
2-F—Ph	H	B7	Et	Me
2-F—Ph	H	B7	CF_3	Cl
2-F—Ph	H	B7	CF_3	H
2-F—Ph	H	B4	CF_3	Me
2-F—Ph	H	B7	CF_3	Me
2-F—Ph	H	B9	CF_3	Me
3-F—Ph	H	B7	Et	Me
4-F—Ph	H	B7	Et	Me
$2,3\text{-}F_2$—Ph	H	B7	Et	Me
$2,4\text{-}F_2$—Ph	H	B7	Et	Me
$2,5\text{-}F_2$—Ph	H	B7	Et	Me
$2,6\text{-}F_2$—Ph	H	B7	Me	Me
$2,6\text{-}F_2$—Ph	H	B7	Et	Me
$2,6\text{-}F_2$—Ph	H	B7	CF_3	Cl
$2,6\text{-}F_2$—Ph	H	B7	CF_3	H
$2,6\text{-}F_2$—Ph	H	B4	CF_3	Me
$2,6\text{-}F_2$—Ph	H	B7	CF_3	Me
$2,6\text{-}F_2$—Ph	H	B9	CF_3	Me
$3,4\text{-}F_2$—Ph	H	B7	Et	Me
$3,5\text{-}F_2$—Ph	H	B7	Et	Me
2-Cl—Ph	H	B7	Me	Me
2-Cl—Ph	H	B7	Et	Me
2-Cl—Ph	H	B7	CF_3	Cl
2-Cl—Ph	H	B7	CF_3	H
2-Cl—Ph	H	B4	CF_3	Me
2-Cl—Ph	H	B7	CF_3	Me
2-Cl—Ph	H	B9	CF_3	Me
3-Cl—Ph	H	B7	CF_3	Me
4-Cl—Ph	H	B7	CF_3	Me
$2,3\text{-}Cl_2$—Ph	H	B7	CF_3	Me
$2,4\text{-}Cl_2$—Ph	H	B7	CF_3	Me
$2,5\text{-}Cl_2$—Ph	H	B7	CF_3	Me
$2,6\text{-}Cl_2$—Ph	H	B7	Me	Me
$2,6\text{-}Cl_2$—Ph	H	B7	Et	Me
$2,6\text{-}Cl_2$—Ph	H	B7	CF_3	Cl
$2,6\text{-}Cl_2$—Ph	H	B7	CF_3	H
$2,6\text{-}Cl_2$—Ph	H	B4	CF_3	Me
$2,6\text{-}Cl_2$—Ph	H	B7	CF_3	Me
$2,6\text{-}Cl_2$—Ph	H	B9	CF_3	Me
$3,4\text{-}Cl_2$—Ph	H	B7	CF_3	Me
$3,5\text{-}Cl_2$—Ph	H	B7	CF_3	Me
2-Me—Ph	H	B7	Et	Me
2-Me—Ph	H	B7	CF_3	Me
$2,6\text{-}Me_2$—Ph	H	B7	Et	Me
$2,6\text{-}Me_2$—Ph	H	B7	CF_3	Me
2-MeO—Ph	H	B7	Et	Me
2-MeO—Ph	H	B7	CF_3	Me
$2\text{-}CF_3O$—Ph	H	B7	CF_3	Me
2-SMe—Ph	H	B7	CF_3	Me
2-SOMe—Ph	H	B7	CF_3	Me
$2\text{-}SO_2Me$—Ph	H	B7	CF_3	Me
$2\text{-}CF_3$—Ph	H	B7	CF_3	Me
$2\text{-}NO_2$—Ph	H	B7	CF_3	Me
2-CN—Ph	H	B7	CF_3	Me
$2\text{-}NMe_2$—Ph	H	B7	CF_3	Me

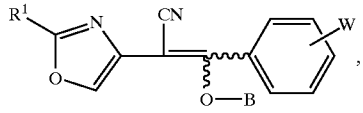
TABLE 7-continued

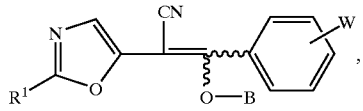
4-benzyl-Ph	H	B7	CF_3	Me
4-phenoxy-Ph	H	B7	CF_3	Me
2-OH—Ph	H	B7	CF_3	Me
2-CO_2Me—Ph	H	B7	Et	Me
2-CO_2Me—Ph	H	B7	CF_3	Me
2-CO_2Et—Ph	H	B7	Et	Me
2-CO_2Et—Ph	H	B7	CF_3	Me
H	H	B7	CF_3	Me
Me	H	B7	CF_3	Me
Et	H	B7	CF_3	Me
nPr	H	B7	CF_3	Me
iPr	H	B7	Et	Me
iPr	H	B7	CF_3	Me
nBu	H	B7	Et	Me
nBu	H	B7	CF_3	Me
iBu	H	B7	Et	Me
iBu	H	B7	CF_3	Me
secBu	H	B7	Et	Me
secBu	H	B7	CF_3	Me
2,2-Me_2-propyl	H	B7	CF_3	Me
nHex	H	B7	CF_3	Me
ethenyl	H	B7	CF_3	Me
1-propenyl	H	B7	Et	Me
1-propenyl	H	B7	CF_3	Me
ethynyl	H	B7	CF_3	Me
1-propynyl	H	B7	CF_3	Me
CF_3	H	B7	Et	Me
CHF_2	H	B7	CF_3	Me
C_2F_5	H	B7	CF_3	Me
2,2-Cl_2-cPr	H	B7	Et	Me
2,2-Cl_2-cPr	H	B7	CF	Me
cPr	H	B7	Et	Me
cPr	H	B7	CF_3	Me
1-Me-cPr	H	B7	Et	Me
1-Me-cPr	H	B7	CF_3	Me
cHex	H	B7	Et	Me
cHex	H	B7	CF	Me
CH_2Ph	H	B7	CF	Me
naphthyl-1	H	B7	Et	Me
naphthyl-1	H	B7	CF_3	Me
naphthyl-2	H	B7	CF_3	Me
CO_2Me	H	B7	CF_3	Me
CO_2Et	H	B7	CF_3	Me
2-thienyl	H	B7	CF_3	Me

TABLE 8









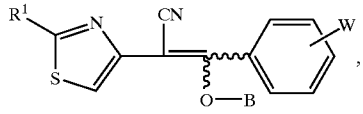
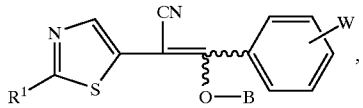
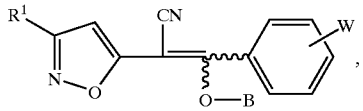
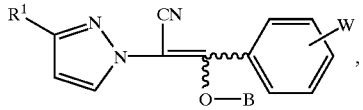
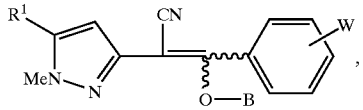


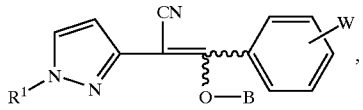
TABLE 8-continued

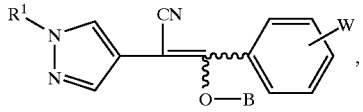


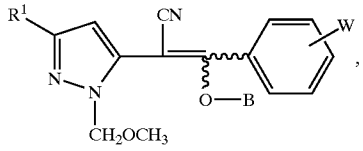


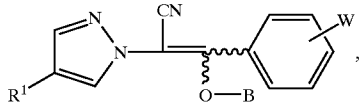


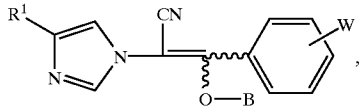


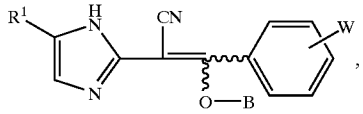


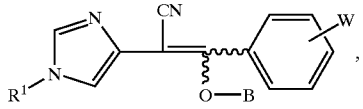












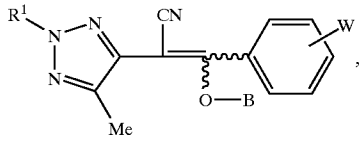
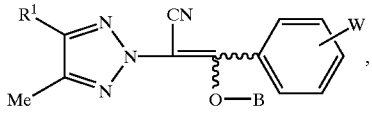
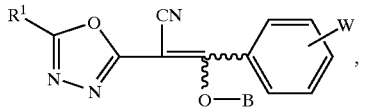
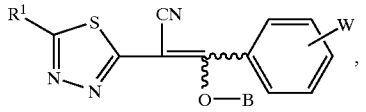
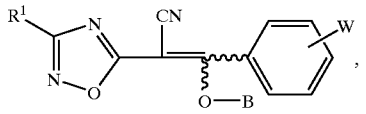


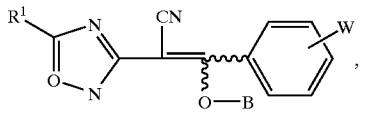
TABLE 8-continued

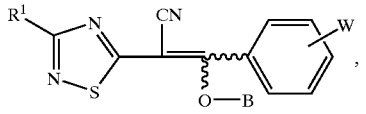


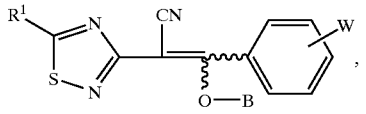


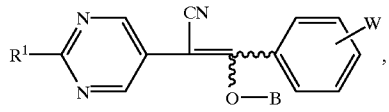


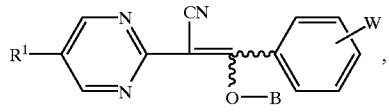


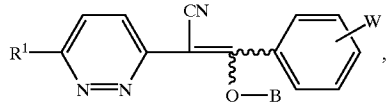


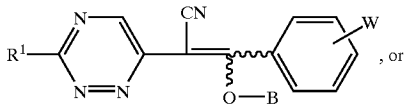


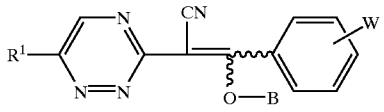












R^1	R^2	B	W
2-F—Ph	H	H	2-F
2,6-F_2—Ph	H	(CO(2,4-Me_2—Ph)	2-F
tBu	H	H	2-F
tBu	H	B7	2-F
$tBuCH_2$	H	B6	2-F
$EtMe_2C$	H	B30	2-F
cHex	H	B31	2-Cl
1-Me-cHex	H	B32	2-Br
Ph	H	B33	2-F
2-F—Ph	H	B34	2-F
2-Cl	H	H	2-Me
2-Cl—Ph	H	CO_2iPr	2-nBu
2,6-F_2—Ph	H	CO(2-MeO—Ph)	2-OMe
2,6-Cl_2—Ph	H	H	2-nBeO
1-naphthyl	Cl	CO(4-MeO—Ph)	2-CF_3
2-naphthyl	Me	CO(2-Me—Ph)	2-$OCHF_2$
tBu	H	Na	2-CF_3
tBu	H	Ca	2-$OCH_2CH_2CHFCHF_2$
2-pyridyl	H	H	2-OCF_2CHF_2
3-pyridyl	H	H	2-SMe
4-pyridyl	H	B7	2-SOMe
Me_2C=N—	H	B10	2-SO_2Me
iPr	H	B13	2-$SCBrF_2$
tBu	H	B19	2-SCF_3
$tBuCH_2$	H	B22	2-$SOCBrF_2$
$EtMe_2C$	H	B23	2-SO_2CH_2F
cHex	H	B7	2-SCF_3
Ph	H	B25	2-$SCBrF_2$
2-F—Ph	H	B26	2-SO_2CHF_2
2-F—Ph	H	B27	2-$SCBrF_2$
2-CF_3—Ph	H	B28	2-CH=CCl_2
2,6-F_2—Ph	H	CO(2,6-Me_2—Ph)	2-OCH_2CH=CH_2
1-naphthyl	H	CO(2,6-$(MeO)_2$—Ph)	2-OCH_2CH=CCl_2
$PhCH_2$	H	CO(2-Me-6-NO_2—Ph)	2-OCH_2CH=CHCl
2-thienyl	H	CO(3,4,5-$(MeO)_3$—Ph)	2-SCH_2CH=CHMe
4-Cl-2-thienyl	H	SO_2(4-Me—Ph)	2-$SOCH_2CH$=CH_2
3-MeO-2-pyridyl	H	CO(2,6-Cl_2—Ph)	2-$SO_2CHMeCH$=CH_2
2-Cl-3-pyridyl	H	CO(2,5-Me_2—Ph)	2-SCH_2CMe=CF_2
2,6-Cl_2-4-pyridyl	H	CO(2,6-F_2—Ph)	2-$SOCH_2CF$=CF_2
EtMeC=N—	H	B1	2-SO_2CH_2CH=CF_2
Et	H	B2	2-CCH
tBu	H	B4	2-CCl
$tBuCH_2$	H	H	2-OCH_2CCH
$EtMe_2C$	H	H	2-OCH_2CCCl
cHex	H	H	2-SCH_2CCMe
Ph	H	H	2-$SOCH_2CCH$
2-MeO—Ph	H	B5	2-SO_2CH_2CCMe
2-F—Ph	H	B6	2-SCH_2CCBr
2,6-F_2—Ph	H	B7	2-SOCHMeCCCl
2,6-Me_2—Ph	H	B8	2-SO_2CH_2CCl
1-naphthyl	H	Ba	2-NO_2
$PhCH_2$	H	K	2-CN
2-naphthyl	H	H	2-CO_2Me
5-Br-3-thienyl	H	B3	2-COMe
3-MeO-2-pyridyl	H	B14	2-O(CO)Me
2-Cl-3-pyridyl	H	B15	2-NHMe
2,6-Cl_2-4-pyridyl	H	B16	2-NMe
$(CH_2)_4C$=N—	H	B17	3-F
tBu	H	H	3-Cl
$tBuCH_2$	H	H	3-nBu
$EtMe_2C$	H	H	3-OEt
2-Me-cHex	H	H	3-$CH_2CH_2CH_2CHF_2$
Ph	H	B22	3-$OCH_2CH_2CHFCHF_2$
Ph	H	B27	3-S-nBu
2-CF_3—Ph	H	B28	3-SOnPr
2,6-F_2—Ph	H	B30	3-SO_2iPr
2,6-Me_2—Ph	H	B31	3-SCF_3
1-naphthyl	H	CO(3,4-$(MeO)_2$—Ph)	3-$SCH_2CH_2CHFCHF_2$
$PhCH_2$	H	CO(2,4-Cl_2—Ph)	3-$SO_2CF_2CHF_2$
2-thienyl	H	CO(3-CF_3—Ph)	3-SCH_2CMe=CH_2
3-Me-2-pyridyl	H	CO(2-Me_2N—Ph)	3-$SOCH_2CH$=CH_2
3-NO_2-2-pyridyl	H	COiPr	3-$SO_2CHMeCH$=CH_2
3,6-Cl_2-2-pyridyl	H	CO_2iPr	3-SCH_2CMe=CF_2
2-MeS-3-pyridyl	H	CO_2tBu	3-$SOCH_2CF$=CCl_2
$(CH_2)_5C$=N—	H	CONHMe	3-SO_2CH_2CH=CH_2Cl
sBu	H	CONHEt	3-OCH_2CCH
$tBuCH_2$	H	B19	3-SCH_2CCMe
$EtMe_2C$	H	B18	3-$SOCH_2CCH$
2-Me-cHex	H	SO_2(2-Me—Ph)	3-SO_2CH_2CCMe
Ph	H	H	3-NO_2
2-CF_3O—Ph	H	H	3-CN
2-MeS—Ph	H	H	3-CO_2Et

TABLE 8-continued

2,6-F_2—Ph	H	Na	3-COnPr
2,6-Cl_2—Ph	H	K	3-O(CO)nPr
1-naphthyl	H	Mg	3-NHBu
3-F-2-pyridyl	H	Ca	3-NEt_2
6-Me-pyridyl	H	Ba	4-F
4-CF_3-3-pyridyl	H	$COCEtMe_2$	4-Cl
3-NO_2-3-pyridyl	H	CO(3-MeO—Ph)	4-Br
2,4-Me_2-3-pyridyl	H	CO-2-naphtyl	4-I
iBuMeC═N—	H	CO(4-EtO-3-MeO—Ph)	4-Me
tBu	H	CO(3-Cl-4-MeO—Ph)	4-tBu
tBu	H	CO(3-F-4-nBuO—Ph)	4-OMe
tBu	H	CH_2CO_2Me	4-CF_3
Ph	H	$CH(Me)CO_2Et$	4-$OCHF_2$
Ph	H	CMe_2CO_2nPr	4-SMe
2-pyridyl	H	CH_2CN	4-SOMe
sBu	H	$CH_2CH_2CH_2CN$	4-SO_2nBu
$tBuCH_2$	H	CH_2CO_2iPr	4-SCF_3
$EtMe_2C$	H	H	4-$SOCBrF_2$
2-Me-cHex	H	H	4-SO_2CH_2F
Ph	H	H	4-$OCH_2CH═CH_2$
2-CF_3—Ph	H	H	4-$OCH_2CH═CCl_2$
2-NO_2—Ph	H	B3	4-OCH_2CCH
2,6-F_2—Ph	H	B4	4-OCH_2CCCl
2-Cl-6-F—Ph	H	B5	4-SCH_2CCMe
1-naphthyl	H	B6	4-SO_2CH_2CCMe
2-pyridyl	H	B7	4-NO_2
3-pyridyl	H	B8	4-CN
$PhCH_2$	H	B12	4-CO_2nBu
2-thienyl	H	B21	4-COiBu
3-thienyl	H	B28	4-O(CO)nPr
iBuMeC═N—	H	CO(2-MeO—Ph)	4-NHnBu
tBu	H	H	2,3-Cl_2
tBu	H	H	2,4-Cl_2
tBu	H	H	3,4-Cl_2
Ph	H	H	3,5-Cl_2
Ph	H	H	2,5-Cl_2
2-pyridyl	H	B7	2,6-Cl_2
sBu	H	B8	2,6-Cl_2
$tBuCH_2$	H	B12	2,6-Cl_2
$EtMe_2C$	H	B23	2,3-F_2
2-Me-cHex	H	B26	2,3-F_2
Ph	H	B28	2,3-F_2
2-Me—Ph	H	CONHiPr	2,4-F_2
2-MeO—Ph	H	Ca	2,4-F_2
2,6-F_2—Ph	H	Ba	2,5-F_2
2,6-Cl_2—Ph	H	H	2,6-F_2
1-naphthyl	H	H	2,6-F_2
2-pyridyl	H	H	2,6-F_2
$PhCH_2$	H	CO(2,3-Me_2—Ph)	2,6-F_2
3-pyridyl	H	CO(3,4-Me_2—Ph)	2,6-F_2
4-pyridyl	H	CH_2CO_2Et	2,6-F_2
2-thienyl	H	$CHMeCO_2Me$	2,6-F_2
iBuMeC═N—	H	CH_2CN	2,6-F_2
tBu	H	H	2,6-F_2
tBu	H	B7	2,6-F_2
tBu	H	B8	2,6-F_2
tBu	H	B28	2,6-F_2
tBu	Me	B31	2,6-F_2
tBu	H	B34	2,6-F_2
tBu	H	CO(2-MeO—Ph)	2,6-F_2
tBu	H	CO(2-Cl—Ph)	2,6-F_2
tBu	H	CO(2,6-Me_2—Ph)	2,6-F_2
$tBuCH_2$	H	CO(2,6-$(MeO)_2$—Ph)	2,6-F_2
$EtMe_2C$	H	CO(3,4,5-$(MeO)_3$—Ph)	2,6-F_2
cHex	H	B28	2,6-F_2
cHex	H	B31	2,6-F_2
cHex	H	B34	2,6-F_2
1-Me-cHex	H	SO_2(4-Cl—Ph)	2,6-F_2
Ph	H	H	2,6-F_2
Ph	H	B5	2,6-F_2
Ph	H	B6	2,6-F_2
2-F—Ph	H	B14	2,6-F_2
3-F—Ph	H	B19	2,6-F_2
4-F—Ph	H	B23	2,6-F_2
2-Cl—Ph	H	B24	2,6-F_2
2,6-F_2—Ph	H	H	2,6-F_2
2,6-F_2—Ph	H	Ca	2,6-F_2
2,6-F_2—Ph	Me	B7	2,6-F_2
2,6-F_2—Ph	H	B9	2,6-F_2

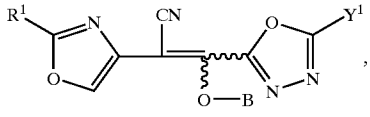
TABLE 8-continued

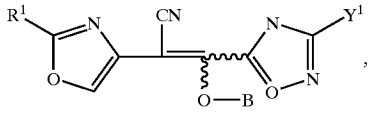
1-naphthyl	H	B10	2,6-F_2
2-naphthyl	H	B16	2,6-F_2
2-thienyl	H	B22	2,6-F_2
3-thienyl	H	B24	2,6-F_2
2-pyridyl	Cl	H	3,4-F_2
3-pyridyl	H	B7	3,5-F_2
4-pyridyl	H	B18	2,5-$(CF_3)_2$
nPr_2C═N—	H	B31	2,6-$(CF_3)_2$
tBu	Et	H	3,5-$(CF_3)_2$
tBu	Br	H	5-Br-2-Cl
tBu	H	SO_2(4-Me—Ph)	3-Br-4Me
tBu	H	COnHex	2-Cl-4-F
tBu	H	CO(2-Cl-6-F—Ph)	2-Cl-6-F
$tBuCH_2$	H	CO(3,5-Cl_2-4MeO—Ph)	2-Cl-6-F
$EtMe_2C$	H	CO(3-Br-4-Me—Ph)	2-Cl-6-F
cHex	H	H	2-Cl-6-F
cHex	H	B6	2-Cl-6-F
Ph	H	B7	2-Cl-6-F
Ph	H	B8	2-Cl-6-F
2-F—Ph	H	B34	2-Cl-6-F
3-F—Ph	H	CO_2tBu	2-Cl-6-F
2-Cl—Ph	H	$CHMeCO_2Me$	2-Cl-6-F
2,6-F_2—Ph	H	B31	3-Cl-4-F
2,6-F_2—Ph	H	K	4-Cl-3-F
tBu	H	Ba	2-F-6-I
2,6-F_2—Ph	H	CO(4-nBuO—Ph)	2-F-6-I
2,6-F_2—Ph	H	CO(4-Et_2N—Ph)	2-F-6-I
2,6-F_2—Ph	Br	CO(3-Me_2N—Ph)	2-Cl-5-SMe
1-naphthyl	H	CO(4-Et—Ph)	2-Cl-5-$SCBrF_2$
2-naphthyl	H	CO(3-F-4-Me—Ph)	2-Cl-5-SCF_3
2-thienyl	H	CO(3-MeO-4-Me—Ph)	2-Cl-5-SOMe
3-thienyl	H	CO(2,3,6-F_3—Ph)	2-Cl-5-SO_2Me
2-pyridyl	H	CO(2-MeO-5-NO_2—Ph)	2-Cl-4-NO_2
3-pyridyl	H	CO(3,5-Me_2—Ph)	2,4-$(OMe)_2$
4-pyridyl	H	H	2,6-$(OMe)_2$
nPr_2C═N—	H	H	2,6-$(OMe)_2$
tBu	H	H	2,3-Me_2
tBu	H	B1	2,4-Me_2
tBu	H	B2	2,5-Me_2
$tBuCH_2$	H	B3	2,6-Me_2
$EtMe_2C$	H	B4	2,6-Me_2
cHex	H	B5	2,6-Me_2
cHex	H	B6	3,4-Me_2
1-Me-cHex	H	B7	3,5-Me_2
Ph	H	B8	2-F-4-Me
Ph	H	B9	2-F-4-Me
Ph	H	B10	2-F-4-Me
2-F—Ph	H	B11	3-F-4-Me
2-F—Ph	H	B12	3-I-4-Me
3-F—Ph	H	B13	2-OMe-4-SMe
4-F—Ph	H	B14	3-OMe-4-NO_2
2-Cl—Ph	H	CH_2CN	2-Me-4-NO_2
2,6-F_2—Ph	H	CMe_2CO_2Et	2-Me-6-NO_2
2,6-F_2—Ph	H	CONHMe	2,3,6-F_3
tBu	H	SO_2(4-Cl—Ph)	2,3,6-F_3
cHex	H	CO(2-MeO—Ph)	2,3,6-F_3
1-naphthyl	H	CO(2-Me—Ph)	2,3,6-F_3
2-F—Ph	H	CO(2-Cl—Ph)	2,3,6-F_3
2-pyridyl	H	CO(2,6-$(MeO)_2$—Ph)	2,4,5-F_3
3-pyridyl	H	CO(3,4-Me_2—Ph)	2,4,5-F_3
4-pyridyl	H	CO(3-MeO-4-EtO—Ph)	2,4,5-F_3
nPr_2C═N—	H	B15	2,3,5-I_3
tBu	H	B16	2,3,5-I_3
tBu	H	B17	2,3,4-$(OMe)_3$
tBu	H	B18	2,4,5-$(OMe)_3$
tBu	H	B19	3,4,5-$(OMe)_3$
tBu	H	B20	2,4,6-Me_3
$tBuCH_2$	H	B21	2,3,4,5-F_4
$EtMe_2C$	H	B24	2,3,4,5-F_4
cHex	H	B25	2,3,4,5-F_4
cHex	H	B27	2,3,5,6-F_4
cHex	H	B28	2,3,5,6-F_4
1-Me-cHex	H	B29	2,3,5,6-F_4
Ph	H	B30	2,3,5,6-F_4
Ph	H	B31	2,3,4,5,6-F_5
Ph	H	B32	2,3,4,5,6-F_5
2-F—Ph	H	B33	2,3,4,5,6-F_5
3-F—Ph	H	B34	2,3,5,6-F_4-4-Me
4-F—Ph	H	H	2,3,5,6-F_4-4-Me

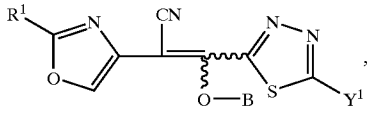
TABLE 8-continued

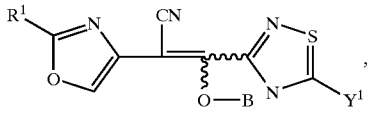
2-Cl—Ph	H	H	2,3,5,6-F_4-4-Me
2,6-F_2—Ph	H	B27	2,3,5,6-F_4-4-Me
2,6-F_2—Ph	H	Ca	2,3,5,6-F_4-4-Me

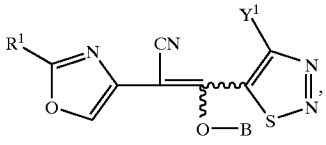
TABLE 9

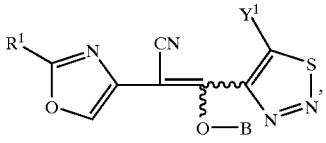


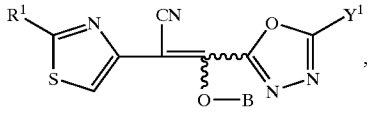


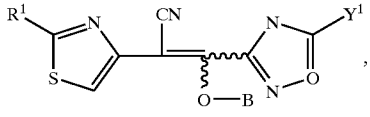


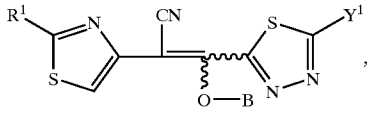












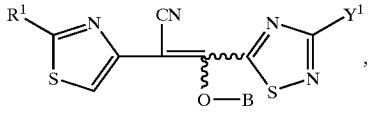
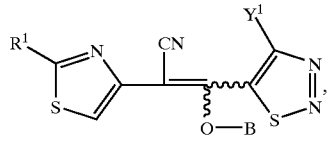
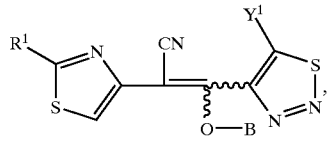
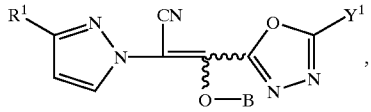
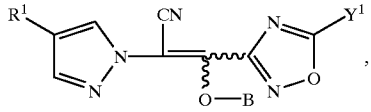


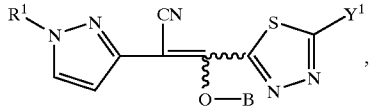
TABLE 9-continued

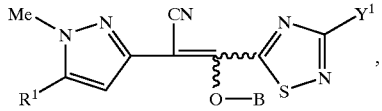


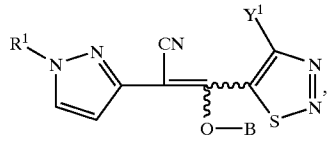


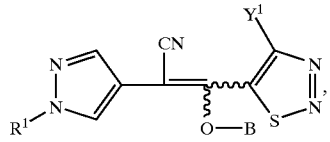


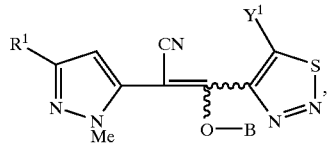


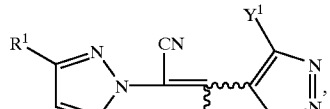












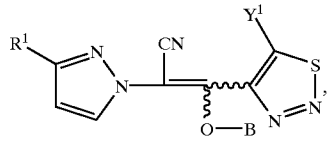
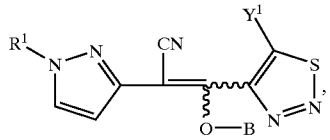
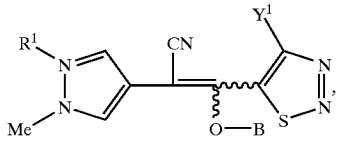
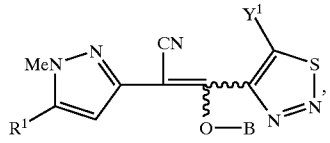
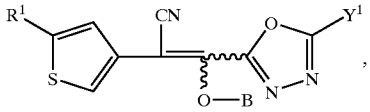


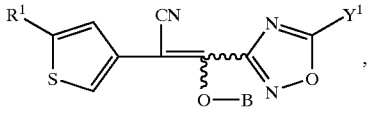
TABLE 9-continued

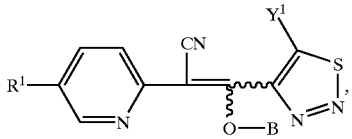


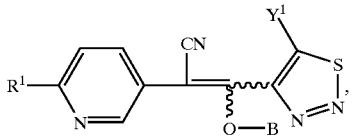


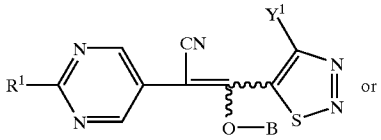












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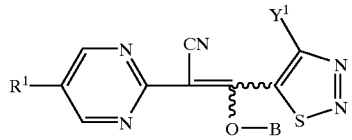


R^1	B	Y^1
Me	H	H
Et	B1	Me
iPr	B2	Et
nBu	B3	nPr
sBu	B4	iBu
tBu	H	nHex
tBu	B7	Me
tBu	B8	MeO
tBu	B8	MeS
tBu	B7	CF_3
tBu	B5	CF_3

TABLE 9-continued

tBu	B7	$CClF_2$
tBu	B8	CF_3CF_2
tBu	4-Cl—$PhCO_2$	$CF_3CF_2CF_2$
tPen	B6	MeO
nHex	B7	EtO
nHep	B8	iPrO
nOct	B9	sBuO
nNon	B10	MeS
nDec	B11	EtS
$PhCH_2$	B12	nPrS
Ph(Me)CH	B13	tBuS
$PhMe_2C$	B14	Ph
$PhMe_2C$	B7	Me
$PhMe_2C$	B8	Me
$PhMe_2C$	B33	Me
$PhMe_2C$	B34	Me
$PhMe_2C$	B41	Me
$PhMe_2C$	B7	CF_3
$PhMe_2C$	B8	CF_3
$PhMe_2C$	B34	CF_3
4-Cl—$PhMe_2C$	B15	Me_2N
3-Br—PhEtMeC	B16	Et_2N
4-Me—$Ph(CH_2)_3$	B17	H
CH_2=CMe	B18	Me
$CF_3CF_2CF_2$	B19	Et
cPr	B20	nPr
cPen	B21	iBu
cPen	B22	nHex
cPen	B23	CF_3
cHex	B24	$CClF_2$
cHex	B7	CF_3
cHex	B8	CF_3
cHex	B33	CF_3
cHex	B34	CF_3
cHex	B7	Me
cHex	B8	Me
cHex	B34	Me
1-Me-cHex	B7	Me
1-Me-cHex	B8	CF_3
1-Me-cHex	B7	CF_3
1-Me-cHex	iBuOC(O)	CF_3
1-Me-cHex	B28	EtO
1-Me-cHex	B29	iPrO
EtO	B30	sBuO
iPrO	B31	MeS
CF_3O	B32	EtS
tBuOC(O)	B33	nPrS
Ph	B34	tBuS
2-F—Ph	B35	Ph
2-F—Ph	B36	Me_2N
2-Cl—Ph	B37	Et_2N
2-Cl—Ph	B38	Cl
2-Br—Ph	B39	Br
2-I—Ph	B40	I
2-CF_3—Ph	B41	H
2-MeO—Ph	B42	CF_3
3,4-Cl_2—Ph	$CClF_2C(O)$	MeO
2,4-Me_2—Ph	PhSC(O)	MeS
2,6-F_2—Ph	B7	Me
2,6-F_2—Ph	B7	CF_3
2,6-F_2—Ph	B8	Me
2,6-F_2—Ph	B8	CF_3
2,6-F_2—Ph	EtSC(O)	H
2,6-F_2—Ph	tBuC(S)	Me
2,6-F_2—Ph	cPenOC(O)	Et
2-pyridyl	cHexOC(O)	nPr
2-pyridyl	B7	Me
2-pyridyl	B8	Me
2-pyridyl	B7	CF_3
2-pyridyl	B8	CF_3
2-pyridyl	iBuOC(O)	CF_3
2-pyridyl	B33	CF_3
2-pyridyl	B34	CF_3
2-pyridyl	B34	Me
2-pyridyl	2-pyridyl-C(O)	$CClF_2$
2-pyridyl	2-pyridyl-C(O)	CF_3CF_2
2-thienyl	3-pyridyl-C(O)	$CF_3CF_2CF_2$
1-naphthyl	4-pyridyl-C(O)	MeO
2-naphthyl	H	EtO

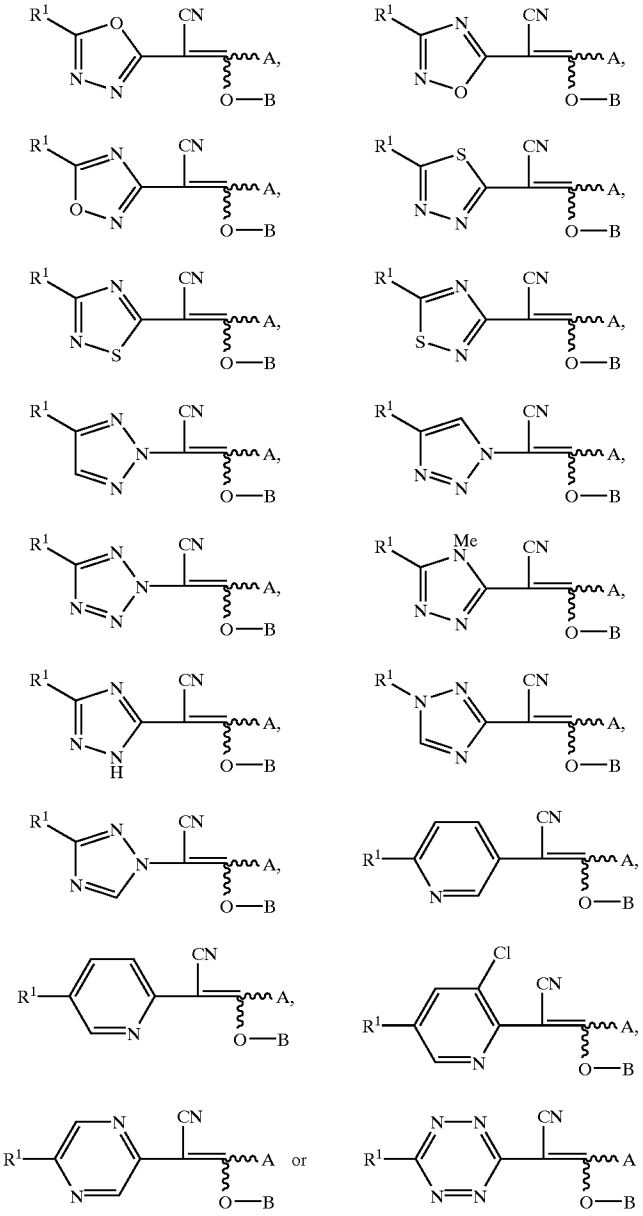
TABLE 9-continued

tBu	$CClF_2C(O)$	H
tBu	PhSC(O)	Me
tBu	EtSC(O)	Et
tBu	tBuC(S)	nPr
cPr	cPenOC(O)	iBu
cPen	cHexOC(O)	nHex

TABLE 9-continued

cHex	B7	CF_3
1-Et-cPr	B8	$CClF_2$
1-Me-cPen	iBuOC(O)	CF_3CF_2
1-Me-cPen	2-pyridyl-C(O)	$CF_3CF_2CF_2$
2,6-F_2—Ph	2-pyridyl-C(O)	MeO
2,6-F_2—Ph	2-pyridyl-C(O)	MeO
2,6-F_2—Ph	4-pyridyl-C(O)	Me
2,6-F_2—Ph	2-pyridyl-C(O)	CF_3

TABLE 10



R^1	B	A	R^1	B	A
Et	H	A1	tBu	B15	A8
iPr	B7	A2	tBu	B34	A8
iBu	B7	A1	tBu	H	A9
sBu	B7	A2	tBu	B7	A9

TABLE 10-continued

tBu	B7	A1	tBu	B8	A9
tBu	B8	A1	tBu	B15	A9
tBu	B15	A1	tBu	B34	A9
tBu	B34	A1	tBu	CO_2-iBu	A9
tBu	B7	A2	tBu	H	A10
tBu	B8	A2	tBu	B7	A10
tBu	B15	A2	tBu	B8	A10
tBu	B34	A2	tBu	B15	A10
tBu	CO_2-iBu	A2	tBu	B34	A10
tBu	B7	A3	tBu	CO_2-iBu	A10
tBu	B7	A4	tBu	R	A11
tBu	B7	A5	tBu	B7	A11
tBu	B7	A6	tBu	B8	A11
tBu	H	A7	tBu	B15	A11
tBu	B7	A7	tBu	H	A12
tBu	B8	A7	tBu	B7	A12
tBu	B15	A7	tBu	B8	A12
tBu	B34	A7	tBu	B15	A12
tBu	H	A8	tBu	B34	A12
tBu	B7	A8	tBu	CO_2-iBu	A12
tBu	B8	A8	tBu	H	A13
tBu	B7	A13	tBu	B17	A27
tBu	B8	A13	tBu	B18	A28
tBu	B15	A13	tBu	B19	A29
tBu	B34	A13	tBu	B7	A30
tBu	CO_2-iBu	A13	tBu	B8	A30
tBu	H	A14	tBu	B15	A30
tBu	B7	A14	tBu	B20	A31
tBu	B16	A14	tBu	H	A32
tBu	B27	A14	tBu	B7	A32
tBu	B7	A15	tBu	B8	A32
tBu	B8	A16	tBu	B15	A32
tBu	B9	A17	tBu	B34	A32
tBu	B10	A18	tBu	CO_2-iBu	A32
tBu	B11	A19	tBu	B21	A33
tBu	B12	A20	tBu	B22	A34
tBu	B13	A21	tBu	B23	A35
tBu	B7	A22	tBu	B24	A36
tBu	B8	A22	$tBuCH_2$	B3	A1
tBu	B7	A23	$tBuCH_2$	B7	A2
tBu	B8	A23	$tBuCH_2$	B8	A2
tBu	B15	A23	$Et(Me)_2C$	B15	A2
tBu	B34	A23	$Et(Me)_2C$	B16	A2
tBu	B14	A24	$Et(Me)_2C$	B34	A2
tBu	B15	A25	$Et(Me)_2C$	H	A2
tBu	B16	A26	$Et(Me)_2C$	B7	A2
nHex	B7	A1	Ph	B7	A10
nHep	B7	A2	Ph	B7	A13
nOct	B7	A1	Ph	B7	A32
nNon	B7	A2	2-F—Ph	B7	A1
nDec	B7	A7	2-F—Ph	B7	A1
cPr	B7	A8	2-F—Ph	B7	A7
1-Me-cPr	B7	A1	2-F—Ph	B7	A8
1-Me-cPr	B7	A2	2-F—Ph	B7	A9
1-Me-cPr	B8	A2	2-F—Ph	B7	A10
1-Me-cPr	B34	A2	2-F—Ph	B7	A13
1-Me-cPr	H	A2	2-F—Ph	B8	A32
cPen	B7	A2	2-Cl—Ph	B7	A1
cHex	B7	A1	2-Cl—Ph	B7	A2
cHex	B7	A2	2-Cl—Ph	B7	A7
cHex	B8	A2	2-Cl—Ph	B7	A8
cHex	B15	A2	2-Cl—Ph	B7	A9
cHex	B34	A2	2-Cl—Ph	B7	A10
cHex	B35	A2	2-Cl—Ph	B7	A13
cHex	B36	A1	2-Cl—Ph	B8	A30
cHex	B37	A2	2-Cl—Ph	B34	A32
Ph	H	A2	2-Br—Ph	B7	A1
Ph	B7	A2	2-I—Ph	B7	A2
Ph	B7	A7	2-Me—Ph	B7	A7
Ph	B7	A8	2-Me—Ph	B7	A8
Ph	B7	A9	2-Me—Ph	B7	A9
2-Me—Ph	B7	A1	$2,6\text{-}Cl_2$—Ph	B7	A1
2-Me—Ph	B7	A2	$2,6\text{-}Cl_2$—Ph	B7	A2
2-MeO—Ph	B2	A7	$2,6\text{-}Cl_2$—Ph	B7	A7
$2\text{-}CF_3$—Ph	B3	A8	$2,6\text{-}F_2$—Ph	B7	A1
$2\text{-}NO_2$—Ph	B4	A9	$2,6\text{-}F_2$—Ph	B7	A2
2-CN—Ph	B5	A10	$2,6\text{-}F_2$—Ph	B7	A7
$2\text{-}CBrF_2O$—Ph	B6	A11	$2,6\text{-}F_2$—Ph	B7	A8
$2\text{-}CF_3O$—Ph	B7	A12	$2,6\text{-}F_2$—Ph	B7	A9

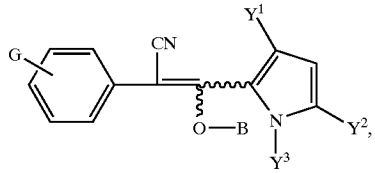
TABLE 10-continued

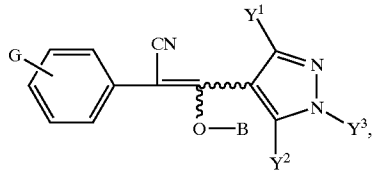
2-MeS—Ph	B8	A13	2,6-F_2—Ph	B7	A10
2-nBuS—Ph	B9	A14	2,6-F_2—Ph	B7	A13
2-MeSO—Ph	B10	A15	2,6-F_2—Ph	B7	A21
2-$MeSO_2$—Ph	B11	A16	2,6-F_2—Ph	B7	A32
2-CH_2=$CHCH_2S$—Ph	B12	A17	2,6-F_2—Ph	B3	A2
2-CH_2=$CHCH_2SO$—Ph	B13	A18	2,6-F_2—Ph	B4	A2
2-CH_2=$CHCH_2SO_2$—Ph	B14	A19	2,6-F_2—Ph	B5	A2
2-CF_3S—Ph	B15	A20	2,6-F_2—Ph	B8	A2
2-CHF_2S—Ph	B16	A21	2,6-F_2—Ph	B15	A2
2-$CBrF_2SO$—Ph	B17	A22	2,6-F_2—Ph	B19	A2
2-CF_3SO_2—Ph	B18	A23	2,6-F_2—Ph	B32	A2
2-CHO—Ph	B19	A24	2,6-F_2—Ph	B33	A2
2-OH—Ph	B20	A25	2,6-F_2—Ph	B34	A2
2-Me_2N—Ph	B21	A26	2,6-F_2—Ph	B35	A2
3-Ph—Ph	B22	A27	2,6-F_2—Ph	B37	A2
4-PhO—Ph	B23	A28	2,6-F_2—Ph	B40	A2
2-MeOC(O)—Ph	B24	A29	2,6-F_2—Ph	B43	A2
Cl	B7	A1	ClCC	B3	A23
$PhCH_2$	B7	A1	2,2-Cl_2-cPr	B4	A23
$PhCH_2$	B7	A2	2,2-F_2-cBu	B5	A23
$PhCH_2$	B8	A2	MeO	B6	A24
$PhCH_2$	B34	A2	nHexO	B7	A25
(4-Cl—Ph)CH_2	B7	A30	CH_2=$CHCH_2O$	B8	A26
(4-Me—Ph)CH_2	B7	A31	$CHCCH_2O$	B9	A27
(3,4-Cl_2—Ph)CH_2	B7	A32	CF_3O	B10	A28
(2,4-Me_2—Ph)CH_2	B7	A33	ClCH=$CHCH_2O$	B11	A29
PhMeCH	B7	A34	MeS	B12	A30
Ph$(Me)_2$C	B3	A1	MeSO	B13	A30
Ph$(Me)_2$C	B7	A1	$MeSO_2$	B14	A30
Ph$(Me)_2$C	B3	A2	Me_2C=$CHCH_2S$	B15	A31
Ph$(Me)_2$C	B7	A2	Me_2C=$CHCH_2SO$	B16	A32
Ph$(Me)_2$C	B8	A2	Me_2C=$CHCH_2SO_2$	B17	A32
Ph$(Me)_2$C	B33	A2	$CHCCH_2S$	B18	A32
Ph$(Me)_2$C	B34	A2	$CHCCH_2SO$	B19	A1
Ph$(Me)_2$C	B35	A2	$CHCCH_2SO_2$	B20	A2
Ph$(Me)_2$C	B7	A13	CF_3S	B21	A2
Ph$(Me)_2$C	B8	A13	CF_3SO	B22	A13
Ph$(Me)_2$C	B34	A13	CF_3SO_2	B23	A13
CF_3	B15	A35	ClCH=$CHCH_2S$	B24	A1
CF_3CH_2	B6	A36	ClCH=$CHCH_2SO$	B25	A1
CH_2=CMe	B6	A1	ClCH=$CHCH_2SO_2$	B26	A2
Cl_2C=CH	B29	A2	$ClCCCH_2S$	B27	A2
$BrCCCH_2SO$	B28	A1	2-pyridyl	H	A7
$ClCCH_2SO_2$	B29	A2	2-pyridyl	B7	A7
1-naphthyl	B30	A2	2-pyridyl	B8	A7
2-napthyl	B31	A13	2-pyridyl	B15	A7
MeC(O)	B32	A13	2-pyridyl	B34	A7
MeC(O)O	B33	A1	2-pyridyl	H	A8
$CF_3C(O)O$	B34	A1	2-pyridyl	B7	A8
2-thienyl	B35	A2	2-pyridyl	B8	A8
3-thienyl	B36	A2	2-pyridyl	B15	A8
Me_2C=N	B37	A1	2-pyridyl	B34	A8
EtMeC=N	B38	A1	2-pyridyl	H	A9
PhCH=N	B39	A2	2-pyridyl	B7	A9
PhMeC=N	B40	A2	2-pyridyl	B8	A9
2-pyridyl	B7	A1	2-pyridyl	B15	A9
2-pyridyl	B8	A1	2-pyridyl	B34	A9
2-pyridyl	B15	A1	2-pyridyl	CO_2-iBu	A9
2-pyridyl	B34	A1	2-pyridyl	H	A10
2-pyridyl	B7	A2	2-pyridyl	B7	A10
2-pyridyl	B8	A2	2-pyridyl	B8	A10
2-pyridyl	B15	A2	2-pyridyl	B15	A10
2-pyridyl	B34	A2	2-pyridyl	B34	A10
2-pyridyl	CO_2-iBu	A2	2-pyridyl	CO_2-tBu	A10
2-pyridyl	B7	A3	2-pyridyl	H	A11
2-pyridyl	B7	A4	2-pyridyl	B7	A11
2-pyridyl	B7	A5	2-pyridyl	B8	A11
2-pyridyl	B7	A6	2-pyridyl	B15	A11
2-pyridyl	H	A12	2-pyridyl	B8	A23
2-pyridyl	B7	A12	2-pyridyl	B15	A23
2-pyridyl	B8	A12	2-pyridyl	B34	A23
2-pyridyl	B15	A12	2-pyridyl	B14	A24
2-pyridyl	B34	A12	2-pyridyl	B15	A25
2-pyridyl	CO_2-tBu	A12	2-pyridyl	B16	A26
2-pyridyl	H	A13	2-pyridyl	B17	A27
2-pyridyl	B7	A13	2-pyridyl	B18	A25
2-pyridyl	B8	A13	2-pyridyl	B19	A29
2-pyridyl	B15	A13	2-pyridyl	B7	A30
2-pyridyl	B34	A13	2-pyridyl	B8	A30

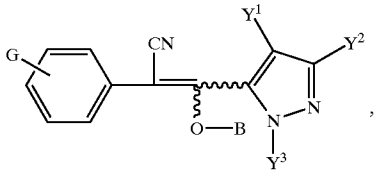
TABLE 10-continued

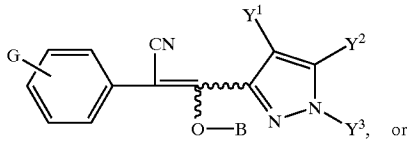
2-pyridyl	CO_2-tBu	A13	2-pyridyl	B15	A30
2-pyridyl	H	A14	2-pyridyl	B20	A31
2-pyridyl	B7	A14	2-pyridyl	H	A32
2-pyridyl	B16	A14	2-pyridyl	B7	A32
2-pyridyl	B17	A14	2-pyridyl	B8	A32
2-pyridyl	B7	A15	3-pyridyl	B7	A1
2-pyridyl	B8	A16	3-pyridyl	B34	A2
2-pyridyl	B9	A17	3-pyridyl	CO_2-iBu	A2
2-pyridyl	B10	A18	3-pyridyl	B7	A13
2-pyridyl	B11	A19	3-pyridyl	B8	A13
2-pyridyl	B12	A20	4-pyridyl	B7	A1
2-pyridyl	B13	A21	4-pyridyl	B8	A1
2-pyridyl	B7	A22	4-pyridyl	B15	A2
2-pyridyl	B8	A22	4-pyridyl	B34	A2

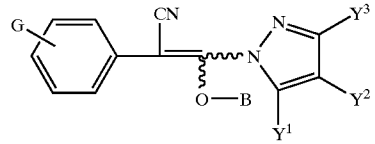
TABLE 11











G	B	Y^1	Y^2	Y^3
2-Cl	H	Me	Me	Me
3-Cl	B1	Me	Cl	Me
4-Cl	B2	Cl	Me	Me
4-Br	B3	Cl	Cl	Me
4-I	B4	CF_3	Cl	Me
4-F	B5	CF_3	H	Me
4-Me	B6	H	CF_3	Me
4-Et	B7	H	Cl	Me
4-iPr	B8	Cl	H	Me
4-nBu	B9	H	H	Me
4-iBu	B10	OMe	Cl	Me
4-sBu	B11	SMe	Cl	Me
4-sBu	H	Me	Me	Me

TABLE 11-continued

4-sBu	B16	Me	Me	Me
4-sBu	B17	Me	Me	Me
4-sBu	B7	Me	Cl	Me
4-sBu	B8	Me	Cl	Me
4-sBu	B16	Me	Cl	Me
4-sBu	B17	Me	Cl	Me
4-sBu	B34	Me	Cl	Me
4-sBu	B3	CF_3	Cl	Me
4-sBu	B7	CF_3	Cl	Me
4-sBu	B8	CF_3	Cl	Me
4-sBu	B34	CF_3	Cl	Me
4-sBu	B7	Cl	Cl	Me
4-sBu	B17	Cl	Cl	Me
4-tBu	B12	SCF_3	Cl	Me
4-tBu	H	Me	Me	Me
4-tBu	B3	Me	Cl	Me
4-tBu	B7	Cl	Me	Me
4-tBu	B8	Cl	Cl	Me
4-tBu	B15	Cl	Cl	Me
4-tBu	B16	Cl	Cl	Me
4-tBu	B28	Cl	Cl	Me
4-tBu	B30	Cl	Cl	Me
4-tBu	B34	Cl	Cl	Me
4-tBu	CO-nC_8H_{17}	Cl	Cl	Me
4-tBu	CO-$nC_{15}H_{31}$	Cl	Cl	Me
4-tBu	CO_2-nHex	Cl	Cl	Me
4-tBu	CO_2-$nC_{10}H_{21}$	Cl	Cl	Me
4-tBu	H	CN	Cl	Me
4-tBu	H	CF_3	Cl	Me
4-tBu	B3	CF_3	Cl	Me
4-tBu	B7	CF_3	Cl	Me
4-tBu	B8	CF_3	Cl	Me
4-tBu	B15	CF_3	Cl	Me
4-tBu	B16	CF_3	Cl	Me
4-tBu	B28	CF_3	Cl	Me
4-tBu	B30	CF_3	Cl	Me
4-tBu	B16	Cl	Cl	Me
4-tBu	B16	CF_3	Cl	Me
4-tBu	B17	CF_3	Cl	Me
4-tBu	B17	Cl	Cl	Me
4-tBu	CO_2-$nC_{10}H_{21}$	CF_3	Cl	Me
4-tBu	CH_2OEt	CF_3	Cl	Me
4-tBu	B7	Me	Cl	Me
4-tBu	B7	Me	Me	Me
4-tBu	B7	CN	Cl	Me
4-tBu	B16	CN	Cl	Me
4-tBu	B3	CN	Cl	Me
4-tBu	2-(4-tBu—Ph)-3,3-Me_2-cPrC(O)	CF_3	Cl	Me
4-tBu	B45	CF_3	Cl	Me
4-tBuCH_2	B3	CF_3	Cl	Me
4-tBuCH_2	B7	Me	Me	Me
4-tBuCH_2	B16	Me	Cl	Me
4-tBuCH_2	B7	CF_3	Cl	Me
4-tBuCH_2	B8	CF_3	Cl	Me
4-tBuCH_2	B7	Cl	Cl	Me
4-Et$(Me)_2$C	H	CF_3	Cl	Me
4-Et$(Me)_2$C	B3	CF_3	Cl	Me

TABLE 11-continued

4-Et(Me)$_2$C	B7	CF_3	Cl	Me
4-Et(Me)$_2$C	B8	CF_3	Cl	Me
4-Et(Me)$_2$C	B34	CF_3	Cl	Me
4-Et(Me)$_2$C	B42	CF_3	Cl	Me
4-Et(Me)$_2$C	B16	Me	Me	Me
4-Et(Me)$_2$C	B17	Me	Me	Me
4-Et(Me)$_2$C	H	Cl	Me	Me
4-Et(Me)$_2$C	B7	Cl	Cl	Me
4-Et(Me)$_2$C	B8	Cl	Cl	Me
4-Et(Me)$_2$C	B7	CF_3	Me	Me
4-Et(Me)$_2$C	B7	CN	Cl	Me
4-Et(Me)$_2$C	B4	H	Cl	Me
4-Et(Me)$_2$C	B5	Cl	H	Me
4-Et(Me)$_2$C	B6	H	H	Me
4-Et(Me)$_2$C	B3	Cl	Cl	Me
4-Et(Me)$_2$C	B16	Cl	Cl	Me
4-Et(Me)$_2$C	B17	Cl	Cl	Me
4-Et(Me)$_2$C	B24	Cl	Cl	Me
4-nHex	B34	CF_3	Cl	Me
4-nHep	B42	CF_3	Cl	Me
4-nOct	B43	Me	Me	Me
4-nNon	B43	Me	Cl	Me
4-nDec	H	Cl	Me	Me
4-(Me)$_2$(CN)C	B7	Cl	Cl	Me
4-PhCH$_2$	B7	CF_3	Cl	Me
4-Ph(Me)$_2$C	B7	CF_3	Me	Me
4-(4-F—Ph)(Me)$_2$C	B7	CN	Cl	Me
4-MeCH=CH	B4	H	Cl	Me
4-MeCC	B5	Cl	H	Me
3-CF_3	B6	H	H	Me
4-CF_3	B7	OMe	Cl	Me
4-CF_3	B8	SMe	Cl	Me
4-CF_3	B9	SCF_3	Cl	Me
4-CF_3	B16	Me	Me	Me
4-CF_3	B17	Me	Me	Me
4-CF_3	B16	Me	Cl	Me
4-CF_3	B17	Cl	Me	Me
4-CF_3	B7	Cl	Cl	Me
4-CF_3	B7	CF_3	Cl	Me
4-CF_3	B7	CN	Cl	Me
4-CF_3	B3	Cl	Cl	Me
4-CF_3CH_2	B7	Me	Cl	Me
4-Cl_2C=$CHCH_2$	B8	Cl	Me	Me
4-BrCC	B7	Cl	Cl	Me
4-(2,2-F_2)cBuCH_2	B7	CF_3	Cl	Me
4-(1-Me)cPr	B16	CN	Cl	Me
4-cHex	B7	Cl	Cl	Me
4-(1-Me)cHex	B8	CF_3	Cl	Me
4-MeO	B7	Cl	H	Me
4-iPrO	B7	Cl	Cl	Me
4-iPrO	B7	CF_3	Cl	Me
4-iPrO	B15	CF_3	Cl	Me
4-iPrO	B7	Me	Me	Me
4-iPrO	B16	Me	Me	Me
4-iPrO	B17	Me	Me	Me
4-iPrO	B23	SCF_3	Cl	Me
4-tBuO	B7	Cl	Cl	Me
4-tBuO	B8	Cl	Cl	Me
4-tBuO	B7	CF_3	Cl	Me
4-nHexO	B8	CF_3	Cl	Me
4-nOctO	B34	CF_3	Cl	Me
4-nDecO	H	CF_3	Cl	Me
4-CH_2=$CHCH_2O$	B7	CF_3	Cl	Me
4-CHCCH$_2$O	B8	CF_3	Cl_3	Me
4-CHF_2O	B34	CF_3	Cl	Me
4-CHF_2O	B7	Me	Me	Me
4-CHF_2O	B35	Me	Cl	Me
4-CHF_2O	B36	Cl	Cl	Me
4-CHF_2O	B7	Cl	Cl	Me
4-$CBrF_2O$	B8	Cl	Cl	Me
4-$CBrF_2O$	B34	Cl	Cl	Me
4-$CBrF_2O$	B40	Me	Me	Me
4-$CBrF_2O$	B41	Me	Cl	Me
4-$CBrF_2O$	B42	Cl	Me	Me
4-$CBrF_2O$	B43	Cl	Cl	Me
4-$CBrF_2O$	B44	CF_3	Cl	Me
4-$CBrF_2O$	$COCO_2Me$	CF_3	H	Me
4-$CBrF_2O$	H	H	CF_3	Me
4-$CBrF_2O$	B1	H	Cl	Me

TABLE 11-continued

4-CF_3O	B2	Cl	H	Me
4-CF_3O	B3	H	H	Me
4-CF_3O	B4	OMe	Cl	Me
4-CF_3O	B5	SMe	Cl	Me
4-CF_3O	B6	SCF_3	Cl	Me
4-CF_3O	B7	Me	Me	Me
4-CF_3CH_2O	B8	Me	Me	Me
4-CF_2=$CHCH_2CH_2O$	B9	Me	Cl	Me
4-CCl_2=$CHCH_2O$	B10	Cl	Me	Me
4-ClCCCH$_2$O	B11	Cl	Cl	Me
4-MeS	B12	CF_3	Cl	Me
4-sBuS	H	CF_3	H	Me
4-EtSO	B3	H	CF_3	Me
4-$MeSO_2$	H	CF_3	Cl	Me
4-$MeSO_2$	B3	CF_3	Cl	Me
4-$MeSO_2$	B32	CF_3	Cl	Me
4-$MeSO_2$	B33	CF_3	Cl	Me
4-$EtSO_2$	H	CF_3	Cl	Me
4-$EtSO_2$	B3	Cl	Cl	Me
4-$iPrSO_2$	B32	Cl	Cl	Me
4-$iPrSO_2$	B33	Cl	Cl	Me
4-$tBuSO_2$	B7	Cl	Cl	Me
4-$tBuSO_2$	B33	CF_3	Cl	Me
4-MeCH=$CHCH_2S$	B15	H	H	Me
4-CH_2=$CHCH_2SO$	B16	OMe	Cl	Me
4-CH_2=$CHCH_2SO_2$	B28	SMe	Cl	Me
4-CHCCH$_2$S	B30	SCF_3	Cl	Me
4-CHCCH$_2$SO	B34	Me	Me	Me
4-CHCCH$_2SO_2$	CO-nC_8H_{17}	Me	Me	Me
4-CHF_2S	H	CF_3	Cl	Me
4-CHF_2S	B7	CF_3	Cl	Me
4-CHF_2S	B8	CF_3	Cl	Me
4-CHF_2S	B15	CF_3	Cl	Me
4-CHF_2S	B29	CF_3	Cl	Me
4-CHF_2S	H	Cl	Cl	Me
4-CHF_2S	B7	Cl	Cl	Me
4-CHF_2S	B15	Cl	Cl	Me
4-$CBrF_2S$	B7	Cl	Cl	Me
4-$CBrF_2S$	B15	Cl	Cl	Me
4-$CBrF_2S$	B30	Cl	Cl	Me
4-$CBrF_2S$	B43	Cl	Cl	Me
4-$CBrF_2S$	CO-n$C_{15}H_{31}$	Me	Cl	Me
4-$CBrF_2S$	CO_2-nHex	CF_3	Cl	Me
4-$CBrF_2S$	H	CF_3	Cl	Me
4-$CBrF_2S$	B7	CF_3	Cl	Me
4-$CBrF_2S$	B8	CF_3	Cl	Me
4-$CBrF_2S$	B15	CF_3	Cl	Me
4-$CBrF_2S$	B28	CF_3	Cl	Me
4-$CBrF_2S$	B34	CF_3	Cl	Me
4-$CBrF_2S$	B7	Me	Cl	Me
4-CF_3S	CO_2-n$C_{10}H_{21}$	Cl	Cl	Me
4-CF_3S	H	Cl	Cl	Me
4-CF_3S	B3	Cl	Cl	Me
4-CF_3S	B7	Cl	Cl	Me
4-CF_3S	B8	Cl	Cl	Me
4-CF_3S	B15	Cl	Cl	Me
4-CF_3S	H	CF_3	Cl	Me
4-CF_3S	B7	CF_3	Cl	Me
4-CF_3S	B8	CF_3	Cl	Me
4-CF_3S	B15	CF_3	Cl	Me
4-CF_3S	B34	CF_3	Cl	Me
4-CF_3S	CO_2-n$C_{12}H_{25}$	CF_3	Cl	Me
4-CF_3S	CO-n$C_{15}H_{31}$	CF_3	Cl	Me
4-CF_3S	CO-n$C_{16}H_{33}$	Me	Me	Me
4-CF_3S	CO-n$C_{17}H_{35}$	Me	Cl	Me
4-CF_3CH_2S	CO-n$C_{18}H_{37}$	CF_3	Cl	Me
4-CHF_2CF_2S	CO-n$C_{19}H_{39}$	CF_3	H	Me
4-CHF_2SO	CO-n$C_{20}H_{41}$	H	CF_3	Me
4-$CBrF_2SO$	H	H	Cl	Me
4-$CBrF_2SO$	B3	CF_3	Cl	Me
4-$CBrF_2SO$	B7	CF_3	Cl	Me
4-$CBrF_2SO$	B24	CF_3	Cl	Me
4-$CBrF_2SO$	B32	CF_3	Cl	Me
4-$CBrF_2SO$	B33	CF_3	Cl	Me
4-CF_3SO	H	Cl	Cl	Me
4-CF_3SO	B3	Cl	Cl	Me
4-CF_3SO	B7	Cl	Cl	Me
4-CF_3SO	B33	Cl	H	Me
4-$CF_3CH_2SO_2$	B15	H	H	Me

TABLE 11-continued

4-$CHF_2CF_2SO_2$	B16	OMe	Cl	Me
4-CHF_2SO_2	B28	SMe	Cl	Me
4-CHF_2SO_2	B7	CF_3	Cl	Me
4-CHF_2SO_2	B24	CF_3	Cl	Me
4-CHF_2SO_2	B32	CF_3	Cl	Me
4-CHF_2SO_2	B33	CF_3	Cl	Me
4-CHF_2SO_2	H	Cl	Cl	Me
4-CHF_2SO_2	B3	Cl	Cl	Me
4-CHF_2SO_2	B7	Cl	Cl	Me
4-CHF_2SO_2	B33	Cl	H	Me
4-$CBrF_2SO_2$	H	SCF_3	Cl	Me
4-$CBrF_2SO_2$	B2	Cl	Cl	Me
4-$CBrF_2SO_2$	B3	Cl	Cl	Me
4-$CBrF_2SO_2$	B18	Cl	Cl	Me
4-$CBrF_2SO_2$	B19	Cl	Cl	Me
4-$CBrF_2SO_2$	B33	Cl	Cl	Me
4-$CBrF_2SO_2$	H	CF_3	Cl	Me
4-$CBrF_2SO_2$	B3	CF_3	Cl	Me
4-$CBrF_2SO_2$	B7	CF_3	Cl	Me
4-$CBrF_2SO_2$	B20	CF_3	Cl	Me
4-$CBrF_2SO_2$	B32	CF_3	Cl	Me
4-$CBrF_2SO_2$	B33	CF_3	Cl	Me
4-$CBrF_2SO_2$	B37	CF_3	Cl	Me
4-$CBrF_2SO_2$	B7	Me	Me	Me
4-$CBrF_2SO_2$	B15	Me	Me	Me
4-$CBrF_2SO_2$	B17	Me	Me	Me
4-$CBrF_2SO_2$	B7	Me	Cl	Me
4-$CBrF_2SO_2$	B16	Me	Cl	Me
4-CF_3SO_2	B16	Me	Me	Me
4-CF_3SO_2	B7	Cl	Cl	Me
4-CF_3SO_2	B15	Cl	Cl	Me
4-CF_3SO_2	B3	CF_3	Cl	Me
4-CF_3SO_2	B7	CF_3	Cl	Me
4-CF_3SO_2	B15	Me	Me	Me
4-CF_3SO_2	B7	Me	Cl	Me
4-CF_3SO_2	B8	Me	Cl	Me
4-$Cl_2C{=}CHCH_2S$	B16	Me	Me	Me
4-$Cl_2C{=}CHCH_2SO$	B17	Me	Cl	Me
4-$Cl_2C{=}CHCH_2SO_2$	B17	Cl	Me	Me
4-$CBrCCH_2S$	CO_2-$nC_{10}H_{21}$	Cl	Cl	Me
4-$CBrCCH_2SO$	B33	CF_3	Cl	Me
4-$CBrCCH_2SO_2$	B7	CF_3	H	Me
4-CHO	B7	H	CF_3	Me
4-NO_2	B8	H	Cl	Me
4-CN	B16	Cl	H	Me
4-$(Me)_2N$	B3	H	H	Me
4-Me(MeCO)N	B7	OMe	Cl	Me
4-PhMeN	B8	SMe	Cl	Me
4-$PhCH_2(MeCO)N$	B15	SCF_3	Cl	Me
4-(1-naphthyl)	B16	Me	Me	Me
4-(2-naphthyl)	B28	Me	Me	Me
4-(2-Cl—Ph)CH_2O	B30	Me	Cl	Me
4-(3-Cl—Ph)CH_2O	B34	Cl	Me	Me
4-(4-Cl—Ph)CH_2O	B7	CF_3	Cl	Me
4-(4-Cl—Ph)CH_2O	B7	Cl	Cl	Me
4-(4-Cl—Ph)CH_2O	B37	Cl	Cl	Me
4-(4-F—Ph)CH_2O	B42	CF_3	Cl	Me
4-(2-Me—Ph)CH_2O	B43	CF_3	H	Me
4-(4-Me—Ph)CH_2O	B43	H	CF_3	Me
4-(4-Et—Ph)CH_2O	H	H	Cl	Me
3-(2,4-Cl_2—Ph)CH_2O	B7	Cl	H	Me
4-(3,4-Cl_2—Ph)CH_2O	B7	H	H	Me
4-(2,5-Me_2—Ph)CH_2O	B7	OMe	Cl	Me
4-(2,3,4,5,6-F_5—Ph)CH_2O	B7	SMe	Cl	Me
4-MeOC(O)	B4	SCF_3	Cl	Me
4-EtOC(O)	B5	Me	Me	Me
4-nPrOC(O)	B6	Me	Me	Me
4-iPrOC(O)	B7	Me	Cl	Me
4-iBuOC(O)	B8	Cl	Me	Me
4-tBuOC(O)	B9	Cl	Cl	Me
4-$tBuCH_2OC(O)$	B7	Cl	Cl	Me
4-$tBuCH_2OC(O)$	B15	Cl	Cl	Me
4-$tBuCH_2OC(O)$	B34	Cl	Cl	Me
4-$tBuCH_2OC(O)$	B7	CF_3	Cl	Me
4-$tBuCH_2OC(O)$	B15	CF_3	Cl	Me
4-$tBuCH_2OC(O)$	B34	CF_3	Cl	Me
4-$tBuCH_2OC(O)$	B10	CF_3	Cl	Me
4-$Et(Me)_2COC(O)$	B11	CF_3	H	Me
4-nHexOC(O)	B7	H	CF_3	Me

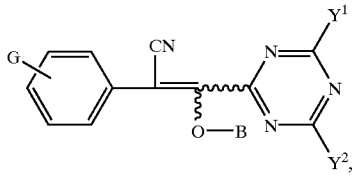
TABLE 11-continued

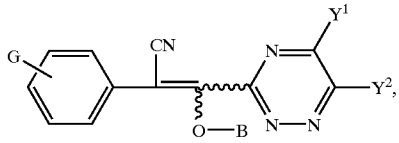
4-$MeOCH_2$	B8	H	Cl	Me
4-$EtOCH_2$	B7	Cl	H	Me
4-$iPrOCH_2$	B7	H	H	Me
4-MeC(O)	B16	OMe	Cl	Me
4-EtC(O)	B7	SMe	Cl	Me
4-iPrC(O)	B8	SCF_3	Cl	Me
4-tBuC(O)	H	Cl	Cl	Me
4-tBuC(O)	B3	Cl	Cl	Me
4-tBuC(O)	B7	Cl	Cl	Me
4-tBuC(O)	B3	CF_3	Cl	Me
4-tBuC(O)	B7	CF_3	Cl	Me
4-tBuC(O)	B15	CF_3	Cl	Me
4-tBuC(O)	B7	Me	Me	Me
4-tBuC(O)	B17	Me	Me	Me
4-tBuC(O)	B16	Me	Cl	Me
4-$CF_3C(O)$	B7	Me	Me	Me
4-$CF_3CF_2C(O)$	B8	Me	Cl	Me
4-$CF_2CF_2CF_2C(O)$	B22	Cl	Me	Me
4-MeC(O)O	B23	Cl	Cl	Me
4-iPrC(O)O	B7	CF_3	Cl	Me
4-tBuC(O)O	B8	CF_3	H	Me
4-$CF_3C(O)O$	B7	H	CF_3	Me
4-$CF_2CF_2CF_2C(O)O$	B8	H	Cl	Me
4-$Me_2NC(O)O$	B34	Cl	H	Me
4-$Et_2NC(O)O$	H	H	H	Me
4-$(nPr)_2NC(O)O$	B7	OMe	Cl	Me
3-Ph	B8	SMe	Cl	Me
4-Ph	B34	SCF_3	Cl	Me
4-(4-F—Ph)	B7	Cl	Cl	Me
4-(4-F—Ph)	B7	CF_3	Cl	Me
3-PhO	B7	Cl	Cl	Me
3-PhO	B15	CF_3	Cl	Me
4-PhO	B7	Me	Me	Me
4-(4-F—Ph)O	B35	Me	Cl	Me
4-(4-Cl—Ph)O	B36	Cl	Me	Me
4-(4-Br—Ph)O	B7	Cl	Cl	Me
4-(4-Me—Ph)	B8	CF_3	Cl	Me
4-(2-Cl—Ph)O	B34	CF_3	H	Me
4-(2-F—Ph)O	B40	H	CF_3	Me
4-(3-Cl—Ph)O	B41	H	Cl	Me
4-(4-Cl—Ph)O	B42	Cl	H	Me
4-(2,4-Cl_2—Ph)O	B43	H	H	Me
4-(3,4-Cl_2—Ph)O	B44	OMe	Cl	Me
4-(3,4,5-Cl_3—Ph)O	$COCO_2Me$	SMe	Cl	Me
4-(2-Me—Ph)O	H	SCF_3	Cl	Me
4-(4-Me—Ph)O	B1	Me	Me	Me
4-(3-Cl-4-Me—Ph)O	B2	H	CF_3	Me
4-(2-pyridyl)	B3	H	Cl	Me
4-(5-CF_3-pyridin-2-yl)	B4	Cl	H	Me
4-(2-pyridyl)O	B7	Cl	Cl	Me
4-(2-pyridyl)O	B15	Cl	Cl	Me
4-(2-pyridyl)O	B7	CF_3	Cl	Me
4-(2-pyridyl)O	B8	CF_3	Cl	Me
4-(2-pyridyl)O	B34	CF_3	Cl	Me
4-(2-pyridyl)O	B5	Cl	Cl	Me
4-(5-CF_3-pyridin-2-yl)O	B7	Cl	Cl	Me
4-(5-CF_3-pyridin-2-yl)O	B8	Cl	Cl	Me
4-(5-CF_3-pyridin-2-yl)O	B15	CF_3	Cl	Me
4-(5-CF_3-pyridin-2-yl)O	B7	CF_3	Cl	Me
4-(5-CF_3-pyridin-2-yl)O	B15	CF_3	Cl	Me
4-(5-CF_3-pyridin-2-yl)O	B34	Me	Cl	Me
4-(3-Cl-5-CF_3-pyridin-2-yl)	B7	Cl	Cl	Me
4-(3-Cl-5-CF_3-pyridin-2-yl)	B15	Cl	Cl	Me
4-(3-Cl-5-CF_3-pyridin-2-yl)	B34	Cl	Cl	Me
4-(3-Cl-5-CF_3-pyridin-2-yl)	B7	CF_3	Cl	Me
4-(3-Cl-5-CF_3-pyridin-2-yl)	B15	CF_3	Cl	Me
4-(3-Cl-5-CF_3-pyridin-2-yl)	B17	CF_3	Cl	Me
4-(3-Cl-5-CF_3-pyridin-2-yl)	H	Cl	Cl	Me
4-(5-Cl-thiophen-2-yl)	B8	Cl	Cl	Me
3-OCH_2O-4	B9	Cl	Cl	Me
4-OCF_2O-4	B10	CF_3	Cl	Me
4-MeCH=N	B11	CF_3	Cl	Me
4-$Me_2C{=}N$	B12	CF_3	Cl	Me
4-MeEtC=N	H	Cl	Cl	Me
4-PhCH=N	B3	Cl	Cl	Me
4-PhMeC=N	B7	Cl	Cl	Me
4-$PhCH_2CH{=}N$	B8	CF_3	Cl	Me
4-$cC_6H_{10}{=}N$	B15	CF_3	Cl	Me
3-$CH_2CH_2CH_2$-4	B16	CF_3	Cl	Me

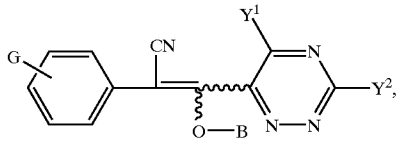
TABLE 11-continued

3-$CH_2CH_2CH_2CH_2$-4	B28	Cl	Cl	Me
4-PhC(O)	H	Cl	Cl	Me
4-PhC(O)	B7	Cl	Cl	Me
4-PhC(O)	B7	Cl	Cl	Me
4-PhC(O)	B33	CF_3	Cl	Me
4-(2-Cl—Ph)C(O)	B7	CF_3	Cl	Me
4-(4-F—Ph)C(O)	H	CF_3	Cl	Me
4-(4-Cl—Ph)C(O)	B7	Cl	Cl	Me
4-(4-Cl—Ph)C(O)	B15	Cl	Cl	Me
4-(4-Cl—Ph)C(O)	B34	Cl	Cl	Me
4-(4-Me—Ph)C(O)	B3	CF_3	Cl	Me
4-(4-Me—Ph)C(O)	B16	CF_3	Cl	Me
4-(3,4-Cl_2—Ph)C(O)	B45	CF_3	Cl	Me
3,4-Cl_2	B15	Cl	Cl	Me
3-Cl-4-F	B7	Cl	Cl	Me
2,6-F_2	B15	CF_3	Cl	Me
3-Cl-4-CF_3	B7	CF_3	Cl	Me
4-tBu-3-Cl	B7	Cl	Cl	Me
4-tBu-3-Cl	B15	CF_3	Cl	Me
4-tBuCH_2-3-Cl	B7	Cl	Cl	Me
4-nHep-3-Cl	B15	CF_3	Cl	Me
3-Cl-4-iPrO	B7	Cl	Cl	Me
3-Cl-4-iPrO	B7	CF_3	Cl	Me
3-Cl-4-nHepO	B15	CF_3	Cl	Me
3-Cl-4-(3,4-Cl_2—PhCH_2)O	H	CF_3	Cl	Me
3-Cl-4-PhCH_2O	B7	CF_3	Cl	Me
3,4-$(MeO)_2$	B16	CF_3	Cl	Me
4-MeO-3-Me	B17	CF_3	Cl	Me
4-OH-3,5-$(tBu)_2$	B3	CF_3	Cl	Me
3,4,5-Cl_3	B15	CF_3	Cl	Me
2,6-Cl_2-4-CF_3	B7	CF_3	Cl	Me
2,6-F_2-4-CF_3	B15	Cl	Cl	Me
2,6-F_2-4-CF_3O	B7	CF_3	Cl	Me
3,5-Cl_2-4-tBu	B7	Cl	Cl	Me
3,5-Cl_2-4-t$BuCH_2$	B15	CF_3	Cl	Me
3,5-Cl_2-4-nDec	B7	Cl	Cl	Me
3,5-Cl_2-4-PhCH_2O	B15	CF_3	Cl	Me
2,3,5,6-F_4-4-Me	B3	CF_3	Cl	Me
2,3,4,5,6-F_5	B33	CF_3	Cl	Me

TABLE 12







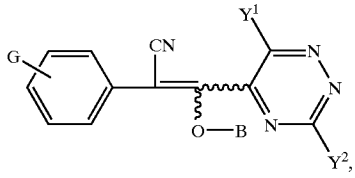
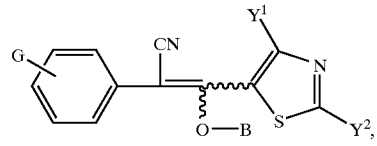
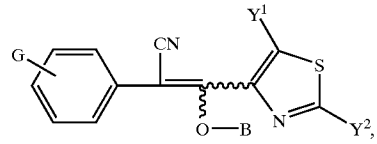
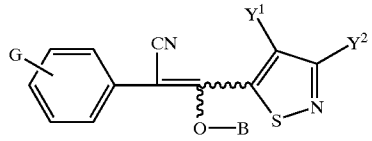
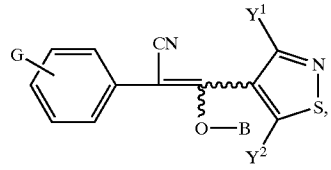


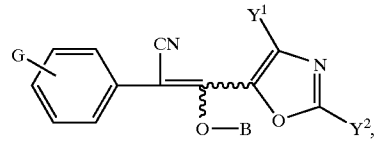
TABLE 12-continued

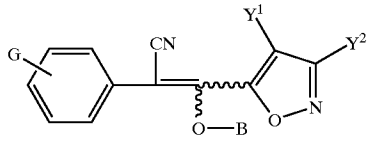




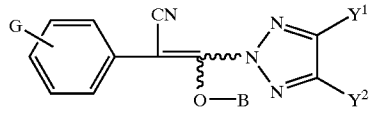








or



G	B	Y^1	Y^2
2-Cl	H	Me	Me
3-Cl	B1	H	H
4-Cl	B2	Me	H
4-Br	B3	H	Me
4-I	B4	Me	Me
4-F	B5	CF_3	Me
4-Me	B6	Cl	Me
4-Et	B7	H	Me
4-iPr	B8	Cl	Me
4-nBu	B9	H	Me
4-iBu	B10	OMe	Me
4-sBu	B11	SMe	Me
4-sBu	H	Me	Me
4-sBu	B16	Et	Me
4-sBu	B17	Cl	Me
4-sBu	B7	Cl	Cl
4-sBu	B8	CF_3	Me
4-sBu	B16	Me	CF_3
4-sBu	B17	Me	Me
4-sBu	B34	CF_3	Me
4-sBu	B3	CF_3	Me
4-sBu	B7	CF_3	Me
4-sBu	B8	Cl	Me
4-sBu	B34	Me	Cl

TABLE 12-continued

4-sBu	B7	H	H
4-sBu	B17	CF_3	Me
4-tBu	B12	SCF_3	Me
4-tBu	H	Me	Me
4-tBu	B3	Me	Me
4-tBu	B7	Me	Me
4-tBu	B8	Et	Me
4-tBu	B15	Me	nPr
4-tBu	B16	OMe	OMe
4-tBu	B28	Cl	Cl
4-tBu	B30	$OCHF_2$	Me
4-tBu	B34	CF_3	CF_3
4-tBu	CO-nC_8H_{17}	H	H
4-tBu	CO-$nC_{15}H_{31}$	Cl	Cl
4-tBu	CO_2-nHex	Me	Me
4-tBu	CO_2-$nC_{10}H_{21}$	Me	Me
4-tBu	H	CN	Me
4-tBu	H	CF_3	Me
4-tBu	B3	CF_3	Me
4-tBu	B7	CF_3	Me
4-tBu	B8	CF_3	Me
4-tBu	B15	CF_3	Me
4-tBu	B16	CF_3	Me
4-tBu	B28	CF_3	Me
4-tBu	B30	CF_3	Me
4-tBu	B16	Cl	Cl
4-tBu	B16	CF_3	Me
4-tBu	B17	CF_3	Me
4-tBu	B17	Me	Me
4-tBu	CO_2-$nC_{10}H_{21}$	CF_3	Me
4-tBu	CH_2OEt	CF_3	Me
4-tBu	B7	Me	CF_3
4-tBu	B7	Cl	Cl
4-tBu	B7	CN	Me
4-tBu	B16	CN	Me
4-tBu	B3	CN	Me
4-tBu	2-(4-tBu—Ph)-3,3-Me_2-cPrC(O)	CF_3	Me
4-tBu	B45	CF_3	Me
4-$tBuCH_2$	B3	CF_3	Me
4-$tBuCH_2$	B7	Me	Me
4-$tBuCH_2$	B16	Me	Me
4-$tBuCH_2$	B7	CF_3	Me
4-$tBuCH_2$	B8	CF_3	Me
4-$tBuCH_2$	B7	Cl	Me
4-$Et(Me)_2C$	H	CF_3	Me
4-$Et(Me)_2C$	B3	CF_3	Me
4-$Et(Me)_2C$	B7	CF_3	Me
4-$Et(Me)_2C$	B8	CF_3	Me
4-$Et(Me)_2C$	B34	CF_3	Me
4-$Et(Me)_2C$	B42	CF_3	Me
4-$Et(Me)_2C$	B16	CF_3	Me
4-$Et(Me)_2C$	B17	CF_3	Me
4-$Et(Me)_2C$	H	Cl	Me
4-$Et(Me)_2C$	B7	Cl	Me
4-$Et(Me)_2C$	B8	Cl	Me
4-$Et(Me)_2C$	B7	Me	CF_3
4-$Et(Me)_2C$	B7	CN	Me
4-$Et(Me)_2C$	B4	H	Me
4-$Et(Me)_2C$	B5	Me	Me
4-$Et(Me)_2C$	B6	Me	Me
4-$Et(Me)_2C$	B3	Me	Cl
4-$Et(Me)_2C$	B16	Me	Cl
4-$Et(Me)_2C$	B17	Me	Cl
4-$Et(Me)_2C$	B24	Me	Cl
4-nHex	B34	CF_3	Me
4-nHep	B42	CF_3	Me
4-nOct	B43	Me	Me
4-nNon	B43	Me	Me
4-nDec	H	Cl	Me
4-$(Me)_2(CN)C$	B7	Cl	Me
4-$PhCH_2$	B7	CF_3	Me
4-$Ph(Me)_2C$	B7	CF_3	Me
4-(4-F—Ph)$(Me)_2C$	B7	CN	Me
4-MeCH═CH	B4	H	Me
4-MeCC	B5	Cl	Me
3-CF_3	B6	H	Me
4-CF_3	B7	OMe	Me
4-CF_3	B8	SMe	Me

TABLE 12-continued

4-CF_3	B9	SCF_3	Me
4-CF_3	B16	Me	Me
4-CF_3	B17	Me	Me
4-CF_3	B16	Me	Me
4-CF_3	B17	Cl	Me
4-CF_3	B7	Cl	Me
4-CF_3	B7	CF_3	Me
4-CF_3	B7	Me	Me
4-CF_3	B3	Cl	Me
4-CF_3CH_2	B7	Me	Me
4-Cl_2C═$CHCH_2$	B8	Cl	Me
4-BrCC	B7	Cl	Me
4-(2,2-F_2)$cBuCH_2$	B7	CF_3	Me
4-(1-Me)cPr	B16	CN	Me
4-cHex	B7	CF_3	Me
4-(1-Me)cHex	B8	CF_3	Me
4-MeO	B7	CF_3	Me
4-iPrO	B7	Cl	Me
4-iPrO	B7	CF_3	Me
4-iPrO	B15	CF_3	Me
4-iPrO	B7	Me	Me
4-iPrO	B16	Me	Me
4-iPrO	B17	Me	Me
4-iPrO	B23	SCF_3	Me
4-tBuO	B7	Me	Me
4-tBuO	B8	CF_3	Me
4-tBuO	B7	CF_3	Me
4-nHexO	B8	CF_3	Me
4-nOctO	B34	CF_3	Me
4-nDecO	H	CF_3	Me
4-CH_2═$CHCH_2O$	B7	CF_3	Me
4-$CHCCH_2O$	B8	CF_3	Me
4-CHF_2O	B34	CF_3	Me
4-CHF_2O	B7	Et	Me
4-CHF_2O	B35	Me	Me
4-CHF_2O	B36	Cl	Me
4-CHF_2O	B7	CF_3	Me
4-$CBrF_2O$	B8	Cl	Me
4-$CBrF_2O$	B34	Cl	Me
4-$CBrF_2O$	B40	Me	Me
4-$CBrF_2O$	B41	Me	Me
4-$CBrF_2O$	B42	Cl	Me
4-$CBrF_2O$	B7	CF_3	Me
4-$CBrF_2O$	B8	CF_3	Me
4-$CBrF_2O$	$COCO_2Me$	CF_3	Me
4-$CBrF_2O$	H	H	Me
4-$CBrF_2O$	B1	CF_3	Me
4-CF_3O	B2	Cl	Me
4-CF_3O	B3	H	Me
4-CF_3O	B4	OMe	Me
4-CF_3O	B5	SMe	Me
4-CF_3O	B6	SCF_3	Me
4-CF_3O	B7	CF_3	Me
4-CF_3CH_2O	B8	Me	Me
4-CF_2═$CHCH_2CH_2O$	B9	Me	Me
4-CCl_2═$CHCH_2O$	B10	Cl	Me
4-$ClCCCH_2O$	B11	Cl	Me
4-MeS	B12	CF_3	Me
4-sBuS	H	CF_3	Me
4-EtSO	B3	H	Me
4-$MeSO_2$	H	CF_3	Me
4-$MeSO_2$	B3	CF_3	Me
4-$MeSO_2$	B32	CF_3	Me
4-$MeSO_2$	B33	CF_3	Me
4-$EtSO_2$	H	CF_3	Me
4-$EtSO_2$	B3	Et	Me
4-$iPrSO_2$	B32	CF_3	Me
4-$iPrSO_2$	B33	CF_3	Me
4-$tBuSO_2$	B7	CF_3	Me
4-$tBuSO_2$	B33	CF_3	Me
4-MeCH═$CHCH_2S$	B15	H	Me
4-CH_2═$CHCH_2SO$	B16	OMe	Me
4-CH_2═$CHCH_2SO_2$	B28	SMe	Me
4-$CHCCH_2S$	B30	SCF_3	Me
4-$CHCCH_2SO$	B34	Me	Me
4-$CHCCH_2SO_2$	CO-nC_8H_{17}	Me	Me
4-CHF_2S	H	CF_3	Me
4-CHF_2S	B7	CF_3	Me
4-CHF_2S	B8	CF_3	Me

TABLE 12-continued

4-CHF_2S	B15	CF_3	Me
4-CHF_2S	B29	CF_3	Me
4-CHF_2S	H	Me	Me
4-CHF_2S	B7	Me	Me
4-CHF_2S	B15	Me	Me
4-$CBrF_2S$	B7	Me	CF_3
4-$CBrF_2S$	B15	Me	CF_3
4-$CBrF_2S$	B30	Me	CF_3
4-$CBrF_2S$	B43	Me	CF_3
4-$CBrF_2S$	CO-$nC_{15}H_{31}$	nPr	Me
4-$CBrF_2S$	CO_2-nHex	CF_3	Me
4-$CBrF_2S$	H	CF_3	Me
4-$CBrF_2S$	B7	CF_3	Me
4-$CBrF_2S$	B8	CF_3	Me
4-$CBrF_2S$	B15	CF_3	Me
4-$CBrF_2S$	B28	CF_3	Me
4-$CBrF_2S$	B34	CF_3	Me
4-$CBrF_2S$	B7	Me	Me
4-CF_3S	CO_2-$nC_{10}H_{21}$	Cl	Me
4-CF_3S	H	Me	Me
4-CF_3S	B3	Me	Me
4-CF_3S	B7	Me	Me
4-CF_3S	B8	Me	Me
4-CF_3S	B15	Me	Me
4-CF_3S	H	CF_3	Me
4-CF_3S	B7	CF_3	Me
4-CF_3S	B8	CF_3	Me
4-CF_3S	B15	CF_3	Me
4-CF_3S	B34	CF_3	Me
4-CF_3S	CO_2-$nC_{12}H_{25}$	CF_3	Me
4-CF_3S	CO-$nC_{15}H_{31}$	CF_3	Me
4-CF_3S	CO-$nC_{16}H_{33}$	Me	Me
4-CF_3S	CO-$nC_{17}H_{35}$	Me	Me
4-CF_3CH_2S	CO-$nC_{18}H_{37}$	CF_3	Me
4-CHF_2CF_2S	CO-$nC_{19}H_{39}$	CF_3	Me
4-CHF_2SO	CO-$nC_{20}H_{41}$	Cl	Cl
4-$CBrF_2SO$	H	H	Me
4-$CBrF_2SO$	B3	CF_3	Me
4-$CBrF_2SO$	B7	CF_3	Me
4-$CBrF_2SO$	B24	CF_3	Me
4-$CBrF_2SO$	B32	CF_3	Me
4-$CBrF_2SO$	B33	CF_3	Me
4-CF_3SO	H	Me	Me
4-CF_3SO	B3	Me	Me
4-CF_3SO	B7	Me	Me
4-CF_3SO	B33	Me	Me
4-$CF_3CH_2SO_2$	B15	H	Me
4-$CHF_2CF_2SO_2$	B16	OMe	Me
4-CHF_2SO_2	B28	SMe	Me
4-CHF_2SO_2	B7	CF_3	Me
4-CHF_2SO_2	B24	CF_3	Me
4-CHF_2SO_2	B32	CF_3	Me
4-CHF_2SO_2	B33	CF_3	Me
4-CHF_2SO_2	H	Me	Me
4-CHF_2SO_2	B3	Me	Me
4-CHF_2SO_2	B7	Me	Me
4-CHF_2SO_2	B33	Me	Me
4-$CBrF_2SO_2$	H	SCF_3	Me
4-$CBrF_2SO_2$	B2	Me	Me
4-$CBrF_2SO_2$	B3	Me	Me
4-$CBrF_2SO_2$	B18	Me	Me
4-$CBrF_2SO_2$	B19	Me	Me
4-$CBrF_2SO_2$	B33	Me	Me
4-$CBrF_2SO_2$	H	CF_3	Me
4-$CBrF_2SO_2$	B3	CF_3	Me
4-$CBrF_2SO_2$	B7	CF_3	Me
4-$CBrF_2SO_2$	B20	CF_3	Me
4-$CBrF_2SO_2$	B32	CF_3	Me
4-$CBrF_2SO_2$	B33	CF_3	Me
4-$CBrF_2SO_2$	B37	CF_3	Me
4-$CBrF_2SO_2$	B7	Me	CF_3
4-$CBrF_2SO_2$	B15	Me	CF_3
4-$CBrF_2SO_2$	B17	Me	CF_3
4-$CBrF_2SO_2$	B7	Me	CF_3
4-$CBrF_2SO_2$	B16	Me	CF_3
4-CF_3SO_2	B16	Me	Me
4-CF_3SO_2	B7	Cl	Me
4-CF_3SO_2	B15	Cl	Cl
4-CF_3SO_2	B3	CF_3	Me

TABLE 12-continued

4-CF_3SO_2	B7	CF_3	Me
4-CF_3SO_2	B15	Me	Me
4-CF_3SO_2	B7	Me	Me
4-CF_3SO_2	B8	Me	Me
4-$Cl_2C{=}CHCH_2S$	B16	Me	Me
4-$Cl_2C{=}CHCH_2SO$	B17	Me	Me
4-$Cl_2C{=}CHCH_2SO_2$	B17	Cl	Me
4-$CBrCCH_2S$	CO_2-$nC_{10}H_{21}$	Cl	Me
4-$CBrCCH_2SO$	B33	CF_3	Me
4-$CBrCCH_2SO_2$	B7	CF_3	Me
4-CHO	B7	H	Me
4-NO_2	B8	H	Me
4-CN	B16	Cl	Me
4-$(Me)_2N$	B3	H	Me
4-Me(MeCO)N	B7	OMe	Me
4-PhMeN	B8	SMe	Me
4-$PhCH_2$(MeCO)N	B15	SCF_3	Me
4-(1-naphthyl)	B16	Me	Me
4-(2-naphthyl)	B28	Me	Me
4-(2-Cl—Ph)CH_2O	B30	Me	Me
4-(3-Cl—Ph)CH_2O	B34	Cl	Me
4-(4-Cl—Ph)CH_2O	B7	CF_3	Me
4-(4-Cl—Ph)CH_2O	B7	Cl	Me
4-(4-Cl—Ph)CH_2O	B37	Cl	Me
4-(4-F—Ph)CH_2O	B42	CF_3	Me
4-(2-Me—Ph)CH_2O	B43	CF_3	Me
4-(4-Me—Ph)CH_2O	B43	CF_3	Me
4-(4-Et—Ph)CH_2O	H	CF_3	Me
3-(2,4-Cl_2—Ph)CH_2O	B7	CF_3	Me
4-(3,4-Cl_2—Ph)CH_2O	B7	H	Me
4-(2,5-Me_2—Ph)CH_2O	B7	OMe	Me
4-(2,3,4,5,6-F_5—Ph)CH_2O	B7	SMe	Me
4-MeOC(O)	B4	SCF_3	Me
4-EtOC(O)	B5	Me	Me
4-nPrOC(O)	B6	Me	Me
4-iPrOC(O)	B7	Me	Me
4-tBuOC(O)	B7	Me	Me
4-tBuOC(O)	B3	CF_3	Me
4-tBuOC(O)	B5	CF_3	Me
4-tBuOC(O)	B33	CF_3	Me
4-tBuOC(O)	H	CF_3	Me
4-tBuOC(O)	B7	CF_3	Me
4-tBuOC(O)	B8	CF_3	Me
4-tBuOC(O)	B34	CF_3	Me
4-$tBuCH_2OC$(O)	B10	CF_3	Me
4-Et$(Me)_2COC$(O)	B11	CF_3	Me
4-nHexOC(O)	B7	H	Me
4-$MeOCH_2$	B8	H	Me
4-$EtOCH_2$	B7	Cl	Me
4-$iPrOCH_2$	B7	H	Me
4-MeC(O)	B16	OMe	Me
4-EtC(O)	B7	SMe	Me
4-iPrC(O)	B8	SCF_3	Me
4-tBuC(O)	H	Cl	Cl
4-tBuC(O)	B3	Cl	Cl
4-tBuC(O)	B7	Cl	Cl
4-tBuC(O)	B3	CF_3	Me
4-tBuC(O)	B7	CF_3	Me
4-tBuC(O)	B15	CF_3	Me
4-tBuC(O)	B7	Me	Me
4-tBuC(O)	B17	Me	Me
4-tBuC(O)	B16	Me	Me
4-CF_3C(O)	B33	Me	Me
4-CF_3CF_2C(O)	B8	Me	Me
4-$CF_2CF_2CF_2C$(O)	B22	Cl	Me
4-MeC(O)O	B23	Cl	Me
4-iPrC(O)O	B7	CF_3	Me
4-tBuC(O)O	B8	CF_3	Me
4-CF_3C(O)O	B7	H	Me
4-$CF_2CF_2CF_2C$(O)O	B8	H	Me
4-Me_2NC(O)O	B34	Cl	Me
4-Et_2NC(O)O	H	H	Me
4-$(nPr)_2NC$(O)O	B7	OMe	Me
3-Ph	B8	SMe	Me
4-Ph	B34	SCF_3	Me
4-(4-F—Ph)	B7	Et	Me
4-(4-F—Ph)	B7	CF_3	Me
3-PhO	B7	Me	Me
3-PhO	B15	CF_3	Me

TABLE 12-continued

4-PhO	B7	Me	Me
4-(4-F—Ph)O	B35	Me	Me
4-(4-Cl—Ph)O	B36	Et	Me
4-(4-Br—Ph)O	B7	CF_3	Me
4-(4-Me—Ph)	B8	CF_3	Me
4-(2-Cl—Ph)O	B34	CF_3	Me
4-(2-F—Ph)O	B40	H	Me
4-(3-Cl—Ph)O	B41	H	Me
4-(4-Cl—Ph)O	B42	Cl	Me
4-(2,4-Cl_2—Ph)O	B43	H	Me
4-(3,4-Cl_2—Ph)O	B44	OMe	Me
4-(3,4,5-Cl_3—Ph)O	$COCO_2Me$	SMe	Me
4-(2-Me—Ph)O	H	SCF_3	Me
4-(4-Me—Ph)O	B1	Me	Me
4-(3-Cl-4-Me—Ph)O	B2	H	Me
4-(2-pyridyl)	B3	H	Me
4-(5-CF_3-pyridin-2-yl)	B4	Cl	Me
4-(2-pyridyl)O	B7	Me	Me
4-(2-pyridyl)O	B15	Me	Me
4-(2-pyridyl)O	B7	CF_3	Me
4-(2-pyridyl)O	B8	CF_3	Me
4-(2-pyridyl)O	B34	CF_3	Me
4-(2-pyridyl)O	B5	CF_3	Me
4-(5-CF_3-pyridin-2-yl)O	B7	Me	Me
4-(5-CF_3-pyridin-2-yl)O	B8	Me	Me
4-(5-CF_3-pyridin-2-yl)O	B15	CF_3	Me
4-(5-CF_3-pyridin-2-yl)O	B7	CF_3	Me
4-(5-CF_3-pyridin-2-yl)O	B15	CF_3	Me
4-(5-CF_3-pyridin-2-yl)O	B34	CF_3	Me
4-(3-Cl-5-CF_3-pyridin-2-yl)	B7	Me	Me
4-(3-Cl-5-CF_3-pyridin-2-yl)	B15	Me	Me
4-(3-Cl-5-CF_3-pyridin-2-yl)	B34	Me	Me
4-(3-Cl-5-CF_3-pyridin-2-yl)	B7	CF_3	Me
4-(3-Cl-5-CF_3-pyridin-2-yl)	B15	CF_3	Me
4-(3-Cl-5-CF_3-pyridin-2-yl)	B17	CF_3	Me
4-(3-Cl-5-CF_3-pyridin-2-yl)	H	CF_3	Me
4-(5-Cl-thiophen-2-yl)	B8	Cl	Me
3-OCH_2O-4	B9	Cl	Me
4-OCF_2O-4	B10	CF_3	Me
4-MeCH═N	B11	CF_3	Me
4-Me_2C═N	B12	CF_3	Me
4-MeEtC═N	H	Cl	Me
4-PhCH═N	B3	Cl	Me
4-PhMeC═N	B7	Cl	Me
4-$PhCH_2CH$═N	B8	CF_3	Me
4-cC_6H_{10}═N	B15	CF_3	Me
3-$CH_2CH_2CH_2$-4	B16	CF_3	Me
3-$CH_2CH_2CH_2CH_2$-4	B28	Cl	Me
4-PhC(O)	H	CF_3	Me
4-PhC(O)	B7	Et	Me
4-PhC(O)	B7	CF_3	Me
4-PhC(O)	B33	CF_3	Me
4-(2-Cl—Ph)C(O)	B7	CF_3	Me
4-(4-F—Ph)C(O)	H	CF_3	Me
4-(4-Cl—Ph)C(O)	B7	CF_3	Me
4-(4-Cl—Ph)C(O)	B15	CF_3	Me
4-(4-Cl—Ph)C(O)	B34	CF_3	Me
4-(4-Me—Ph)C(O)	B3	CF_3	Me
4-(4-Me—Ph)C(O)	B16	CF_3	Me
4-(3,4-Cl_2—Ph)C(O)	B45	CF_3	Me
2,4-Cl_2	B7	CF_3	Me
3,4-Cl_2	B7	CF_3	Me
4-Cl-2-F	B7	CF_3	Me
3-Cl-4-nHex	B7	CF_3	Me
4-tBu-3-Cl	B7	CF_3	Me
4-tBu-3-Cl	B15	CF_3	Me
3-Cl-4-iPrO	B7	Me	Me
3-Cl-4-iPrO	B7	CF_3	Me
3-Cl-4-nHepO	B15	CF_3	Me
3-Cl-4-(3,4-Cl_2—$PhCH_2$)O	H	CF_3	Me
3-Cl-4-$PhCH_2O$	B7	CF_3	Me
3-Cl-4-Me_3CCH_2	B7	CF_3	Me
3,4-$(MeO)_2$	B7	CF_3	Me
4-MeO-3-Me	B17	CF_3	Me
4-OH-3,5-$(tBu)_2$	B3	CF_3	Me
3,4,5-Cl_3	B15	CF_3	Me
3,5-Cl_2-4-F	B7	CF_3	Me
4-Cl-2,6-F_2	B7	CF_3	Me
2,6-F_2-4-CF_3	B7	CF_3	Me

TABLE 12-continued

2,6-F_2-4-CF_3O	B7	CF_3	Me
3,5-Cl_2-4-nOct	B7	CF_3	Me
3,5-Cl_2-4-$PhCH_2O$	B15	CF_3	Me
2,3,5,6-F_4-4-Me	B3	CF_3	Me
2,3,4,5,6-F_5	B33	CF_3	Me

TABLE 13

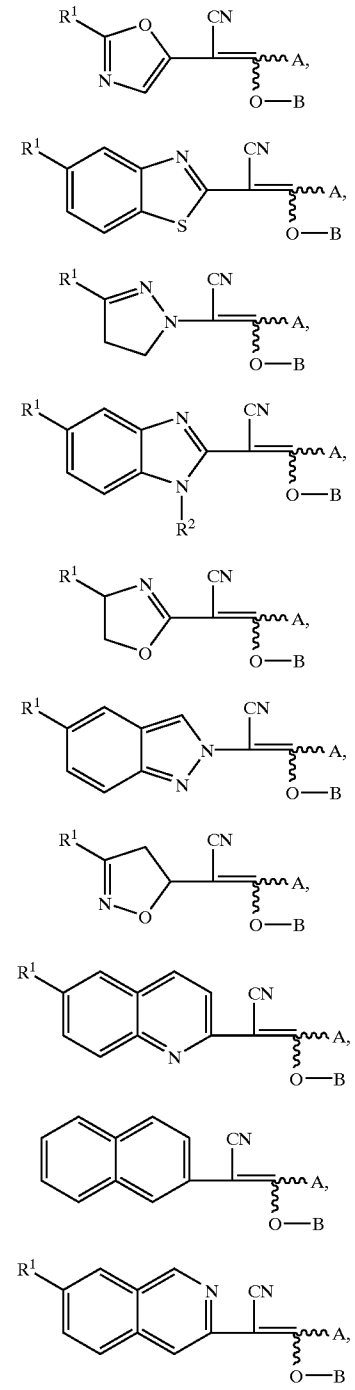
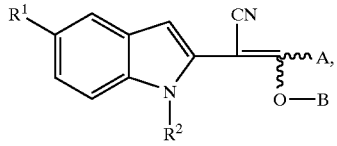
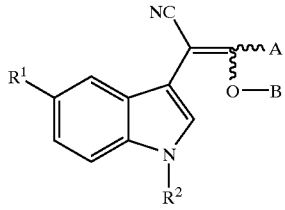
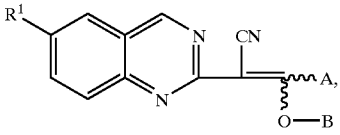
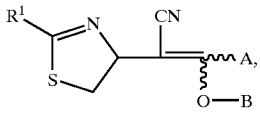


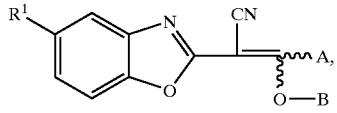
TABLE 13-continued

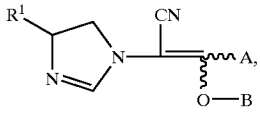


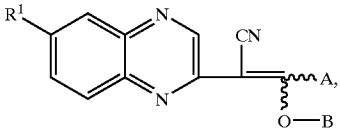


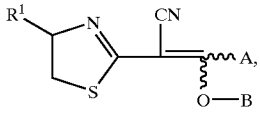


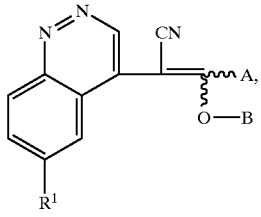












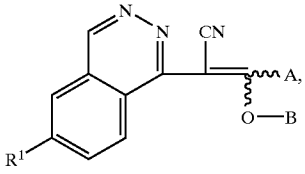
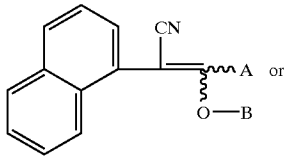
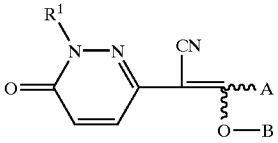


TABLE 13-continued



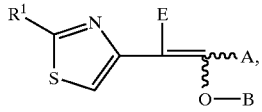


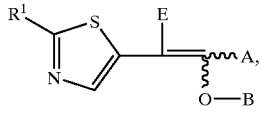
R^1	B	A
iPr	H	2,5-Me_2-1-pyrrolyl
iPr	B3	1-Me-2-pyrrolyl
tBu	B5	3,5-Me_2-2-pyrrolyl
tBu	B6	3,4-Me_2-2-pyrrolyl
tBu	B7	3,4,5-Me_3-2-pyrrolyl
1-Me-cPr	B8	3,5-Me_2-4-MeO-2-pyrrolyl
1-Me-cPr	B15	4-MeC(O)-3,5-Me_2-2-pyrrolyl
1-Me-cPr	B16	4-NO_2-2-pyrrolyl
1-Me-cPr	B17	2-Me-3-pyrrolyl
1-Me-cHex	B22	4-Me-3-pyrrolyl
1-Me-cHex	B31	2,4,5-Me_3-3-pyrrolyl
1-Me-cHex	B32	2,4-Me_2-5-EtO_2C-3-pyrrolyl
1-Me-cHex	B33	1,2,3-triazol-4-yl
$PhCH_2$	B34	1-Me-1,2,3-triazol-4-yl
$PhCH_2$	B35	2-Me-1,2,3-triazol-4-yl
$PhCH_2$	B36	3-Me-1,2,3-triazol-4-yl
$PhMe_2C$	B40	2-EtO_2C-1,2,3-triazol-4-yl
$PhMe_2C$	B41	5-Me-2-Ph-1,2,3-triazol-4-yl
$PhMe_2C$	pyridin-2-yl-C(O)	5-CF_3-2-Me-1,2,3-triazol-4-yl
$PhMe_2C$	pyridin-2-yl-C(O)	2,5-Me_2-1,2,3-triazol-4-yl
Ph	pyridin-2-yl-C(O)	1-$PhCH_2$-5-Me-1,2,3-triazol-4-yl
2-F—Ph	H	1-$PhCH_2$-5-CF_3-1,2,3-triazol-4-yl
2-F—Ph	B3	1-$PhCH_2$-5-Cl-1,2,3-triazol-4-yl
2-F—Ph	B5	4-Me-1,2,3-triazol-1-yl
2-F—Ph	B6	5-Me-1,2,3-triazol-2-yl
2-Cl—Ph	B7	4-MeO_2C-1,2,3-triazol-1-yl
2-Cl—Ph	B8	4,5-EtO_2C-1,2,3-triazol-2-yl
2-Cl—Ph	B15	4,5-Me_2-1,2,3-triazol-1-yl
2-Me—Ph	B16	4,5-$(MeO_2C)_2$-1,2,3-triazol-2-yl
2-Me—Ph	B17	4,5-Me_2-1,2,4-triazol-3-yl
2-Me—Ph	B22	2,4-Me_2-1,2,4-triazol-3-yl
4-NO_2—Ph	B31	1,5-Me_2-1,2,4-triazol-3-yl
2,6-F_2—Ph	B32	5-Me-3-CF_3-1,2,4-triazol-2-yl
2,6-F_2—Ph	B33	3-Cl-5-CF_3-1,2,4-triazol-2-yl
2,6-F_2—Ph	B34	5-Cl-3-CF_3-1,2,4-triazol-2-yl
2,6-F_2—Ph	B35	3,5-$Me_3$1,2,4-triazol-4-yl
2,6-F_2—Ph	B36	3,5-Cl_2-1,2,4-triazol-4-yl
2,6-Cl_2—Ph	B40	3,5-$(CF_3)_2$-1,2,4-triazol-4-yl
2,6-Cl_2—Ph	B41	5-tetrazolyl
2,6-Cl_2—Ph	pyridin-2-yl-C(O)	5-Ph-1-tetrazolyl
2,6-Cl_2—Ph	pyridin-2-yl-C(O)	5-Ph-2-tetrazolyl
2-pyridyl	pyridin-2-yl-C(O)	3,4,4,5-Me_4-2-pyrazolin-1-yl
2-pyridyl	B8	3-Me-5-CF_3-2-pyrazolin-1-yl
2-pyridyl	B15	1,5-Me_2-3-CF_3-2-pyrazolin-4-yl
2-pyridyl	B16	1,4-Me_2-3-Ph-2-pyrazolin-4-yl
2-pyridyl	B17	1,4-Me_2-5-Ph-2-pyrazolin-4-yl
2-pyridyl	B22	1,3-Me_2-2-pyrazolin-5-yl
1-naphthyl	B31	1,5-Me_2-2-pyrazolin-3-yl
1-naphthyl	B32	2-Me-2-imizolin-1-yl
1-naphthyl	B33	2-CF_3-2-imizolin-1-yl
iPr	B34	2-MeS-2-imizolin-1-yl
iPr	B35	2-MeO-2-imizolin-1-yl
tBu	B36	5-Me-2-Ph-2-oxazolin-4-yl
1-Me-cPr	B40	2,5-Me_2-2-oxazolin-4-yl
cHex	B41	5-Me-2-CF_3-2-oxazolin-4-yl
1-Me-cHex	pyridin-2-yl-C(O)	2-thiazolin-2-yl
$PhCH_2$	pyridin-2-yl-C(O)	2-Me_2N-2-thiazolin-4-yl
$PhMe_2C$	pyridin-2-yl-C(O)	2,4-Me_2-2-thiazolin-5-yl
Ph	B8	2-Me-4-CF_3-2-thiazolin-5-yl
2-F—Ph	B15	5-Me-2-isoxazolin-3-yl

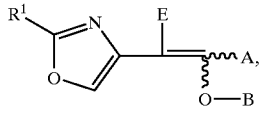
TABLE 13-continued

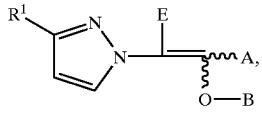
2-Cl—Ph	B16	3-Cl-5-Me-2-isoxazolin-4-yl
2-Me—Ph	B17	3,5-$(MeS)_2$-2-isothiazolin-4-yl
4-NO_2—Ph	B22	5-Cl-2-Me-3(2H)-pyridazinon-4-yl
2,6-F_2—Ph	B31	5,6-Cl_2-2-Me-pyridazinon-4-yl
2,6-Cl_2—Ph	B32	4-Cl-2-Me-pyridazinon-4-yl
2-pyridyl	B33	4,6-Cl_2-2-Me-pyridazinon-4-yl
1-naphthyl	B34	4,5-Cl_2-2-Me-pyridazinon-4-yl

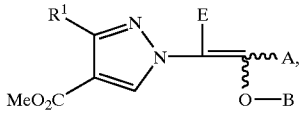
TABLE 14

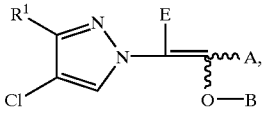


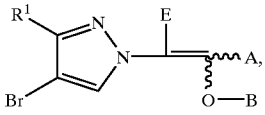


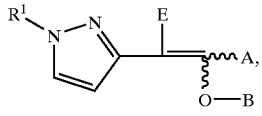












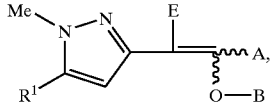
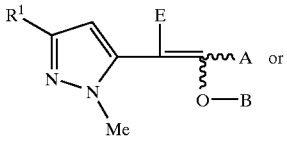


TABLE 14-continued



R^1	E	B	A
tBu	oxazol-2-yl	H	A1
tBu	thiazol-2-yl	B3	A2
tBu	imidazol-2-yl	B7	A3
tBu	1,5-Me_2-1,2,4-triazol-3-yl	B8	A4
tBu	5-Me-1,2,4-oxadiazol-3-yl	B15	A5
tBu	5-CF_3-1,2,4-thiadiazol-3-yl	B16	A6
tBu	5-Me-1,3,4-oxadiazol-2-yl	B17	A7
tBu	tetrazol-5-yl	B18	A8
tBu	2-oxazolin-2-yl	B32	A9
tBu	1,2,4,5-tetrazin-3-yl	B33	A10
tBu	F	B34	A11
tBu	Cl	H	A12
tBu	Br	B7	A13
tBu	I	B8	A14
tBu	CHC	H	A15
tBu	CHC	B7	A2
2,6-F_2—Ph	CHC	B7	A2
tBu	MeCC	B7	A16
tBu	EtCC	B7	A17
tBu	PhCC	B7	A2
tBu	PhCC	B8	A13
2,6-F_2—Ph	PhCC	H	A2
2,6-F_2—Ph	PhCC	B7	A2
pyridin-2-yl	PhCC	B7	A2
tBu	(2-Cl—Ph)CC	B15	A19
tBu	(3-Cl—Ph)CC	B15	A20
2,6-F_2—Ph	(4-Cl—Ph)CC	B16	A21
2,6-F_2—Ph	(4-F—Ph)CC	B17	A22
2,6-F_2—Ph	(4-Me—Ph)CC	B18	A23
2,6-F_2—Ph	(4-nHex-Ph)CC	B34	A24
2,6-F_2—Ph	(2,6-Cl_2—Ph)CC	H	A25
2,6-F_2—Ph	(2,4-Cl_2—Ph)CC	$(EtO)_2P(S)$	A26
2,6-F_2—Ph	(3,4-Cl_2—Ph)CC	B3	A27
2,6-F_2—Ph	(2,4,6-Me_3—Ph)CC	B7	A28
2,6-F_2—Ph	(4-CF_3—Ph)CC	B15	A29
2,6-F_2—Ph	CF_3	B7	A2
2,6-F_2—Ph	CF_3CF_2	H	A31
2,6-F_2—Ph	NO_2	B3	A32
2,6-F_2—Ph	N_3	B7	A33
2,6-F_2—Ph	N_3	H	A2
2,6-F_2—Ph	N_3	B7	A1
tBu	N_3	B7	A2
tBu	N_3	H	A2
pyridin-2-yl	N_3	B7	A2
2,6-F_2—Ph	CHO	B8	A34
2,6-F_2—Ph	C(O)Me	B15	A35
2,6-F_2—Ph	C(O)Et	B16	A36
2,6-F_2—Ph	C(O)iPr	B17	A1
2,6-F_2—Ph	C(O)nBu	B18	A2
2,6-F_2—Ph	C(O)sBu	B32	A3
2,6-F_2—Ph	C(O)tBu	H	A2
2,6-F_2—Ph	C(O)tBu	H	A1
2,6-F_2—Ph	C(O)tBu	B7	A1
tBu	C(O)tBu	H	A2
tBu	C(O)tBu	B7	A2
tBu	CO_2Me	H	A2
tBu	CO_2Me	B7	A2
tBu	CO_2Me	B8	A2
2,6-F_2—Ph	CO_2Me	H	A2
2,6-F_2—Ph	CO_2Me	B7	A2
2,6-F_2—Ph	CO_2Me	B8	A2
pyridin-2-yl	CO_2Me	H	A2
pyridin-2-yl	CO_2Me	B7	A2

TABLE 14-continued

pyridin-2-yl	CO_2Me	B8	A2
tBu	CO_2Me	B34	A5
tBu	CO_2Et	H	A2
tBu	CO_2Et	B7	A2
tBu	CO_2Et	B8	A2
2,6-F_2—Ph	CO_2Et	H	A2
2,6-F_2—Ph	CO_2Et	B7	A2
2,6-F_2—Ph	CO_2Et	B8	A2
pyridin-2-yl	CO_2Et	H	A2
pyridin-2-yl	CO_2Et	B7	A2
pyridin-2-yl	CO_2Et	B8	A2
pyridin-2-yl	CO_2Et	H	A6
pyridin-2-yl	CO_2nPr	B7	A7
pyridin-2-yl	CO_2iPr	B8	A8
pyridin-2-yl	CO_2nBu	H	A9
pyridin-2-yl	CO_2iBu	B7	A10
pyridin-2-yl	CO_2sBu	B7	A11
pyridin-2-yl	CO_2tBu	B8	A12
pyridin-2-yl	$CO_2CH_2CH{=}CH_2$	B15	A13
pyridin-2-yl	$CO_2CH_2CH{=}CH_2$	B7	A2
tBu	$CO_2CH_2CH{=}CH_2$	B8	A2
tBu	$CO_2CH_2CH{=}CH_2$	H	A2
tBu	$CO_2CH_2CH{=}CH_2$	B7	A2
2,6-F_2—Ph	$CO_2CH_2CH{=}CH_2$	B8	A2
2,6-F_2—Ph	$CO_2CH_2CH{=}CH_2$	H	A2
2,6-F_2—Ph	$CO_2CH_2CH{=}CH_2$	B7	A2
pyridin-2-yl	C(O)NHMe	B8	A2
2,6-F_2—Ph	C(O)NHMe	H	A2
tBu	C(O)NHMe	H	A2
pyridin-2-yl	C(O)NHEt	B16	A15
pyridin-2-yl	C(O)NHnPr	B17	A16
tBu	C(O)NHiPr	B18	A17
tBu	C(O)NHiBu	B34	A18
tBu	C(O)NHtBu	H	A19
tBu	C(O)NMe_2	$(EtO)_2P(S)$	A20
tBu	C(O)NMe_2	H	A2
tBu	C(O)NMe_2	B7	A2
2,6-F_2—Ph	C(O)NMe_2	B7	A2
pyridin-4-yl	C(O)NMeEt	B3	A21
2,6-F_2—Ph	C(O)NEt_2	B7	A22
tBu	C(O)N(nPr)2	B15	A23
tBu	PhC(O)	H	A24
tBu	PhC(O)	H	A2
tBu	PhC(O)	B7	A2
tBu	PhC(O)	B8	A2
2,6-F_2—Ph	PhC(O)	H	A2
2,6-F_2—Ph	PhC(O)	B7	A2
2,6-F_2—Ph	PhC(O)	B8	A2
pyridin-2-yl	PhC(O)	H	A2
pyridin-2-yl	PhC(O)	B7	A2
2,6-F_2—Ph	(4-F—Ph)C(O)	B8	A2
2,6-F_2—Ph	(3,4-Cl_2—Ph)C(O)	H	A6
pyridin-3-yl	(3-Cl-4-F—Ph)C(O)	B8	A27
pyridin-3-yl	(4-Me—Ph)C(O)	B15	A28
tBu	C(S)NH_2	B16	A29
tBu	C(S)NH_2	H	A2
tBu	C(S)NH_2	B7	A2
2,6-F_2—Ph	C(S)NH_2	B8	A2
2,6-F_2—Ph	C(S)NH_2	H	A2
2,6-F_2—Ph	C(S)NH_2	B7	A2
pyridin-2-yl	C(S)NH_2	B8	A2
pyridin-2-yl	C(S)NH_2	H	A2
2,6-F_2—Ph	MeS	B7	A2
2,6-F_2—Ph	EtS	B18	A31
pyridin-2-yl	nPrS	B32	A32
pyridin-2-yl	tBuS	B33	A33
tBu	MeSO	B34	A34
tBu	EtSO	H	A35
tBu	nPrSO	B7	A36
2,6-F_2—Ph	tBuSO	B8	A1
2,6-F_2—Ph	$MeSO_2$	H	A2
2,6-F_2—Ph	$EtSO_2$	B7	A3
pyridin-2-yl	$iPrSO_2$	B7	A4
pyridin-2-yl	$nBuSO_2$	B8	A5
tBu	PhS	B15	A6
tBu	PhS	H	A2
tBu	PhS	B7	A2
2,6-F_2—Ph	PhS	B8	A2
2,6-F_2—Ph	PhS	H	A2

TABLE 14-continued

2,6-F_2—Ph	PhS	B7	A2
pyridin-2-yl	PhS	B8	A2
pyridin-2-yl	PhS	H	A2
pyridin-2-yl	PhS	B7	A2
tBu	(4-Me—Ph)S	B8	A2
tBu	(4-Cl—Ph)SO	H	A6
tBu	(2-F—Ph)SO_2	B17	A9
2,6-F_2—Ph	$(MeO)_2P(O)$	B18	A10
2,6-F_2—Ph	$(EtO)_2P(O)$	B34	A11
2,6-F_2—Ph	$(nPrO)_2P(O)$	H	A12
pyridin-2-yl	(PhO)(MeO)P(O)	$(EtO)_2P(S)$	A13
pyridin-2-yl	$(MeO)_2P(S)$	B3	A14
tBu	$(EtO)_2P(S)$	B7	A15
tBu	$(nPrO)_2P(S)$	B15	A16
tBu	(PhO)(MeO)P(S)	B7	A17

Where the compounds of the present invention are used as pesticides, in general, they can be mixed with a suitable carrier, for example a solid carrier, such as clay, talc, bentonite, diatomaceous earth or white carbon, or a liquid carrier such as water, alcohols (e.g., isopropanol, butanol, benzyl alcohol, furfuryl alcohol), aromatic hydrocarbons (e.g., toluene, xylene), ethers (e.g., anisole), ketones (e.g., cyclohexanone, isophorone), esters (e.g., butyl acetate), acid amides (e.g., N-methylpyrrolidone) or halogenated hydrocarbons (e.g., chlorobenzene), optionally along with other additives such as surfactant, emulsifier, dispersing agent, penetrating agent, spreading agent, thickener, anti-freezing agent, anti-caking agent and stabilizer, and can be formulated into any desired forms for practical use, such as liquid preparations, emulsions, wettable powders, dry flowables, flowables, dusts and granules.

Where the compounds of the present invention are used as agricultural chemicals, they can be combined with any other herbicides, various insecticides, acaricides, nematecides, fungicides, plant growth regulators, synergists, fertilizer and soil improvers, when they are formulated into preparations for practical use or while they are actually used through spraying or the like.

In particular, the combination of the compounds of the invention and other agricultural chemicals or plant hormones will be advantageous in that the amount of the chemicals to be used can be reduced thereby resulting in the reduction of the costs for the treatment, and that the mixed chemicals exhibit synergistic effects to broaden the insecticidal spectrum while displaying higher pesticidal activities. If desired, the compounds of the invention can be combined with e plurality of known agricultural chemicals. For the agricultural chemicals capable of being combined with the compounds of the invention, for example, the compounds described in Farm Chemicals Handbook, 1994 are referred.

Their concrete general names are raised below. However, the present invention is not necessarily limited by them.

Fungicide: acibenzolar, ampropyfos, anilazine, azaconezole, azoxystrobin, benalaxyl, benodanil, benomyl, benzamecril, binapacryl, biphenyl, bitertanol, bethoxazine, Bordeaux mixture, blesticidin-S, bromoconazole, bupirimate, buthiobate, calcium polysulfide, captafol, captan, copper oxychloride, caryropamid, carbendazim, carboxin, chinomethionat, chlobrnthiazone, chlorfenazol, chloroneb, chlorothalonil, chlozolinete, cufraneb, cymoxanil, cyproconazol, cyprodinil, cyprofuram, debacarb, dichlorophen, diclobutrazol, dichlofluanid, diclomezine, dicloran, diethofencarb, diclocymet, difenoconazole, diflumetorim, dimethirimol, dimethomorph, diniconazole, diniconazole-M, dinocap, diphenylamine, dipyrithione, ditalimfos, dithianon,

dodemorph, dodine, drazoxolon, edifenphos, epoxiconazole, etaconazole, ethirimol, etridiazole, famoxadone, fenarimol, febuconazole, fenfuram, fenpiclonil, fenpropidin, fenpropimorph, fentin, ferbam, ferimzone, fluazinam, fludioxonil, fluoroimide, fluquinconazole, flusilazole, flusulfamide, flutolanil, flutriefol, folpet, fosetyl-aluminium, fuberidazole, furalaxyl, fenamidone, fenhexamid, guazatine, hexechlorobenzene, hexaconazole, hymexazol, imazalil, imibenconazole, iminoctedine, ipconazole, iprobenfos, iprodione, isoprothiolane, iprovalicarb, kasugamycin, kresoxim-methyl, mancopper, mancozeb, maneb, mepanipyrim, mepronil, metalaxyl, metconazole, metiram, metominostrobin, myclobutenil, nabam, nickel bis (dimethyldithiocarbamate), nitrothal-isopropyl, nuarimol, octhilinone, ofurace, oxadixyl, oxycarboxin, oxpoconazole fumarate, pefuaoate, penconazole, pencycuron, phthalide, piperalin, polyoxins, probenazole, prochloraz, procymidone, propamocarb hydrochloride, propiconazole, propineb, pyrazophos, pyrifenox, pyrimethanil, pyroquilon, quinoxyfen, quintozene, sulfur, spiroxamine, tebuconazole, tecnazene, tetreconazole, thiabendazole, thifluzamide, thiophanate-methyl, thiram, tolclofos-methyl, tolylfluanid, triadimefon, toriadimenol, triazoxide, tricyclezole, tridemorph, triflumizole, triforine, triticonazole, validamycin, vinclozolin, zineb, ziram.

Bacteriazide: streptomycin, oxyterracycline, oxolinic acid.

Nematicide: aldoxycarb, cadusafos, fosthiazate, fosthietan, oxemyl, fenamiphos.

Acaricide: acequinocyl, acrinathrin, amitraz, bifenazate, bromopropylate, chinomethionat, chlorobezilate, clofentezine, cyhexatine, dicofol, dirnochlor, etoxazole, frnazaquin, fenbutatin oxide, fenpropathrin, fenproximate, halfenprox, hexythiazox, milbemectin, phenisobromolate, polynactins, propargite, pyridaben, pyrimidifen, tebufenpyrad, tetradifon.

Insecticide: abamectin, acephate, ecetamipirid, alanycarb, azinphos-methyl, bendiocarb, benfuracarb, bensultap, bifenthrin, *Batillus thuringiensis*, buprofezin, butocarboxim, carberyl, carbofuran, carbosulfan, cartap, chlorfenapyr, chlorpyrifos, chlorfenvinphos, chlorfluazuron, clothianidin, chromafenozide, chlorpyrifos-methyl, cyanox, cycloprothrin, cyfluthrin, beta-cyfluthrin, cypermethrin, cyromezine, cyhalothrin, lambda-cyhalothrin, deltamethrin, diafenthiuron, diazinon, diecloden, dichlorvos, diflubenzuron, dimethylvinphos, diofenolan, disulfoton, dimethoate, emamectin-benzoate, EPN, esfenvalerate, ethiofencarb, endosulfan, ethiprole, ethylthiometone, etofenprox, etrimfos, fenitrothion, fenobucarb, fenothiocarb, fenthion, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flucythrinate, flufenoxuron, flufenprox, tau-fluvalinate, fonophos, formetenate, formothion, furathiocerb, halofenozide, hexaflumuron, hydramethylnon, imidacloprid, isofenphos, indoxacarb, isoprocerb, isoxathion, lufenuron, malathion, metaldehyde, methamidophos, methidathion, methacrifos, metalcarb, methomyl, methoprene, methoxychlor, methoxyfenozide, monocrotophos, muscalure, nicotin-sulfate, nitenpyram, omethoate, oxydemeton-methyl, oxamyl, parathion, parathion-methyl, permethrin, phenthoete, phoxim, phorate, phosalone, phosmet, phosphamidon, pirimicarb, pirimiphos-methyl, profenofos, propafos, prothiofos, pymetrozine, pyraclofos, pyridafenthion, pyriproxyfen, rotenone, sulprofos, silafluofen, spinosad, sulfotep, tebfenozide, teflubenzuron, tefluthorin, terbufos, tetrachlorvinphos, thiodicarb, thiamethoxam, thiocyclam, thiofanox, thiometon, tolfenpyrad, tralomethrin, trichlorfon, triazuron, triflumuron, vamidothion.

The dose of the compounds of the present invention varies depending on an application place, an application time, an application method, cultivation crops, etc. In general, it may be between approximately 0.005 kg and 50 kg per hectare (ba) in terms of the amount of the active ingredient.

Now, formulation examples comprising the compounds of the invention are mentioned below, which, however, are not intended to restrict the scope of the invention. In the following formulation examples, "part" or "parts" are by weight.

[Wettable Powders]	
Compound of the invention	5 to 80 parts
Solid carrier	10 to 85 parts
Surfactant	1 to 10 parts
Others	1 to 5 parts

The others include, for example, anti-caking agent, etc.

[Emulsions]	
Compound of the invention	1 to 30 parts
Liquid carrier	30 to 95 parts
Surfactant	5 to 15 parts
[Flowables]	
Compound of the invention	5 to 70 parts
Liquid carrier	15 to 65 parts
Surfactant	5 to 12 parts
Others	5 to 30 parts

The others include, for example, anti-freezing agent, thickener, etc.

[Dry Flowables (wettable granules)]	
Compound of the invention	20 to 90 parts
Solid carrier	10 to 60 parts
Surfactants	1 to 20 parts
[Granules]	
Compound of the invention	0.1 to 10 parts
Solid carrier	90 to 99.99 parts
Others	1 to 5 parts
[Dusts]	
Compound of the invention	0.01 to 30 parts
Solid carrier	67 to 99.5 parts
Others	0 to 3 parts

Where the compounds of the present invention are used as an agent for preventing the attachment of aquatic organisms, they may be formulated into various preparations of, for example, coating paints, solutions, emulsions, pellets or flakes to be applicable to various objects. Depending on the application place, the object and the form, the preparations can be used in any ordinary manners of, for example, coating, spraying, dipping, adding to water or installing in water. To prepare such coating paints, solutions, emulsions and others, employable are any ordinary methods. Apart from the above-mentioned preparations and modes of using the compounds of the present invention, the compounds may also be used, for example, by incorporating them into ropes or fiber materials for fishing nets in the stage of preparing the ropes or fiber materials to thereby making them have the ability to prevent the attachment of aquatic organisms

thereto. The agents for preventing the attachment of aquatic organisms according to the present invention can be used either singly or as combined with any other agents for preventing the attachment of aquatic organisms.

Where the aquatic adhesion inhibitors of the invention are used in the form of antifouling coatings, for example, the compounds of the invention may be mixed with film-forming agents to prepare coatings. The film-forming agents include oil varnishes, synthetic resins, artificial rubbers, etc. If desired, solvents, pigments and others can be added to the coatings. To prepare the paints, the uppermost limit of the concentration of the compounds of the invention to be therein is not specifically limited, provided that the resulting coatings can form film, but may be from 1 to 50% by weight, preferably from 5 to 20% by weight, relative to the weight of the antifouling coatings.

Where the agents for preventing the attachment of aquatic organisms of the present invention are used in the form of solutions, for example, the compounds of the invention may be dissolved in solvents along with film-forming agents to prepare solutions. The film-forming agents include synthetic resins, artificial rubbers, natural resins, etc. The solvents include xylene, toluene, cumene, methyl ethyl ketone, methyl isobutyl ketone, acetone, etc. If desired, additives such as plasticizer may be added to the solutions. To prepare the solutions, the uppermost limit of the concentration of the compounds of the invention to be therein is not specifically limited, provided that the compounds are dissolved to give solutions, but may be from 1 to 50% by weight, preferably from 5 to 30% by weight, relative to the weight of the solutions.

Where the agents for preventing the attachment of aquatic organisms of the present invention are used in the form of emulsions, surfactants are added to the compounds of the invention to prepare the intended emulsions according to ordinary methods of preparing general emulsions. In this, the type of the surfactants to be used is not specifically limited. To prepare the emulsions, the uppermost limit of the concentration of the compounds of the invention to be therein is not specifically limited, provided that the compounds are emulsified to give emulsions, but may be from 1 to 50% by weight, preferably from 5 to 30% by weight, relative to the weight of the emulsions.

Where the agents for preventing the attachment of aquatic organisms of the present invention are used in the form of pellets or flakes, for example, the constitutive components of the compounds of the invention and optionally plasticizers, surfactants and others are added to the base of hydrophilic resins which are solid at room temperature, such as polyethylene glycol in a solid form, and the resulting mixtures are shaped into pellets or flakes through melting molding, compression molding or the like. To prepare the pellets or flakes, the uppermost limit of the concentration of the compounds to be therein is not specifically limited, provided that the compounds can be shaped into pellets or flakes, but may be from 20 to 95% by weight, preferably from 30 to 90% by weight, relative to the weight of the pellets or flakes.

EXAMPLES

The present invention is illustrated specifically by referring to the following Synthesis Examples, Formulation Examples and Test Examples, which, however, are not intended to restrict the scope of the invention.

Synthesis Example 1

Synthesis of 2-{3-(2,6-difluorophenyl)pyrazol-1-yl}-3-(1-methyl-3,5-dichloropyrazol-4-yl)-3-hydroxyacrylonitrile

(Compound No. I–40)

1) 3.0 g of 3-(2,6-difluorophenyl)pyrazole was dissolved in 20 ml of acetonitrile, and 2.52 g of chloroacetonitrile and 4.61 g of potassium carbonate were added thereto at room temperature, and heated under reflux for 5 hours. After acetonitrile was distilled off under reduced pressure, ethyl acetate was added to the residue, which was then washed with a small amount of water. The organic layer was dried over sodium sulfate, and the solvent was distilled off under reduced pressure. The residual product was recrystallized from a mixed solvent to isopropylether and diethyl ether to obtain 1.74 g of 1-cyanomethyl-3-(2,6-difluorophenyl) pyrazole.

2) A solution of 0.5 g of 1-cyanomethyl-3-(2,6-difluorophenyl)pyrazole dissolved in 10 ml of THF was dropwise added to a suspension of 0.15 g of 55% sodium hydride in 10 ml of THF, at 50° C. After the resulting product was stirred for 30 minutes, a solution of 0.67 g of 1-(1-methyl-3,5-dichloropyrazole-4-carbonyl)pyrazole dissolved in 10 ml of THF was dropwise added thereto at 50° C. and then stirred overnight at room temperature. The reaction mixture was poured into water, then extracted with ethyl acetate and washed with a small amount of water. The resulting product was dried over sodium sulfate, and the solvent was distilled off under reduced pressure. The residual product was recrystallized from a mixed solvent of isopropyl ether/ethyl acetate=3/1 to obtain 0.52 g of 2-{3-(2,6-diflyuorophenyl)pyrazol-1-yl}-3-(1-methyl-3,5-dichloropyrazol-4-yl)-3-hydroxyacrylonitrile.

Synthesis Example 2

Synthesis of 3-(1-methyl-3,5-dichloropyrazol-4-yl)-2-(2-phenylthiazol-4-yl)-3-hydroxyacrylonitrile

(Compound No. II-1)

1) 2.33 g of thiobenzamide was dissolved in 20 ml of dry methanol, and 2.16 g of 1,3-dichloroacetone was added thereto at room temperature and then heated under reflux for 1 hour. The solvent was distilled off under reduced pressure, and ice water was added to the remaining product, which was then neutralized with an aqueous solution of sodium hydrogen carbonate. The resulting product was extracted with ethyl acetate, washed with saturated saline, and dried over sodium sulfate, and the solvent was distilled off under reduced pressure. The residual product was purified through silica gel column chromatography to obtain 2.03 g of 4-chloromethyl-2-phenylthiazole was obtained from the fraction eluted with chloroform/n-hexane=1/2.

2) 1.39 g of 4-chloromethyl-2-phenylthiazole was dissolved in 10 ml of acetonitrile, and 0.65 g of potassium cyanide and 0.05 g of dibenzo-18-crown-6-ether were added thereto at room temperature, and then heated under reflux for 10 hours. After the temperature was returned to room temperature, ethyl acetate was added the resulting mixture, and the insoluble solid was removed through filtration. The resulting ethyl acetate solution was washed with saturated saline and water, and dried over sodium sulfate, and the solvent was distilled off under reduced pressure. The residual product was purified through silica gel column chromatography to obtain 0.98 g of 4-cyanomethyl-2-phenylthiazole from the fraction eluted with chloroform.

3) 0.72 g of 4-cyanomethyl-2-phenylthiazole was dissolved in 10 ml of dry THF, and 4.6 ml of n-butyl lithium (1.56 M hexane solution) was dropwise added thereto at −60° C. or lower in an argon atmosphere. After the resulting product was stirred at −60° C. or lower for 20 minutes, 0.84 g of 1-methyl-3,5-dichloropyrazole-4-carbonyl chloride as dissolved in 3 ml of dry THF was dropwise added thereto at −60° C. or lower. Then, the resulting product was gradually

heated, and stirred for 4 hours at room temperature. The reaction mixture was poured into ice water, acidified with diluted hydrochloric acid, extracted with ethyl acetate, and washed with saturated saline. The resulting product was dried over sodium sulfate, and the solvent was distilled off under reduced pressure. The residual product was purified through silica gel column chromatography to obtain the intended product from the fraction eluted with chloroform. The resulting product was crystallized and washed with diethyl ether to obtain 0.86 g of 3-(1-methyl-3,5-dichloropyrazol-4-yl)-2-(2-phenylthiazol-4-yl)-3-hydroxyacrylonitrile.

Synthesis Example 3

Synthesis of 3-(1-methyl-3-trifluoromethyl-5-chloropyrazol-4-yl)-2-(4-tert-butylthiazol-2-yl)-3-pivaloyloxyacrylonitrile

(Compound No. III-6, III-15)

0.5 g of 3-(1-methyl-3-trifluoromethyl-5-chloropyrazol-4-yl)-2-(4-tert-butylthiazol-2-yl)-3-hydroxyacrylonitrile and 0.14 g of triethylamine were added to 15 ml of THF at room temperature and stirred to give a uniform solution. 0.16 g of pivaloyl chloride was dropwise added to the solution with cooling with ice, then gradually heated, and stirred at room temperature for 8 hours. The reaction mixture was poured into ice water, extracted with ethyl acetate, and washed thrice with saturated saline. After having been dried over sodium sulfate, the resulting product was passed through a short column filled with silica gel. The solvent was distilled off under reduced pressure, and the residual product was applied to silica gel thin-layer chromatography (developer solvent: chloroform) to obtain 0.16 g of 3-(1-methyl-3-trifluoromethyl-5-chloropyrazol-4-yl)-2-(4-tert-butylthiazol-2-yl)-3-pivaloyloxyacrylonitrile (III-6) and 0.35 g of its geometric isomer (III-15).

Compound No. III-6

^{1}H-NMR ($CDCl_3$, δ ppm); 1.18 (9H, s), 1.32 (9H, s), 3.85 (3H, s), 6.88 (1H, s)

Compound No. III-15

^{1}H-NMR ($CDCl_3$, δ ppm); 1.33 (9H, s), 1.39 (9H, s), 3.94 (3H, s), 7.03 (1H, s)

Reference Example

Synthesis of 1-methyl-3-trifluoromethyl-5-chloropyrazole-4-carboxylic acid

1) 21.35 g of phosphorus oxychloride was dropwise added to 4.72 g of DMF at 10° C. or lower. After the temperature of the reaction solution was returned to room temperature, the reaction mixture was stirred for 1 hour, and 10.71 g of 1-methyl-3-trifluoromethyl-5-pyrazolone was added thereto. The resulting mixture was heated up to 110° C., and stirred for 7 hours. After having been left to be at 70° C. the reaction mixture was poured into ice water. After pH of the mixture was made to be about 4 with an aqueous solution of sodium hydroxide added thereto, and precipitated crystals were taken out through filtration and dried to obtain 10.55 g of 1-methyl-3-trifluoromethyl-5-chloropyrazole-4-carbaldehyde.

2) 8.88 g of 1-methyl-3-2-(tert-trifluoromethyl-5-chloropyrazole-4-carbaldehyde and 7.24 g of potassium permanganate were added to an aqueous solution of 0.23 g of potassium hydroxide in 85 ml of water, at room temperature. After having been heated up to 60° C., the resulting mixture was stirred for 2 hours. Next, the temperature of the mixture was return to room temperature, and the solid was removed through filtration. The resulting filtrate was acidified with an aqueous solution of hydrochloric acid, and precipitated crystals were taken out through filtration, washed with water, and dried. The thus-obtained crystals were added to 150 ml of chloroform, and heated under reflux, and the insoluble solid was removed through filtration at a heating time. Chloroform was distilled off under reduced pressure, and 6.24 g of 1-methyl-3-trifluoromethyl-5-chloropyrazole-4-carboxylic acid was obtained.

Synthesis Example 4

Synthesis of 2-(2-tert-butylthiazol-4-yl)-3-(2-methyl-4-trifluoromethylthiazol-5-yl)-3-benzoyloxyacrylonitrile

(Compound No. II-75)

In the same manner as above, the above-mentioned compound was synthesized (viscous liquid, E–Z mixture).

^{1}H-NMR ($CDCl_3$, δ ppm); 1.09 (9H, s), 2.75 (3H, s), 7.3–7.6 (4H, m), 8.05–8.25 (2H, m): major 1.19 (9H, s), 2.70 (3H, s), 7.3–7.6 (4H, m), 8.05–8.25 (2H, m): minor

Synthesis Example 5

Synthesis of 2-(4-trifluoromethylphenyl)-3-(1-methyl-3,5-dichloropyrazol-4-yl)-3-(1-methyl-3,5-dichloropyrazole-4-carbonyloxy)acrylonitrile

(Compound No. IV-5)

1.0 g of 4-(trifluoromethyl)phenylacetonitrile and 2.31 g of 1-methyl-3,5-dichloropyrazole-4-carbonyl chloride were dissolved in 30 ml of dry THF, and 0.61 g of potassium t-butoxide was added thereto at room temperature. After having been heated, the resulting product was kept heated under reflux for 3 hours. 0.61 g of potassium t-butoxide was again added thereto and further heated under reflux for 2 hours. THF was distilled off under reduced pressure, and water was added to the residual product, which was then extracted with ethyl acetate. The resulting organic layer was washed with a dilute aqueous solution of sodium hydroxide and then with water. This was dried with sodium sulfate, and the solvent was distilled off under reduced pressure. The residue was purified through silica gel column chromatography to obtain 1.92 g of 3-(1-methyl-3,5-dichlorophrazol-4-yl)-2-(4-trifluoromethylphenyl)-3-(1-methyl-3,5-dichloropyrazole-4-carbonyloxy)acrylontrile from the fraction eluted with n-hexane:ethyl acetate=2:1.

Synthesis Example 6

Synthesis of 2-(4-tert-butylphenyl)-3-(1-methyl-3,5-dichloropyrazol-4-yl)-3-hydroxyacrylontrile

(Compound No. IV-18)

0.22 g of dibenzo-18-crown-6-ether and 1.57 g of sodium cyanide were suspended in 20 ml of DMSO, and 5.00 g of 4-tert-butylbenzyl bromide was dropwise added thereto with cooling with water. After having been stirred overnight at room temperature, the resulting mixture was further stirred at 50° C. for 5 hours. After this was left to be at room temperature, water was added thereto and extracted with ether. The organic layer was washed with water, and dried over sodium sulfate, and the solvent was distilled off under

reduced pressure. The residual product was purified through silica gel column chromatography to obtain 1.19 g of 4-tert-butylphenylacetonitrile was obtained from the fraction eluted with n-hexane:ethyl acetate 5:1.

1.00 g of 4-tert-butylphenylacetonitrile and 1.23 g of 1-methyl-3,5-dichloropyrazole-4-carbonyl chloride were dissolved in 20 ml of THF, and 1.01 g of potassium t-butoxide was added thereto with cooling with ice. After the resulting mixture was stirred overnight at room temperature, water was added thereto, acidified with diluted hydrochloric acid, and extracted with ethyl acetate. The organic layer was washed with water, and dried with sodium sulfate, and the solvent was distilled off under reduced pressure. The residual product was dissolved in a mixed solvent of 10 ml of water and 10 ml of dioxane, and 0.38 g of potassium hydroxide was added thereto and heated under reflux for 4 hours. After having been left to be at room temperature, this was acidified with diluted hydrochloric acid, and extracted with ethyl acetate. The organic layer was washed with water, and dried over sodium sulfate, and the solvent was distilled off under reduced pressure. The residual product was purified through silica gel column chromatography to obtain 0.64 g of 2-(4-tert-butylphenyl)-3-(1-methyl-3,5-dichloropyrazol-4-yl)-3-hydroxyacrylonitrile from the fraction eluted with n-hexane:ethyl acetate=2:1.

Synthesis Example 7

Synthesis of 2-(4-tert-butylphenyl)-3-(1-methyl-3-trifluoromethyl-5-chloropyrazol-4-yl)-3-pivaloyloxyacrylonitrile

(Compound No. IV-24)

In the same manner as above, the above-mentioned compound was synthesized (viscous liquid).

^{1}H-NMR ($CDCl_3$, δ ppm); 1.13 (9H, s), 1.33 (9H, s), 3.98 (3H, s), 7.48 (4H, brs)

Synthesis Example 8

Synthesis of 2-(4-tert-butylphenyl)-3-(3,5-dichloro-1-methylpyrazol-4-yl)-3-methoxycarbonyloxyacrylonitrile

(Compound No. IV-36)

In the same manner as above, the above-mentioned compound was synthesized (vitreous, E–Z mixture).

^{1}H-NMR ($CDCl_3$, δ ppm); 1.32 (9H, s), 3.75 (3H, s), 3.87 (3H, s), 7.49 (2H, d, J=8 Hz), 7.58 (2H, d, J=8 Hz): 75% 1.27 (9H, s), 3.88 (3H, s), 3.96 (3H, s), 7.49 (2H, d, J=8 Hz), 7.58(2H, d, J=8 Hz): 25%

Synthesis Example 9

Synthesis of 2-{2-tert-butyloxazol-4-yl}-3-(3,5-dichloro-1-methyl-pyrazol-4-yl)-3-hydroxyacrylonitrile

(Compound No. V-40)

1) 25 g of pivalic acid amide and 25 g of 1,3-dichloro-2-propanone were mixed and heated on an oil bath at 135° C. for 2.5 hours. After having been cooled with ice, the mixture was made alkaline with an aqueous solution of sodium hydroxide added thereto. Then, the resulting product was extracted with ethyl acetate, washed with water, and dried over anhydrous sodium sulfate, and the solvent was distilled off under reduced pressure. The residual product was purified through column chromatography (silica gel; ethyl acetate n-hexane=1:8) to obtain 17.5 g of 2-tert-butyl-4-chloromethyloxazole.

2) 6.2 g of sodium cyanide was weighed, 50 ml of dimethylsulfoxide was added thereto, and a dimethylsulfoxide solution of 16.9 g of 2-tert-butyl-4-chloromethyloxazole was dropwise added thereto, and heated on an oil bath at 65° C. for 1 hour with stirring. After the resulting product was cooled to room temperature, 150 ml of a dilute aqueous solution of sodium hydroxide was added thereto, and extracted with toluene. The organic layer was fully washed with water, and dried over anhydrous sodium sulfate, and the solvent was distilled off under reduced pressure to obtain 14.8 g of 2-tert-butyl-4-cyanomethyloxazole.

3) 2.87 g of potassium tert-butoxide was suspended in 20 ml of THF, and a solution of 2.00 g of 2-tert-butyl-4-cyanomethyloxazole and 2.37 g of 3,5-dichloro-1-methylpyrazole-4-carbonyl chloride as dissolved in 10 ml of THF was dropwise added thereto with cooling with ice, and then stirred overnight at room temperature. The reaction mixture was poured into ice water, extracted with ethyl acetate, and washed with a small amount of water. After the resulting product was dried with anhydrous sodium sulfate, the solvent was evaporated out under reduced pressure. The residual product was purified through column chromatography (silica gel; n-hexane:ethyl acetate=4:1) to obtain 3.26 g of the intended compound.

Synthesis Example 10

Synthesis of 2-(2-tert-butyloxazol-4-yl)-3-(5-chloro-1-methyl-3-trifluoromethylpyrazol-4-yl)-3-ethoxymethoxyacrylonitrile

(Compound No. V-44)

0.6 g of 2-{2-tert-butyloxazol-4-yl}-3-(5-chloro-3-trifluoromethyl-1-methyl-pyrazol-4-yl)-3-hydroxyacrylonitrile was dissolved in 5 ml of THF, and 0.07 g of 60% sodium hydride was added thereto with cooling with ice, and then stirred for 15 minutes at room temperature. 0.17 g of ethoxymethyl chloride was added thereto and stirred for 6 days at room temperature. The reaction mixture was poured into ice water, extracted with ethyl acetate, and washed with saturated saline. The resulting product was dried over anhydrous sodium sulfate, and the solvent was distilled off under reduced pressure. The resulting residual product was purified through silica gel thin-layer chromatography (ethyl acetate:n-hexand=1:4) to obtain 0.1 g of the intended compound in vitreous state.

^{1}H-NMR ($CDCl_3$, δ ppm); 1.17 (3H, t), 1.41 (9H, s), 3.98 (3H, s), 5.03 (2H, s), 8.00 (1H, s)

Synthesis Example 11

Synthesis of ethyl 2-phenyl-3-(3,5-dichloro-1-methylpyrazol-4-yl)-3-hydroxyacrylate

(Compound No. IV-91)

8.2 g (50 mmols) of ethyl phenylacetate and 10.7 g (50 mmols) of 3,5-dichloro-1-methylpyrazole-4-carboxylic acid chloride were dissolved in 100 ml of dry tetrahydrofuran, and 14 g (125 mmols) of potassium tert-butoxide at room temperature. The reaction mixture was stirred at room temperature for 1 hour, and 300 ml of water was added thereto, and extracted with ethyl acetate. The organic layer

was washed with water and saturated saline, and dried over anhydrous magnesium sulfate, and the solvent was distilled off under reduced pressure to obtain 17 g of the intended ethyl 2-phenyl-3-(3,5-dichloro-1-methylpyrazol-4-yl)-3-hydroxyacrylate.

^{1}H-NMR ($CDCl_3$, δ ppm); 1.1–1.5 (3H, m), 3.59 (1.5H, s), 3.73 (1.5H, s), 3.95–4.45 (2H, m), 5.58 (0.5H, s), 7.0–7.35 (5H, m), 13.21 (0.5H, s)

Synthesis Example 12

Synthesis of 1-(3,5-dichloro-1-methylpyrazol-4-yl)-2-phenyl-2-bromoethanone

(Compound No. IV-90)

1) 17 g (50 mmols) of ethyl 2-phenyl-3-(3,5-dichloro-1-methylpyrazol-4-yl)-3-hydroxyacrylate was dissolved in 50 ml of 6 N HCl and 250 ml of 1,4-dioxane, and heated under reflux for 15 hours. The reaction mixture was poured into 500 ml of ice water, and precipitated crystals were taken out through filtration to obtain 8 g of the intended 1-(3,5-dichloro-1-methylpyrazol-4-yl)-2-phenylethanone as white crystals. m.p.: 94–96° C.

2) 5.38 g (20 mmols) of 1-(3,5-dichloro-1-methylpyrazol-4-yl)-2-phenylethane was dissolved in 50 ml of chloroform, and stirred at room temperature for 1 hour, and the solvent was distilled off under reduced pressure to obtain the intended 1-(3,5-dichloro-1-methylpyrazol-4-yl)-2-phenyl-2-bromoethanone as white crystals. m.p.: 74.5–75.5° C.

Synthesis Example 13

Synthesis of 1-(3,5-dichloro-1-methylpyrazol-4-yl)-1-pivaloyloxy-2-phenyl-2-bromoethylene

(Compound No. IV-92)

0.55 g (1.58 mmols) of 1-(3,5-dichloro-1-methylpyrazol-4-yl)-2-phenyl-bromoethane and 0.18 g (1.74 mmols) of triethylamine were dissolved in 4 ml of dry tetrahydrofuran, and 0.19 g (1.58 mmols) of pivalic acid chloride was added thereto at room temperature. After the reaction mixture was stirred for 16 hours at room temperature, 10 ml of water was added thereto, and extracted with ethyl acetate. The organic layer was washed with water and saturated saline, and dried over anhydrous magnesium sulfate, and the solvent was distilled off under reduced pressure. The obtained residue was purified through silica gel column chromatography to obtain 0.23 g of the intended 1-(3,4-dichloro-1-methylpyrazol-4-yl)-1-pivaloyloxy-2-phenyl-2-bromoethylene as a colorless liquid (E–Z mixture).

^{1}H-NMR ($CDCl_3$, δ ppm); 1.05 (9H, s), 3.81 (3H, s), 7.37 (5H, m): major 1.32 (9H, s), 3.62 (3H, s), 7.24 (5H, m): minor

Synthesis Example 14

Synthesis of 1-(3,5-dichloro-1-methylpyrazol-4-yl)-2-{2-(1-methylcyclohexan-1-yl}-thiazol-4-yl-2-diethylphosphonoethanone

(Compound No. II-156)

1) 4.15 g (18.1 mmols) of 2-(1-methyl-cyclohexan-1-yl)-4-chloromethylthiazole and 3.32 g (20 mmols) of trimethyl phosphate were mixed and heated under reflux for 16 hours. The reaction mixture was cooled to room temperature, and purified through silica gel column chromatography to obtain 4.63 g of the intended diethyl {2-(1-methylcyclohexan-1-yl-thiazol-4-yl}methylphosphonate as a colorless liquid.

^{1}H-NMR ($CDCl_3$, δ ppm); 1.25 (3H, t, J=7.2 Hz), 1.31 (3H, s), 1.1–2.3 (1OH, m), 3.33 (2H, d, J=20.4 Hz), 4.04 (4H, dd, J=7.2, 7.2 Hz), 7.01 (1H, m)

2) 0.85 g (4 mmols) of 3,5-dichloro-1-methylpyrazole-4-carboxylic acid chloride and 1.32 g (4 mmols) of diethyl {2-(1-methylcyclohexan-1-yl-thiazol-4-yl}methylphosphonate were dissolved in 15 ml of dry tetrahydrofuran, and 1.12 g (10 mmols) of potassium t-butoxide was added thereto at room temperature. The reaction mixture was stirred for 1 hour at room temperature, and 20 ml of water was added thereto, and extracted with ethyl acetate. The organic layer was washed with water and saturated saline, and dried over anhydrous magnesium sulfate, and the solvent was distilled off under reduced pressure. The obtained residue was purified through silica gel column chromatography to obtain 0.4 g of the intended 1-(3,5-dichloro-1-methylpyrazol-4-yl)-2-{2-(1-methylcyclohexan-1-yl)-thiazol-4-yl}-2-diethylphosphonoethanone.

^{1}H-NMR ($CDCl_3$, δ ppm); 1.23 (3H, t, J=7.2 Hz,), 1.23 (3H, s), 1.1–2.3 (1OH, m), 3.79 (3H, s), 4.09 (2H, dd, J=7.2, 7.2 Hz), 5.90 (1H, d, J=22.8 Hz), 7.43 (1H, m)

Synthesis Example 15

Synthesis of allyl 2-{3-(2,6-difluorophenyl)pyrazol-1-yl}-3-(5-chloro-1-methyl-3-trifluoromethylpyrazol-4-yl)-3-hydroxyacrylate

(Compound No. I-133)

1) Potassium carbonate (1.53 g) was added to an acetonitrile (10 mL) solution of 3-(2,6-difluorophenyl)-pyrazole (1 g) and allyl 2-bromoacetate (1.49 g), and refluxed for 3 hours. The resulting product was extracted with acetate and diluted hydrochloric acid added thereto at room temperature. The organic layer was dried over anhydrous magnesium sulfate and concentrated, and the resulting concentrate was purified through silica gel column chromatography (chloroform) to obtain allyl 2-{3-(2,6-difluorophenyl) pyrazol-1-yl}acetate (1.5 g).

^{1}H-NMR ($CDCl_3$, δ ppm); 4.70 (2H, d, J=6.0 Hz), 5.10 (2H, s), 5.30–5.60 (2H, m), 5.70–6.40 (1H, m), 6.50–7.80 (5H, m)

2) Potassium butoxide (0.5 g) was added to a THF (10 mL) solution of allyl 2-{3-(2,6-difluorophenyl)pyrazol-1-yl}acetate (0.5 g) and 5-chloro-1-methyl-3-trifluoromethylpyrazole-4-carbonyl chloride (0.44 g) at 0° C. and stirred for 5 minutes. Water (5 ml) was added thereto, and then extracted with diluted hydrochloric acid and ethyl acetate. After the organic layer was dried over anhydrous magnesium sulfate, and then concentrated, and the resulting concentrate was purified through silica gel column chromatography (chloroform) to obtain the intended product, Compound No. I-133 (0.89 g).

^{1}H-NMR ($CDCl_3$, δ ppm): 3.95 (3H, s), 4.80 (2H, d, J=6.0 Hz), 5.20–5.60 (2H, m), 5.60–6.40 (1H, m), 6.45–7.90 (6H, m)

Synthesis Example 16

Synthesis of 2-bromo-2-{3-(2,6-difluorophenyl) pyrazol-1-yl}-1-(5-chloro-1-methyl-3-trifluoromethylpyrazol-4-yl)-1-hydroxyethylene

(Compound No. I-134)

1) Formic acid (60 mg) was added to a THF (1 mL) solution of palladium acetate (7 mg) and triphenylphosphine

(17 mg) and stirred for 5 minutes at room temperature in a nitrogen atmosphere. A THF (5 mL) solution of Compound I-133 (0.32 g) was added thereto and refluxed for 1 hour. The reaction mixture was left to be at room temperature, and concentrated, and the resulting concentrate was purified through silica gel column chromatography (chloroform) and recrystallization (chloroform-diisopropyl ether) to obtain 2-{3-(2,6-difluorophenyl)pyrazol-1-yl}-3-(5-chloro-1-methyl-3-trifluoromethylpyrazol-4-yl)ethan-1-one (0.1 g). m.p.: 152–154° C.

2) A THF solution (0.27 mL) of 1M lithium hexamethyldisilazide was added to a THF solution of 2-{3-(2,6-difluorophenyl)pyrazol-1-yl}-3-(5-chloro-1-methyl-3-trifluoromethylpyrazol-4-yl)ethan-1-one (0.1 g) at −78° C. and stirred at the same temperature in a nitrogen atmosphere. To the resulting solution was added a THF (2 mL) solution of carbon tetrabromide (0.098 g), and then gradually heated up to room temperature. Water (1 mL) was added thereto, and then extracted with diluted hydrochloric acid and ethyl acetate. The organic layer was dried over anhydrous magnesium sulfate, concentrated, and recrystallized from chloroform-diethyl ether) to obtain the intended product, Compound No. I-134 (0.062 g). m.p.: 123–125° C.

Synthesis Example 17

Synthesis of 2-bromo-2-{3-(2,6-difluorophenyl) pyrazol-1-yl}-1-(5-chloro-1-methyl-3-trifluoromethylpyrazol-4-yl)-1-pivaloyloxyethylene

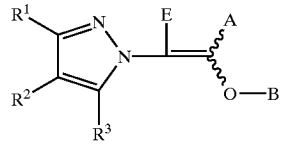
(Compound No. I-135)

Triethylamine (0.3 g) and pivalic acid chloride (0.23 g) were added in that order to a chloroform (25 ml) solution of Compound No. I-134 (0.47 g) at 0° C., and stirred at room temperature for 1 hour. The resulting product was extracted with water and chloroform. The organic layer was dried with anhydrous magnesium sulfate, concentrated, and purified through silica gel column chromatography (chloroform) to obtain the intended product, Compound No. I-135 (0.4 g).

^{1}H-NMR ($CDCl_3$, δ ppm); 1.25 (9H, s), 3.90 (3H, s), 6.55–7.80 (5H, m)

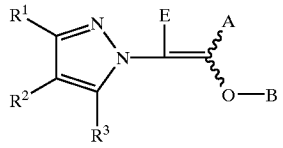
In accordance with the above-mentioned reaction schemes or Examples, various compounds of the present invention were produced, of which the structure and the melting point are shown in Table 15 to Table 19 below. Unless otherwise specifically indicated, the compounds shown in these are in the form of a mixture of E-form and Z-form. The abbreviations in these have the same meanings as those mentioned above.

TABLE 15



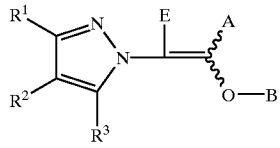
No.	R^1	R^2	R^3	E	B	A	m.p. (° C.)
I-1	Ph	H	H	CN	H	A1	144–145
I-2	Ph	Cl	H	CN	H	A1	300<
I-3	Ph	H	Me	CN	H	A1	300<
I-4	Ph	Me	H	CN	H	A1	141–143
I-5	Ph	H	H	CN	B1	A1	75–77*
I-6	Ph	H	H	CN	B2	A1	viscous oil
I-7	Ph	CO_2Et	H	CN	H	A1	202–203
I-8	Ph	H	H	CN	H	A2	300<
I-9	Ph	H	H	CN	H	A7	124–125
I-10	Ph	H	H	CN	H	A8	265–267
I-11	2-pyridyl	H	H	CN	H	A1	290<
I-12	2-pyridyl	H	H	CN	H	A2	300<
I-13	2-pyridyl	H	H	CN	H	A3	260–267
I-14	2-pyridyl	H	H	CN	H	A4	300<
I-15	2-pyridyl	H	H	CN	H	A6	297–298
I-16	2-pyridyl	H	H	CN	H	A7	163–165
I-17	2-pyridyl	H	H	CN	H	A8	300<
I-18	2-pyridyl	H	H	CN	H	A9	240–245
I-19	2-pyridyl	H	H	CN	H	A10	261–266
I-20	2-pyridyl	H	H	CN	H	A11	232–234
I-21	2-pyridyl	H	H	CN	H	A12	284–287
I-22	2-pyridyl	H	H	CN	H	A13	300<
I-23	2-pyridyl	H	H	CN	B7	A7	103–110*
I-24	2-pyridyl	H	H	CN	B8	A1	viscous oil
I-25	3-pyridyl	H	H	CN	H	A1	298–300
I-26	3-pyridyl	H	H	CN	H	A2	158–160
I-27	3-pyridyl	H	H	CN	H	A7	168–169
I-28	4-pyridyl	H	H	CN	H	A1	251–253
I-29	2-F—Ph	H	H	CN	H	A1	125–126
I-30	2-F—Ph	H	H	CN	H	A2	281–282
I-31	2-F—Ph	Cl	H	CN	H	A1	281–287
I-32	3-F—Ph	H	H	CN	H	A2	300<
I-33	4-F—Ph	H	H	CN	H	A2	169–173
I-34	2-Cl—Ph	H	H	CN	H	A1	viscous oil
I-35	2-Cl—Ph	H	H	CN	H	A2	viscous oil
I-36	3-Cl—Ph	H	H	CN	H	A1	141–149
I-37	3-Cl—Ph	H	H	CN	H	A7	291–293
I-38	4-Cl—Ph	H	H	CN	H	A1	186–188

TABLE 15-continued



No.	R^1	R^2	R^3	E	B	A	m.p. (° C.)
I-39	4-Cl—Ph	H	H	CN	H	A7	300<
I-40	2,6-F_2—Ph	H	H	CN	H	A1	267–269
I-41	2,6-F_2—Ph	H	H	CN	H	A2	300<
I-42	2,6-F_2—Ph	H	H	CN	H	A7	242–243
I-43	2,6-Cl_2—Ph	H	H	CN	H	A1	147–148
I-44	2,6-Cl_2—Ph	H	H	CN	H	A2	100–102
I-45	tBu	H	H	CN	H	A1	viscous oil
I-46	tBu	H	H	CN	H	A2	65–67
I-47	2-MeO—Ph	H	H	CN	H	A1	300<
I-48	2-MeO—Ph	H	H	CN	H	A2	300<
I-49	H	CO_2Et	Ph	CN	H	A1	236–237
I-50	Me	Ph	H	CN	H	A1	viscous oil
I-51	cHex	H	H	CN	H	A1	204–205
I-52	1-naphthyl	H	H	CN	H	A1	225–227
I-53	2-thienyl	H	H	CN	H	A1	146–147
I-54	2-thienyl	H	H	CN	H	A7	271–273
I-55	Ph	H	H	CN	H	A34	173.3–174.1
I-56	Ph	H	H	CN	B1	A34	viscous oil*
I-57	Ph	H	H	CN	B7	A1	140–141*
I-58	Ph	H	H	CN	B8	A1	50–51*
I-59	Ph	H	H	CN	$CO_2{}^tBu$	A1	viscous oil
I-60	tBu	CO_2Me	H	CN	H	A1	105–106
I-61	tBu	CO_2Me	H	CN	B7	A1	125–126
I-62	Ph	H	H	CN	B7	A2	viscous oil
I-63	2,6-F_2—Ph	H	H	CN	B8	A2	200–201
I-64	Ph	H	H	CN	B6	A2	viscous oil
I-65	2,6-F_2—Ph	H	H	CN	Na	2,6-$(MeO)_2$—Ph	300<
I-66	2,6-F_2—Ph	H	H	CN	Na	2-CF_3O—Ph	282.8–287.2
I-67	2,6-F_2—Ph	H	H	CN	Na	2-MeO—Ph	300<
I-68	2,6-F_2—Ph	H	H	CN	H	2-CF_3O—Ph	157.9–160.1
I-69	2-pyridyl	H	H	CN	B8	A7	118–119*
I-70	2,6-F_2—Ph	H	H	CN	B7	A2	viscous oil
I-71	Ph	H	H	CN	H	2-Cl—Ph	108–109
I-72	Ph	H	H	CN	H	2,6-F_2—Ph	167–168
I-73	Ph	H	H	CN	H	2,6-Cl_2—Ph	170–171
I-74	Ph	H	H	CN	H	2-CF_3—Ph	viscous oil
I-75	Ph	H	H	CN	H	1-naphthyl	137–138
I-76	Ph	Ph	H	CN	H	A2	145–147
I-77	Ph	H	H	CN	H	2-Cl-4-F—Ph	94–95
I-78	Ph	H	H	CN	B35	A2	164–165
I-79	2,6-F_2—Ph	H	H	CN	H	2-Me—Ph	113.1–119.8
I-80	2,6-F_2—Ph	H	H	CN	H	2-Cl-6-F—Ph	144–146
I-81	2,6-F_2—Ph	H	H	CN	H	2-NO_2—Ph	176–179
I-82	2,6-F_2—Ph	H	H	CN	H	2-AcO—Ph	vitreous state
I-83	2,6-F_2—Ph	H	H	CN	H	2,6-Me—Ph	vitreous state
I-84	2-pyridyl	H	H	CN	H	2,6-F_2—Ph	188–189
I-85	2-pyridyl	H	H	CN	H	2,6-Cl_2—Ph	278–280
I-86	2-pyridyl	H	H	CN	H	2-NO_2—Ph	285–287
I-87	2-pyridyl	H	H	CN	H	2-MeO—Ph	275–276
I-88	2-pyridyl	H	H	CN	H	2-CO_2Me—Ph	248–249
I-89	2-pyridyl	H	H	CN	B7	2-Cl—Ph	124–125
I-90	2-pyridyl	H	H	CN	Na	2-Cl—Ph	278–280
I-91	2-pyridyl	H	H	CN	H	pyridin-2-yl	216–217
I-92	2-pyridyl	H	H	CN	$CO^nC_{17}H_{35}$	A7	58–59
I-93	t-Bu	CO_2Me	H	CN	H	2-Cl-4-F—Ph	114–115
I-94	t-Bu	CO_2Me	H	CN	B7	A2	105–106
I-95	t-Bu	CO_2Me	H	CN	H	A2	102–103
I-96	t-Bu	H	H	CN	B7	A2	viscous oil
I-97	t-Bu	H	H	CN	B7	A2	viscous oil[#1]
I-98	t-Bu	NO_2	H	CN	B7	A2	viscous oil
I-99	t-Bu	Br	H	CN	B7	A2	viscous oil
I-100	t-Bu	CN	H	CN	H	A2	178–180
I-101	t-Bu	CN	H	CN	$CO_2{}^iBu$	A2	vitreous state
I-102	t-Bu	CN	H	CN	$CO_2{}^tBu$	A2	201–202.5[#2]
I-103	t-Bu	CN	H	CN	CO_2Ph	A2	vitreous state
I-104	t-Bu	Cl	H	CN	B7	A2	viscous oil
I-105	t-Bu	CO_2Me	H	CN	$CO_2{}^iBu$	A2	155.8–156.9
I-106	t-Bu	Cl	H	CN	H	A2	viscous oil

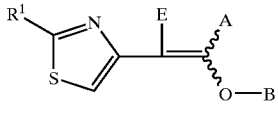
TABLE 15-continued



No.	R^1	R^2	R^3	E	B	A	m.p. (° C.)
I-107	t-Bu	NO_2	H	CN	H	A2	87–88
I-108	t-Bu	$CO_2{}^nHex$	H	CN	H	A2	vitreous state
I-109	t-Bu	CO_2Me	H	CN	B8	A2	152.1–153.5
I-110	t-Bu	Me	H	CN	H	A2	vitreous state
I-111	t-Bu	CO_2Me	H	CN	$CO^nC_{17}H_{35}$	A14	viscous oil
I-112	t-Bu	CO_2Me	H	CN	B7	A14	viscous oil
I-113	t-Bu	CO_2Me	H	CN	H	A14	101–102
I-114	t-Bu	Me	H	CN	B7	A2	vitreous state
I-115	t-Bu	CO_2Me	H	CN	B7	A3	131–132
I-116	t-Bu	CO_2Me	H	CN	Me	A2	166–167*
I-117	t-Bu	CO_2Me	H	CN	B3	A14	viscous oil
I-118	t-Bu	CO_2Me	H	CN	H	A21	152.6–154
I-119	t-Bu	CO_2Me	H	CN	$CO^nC_9H_{19}$	A3	viscous oil
I-120	t-Bu	CO_2Me	H	CN	B7	A15	125–127*
I-121	t-Bu	CO_2Me	H	CN	H	A15	138–139
I-122	t-Bu	CO_2Me	H	CN	B7	A21	viscous oil
I-123	t-Bu	CO_2Me	H	CN	$CO^nC_5H_{11}$	A21	viscous oil
I-124	t-Bu	CO_2Me	H	CN	$CO^nC_5H_{11}$	A21	viscous oil[#3]
I-125	H	H	2,6-F_2—Ph	CN	H	A2	157.4–162.4
I-126	H	Ph	H	CN	H	A1	vitreous state
I-127	H	t-Bu	H	CN	B7	A2	vitreous state
I-128	H	t-Bu	H	CN	H	A2	vitreous state
I-129	Ph	H	H	CO_2Me	H	A1	158–160
I-130	Ph	H	H	CO_2Me	B7	A2	viscous oil
I-131	2,6-F_2—Ph	H	H	CO_2Me	H	A2	248–250
I-132	2,6-F_2—Ph	H	H	COMe	H	A2	vitreous state
I-133	2,6-F_2—Ph	H	H	$CO_2CH_2CH{=}CH_2$	H	A2	vitreous state
I-134	2,6-F_2—Ph	H	H	Br	H	A2	123–125
I-135	2,6-F_2—Ph	H	H	Br	B7	A2	vitreous state
I-136	2-pyridyl	H	H	CN	B7	A37	86–94[#4]
I-137	2-pyridyl	H	H	CN	B7	A10	92–93
I-138	2-pyridyl	Cl	H	CN	B7	A7	viscous oil*

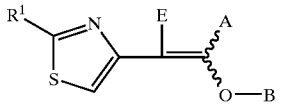
*E-form or Z-form
[#1]geometric isomer of I-96
[#2]geometric isomer of I-101
[#3]geometric isomer of I-123
[#4]mixture of E/Z = 2/1

TABLE 16



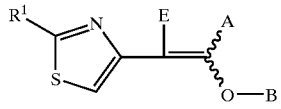
No.	R	E	B	A	m.p. (° C.)
II-1	Ph	CN	H	A1	200–205
II-2	2-Cl—Ph	CN	H	A2	137–138
II-3	t-Bu	CN	H	A2	151–153
II-4	t-Bu	CN	B3	A2	147–150*
II-5	t-Bu	CN	B7	A2	89.5–92*
II-6	t-Bu	CN	H	A1	viscous oil*
II-7	t-Bu	CN	B7	A1	viscous oil*
II-8	t-Bu	CN	H	2,6-F_2—Ph	90–92.5
II-9	t-Bu	CN	H	2-Cl—Ph	96.2–98.6
II-10	t-Bu	CN	B6	A2	vitreous state*
II-11	t-Bu	CN	B5	A2	viscous oil
II-12	t-Bu	CN	B8	A2	viscous oil
II-13	t-Bu	CN	SO_2(4-Cl—Ph)	A2	vitreous state*
II-14	t-Bu	CN	H	2,6-Cl_2—Ph	150.3–151.7
II-15	t-Bu	CN	H	2-CF_3—Ph	71.9–79.6
II-16	t-Bu	CN	H	A3	viscous oil
II-17	t-Bu	CN	B7	A3	viscous oil*

TABLE 16-continued



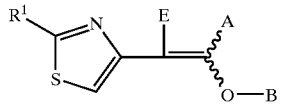
No.	R	E	B	A	m.p. (° C.)
II-18	t-Bu	CN	CO_2Et	A2	viscous oil
II-19	t-Bu	CN	CO_2CH_2Ph	A2	viscous oil
II-20	t-Bu	CN	$CONMe_2$	A2	136.7–138.2
II-21	t-Bu	CN	CH_2CO_2Me	A2	viscous oil
II-22	t-Bu	CN	$PO(OEt)_2$	A2	viscous oil
II-23	2-pyridyl	CN	H	A2	184.5–188.5
II-24	2-pyridyl	CN	H	A7	210.3–218.8
II-25	2-pyridyl	CN	B7	A7	162.7–167*
II-26	2-pyridyl	CN	B7	A2	vitreous state*
II-27	2-pyridyl	CN	H	A3	148–151
II-28	2-pyridyl	CN	B7	A3	vitreous state*
II-29	2-pyridyl	CN	H	A35	188–193
II-30	2-pyridyl	CN	B7	A35	200.5–202.5*
II-31	2-pyridyl	CN	H	A36	viscous oil
II-32	2-pyridyl	CN	B7	A36	viscous oil
II-33	c-Hex	CN	H	A2	126.5–128.1
II-34	c-Hex	CN	H	2,6-F_2—Ph	110.9–112.7
II-35	c-Hex	CN	B7	2,6-F_2—Ph	111.2–117.4*
II-36	c-Hex	CN	B7	A2	viscous oil*
II-37	2,6-F_2—Ph	CN	H	A2	176.8–178.2
II-38	2,6-F_2—Ph	CN	B7	A2	95.9–98.0*
II-39	2-Cl—Ph	CN	H	A2	172.9–175.2
II-40	t-Bu	CN	B35	A2	vitreous state
II-41	t-Bu	CN	B35	A2	vitreous state[#4]
II-42	t-Bu	CN	B36	A2	vitreous state
II-43	t-Bu	CN	H	A13	168–173
II-44	t-Bu	CN	B28	A2	vitreous state
II-45	t-Bu	CN	B30	A2	vitreous state
II-46	t-Bu	CN	B30	A2	vitreous state[#5]
II-47	t-Bu	CN	CO(2-Me—Ph)	A2	vitreous state
II-48	t-Bu	CN	B38	A2	vitreous state
II-49	t-Bu	CN	B37	A2	vitreous state
II-50	t-Bu	CN	nicotinoyl	A2	vitreous state
II-51	t-Bu	CN	B40	A2	vitreous state
II-52	t-Bu	CN	$CO_2{}^iBu$	A2	viscous oil
II-53	t-Bu	CN	CO_2Ph	A2	viscous oil
II-54	t-Bu	CN	B41	A2	viscous oil
II-55	t-Bu	CN	$CO_2{}^nHex$	A2	viscous oil
II-56	t-Bu	CN	H	3-Cl—Ph	89.7–91.1
II-57	t-Bu	CN	H	3-F—Ph	63.7–64.4
II-58	t-Bu	CN	H	2-Br—Ph	86–87
II-59	t-Bu	CN	H	2-I—Ph	vitreous state
II-60	t-Bu	CN	H	2-Cl-6-F—Ph	109.6–110.6
II-61	t-Bu	CN	CO(2-MeS—Ph)	A2	vitreous state
II-62	t-Bu	CN	H	2-MeS—Ph	120.6–122.1
II-63	t-Bu	CN	H	A22	113–118
II-64	t-Bu	CN	B7	A22	98–99.5*
II-65	t-Bu	CN	B15	A22	viscous oil
II-66	t-Bu	CN	B38	A13	viscous oil
II-67	t-Bu	CN	B39	A2	vitreous state
II-68	t-Bu	CN	CO(4-Cl—Ph)	A2	vitreous state
II-69	t-Bu	CN	CO(3-Cl—Ph)	A2	vitreous state
II-70	t-Bu	CN	B7	2,6-F_2—Ph	viscous oil
II-71	t-Bu	CN	B8	2,6-F_2—Ph	viscous oil
II-72	t-Bu	CN	B6	2,6-F_2—Ph	150.2–151.3
II-73	t-Bu	CN	$CO_2{}^nPr$	A2	viscous oil
II-74	t-Bu	CN	$CO_2{}^nBu$	A2	viscous oil
II-75	t-Bu	CN	B6	A13	viscous oil
II-76	t-Bu	CN	$CO_2CH_2CCl_3$	A2	viscous oil
II-77	t-Bu	CN	CO(2-Cl—Ph)	A2	vitreous state
II-78	t-Bu	CN	CO(3-CF_3—Ph)	A2	vitreous state
II-79	t-Bu	CN	CO(4-CF_3—Ph)	A2	vitreous state
II-80	t-Bu	CN	CO(3-NO_2—Ph)	A2	vitreous state
II-81	t-Bu	CN	CO(2-Cl-6-F—Ph)	A2	vitreous state
II-82	t-Bu	CN	$CO_2{}^cPen$	2,6-F_2—Ph	vitreous state
II-83	t-Bu	CN	3-Cl-pivaloyl	A2	viscous oil*
II-84	t-Bu	CN	$CO_2{}^iPr$	A2	viscous oil
II-85	t-Bu	CN	$CO_2CH_2CH_2Cl$	A2	viscous oil
II-86	t-Bu	CN	$CO_2CHClCH_3$	A2	viscous oil
II-87	t-Bu	CN	CO^iPr	A2	viscous oil

TABLE 16-continued



No.	R	E	B	A	m.p. (° C.)
II-88	t-Bu	CN	isonicotinoyl	A2	viscous oil
II-89	t-Bu	CN	picolinoyl	A2	viscous oil
II-90	t-Bu	CN	CO(4-Me—Ph)	A2	viscous oil
II-91	t-Bu	CN	CO(4-NO_2—Ph)	A2	viscous oil
II-92	t-Bu	CN	methacryloyl	A2	viscous oil
II-93	t-Bu	CN	B15	A2	vitreous state
II-94	t-Bu	CN	$PhCH_2$	A2	viscous oil
II-95	t-Bu	CN	Me	A2	136.5–138
II-96	t-Bu	CN	$CBrF_2$	A2	84–86.5
II-97	t-Bu	CN	CO^nPr	A2	viscous oil
II-98	t-Bu	CN	CO^iBu	A2	viscous oil
II-99	t-Bu	CN	B43	A2	vitreous state
II-100	t-Bu	CN	$PhCOCH_2$	A2	148–152*
II-101	t-Bu	CN	B42	A2	128–129.5*
II-102	t-Bu	CN	H	A14	98–99
II-103	t-Bu	CN	H	A16	viscous oil
II-104	t-Bu	CN	B7	A16	viscous oil*
II-105	t-Bu	CN	cinnamoyl	A2	vitreous state
II-106	t-Bu	CN	H	A23	109–112
II-107	t-Bu	CN	B7	A23	120–122.5
II-108	t-Bu	CN	B7	A14	viscous oil
II-109	t-Bu	CN	B7	A14	viscous oil[#6]
II-110	t-Bu	CN	$CO^nC_{17}H_{35}$	A14	viscous oil
II-111	t-Bu	CN	$PhCH_2CO$	A2	viscous oil
II-112	t-Bu	CN	B7	A17	viscous oil
II-113	t-Bu	CN	H	A17	140–143
II-114	t-Bu	CN	H	A24	115–117
II-115	t-Bu	CN	$CO_2{}^iBu$	A24	vitreous state
II-116	t-Bu	CN	B7	A2	69.5–73.5[#7]
II-117	t-Bu	CN	H	A25	107–109
II-118	t-Bu	CN	B7	A25	viscous oil
II-119	t-Bu	CN	H	A31	116–117
II-120	t-Bu	CN	CO_2(4-Me—Ph)	A31	92–93
II-121	t-Bu	CN	H	A26	133.2–135.4
II-122	t-Bu	CN	B7	A26	133.9–145
II-123	t-Bu	CN	H	A27	46–47
II-124	t-Bu	CN	H	A28	168–169
II-125	t-Bu	CN	H	A29	94.7–95.4
II-126	t-Bu	CN	B8	A29	viscous oil
II-127	t-Bu	CN	B8	A29	viscous oil[#8]
II-128	t-Bu	CN	H	A32	133.9–134.4
II-129	t-Bu	CN	H	A33	220–230
II-130	2,6-F_2—Ph	CN	H	2-6-F_2—Ph	138–143
II-131	2-pyridyl	CN	B7	A18	115–145
II-132	2-pyridyl	CN	H	A18	176–178
II-133	2-pyridyl	CN	H	A9	191.5–195
II-134	2-pyridyl	CN	B7	A9	101–103
II-135	2-pyridyl	CN	H	A8	211–216
II-136	2-pyridyl	CN	H	A10	189–193
II-137	2-pyridyl	CN	CO_2(4-F—Ph)	A10	137–142
II-138	2-pyridyl	CN	H	A24	188–191.5
II-139	2-pyridyl	CN	B7	A24	160–163.5
II-140	2-pyridyl	CN	B7	A30	123–125
II-141	2-pyridyl	CN	H	A30	165–166
II-142	2-pyridyl	CN	H	A13	149.5–151
II-143	NMePh	CN	H	A7	161.5–164
II-144	NMePh	CN	B7	A7	120–123
II-145	NMePh	CN	H	A2	vitreous state
II-146	NMePh	CN	B7	A2	vitreous state
II-147	1-piperidyl	CN	B3	A2	165.5–169
II-148	1-piperidyl	CN	H	A2	151–153
II-149	1-piperidyl	CN	H	A7	187–190
II-150	1-piperidyl	CN	B8	A7	viscous oil
II-151	1-piperidyl	CN	B8	A2	120–121.5
II-152	1-piperidyl	CN	B6	A2	viscous oil
II-153	1-naphthyl	CN	H	A2	161–163
II-154	1-naphthyl	CN	B7	A2	vitreous state
II-155	t-Bu	CO_2Me	H	A2	viscous oil
II-156	1-Me-1-^{c}Hex	$PO(OEt)_2$	H	A1	vitreous state
II-157	t-Bu	CO_2Et	H	A1	viscous oil

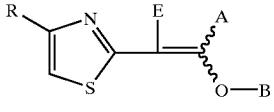
TABLE 16-continued



No.	R	E	B	A	m.p. (° C.)
II-158	t-Bu	CO_2Et	B7	A1	viscous oil
II-159	1-Me-1-^{c}Hex	CN	H	A2	vitreous state
II-160	n-Pen	CN	H	A2	73–75
II-161	t-Bu	CN	B8	A32	108–112
II-162	t-Bu	CN	H	A1	viscous oil
II-163	t-Bu	CO_2Me	H	A1	89–92
II-164	t-Bu	SO_2Ph	H	A1	145–148
II-165	t-Bu	SO_2Ph	B7	A1	122–123
II-166	t-Bu	SO_2Ph	B7	A1	152–153[#14]
II-167	t-Bu	CO_2Me	B7	A1	viscous oil
II-168	t-Bu	CO_2Me	B7	A2	76–78
II-169	t-Bu	$CO_2CH_2CH{=}CH_2$	H	A2	viscous oil
II-170	t-Bu	$CO_2CH_2CH{=}CH_2$	B7	A2	viscous oil
II-171	1-Me-1-^{c}Hex	CN	B7	A2	vitreous state
II-172	n-Pen	CN	B7	A2	vitreous state
II-173	1-Me-1-^{c}Hex	CN	$CH_2O(CH_2)_2OCH_3$	A2	97–98*
II-174	t-Bu	5-Me-1,3,4-oxadiazol-2-yl	H	A1	vitreous state
II-175	t-Bu	5-Me-1,3,4-oxadiazol-2-yl	H	A1	vitreous state
II-176	t-Bu	Bu	H	A2	viscous oil
II-177	t-Bu	CN	B6	A13	104–107*
II-178	t-Bu	5-Me-1,3,4-oxadiazol-2-yl	B7	A1	134–137
II-179	t-Bu	5-Me-1,3,4-oxadiazol-2-yl	B7	A1	114–116[#15]
II-180	t-Bu	5-Me-1,3,4-thiadiazol-2-yl	B7	A1	86–90*

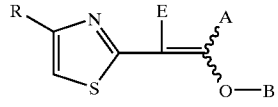
*E-form or Z-form
[#4]geometric isomer of II-40
[#5]geometric isomer of II-45
[#6]geometric isomer of II-108
[#7]geometric isomer of II-5
[#8]geometric isomer of II-126
[#14]geometric isomer of II-165
[#15]geometric isomer of II-178

TABLE 17



No.	R	E	B	A	m.p. (° C.)
III-1	Ph	CN	B4	A1	viscous oil*
III-2	Ph	CN	B5	A1	40–41*
III-3	t-Bu	CN	B1	A1	151–152*
III-4	t-Bu	CN	B4	A1	77–79*
III-5	t-Bu	CN	B6	A2	55–61*
III-6	t-Bu	CN	B7	A2	viscous oil*
III-7	2-pentyl	CN	B1	A1	viscous oil
III-8	4-^{t}Bu—Ph	CN	B1	A5	viscous oil
III-9	t-Bu	CN	Na	A2	165–174
III-10	t-Bu	CN	B9	A2	viscous oil
III-11	t-Bu	CN	B10	A2	185–186*
III-12	t-Bu	CN	B11	A2	viscous oil
III-13	2-NO_2—Ph	CN	B9	A1	168–171*
III-14	1-naphthyl	CN	B9	A7	136–138*
III-15	t-Bu	CN	B7	A2	viscous oil[#9]
III-16	Ph	CN	B4	A1	solid[#10]

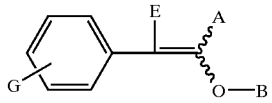
TABLE 17-continued



No.	R	E	B	A	m.p. (° C.)
III-17	t-Bu	CN	B4	A1	viscous oil[#11]
III-18	2-Cl-6-F—Ph	CN	B7	A2	152–153
III-19	2,6-F_2—Ph	CN	B7	A2	vitreous state*
III-20	Ph	CN	B5	A1	105–107[#12]
III-21	Ph	CN	B7	A2	viscous oil

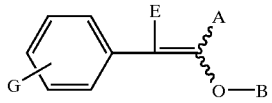
*E-form or Z-form,
[#9]geometric isomer of III-6,
[#10]geometric isomer of III-1,
[#11]geometric isomer of III-4,
[#12]geometric isomer of III-2

TABLE 18



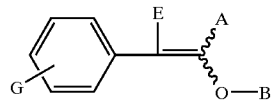
No.	G	E	B	A	m.p. (° C.)
IV-1	2-CF_3	CN	H	A1	viscous oil
IV-2	3-CF_3	CN	H	A1	159–163
IV-3	3-CF_3	CN	B1	A1	174–175
IV-4	4-CF_3	CN	H	A1	176–179
IV-5	4-CF_3	CN	B1	A1	viscous oil
IV-6	2-CF_3	CN	$CSNMe_2$	A1	viscous oil
IV-7	3(1-CN-1-Me)—Et	CN	H	A1	viscous oil
IV-8	3(1-CN-1-Me)—Et	CN	B1	A1	148–155
IV-9	3-OPh	CN	H	A1	103–110
IV-10	3-OPh	CN	B7	A1	viscous oil
IV-11	4-OPh	CN	H	A1	148–150
IV-12	4-OPh	CN	B7	A1	viscous oil
IV-13	4-Et	CN	H	A1	148–149
IV-14	4-Et	CN	B7	A1	81–82
IV-15	4-Et	CN	B5	A1	viscous oil
IV-16	4-i-Pr	CN	H	A1	126–127
IV-17	4-i-Pr	CN	B7	A1	105–106
IV-18	4-t-Bu	CN	H	A1	117–118
IV-19	4-t-Bu	CN	B1	A1	viscous oil
IV-20	4-OCF_3	CN	H	A1	128–129
IV-21	4-OCF_3	CN	B7	A1	96–99
IV-22	3,4-methylene-dioxy	CN	H	A1	129–131
IV-23	3,4-methylene-dioxy	CN	B7	A1	viscous oil
IV-24	4-t-Bu	CN	B7	A2	viscous oil
IV-25	4-t-Bu	CN	B15	A2	viscous oil
IV-26	4-t-Bu	CN	H	A2	139–140
IV-27	4-t-Bu	CN	B7	A13	87–88
IV-28	4-t-Bu	CN	H	A13	141–142
IV-29	4-t-Bu	CN	B8	A2	vitreous state
IV-30	4-t-Bu	CN	H	A19	169.5–173
IV-31	4-t-Bu	CN	H	A20	vitreous state
IV-32	4-t-Bu	CN	B7	A20	146–148
IV-33	4-t-Bu	CN	B15	A14	viscous oil
IV-34	4-t-Bu	CN	B7	A19	vitreous state
IV-35	4-t-Bu	CN	B7	A1	viscous oil*
IV-36	4-t-Bu	CN	B8	A1	viscous oil
IV-37	4-t-Bu	CN	H	A24	vitreous state
IV-38	4-t-Bu	CN	B8	A24	vitreous state
IV-39	4-t-Bu	CN	CO_2Et	A1	viscous oil
IV-40	4-t-Bu	CN	$CO_2{}^tBu$	A1	viscous oil
IV-41	4-t-Bu	CN	$COCO_2CH_3$	A1	viscous oil
IV-42	4-s-Bu	CN	H	A2	107–108
IV-43	4-s-Bu	CN	B15	A2	77–85
IV-44	4-i-Pr	CN	B15	A1	100–101
IV-45	4-i-Pr	CN	B15	A2	viscous oil
IV-46	4-i-Pr	CN	H	A2	98–99
IV-47	4-i-Pr	CN	B8	A2	viscous oil
IV-48	4-i-Pr	CN	CO(4-NO_2—Ph)	A2	vitreous state
IV-49	4-Et	CN	B15	A1	viscous oil
IV-50	4-Ph	CN	H	A1	vitreous state
IV-51	4-Ph	CN	H	A2	vitreous state
IV-52	4-Ph	CN	H	A12	vitreous state
IV-53	4-Ph	CN	H	A13	167–169
IV-54	3,4-Cl_2	CN	H	A1	vitreous state
IV-55	4-Cl	CN	H	A1	vitreous state
IV-56	2-F-4-CF_3	CN	H	A2	vitreous state
IV-57	2-F-4-CF_3	CN	B7	A2	vitreous state
IV-58	2-F-4-CF_3	CN	B15	A2	vitreous state
IV-59	4-NO_2	CN	H	A1	186–188
IV-60	4-MeO	CN	H	A1	108–110
IV-61	4-MeO	CN	B15	A1	viscous oil*
IV-62	4-i-PrO	CN	H	A1	127–131
IV-63	4-i-PrO	CN	H	A2	141.4–148.3
IV-64	4-i-PrO	CN	B15	A1	viscous oil
IV-65	4-i-PrO	CN	B15	A2	viscous oil
IV-66	4-i-PrO	CN	B6	A2	viscous oil
IV-67	4-n-BuO	CN	H	A1	101–104
IV-68	4-n-BuO	CN	B15	A1	75–70

TABLE 18-continued



No.	G	E	B	A	m.p. (° C.)
IV-69	4-n-BuO	CN	H	A2	104.6–105.4
IV-70	4-n-BuO	CN	B8	A1	77.1–80.7
IV-71	4-n-BuO	CN	B15	A2	viscous oil
IV-72	4-t-amyl	CN	B15	A2	viscous oil
IV-73	4-allyloxy	CN	H	A1	vitreous state
IV-74	4-allyloxy	CN	B15	A1	vitreous state
IV-75	4-(Cl_2C=$CHCH_2O$)	CN	H	A1	vitreous state
IV-76	4-(Cl_2C=$CHCH_2O$)	CN	B15	A1	vitreous state
IV-77	4-($ClCCCH_2O$)	CN	H	A1	vitreous state
IV-78	4-$PhCH_2O$	CN	H	A1	152.9–154.7
IV-79	4-$PhCH_2O$	CN	H	A2	189–190.5
IV-80	4-$PhCH_2O$	CN	B15	A2	123–129
IV-81	4-$MeOCH_2O$	CN	H	A1	130.4–131.7
IV-82	4-CF_3CH_2O	CN	H	A1	100–103
IV-83	4-pivaloyl	CN	B15	A2	vitreous state
IV-84	4-pivaloyl	CN	H	A2	vitreous state
IV-85	4-Me_2NCO_2	CN	H	A2	vitreous state
IV-86	4-Me_2NCO_2	CN	B15	A2	vitreous state
IV-87	4-Me_2NCO_2	CN	B7	A2	vitreous state
IV-88	4-t-Bu	$PO(OEt)_2$	H	A1	87–88
IV-89	4-t-Bu	$PO(OEt)_2$	B1	A1	121–124
IV-90	H	Br	H	A1	74.5–75.5
IV-91	H	CO_2Et	H	A1	viscous oil
IV-92	H	Br	B7	A1	viscous oil
IV-93	4-t-Bu	$PO(OEt)_2$	H	A2	viscous oil
IV-94	4-t-Bu	CN	$COCO_2Et$	A1	viscous oil
IV-95	4-t-Bu	CN	$CO_2{}^iBu$	A2	viscous oil
IV-96	4-t-Bu	CN	$CO_2{}^iBu$	A13	104–105
IV-97	4-t-Bu	SO_2Ph	H	A1	143–145
IV-98	H	CO_2Et	B7	A1	viscous oil
IV-99	4-t-Bu	CN	B3	A1	viscous oil
IV-100	4-t-Bu	CN	B19	A1	vitreous state
IV-101	4-t-Bu	CN	CO(4-CO_2Me—Ph)	A2	vitreous state
IV-102	4-t-Bu	CN	CO(4-CO_2Me—Ph)	A13	vitreous state
IV-103	4-t-Bu	CO_2Me	H	A2	88–89
IV-104	4-t-Bu	CO_2Me	H	A1	123–124
IV-105	4-t-Bu	CO_2Me	B7	A1	77–78
IV-106	4-t-Bu	CO_2Me	B7	A2	viscous oil
IV-107	4-t-Bu	SO_2Ph	B7	A1	98–99
IV-108	4-t-Bu	SO_2Ph	B7	A1	130–131[#13]
IV-109	4-t-Bu	CN	B3	A2	71–72*
IV-110	4-CHF_2O	CN	H	A2	vitreous state
IV-111	4-CHF_2O	CN	B15	A2	vitreous state
IV-112	4-CHF_2O	CN	B7	A2	vitreous state
IV-113	4-CH_3CONH	CN	H	A2	247.9–251.9
IV-114	4-CH_3CONH	CN	B7	A2	82.1–84.3
IV-115	4-CO_2Me	CN	H	A2	151–152
IV-116	4-CO_2Me	CN	B7	A2	viscous oil*
IV-117	4-vinyl	CN	H	A2	vitreous state
IV-118	4-t-Bu	CN	B15	A1	78–82
IV-119	4-t-Bu	CN	methacryloyl	A1	viscous oil*
IV-120	4-t-Bu	CN	COCH=$(CH_3)_2$	A1	viscous oil
IV-121	4-t-Bu	CN	B33	A1	viscous oil
IV-122	4-t-Bu	CN	CH_2OCH_2Ph	A1	viscous oil
IV-123	4-t-Bu	CN	B24	A1	viscous oil
IV-124	H	Cl	H	A1	48–49
IV-125	4-t-Bu	5-Me-1,3,4-oxadiazol-2-yl	H	A1	149–151
IV-126	4-SMe	CN	H	A2	154–156
IV-127	4-SMe	CN	B7	A2	viscous oil*
IV-128	4-SOMe	CN	H	A2	vitreous state
IV-129	4-t-Bu	CN	B33	A2	viscous oil
IV-130	4-t-Bu	CN	B3	A13	111–112*
IV-131	4-t-Bu	CN	B7	A3	viscous oil
IV-132	4-t-Bu	CN	B3	A3	viscous oil
IV-133	4-t-Bu	CN	$COCH_2$(4-OMe—Ph)	A1	117–123
IV-134	4-SO_2Me	CN	B7	A2	vitreous state*
IV-135	4-SOMe	CN	B7	A2	vitreous state*
IV-136	4-OCH_2Ph-3-Cl	CN	H	A2	vitreous state

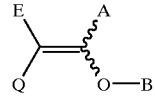
TABLE 18-continued



No.	G	E	B	A	m.p. (° C.)
IV-137	4-OPr^{i}-3-Cl	CN	H	A2	vitreous state
IV-138	4-OBu^{i}-3-Cl	CN	H	A2	vitreous state
IV-139	4-t-Bu	CN	B8	A3	viscous oil
IV-140	4-t-Bu	CN	B7	2-Cl-4-CF_3-thiazol-5-yl	90–91

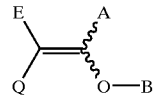
*E-form or Z-form
#13geometric isomer of IV-107

TABLE 19



No.	Q	R	E	B	A	m.p. (° C.)
V-1	Q9	1-Ph	CN	H	A1	148.7–151.3
V-2	Q9	1-Ph	CN	H	A2	156–157
V-3	Q9	5-Me-1-^{i}Pr	CN	H	A2	78–80
V-4	Q9	5-Me-1-^{i}Bu	CN	B7	A2	84–89*
V-5	Q9	5-Me-1-^{s}Bu	CN	B7	A2	99–105
V-6	Q9	5-Me-1-^{i}Bu	CN	H	A2	113–114
V-7	Q9	5-Me-1-^{s}Bu	CN	H	A2	75–80
V-8	Q9	1-t-Bu	CN	B7	A2	vitreous state*
V-9	Q9	1-t-Bu	CN	H	2,6-F_2—Ph	111–113
V-10	Q9	1-t-Bu	CN	H	A2	127–129
V-11	Q9	1-pyridin-2-yl	CN	H	A2	156.4–158.1
V-12	Q9	1-pyridin-2-yl	CN	B15	A2	vitreous state*
V-13	Q9	1-pyridin-2-yl	CN	B7	A2	vitreous state*
V-14	Q10	1-Ph	CN	B7	A2	130–131
V-15	Q10	1-Ph	CN	H	A2	207–208
V-16	Q10	1-t-Bu	CN	B7	A2	viscous oil
V-17	Q10	1-t-Bu	CN	H	A2	viscous oil
V-18	Q11	1-Me-3-^{t}Bu	CN	H	A2	vitreous state
V-19	Q11	1-Me-3-^{t}Bu	CN	B7	A2	119–124
V-20	Q12	Ph	CN	H	A2	247–253
V-21	Q12	Ph	CN	B7	A2	147.5–148.5*
V-22	Q12	Ph	CN	SO_2(4-^{t}Bu—Ph)	A2	174–176.5
V-23	2-naphthyl	—	CN	B1	A1	viscous oil
V-24	2-naphthyl	—	CN	H	A1	140.1–141.1
V-25	2-naphthyl	—	CN	B7	A1	viscous oil
V-26	Q13	—	CN	H	A2	121–122
V-27	Q1	5-Cl	CN	H	A2	160 (decomposition)
V-28	Q1	5-Cl	CN	B7	A2	79.5–81
V-29	Q2	4-Ph	CN	H	A1	231–232
V-30	Q2	4-t-Bu	CN	H	A1	218–219
V-31	Q3	3-Ph	CN	H	A1	243–245
V-32	Q4	Ph	CN	H	A1	255.8–256.8
V-33	Q4	Ph	CN	B1	A1	187–190
V-34	Q5	t-Bu	CN	H	A2	158–160
V-35	Q6	t-Bu	CN	H	A2	215–216
V-36	Q7	5-CF_3	CN	H	A1	184–185
V-37	Q7	5-CF_3	CN	H	A2	211–212
V-38	Q7	5-$PhCH_2O$	CN	H	A1	220–221
V-39	Q8	4,6-$(MeO)_2$	CN	H	A1	149–155
V-40	Q14	t-Bu	CN	H	A1	137.9–143.7
V-41	Q14	t-Bu	CN	B7	A1	vitreous state
V-42	Q14	t-Bu	CN	H	A2	127.5–128.9
V-43	Q14	t-Bu	CN	B7	A2	vitreous state
V-44	Q14	t-Bu	CN	B33	A2	vitreous state
V-45	Q14	t-Bu	CN	H	2,6-F_2—Ph	105.6–108.1
V-46	Q14	t-Bu	CN	B7	2,6-F_2—Ph	viscous oil

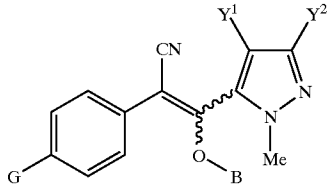
TABLE 19-continued



No.	Q	R	E	B	A	m.p. (° C.)
V-47	Q14	Ph	CN	H	A2	113.0–114.9
V-48	Q14	Ph	CN	B7	A2	vitreous state
V-49	Q14	Ph	CN	B15	A2	vitreous state
V-50	Q14	2-Cl—Ph	CN	H	A1	125.5–127.5
V-51	Q14	2-Cl—Ph	CN	B7	A1	104.0–107.5
V-52	Q14	2-Cl—Ph	CN	H	A2	142.4–143.6
V-53	Q14	2-Cl—Ph	CN	B15	A2	vitreous state
V-54	Q14	2-Cl—Ph	CN	B7	A2	vitreous state
V-55	Q14	2,6-F_2—Ph	CN	H	A2	136.3–164.7
V-56	Q14	2,6-F_2—Ph	CN	B15	A2	vitreous state
V-57	Q14	2,6-F_2—Ph	CN	B7	A2	vitreous state
V-58	Q14	$PhCH_2$	CN	H	A2	113.2–114.3
V-59	Q14	$PhCH_2$	CN	B15	A2	vitreous state
V-60	Q14	$PhCH_2$	CN	B7	A2	vitreous state
V-61	Q14	2-Cl—Ph	CN	H	2-MeO—Ph	131.4–132.8
V-62	Q14	2-Cl—Ph	CN	CO_2-2-Oct	2-MeO—Ph	viscous oil
V-63	Q14	2-Cl—Ph	CN	B44	2-MeO—Ph	vitreous state
V-64	Q14	2-Cl—Ph	CN	H	2,6-F_2—Ph	155.1–157.9
V-65	Q14	2-Cl—Ph	CN	B45	2,6-F_2—Ph	159.3–160.3
V-66	Q14	2-Cl—Ph	CN	H	A7	150–152
V-67	Q14	2-Cl—Ph	CN	SO_2(3-Cl—Ph)	A7	132–133
V-68	Q14	$PhMe_2C$	CN	H	A2	vitreous state
V-69	Q14	$PhMe_2C$	CN	B7	A2	vitreous state
V-70	Q14	$PhMe_2C$	CN	$COCH_2OMe$	A2	vitreous state
V-71	Q15	Ph	CN	H	A1	151–153
V-72	Q16	3-CN—Ph	CN	H	A1	174–175
V-73	Q17	5-CO_2Et	CN	B7	A2	viscous oil
V-74	Q18	3-pyridin-2-yl	CN	H	A2	219 (decomposition)
V-75	Q19	5-Me-2-Ph	CN	H	A2	181–182
V-76	Q19	5-Me-2-Ph	CN	B7	A2	viscous oil
V-77	Q18	3-pyridin-2-yl	CN	B7	A2	vitreous state
V-78	Q18	3-pyridin-2-yl	CN	B46	A2	vitreous state
V-79	Q18	t-Bu	CN	H	A2	110.4–110.8
V-80	Q20	6-I	CN	H	A2	205–208
V-81	Q20	6-I	CN	B7	A2	137–142
V-82	4-Ph-oxazol-2-yl	—	CN	H	A2	165.9–166.7
V-83	4-Ph-oxazol-2-yl	—	CN	B15	A2	vitreous state
V-84	4-Ph-oxazol-2-yl	—	CN	B7	A2	vitreous state
V-85	Q19	5-Me-2-Ph	CN	H	A7	117–118
V-86	3-(2-Cl—Ph) imidazolin-2-on-1-yl	—	CN	H	A2	155–156

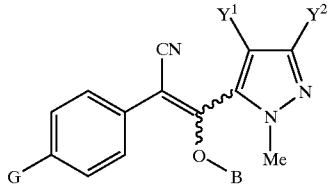
*E-form or Z-form

TABLE 20



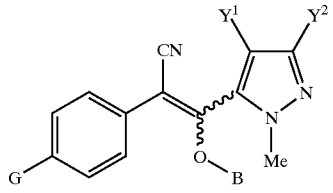
No.	G	B	Y^1	Y^2	melting point (° C.)
VI-4	tBu	B33	H	Me	93.7–96.6
VI-5	tBu	Et	Me	H	resinous
VI-6	tBu	B33	Me	H	resinous
VI-7	tBu	Me	Me	Me	resinous

TABLE 20-continued



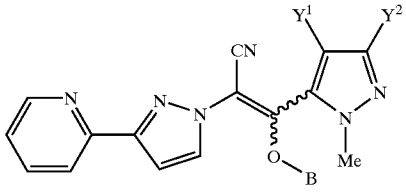
No.	G	B	Y^1	Y^2	melting point (° C.)
VI-8	tBu	Et	Me	Me	resinous
VI-9	tBu	B3	Me	Me	resinous
VI-10	tBu	B3	Me	Me	resinous (isomer of VI-9)

TABLE 20-continued



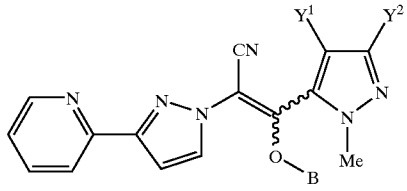
No.	G	B	Y^1	Y^2	melting point (° C.)
VI-11	tBu	CH_2OCH_2Ph	Me	Me	resinous
VI-12	tBu	CH_2OCH_2Ph	Me	Me	resinous (isomer of VI-11)
VI-13	tBu	B24	Me	Me	resinous
VI-14	tBu	B32	Me	Me	resinous
VI-15	tBu	B32	Me	Me	resinous (isomer of VI-14)
VI-16	tBu	B33	Cl	Me	66.5–67.45
VI-17	tBu	B33	Me	Br	94.0–95.0
VI-18	tBu	Et	H	Me	133.0–135.5
VI-19	tBu	B33	Me	Me	resinous (Z-form)
VI-20	tBu	B33	Me	Me	resinous
VI-21	tBu	H	Me	H	resinous
VI-22	tBu	H	H	Me	resinous
VI-23	tBu	H	Me	Me	resinous
VI-24	tBu	H	Cl	Me	68.0–69.0
VI-25	tBu	H	Me	Br	resinous
VI-26	sBu	H	Me	Me	resinous
VI-27	$EtMe_2C$	H	Me	Me	resinous
VI-28	$EtMe_2C$	H	Cl	Me	resinous
VI-29	tBu	B7	Me	Me	102.2–103.8
VI-30	tBu	B6	Me	Me	resinous
VI-31	tBu	CO(2-MeO—Ph)	Me	Me	resinous
VI-32	tBu	B26	Me	Me	resinous
VI-33	tBu	B7	Cl	Me	resinous
VI-34	tBu	COOiBu	Me	Me	resinous
VI-35	$EtMe_2C$	B7	Me	Me	resinous
VI-36	sBu	B7	Me	Me	resinous
VI-37	tBu	CO(2-MeO—Ph)	Cl	Me	181.5–182.5
VI-38	tBu	B7	$—(CH_2)_3—$		105.3–106.8
VI-39	tBu	B7	MeO	Me	68.1–70.6
VI-40	tBu	B7	Me	H	resinous
VI-41	tBu	CO(2-Me—Ph)	Cl	Me	128.0–130.0
VI-42	tBu	CO(3-pyridyl)	Me	Me	resinous
VI-43	tBu	CO(2-Cl—Ph)	Me	Me	133.0–134.0
VI-44	tBu	CO(2-Cl—Ph)	Me	Me	150.0–151.0 (Isomer of I-43)
VI-45	tBu	B7	Me	Br	118.0–119.0
VI-46	tBu	CO(3-Cl—Ph)	Me	Me	143.0–145.0
VI-47	tBu	CO(4-Cl—Ph)	Me	Me	169.0–170.0
VI-48	tBu	B5	Me	Me	resinous
VI-49	tBu	B2	Me	Me	110.6–111.8
VI-50	tBu	CO(2-Me—Ph)	Me	Me	127.0–128.0
VI-51	tBu	CO(3-Me—Ph)	Me	Me	111.0–112.0
VI-52	tBu	CO(4-Me—Ph)	Me	Me	134.5–136.0

TABLE 21



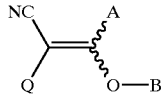
No.	B	Y^1	Y^2	melting point ° C. (ratio of isomer)
VII-1	H	H	H	pale brown solid

TABLE 21-continued



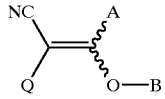
No.	B	Y^1	Y^2	melting point ° C. (ratio of isomer)
VII-2	H	H	Me	>200 (hydrochloride)
VII-3	H	Me	H	86.2–88.1
VII-4	H	Cl	Me	resinous
VII-5	H	H	Cl	resinous
VII-6	H	Cl	Et	resinous
VII-7	H	Me	Me	207–210
VII-8	H	$—(CH_2)_3—$		resinous
VII-9	Me	Me	Me	resinous
VII-10	CH_2Ph	Me	Me	resinous
VII-11	B7	Me	Me	133.7–134.5 (Z-form)
VII-12	B7	Me	Me	resinous (E-form)
VII-13	B7	H	Me	resinous (3/2)
VII-14	B7	H	Cl	resinous (20/1)
VII-15	B7	Me	H	resinous
VII-16	B7	Cl	Me	resinous (20/1)
VII-17	B7	Cl	Et	resinous (10/1)
VII-18	B6	Cl	Me	resinous (=3/1)
VII-19	B36	Cl	Me	resinous (5/1)
VII-20	B7	$—(CH_2)_3—$		resinous (5/1)
VII-21	B15	Me	Me	resinous (10/1)
VII-22	CO-cPen	Me	Me	resinous (10/1)
VII-23	B8	Me	Me	resinous (10/1)
VII-24	B6	Me	Me	resinous (10/1)
VII-25	CO(4-Me—Ph)	Me	Me	resinous (10/1)
VII-26	B40	Me	Me	resinous (10/1)
VII-27	CO(2-MeO—Ph)	Me	Me	resinous (10/1)
VII-29	B38	Me	Me	resinous (10/1)
VII-30	B36	Me	Me	resinous (10/1)
VII-31	B35	Me	Me	resinous (10/1)
VII-32	B37	Me	Me	resinous (10/1)
VII-33	B5	Me	Me	resinous (10/1)
VII-34	SO_2Ph	Me	Me	resinous (10/1)
VII-35	$SO_2(4\text{-}Me—Ph)$	Me	Me	resinous (10/1)
VII-36	$SO_2(4\text{-}CF_3—Ph)$	Me	Me	resinous (10/1)
VII-37	$SO_2(2,4,6\text{-}Me_3—Ph)$	Me	Me	resinous (10/1)
VII-38	B23	Me	Me	resinous (10/1)
VII-39	Et	Me	Me	resinous (7/1)
VII-40	B7	H	CF_3	resinous
VII-41	B7	H	H	resinous (2/1)
VII-42	H	Cl	MeO	149.6–151.2
VII-43	B7	Cl	MeO	resinous
VII-44	H	MeO	Me	resinous
VII-45	H	MeO	Me	resinous

TABLE 22



No.	Q	R	B	A	melting point ° C.
VIII-1	Q21	Ph	H	A2	165.9–166.7
VIII-2	Q21	Ph	B15	A2	resinous
VIII-3	4-tBu—Ph	—	CH_2O(4-Cl—Ph)	A1	resinous
VIII-4	Q19	5-Me-2-Ph	H	A7	117.0–118.0
VIII-5	Q7	5-CF_3	H	A38	74.0–77.0
VIII-6	4-tBu—Ph	—	B7	A48	145.0–146.0
VIII-7	Q19	5-Me-2-Ph	B3	A7	117.0–122.0
VIII-8	Q23	cHex	H	A13	resinous
VIII-9	Q23	tBu	SO_2(3-Cl—Ph)	A13	resinous
VIII-10	Q23	1-naphtyl	H	A13	145.0–146.0
VIII-11	Q8	4-Cl—Ph	H	A2	212.0–214.0
VIII-12	Q24	2-pyridyl	B7	A2	182.0–183.0
VIII-13	3-Cl-4-CHF_2O—Ph	—	B7	A2	resinous
VIII-14	Q22	tBu	$CONMe_2$	A13	98.0–100.0
VIII-15	Q24	tBu	B7	A2	80.0–92.0
VIII-16	Q22	2-pyridyl	B7	A2	133.0–134.0
VIII-17	Q19	5-Me-2-Ph	B7	A7	85.0–102.0
VIII-18	Q10	5-Me-1-(2-pyridyl)	H	A2	139.0–142.0
VIII-19	Q22	1-Me-cHex	H	A13	98.0–99.0
VIII-20	Q14	$PhMe_2C$	B6	A13	resinous
VIII-21	Q22	tBu	B2	A13	resinous
VIII-22	Q22	nPen	H	A13	resinous
VIII-23	Q25	4-I	H	A13	177.5–178.2
VIII-24	Q25	4-I	B7	A2	resinous
VIII-25	Q22	tBu	B7	A39	resinous
VIII-26	Q22	nNon	H	A13	resinous
VIII-27	Q22	$C_{17}H_{35}$	H	A13	resinous
VIII-28	Q22	cPr	H	A13	88.8–89.7
VIII-29	Q22	cPen	B6	A13	resinous
VIII-30	Q22	PhMeCH	H	A13	resinous
VIII-31	Q22	$nPrMe_2C$	H	A13	resinous
VIII-32	Q25	2-pyridyl	H	A41	261.0–262.0
VIII-33	Q25	4-COOMe	B7	A2	97.0–98.0
VIII-34	Q22	$CH_2{=}CHCH_2CHMe$	H	A13	resinous
VIII-35	Q22	3-Me-cHex	H	A13	resinous
VIII-36	Q22	4-Me-CHex	H	A13	resinous
VIII-37	Q22	2-Me-cPr	H	A13	resinous
VIII-38	Q22	sBu	H	A13	resinous
VIII-39	Q26	tBu	H	A13	134.3–135.7
VIII-40	Q22	Et_2CH	H	A13	74.0–75.0
VIII-41	Q22	nPr_2CH	H	A13	resinous
VIII-42	Q22	2-Me-cHex	B6	A13	resinous
VIII-43	Q22	cHep	H	A13	resinous
VIII-44	Q25	2-pyridyl	H	A42	270.0–272.0
VIII-45	Q22	2,3-$(MeO)_2$—Ph	B7	A13	resinous
VIII-46	Q22	2-EtO—Ph	B7	A13	131.3–132.6
VIII-47	Q25	3-(2-pyridyl)	H	A21	resinous
VIII-48	Q25	3-(2-pyridyl)	B7	A14	84.0–85.0
VIII-49	Q25	3-(2-pyridyl)	H	A43	186.9–188.3
VIII-50	Q25	3-COOEt	B7	A2	resinous
VIII-51	Q22	2,4-Cl_2—Ph	H	A13	137.5–138.5
VIII-52	Q22	2-Ph—Ph	H	A13	112.0–113.0
VIII-53	Q19	2-Ph	H	A10	232.5–235.6
VIII-54	Q19	2-Ph	B7	A10	resinous
VIII-55	Q25	5-(2-pyridyl)	B7	A10	resinous
VIII-56	Q25	3-COOiPr	H	A2	130.0–131.0
VIII-57	Q25	3-(2-pyridyl)	H	4-NH_2-2-F—Ph HCl	114.3–117.5
VIII-58	Q25	3-(2-pyridyl)	B7	A22	resinous
VIII-59	Q25	3-(2-pyridyl)	B7	A44	resinous
VIII-60	Q25	3-(2-pyridyl)	H	A18	resinous
VIII-61	Q25	3-(2-pyridyl)	B7	A7	resinous
VIII-62	Q25	3-CN	B7	A45	resinous
VIII-63	Q25	3-(2-pyridyl)	B7	A49	resinous
VIII-64	Q25	3-(2-pyridyl)	H	A50	143.2–147.6
VIII-65	Q25	3-(2-pyridyl)	B7	A30	116.0–117.0
VIII-66	Q25	3-COOiPr	B7	A45	resinous
VIII-67	Q25	3-(4-pyridyl)	H	A45	resinous
VIII-68	Q25	3-(2-pyridyl)	B7	A46	140.7–144.5
VIII-69	4-tBu—Ph	—	B7	A42	resinous

TABLE 22-continued



No.	Q	R	B	A	melting point ° C.
VIII-70	Q25	3-(2-thienyl)	H	A47	resinous
VIII-71	Q22	cHep	H	A47	resinous
VIII-72	Q22	cHep	B7	A47	resinous
VIII-73	4-tBu—Ph	—	B6	A42	resinous
VIII-74	4-tBu—Ph	—	B33	A42	62.0–63.0
VIII-75	Q22	tBu	B33	A47	resinous
VIII-76	Q27	2-pyridyl	B7	A45	144.0–145.0
VIII-77	Q27	2-pyridyl	H	A45	170.0–171.0
VIII-78	Q27	2-pyridyl	B7	A42	180.0–181.0
VIII-79	Q28	2-pyridyl	H	A45	resinous
VIII-80	Q28	2-pyridyl	B7	A45	resinous
VIII-81	Q19	5-Me-2-Ph	H	A47	resinous
VIII-82	Q19	5-Me-2-Ph	B7	A47	139.2–141.6
VIII-83	Q25	3-tBu-4-COOMe	B7	A47	115.0–116.0
VIII-84	Q22	$EtMe_2C$	B7	A47	resinous
VIII-85	Q27	2-pyridyl	H	A3	139.0–140.0
VIII-86	4-tBu—Ph	—	B7	A49	93.6–95.2
VIII-87	Q9	1-(2-pyridyl)	H	A47	resinous
VIII-88	Q9	5-MeO-1-(2-pyridyl)	H	A47	resinous
VIII-89	4-tBu—Ph	—	H	A21	123.0–124.0
VIII-90	Q29	tBu	B7	A47	resinous
VIII-91	Q22	iBu	B7	A2	73.0–74.0
VIII-92	Q22	iBu	H	A2	62.0–63.0
VIII-93	4-tBu—Ph	—	B7	A14	98.0–99.0
VIII-94	Q22	tBu	B33	A52	92.0–93.0

Formulation Examples

Now, Formulation Examples of pesticides comprising the compounds of the present invention as the active ingredient are shown below, which, however, are not intended to restrict the scope of the invention. In the following Formulation Examples, "part" or "parts" are by weight.

[Formulation Example 1] Wettable Powder:	
Compound No. I-1 of the invention	50 parts
Zeeklite PFP (trade name, kaolin-type clay manufactured by Zeeklite Mining Industries, Co., Ltd.)	43 parts
Solpol 5050 (trade name, anionic surfactant manufactured by Toho Chemical Co., Ltd.)	2 parts
Runox 1000C (trade name, anionic surfactant manufactured by Toho Chemical Co., Ltd.)	3 parts
Carplex #80 (anti-caking agent, trade name, white carbon manufactured by Shionogi Pharmaceutical Co., Ltd.)	2 parts

The above-mentioned components were homogeneously mixed and ground to form a wettable powder.

[Formulation Example 2] Emulsion:	
Compound No. I-1 of the Invention	3 parts
Methylnaphthalene	76 parts
Isophorone	15 parts
Solpol 3005X (trade name, mixture of nonionic surfactant and anionic surfactant manufactured by Toho Chemical Co., Ltd.)	6 parts

The above-mentioned components were homogeneously mixed to form an emulsion.

[Formulation Example 3] Flowable:	
Compound No. I-1 of the invention	35 parts
Agrisol S-711 (trade name, nonionic surfactant manufactured by Kao Corp.)	8 parts
Runox 1000C (trade name, anionic surfactant manufactured by Toho Chemical Co., Ltd.)	0.5 part
Aqueous solution of 1% Rhodopol (trade name, thickener manufactured by Rhone-Poulenc)	20 parts
Ethylene glycol (freezing inhibitor)	8 parts
Water	28.5 parts

The above-mentioned components were homogeneously mixed to prepare a flowable.

[Formulation Example 4] Granular wettable powder (Dry flowable):	
Compound No. I-1 of the invention	75 parts
Isoban No. 1 (trade name, anionic surfactant manufactured by Kuraray Isoprene Chemical Co., Ltd.)	10 parts
Vanilez N (trade name, anionic surfactant manufactured by Sanyo Kokusaku Pulp Co., Ltd.)	5 parts
Carplex #80 (trade name, white carbon manufactured by Shionogi Pharmaceutical Co., Ltd.)	10 parts

The above-mentioned components were homogeneously mixed and finely ground to form a dry flowable.

[Formulation Example 5] Granules:	
Compound No. I-1 of the invention	0.1 part
Bentonite	55.0 parts
Talc	44.9 parts

The above-mentioned components were homogeneously mixed and ground, to which was added a small amount of water, stirred, mixed and kneaded. The resulting mixture was granulated and dried into granules, using an extrusion granulator.

[Formulation Example 6] Dust:	
Compound No. I-1 of the invention	3.0 parts
Carplex #80 (trade name, white carbon manufactured by Shionogi Pharmaceutical Co., Ltd.)	0.5 part
Clay	95 parts
Diisopropyl phosphate	1.5 parts

The above-mentioned components were homogeneously mixed and ground to form a dust.

Upon use, the wettable powder, emulsion, flowable and dry flowable are diluted from 50 to 20000 times with water, and applied in an amount of from 0.005 to 50 kg/ha in terms of the active ingredient.

Now, Formulation Examples of agents for preventing the attachment of aquatic organisms of the present invention are shown below, which, however, are not intended to restrict the scope of the invention.

[Formulation Example 7]	
Compound No. II-2 of the invention	8 parts
VYHH (vinyl-type synthetic resin manufactured by UCC Co., Ltd.)	7 parts
Rosin	7 parts
Tricresyl phosphate	3 parts
Talc	20 parts
Barium sulfate	15 parts
Red iron oxide	10 parts
Xylene	20 parts
Methyl isobutyl ketone	10 parts

The above-mentioned components were homogeneously mixed to form an agent for preventing the attachment of aquatic organisms of the invention. This agent can be used as a coating paint.

[Formulation Example 8]	
Compound No. II-2 of the invention	5 parts
CR-10 (chlorine rubber resin manufactured by Asahi Denka KK)	13 parts
Zinc flower	20 parts
Talc	20 parts
Plasticizer	2 parts
Red iron oxide	10 parts
Xylene	30 parts

The above-mentioned components were homogeneously mixed to form an agent for preventing the attachment of aquatic organisms of the invention. This agent can be used as a coating paint.

[Formulation Example 9]	
Compound No. II-2 of the invention	8 parts
VYHH (vinyl-type synthetic resin manufactured by UCC Co., Ltd.)	7 parts
Rosin	7 parts
Tricresyl phosphate	3 parts
Talc	20 parts
Barium sulfate	15 parts
Red iron oxide	10 parts
Xylene	20 parts
Methyl isobutyl ketone	10 parts

The above-mentioned components were homogeneously mixed to form an agent for preventing the attachment of aquatic organisms the invention. This agent can be used as a coating paint.

[Formulation Example 10]	
Compound No. II-2 of the invention	5 parts
CR-10 (chlorine rubber resin manufactured by Asahi Denka KK)	13 parts
Zinc flower	20 parts
Talc	20 parts
Plasticizer	2 parts
Red iron oxide	10 parts
Xylene	30 parts

The above-mentioned components were homogeneously mixed to form an agent for preventing the attachment of aquatic organisms of the invention. This agent can be used as a coating paint.

TEST EXAMPLES

Now, the following Test Examples are to demonstrate the usefulness of the compounds of the present invention as pesticides.

Test Example 1

Insecticidal Test for Brown Rice Planthopper (Nilaparvata lugens Stal)

A 5% emulsion (or 25% wettable powder) of a compound of the present invention was diluted with water containing a spreading agent to give a 500 ppm solution of the compound.

The stems and leaves of rice-plants in 1/20,000 are pot were sufficiently applied with the resulting solution. After the thus-applied chemical solution was dried in air, each pot was covered with a cylindrical cover. Ten (10) second instar nymphae of brown rice planthoppers (Nilaparvata lugens) were released in each pot. After having been thus covered, the pots were stored in a thermostatic chamber. After 6 days passed, the insects in each pot were observed, and the mortality thereof was determined according to the following equation. Each compound was tested in that manner for two groups of pots.

$$\text{Mortality (\%)}=[\text{number of insect killed}/(\text{number of insect killed}+\text{number of living insect})]\times 100$$

In this test, the following compounds exhibited mortality of 80% or more.

Compounds of the invention: Nos. I-2, I-18, I-19, I-23, I-30, I-31, I-32, I-35, I-39, I-42, I-44, I-58, I-59, I-62, I-63, I-70, I-72, I-80, I-106, I-114, I-123, I-137, II-1, II-2, II-3, II-5, II-6, II-12, II-15, II-23, II-25, II-26, II-28, II-33, II-34, II-36, II-37, II-38, II-39, II-43, II-44, II-46, II-53, II-54, II-55, II-61, II-66, II-73, II-74, II-75, II-76, II-80, II-83, II-84, II-85, II-86, II-87, II-88, II-89, II-91, II-92, II-93, II-97, II-98, II-111, II-114, II-116, II-134, II-136, II-137, II-154, II-155, II-159, III-1, III-2, III-4, III-6, III-15, III-16, III-17, III-18, III-19, III-21, IV-48, IV-58, V-2, V-12, V-13, V-28, V-48, V-49, V-51, V-53, V-54, V-55, V-56, V-57, V-59, V-60.

Test Example 2

Insecticidal Test for Green Rice Leafhoppers (Nephotettix cincticeps Uhler)

The stems and leaves of plants was dipped in a 500 ppm emulsion of a compound of the invention for about 10 seconds. The thus-treated stems and leaves were put into glass cylinders, into which were released adults of green rice leafhoppers (Nephotettix cincticeps) that were resistant to organic phosphorous insecticides. Each glass cylinder was covered with a perforated cover, and stored in a thermostatic chamber at 25° C. After 6 days passed, the insects in each cylinder were observed, and the mortality of the insects was determined according ot the sme equation as in Test Example 1. Each compound was tested in that manner for two groups of cylinders. In this test, the following compounds exhibited morality of 80% or more.

Compounds of the invention: Nos. I-1, I-2, I-4, I-5, I-8, I-9, I-10, I-19, I-24, I-29, I-30, I-31, I-32, I-33, I-34, I-35, I-36, I-39, I-40, I-41, I-42, I-43, I-44, I-47, I-53, I-54, I-55, I-56, I-57, I-58, I-59, I-62, I-63, I-69, I-70, I-71, I-72, I-74, I-79, I-80, I-81, I-115, I-121, I-125, I-127, I-137, II-1, II-2, II-3, II-5, II-6, II-7, II-9, II-10, II-11, II-12, II-13, II-15, II-23, II-26, II-28, II-33, II-34, II-36, II-38, II-37, II-43, II-46, II-49, II-52, II-54, II-55, II-63, II-64, II-65, II-66, II-67, II-68, II-69, II-73, II-74, II-75, II-76, II-77, II-78, II-79, II-80, II-81, II-83, II-84, II-85, II-86, II-87, II-88, II-89, II-91, II-92, II-93, II-97, II-98, II-99, II-107, II-111, II-116, II-117, II-130, II-131, II-132, II-134, II-136, II-137, II-142, II-145, II-153, II-154, II-1, III-2, III-3, III-4, III-5, III-6, III-13, III-15, III-16, III-17, III-18, III-19, III-20, III-21, IV-32, IV-58, V-2, V-12, V-13, V-14, V-38, V-41, V-43, V-48, V-49, V-51, V-53, V-54, V-55, V-56, V-57, V-59, V-60, V-75.

Test Example 3

Insecticidal Test for Green Peach Aphids (Myzus persicae Sulzer)

Moistured filter paper was placed in each laboratory glass dish having an inner diameter of 3 cm, and a leaf cabbage having the same diameter as that of the dish was put on the filter paper. Four female, apterous adults of green peach aphids (Myzus persicae) were put on the cabbage leaf. Following day, a chemical sample (2.5 mg/cm^2) was sprayed over the dishes, using a rotary sprinkler. The chemical solution herein was prepared by diluting a 5% emulsion (or 25% wettable powder) of a compound of the invention to 500 ppm with water containing a spreading agent. After 6 days passed, the insects in each cylinder were observed, and mortality of the insects (larvae and adults) was determined according to the following equation. Each compound was tested in that manner for two groups of dishes.

$$\text{Mortality (\%)}=[\text{number of insect killed}/(\text{number of insect killed}+\text{number of living insect})]\times 100$$

In this test, the following compounds exhibited mortality of 80% or more.

Compounds of the invention: Nos. I-1, I-2, I-3, I-4, I-5, I-7, I-8, I-10, I-11, I-12, I-13, I-14, I-16, I-17, I-18, I-19, I-21, I-22, I-23, I-24, I-25, I-26, I-27, I-28, I-29, I-30, I-31 I-32, I-33, I-34, I-35, I-36, I-37, I-38, I-40, I-41, I-42, I-43, I-44, I-45, I-46, I-47, I-48, I-51, I-52, I-53, I-54, I-55, I-56, I-57, I-58, I-59, I-62, I-63, I-69, I-70, I-71, I-72, I-73, I-74, I-76, I-77, I-78, I-79, I-80, I-81, I-84, I-85, I-86, I-89, I-90, I-92, I-96, I-97, I-104, I-108, I-125, I-136, I-137, I-138, II-2, II-3, II-5, II-6, II-7, II-8, II-9, II-10, II-11, II-12, II-15, II-16, II-17, II-23, II-24, II-24, II-25, II-26, II-27, II-28, II-33, II-34, II-35, II-36, II-37, II-38, II-39, II-43, II-44, II-50, II-52, II-53, II-54, II-55, II-58, II-60, II-63, II-64, II-65, II-66, II-68, II-69, II-70, II-71, II-73, II-74, II-75, II-76, II-78, II-79, II-83, II-84, II-85, II-86, II-87, II-88, II-89, II-90, II-91, II-92, II-93, II-97, II-98, II-99, II-101, II-102, II-105, II-107, II-111, II-116, II-117, II-118, II-121, II-130, II-131, II-132, II-133, II-134, II-136, II-137, II-138, II-140, II-141, II-142, II-151, II-153, II-154, II-159, II-160, III-1, III-2, III-3, III-4, III-5, III-6, III-15, III-16, III-17, III-18, III-19, III-20, III-21, IV-10, IV-11, IV-21, IV-23, IV-45, V-1, V-2, V-10, V-11, V-12, V-13, V-14, V-28, V-31, V-32, V-41, V-45, V-48, V-49, V-50, V-51, V-52, V-53, V-54, V-55, V-56, V-57, V-59, V-60, V-73, V-75, VII-1, VII-2, VII-3, VII-4, VII-5, VII-6, VII-7, VII-8, VII-9, VII-10, VII-11, VII-12, VII-13, VII-14, VII-15, VII-16, VII-17, VII-18, VII-19, VII-20, VII-21, VII-22, VII-23, VII-24, VII-25, VII-26, VII-27, VII-28, VII-29, VII-30, VII-31, VII-32, VII-33, VII-34, VII-35, VII-36, VII-37, VII-38, VII-39, VII-40, VII-41, VII-42, VII-43, VII-44, VII-45, VIII-12, VIII-32, VIII-42, VIII-47, VIII-49, VIII-55, VIII-57, VIII-58, VIII-61, VIII-64, VIII-65, VIII-67, VIII-85.

Test Example 4

Insecticidal Test for Diamond Back Moth (Plutella xylostella Linne)

The leaves of cabbage were dipped in a 500 ppm aqueous emulsion of a compound of the invention for about 10 seconds. After having been dried in air, the thus-treated leaves were put into laboratory dishes. Ten (10) second larvae of diamond back moth (Plutella xylostella) were released in each dish. Each dish was covered with a perforated cover, and stored in a thermostatic chamber at 25° C. After 6 days passed, the insects in each dish were observed, and mortality of the insects was obtained according to the same equation as in Test Example 1. Each compound was tested in that manner for two groups of dishes. In this test, the following compounds exhibited mortality of 80% or more.

Compounds of the invention: Nos. I-1, I-2, I-4, I-5, I-6, I-8, I-9, I-10, I-13, I-18, I-19, I-29, I-30, I-31, I-33, I-34, I-35, I-36, I-38, I-39, I-40, I-41, I-43, I-44, I-45, I-46, I-47, I-51, I-52, I-53, I-56, I-57, I-58, I-59, I-62, I-63, I-70, I-71, I-72, I-73, I-74, I-76, I-77, I-78, I-79, I-80, I-81, I-84, I-86, I-89, I-96, I-97, I-99, I-104, I-106, I-114, I-125, I-137, II-1, II-2, II-5, II-6, II-7, II-8, II-9, II-10, II-11, II-12, II-13, II-15, II-17, II-23, II-24, II-25, II-26, II-27, II-28, II-33, II-34, II-35, II-36, II-37, II-38, II-39, II-40, II-41, II-42, II-43, II-54, II-55, II-58, II-60, II-61, II-62, II-63, II-64, II-65, II-66, II-67, II-68, II-69, II-70, II-71, II-72, II-73, II-74, II-75, II-76, II-77, II-78, II-79, II-80, II-81, II-83, II-84, II-85, II-86, II-87, II-88, II-89, II-90, II-91, II-92, II-93, II-94, II-97, II-98, II-99, II-100, II-101, II-105, II-106, II-107, II-108, II-109, II-110, II-111, II-116, II-117, II-118, II-121, II-122, II-155, II-159, II-161, II-1, III-2, III-3, III-4, III-5, III-6, III-7, III-9, III-10, III-11, III-13, III-14, III-15, III-16, III-17, III-18, III-19, III-20, III-21, IV-7, IV-8, IV-29, IV-47, IV-53, IV-58, V-1, V-2, V-11, V-12, V-13, V-29, V-37, V-41, V-43, V-46, V-48, V-50, V-51, V-52, V-53, V-54, V-55, V-56, V-57, V-58, V-59, V-60, V-64, V-75.

Test Example 5

Insecticidal Test for Cucurbit Leaf Beetles (Aulacophora femoralis Motschulsky)

A 5% emulsion (or 25% wettable powder) of a compound of the present invention was diluted with water containing a spreading agent to give a 500 ppm solution of the compound. The leaves of cucumbers were dipped in this chemical solution for about 10 seconds, dried in air, and put into a laboratory dish. Ten (10) second instar nymphae of cucurbit leaf beetles (Aulacophora femoralis) were released in each dish. Each dish was covered with a cover, and stored in a thermostatic chamber at 25° C. After 6 days passed, the insects in each dish were observed, and mortality of the insects was determined according to the same equation as in Test Example 1. Each compound was tested in that manner for two groups of dishes.

In this test, the following compounds exhibited mortality of 100%.

Compounds of the invention: Nos. I-1, I-2, I-4, I-6, I-8, I-9, I-10, I-11, I-12, I-13, I-29, I-30, I-31, I-32, I-33, I-34, I-35, I-39, I-40, I-41, I-43, I-44, I-46, I-53, I-54, I-55, I-56, I-57, I-58, I-59, I-61, I-62, I-63, I-69, I-70, I-71, I-72, I-74, I-76, IU-77, I-78, I-79, I-80, I-81, I-82, I-83, I-92, I-101, I-103, I-104, I-108, I-109, I-124, I-127, I-128, II-1, II-6, II-7, II-8, II-9, II-10, II-11, II-12, II-13, II-14, II-15, II-16, II-17, II-23, II-24, II-25, II-26, II-27, II-28, II-33, II-34, II-35, II-36, II-37, II-38, II-39, II-41, II-42, II-43, II-47, II-50, II-53, II-54, II-55, II-57, II-58, II-61, II-62, II-63, II-65, II-66, II-99, II-101, II-102, II-104, II-105, II-106, II-107, II-108, II-109, II-110, II-114, II-122, II-124, II-125, II-131, II-132, II-133, II-134, II-136, II-137, II-139, II-140, II-141, II-142, II-153, II-154, III-1, III-2, III-3, II-4, III-5, III-6, III-9, III-10, III-11, III-12, III-14, III-15, III-16, III-17, III-20, IV-6, IV-13, IV-33, IV-39, IV-56, IV-60, IV-61, IV-72, IV-82, V-1, V-2, V-11, V-12, V-13, V-17, V-22, V-26, V-27, V-29, V-37, V-40, V-42, V-48, V-49, V-50, V-51, V-52, V-54, V-55, V-57, V-59, V-60, V-61, V-64, V-75.

Test Example 6

Acaricidal Test for Two-spotted Spider Mites (Tetranychus urticae Koch)

The leaves of kidney bean plants were punched into 3 cm-diameter discs, using a leaf punch, and put onto moistured filter paper in a 7 cm-diameter styrol cup. Ten (10) larvae of two-spotted spider mites (Tetranychus urticae) were put to each leaf. A 5% emulsion (or 25% wettable powder) of a compound of the invention was diluted with water containing a spreading agent to give a 500 ppm solution of the compound. The solution was sprayed over each cup in an amount of 2 ml/cup, using a rotary sprinkler, and the cups were stored in a thermostatic chamber at 25° C. After 96 hours passed, the mites in each cup were observed, and mortality of the mites was determined according to the same equation as in Test Example 1. Each compound was tested in that manner for two groups of cups.

In this test, the following compounds exhibited the mites at a percentage of 80% or more.

Compounds of the invention: Nos. I-1, I-2, I-3, I-4, I-5, I-7, I-8, I-9, I-10, I-12, I-13, I-19, I-24, I-25, I-26, I-27, I-28, I-29, I-30, I-31, I-32, I-33, I-34, I-35, I-36, I-38, I-40, I-41, I-42, I-43, I-44, I-45, I-46, I-47, I-48, I-50, I-51, I-52, I-55, I-56, I-57, I-58, I-59, I-60, I-61, I-62, I-63, I-70, I-71, I-72, I-74, I-76, I-80, I-94, I-95, I-96, I-97, I-99, I-101, I-102, I-103, I-104, I-105, I-106, I-108, I-109, I-110, I-111, I-112, I-113, I-114, I-115, I-117, I-118, I-119, I-122, I-123, I-124, I-125, I-126, I-127, I-128, I-137, II-2, II-3, II-5, II-6, II-7, II-8, II-9, II-10, II-11, II-12, II-13, II-15, II-16, II-17, II-23, II-25, II-26, II-27, II-28, II-33, II-34, II-35, II-36, II-37, II-38, II-39, II-40, II-41, II-42, II-43, II-45, II-46, II-47, II-48, II-50, II-52, II-53, II-54, II-55, II-58, II-59, II-60, II-61, II-63, II-64, II-65, II-66, II-67, II-68, II-69, II-70, II-71, II-72, II-73, II-74, II-75, II-76, II-77, II-78, II-79, II-80, II-81, II-83, II-84, II-85, II-86, II-87, II-88, II-89, II-90, II-91, II-92, II-93, II-95, II-97, II-98, II-99, II-101, II-102, II-103, II-105, II-106, II-107, II-108, II-109, II-110, II-111, II-116, II-117, II-118, II-133, II-134, II-136, II-137, II-151, II-153, II-154, II-155, II-159, II-160, II-161, II-173, III-3, III-4, III-5, III-6, III-7, III-9, III-10, III-11, III-12, III-15, III-17, III-18, III-19, III-21, IV-1, IV-2, IV-3, IV-4, IV-5, IV-7, IV-10, IV-11, IV-12, IV-13, IV-14, IV-16, IV-17, IV-18, IV-19, IV-20, IV-21, IV-22, IV-24, IV-25, IV-26, IV-28, IV-29, IV-33, IV-35, IV-36, IV-39, IV-40, IV-41, IV-42, IV-43, IV-44, IV-45, IV-46, IV-47, IV-48, IV-49, IV-50, IV-51, IV-54, IV-55, IV-56, IV-58, IV-59, IV-60, IV-61, IV-62, IV-63, IV-64, IV-65, IV-66, IV-67, IV-68, IV-69, IV-70, IV-71, IV-72, IV-74, IV-75, IV-76, IV-79, IV-80, IV-82, IV-94, IV-95, IV-96, IV-99, IV-100, IV-101, IV-102, IV-109, IV-110, IV-111, IV-112, V-1, V-2, V-3, V-4, V-5, V-6, V-7, V-8, V-10, V-11, V-12, V-13, V-14, V-15, V-16, V-17, V-19, V-23, V-24, V-25, V-26, V-28, V-31, V-36, V-37, V-38, V-40, V-41, V-42, V-43, V-44, V-46, V-47, V-48, V-59, V-60, V-73, V-75, VI-1, VI-2, VI-3, VI-4, VI-5, VI-6, VI-7, VI-87, VI-9, VI-10, VI-11, VI-12, VI-13, VI-14, VI-15, VI-16, VI-17, VI-18, VI-19, VI-20, VI-21, VI-22, VI-23, VI-24, VI-25, VI-29, VI-30, VI-31, VI-32, VI-33, VI-34, VI-35, VI-36, VI-37, VI-38, VI-39, VI-40, VI-41, VI-42, VI-43, VI-44, VI-45, VI-46, VI-47, VI-48, VI-49, VI-50, VI-51, VI-52, VII-1, VIII-2, VIII-4, VIII-6, VIII-7, VIII-8, VIII-9, VIII-10, VIII-13, VIII-14, VIII-15, VIII-16, VIII-17, VIII-18, VIII-19, VIII-20, VIII-21, VIII-22, VIII-23, VIII-24, VIII-26, VIII-27, VIII-28, VIII-29, VIII-30, VIII-31, VIII-33, VIII-34, VIII-35, VIII-36, VIII-37, VIII-38, VIII-39, VIII-40, VIII-41, VIII-43, VIII-44, VIII-45, VIII-46, VIII-48, VIII-50, VIII-51, VIII-52, VIII-53, VIII-54, VIII-56, VIII-59, VIII-60, VIII-63, VIII-66, VIII-69, VIII-70, VIII-71, VIII-72, VIII-73, VIII-74, VIII-75, VIII-76, VIII-77, VIII-78, VIII-81, VIII-82, VIII-83, VIII-84, VIII-86, VIII-87, VIII-89, VIII-90, VIII-91, VIII-92, VIII-93.

Test Example 7

Test for Preventing Leaf Rust, Brown Rust (Puccinia recondita ex Desmaziére)

A chemical solution obtained by diluting an emulsion of a compound of the invention to 500 ppm with water was sprayed on wheat plants (Norin No. 61) grown to 1.5- to 2.0-leaf in a pot having a diameter of 5.5 cm-diameter at a dose of 20 ml/pot using a spraygun.

The following day, a spore suspension (2×10^5 spore/ml) of leaf rust (brown rust) (Puccinia recondite) was sprayed over the plant-pots were placed overnight in an inoculation box having a temperature of 25° C. and a humidity of 95% or more. Then, the pots were put in a green house. After 7 days of the inoculation, a ratio of an infected and spored area formed to the leaf inoculated was measured, and a preventive value was calculated according to the following equation.

$$\text{Preventive value}=[1-(\text{infected and spotted area ratio in treated region/infected and spotted area ratio in untreated region})]\times100$$

In this test, the following compounds had an protective value of from 70 to 100.

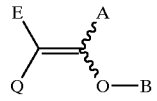
Compounds of the invention: Nos. I-9, I-108, I-127, I-128, II-14, II-15, IV-2, IV-7, V-15, V-10.

With the long-term use of insecticides and microbicides, recently, some pests have become resistant to chemicals and

are often difficult to exterminate with conventional insecticides and microbicides. In addition, some insecticides are highly toxic and are prone to remain long, without being decomposed, to destroy the ecosystem. Accordingly, the present invention provides novel, non-toxic and non-persistent insecticides and fungicides, and provides agents for preventing the attachment of aquatic organisms having few influences on the ecosystem and causing little secondary pollution.

We claim:

1. Ethylene derivatives of a formula:



wherein:

Q represents a 1,2,3-triazolyl group optionally substituted by R;

A represents a phenyl group optionally substituted by W, a naphthyl group optionally substituted by W, or a heterocyclic group optionally substituted by Y, wherein said heterocyclic group is selected from the group consisting of a thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-triazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl, thiazolinyl, 3(2H)-pyridazinone, benzothiazolyl, benzimidazolyl, indazolyl, benzoxazolyl, quinolyl, isoquinolyl, quinoxalinyl, phthalazinyl, cinnolinyl, and quinazolinyl groups;

B represents H, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, CH_3SCH_2, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_1–C_4 alkyl group substituted by a benzoyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a tetrahydropyranyl group, $(CH_3)Si$, a C_1–C_4 alkylsulfonyl group, a phenylsulfonyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, —SO_2CF_3, a C_1–C_4 monoalkylaminosulfonyl group, a C_2–C_8 dialkylaminosulfonyl group, a phenylaminosulfonyl group, a C_2–C_5 monoalkylaminothiocarbonyl group, a C_3–C_9 dialkylaminothiocarbonyl group, a C_2–C_5 cyanoalkyl group, a C_3–C_9 alkoxycarbonylalkyl group, —$C(=O)T^1$, —$P(=O)T^2T^3$, —$P(=S)T^2T^3$, an alkali metal atom, an alkaline earth metal atom, or $NHT^4T^5T^6$;

E represents CN;

R is a substituent selected from the group consisting of a halogen atom, a C_1–C_{10} alkyl group, a C_1–C_4 alkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_2–C_6 alkenyl group, a C_2–C_6 alkynyl group, a C_1–C_6 haloalkyl group, a C_2–C_6 haloalkenyl group, a C_2–C_6 haloalkynyl group, a C_3–C_6 halocycloalkyl group, a C_3–C_6 cycloalkyl group optionally substituted by a C_1–C_3 alkyl group, a C_1–C_6 alkoxy group, a C_2–C_6 alkenyloxy group, a C_2–C_6 alkynyloxy group, a C_1–C_4 haloalkoxy group, a C_2–C_6 haloalkenyloxy group, a C_2–C_6 haloalkynyloxy group, a C_1–C_4 alkylsulfenyl group, a C_1–C_4 alkylsulfinyl group, a C_1–C_4 alkylsulfonyl group, a C_2–C_6 alkenylsulfenyl group, a C_2–C_6 alkenylsulfinyl group, a C_2–C_6 alkenylsulfonyl group, a C_2–C_6 alkynylsulfenyl group, a C_2–C_6 alkynylsulfinyl group, a C_2–C_6 alkynylsulfonyl group, a C_1–C_4 haloalkylsulfenyl group, a C–C_4 haloalkylsulfinyl group, a C_1–C_4 haloalkylsulfonyl group, a C_2–C_6 haloalkenylsulfenyl group, a C_2–C_6 haloalkenylsulfinyl group, a C_2–C_6 haloalkenylsulfonyl group, a C_2–C_6 haloalkynylsulfenyl group, a C_2–C_6 haloalkynylsulfinyl group, a C_2–C_6 haloalkynylsulfonyl group, NO_2, CN, —NU^1U^2, a phenoxy group, OH, a naphthyl group, a C_2–C_7 alkoxycarbonyl group, a C_2–C_4 alkoxyalkyl group, a C_2–C_4 alkylcarbonyl group, a C_2–C_5 alkylcarbonyloxy group, a C_2–C_5 haloalkylcarbonyloxy group, a benzoyl group optionally substituted by X, a phenyl group optionally substituted by X, a pyridyl group optionally substituted by X, a thienyl group optionally substituted by X, and —$N=CT^7T^8$, provided that when the substituent is two or more, then said substituents may be the same or different, and the number of the substituent, R, is 1 or 2, or R is an alkylene group as bonded to the adjacent substituting positions to form a 5-, 6-, 7- or 8-membered ring;

Y is a substituent selected from the group consisting of a halogen atom, a C_1–C_{10} alkyl group, a C_1–C_6 haloalkyl group, a C_1–C_6 alkoxy group, a C_2–C_6 alkenyloxy group, a C_2–C_6 alkynyloxy group, a C_1–C_4 haloalkoxy group, a C_2–C_6 haloalkenyloxy group, a C_2–C_6 haloalkynyloxy group, a C_1–C_4 alkylsulfenyl group, a C_1–C_4 alkylsulfinyl group, a C_1–C_4 alkylsulfonyl group, a C_2–C_6 alkenylsulfenyl group, a C_2–C_6 alkenylsulfinyl group, a C_2–C_6 alkenylsulfonyl group, a C_2–C_6 alkynylsulfenyl group, a C_2–C_6 alkynylsulfinyl group, a C_2–C_6 alkynylsulfonyl group, a C_1–C_4 haloalkylsulfenyl group, a C_1–C_4 haloalkylsulfinyl group, a C_1–C_4 haloalkylsulfonyl group, a C_2–C_6 haloalkenylsulfenyl group, a C_2–C_6 haloalkenylsulfinyl group, a C_2–C_6 haloalkenylsulfonyl group, a C_2–C_6 haloalkynylsulfenyl group, a C_2–C_6 haloalkynylsulfinyl group, a C_2–C_6 haloalkynylsulfonyl group, NO_2, CN, —NU^1U^2, OH, a C_2–C_7 alkoxycarbonyl group, a C_2–C_4 alkoxyalkyl group, a C_2–C_5 alkylcarbonyloxy group, a C_2–C_5 haloalkylcarbonyloxy group, a C_3–C_7 dialkylaminocarbonyloxy group, a phenyl group optionally substituted by X, and —$N=CT^7T^8$, provided that when the substituent is two or more, then said substituents may be the same or different, and the number of the substituent, Y, is 1, 2, 3 or 4, or Y is an alkylene group as bonded to the adjacent substituting positions to form a 5-, 6-, 7- or 8- membered ring;

W is a substituent selected from the group consisting of a halogen atom, a C_1–C_6 alkyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy group, a C_1–C_4 haloalkoxy group, a C_1–C_4 alkylsulfenyl group, a C_1–C_4 alkylsulfinyl group, a C_1–C_4 alkylsulfonyl group, a C_1–C_4 haloalkylsulfenyl group, a C_1–C_4 haloalkylsulfinyl group, a C_1–Chaloalkylsulfonyl group, a C_2–C_4 alkenyl group, a C_2–C_4 haloalkenyl group, a C_2–C_4 alkenyloxy group, a C_2–C_4 haloalkenyloxy group, a C_2–C_4 alkenylsulfenyl group, a C_2–C_4 alkenylsulfinyl group, a C_2–C_4 alkenylsulfonyl group, a C_2–C_4 haloalkenylsulfenyl group, a C_2–C_4 haloalkenylsulfinyl group, a C_2–C_4 haloalkenylsulfonyl group, a C_2–C_4 alkynyl group, a C_2–C_4 haloalkynyl group, a C_2–C_4 alkynyloxy group, a C_2–C_4 haloalkynyloxy group, a C_2–C_4 alkynylsulfenyl group, a C_2–C_4 alkynylsulfinyl group, a C_2–C_4 alkylnylsulfonyl group, a C_2–C_4

haloalkynylsulfenyl group, a C_2–C_4 haloalkynylsulfinyl group, a C_2–C_4 haloalkynylsulfonyl group, NO_2, CN, a formyl group, a C_2–C_6 alkoxycarbonyl group, a C_2–C_6 alkylcarbonyl group, a C_2–C_6 haloalkylcarbonyl group, a C_2–C_6 alkylcarbonyloxy group, and —NU^1U^2, provided that when the substituent is two or more, then said substituents may be the same or different, and the number of the substituent, W, is 1,2, 3 and 4;

T^1 represents a C_1–C_{20} alkyl group, a C_2–C_6 alkenyl group, a C_1–C_6 haloalkyl group, a C_1–C_4 alkoxy-C_1–C_4 alkyl group, a C_3–C_6 halocycloalkyl group, a C_1–C_4 alkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_3–C_6 cycloalkyl group optionally substituted by a C_1–C_3 alkyl group, a cycloalkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a cyclopropyl group substituted by both a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group and a C_1–C_4 alkyl group, a C_3–C_4 cycloalkyl group substituted by both a phenyl group optionally substituted by a halogen or a C_1–C_4 alkoxy group and a halogen, a cyclopropyl group substituted by both a C_2–C_4 alkenyl group optionally substituted by a halogen and a C_1–C_4 alkyl group, a C_2–C_4 alkenyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_1–C_{12} alkoxy group, a C_1–C_4 haloalkoxy group, a C_2–C_5 alkenyloxy group, a C_3–C_6 cycloalkoxy group optionally substituted by a C_1–C_3 alkyl group, a benzyloxy group, a C_2–C_5 alkoxycarbonyl group, —NU^1U^2, a phenylamino group, a phenyl group optionally substituted by Z, a phenoxy group optionally substituted by Z, a phenylthio group optionally substituted by Z, a naphthyl group optionally substituted by Z, or a 5-membered or 6-membered heterocyclic group optionally substituted by Z wherein said heterocyclic group is selected from the group consisting of a thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,3-thiadiazolyl, 1,2, 4-triazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, pyrazolinyl, imidazolinyl, oxazolinyl, isoxazolinyl, thiazolinyl, and 3(2H)-pyridazinone groups;

T^2 and T^3 each independently represent OH, a phenyl, a C_1–C_6 alkyl group, a C_1–C_6 alkoxy group, or a C_1–C_4 alkylsulfenyl group;

T^4, T^5 and T^6 each independently represent H, a C_1–C_6 alkyl group, a C_1–C_6 alkenyl group, a C_3–C_6 cycloalkyl group optionally substituted by a C_1–C_3 alkyl group, or a benzyl group; or any two of T^4, T^5 and T^6 may form, together with the nitrogen atom to which they are bonded, a 5-, 6-, 7- or 8-membered cyclic group optionally containing oxygen, nitrogen and/or sulfur atoms;

X and Z are independently substituents selected from the group consisting of a halogen atom, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy group, a C_1–C_4 haloalkoxy group, a C_1–C_4 alkylsulfenyl group, a C_1–C_4 alkylsulfinyl group, a C_1–C_4 alkylsulfonyl group, a C_2–C_5 alkenylsulfenyl group, a C_2–C_5 alkenylsulfinyl group, a C_2–C_5 alkenylsulfonyl group a C_1–C_4 haloalkylsulfenyl group, a C_1–C_4 haloalkylsulfinyl group, a C_1–C_4 haloalkylsulfonyl group, NO_2, CN, CHO, OH, —NU^1U^2, a phenyl group, a phenoxy group, and a C_2–C_5 alkoxycarbonyl group, provided that when the substituent is two or more, then said substituents may be the same or different, and the number of the substituent, X and Z, is 1,2,3,4 or 5 each;

T^7 and T^8 each independently represent H, or a phenyl, benzyl, or a C_1–C_6 alkyl group, or T^7 and T^8 may, together with the carbon atom to which they are bonded, form a 5-, 6-, 7-, or 8-membered ring;

U^1 and U^2 each independently represent H, a C_1–C_6 alkyl, a C_2–C_5 alkylcarbonyl, phenyl or benzyl group, or U^1 and U^2 may, together with the nitrogen atom to which they are bonded, form a 5-, 6-, 7-, or 8-membered ring.

2. Ethylene derivatives as claimed in claim **1**, wherein:

A represents a phenyl group optionally substituted by W, or a heterocyclic group optionally substituted by Y, wherein said heterocyclic group is selected from the group consisting of a thienyl, furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,3,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,3-thiadiazolyl, 1,2, 4-triazolyl, 1,2,3-triazolyl, 1,2,3,4-tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl, pyrazolinyl, and imidazolinyl groups and a naphthyl group optionally substituted by W;

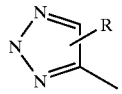
B represents H, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkylsulfonyl group, a phenylsulfonyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, —SO_2CF_3, a C_2–C_8 dialkylaminosulfonyl group, a C_3–C_9 dialkylaminothiocarbonyl group, a C_3–C_9 alkoxycarbonylalkyl group, —$C(=O)T^1$, —$P(=O)T^2T^3$, —$P(=S)T^2T^3$, an alkali metal atom, an alkaline earth metal atom, or $NHT^4T^5T^6$; and

T^1 represents a C_1–C_{20} alkyl group, a C_2–C_6 alkenyl group, a C_1–C_6 haloalkyl group, a C_1–C_4 alkoxy-C_1–C_4 alkyl group, a C_3–C_6 halocycloalkyl group, a C_1–C_4 alkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_3–C_6 cycloalkyl group optionally substituted by a C_1–C_3 alkyl group, a cycloalkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a cyclopropyl group substituted by both a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group and a C_1–C_4 alkyl group, a C_3–C_4 cycloalkyl group substituted by both a phenyl group optionally substituted by a halogen or a C_1–C_4 alkoxy group and a halogen, a cyclopropyl group substituted by both a C_2–C_4 alkenyl group optionally substituted by a halogen and a C_1–C_4 alkyl group, a C_2–C_4 alkenyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_1–C_{12} alkoxy group, a C_1–C_4 haloalkoxy group, a C_2–C_5 alkenyloxy group, a C_3–C_6 cycloalkoxy group optionally substituted by a C_1–C_3 alkyl group, a benzyloxy group, a C_2–C_5 alkoxycarbonyl group, a phenyl group optionally substituted by Z, a phenoxy group optionally substituted by Z, a phenylthio group, a naphthyl group, or a 5-membered or 6-membered heterocyclic group optionally substituted by Z wherein said heterocyclic group is selected from the group consisting of a thienyl, furyl, oxazolyl, thiazolyl, pyrazolyl, and pyridinyl groups.

3. Ethylene derivatives as claimed in claim **2**, wherein A represents a phenyl group optionally substituted by W, a thiazolyl group optionally substituted by Y, a pyrazolyl

group optionally substituted by Y, a pyridinyl group optionally substituted by Y, or a pyrimidinyl group optionally substituted by Y; and

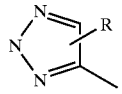
Q is represented by the following formula:



4. Ethylene derivatives as claimed in claim 2, wherein:

B represents H, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkyl sulfonyl group, a phenylsulfonyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, or —C(=O)T^1; and

Q is represented by the following formula:



5. Ethylene derivatives as claimed in claim 4, wherein:

B represents H, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkylsulfonyl group, or —C(=O)T^1; and

T^1 represents a C_1–C_{20} alkyl group, a C_2–C_6 alkenyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy-C_1–C_4 alkyl group, a C_1–C_{12} alkoxy group, a C_1–C_4 haloalkoxy group, a phenyl group optionally substituted by Z, or a pyridinyl group optionally substituted by Z.

6. Ethylene derivatives as claimed in claim 4, wherein R is a substituent selected from the group consisting of a halogen atom, a C_1–C_{10} alkyl group, a C_1–C_4 alkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_1–C_6 haloalkyl group, a C_3–C_6 cycloalkyl group optionally substituted by a C_1–C_3 alkyl group, a C_1–C_6 alkoxy group, CN, a naphthyl group, a C_2–C_7 alkoxycarbonyl group, a C_2–C_4 alkoxyalkyl group, a C_2–C_4 alkylcarbonyl group, a phenyl group optionally substituted by X, a pyridyl group optionally substituted by X, and a thienyl group optionally substituted by X, and the number of the substituent, R, is 1 or 2.

7. Ethylene derivatives as claimed in claim 6, wherein:

B represents H, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkylsulfonyl group, or —C(=O)T^1; and

T^1 represents a C_1–C_{20} alkyl group, a C_2–C_6 alkenyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy-C_1–C_4 alkyl group, a C_1–C_{12} alkoxy group, a C_1–C_4 haloalkoxy group, a phenyl group optionally substituted by Z, or a pyridinyl group optionally substituted by Z.

8. Ethylene derivatives as claimed in claim 3, wherein R is a substituent selected from the group consisting of a halogen atom, a C_1–C_{10} alkyl group, a C_1–C_4 alkyl group substituted by a phenyl group optionally substituted by a halogen or a C_1–C_4 alkyl group, a C_1–C_6 haloalkyl group, a C_3–C_6 cycloalkyl group optionally substituted by a C_1–C_3 alkyl group, a C_1–C_6 alkoxy group, CN, a naphthyl group, a C_2–C_7 alkoxycarbonyl group, a C_2–C_4 alkoxyalkyl group, a C_2–C_4 alkylcarbonyl group, a phenyl group optionally substituted by X, a pyridyl group optionally substituted by X, and a thienyl group optionally substituted by X, and the number of the substituent, R, is 1 or 2.

9. Ethylene derivatives as claimed in claim 8, wherein:

B represents H, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkylsulfonyl group, or —C(=O)T^1; and

T^1 represents a C_1–C_{20} alkyl group, a C_2–C_6 alkenyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy-C_1–C_4 alkyl group, a C_1–C_{12} alkoxy group, a C_1–C_4 haloalkoxy group, a phenyl group optionally substituted by Z, or a pyridinyl group optionally substituted by Z.

10. Ethylene derivatives as claimed in claim 6, wherein R is a substituent selected from the group consisting of a C_1–C_{10} alkyl group, a C_3–C_6 cycloalkyl group optionally substituted by a C_1–C_3 alkyl group, CN, a naphthyl group, a C_2–C_7 alkoxycarbonyl group, a C_2–C_4 alkoxyalkyl group, a phenyl group optionally substituted by X, a pyridyl group optionally substituted by X, and a thienyl group optionally substituted by X, and the number of the substituent, R, is 1 or 2.

11. Ethylene derivatives as claimed in claim 10, wherein:

B represents H, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkylsulfonyl group, or —C(=O)T^1; and

T^1 represents a C_1–C_{20} alkyl group, a C_2–C_6 alkenyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy-C_1–C_4 alkyl group, a C_1–C_{12} alkoxy group, a C_1–C_4 haloalkoxy group, a phenyl group optionally substituted by Z, or a pyridinyl group optionally substituted by Z.

12. Ethylene derivatives as claimed in claim 10, wherein

A represents a phenyl group optionally substituted by W, a thiazolyl group optionally substituted by Y, a pyrazolyl group optionally substituted by Y, a pyridinyl group optionally substituted by Y, or a pyrimidinyl group optionally substituted by Y.

13. Ethylene derivatives as claimed in claim 12, wherein:

B represents H, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkylsulfonyl group, or —C(=O)T^1; and

T^1 represents a C_1–C_{20} alkyl group, a C_2–C_6 alkenyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy-C_1–C_4 alkyl group, a C_1–C_{12} alkoxy group, a C_1–C_4 haloalkoxy group, a phenyl group optionally substituted by Z, or a pyridinyl group optionally substituted by Z.

14. Ethylene derivatives as claimed in claim 10, wherein

R is a substituent selected from the group consisting of a C_1–C_{10} alkyl group, a C_3–C_6 cycloalkyl group optionally substituted by a C_1–C_3 alkyl group, a C_2–C_4 alkoxyalkyl group, a phenyl group optionally substituted by X, and a pyridyl group optionally substituted by X, and the number of the substituent, R, is 1 or 2.

15. Ethylene derivatives as claimed in claim 14, wherein:

B represents H, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkylsulfonyl group, or —C(=O)T^1; and

T^1 represents a C_1–C_{20} alkyl group, a C_2–C_6 alkenyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy-C_1–C_4 alkyl group, a C_1–C_{12} alkoxy group, a C_1–C_4 haloalkoxy group, a phenyl group optionally substituted by Z, or a pyridinyl group optionally substituted by Z.

16. Ethylene derivatives as claimed in claim 14, wherein

A represents a phenyl group optionally substituted by W, a thiazolyl group optionally substituted by Y, a pyra-

zolyl group optionally substituted by Y, a pyridinyl group optionally substituted by Y, or a pyrimidinyl group optionally substituted by Y.

17. Ethylene derivatives as claimed in claim **16**, wherein:

B represents H, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkylsulfonyl group, or —C(=O)T^1; and

T^1 represents a C_1–C_{20} alkyl group, a C_2–C_6 alkenyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy-C_1–C_4 alkyl group, a C_1–C_{12} alkoxy group, a C_1–C_4 haloalkoxy group, a phenyl group optionally substituted by Z, or a pyridinyl group optionally substituted by Z.

18. Ethylene derivatives as claimed in claim **17**, wherein

A represents a pyrazolyl group optionally substituted by Y, or a pyridinyl group optionally substituted by Y.

19. Ethylene derivatives as claimed in claim **14**, wherein

R is a substituent selected from the group consisting of a C_1–C_{10} alkyl group, and a phenyl group, and the number of the substituent, R, is 1 or 2.

20. Ethylene derivatives as claimed in claim **19**, wherein:

B represents H, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkylsulfonyl group, or —C(=O)T^1; and

T^1 represents a C_1–C_{20} alkyl group, a C_2–C_6 alkenyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy-C_1–C_4 alkyl group, a C_1–C_{12} alkoxy group, a C_1–C_4 haloalkoxy group, a phenyl group optionally substituted by Z, or a pyridinyl group optionally substituted by Z.

21. Ethylene derivatives as claimed in claim **19**, wherein

A represents a phenyl group optionally substituted by W, a thiazolyl group optionally substituted by Y, a pyrazolyl group optionally substituted by Y, a pyridinyl group optionally substituted by Y, or a pyrimidinyl group optionally substituted by Y.

22. Ethylene derivatives as claimed in claim **21**, wherein:

B represents H, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkylsulfonyl group, or —C(=O)T^1; and

T^1 represents a C_1–C_{20} alkyl group, a C_2–C_6 alkenyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy-C_1–C_4 alkyl group, a C_1–C_{12} alkoxy group, a C_1–C_4 haloalkoxy group, a phenyl group optionally substituted by Z, or a pyridinyl group optionally substituted by Z.

23. Ethylene derivatives as claimed in claim **21**, wherein

A represents a pyrazolyl group optionally substituted by Y or a pyridinyl group optionally substituted by Y.

24. Ethylene derivatives as claimed in claim **23**, wherein:

B represents H, a C_1–C_4 alkyl group, a C_1–C_4 haloalkyl group, a C_2–C_4 alkoxyalkyl group, $CH_3OC_2H_4OCH_2$, a C_1–C_4 alkylsulfonyl group, or —C(=O)T^1; and

T^1 represents a C_1–C_{20} alkyl group, a C_2–C_6 alkenyl group, a C_1–C_4 haloalkyl group, a C_1–C_4 alkoxy-C_1–C_4 alkyl group, a C_1–C_{12} alkoxy group, or a C_1–C_4 haloalkoxy group.

25. Ethylene derivatives as claimed in claim **24**, wherein:

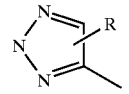
A is a heterocyclic group optionally substituted by Y, said heterocyclic group being a pyrazolyl or pyridinyl group;

B is H, a C_2–C_4 alkoxyalkyl group, or —C(=O)T^1;

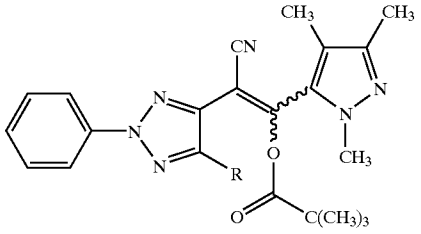
Y is a substituent selected from the group consisting of a halogen atom, a C_1–C_{10} alkyl group, and a C_1–C_6 haloalkyl group, and the number of the substituent, Y, is 1, 2, or 3;

T^1 is a C_1–C_{20} alkyl group.

26. Ethylene derivatives as claimed in claim **1**, wherein Q is represented by the following formula:



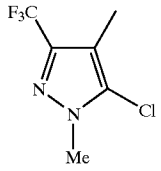
27. Ethylene derivatives as claimed in claim **26**, wherein the ethylene derivative is represented by the following formula:



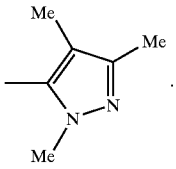
wherein R represents a C_1–C_6 alkyl group.

28. Ethylene derivatives as claimed in claim **26**, wherein R is selected from the group consisting of 5-methyl-2-phenyl, 5-ethyl-2-phenyl, and 5-isopropyl-2-phenyl.

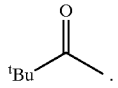
29. Ethylene derivatives as claimed in claim **1**, wherein A is selected from the group consisting of:



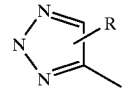
and



30. Ethylene derivatives as claimed in claim **1**, wherein B is

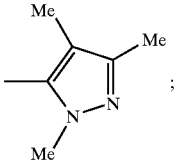


31. Ethylene derivatives as claimed in claim **1**, wherein Q is represented by the following formula:



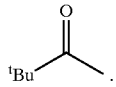
R is 5-methyl-2-phenyl;

A is represented by the following formula:

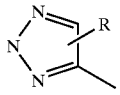


and

B is represented by the following formula:

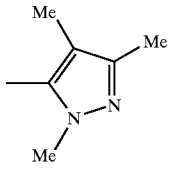


32. Ethylene derivatives as claimed in claim **1**, wherein Q is represented by the following formula:



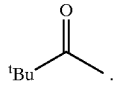
R is 5-ethyl-2-phenyl;

A is represented by the following formula:

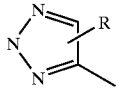


and

B is represented by the following formula:

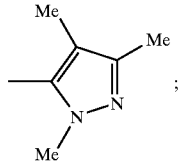


33. Ethylene derivatives as claimed in claim **1**, wherein Q is represented by the following formula:



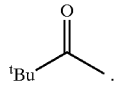
R is 5-isopropyl-2-phenyl;

A is represented by the following formula:



and

B is represented by the following formula:



34. An agricultural chemical comprising, as an active ingredient, one or more ethylene derivatives of claim **1**.

35. An insecticidal or acarcicidal agent comprising, as an active ingredient, one or more ethylene derivatives of claim **1**.

36. An agent for preventing the attachment of aquatic organisms to a substrate, the agent comprising, as an active ingredient, one or more ethylene derivatives of claim **1**.

37. A method for controlling insects, acari, nematodes, herbs or fungi, comprising applying an agricultural chemical comprising, as an active ingredient, one or more compounds of claim 1.

38. A method for controlling insects or acari comprising applying an insecticidal or acarcicidal agent comprising, as an active ingredient, one or more compounds of claim 1.

39. A method for preventing the attachment of aquatic organisms to a substrate, comprising applying to said substrate an agent comprising, as an active ingredient, one or more compounds of claim 1.

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