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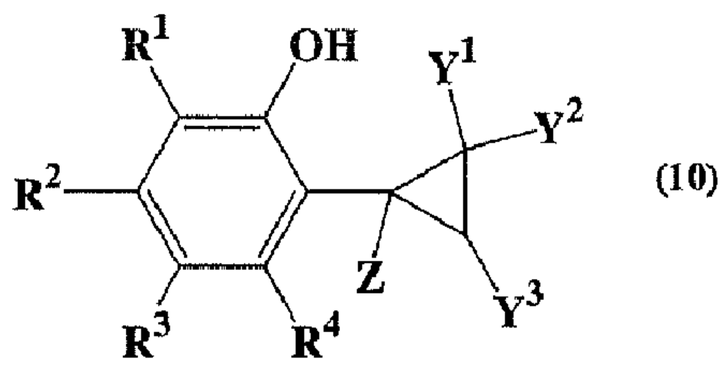
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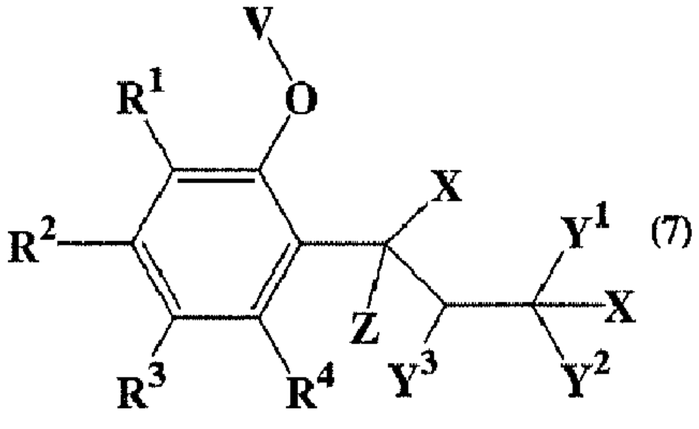
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(54) Titre : PROCEDE SERVANT A PRODUIRE UN DERIVE DE CYCLOPROPYLPHENOL
(54) Title: PROCESS FOR PRODUCING CYCLOPROPYLPHENOL DERIVATIVE



(10)



(7)

(9)

(57) Abrégé/Abstract:
A method of easily synthesizing a cyclopropylphenol derivative. The method, which is a process for producing a cyclopropylphenol derivative represented by the general formula (10): [wherein R^1, R^2, R^3, and R^4 each independently is hydrogen, etc.; Z is

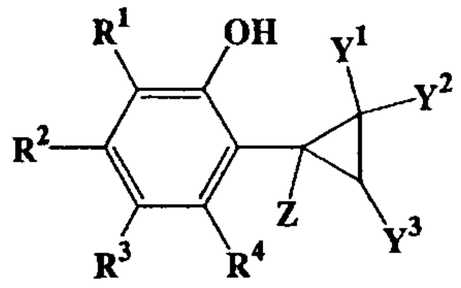
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(57) Abrégé(suite)/Abstract(continued):
hydrogen, etc.; and Y^1, Y^2, and Y^3 each independently is hydrogen, etc.], comprises reacting a compound represented by the general formula (7): [wherein the symbols have the same meanings as defined above; X is halogeno; and V is hydrogen, etc.] with a metal, metal salt, or organometallic compound represented by the general formula M^2 (8) to obtain a compound represented by the general formula (9): [wherein the symbols have the same meanings as defined above]. When V is a -W-R^5 group, hydrolysis is further conducted. Thus, a compound of the general formula (10) is obtained.

ABSTRACT

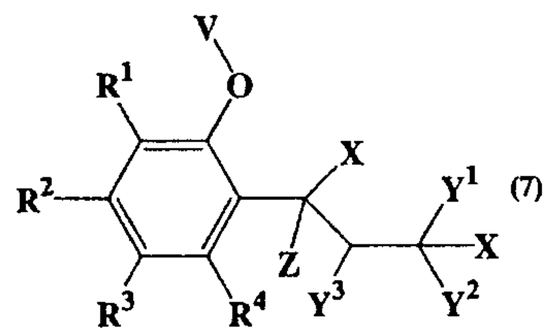
An object of the present invention is to find a method for easily synthesizing cyclopropylphenol derivatives. The object of the present invention is to find a process for producing a cyclopropylphenol derivative represented by general formula (10):



(10)

[wherein R^1, R^2, R^3 and R^4 each independently represent a hydrogen atom or the like; Z represents a hydrogen atom or the like; and Y^1, Y^2 and Y^3 each independently represent a hydrogen atom or the like];

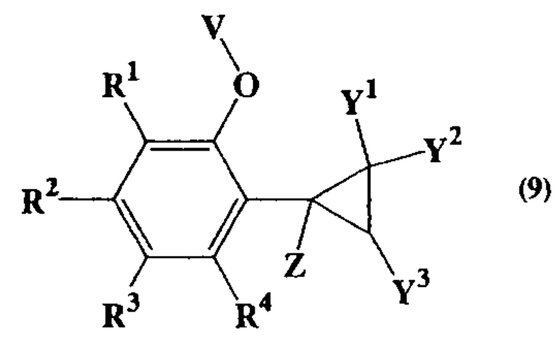
and solved by the process comprising reacting a compound represented by general formula (7):



(7)

[wherein each of the symbols are the same as previously defined; X represents a halogen atom; and V represents a hydrogen atom or the like],

with a metal, metal salt or organometallic compound represented by general formula (8): M^2 to obtain a compound represented by general formula (9):



(9)

[wherein each of the symbols are the same as previously defined] and obtaining a compound represented by general formula (10) by hydrolysis in the case V represents the group, $-W-R^5$.

DESCRIPTION

PRODUCTION PROCESS OF CYCLOPROPYLPHENOL DERIVATIVES

TECHNICAL FIELD

[0001]

The present invention relates to a process for producing cyclopropylphenol derivatives useful as intermediates of pharmaceuticals, agrochemicals and functional materials.

BACKGROUND ART

[0002]

Cyclopropylphenol derivatives of the present invention are useful as intermediates of pharmaceuticals/agrochemicals and functional materials. For example, a 3-phenoxy-4-pyridazinol derivative having herbicidal activity is produced using a cyclopropylphenol derivative as an intermediate (Patent Document 1). Examples of known process for producing cyclopropylphenol derivatives include a process for synthesizing from styrenes by a Simmons-Smith reaction (Non-Patent Document 1), a process in which a 5-phenyl-pyrazoline is heated to reduce ring size (Non-Patent Document 2), a process in which an allyl phenol ether is subjected to an intramolecular ring closure by photoreaction (Non-Patent Document 3), a process for condensing a vinyl phenol ether and diazomethane using a palladium catalyst (Non-Patent Document 4), a process for coupling a hydroxy(cyclopropyl)boron complex, obtained by dihydroboronation of propagyl bromide followed by treatment with an alkaline aqueous solution, with a phenyl bromide using a palladium catalyst (Non-Patent Document 5), a process for synthesizing via an intramolecular ring closure by reacting 1-allyloxy-2-bromobenzene and t-butyl lithium (Non-Patent Document 6), a process for condensing cyclopropyl borate with a phenyl bromide in the presence

of a palladium catalyst (Non-Patent Document 7), a process for synthesizing from (1,3-dibromopropyl)benzene by an intramolecular ring closure reaction using zinc (Non-Patent Document 8) and a process for reacting chloroform with a styrene in the presence of a phase transfer catalyst and sodium hydroxide (Non-Patent Document 9).

[0003]

However, Simmons-Smith reagent, palladium catalyst and boron complexes are expensive reagents, and since intramolecular ring closure reactions by photoreaction or using t-butyl lithium, reactions for reducing ring size of pyrazoline using heat, cyclization reactions of dibromophenols using zinc or the like result in the formation of large amounts of by-products, the yield of the desired compound is generally low. Moreover, since Simmons-Smith reagent, diazomethane, boron complexes, t-butyl lithium and palladium catalysts and the like are unstable reagents, they have shortcomings such as problems with ease of the reaction procedure. In addition, in Non-Patent Document 8, a 4-(1,3-dibromopropyl)phenyl acetate derivative is synthesized by reacting a cinnamyl alcohol derivative and acetyl bromide, and then followed by an intramolecular ring closure reaction with zinc to synthesize a desired cyclopropylphenol derivative. However, cinnamyl alcohol is not acquired easily. In addition, in Non-Patent Document 9, dichloromethylcarbene is generated from chloroform by a styrene derivative to synthesize a dichlorocyclopropylphenol derivative. However, chloroform is highly toxic and it is difficult to acquire styrene derivatives.

[Patent Document 1] WO 03/016286 A1

[Non Patent Document 1] Herbertz, T.; Lakkaraju, Prasad S.; Blume, F.; Blume, M.; Rosht, H. D. Eur. J. Org. Chem., 2000, 3, 467-472.

[Non Patent Document 2] Walia, S.; Saxena, V. S.; Mukerjee, S. K. Agric. Biol. Chem., 1984, 48, 2675-2680.

[Non Patent Document 3] Kitamura, T.; Imagawa, T.; Kawanishi, M, Tetrahedron, 1978, 34, 3451-3457.

[Non Patent Document 4] Quinkert, G.; Scherer, S.; Reichert, D.; Nestler, H.-P.; Wennemers, H. et al. Helv. Chim. Acta, 1997, 80, 1683-1772.

[Non Patent Document 5] Soderquist, J. A.; Huertas, R.; Leon-Colon, G. Tetrahedron Lett., 2000, 41, 4251-4246.

[Non Patent Document 6] Barluenga, J.; Fananas, F. J.; Sanz, R.; Marcos, C. Org. Lett., 2002, 4, 2225-2228.

[Non Patent Document 7] Wallace, D. J.; Chen, C. Tetrahedron Lett., 2002, 43, 6987-6990.

[Non Patent Document 8] Lu, F.; Ralph, J. J. Agric. Food Chem., 1999, 47, 1981-1987.

[Non Patent Document 9] Jayachandran, J. P.; Wang, M.-L. Synth. Commun., 2003, 33, 2463-2468.

DISCLOSURE OF THE INVENTION

Problems to be Solved by the Invention

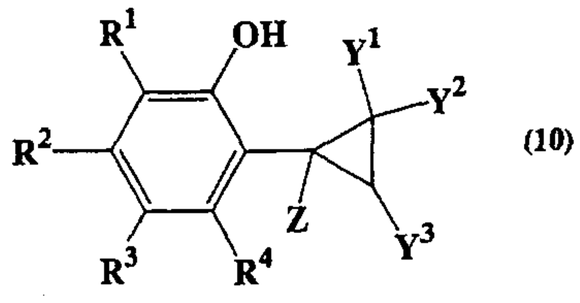
[0004]

The inventors of the present invention conducted extensive studies to develop a simple synthesis process in consideration of the importance of cyclopropylphenol derivatives as synthesis intermediates of pharmaceuticals/ agrochemicals and functional materials. As a result, the inventors of the present invention found that a cyclopropylphenol derivative (10) can be synthesized by using an easily acquirable phenylcarbonyl compound (1) as a raw material, reacting it with an organometallic compound (2) to obtain an alcohol derivative (3), and either reacting this with an acid halide (6) or reacting with a hydrogen halide (5) in the presence of an acid anhydride (4) to synthesize a (1,3-dihaloalkyl)phenyl ester derivative (7) as an intermediate followed by reacting with a metal or organic metal, thereby leading to completion of the present invention.

Means for Solving the Problems

[0005]

The present invention relates to a process for producing a cyclopropylphenol derivative represented by general formula (10):



(10)

[wherein R^1, R^2, R^3 and R^4 each independently represent a hydrogen atom, halogen atom, optionally substituted C_1-C_6 alkyl group (wherein said substituent is a substituent selected from the following substituent group B), optionally substituted C_2-C_6 alkenyl group (wherein said substituent is a cyano group or nitro group), C_2-C_6 alkynyl group, optionally substituted C_3-C_6 cycloalkyl group (wherein said substituent is a substituent selected from the following substituent group C), C_4-C_{10} bicycloalkyl group, cyano group, formyl group, C_2-C_7 alkylcarbonyl group, optionally substituted benzoyl group (wherein said substituent is a substituent selected from the following substituent group A), carboxyl group, C_2-C_7 alkoxycarbonyl group, carbamoyl group, di(C_1-C_6 alkyl)carbamoyl group, optionally substituted phenyl group (wherein said substituent is a substituent selected from the following substituent group A), optionally substituted 3 to 6 membered heterocyclic group (wherein said heterocyclic ring contains one nitrogen atom, oxygen atom or sulfur atom therein, may further contain 1 to 2 nitrogen atoms, may be condensed with a benzene ring, and said substituent is a substituent selected from the following substituent group E), optionally substituted amino group (wherein said substituent is a substituent selected from the following substituent group D), nitro group, hydroxyl group, C_1-C_6 alkoxy group, C_1-C_6 haloalkoxy group, (C_1-C_6 alkoxy) C_1-C_6 alkoxy group, optionally

substituted phenoxy group (wherein said substituent is a hydroxyl group or a pyridazinyloxy group substituted with a halogen atom and/or C_1-C_6 alkoxy group), optionally substituted 5 to 6 membered heterocyclooxy group (wherein said heterocyclic ring contains one nitrogen atom, oxygen atom or sulfur atom therein, may further contain 1 to 2 nitrogen atoms, and said substituent is a substituent selected from the following substituent group E), optionally substituted C_2-C_7 alkylcarbonyloxy group (wherein said substituent is a substituent selected from the following substituent group B), optionally substituted C_2-C_7 alkoxycarbonyloxy group (wherein said substituent is a substituent selected from the following substituent group B), optionally substituted benzoyloxy group (wherein said substituent is a substituent selected from the following substituent group A), optionally substituted phenylsulfonyloxy group (wherein said substituent is a substituent selected from the following substituent group A), C_1-C_6 alkylthio group, C_1-C_6 alkylsulfinyl group, C_1-C_6 alkylsulfonyl group or tri(C_1-C_6 alkyl)silyl group, or two adjacent R^1, R^2, R^3 and R^4 may together with carbon atoms respectively bonded thereto form an optionally substituted 3 to 6 membered cyclic hydrocarbon group (wherein said cyclic hydrocarbon may be interrupted by 1 to 2 same or different heteroatoms selected from the group consisting of a nitrogen atom, oxygen atom and sulfur atom, said substituent is a halogen atom, C_1-C_6 alkyl group, hydroxy C_1-C_6 alkyl group, C_1-C_6 alkoxy group, oxo group, hydroxyimino group or C_1-C_6 alkoxyimino group, and in the case the cyclic hydrocarbon is substituted with a C_1-C_6 alkyl group, a new 3-membered ring may be formed by bonding with other C_1-C_6 alkyl groups or carbon atoms on the ring);

Z represents a hydrogen atom, optionally substituted C_1-C_6 alkyl group (wherein said substituent is a substituent selected from the following substituent

group B), C_2-C_6 alkenyl group, C_2-C_6 alkynyl group, optionally substituted C_3-C_6 cycloalkyl group or optionally substituted phenyl group (wherein said substituent is a substituent selected from the following substituent group A);

Y^1, Y^2 and Y^3 each independently represent a hydrogen atom, halogen atom, optionally substituted C_1-C_6 alkyl group (wherein said substituent is a substituent selected from the following substituent group B), optionally substituted C_2-C_6 alkenyl group (wherein said substituent is a cyano group or nitro group), C_2-C_6 alkynyl group, optionally substituted C_3-C_6 cycloalkyl group (wherein said substituent is a substituent selected from the following substituent group C), optionally substituted phenyl group (wherein said substituent is a substituent selected from the following substituent group A), nitro group, C_1-C_6 alkoxy group, C_1-C_6 haloalkoxy group, (C_1-C_6 alkoxy)C_1-C_6 alkoxy group, C_1-C_6 alkylthio group, C_1-C_6 alkylsulfinyl group or C_1-C_6 alkylsulfonyl group;

substituent group A is a group consisting of a halogen atom, C_1-C_6 alkyl group, C_1-C_6 haloalkyl group, C_3-C_6 cycloalkyl group, cyano group and tri(C_1-C_6 alkyl)silyl group;

substituent group B is a group consisting of a halogen atom, C_3-C_6 cycloalkyl group, cyano group, C_2-C_7 alkylcarbonyl group, C_2-C_7 alkoxycarbonyl group, phenyl group, C_1-C_6 alkoxy group, C_1-C_6 alkylthio group, C_1-C_6 alkylsulfinyl group, C_1-C_6 alkylsulfonyl group, C_1-C_4 alkylenedioxy group, hydroxyimino group and C_1-C_6 alkoxyimino group;

substituent group C is a group consisting of a halogen atom, optionally substituted C_1-C_6 alkyl group (wherein said substituent is a substituent selected from the aforementioned substituent group B), C_3-C_6 cycloalkyl group, C_2-C_6 alkenyl group, cyano group, C_2-C_7 alkylcarbonyl group, benzoyl group, carboxyl group, C_2-C_7

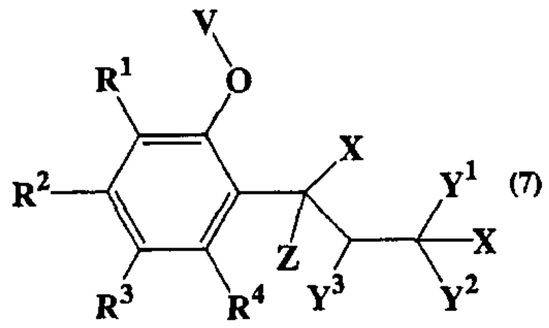
alkoxycarbonyl group, carbamoyl group, di(C_1-C_6 alkyl)carbamoyl group, optionally substituted phenyl group (wherein said substituent is a substituent selected from the aforementioned substituent group A), 5- or 6-membered heterocyclic group (wherein said heterocyclic ring contains one nitrogen atom, oxygen atom or sulfur atom therein, and may further contain 1 to 2 nitrogen atoms), optionally substituted amino group (wherein said substituent is a substituent selected from the following substituent group D), nitro group, hydroxyl group, C_1-C_6 alkoxy group, C_1-C_6 haloalkoxy group, phenoxy group, C_1-C_6 alkylthio group, phenylthio group, C_1-C_6 alkylsulfinyl group and C_1-C_6 alkylsulfonyl group;

substituent group D is a group consisting of a C_1-C_6 alkyl group, C_2-C_7 alkylcarbonyl group, C_2-C_7 alkoxycarbonyl group, di(C_1-C_6 alkyl)carbamoyl group and C_1-C_6 alkylsulfonyl group; and

substituent group E is a group consisting of a halogen atom, C_1-C_6 alkyl group, C_1-C_6 haloalkyl group, hydroxyl group, optionally substituted phenylsulfonyl group (wherein said substituent is a substituent selected from the aforementioned substituent group A) and di(C_1-C_6 alkyl)sulfamoyl group];

[0006]

the process comprising reacting a compound represented by general formula (7):



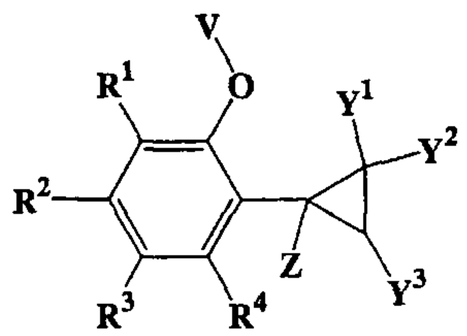
(7)

[wherein R^1, R^2, R^3, R^4, Z, Y^1, Y^2 and Y^3 are the same as previously defined; X represents a halogen atom; V represents a hydrogen atom or the group, -W-R^5, wherein R^5 represents a C_1-C_6 alkyl group, C_1-C_6 haloalkyl group, C_3-C_6 cycloalkyl group, C_2-C_6 alkenyl group, C_2-C_6 alkynyl group,

optionally substituted phenyl group (wherein said substituent is a substituent selected from a halogen atom and C_1-C_6 alkyl group), C_1-C_6 alkoxy group or C_1-C_6 haloalkoxy group; and, W represents a CO, SO or SO_2 group] with a metal, metal salt or organometallic compound represented by general formula (8):

M^2 (8)

to obtain a compound represented by general formula (9):

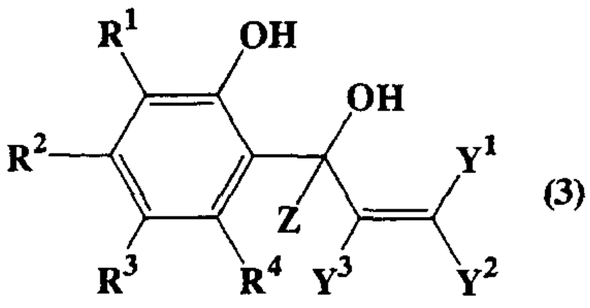


(9)

[wherein R^1, R^2, R^3, R^4, V, Z, Y^1, Y^2 and Y^3 are the same as previously defined] and obtaining a compound represented by general formula (10) by hydrolysis in the case V represents the group, -W-R^5.

[0007]

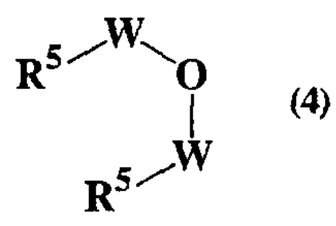
In addition, the present invention also relates to a process for obtaining a compound of the aforementioned general formula (10) further comprising a step in which a compound of general formula (7) is obtained by reacting a compound represented by general formula (3):



(3)

[wherein R^1, R^2, R^3, R^4, Z, Y^1, Y^2 and Y^3 are the same as previously defined] with a mixture of a compound represented by general formula (4):

[0008]



(4)

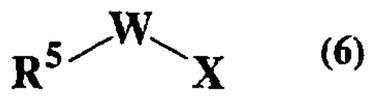
[wherein R^5 and W are the same as previously defined] and

a compound represented by general formula (5):

H-X (5)

[wherein X is the same as previously defined], or by reacting with an acid halide represented by general formula (6):

[0009]

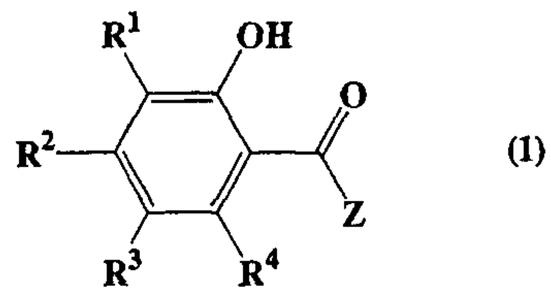


(6)

[wherein R^5, W and X are the same as previously defined].

[0010]

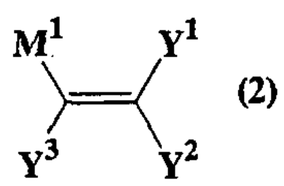
The present invention also relates to the aforementioned process further comprising a step in which a compound represented by general formula (3) is obtained by reacting a compound represented by general formula (1):



(1)

[wherein R^1, R^2, R^3, R^4 and Z are the same as previously defined], or salt thereof, with an organometallic compound represented by general formula (2):

[0011]

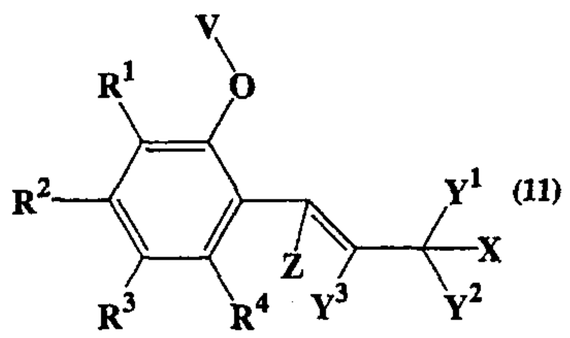


(2)

[wherein Y^1, Y^2 and Y^3 are the same as previously defined; and M^1 represents a metal residue, metal salt residue or organometallic residue].

[0012]

The present invention also relates to the aforementioned process further comprising a step in which a compound represented by general formula (7) is obtained by reacting a compound represented by general formula (11):



(11)

[wherein R^1, R^2, R^3, R^4, V, X, Z, Y^1, Y^2 and Y^3 are the same as previously defined] with a hydrogen halide represented by general formula (5):

H-X (5)

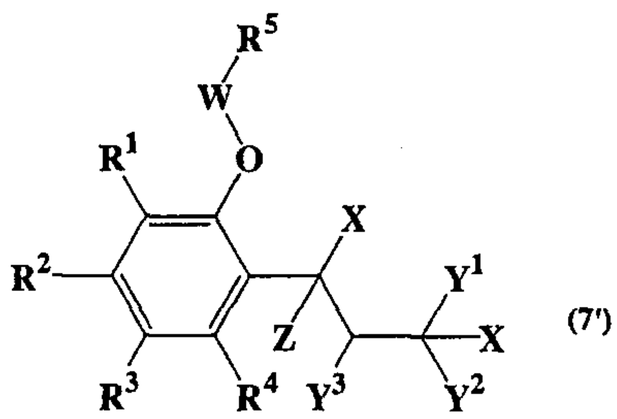
[wherein X is the same as previously defined].

[0013]

Moreover, the present invention also relates to a compound represented by the aforementioned general formula (3) (wherein R^1, R^2, R^3 and R^4 are the same as previously defined, and Z, Y^1, Y^2 and Y^3 represent hydrogen atoms provided that R^1 is not a hydrogen atom).

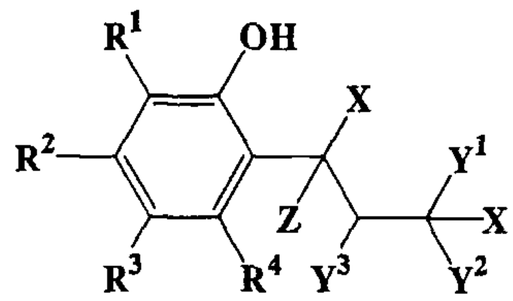
[0014]

Moreover, the present invention also relates to a compound represented by general formula (7'):



(7')

[wherein R^1, R^2, R^3, R^4, R^5, W, X, Z, Y^1, Y^2 and Y^3 are the same as previously defined, provided that R^5 is neither a C_1-C_6 alkoxy group nor C_1-C_6 haloalkoxy group, and X is not a fluorine atom], or salt thereof; and a compound represented by general formula (7"):



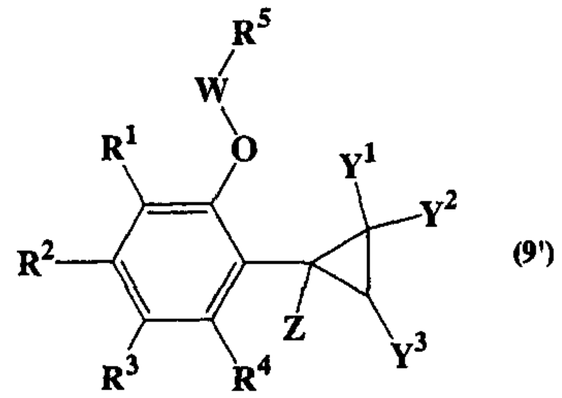
(7")

[wherein R^1, R^2, R^3, R^4, X, Z, Y^1, Y^2 and Y^3 are the same as previously defined, provided that X is not a fluorine

atom], or salt thereof, which compose a compound represented by the aforementioned general formula (7).

[0015]

In addition, the present invention also relates to a compound represented by general formula (9'):

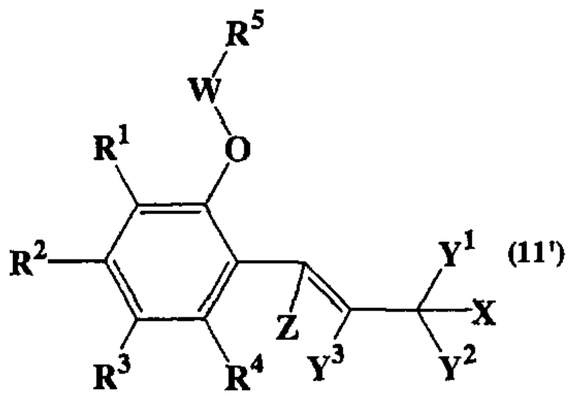


(9')

[wherein R^1, R^2, R^3, R^4, R^5, W, Z, Y^1, Y^2 and Y^3 are the same as previously defined, provided that R^1 is neither a hydrogen atom nor acetoxy group], or salt thereof, which composes a compound represented by the aforementioned general formula (9).

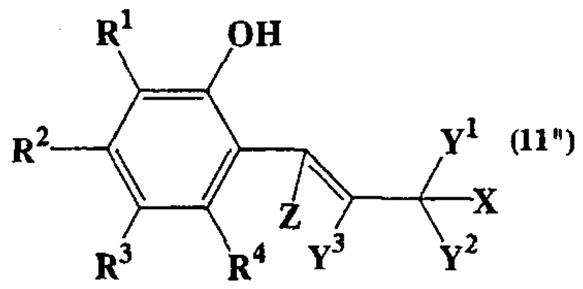
[0016]

In addition, the present invention also relates to a compound represented by general formula (11'):



(11')

[wherein R^1, R^2, R^3, R^4, R^5, W, X, Z, Y^1, Y^2 and Y^3 are the same as previously defined, provided that R^1 is neither a hydrogen atom nor acetoxy group, and X is not a fluorine atom], or salt thereof, and a compound represented by general formula (11"):



(11")

[wherein R^1, R^2, R^3, R^4, X, Z, and Y^3 are the same as previously defined, and Y^1 and Y^2 represent hydrogen atoms,

provided that X is not a fluorine atom], or salt thereof, which compose a compound represented by the aforementioned general formula (11).

Effects of the Invention

[0017]

According to the process of the present invention, since cyclopropylphenol derivatives can be produced inexpensively at high yield and with stability, cyclopropylphenol derivatives useful as raw materials of pharmaceuticals/agrochemicals and functional materials can be easily produced.

BEST MODE FOR CARRYING OUT THE INVENTION

[0018]

In the present invention, a "halogen atom" is a fluorine atom, chlorine atom, bromine atom or iodine atom, preferably a fluorine atom, chlorine atom or bromine atom, more preferably a chlorine atom or bromine atom, and even more preferably a chlorine atom.

[0019]

In the present invention, the " C_1-C_6 alkyl group" is a linear or branched alkyl group having 1 to 6 carbon atoms, examples of which include a methyl, ethyl, propyl, isopropyl, butyl, isobutyl, s-butyl, t-butyl, pentyl, isopentyl, 2-methylbutyl, neopentyl, 1-ethylpropyl, hexyl, 4-methylpentyl, 3-methylpentyl, 2-methylpentyl, 1-methylpentyl, 3,3-dimethylbutyl, 2,2-dimethylbutyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,3-dimethylbutyl or 2-ethylbutyl group, preferably a linear or branched alkyl group having 1 to 4 carbon atoms (C_1-C_4 alkyl group), more preferably a linear or branched alkyl group having 1 to 3 carbon atoms (C_1-C_3 alkyl group), even more preferably an alkyl group having 1 to 2 carbon atoms (C_1-C_2 alkyl group), and particularly preferably a methyl group.

[0020]

In the present invention, the "C_3-C_6 cycloalkyl

group" is a cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl group, preferably a cyclopropyl or cyclobutyl group, more preferably a cyclopropyl group.

[0021]

In the present invention, the "C_2-C_7 alkylcarbonyl group" is a carbonyl group to which the aforementioned "C_1-C_6 alkyl group" is bonded, examples of which include an acetyl, propionyl, butyryl, isobutyryl, valeryl, isovaleryl, pivaloyl, hexanoyl or heptanoyl group, preferably a carbonyl group to which a linear or branched alkyl group having 1 to 4 carbon atoms are bonded (C_2-C_5 alkylcarbonyl group), even more preferably a carbonyl group to which a linear or branched alkyl group having 1 to 3 carbon atoms are bonded (C_2-C_4 alkylcarbonyl group), particularly preferably an acetyl, propionyl, valeryl or pivaloyl group, and most preferably an acetyl group.

[0022]

In the present invention, the "C_1-C_6 alkoxy group" is a linear or branched alkoxy group having 1 to 6 carbon atoms, examples of which include a methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutoxy, s-butoxy, t-butoxy, pentoxy, isopentoxy, 2-methylbutoxy, neopentoxy, 1-ethylpropoxy, hexyloxy, 4-methylpentoxy, 3-methylpentoxy, 2-methylpentoxy, 1-methylpentoxy, 3,3-dimethylbutoxy, 2,2-dimethylbutoxy, 1,1-dimethylbutoxy, 1,2-dimethylbutoxy, 1,3-dimethylbutoxy, 2,3-dimethylbutoxy or 2-ethylbutoxy group, preferably a linear or branched alkoxy group having 1 to 3 carbon atoms (C_1-C_3 alkoxy group), more preferably a methoxy or ethoxy group, even more preferably a methoxy group.

[0023]

In the present invention, the "C_2-C_7 alkoxycarbonyl group" is a carbonyl group to which the aforementioned "C_1-C_6 alkoxy group" is bonded, examples of which include a methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl, isobutoxycarbonyl, s-

butoxycarbonyl, t-butoxycarbonyl, pentoxycarbonyl, isopentoxycarbonyl, 2-methylbutoxycarbonyl, neopentoxycarbonyl, 1-ethylpropoxycarbonyl, hexyloxycarbonyl, 4-methylpentoxycarbonyl, 3-methylpentoxycarbonyl, 2-methylpentoxycarbonyl, 1-methylpentoxycarbonyl, 3,3-dimethylbutoxycarbonyl, 2,2-dimethylbutoxycarbonyl, 1,1-dimethylbutoxycarbonyl, 1,2-dimethylbutoxycarbonyl, 1,3-dimethylbutoxycarbonyl, 2,3-dimethylbutoxycarbonyl or 2-ethylbutoxycarbonyl group, preferably a carbonyl group to which a C_1-C_3 alkoxy group is bonded (C_2-C_4 alkoxycarbonyl group), more preferably a methoxycarbonyl or ethoxycarbonyl group, even more preferably a methoxycarbonyl group.

[0024]

In the present invention, the "C_1-C_6 alkylthio group" is a linear or branched alkylthio group having 1 to 6 carbon atoms, examples of which include a methylthio, ethylthio, propylthio, isopropylthio, butylthio, isobutylthio, s-butylthio, t-butylthio, pentylthio, isopentylthio, 2-methylbutylthio, neopentylthio, 1-ethylpropylthio, hexylthio, 4-methylpentylthio, 3-methylpentylthio, 2-methylpentylthio, 1-methylpentylthio, 3,3-dimethylbutylthio, 2,2-dimethylbutylthio, 1,1-dimethylbutylthio, 1,2-dimethylbutylthio, 1,3-dimethylbutylthio, 2,3-dimethylbutylthio or 2-ethylbutylthio group, preferably a linear or branched alkylthio group having 1 to 3 carbon atoms (C_1-C_3 alkylthio group), more preferably a methylthio or ethylthio group, even more preferably a methylthio group.

[0025]

In the present invention, the "C_1-C_6 alkylsulfinyl group" is a linear or branched alkylsulfinyl group having 1 to 6 carbon atoms, examples of which include a methylsulfinyl, ethylsulfinyl, propylsulfinyl, isopropylsulfinyl, butylsulfinyl, isobutylsulfinyl, s-butylsulfinyl, t-butylsulfinyl, pentylsulfinyl,

isopentylsulfinyl, 2-methylbutylsulfinyl, neopentylsulfinyl, 1-ethylpropylsulfinyl, hexylsulfinyl, 4-methylpentylsulfinyl, 3-methylpentylsulfinyl, 2-methylpentylsulfinyl, 1-methylpentylsulfinyl, 3,3-dimethylbutylsulfinyl, 2,2-dimethylbutylsulfinyl, 1,1-dimethylbutylsulfinyl, 1,2-dimethylbutylsulfinyl, 1,3-dimethylbutylsulfinyl, 2,3-dimethylbutylsulfinyl or 2-ethylbutylsulfinyl group, preferably a linear or branched alkylsulfinyl group having 1 to 3 carbon atoms (C_1-C_3 alkylsulfinyl group), more preferably a methylsulfinyl or ethylsulfinyl group, even more preferably a methylsulfinyl group.

[0026]

In the present invention, the "C_1-C_6 alkylsulfonyl group" is a linear or branched alkylsulfonyl group having 1 to 6 carbon atoms, examples of which include a methylsulfonyl, ethylsulfonyl, propylsulfonyl, isopropylsulfonyl, butylsulfonyl, isobutylsulfonyl, s-butylsulfonyl, t-butylsulfonyl, pentylsulfonyl, isopentylsulfonyl, 2-methylbutylsulfonyl, neopentylsulfonyl, 1-ethylpropylsulfonyl, hexylsulfonyl, 4-methylpentylsulfonyl, 3-methylpentylsulfonyl, 2-methylpentylsulfonyl, 1-methylpentylsulfonyl, 3,3-dimethylbutylsulfonyl, 2,2-dimethylbutylsulfonyl, 1,1-dimethylbutylsulfonyl, 1,2-dimethylbutylsulfonyl, 1,3-dimethylbutylsulfonyl, 2,3-dimethylbutylsulfonyl or 2-ethylbutylsulfonyl group, preferably a linear or branched alkylsulfonyl group having 1 to 3 carbon atoms (C_1-C_3 alkylsulfonyl group), more preferably a methylsulfonyl or ethylsulfonyl group, even more preferably a methylsulfonyl group.

[0027]

In the present invention, the "C_1-C_4 alkylenedioxy group" is a linear or branched alkylenedioxy group having 1 to 4 carbon atoms, examples of which include a methylenedioxy, ethylenedioxy, propylenedioxy,

trimethylenedioxy or tetramethylenedioxy group, preferably an alkylenedioxy group having 1 to 2 carbon atoms, more preferably a 1,2-ethylenedioxy group.

[0028]

In the present invention, the "C_1-C_6 alkoxyimino group" is a linear or branched alkoxyimino group having 1 to 6 carbon atoms, examples of which include a methoxyimino, ethoxyimino, propoxyimino, isopropoxyimino, butoxyimino, isobutoxyimino, s-butoxyimino, t-butoxyimino, pentoxyimino, isopentoxyimino, 2-methylbutoxyimino, neopentoxyimino, 1-ethylpropoxyimino, hexyloxyimino, 4-methylpentoxyimino, 3-methylpentoxyimino, 2-methylpentoxyimino, 1-methylpentoxyimino, 3,3-dimethylbutoxyimino, 2,2-dimethylbutoxyimino, 1,1-dimethylbutoxyimino, 1,2-dimethylbutoxyimino, 1,3-dimethylbutoxyimino, 2,3-dimethylbutoxyimino or 2-ethylbutoxyimino group, preferably a linear or branched alkoxyimino group having 1 to 3 carbon atoms (C_1-C_3 alkoxyimino group), more preferably a methoxyimino or ethoxyimino group, even more preferably a methoxyimino group.

[0029]

In the present invention, the "optionally substituted C_1-C_6 alkyl group (wherein said substituent is a substituent selected from the substituent group B)" is the aforementioned "C_1-C_6 alkyl group" optionally substituted with the aforementioned "halogen atom", or with same or different 1 to 5 substituents selected from the group consisting of the aforementioned "C_3-C_6 cycloalkyl group", cyano group, the aforementioned "C_2-C_7 alkylcarbonyl group", the aforementioned "C_2-C_7 alkoxycarbonyl group", phenyl group, the aforementioned "C_1-C_6 alkoxy group", the aforementioned "C_1-C_6 alkylthio group", the aforementioned "C_1-C_6 alkylsulfinyl group", the aforementioned "C_1-C_6 alkylsulfonyl group", the aforementioned "C_1-C_4 alkylenedioxy group", hydroxyimino

group or the aforementioned "C_1-C_6 alkoxyimino group", examples of which include a methyl, ethyl, propyl, isopropyl, butyl, t-butyl, fluoromethyl, difluoromethyl, trifluoromethyl, 2,2,2-trichloroethyl, cyclopropylmethyl, cyanomethyl, acetylmethyl, acetylethyl, methoxycarbonylmethyl, methoxycarbonylethyl, ethoxycarbonylmethyl, ethoxycarbonylethyl, benzyl, methoxymethyl, methoxyethyl, ethoxymethyl, ethoxyethyl, methylthiomethyl, methylthioethyl, ethylthiomethyl, ethylthioethyl, methylsulfinylmethyl, methylsulfonylmethyl, 2-(1,3-dioxolanyl), hydroxyiminomethyl or methoxyiminomethyl group, preferably a C_1-C_3 alkyl group optionally substituted with 1 to 3 same or different substituents selected from the group consisting of a fluorine atom, chlorine atom and bromine atom, or C_1-C_3 alkyl group optionally substituted with 1 to 3 same or different substituents selected from the group consisting of C_3-C_4 cycloalkyl group, cyano group, C_2-C_4 alkylcarbonyl group, C_2-C_4 alkoxycarbonyl group, phenyl group, C_1-C_3 alkoxy group, C_1-C_3 alkylthio group, C_1-C_3 alkylsulfinyl group, C_1-C_3 alkylsulfonyl group, C_1-C_2 alkylenedioxy group, hydroxyimino group or C_1-C_3 alkoxyimino group, more preferably a C_1-C_2 alkyl group optionally substituted with 1 to 3 same fluorine atoms or chlorine atoms, or a C_1-C_2 alkyl group optionally substituted with 1 to 2 same or different substituent groups selected from the group consisting of cyclopropyl group, cyano group, C_2-C_3 alkylcarbonyl group, C_2-C_3 alkoxycarbonyl group, phenyl group, C_1-C_2 alkoxy group, C_1-C_2 alkylthio group, C_1-C_2 alkylsulfinyl group, C_1-C_2 alkylsulfonyl group, ethylenedioxy group, hydroxyimino group or C_1-C_2 alkoxyimino group.

[0030]

In the present invention, the "C_2-C_6 alkenyl group" is a linear or branched alkenyl group having 2 to 6 carbon atoms, examples of which include a vinyl, 1-methylvinyl,

allyl, 1-propenyl, 1-methyl-1-propenyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl, 2-ethyl-2-propenyl, 2-butenyl, 1-methyl-2-butenyl, 2-methyl-2-butenyl, 1-ethyl-2-butenyl, 3-butenyl, 1-methyl-3-butenyl, 2-methyl-3-butenyl, 1-ethyl-3-butenyl, 2-pentenyl, 1-methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-pentenyl, 1-methyl-3-pentenyl, 2-methyl-3-pentenyl, 4-pentenyl, 1-methyl-4-pentenyl, 2-methyl-4-pentenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl or 5-hexenyl group, preferably a linear or branched alkenyl group having 2 to 4 carbon atoms (C_2-C_4 alkenyl group), more preferably a vinyl, 1-methylvinyl, allyl, 1-propenyl or 1-methyl-2-propenyl group.

[0031]

In the present invention, the "substituted C_2-C_6 alkenyl group (wherein said substituent is a cyano group or nitro group)" is the aforementioned "C_2-C_6 alkenyl group" substituted with a cyano group and/or nitro group, preferably a C_2-C_3 alkenyl group substituted with a cyano group or nitro group, more preferably a cyanovinyl or nitrovinyl group.

[0032]

In the present invention, the "C_2-C_6 alkynyl group" is a linear or branched alkynyl group having 2 to 6 carbon atoms, examples of which include an ethynyl, 2-propynyl, 1-methyl-2-propynyl, 1-ethyl-2-propynyl, 2-butynyl, 1-methyl-2-butynyl, 1-ethyl-2-butynyl, 3-butynyl, 1-methyl-3-butynyl, 2-methyl-3-butynyl, 1-ethyl-3-butynyl, 2-pentynyl, 1-methyl-2-pentynyl, 1-ethyl-2-pentynyl, 3-pentynyl, 1-methyl-3-pentynyl, 2-methyl-3-pentynyl, 4-pentynyl, 1-methyl-4-pentynyl, 2-methyl-4-pentynyl, 2-hexynyl, 3-hexynyl, 4-hexynyl or 5-hexynyl, preferably a linear or branched alkynyl group having 3 to 4 carbon atoms (C_3-C_4 alkynyl group), more preferably an ethynyl, 1-propynyl or 2-propynyl group.

[0033]

In the present invention, the "di(C_1-C_6

alkyl)carbamoyl group" is a carbamoyl group in which same or different two aforementioned "C_1-C_6 alkyl groups" are bonded to nitrogen atoms, examples of which include a dimethylcarbamoyl, methylethylcarbamoyl, diethylcarbamoyl, dipropylcarbamoyl, dibutylcarbamoyl or dihexylcarbamoyl group, preferably a carbamoyl group to which same two linear or branched alkyl group having 1 to 3 carbon atoms are bonded {di(C_1-C_3 alkyl)carbamoyl group}, more preferably a dimethylcarbamoyl group or diethylcarbamoyl group, and even more preferably a dimethylcarbamoyl group.

[0034]

In the present invention, the "C_1-C_6 haloalkyl group" is the aforementioned "C_1-C_6 alkyl group" in which same or different 1 to 5 aforementioned "halogen atoms" are substituted, examples of which include a chloromethyl, dichloromethyl, trichloromethyl, 1-chloroethyl, 2-chloroethyl, 2,2,2-trichloroethyl, 1-chloropropyl, 3-chloropropyl, 1-chlorobutyl, 4-chlorobutyl, fluoromethyl, difluoromethyl, trifluoromethyl, 1-fluoroethyl, 2-fluoroethyl, 2,2,2-trifluoroethyl, pentafluoroethyl, fluorochloromethyl, bromomethyl, 1-bromoethyl, 2-bromoethyl or iodomethyl group, preferably a C_1-C_3 alkyl group substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom and bromine atom, more preferably a C_1-C_2 alkyl group substituted with same 1 to 3 fluorine atoms or chlorine atoms, even more preferably a fluoromethyl, difluoromethyl, trifluoromethyl or 2,2,2-trichloroethyl group, and particularly preferably a trifluoromethyl group.

[0035]

In the present invention, the "tri(C_1-C_6 alkyl)silyl group" is a silicon atom to which same or different 3 aforementioned "C_1-C_6 alkyl groups" are bonded, examples of which include a trimethylsilyl, triethylsilyl, triisopropylsilyl, dimethylisopropylsilyl, t-

butyldimethylsilyl or trihexylsilyl group, preferably a silicon atom to which same or different 3 linear or branched alkyl groups having 1 to 3 carbon atoms are bonded {tri(C_1-C_3 alkyl)silyl group}, more preferably a trimethylsilyl or dimethylisopropylsilyl group, and even preferably a trimethylsilyl group.

[0036]

In the present invention, the "optionally substituted phenyl group (wherein said substituent is a substituent selected from the substituent group A)" is a phenyl group optionally substituted with same or different 1 to 5 substituents selected from the group consisting of the aforementioned "halogen atom", the aforementioned "C_1-C_6 alkyl group", the aforementioned "C_1-C_6 haloalkyl group", the aforementioned "C_3-C_6 cycloalkyl group", cyano group and the aforementioned "tri(C_1-C_6 alkyl)silyl group", examples of which include a phenyl, fluorophenyl, difluorophenyl, trifluorophenyl, chlorophenyl, dichlorophenyl, trichlorophenyl, fluorochlorophenyl, methylphenyl, dimethylphenyl, trimethylphenyl, tetramethylphenyl, pentamethylphenyl, ethylphenyl, fluoro(methyl)phenyl, chloro(methyl)phenyl, bromo(methyl)phenyl, cyclopropylphenyl, cyclopropyl(fluoro)phenyl, chloro(cyclopropyl)phenyl, cyclopropyl(methyl)phenyl, (trifluoromethyl)phenyl or fluoro(trifluoromethyl)phenyl group, preferably a phenyl group optionally substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group, "C_1-C_3 alkyl group substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom and bromine atom", C_3-C_4 cycloalkyl group, cyano group and tri(C_1-C_3 alkyl)silyl group, more preferably a phenyl, chlorophenyl, methylphenyl, trifluorophenyl or cyanophenyl group.

[0037]

In the present invention, the "5- or 6-membered heterocyclic group (wherein said heterocyclic ring contains one nitrogen atom, oxygen atom or sulfur atom therein, and may further contain 1 to 2 nitrogen atoms)" is a 5 to 6 membered heterocyclic ring which contains one nitrogen atom, oxygen atom or sulfur atom as a heteroatom, and may further contain 1 to 2 nitrogen atoms, examples of which include a furyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isothiazolyl, thiazolyl, triazolyl, pyranyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl or triazinyl group, preferably a 5-membered heterocyclic group (wherein said heterocyclic ring contains one nitrogen atom, oxygen atom or sulfur atom therein), and more preferably a furyl or thienyl group.

[0038]

In the present invention, "optionally substituted amino group (wherein said substituent is a substituent selected from the substituent group D)" is an amino group optionally substituted with same or different 1 to 2 substituents selected from the group consisting of the aforementioned "C_1-C_6 alkyl group", the aforementioned "C_2-C_7 alkylcarbonyl group", the aforementioned "C_2-C_7 alkoxycarbonyl group", the aforementioned "di(C_1-C_6 alkyl)carbamoyl group" and the aforementioned "C_1-C_6 alkylsulfonyl group", examples of which include an amino, methylamino, ethylamino, propylamino, isopropylamino, butylamino, isobutylamino, s-butylamino, t-butylamino, pentylamino, isopentylamino, (2-methylbutyl)amino, neopentylamino, (1-ethylpropyl)amino, hexylamino, (4-methylpentyl)amino, (3-methylpentyl)amino, (2-methylpentyl)amino, (1-methylpentyl)amino, (3,3-dimethylbutyl)amino, (2,2-dimethylbutyl)amino, (1,1-dimethylbutyl)amino, (1,2-dimethylbutyl)amino, (1,3-dimethylbutyl)amino, (2,3-dimethylbutyl)amino, (2-ethylbutyl)amino, dimethylamino, (methyl)(ethyl)amino, diethylamino, dipropylamino, (methyl)(isopropyl)amino,

diisopropylamino, dibutylamino, diisobutylamino, di-s-butylamino, di-t-butylamino, dipentylamino, diisopentylamino, di(2-methylbutyl)amino, dineopentylamino, di(1-ethylpropyl)amino, dihexylamino, di(4-methylpentyl)amino, di(3-methylpentyl)amino, di(2-methylpentyl)amino, di(1-methylpentyl)amino, di(3,3-dimethylbutyl)amino, di(2,2-dimethylbutyl)amino, di(1,1-dimethylbutyl)amino, di(1,2-dimethylbutyl)amino, di(1,3-dimethylbutyl)amino, di(2,3-dimethylbutyl)amino, di(2-ethylbutyl)amino, acetylamino, propionylamino, butanoylamino, (2-methylpropanyl)amino, pentanoylamino, (2,2-dimethylpropanoyl)amino, (2,2-dimethyl pentanoyl)amino, (2-methylbutanoyl)amino, (3-methylbutanoyl)amino, hexanoylamino, heptanoylamino, (3,3-dimethylbutanoyl)amino, methoxycarbonylamino, ethoxycarbonylamino, propoxycarbonylamino, isopropoxycarbonylamino, butoxycarbonylamino, isobutoxycarbonylamino, s-butoxycarbonylamino, t-butoxycarbonylamino, pentoxycarbonylamino, isopentoxycarbonylamino, (2-methylbutoxycarbonyl)amino, neopentoxycarbonylamino, (1-ethylpropoxycarbonyl)amino, hexyloxycarbonylamino, (4-methylpentoxycarbonyl)amino, (3-methylpentoxycarbonyl)amino, (2-methylpentoxycarbonyl)amino, (1-methylpentoxycarbonyl)amino, (3,3-dimethylbutoxycarbonyl)amino, (2,2-dimethylbutoxycarbonyl)amino, (1,1-dimethylbutoxycarbonyl)amino, (1,2-dimethylbutoxycarbonyl)amino, (1,3-dimethylbutoxycarbonyl)amino, (2,3-dimethylbutoxycarbonyl)amino, (2-ethylbutoxycarbonyl)amino, dimethylcarbamoylamino, (methylethylcarbamoyl)amino, diethylcarbamoylamino, dipropylcarbamoylamino, dibutylcarbamoylamino, dihexylcarbamoylamino, methylsulfonylamino, ethylsulfonylamino, propylsulfonylamino, isopropylsulfonylamino,

butylsulfonylamino, t-butylsulfonylamino or hexylsulfonylamino, preferably an amino group optionally substituted with same or different 1 to 2 C_1-C_3 alkyl groups, or with C_2-C_4 alkylcarbonyl group, C_2-C_4 alkoxycarbonyl group, di(C_1-C_3 alkyl)carbamoyl group or C_1-C_3 alkylsulfonyl group, and more preferably a methylamino, ethylamino, dimethylamino, diethylamino, acetylamino, propionylamino, (2-methylpropanoyl)amino, (2,2-dimethyl propanoyl)amino, methoxycarbonylamino, ethoxycarbonylamino, dimethylcarbamoylamino, diethylcarbamoylamino, methylsulfonylamino or ethylsulfonylamino group.

[0039]

In the present invention, the "C_1-C_6 haloalkoxy group" is the aforementioned "C_1-C_6 alkoxy group" substituted with same or different 1 to 5 aforementioned "halogen atoms", examples of which include a chloromethoxy, dichloromethoxy, trichloromethoxy, 1-chloroethoxy, 2-chloroethoxy, 2,2,2-trichloroethoxy, 1-chloropropoxy, 3-chloropropoxy, 1-chlorobutoxy, 4-chlorobutoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, 1-fluoroethoxy, 2-fluoroethoxy, 2,2,2-trifluoroethoxy, pentafluoroethoxy, fluorochloromethoxy, bromomethoxy, 1-bromoethoxy, 2-bromoethoxy or iodomethoxy group, preferably a C_1-C_3 alkoxy group substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom and bromine atom, more preferably a C_1-C_2 alkoxy group substituted with same 1 to 3 fluorine atoms or chlorine atoms, even more preferably a fluoromethoxy, difluoromethoxy, trifluoromethoxy or 2,2,2-trichloroethoxy group, and particularly preferably a trifluoromethoxy group.

[0040]

In the present invention, the "substituted C_3-C_6 cycloalkyl group (wherein said substituent is a substituent selected from the substituent group C)" is the aforementioned "C_3-C_6 cycloalkyl group" substituted with

same or different 1 to 5 substituents selected from the group consisting of the aforementioned "halogen atom", the aforementioned "optionally substituted C_1-C_6 alkyl group (wherein said substituent is a substituent selected from the substituent group B)", the aforementioned "C_3-C_6 cycloalkyl group", the aforementioned "C_2-C_6 alkenyl group", cyano group, the aforementioned "C_2-C_7 alkylcarbonyl group", benzoyl group, carboxyl group, the aforementioned "C_2-C_7 alkoxycarbonyl group", carbamoyl group, the aforementioned "di(C_1-C_6 alkyl)carbamoyl group", the aforementioned "optionally substituted phenyl group (wherein said substituent is a substituent selected from the substituent group A)", the aforementioned "5- or 6-membered heterocyclic group (wherein said heterocyclic ring contains one nitrogen atom, oxygen atom or sulfur atom therein, and may further contain 1 to 2 nitrogen atoms)", the aforementioned "optionally substituted amino group (wherein said substituent is a substituent selected from the substituent group D)", nitro group, hydroxyl group, the aforementioned "C_1-C_6 alkoxy group", the aforementioned "C_1-C_6 haloalkoxy group", phenoxy group, the aforementioned "C_1-C_6 alkylthio group", phenylthio group, the aforementioned "C_1-C_6 alkylsulfinyl group" and the aforementioned "C_1-C_6 alkylsulfonyl group", examples of which include a fluorocyclopropyl, difluorocyclopropyl, chlorocyclopropyl, dichlorocyclopropyl, bromocyclopropyl, dibromocyclopropyl, iodocyclopropyl, methylcyclopropyl, ethylcyclopropyl, propylcyclopropyl, isopropylcyclopropyl, butylcyclopropyl, t-butylcyclopropyl, hexylcyclopropyl, cyclopropylcyclopropyl, cyclobutylcyclopropyl, cyclopentylcyclopropyl, (fluoromethyl)cyclopropyl, (chloromethyl)cyclopropyl, (bromomethyl)cyclopropyl, (difluoromethyl)cyclopropyl, (trifluoromethyl)cyclopropyl, (trichloromethyl)cyclopropyl, (2,2,2-trifluoroethyl)cyclopropyl, (2,2,2-trichloroethyl)cyclopropyl, vinylcyclopropyl,

(methoxymethyl)cyclopropyl, (ethoxymethyl)cyclopropyl, (isopropoxymethyl)cyclopropyl, (methylthiomethyl)cyclopropyl, (ethylthiomethyl)cyclopropyl, (isopropylthiomethyl)cyclopropyl, (methylsulfinylmethyl)cyclopropyl, (ethylsulfinylmethyl)cyclopropyl, (methylsulfonylmethyl)cyclopropyl, (ethylsulfonylmethyl)cyclopropyl, cyanocyclopropyl, (1-methoxyiminoethyl)cyclopropyl, acetylcyclopropyl, propionylcyclopropyl, benzoylcyclopropyl, carboxylcyclopropyl, methoxycarbonylcyclopropyl, ethoxycarbonylcyclopropyl, carbamoylcyclopropyl, (dimethylcarbamoyl)cyclopropyl, (diethylcarbamoyl)cyclopropyl, phenylcyclopropyl, (fluorophenyl)cyclopropyl, (chlorophenyl)cyclopropyl, tolylcyclopropyl, furylcyclopropyl, thienylcyclopropyl, pyridylcyclopropyl, aminocyclopropyl, (methylamino)cyclopropyl, (dimethylamino)cyclopropyl, (acetylamino)cyclopropyl, (methoxycarbonylamino)cyclopropyl, (3,3-dimethylureido)cyclopropyl, (methylsulfonylamino)cyclopropyl, nitrocyclopropyl, hydroxycyclopropyl, methoxycyclopropyl, ethoxycyclopropyl, (trifluoromethoxy)cyclopropyl, phenoxycyclopropyl, methylthiocyclopropyl, ethylthiocyclopropyl, phenylthiocyclopropyl, methylsulfinylcyclopropyl, ethylsulfinylcyclopropyl, methylsulfonylcyclopropyl, ethylsulfonylcyclopropyl, dimethylcyclopropyl, methyl(ethyl)cyclopropyl, diethylcyclopropyl, biscyanocyclopropyl, trimethylcyclopropyl, tetramethylcyclopropyl, pentamethylcyclopropyl, methylcyclobutyl, vinylcyclobutyl, cyanocyclobutyl, carboxylcyclobutyl, acetylcyclobutyl, methoxycarbonylcyclobutyl or aminocyclobutyl group, preferably a C_3-C_4 cycloalkyl group substituted with same

or different 1 to 5 substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group, C_3-C_4 cycloalkyl group and cyano group, or with "C_1-C_3 alkyl group substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom and bromine atom, or C_1-C_3 alkyl group substituted with C_3-C_4 cycloalkyl group, cyano group, C_2-C_4 alkylcarbonyl group, C_2-C_4 alkoxycarbonyl group, phenyl group, C_1-C_3 alkoxy group, C_1-C_3 alkylthio group, C_1-C_3 alkylsulfinyl group, C_1-C_3 alkylsulfonyl group, C_1-C_2 alkylenedioxy group, hydroxyimino group or C_1-C_3 alkoxyimino group", C_2-C_4 alkenyl group, C_2-C_4 alkylcarbonyl group, benzoyl group, carboxyl group, C_2-C_4 alkoxycarbonyl group, carbamoyl group, di(C_1-C_3 alkyl)carbamoyl group, "phenyl group optionally substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group, "C_1-C_3 alkyl group substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom and bromine atom", C_3-C_4 cycloalkyl group, cyano group and tri(C_1-C_3 alkyl)silyl group", 5-membered heterocyclic group (wherein said heterocyclic ring contains one nitrogen atom, oxygen atom or sulfur atom therein), "amino group optionally substituted with same or different 1 to 2 C_1-C_3 alkyl groups, or with C_2-C_4 alkylcarbonyl group, C_2-C_4 alkoxycarbonyl group, di(C_1-C_3 alkyl)carbamoyl group or C_1-C_3 alkylsulfonyl group", nitro group, hydroxyl group, C_1-C_3 alkoxy group, C_1-C_3 haloalkoxy group, phenoxy group, C_1-C_3 alkylthio group, phenylthio group, C_1-C_3 alkylsulfinyl group or C_1-C_3 alkylsulfonyl group, more preferably a cyclopropyl group substituted with same or different 1 to 3 substituents selected from the group consisting of a chlorine atom, bromine atom, C_1-C_2 alkyl group, cyclopropyl group and cyano group, or with "C_1-C_2 alkyl

group substituted with same 1 to 3 substituents selected from the group consisting of a chlorine atom and bromine atom, or C_1-C_2 alkyl group substituted with cyclopropyl group, cyano group, C_2-C_3 alkylcarbonyl group, C_2-C_3 alkoxycarbonyl group, phenyl group, C_1-C_2 alkoxy group, C_1-C_2 alkylthio group, C_1-C_2 alkylsulfinyl group, C_1-C_2 alkylsulfonyl group, 1,2-ethylenedioxy group, hydroxyimino group or C_1-C_2 alkoxyimino group", C_2-C_3 alkenyl group, C_2-C_3 alkylcarbonyl group, benzoyl group, carboxyl group, C_2-C_3 alkoxycarbonyl group, carbamoyl group, di(C_1-C_2 alkyl)carbamoyl group, "phenyl group optionally substituted with same or different 1 to 2 substituents selected from the group consisting of a chlorine atom, bromine atom, C_1-C_2 alkyl group, "C_1-C_2 alkyl group substituted with same 1 to 3 fluorine atoms or chlorine atoms", cyclopropyl group, cyano group and tri(C_1-C_2 alkyl)silyl group", furyl group, thienyl group, "amino group optionally substituted with same 1 to 2 C_1-C_2 alkyl group, or with C_2-C_3 alkylcarbonyl group, C_2-C_3 alkoxycarbonyl group, di(C_1-C_2 alkyl)carbamoyl group or C_1-C_2 alkylsulfonyl group", nitro group, hydroxyl group, C_1-C_2 alkoxy group, C_1-C_2 haloalkoxy group, phenoxy group, C_1-C_2 alkylthio group, phenylthio group, C_1-C_2 alkylsulfinyl group or C_1-C_2 alkylsulfonyl group.

[0041]

In the present invention, the "C_4-C_{10} bicycloalkyl group" is a bicyclic hydrocarbon having 4 to 10 carbon atoms, examples of which include a bicyclobutyl, bicyclopentyl, bicyclohexyl, bicycloheptyl, bicyclooctyl, bicyclononyl or bicyclodecyl group, preferably a bicyclohexyl or bicycloheptyl group, more preferably a bicyclo[3.1.0]hexyl or bicyclo[4.1.0]heptyl group, and even more preferably a bicyclo[3.1.0]hexan-6-yl group.

[0042]

In the present invention, the "optionally substituted benzoyl group (wherein said substituent is a

substituent selected from the substituent group A)" is a benzoyl group optionally substituted with same or different 1 to 5 substituents selected from the group consisting of the aforementioned "halogen atom", the aforementioned "C_1-C_6 alkyl group", the aforementioned "C_1-C_6 haloalkyl group", the aforementioned "C_3-C_6 cycloalkyl group", cyano group and the aforementioned "tri(C_1-C_6 alkyl)silyl group", examples of which include a benzoyl, fluorobenzoyl, difluorobenzoyl, trifluorobenzoyl, chlorobenzoyl, dichlorobenzoyl, trichlorobenzoyl, fluorochlorobenzoyl, methylbenzoyl, dimethylbenzoyl, trimethylbenzoyl, tetramethylbenzoyl, pentamethylbenzoyl, ethylbenzoyl, fluoro(methyl)benzoyl, chloro(methyl)benzoyl, bromo(methyl)benzoyl, cyclopropylbenzoyl, cyclopropyl(fluoro)benzoyl, chloro(cyclopropyl)benzoyl, cyclopropyl(methyl)benzoyl, (trifluoromethyl)benzoyl or fluoro(trifluoromethyl)benzoyl group, preferably a benzoyl group optionally substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group, "C_1-C_3 alkyl group substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom and bromine atom", C_3-C_4 cycloalkyl group, cyano group and tri(C_1-C_3 alkyl)silyl group, and more preferably a benzoyl, chlorobenzoyl, dichlorobenzoyl, methylbenzoyl, trifluorobenzoyl or cyanobenzoyl group.

[0043]

In the present invention, the "optionally substituted phenylsulfonyl group (wherein said substituent is a substituent selected from the substituent group A)" is a phenylsulfonyl group optionally substituted with same or different 1 to 5 substituents selected from the group consisting of the aforementioned "halogen atom", the aforementioned "C_1-C_6 alkyl group", the aforementioned "C_1-C_6 haloalkyl group", the aforementioned "C_3-C_6 cycloalkyl

group", cyano group and the aforementioned "tri(C_1-C_6 alkyl)silyl group", examples of which include a phenylsulfonyl, fluorophenylsulfonyl, difluorophenylsulfonyl, trifluorophenylsulfonyl, chlorophenylsulfonyl, dichlorophenylsulfonyl, trichlorophenylsulfonyl, fluorochlorophenylsulfonyl, methylphenylsulfonyl, dimethylphenylsulfonyl, trimethylphenylsulfonyl, tetramethylphenylsulfonyl, pentamethylphenylsulfonyl, ethylphenylsulfonyl, fluoro(methyl)phenylsulfonyl, chloro(methyl)phenylsulfonyl, bromo(methyl)phenylsulfonyl, cyclopropylphenylsulfonyl, cyclopropyl(fluoro)phenylsulfonyl, chloro(cyclopropyl)phenylsulfonyl, cyclopropyl(methyl)phenylsulfonyl, (trifluoromethyl)phenylsulfonyl or fluoro(trifluoromethyl)phenylsulfonyl group, preferably a phenylsulfonyl group optionally substituted with 1 to 3 same or different substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group, "C_1-C_3 alkyl group substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom and bromine atom", C_3-C_4 cycloalkyl group, cyano group and tri(C_1-C_3 alkyl)silyl group, and more preferably a phenylsulfonyl, chlorophenylsulfonyl, methylphenylsulfonyl, trifluorophenylsulfonyl or cyanophenylsulfonyl group.

[0044]

In the present invention, the "di(C_1-C_6 alkyl)sulfamoyl group" is a sulfamoyl group in which same or different two aforementioned "C_1-C_6 alkyl groups" are bonded to nitrogen atoms, examples of which include a dimethylsulfamoyl, methylethylsulfamoyl, diethylsulfamoyl, dipropylsulfamoyl, dibutylsulfamoyl or dihexylsulfamoyl, preferably a sulfamoyl group in which same or different two C_1-C_3 alkyl groups are bonded, more preferably a dimethylsulfamoyl or diethylsulfamoyl group, and even more

preferably a dimethylsulfamoyl group.

[0045]

In the present invention, the "optionally substituted 3 to 6 membered heterocyclic group (wherein said heterocyclic group contains one nitrogen atom, oxygen atom or sulfur atom therein, may further contain 1 to 2 nitrogen atoms, may be condensed with a benzene ring, and said substituent is a substituent selected from substituent group E)" is a "3 to 6 membered heterocyclic group which contains one nitrogen atom, oxygen atom or sulfur atom as heteroatoms, and may further contain 1 to 2 nitrogen atoms", optionally substituted with 1 to 3 same or different substituents selected from the group consisting of the aforementioned "halogen atom", the aforementioned "C_1-C_6 alkyl group", the aforementioned "C_1-C_6 haloalkyl group", a hydroxyl group, the aforementioned "optionally substituted phenylsulfonyl group (wherein said substituent is a substituent selected from substituent group A)" and the aforementioned "di(C_1-C_6 alkyl)sulfamoyl group", and which may be condensed with a benzene ring, preferably a "3 to 6 membered heterocyclic group which contains one nitrogen atom, oxygen atom or sulfur atom as heteroatoms, and which may further contain 1 nitrogen atom", optionally substituted with 1 to 2 same or different substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group and "C_1-C_3 alkyl group substituted with 1 to 3 same or different substituents selected from the group consisting of a fluorine atom, chlorine atom and bromine atom", or a hydroxyl group, "phenylsulfonyl group optionally substituted with 1 to 3 same or different substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group, "C_1-C_3 alkyl group substituted with 1 to 3 same or different substituents selected from the group consisting of a fluorine atom, chlorine atom and bromine atom", C_3-C_4

cycloalkyl group, cyano group and tri(C_1-C_3 alkyl)silyl group" or "sulfamoyl group to which two same or different C_1-C_3 alkyl groups are bonded", and which may be condensed with a benzene ring, more preferably an aziridine, oxiranyl, oxetanyl, pyrrolyl, furyl, thienyl, pyrazolyl, thiazolyl, pyridyl, benzimidazolyl or benzothiazolyl group optionally substituted with 1 to 2 same substituents selected from the group consisting of a chlorine atom, bromine atom, methyl group, ethyl group and trifluoromethyl group, or a hydroxyl group, phenylsulfonyl group, tolylsulfonyl group or dimethylsulfamoyl group, and even more preferably a thienyl, pyrazolyl or thiazolyl group optionally substituted with 1 to 2 same or different substituents selected from the group consisting of a chlorine atom, methyl group and trifluoromethyl group.

[0046]

In the present invention, the "(C_1-C_6 alkoxy)C_1-C_6 alkoxy group" is an alkoxy group having 1 to 6 carbon atoms to which an alkoxy group having 1 to 6 carbon atoms is bonded, examples of which include a methoxymethoxy, ethoxymethoxy, propoxymethoxy, butoxymethoxy, s-butoxymethoxy, t-butoxymethoxy, pentyloxymethoxy, hexyloxymethoxy, methoxyethoxy, ethoxyethoxy, propoxyethoxy, butoxyethoxy, methoxypropoxy, methoxybutoxy, methoxypentyloxy or methoxyhexyloxy group, preferably an alkoxy group having 1 to 3 carbon atoms substituted with an alkoxy group having 1 to 3 carbon atoms, and more preferably a methoxyethoxy, ethoxyethoxy or ethoxymethoxy group.

[0047]

In the present invention, the "optionally substituted phenoxy group (wherein said substituent is a hydroxyl group or a pyridazinyloxy group substituted with a halogen atom and/or C_1-C_6 alkoxy group)" is a phenoxy group optionally substituted with one hydroxyl group, or a phenoxy group substituted with pyridazinyloxy group

substituted with same or different 1 to 3 substituents selected from the group consisting of the aforementioned "halogen atom" and the aforementioned "C_1-C_6 alkoxy group", preferably a hydroxyphenoxy group, or a phenoxy group substituted with a pyridazinyloxy group substituted with same or different 1 to 2 substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom and C_1-C_3 alkoxy group, more preferably a phenoxy group substituted with a pyridazinyloxy group substituted with each one of chlorine atom, and methoxy or ethoxy group.

[0048]

In the present invention, the "optionally substituted 5 to 6 membered heterocyclooxy group (wherein said heterocyclic ring contains one nitrogen atom, oxygen atom or sulfur atom therein, may further contain 1 to 2 nitrogen atoms, and said substituent is a substituent selected from substituent group E)" is a "5 to 6 membered heterocyclooxy group which contains one nitrogen atom, oxygen atom or sulfur atom as a heteroatom, and may further contain 1 to 2 nitrogen atoms" optionally substituted with same or different 1 to 2 substituents selected from the group consisting of the aforementioned "halogen atom", the aforementioned "C_1-C_6 alkyl group", the aforementioned "C_1-C_6 haloalkyl group", hydroxyl group, the aforementioned "optionally substituted phenylsulfonyl group (wherein said substituent is a substituent selected from substituent group A)" and the aforementioned "di(C_1-C_6 alkyl)sulfamoyl group", preferably a "5 to 6 membered heterocyclooxy group which contains one nitrogen atom, oxygen atom or sulfur atom as a heteroatom, and may further contain one nitrogen atom" optionally substituted with same or different 1 to 2 substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group, "C_1-C_3 alkyl group substituted with same or different 1 to 3 substituents

selected from the group consisting of a fluorine atom, chlorine atom and bromine atom", hydroxyl group, "phenylsulfonyl group optionally substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group, " C_1-C_3 alkyl group substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom and bromine atom", C_3-C_4 cycloalkyl group, cyano group and tri(C_1-C_3 alkyl)silyl group" and "sulfamoyl group to which same or different two C_1-C_3 alkyl groups are bonded", more preferably a pyridyloxy, pyrrolyloxy, furyloxy, thienyloxy, pyrazolyloxy, thiazolyloxy, pyrimidyloxy, pyrazinyloxy or pyridazinyloxy group optionally substituted with different 1 to 2 substituents selected from the group consisting of a chlorine atom, bromine atom, methyl group, ethyl group, trifluoromethyl group, hydroxyl group, phenylsulfonyl group, tolylsulfonyl group and dimethylsulfamoyl group, and even more preferably a pyridazinyloxy group optionally substituted with chlorine atom and hydroxyl group.

[0049]

In the present invention, the "optionally substituted C_2-C_7 alkylcarbonyloxy group (wherein said substituent is a substituent selected from substituent group B)" is an oxy group to which the aforementioned "C_2-C_7 alkylcarbonyl group" is bonded, the aforementioned "C_2-C_7 alkylcarbonyl group" optionally substituted with the aforementioned "halogen atom", or the aforementioned "C_3-C_6 cycloalkyl group", cyano group, the aforementioned "C_2-C_7 alkylcarbonyl group", the aforementioned "C_2-C_7 alkoxycarbonyl group", phenyl group, the aforementioned "C_1-C_6 alkoxy group", the aforementioned "C_1-C_6 alkylthio group", the aforementioned "C_1-C_6 alkylsulfinyl group", the aforementioned "C_1-C_6 alkylsulfonyl group", the aforementioned "C_1-C_4 alkylenedioxy group", hydroxyimino group or the aforementioned "C_1-C_6 alkoxyimino group",

examples of which include an acetyloxy, propionyloxy, butyryloxy, isobutyryloxy, valeryloxy, isovaleryloxy, pivaloyloxy, hexanoyloxy, heptanoyloxy, fluoroacetyl, difluoroacetyloxy, trifluoroacetyloxy, 2,2,2-trichloropropionyloxy, cyclopropylacetyloxy, cyanoacetyloxy, acetylacetyloxy, acetylpropionyloxy, methoxycarbonylacetyloxy, methoxycarbonylpropionyloxy, ethoxycarbonyacetyloxy, ethoxycarbonypropionyloxy, phenylacetyloxy, methoxyacetyloxy, methoxypropionyloxy, ethoxyacetyloxy, ethoxypropionyloxy, methylthioacetyloxy, methylthiopropionyloxy, ethylthioacetyloxy, ethylthiopropionyloxy, methylsulfinylacetyloxy, methylsulfonylacetyloxy, 2-(1,3-dioxolanyl)acetyloxy, hydroxyiminoacetyloxy or methoxyiminoacetyloxy group, preferably an oxy group to which a linear or branched alkylcarbonyl group having 2 to 5 carbon atoms is bonded (C_2-C_5 alkylcarbonyloxy group), even more preferably an oxy group to which a linear or branched alkylcarbonyl group having 2 to 4 carbon atoms is bonded(C_2-C_4 alkylcarbonyloxy group), particularly preferably an acetyloxy, propionyloxy, valeryloxy or pivaloyloxy group, and most preferably an acetyloxy group.

[0050]

In the present invention, the "optionally substituted C_2-C_7 alkoxycarbonyloxy group (wherein said substituent is a substituent selected from substituent group B)" is an oxy group to which the aforementioned "C_2-C_7 alkoxycarbonyl group" is bonded, the aforementioned "C_2-C_7 alkoxycarbonyl group" optionally substituted with the aforementioned "halogen atom" or the aforementioned "C_3-C_6 cycloalkyl group", cyano group, the aforementioned "C_2-C_7 alkylcarbonyl group", the aforementioned "C_2-C_7 alkoxycarbonyl group", phenyl group, the aforementioned "C_1-C_6 alkoxy group", the aforementioned "C_1-C_6 alkylthio group", the aforementioned "C_1-C_6 alkylsulfinyl group", the aforementioned "C_1-C_6 alkylsulfonyl group", the

aforementioned "C_1-C_4 alkylenedioxy group", hydroxyimino group or the aforementioned "C_1-C_6 alkoxyimino group", examples of which include a methoxycarbonyloxy, ethoxycarbonyloxy, propoxycarbonyloxy, isopropoxycarbonyloxy, butoxycarbonyloxy, isobutoxycarbonyloxy, s-butoxycarbonyloxy, t-butoxycarbonyloxy, pentoxycarbonyloxy, isopentoxycarbonyloxy, 2-methylbutoxycarbonyloxy, neopentoxycarbonyloxy, 1-ethylpropoxycarbonyloxy, hexyloxycarbonyloxy, 4-methylpentoxycarbonyloxy, 3-methylpentoxycarbonyloxy, 2-methylpentoxycarbonyloxy, 1-methylpentoxycarbonyloxy, 3,3-dimethylbutoxycarbonyloxy, 2,2-dimethylbutoxycarbonyloxy, 1,1-dimethylbutoxycarbonyloxy, 1,2-dimethylbutoxycarbonyloxy, 1,3-dimethylbutoxycarbonyloxy, 2,3-dimethylbutoxycarbonyloxy, 2-ethylbutoxycarbonyloxy, fluoromethoxycarbonyloxy, difluoromethoxycarbonyloxy, trifluoromethoxycarbonyloxy, 2,2,2-trichloroethoxycarbonyloxy, cyclopropylmethoxycarbonyloxy, cyanomethoxycarbonyloxy, acetylmethoxycarbonyloxy, acetylethoxycarbonyloxy, methoxycarbonylmethoxycarbonyloxy, methoxycarbonylethoxycarbonyloxy, ethoxycarbonylmethoxycarbonyloxy, ethoxycarbonylethoxycarbonyloxy, phenylmethoxycarbonyloxy, methoxymethoxycarbonyloxy, methoxyethoxycarbonyloxy, ethoxymethoxycarbonyloxy, ethoxyethoxycarbonyloxy, methylthiomethoxycarbonyloxy, methylthioethoxycarbonyloxy, ethylthiomethoxycarbonyloxy, ethylthioethoxycarbonyloxy, methylsulfinylmethoxycarbonyloxy, methylsulfonylmethoxycarbonyloxy, 2-(1,3-dioxolanyl)methoxycarbonyloxy, hydroxyiminomethoxycarbonyloxy or methoxyiminomethoxycarbonyloxy group, preferably an oxy group to which a linear or branched alkoxycarbonyl group having 2 to 5 carbon atoms is bonded (C_2-C_5 alkoxycarbonyloxy group), even more preferably an oxy

group to which a linear or branched alkoxycarbonyl group having 2 to 4 carbon atoms is bonded (C_2-C_4 alkoxycarbonyloxy group), particularly preferably a methoxycarbonyloxy, ethoxycarbonyloxy, propoxycarbonyloxy or t-butoxycarbonyl oxy group, and most preferably a methoxycarbonyloxy group.

[0051]

In the present invention, the "optionally substituted benzoyloxy group (wherein said substituent is a substituent selected from substituent group A)" is a benzoyloxy group optionally substituted with same or different 1 to 5 substituents selected from the group consisting of the aforementioned "halogen atom", the aforementioned "C_1-C_6 alkyl group", the aforementioned "C_1-C_6 haloalkyl group", the aforementioned "C_3-C_6 cycloalkyl group", cyano group and the aforementioned "tri(C_1-C_6 alkyl)silyl group", examples of which include a benzoyloxy, fluorobenzoyloxy, difluorobenzoyloxy, trifluorobenzoyloxy, chlorobenzoyloxy, dichlorobenzoyloxy, trichlorobenzoyloxy, fluorochlorobenzoyloxy, methylbenzoyloxy, dimethylbenzoyloxy, trimethylbenzoyloxy, tetramethylbenzoyloxy, pentamethylbenzoyloxy, ethylbenzoyloxy, fluoro(methyl)benzoyloxy, chloro(methyl)benzoyloxy, bromo(methyl)benzoyloxy, cyclopropylbenzoyloxy, cyclopropyl(fluoro)benzoyloxy, chloro(cyclopropyl)benzoyloxy, cyclopropyl(methyl)benzoyloxy, (trifluoromethyl)benzoyloxy or fluoro(trifluoromethyl)benzoyloxy group, preferably a benzoyloxy group optionally substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group, "C_1-C_3 alkyl group substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom and bromine atom", C_3-C_4 cycloalkyl group, cyano group and tri(C_1-C_3 alkyl)silyl group, and more preferably a benzoyloxy,

chlorobenzoyloxy, methylbenzoyloxy, trifluorobenzoyloxy or cyanobenzoyloxy group.

[0052]

In the present invention, the "optionally substituted phenylsulfonyloxy group (wherein said substituent is a substituent selected from substituent group A)" is a phenylsulfonyloxy group optionally substituted with same or different 1 to 5 substituents selected from the group consisting of the aforementioned "halogen atom", the aforementioned "C_1-C_6 alkyl group", the aforementioned "C_1-C_6 haloalkyl group", the aforementioned "C_3-C_6 cycloalkyl group", cyano group and the aforementioned "tri(C_1-C_6 alkyl)silyl group", examples of which include a phenylsulfonyloxy, fluorophenylsulfonyloxy, difluorophenylsulfonyloxy, trifluorophenylsulfonyloxy, chlorophenylsulfonyloxy, dichlorophenylsulfonyloxy, trichlorophenylsulfonyloxy, fluorochlorophenylsulfonyloxy, methylphenylsulfonyloxy, dimethylphenylsulfonyloxy, trimethylphenylsulfonyloxy, tetramethylphenylsulfonyloxy, pentamethylphenylsulfonyloxy, ethylphenylsulfonyloxy, fluoro(methyl)phenylsulfonyloxy, chloro(methyl)phenylsulfonyloxy, bromo(methyl)phenylsulfonyloxy, cyclopropylphenylsulfonyloxy, cyclopropyl(fluoro)phenylsulfonyloxy, chloro(cyclopropyl)phenylsulfonyloxy, cyclopropyl(methyl)phenylsulfonyloxy, (trifluoromethyl)phenylsulfonyloxy or fluoro(trifluoromethyl)phenylsulfonyloxy group, preferably a phenylsulfonyloxy group optionally substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group, "C_1-C_3 alkyl group substituted with same or different 1 to 3 substituents selected from the group consisting of a fluorine atom, chlorine atom and bromine atom", C_3-C_4 cycloalkyl group, cyano group and tri(C_1-C_3

alkyl)silyl group, and more preferably a phenylsulfonyloxy, chlorophenylsulfonyloxy, methylphenylsulfonyloxy, trifluorophenylsulfonyloxy or cyanophenylsulfonyloxy group.

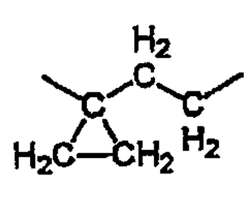
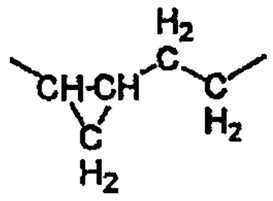
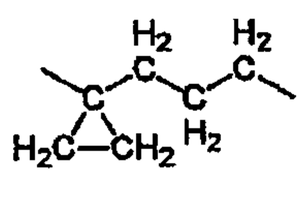
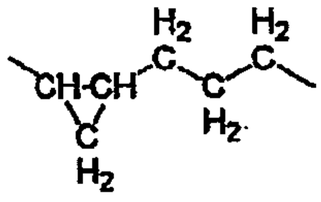
[0053]

In the present invention, the "hydroxy C_1-C_6 alkyl group" is the aforementioned "C_1-C_6 alkyl group" substituted with a hydroxy group, examples of which include a hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 1-hydroxypropyl, 2-hydroxypropyl, 3-hydroxypropyl, 1-hydroxy-1-methylethyl, 2-hydroxy-1-methylethyl, 4-hydroxybutyl, 5-hydroxypentyl, and 6-hydroxyhexyl group, preferably a 3-hydroxypropyl group.

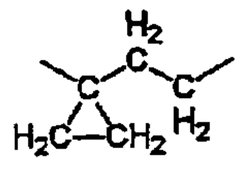
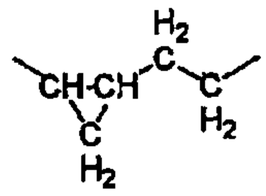
[0054]

In the present invention, the "two adjacent R^1, R^2, R^3 and R^4 may together with carbon atoms respectively bonded thereto form an optionally substituted 3 to 6 membered cyclic hydrocarbon group (wherein said cyclic hydrocarbon may be interrupted by 1 to 2 same or different heteroatoms selected from the group consisting of a nitrogen atom, oxygen atom and sulfur atom, said substituent is a halogen atom, C_1-C_6 alkyl group, hydroxy C_1-C_6 alkyl group, C_1-C_6 alkoxy group, oxo group, hydroxyimino group or C_1-C_6 alkoxyimino group, and in the case the cyclic hydrocarbon is substituted with a C_1-C_6 alkyl group, a new 3-membered ring may be formed by bonding with other C_1-C_6 alkyl groups or carbon atoms on the ring)" is a saturated or unsaturated 3 to 6 membered cyclic hydrocarbon group optionally substituted with same or different 1 to 4 substituents selected from the group consisting of the aforementioned "halogen atom", the aforementioned "C_1-C_6 alkyl group", the aforementioned "C_1-C_6 alkyl group" substituted with 1 to 2 hydroxyl groups, the aforementioned "C_1-C_6 alkoxy group", oxo group, hydroxyimino group and the aforementioned "C_1-C_6 alkoxyimino group", which may be interrupted by same or different 1 to 2 heteroatoms selected from the group

consisting of a nitrogen atom, oxygen atom and sulfur atom, and further may form a cyclopropane ring on the ring, preferably a group represented by $-CH_2-$, $-CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH(CH_3)CH_2CH_2-$, $-CH_2CH(CH_3)CH_2-$, $-C(CH_3)_2CH_2CH_2-$, $-CH_2C(CH_3)_2CH_2-$, $-CH(OCH_3)CH_2CH_2-$, $-C(OCH_3)_2CH_2CH_2-$, $-CH_2C(OCH_3)_2CH_2-$, $-C(=O)CH_2CH_2-$, $-CH_2C(=O)CH_2-$, $-C(=NOCH_3)CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH(CH_3)CH_2CH_2CH_2-$, $-C(CH_3)_2CH_2CH_2CH_2-$, $-CH(OCH_3)CH_2CH_2CH_2-$, $-CH=CH-CH=CH-$, $-OCH_2CH_2-$, $-OCH(CH_3)CH_2-$, $-OCH_2CH(CH_3)-$, $-OC(CH_3)_2CH_2-$, $-OCH=CH-$, $-OC(CH_3)=CH-$, $-OCH=C(CH_3)-$, $-SCH=CH-$, $-N=CH-CH=CH-$, $-OCH_2O-$, $-OCH(CH_3)O-$, $-OC(CH_3)_2O-$, $-OCF_2O-$, $-OCH_2CH_2O-$, $-OCH=N-$, $-OC(CH_3)=N-$,


、

、

or


more preferably a group represented by $-CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH(CH_3)CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH=CH-CH=CH-$, $-OCH_2CH_2-$, $-OCH=CH-$, $-OCH=C(CH_3)-$, $-SCH=CH-$, $-N=CH-CH=CH-$, $-OCH_2O-$, $-OCH_2CH_2O-$,


or


and even more preferably a group represented by $-CH_2CH_2CH_2-$, $-CH(CH_3)CH_2CH_2-$, $-OCH_2CH_2-$, $-OCH=CH-$ or

[0055]

In the case a compound of the present invention is a salt, it may be, for example, an alkali metal salt, alkaline earth metal salt, ammonium salt or organic amine salt, and in the case a basic moiety is in the molecule, it may be a salt such as a sulfate salt, hydrochloride salt, nitrate salt or phosphate salt.

[0056]

In the present invention, the "alkali metal salt" may be, for example, a sodium salt, potassium salt or lithium salt, preferably a sodium salt or potassium salt.

[0057]

In the present invention, the "alkaline earth metal salt" may be, for example, a calcium salt or magnesium salt, preferably a magnesium salt.

[0058]

In the present invention, the "organic amine salt" may be, for example, a methylamine salt, diethylamine salt, trimethylamine salt, triethylamine salt, diisopropylamine salt, tributylamine salt, 1,4-diazabicyclo[2.2.2]octane (DABCO) salt, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU) salt, pyridine salt, collidine salt, 4-(N,N-dimethylamino)pyridine salt, pyrrolidine salt, piperidine salt, piperazine salt, morpholine salt or N-methylmorpholine salt, preferably a triethylamine salt and pyridine salt.

[0059]

In the present invention, the "metal residue" is any metal residue, examples of which include lithium, sodium, potassium, and copper, preferably lithium.

[0060]

In the present invention, the "metal salt residue" is any metal salt residue, for example, a residue comprising metal and inorganic substance, examples of which include boronic acid, magnesium fluoride, magnesium chloride, magnesium bromide, magnesium iodide, aluminium dichloride, calcium chloride, calcium bromide, calcium iodide, titanium trichloride, manganese chloride, manganese bromide, manganese iodide, copper chloride, copper bromide, copper iodide, zinc chloride, zinc bromide, zinc iodide, trichloro tin, cerium dichloride, samarium iodide, europium iodide, and ytterbium iodide, preferably magnesium chloride, magnesium bromide, and magnesium

iodide.

[0061]

In the present invention, the "organometallic residue" is a residue comprising metal binding with organic group, examples of which include vinyl magnesium, dimethyl aluminium, diethyl aluminium, diisopropyl aluminium, divinyl aluminium, vinyl copper, vinyl(lithium)copper, vinyl(cyano)(lithium)copper, vinyl zinc, methyl zinc, trimethyl tin, tributyl tin, trivinyl tin, chlorodivinyl tin, butyl tellurium, and vinyl tellurium, preferably vinyl magnesium.

[0062]

In the present invention, the "metal" is any metal, examples of which include lithium, boron, sodium, magnesium, aluminium, potassium, calcium, titanium, chromium, manganese, nickel, copper, zinc, zinc-copper alloy, silver, tin, tellurium, mercury, lithium-mercury alloy, cerium, europium, and ytterbium, preferably lithium, magnesium, copper, zinc, and copper-zinc alloy, more preferably magnesium.

[0063]

In the present invention, the "metal salt" is any metal salt, for example, salt with the aforementioned "metal" and inorganic substance, examples of which include magnesium difluoride, magnesium dichloride, magnesium dibromide, magnesium diiodide, aluminium trichloride, manganese chloride, manganese bromide, nickel tetracarbonyl, copper chloride, copper bromide, copper iodide, zinc chloride, zinc bromide, zinc iodide, cerium chloride, and samarium iodide, preferably magnesium dichloride, magnesium dibromide, magnesium diiodide, copper chloride, copper bromide, copper iodide, zinc chloride, zinc bromide, and zinc iodide.

[0064]

In the present invention, the "organometallic compound" is a compound comprising metal binding with

organic group, examples of which include methyllithium, butyllithium, t-butyllithium, phenyllithium, methylmagnesium chloride, methylmagnesium bromide, methylmagnesium iodide, ethylmagnesium bromide, t-butylmagnesium chloride, and t-butylmagnesium bromide, preferably methyl lithium, butyllithium, t-butyllithium, and t-butylmagnesium chloride.

[0065]

A solvate of a compound of the present invention is also included in the present invention.

[0066]

In the compounds of the present invention, there are compounds having an asymmetric carbon(s), and in that case, the present invention also includes any kind of optical isomers and mixtures of several kinds of optical isomers in any optional ratio.

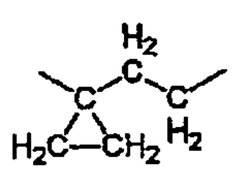
[0067]

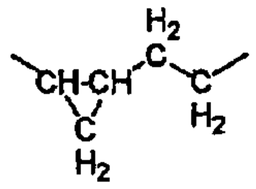
The following provides an explanation of compounds used in the process of the present invention as well as compounds of the present invention.

[0068]

(a) In each compound used in the process of the present invention, although substituents R^1 to R^4 are as previously described, they each independently represent preferably a hydrogen atom, fluorine atom, chlorine atom, bromine atom, iodine atom, optionally substituted C_1-C_4 alkyl group (wherein said substituent is 1 to 3 same or different substituents selected from the group consisting of a fluorine atom, chlorine atom and bromine atom, or a C_3-C_4 cycloalkyl group, C_1-C_3 alkylthio group or C_1-C_3 alkoxyimino group), C_2-C_3 alkenyl group, C_2-C_3 alkynyl group, optionally substituted C_3-C_5 cycloalkyl group (wherein said substituent is 1 to 3 same or different substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group, C_3-C_4 cycloalkyl group, cyano group, C_1-C_3 alkoxy

group and C_1-C_3 alkylthio group), C_6-C_7 bicycloalkyl group, cyano group, C_2-C_4 alkylcarbonyl group, C_2-C_4 alkoxycarbonyl group, optionally substituted phenyl group {wherein said substituent is a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group or C_1-C_3 haloalkyl group (wherein said halogen atom is 1 to 3 same or different halogen atoms selected from the group consisting of a fluorine atom, chlorine atom and bromine atom)}, optionally substituted 5 to 6 membered heterocyclic group {wherein said heterocyclic ring contains one nitrogen atom, oxygen atom or sulfur atom therein, may further contain 1 to 2 nitrogen atoms, and said substituent is 1 to 2 same or different substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group and C_1-C_3 haloalkyl group (wherein said halogen atom is 1 to 3 same or different halogen atoms selected from the group consisting of a fluorine atom, chlorine atom and bromine atom)}, nitro group, C_1-C_3 alkoxy group, C_1-C_3 haloalkoxy group (wherein said halogen atom is 1 to 3 same or different halogen atoms selected from the group consisting of a fluorine atom, chlorine atom and bromine atom), optionally substituted phenoxy group (wherein said substituent is a pyridazinyloxy group substituted with a fluorine atom, chlorine atom, bromine atom and/or C_1-C_3 alkoxy group), or C_1-C_3 alkylthio group, or two adjacent R^1, R^2, R^3 and R^4 together form a group represented by $-CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH(CH_3)CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH=CH-CH=CH-$, $-OCH_2CH_2-$, $-OCH=CH-$, $-OCH=C(CH_3)-$, $-SCH=CH-$, $-N=CH-CH=CH-$, $-OCH_2O-$, $-OCH_2CH_2O-$,

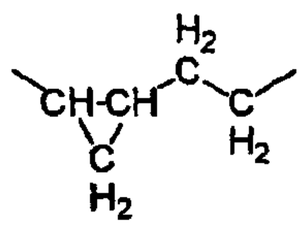


or


[0069]

more preferably each independently represent a hydrogen atom, fluorine atom, chlorine atom, bromine atom,

iodine atom, optionally substituted C_1-C_4 alkyl group (wherein said substituent is 1 to 3 fluorine atoms or one cyclopropyl group), C_2-C_3 alkenyl group, optionally substituted C_3-C_4 cycloalkyl group (wherein said substituent is 1 to 2 same substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_2 alkyl group, cyclopropyl group and C_1-C_2 alkoxy group), cyano group, C_2-C_3 alkoxycarbonyl group, nitro group, C_1-C_3 alkoxy group or trifluoromethoxy group, or two adjacent R^1, R^2, R^3 and R^4 together form a group represented by $-CH_2CH_2CH_2-$, $-CH(CH_3)CH_2CH_2-$, $-OCH_2CH_2-$, $-OCH=CH-$ or



provided that R^1 is not a hydrogen atom;

[0070]

even more preferably each independently represent a hydrogen atom, fluorine atom, chlorine atom, bromine atom, iodine atom, C_1-C_3 alkyl group, C_2-C_3 alkenyl group, optionally substituted C_3-C_4 cycloalkyl group (wherein said substituent is 1 to 2 same substituents selected from the group consisting of a chlorine atom and a C_1-C_2 alkyl group), cyano group or C_1-C_2 alkoxy group, or two adjacent R^1, R^2, R^3 and R^4 together form a group represented by $-CH_2CH_2CH_2-$ or $-OCH=CH-$, provided that R^1 is not a hydrogen atom;

[0071]

particularly preferably each independently represent a hydrogen atom, fluorine atom, chlorine atom, bromine atom, iodine atom, methyl group, ethyl group, allyl group, isopropyl group, optionally substituted cyclopropyl group (wherein said substituent is two chlorine atoms) or a methoxy group, or two adjacent R^1, R^2, R^3 and R^4 together form a group represented by $-CH_2CH_2CH_2-$

or -OCH=CH-, provided that R^1 is not a hydrogen atom;

[0072]

more particularly preferably R^1 is a fluorine atom, chlorine atom, bromine atom, iodine atom, methyl group, ethyl group, isopropyl group, cyclopropyl group or methoxy group, and R^2, R^3 and R^4 each independently represent a hydrogen atom or methyl group; and

[0073]

most preferably R^1 is a fluorine atom, chlorine atom, bromine atom, iodine atom or methyl group, and R^2, R^3 and R^4 are hydrogen atoms.

[0074]

(b) In the present invention, Z is preferably a hydrogen atom.

(c) In the present invention, Y^1, Y^2 and Y^3 are preferably hydrogen atoms.

(d) In the present invention, R^5 is preferably a methyl group.

(e) In the present invention, X is preferably a bromine atom.

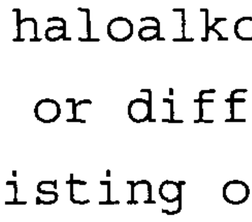
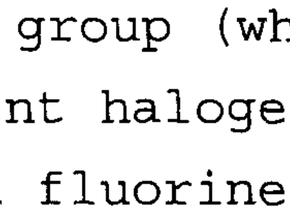
(f) In the present invention, W is preferably a carbonyl group.

[0075]

In compounds used in the process of the present invention and compounds of the present invention, preferably:

(1a) R^1, R^2, R^3 and R^4 each independently represent a hydrogen atom, fluorine atom, chlorine atom, bromine atom, iodine atom, optionally substituted C_1-C_4 alkyl group (wherein said substituent is 1 to 3 same or different substituents selected from the group consisting of a fluorine atom, chlorine atom and bromine atom, or a C_3-C_4 cycloalkyl group, C_1-C_3 alkylthio group or C_1-C_3 alkoxyimino group), C_2-C_3 alkenyl group, C_2-C_3 alkynyl group, optionally substituted C_3-C_5 cycloalkyl group (wherein said substituent is 1 to 3 same or different

substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group, C_3-C_4 cycloalkyl group, cyano group, C_1-C_3 alkoxy group and C_1-C_3 alkylthio group), C_6-C_7 bicycloalkyl group, cyano group, C_2-C_4 alkylcarbonyl group, C_2-C_4 alkoxycarbonyl group, optionally substituted phenyl group {wherein said substituent is a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group or C_1-C_3 haloalkyl group (wherein said halogen atom is 1 to 3 same or different halogen atoms selected from the group consisting of a fluorine atom, chlorine atom and bromine atom)}, optionally substituted 5 to 6 membered heterocyclic group {wherein said heterocyclic ring contains one nitrogen atom, oxygen atom or sulfur atom therein, may further contain 1 to 2 nitrogen atoms, and said substituent is 1 to 2 same or different substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_3 alkyl group and C_1-C_3 haloalkyl group (wherein said halogen atom is 1 to 3 same or different halogen atoms selected from the group consisting of a fluorine atom, chlorine atom and bromine atom)}, nitro group, C_1-C_3 alkoxy group, C_1-C_3 haloalkoxy group (wherein said halogen atom is 1 to 3 same or different halogen atoms selected from the group consisting of a fluorine atom, chlorine atom and bromine atom), optionally substituted phenoxy group (wherein said substituent is a pyridazinyloxy group substituted with a fluorine atom, chlorine atom, bromine atom and/or C_1-C_3 alkoxy group), or C_1-C_3 alkylthio group, or two adjacent R^1, R^2, R^3 and R^4 together form a group represented by $-CH_2CH_2-$, $-CH_2CH_2CH_2-$, $-CH(CH_3)CH_2CH_2-$, $-CH_2CH_2CH_2CH_2-$, $-CH=CH-CH=CH-$, $-OCH_2CH_2-$, $-OCH=CH-$, $-OCH=C(CH_3)-$, $-SCH=CH-$, $-N=CH-CH=CH-$, $-OCH_2O-$, $-OCH_2CH_2O-$,


or


(1b) Z is a hydrogen atom,

(1c) Y^1, Y^2 and Y^3 are hydrogen atoms,

(1d) R^5 is a methyl group,

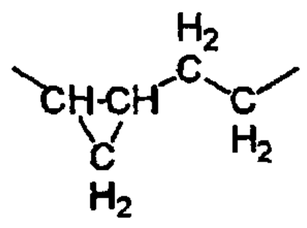
(1e) X is a bromine atom, and

(1f) W is a carbonyl group.

[0076]

In compounds used in the process of the present invention and compounds of the present invention, more preferably:

(2a) R^1, R^2, R^3 and R^4 each independently represent a hydrogen atom, fluorine atom, chlorine atom, bromine atom, iodine atom, optionally substituted C_1-C_4 alkyl group (wherein said substituent is 1 to 3 fluorine atoms or one cyclopropyl group), C_2-C_3 alkenyl group, optionally substituted C_3-C_4 cycloalkyl group (wherein said substituent is 1 to 2 same substituents selected from the group consisting of a fluorine atom, chlorine atom, bromine atom, C_1-C_2 alkyl group, cyclopropyl group and C_1-C_2 alkoxy group), cyano group, C_2-C_3 alkoxycarbonyl group, nitro group, C_1-C_3 alkoxy group or trifluoromethoxy group, or two adjacent R^1, R^2, R^3, R^4 and R^5 together form a group represented by $-CH_2CH_2CH_2-$, $-CH(CH_3)CH_2CH_2-$, $-OCH_2CH_2-$, $-OCH=CH-$ or



provided that R^2 is not a hydrogen atom,

(2b) Z is a hydrogen atom,

(2c) Y^1, Y^2 and Y^3 are hydrogen atoms,

(2d) R^5 is a methyl group,

(2e) X is a bromine atom, and

(2f) W is a carbonyl group.

[0077]

In compounds used in the process of the present invention and compounds of the present invention, even more preferably:

(3a) R^1, R^2, R^3 and R^4 each independently represent a hydrogen atom, fluorine atom, chlorine atom, bromine atom, iodine atom, C_1-C_3 alkyl group, C_2-C_3 alkenyl group, optionally substituted C_3-C_4 cycloalkyl group (wherein said substituent is 1 to 2 same substituents selected from the group consisting of a chlorine atom and C_1-C_2 alkyl group), cyano group or C_1-C_2 alkoxy group, or two adjacent R^1, R^2, R^3 and R^4 together form a group represented by -$CH_2CH_2CH_2$- or -OCH=CH-, provided that R^2 is not a hydrogen atom,

(3b) Z is a hydrogen atom,

(3c) Y^1, Y^2 and Y^3 are hydrogen atoms,

(3d) R^5 is a methyl group,

(3e) X is a bromine atom, and

(3f) W is a carbonyl group.

[0078]

In compounds used in the process of the present invention and compounds of the present invention, particularly preferably:

(4a) R^1, R^2, R^3 and R^4 each independently represent a hydrogen atom, fluorine atom, chlorine atom, bromine atom, iodine atom, methyl group, ethyl group, allyl group, isopropyl group, optionally substituted cyclopropyl group (wherein said substituent is two chlorine atoms) or methoxy group, or two adjacent R^1, R^2, R^3 and R^4 together with carbon atoms respectively bonded thereto form a group represented by -$CH_2CH_2CH_2$- or -OCH=CH-, provided that R^1 is not a hydrogen atom,

(4b) Z is a hydrogen atom,

(4c) Y^1, Y^2 and Y^3 are hydrogen atoms,

(4d) R^5 is a methyl group,

(4e) X is a bromine atom, and

(4f) W is a carbonyl group.

[0079]

Further, in compounds used in the process of the present invention and compounds of the present invention,

particularly preferably:

(5a) R^1 is a fluorine atom, chlorine atom, bromine atom, iodine atom or methyl group, and R^2, R^3, and R^4 are hydrogen atoms,

(5b) Z is a hydrogen atom,

(5c) Y^1, Y^2 and Y^3 are hydrogen atoms,

(5d) R^5 is a methyl group,

(5e) X is a bromine atom, and

(5f) W is a carbonyl group.

[0080]

In another aspect of compounds used in the process of the present invention and compounds of the present invention, preferably:

(6a) R^1, R^2, R^3, and R^4 are hydrogen atoms, halogen atoms, or C_1-C_6 alkyl groups,

(6b) Z is a hydrogen atom,

(6c) Y^1, Y^2 and Y^3 are hydrogen atoms,

(6d) R^5 is a C_1-C_6 alkyl group,

(6e) W is a carbonyl group, and

(6f) X is a chlorine atom, bromine atom, or iodine atom.

[0081]

In another aspect of compounds used in the process of the present invention and compounds of the present invention, more preferably:

(7a) R^1 is a halogen atom, or C_1-C_6 alkyl group, and R^2, R^3, and R^4 are hydrogen atoms,

(7b) Z is a hydrogen atom,

(7c) Y^1, Y^2 and Y^3 are hydrogen atoms,

(7d) R^5 is a C_1-C_6 alkyl group,

(7e) W is a carbonyl group, and

(7f) X is a chlorine atom, or bromine atom.

[0082]

In another aspect of compounds used in the process of the present invention and compounds of the present invention, even more preferably:

(8a) R^1 is a fluorine atom, chlorine atom, bromine atom,

or methyl group and R^2, R^3, and R^4 are hydrogen atoms,

(8b) Z is a hydrogen atom,

(8c) Y^1, Y^2 and Y^3 are hydrogen atoms,

(8d) R^5 is a methyl group,

(8e) W is a carbonyl group, and

(8f) X is bromine atom.

[0083]

Further, in each compound used in the process of the invention, M^1 is the above-mentioned metal residue, metal salt residue, or organometallic residue, and M^2 is the above-mentioned metal, metal salt, or organic metal compound.

[0084]

M^1 may be any metal provided it is a metal which is bonded to a vinyl group and easily eliminated, and may be, particularly, lithium, boronic acid, sodium, magnesium, magnesium salt, aluminium, dialkyl aluminium, potassium, calcium, calcium salt, titanium, titanium salt, manganese, manganese salt, copper, copper salt, zinc, zinc salt, zinc alloy, tin, trialkyl tin, tellurium, alkyl tellurium, cerium, cerium salt, samarium, samarium salt, europium, europium salt, ytterbium, ytterbium salt, preferably lithium, boronic acid, magnesium, magnesium salt, aluminium, dialkyl aluminium, manganese, manganese salt, copper, copper salt, zinc, zinc salt, zinc alloy, tin, trialkyl tin, tellurium, alkyl tellurium, cerium, or cerium salt, more preferably magnesium, magnesium chloride, magnesium bromide, or magnesium iodide.

[0085]

Further, M^2 is not particularly limited provided it may be converted into organic metallic compound by halogen-metal exchange reaction, and may be specifically, lithium, lithium alloy, sodium, magnesium, magnesium salt (e.g., magnesium chloride, magnesium bromide, magnesium iodide), potassium, nickel, nickel salt, copper, copper salt, zinc, zinc salt, zinc alloy (e.g., zinc-copper alloy,

zinc-silver alloy), chromium, chromium salt, methyl lithium, butyllithium, t-butyllithium, phenyl lithium, methylmagnesium chloride, methylmagnesium bromide, phenyl magnesium chloride, phenyl magnesium bromide, or calcium, preferably lithium, lithium alloy, sodium, magnesium, magnesium salt, potassium, nickel, nickel salt, copper, copper salt, zinc, zinc salt, zinc alloy (particularly zinc-copper alloy), or t-butyllithium, more preferably magnesium, zinc, or zinc-copper alloy.

[0086]

In another aspect of compounds used in the process of the present invention and compounds of the present invention, particularly preferably:

(9a) R^1 is a fluorine atom, chlorine atom, bromine atom, or methyl group and R^2, R^3, and R^4 are hydrogen atoms,

(9b) Z is a hydrogen atom,

(9c) Y^1, Y^2 and Y^3 are hydrogen atoms,

(9d) R^5 is a methyl group,

(9e) W is a carbonyl group,

(9f) X is a bromine atom,

(9g) M^1 is a magnesium chloride, and

(9h) M^2 is a magnesium.

[0087]

Further, the compound of general formula (3) of the present invention is that described above, preferably a compound wherein R^1 is a halogen atom or C_1-C_6 alkyl group, R^2, R^3 and R^4 are hydrogen atoms, and other groups are those described above. The compound of general formula (3) of the present invention is more preferably a compound wherein R^1 is a fluorine atom, chlorine atom, bromine atom, or methyl group, particularly preferably a methyl group, R^2, R^3, and R^4 are hydrogen atoms, Z is a hydrogen atom, and Y^1, Y^2, and Y^3 are hydrogen atoms.

[0088]

The representative compound of the compounds of general formula (3) can include 2-(1-hydroxyl-2-propenyl)-

6-methylphenol.

[0089]

Further, the compound of general formula (7') of the present invention is that described above, preferably a compound wherein R^1, R^2, R^3, and R^4 are hydrogen atoms, halogen atoms, or C_1-C_6 alkyl groups, Z is a hydrogen atom, Y^1, Y^2 and Y^3 are hydrogen atoms, R^5 is a C_1-C_6 alkyl group, W is a group CO, and X is a chlorine atom, bromine atom, or iodine atom, more preferably a compound wherein R^1 is a halogen atom or C_1-C_6 alkyl, R^2, R^3, and R^4 are hydrogen atoms, Z is a hydrogen atom, Y^1, Y^2 and Y^3 are hydrogen atoms, R^5 is C_1-C_6 alkyl group, W is a group CO, and X is a chlorine atom or bromine atom. The compound of general formula (7') of the present invention is more preferably a compound wherein R^1 is a fluorine atom, chlorine atom, bromine atom, or methyl group, particularly preferably a methyl group, R^2, R^3, and R^4 are hydrogen atoms, Z is a hydrogen atom, Y^1, Y^2 and Y^3 are hydrogen atoms, R^5 is a methyl group, W is a group CO, and X is a bromine atom.

[0090]

The representative compound of the compounds of general formula (7') can include 2-(1,3-dibromopropyl)-6-methylphenyl acetate.

[0091]

Further, the compound of general formula (7") of the present invention is that described above, preferably a compound wherein R^1, R^2, R^3, and R^4 are hydrogen atoms, halogen atoms, or C_1-C_6 alkyl groups, Z is a hydrogen atom, Y^1, Y^2 and Y^3 are hydrogen atoms, X is a chlorine atom, bromine atom, or iodine atom, more preferably a compound wherein R^1 is a halogen atom or C_1-C_6 alkyl, R^2, R^3, and R^4 are hydrogen atoms, Z is a hydrogen atom, Y^1, Y^2 and Y^3 are hydrogen atoms, X is a chlorine atom or bromine atom. The compound of general formula (7") of the present invention is even preferably a compound wherein R^1 is a fluorine atom, chlorine atom, bromine atom, or methyl group,

particularly preferably a methyl group, R^2, R^3, and R^4 are hydrogen atoms, Z is a hydrogen atom, Y^1, Y^2 and Y^3 are hydrogen atoms, and X is a bromine atom.

[0092]

The representative compound of the compounds of general formula (7") can include 2-(1,3-dibromopropyl)-6-methylphenol.

[0093]

Further, the compound of general formula (9') of the present invention is that described above, preferably a compound wherein R^1 is a halogen atom or C_1-C_6 alkyl group, R^2, R^3, and R^4 are hydrogen atoms, Z is a hydrogen atom, Y^1, Y^2 and Y^3 are hydrogen atoms, R^5 is a C_1-C_6 alkyl group, and W is a group CO. A compound of general formula (9') of the present invention is even preferably a compound wherein R^1 is a fluorine atom, chlorine atom, bromine atom, or a methyl group, particularly preferably a methyl group, R^2, R^3, and R^4 are hydrogen atoms, Z is a hydrogen atom, Y^1, Y^2 and Y^3 are hydrogen atoms, R^5 is a methyl group, and W is a group CO.

[0094]

The representative compound of the compounds of general formula (9') can include 2-cyclopropyl-6-methylphenyl acetate.

[0095]

Moreover, the compound of general formula (11') of the present invention is that described above, preferably a compound wherein R^1, R^2, R^3, and R^4 are hydrogen atoms, halogen atom, or a C_1-C_6 alkyl group, Z is a hydrogen atom, Y^1, Y^2 and Y^3 are hydrogen atoms, R^5 is a C_1-C_6 alkyl group, W is a group CO, and X is a chlorine atom, bromine atom, or iodine atom, provided that R^1 is neither a hydrogen atom nor acetoxy group, more preferably a compound wherein R^1 is a halogen atom or a C_1-C_6 alkyl group, R^2, R^3, and R^4 are hydrogen atoms, Z is a hydrogen atom, Y^1, Y^2 and Y^3 are hydrogen atoms, R^5 is a C_1-C_6 alkyl group, W is a group CO,

and X is a chlorine atom or bromine atom.

The compound of general formula (11') of the present invention is even more preferably a compound wherein R^1 is a fluorine atom, chlorine atom, bromine atom, or methyl group, particularly preferably a methyl group, R^2, R^3, and R^4 are hydrogen atoms, Z is a hydrogen atom, Y^1, Y^2 and Y^3 are hydrogen atoms, R^5 is a methyl group, W is a group CO, and X is a bromine atom.

[0096]

The representative compound of the compounds of general formula (11') can include 2-(3-bromo-1-propenyl)-6-methylphenyl acetate.

[0097]

Moreover, the compound of general formula (11") of the present invention is that described above, preferably a compound wherein R^1, R^2, R^3, and R^4 represent hydrogen atoms, halogen atom, or C_1-C_6 alkyl group, Z is a hydrogen atom, Y^1, Y^2 and Y^3 are hydrogen atoms, X is a chlorine atom, bromine atom, or iodine atom, more preferably a compound wherein R^1 is a halogen atom or C_1-C_6 alkyl, R^2, R^3, and R^4 are hydrogen atoms, Z is a hydrogen atom, Y^1, Y^2 and Y^3 are hydrogen atoms, and X is a chlorine atom or bromine atom.

[0098]

The compound of general formula (11") of the present invention is even more preferably a compound wherein R^1 is a fluorine atom, chlorine atom, bromine atom, or methyl group, particularly preferably a methyl group, R^2, R^3, and R^4 are hydrogen atoms, Z is a hydrogen atom, Y^1, Y^2 and Y^3 are hydrogen atoms, X is a bromine atom.

[0099]

The representative compound of the compounds of general formula (11") can include 2-(3-bromo-1-propenyl)-6-methylphenol.

[0100]

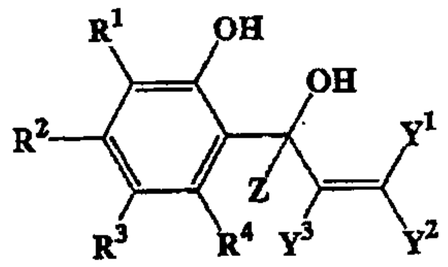
Although typical compounds of the present invention

are listed in the following Table 1, the present invention is not limited to these compounds.

[0101]

Although compounds used in the process of the present invention and compounds obtained according to the process of the present invention are listed in the following Table 1, the present invention is not limited thereto. In the table, "Me" indicates a methyl group, "Et" an ethyl group, "c-Pr" a cyclopropyl group, "i-Pr" an isopropyl group, and "Ph" a phenyl group.

[0102]



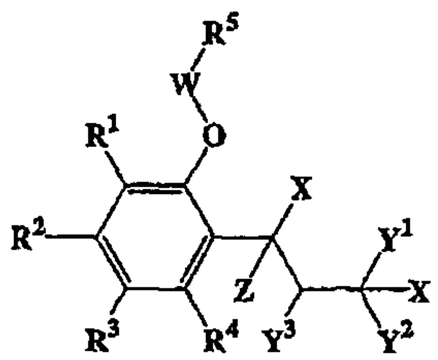
(3)

Comp. No.	R^1	R^2	R^3	R^4	Z	Y^1	Y^2	Y^3
1-1	H	H	H	H	H	H	H	H
1-2	Me	H	H	H	H	H	H	H
1-3	H	Me	H	H	H	H	H	H
1-4	H	H	Me	H	H	H	H	H
1-5	H	H	H	Me	H	H	H	H
1-6	H	H	H	H	Me	H	H	H
1-7	H	H	H	H	H	Me	H	H
1-8	H	H	H	H	H	H	Me	H
1-9	H	H	H	H	H	H	H	Me
1-10	Me	Me	H	H	H	H	H	H
1-11	Me	H	Me	H	H	H	H	H
1-12	Me	H	H	Me	H	H	H	H
1-13	Me	H	H	H	Me	H	H	H
1-14	Me	H	H	H	H	Me	H	H
1-15	Me	H	H	H	H	H	Me	H
1-16	Me	H	H	H	H	H	H	Me
1-17	Me	Me	Me	H	H	H	H	H
1-18	Me	Me	H	Me	H	H	H	H
1-19	Me	Me	H	H	Me	H	H	H
1-20	Me	Me	H	H	H	Me	H	H
1-21	Me	Me	H	H	H	H	Me	H
1-22	Me	Me	H	H	H	H	H	Me
1-23	Me	Me	H	Me	Me	H	H	H
1-24	Me	Me	H	Me	H	Me	H	H
1-25	Me	Me	H	Me	H	H	Me	H
1-26	Me	Me	H	Me	H	H	H	Me
1-27	Me	Me	Me	Me	H	H	H	H
1-28	Me	Me	Me	Me	Me	H	H	H
1-29	Me	Me	Me	Me	H	Me	H	H
1-30	Me	Me	Me	Me	H	H	Me	H
1-31	Me	Me	Me	Me	H	H	H	Me
1-32	H	Me	H	Me	H	H	H	H
1-33	H	H	Me	Me	H	H	H	H
1-34	Me	H	H	H	Me	Me	H	H
1-35	Me	H	H	H	Me	H	Me	H
1-36	Me	H	H	H	Me	H	H	Me
1-37	Me	H	H	H	Me	Me	Me	H
1-38	Me	H	H	H	Me	Me	H	Me
1-39	Me	H	H	H	Me	H	Me	Me
1-40	Me	H	H	H	Me	Me	Me	Me
1-41	Me	H	H	H	H	Me	Me	H
1-42	Me	H	H	H	H	Me	H	Me

1-43	Me	H	H	H	H	H	Me	Me
1-44	Me	H	H	H	H	Me	Me	Me
1-45	Me	H	H	H	Et	H	H	H
1-46	Me	H	H	H	cPr	H	H	H
1-47	Me	H	H	H	Ph	H	H	H
1-48	Me	H	H	H	H	Et	H	H
1-49	Et	H	H	H	H	H	H	H
1-50	H	Et	H	H	H	H	H	H
1-51	H	H	Et	H	H	H	H	H
1-52	H	H	H	Et	H	H	H	H
1-53	cPr	H	H	H	H	H	H	H
1-54	H	cPr	H	H	H	H	H	H
1-55	H	H	cPr	H	H	H	H	H
1-56	H	H	H	cPr	H	H	H	H
1-57	iPr	H	H	H	H	H	H	H
1-58	H	iPr	H	H	H	H	H	H
1-59	H	H	iPr	H	H	H	H	H
1-60	H	H	H	iPr	H	H	H	H
1-61	F	H	H	H	H	H	H	H
1-62	H	F	H	H	H	H	H	H
1-63	H	H	F	H	H	H	H	H
1-64	H	H	H	F	H	H	H	H
1-65	Cl	H	H	H	H	H	H	H
1-66	H	Cl	H	H	H	H	H	H
1-67	H	H	Cl	H	H	H	H	H
1-68	H	H	H	Cl	H	H	H	H
1-69	Br	H	H	H	H	H	H	H
1-70	H	Br	H	H	H	H	H	H
1-71	H	H	Br	H	H	H	H	H
1-72	H	H	H	Br	H	H	H	H
1-73	I	H	H	H	H	H	H	H
1-74	H	I	H	H	H	H	H	H
1-75	H	H	I	H	H	H	H	H
1-76	H	H	H	I	H	H	H	H
1-77	Me	F	H	H	H	H	H	H
1-78	Me	H	F	H	H	H	H	H
1-79	Me	H	H	F	H	H	H	H
1-80	Me	Cl	H	H	H	H	H	H
1-81	Me	H	Cl	H	H	H	H	H
1-82	Me	H	H	Cl	H	H	H	H
1-83	Me	Br	H	H	H	H	H	H
1-84	Me	H	Br	H	H	H	H	H
1-85	Me	H	H	Br	H	H	H	H
1-86	Et	Me	H	H	H	H	H	H
1-87	Et	H	Me	H	H	H	H	H
1-88	Et	H	H	Me	H	H	H	H
1-89	Et	F	H	H	H	H	H	H
1-90	Et	H	F	H	H	H	H	H
1-91	Et	H	H	F	H	H	H	H
1-92	Et	Cl	H	H	H	H	H	H
1-93	Et	H	Cl	H	H	H	H	H
1-94	Et	H	H	Cl	H	H	H	H
1-95	iPr	Me	H	H	H	H	H	H
1-96	iPr	H	Me	H	H	H	H	H

1-97	iPr	H	H	Me	H	H	H	H
1-98	iPr	F	H	H	H	H	H	H
1-99	iPr	H	F	H	H	H	H	H
1-100	iPr	H	H	F	H	H	H	H
1-101	iPr	Cl	H	H	H	H	H	H
1-102	iPr	H	Cl	H	H	H	H	H
1-103	iPr	H	H	Cl	H	H	H	H
1-104	cPr	Me	H	H	H	H	H	H
1-105	cPr	H	Me	H	H	H	H	H
1-106	cPr	H	H	Me	H	H	H	H
1-107	cPr	F	H	H	H	H	H	H
1-108	cPr	H	F	H	H	H	H	H
1-109	cPr	H	H	F	H	H	H	H
1-110	cPr	Cl	H	H	H	H	H	H
1-111	cPr	H	Cl	H	H	H	H	H
1-112	cPr	H	H	Cl	H	H	H	H
1-113	F	Me	H	H	H	H	H	H
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1-115	F	H	H	Me	H	H	H	H
1-116	F	F	H	H	H	H	H	H
1-117	F	H	F	H	H	H	H	H
1-118	F	H	H	F	H	H	H	H
1-119	F	Cl	H	H	H	H	H	H
1-120	F	H	Cl	H	H	H	H	H
1-121	F	H	H	Cl	H	H	H	H
1-122	Cl	Me	H	H	H	H	H	H
1-123	Cl	H	Me	H	H	H	H	H
1-124	Cl	H	H	Me	H	H	H	H
1-125	Cl	F	H	H	H	H	H	H
1-126	Cl	H	F	H	H	H	H	H
1-127	Cl	H	H	F	H	H	H	H
1-128	Cl	Cl	H	H	H	H	H	H
1-129	Cl	H	Cl	H	H	H	H	H
1-130	Cl	H	H	Cl	H	H	H	H
1-131	Br	Me	H	H	H	H	H	H
1-132	Br	H	Me	H	H	H	H	H
1-133	Br	H	H	Me	H	H	H	H
1-134	Br	F	H	H	H	H	H	H
1-135	Br	H	F	H	H	H	H	H
1-136	Br	H	H	F	H	H	H	H
1-137	Br	Cl	H	H	H	H	H	H
1-138	Br	H	Cl	H	H	H	H	H
1-139	Br	H	H	Cl	H	H	H	H

[0103]



(7')

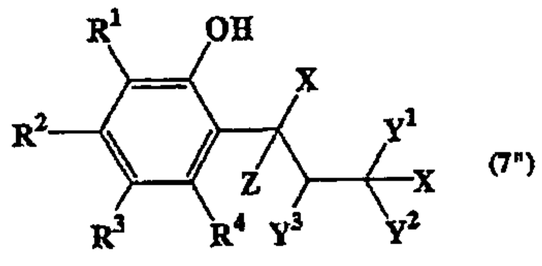
Comp. No.	R^1	R^2	R^3	R^4	R^5	W	X	Z	Y^1	Y^2	Y^3
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2-2	H	H	H	H	Me	CO	Br	H	H	H	H
2-3	H	H	H	H	Me	CO	I	H	H	H	H
2-4	Me	H	H	H	Me	CO	Cl	H	H	H	H
2-5	Me	H	H	H	Me	CO	Br	H	H	H	H
2-6	Me	H	H	H	Me	CO	I	H	H	H	H
2-7	H	Me	H	H	Me	CO	Cl	H	H	H	H
2-8	H	Me	H	H	Me	CO	Br	H	H	H	H
2-9	H	H	Me	H	Me	CO	Cl	H	H	H	H
2-10	H	H	Me	H	Me	CO	Br	H	H	H	H
2-11	H	H	H	Me	Me	CO	Cl	H	H	H	H
2-12	H	H	H	Me	Me	CO	Br	H	H	H	H
2-13	H	H	H	H	Me	CO	Br	Me	H	H	H
2-14	H	H	H	H	Me	CO	Br	H	Me	H	H
2-15	H	H	H	H	Me	CO	Br	H	H	Me	H
2-16	H	H	H	H	Me	CO	Br	H	H	H	Me
2-17	Me	Me	H	H	Me	CO	Cl	H	H	H	H
2-18	Me	Me	H	H	Me	CO	Br	H	H	H	H
2-19	Me	H	Me	H	Me	CO	Cl	H	H	H	H
2-20	Me	H	Me	H	Me	CO	Br	H	H	H	H
2-21	Me	H	H	Me	Me	CO	Cl	H	H	H	H
2-22	Me	H	H	Me	Me	CO	Br	H	H	H	H
2-23	Me	H	H	H	Me	CO	Br	Me	H	H	H
2-24	Me	H	H	H	Me	CO	Br	H	Me	H	H
2-25	Me	H	H	H	Me	CO	Br	H	H	Me	H
2-26	Me	H	H	H	Me	CO	Br	H	H	H	Me
2-27	Me	Me	Me	H	Me	CO	Br	H	H	H	H
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2-29	Me	Me	H	H	Me	CO	Br	Me	H	H	H
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2-35	Me	Me	H	Me	Me	CO	Br	H	H	Me	H
2-36	Me	Me	H	Me	Me	CO	Br	H	H	H	Me
2-37	Me	Me	Me	Me	Me	CO	Cl	H	H	H	H
2-38	Me	Me	Me	Me	Me	CO	Br	H	H	H	H
2-39	Me	Me	Me	Me	Me	CO	Br	Me	H	H	H
2-40	Me	Me	Me	Me	Me	CO	Br	H	Me	H	H
2-41	Me	Me	Me	Me	Me	CO	Br	H	H	Me	H
2-42	Me	Me	Me	Me	Me	CO	Br	H	H	H	Me

2-43	H	Me	H	Me	Me	CO	Cl	H	H	H	H
2-44	H	Me	H	Me	Me	CO	Br	H	H	H	H
2-45	H	H	Me	Me	Me	CO	Br	H	H	H	H
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2-51	Me	H	H	H	Me	CO	Br	Me	H	Me	Me
2-52	Me	H	H	H	Me	CO	Br	Me	Me	Me	Me
2-53	Me	H	H	H	Me	CO	Br	H	Me	Me	H
2-54	Me	H	H	H	Me	CO	Br	H	Me	H	Me
2-55	Me	H	H	H	Me	CO	Br	H	H	Me	Me
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2-64	H	H	Et	H	Me	CO	Br	H	H	H	H
2-65	H	H	H	Et	Me	CO	Br	H	H	H	H
2-66	cPr	H	H	H	Me	CO	Cl	H	H	H	H
2-67	cPr	H	H	H	Me	CO	Br	H	H	H	H
2-68	H	cPr	H	H	Me	CO	Br	H	H	H	H
2-69	H	H	cPr	H	Me	CO	Br	H	H	H	H
2-70	H	H	H	cPr	Me	CO	Br	H	H	H	H
2-71	iPr	H	H	H	Me	CO	Cl	H	H	H	H
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2-73	H	iPr	H	H	Me	CO	Br	H	H	H	H
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2-77	F	H	H	H	Me	CO	Br	H	H	H	H
2-78	H	F	H	H	Me	CO	Br	H	H	H	H
2-79	H	H	F	H	Me	CO	Br	H	H	H	H
2-80	H	H	H	F	Me	CO	Br	H	H	H	H
2-81	Cl	H	H	H	Me	CO	Cl	H	H	H	H
2-82	Cl	H	H	H	Me	CO	Br	H	H	H	H
2-83	H	Cl	H	H	Me	CO	Br	H	H	H	H
2-84	H	H	Cl	H	Me	CO	Br	H	H	H	H
2-85	H	H	H	Cl	Me	CO	Br	H	H	H	H
2-86	Br	H	H	H	Me	CO	Cl	H	H	H	H
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2-91	I	H	H	H	Me	CO	Cl	H	H	H	H
2-92	I	H	H	H	Me	CO	Br	H	H	H	H
2-93	H	I	H	H	Me	CO	Br	H	H	H	H
2-94	H	H	I	H	Me	CO	Br	H	H	H	H
2-95	H	H	H	I	Me	CO	Br	H	H	H	H
2-96	Me	F	H	H	Me	CO	Cl	H	H	H	H

2-97	Me	F	H	H	Me	CO	Br	H	H	H	H
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2-100	Me	Cl	H	H	Me	CO	Cl	H	H	H	H
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2-112	Et	F	H	H	Me	CO	Cl	H	H	H	H
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2-115	Et	H	H	F	Me	CO	Br	H	H	H	H
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2-118	Et	H	Cl	H	Me	CO	Br	H	H	H	H
2-119	Et	H	H	Cl	Me	CO	Br	H	H	H	H
2-120	iPr	Me	H	H	Me	CO	Cl	H	H	H	H
2-121	iPr	Me	H	H	Me	CO	Br	H	H	H	H
2-122	iPr	H	Me	H	Me	CO	Br	H	H	H	H
2-123	iPr	H	H	Me	Me	CO	Br	H	H	H	H
2-124	iPr	F	H	H	Me	CO	Cl	H	H	H	H
2-125	iPr	F	H	H	Me	CO	Br	H	H	H	H
2-126	iPr	H	F	H	Me	CO	Br	H	H	H	H
2-127	iPr	H	H	F	Me	CO	Br	H	H	H	H
2-128	iPr	Cl	H	H	Me	CO	Cl	H	H	H	H
2-129	iPr	Cl	H	H	Me	CO	Br	H	H	H	H
2-130	iPr	H	Cl	H	Me	CO	Br	H	H	H	H
2-131	iPr	H	H	Cl	Me	CO	Br	H	H	H	H
2-132	cPr	Me	H	H	Me	CO	Cl	H	H	H	H
2-133	cPr	Me	H	H	Me	CO	Br	H	H	H	H
2-134	cPr	H	Me	H	Me	CO	Br	H	H	H	H
2-135	cPr	H	H	Me	Me	CO	Br	H	H	H	H
2-136	cPr	F	H	H	Me	CO	Cl	H	H	H	H
2-137	cPr	F	H	H	Me	CO	Br	H	H	H	H
2-138	cPr	H	F	H	Me	CO	Br	H	H	H	H
2-139	cPr	H	H	F	Me	CO	Br	H	H	H	H
2-140	cPr	Cl	H	H	Me	CO	Cl	H	H	H	H
2-141	cPr	Cl	H	H	Me	CO	Br	H	H	H	H
2-142	cPr	H	Cl	H	Me	CO	Br	H	H	H	H
2-143	cPr	H	H	Cl	Me	CO	Br	H	H	H	H
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2-145	F	Me	H	H	Me	CO	Br	H	H	H	H
2-146	F	H	Me	H	Me	CO	Br	H	H	H	H
2-147	F	H	H	Me	Me	CO	Br	H	H	H	H
2-148	F	F	H	H	Me	CO	Cl	H	H	H	H
2-149	F	F	H	H	Me	CO	Br	H	H	H	H
2-150	F	H	F	H	Me	CO	Br	H	H	H	H

2-151	F	H	H	F	Me	CO	Br	H	H	H	H
2-152	F	Cl	H	H	Me	CO	Cl	H	H	H	H
2-153	F	Cl	H	H	Me	CO	Br	H	H	H	H
2-154	F	H	Cl	H	Me	CO	Br	H	H	H	H
2-155	F	H	H	Cl	Me	CO	Br	H	H	H	H
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2-157	Cl	Me	H	H	Me	CO	Br	H	H	H	H
2-158	Cl	H	Me	H	Me	CO	Br	H	H	H	H
2-159	Cl	H	H	Me	Me	CO	Br	H	H	H	H
2-160	Cl	F	H	H	Me	CO	Cl	H	H	H	H
2-161	Cl	F	H	H	Me	CO	Br	H	H	H	H
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2-163	Cl	H	H	F	Me	CO	Br	H	H	H	H
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2-165	Cl	Cl	H	H	Me	CO	Br	H	H	H	H
2-166	Cl	H	Cl	H	Me	CO	Br	H	H	H	H
2-167	Cl	H	H	Cl	Me	CO	Br	H	H	H	H
2-168	Br	Me	H	H	Me	CO	Cl	H	H	H	H
2-169	Br	Me	H	H	Me	CO	Br	H	H	H	H
2-170	Br	H	Me	H	Me	CO	Br	H	H	H	H
2-171	Br	H	H	Me	Me	CO	Br	H	H	H	H
2-172	Br	F	H	H	Me	CO	Cl	H	H	H	H
2-173	Br	F	H	H	Me	CO	Br	H	H	H	H
2-174	Br	H	F	H	Me	CO	Br	H	H	H	H
2-175	Br	H	H	F	Me	CO	Br	H	H	H	H
2-176	Br	Cl	H	H	Me	CO	Cl	H	H	H	H
2-177	Br	Cl	H	H	Me	CO	Br	H	H	H	H
2-178	Br	H	Cl	H	Me	CO	Br	H	H	H	H
2-179	Br	H	H	Cl	Me	CO	Br	H	H	H	H
2-180	Me	H	H	H	Et	CO	Br	H	H	H	H
2-181	Me	H	H	H	CH_2Cl	CO	Br	H	H	H	H
2-182	Me	H	H	H	CF_3	CO	Br	H	H	H	H
2-183	Me	H	H	H	Bu-t	CO	Br	H	H	H	H
2-184	Me	H	H	H	OMe	CO	Br	H	H	H	H
2-185	Me	H	H	H	OEt	CO	Br	H	H	H	H
2-186	Me	H	H	H	Me	SO_2	Br	H	H	H	H
2-187	Me	H	H	H	Ph	SO_2	Br	H	H	H	H

[0104]



(7")

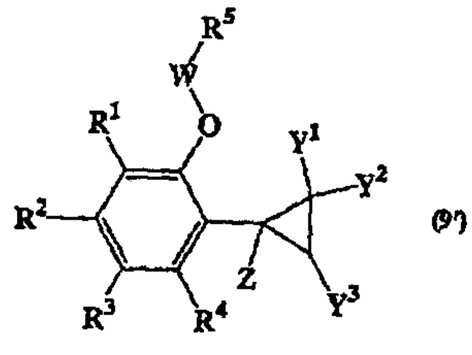
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3-3	H	H	H	H	I	H	H	H	H
3-4	Me	H	H	H	Cl	H	H	H	H
3-5	Me	H	H	H	Br	H	H	H	H
3-6	Me	H	H	H	I	H	H	H	H
3-7	H	Me	H	H	Cl	H	H	H	H
3-8	H	Me	H	H	Br	H	H	H	H
3-9	H	H	Me	H	Cl	H	H	H	H
3-10	H	H	Me	H	Br	H	H	H	H
3-11	H	H	H	Me	Cl	H	H	H	H
3-12	H	H	H	Me	Br	H	H	H	H
3-13	H	H	H	H	Br	Me	H	H	H
3-14	H	H	H	H	Br	H	Me	H	H
3-15	H	H	H	H	Br	H	H	Me	H
3-16	H	H	H	H	Br	H	H	H	Me
3-17	Me	Me	H	H	Cl	H	H	H	H
3-18	Me	Me	H	H	Br	H	H	H	H
3-19	Me	H	Me	H	Cl	H	H	H	H
3-20	Me	H	Me	H	Br	H	H	H	H
3-21	Me	H	H	Me	Cl	H	H	H	H
3-22	Me	H	H	Me	Br	H	H	H	H
3-23	Me	H	H	H	Br	Me	H	H	H
3-24	Me	H	H	H	Br	H	Me	H	H
3-25	Me	H	H	H	Br	H	H	Me	H
3-26	Me	H	H	H	Br	H	H	H	Me
3-27	Me	Me	Me	H	Br	H	H	H	H
3-28	Me	Me	H	Me	Br	H	H	H	H
3-29	Me	Me	H	H	Br	Me	H	H	H
3-30	Me	Me	H	H	Br	H	Me	H	H
3-31	Me	Me	H	H	Br	H	H	Me	H
3-32	Me	Me	H	H	Br	H	H	H	Me
3-33	Me	Me	H	Me	Br	Me	H	H	H
3-34	Me	Me	H	Me	Br	H	Me	H	H
3-35	Me	Me	H	Me	Br	H	H	Me	H
3-36	Me	Me	H	Me	Br	H	H	H	Me
3-37	Me	Me	Me	Me	Cl	H	H	H	H
3-38	Me	Me	Me	Me	Br	H	H	H	H
3-39	Me	Me	Me	Me	Br	Me	H	H	H
3-40	Me	Me	Me	Me	Br	H	Me	H	H
3-41	Me	Me	Me	Me	Br	H	H	Me	H
3-42	Me	Me	Me	Me	Br	H	H	H	Me
3-43	H	Me	H	Me	Cl	H	H	H	H
3-44	H	Me	H	Me	Br	H	H	H	H

3-45	H	H	Me	Me	Br	H	H	H	H
3-46	Me	H	H	H	Br	Me	Me	H	H
3-47	Me	H	H	H	Br	Me	H	Me	H
3-48	Me	H	H	H	Br	Me	H	H	Me
3-49	Me	H	H	H	Br	Me	Me	Me	H
3-50	Me	H	H	H	Br	Me	Me	H	Me
3-51	Me	H	H	H	Br	Me	H	Me	Me
3-52	Me	H	H	H	Br	Me	Me	Me	Me
3-53	Me	H	H	H	Br	H	Me	Me	H
3-54	Me	H	H	H	Br	H	Me	H	Me
3-55	Me	H	H	H	Br	H	H	Me	Me
3-56	Me	H	H	H	Br	H	Me	Me	Me
3-57	Me	H	H	H	Br	Et	H	H	H
3-58	Me	H	H	H	Br	cPr	H	H	H
3-59	Me	H	H	H	Br	Ph	H	H	H
3-60	Me	H	H	H	Br	H	Et	H	H
3-61	Et	H	H	H	Cl	H	H	H	H
3-62	Et	H	H	H	Br	H	H	H	H
3-63	H	Et	H	H	Br	H	H	H	H
3-64	H	H	Et	H	Br	H	H	H	H
3-65	H	H	H	Et	Br	H	H	H	H
3-66	cPr	H	H	H	Cl	H	H	H	H
3-67	cPr	H	H	H	Br	H	H	H	H
3-68	H	cPr	H	H	Br	H	H	H	H
3-69	H	H	cPr	H	Br	H	H	H	H
3-70	H	H	H	cPr	Br	H	H	H	H
3-71	iPr	H	H	H	Cl	H	H	H	H
3-72	iPr	H	H	H	Br	H	H	H	H
3-73	H	iPr	H	H	Br	H	H	H	H
3-74	H	H	iPr	H	Br	H	H	H	H
3-75	H	H	H	iPr	Br	H	H	H	H
3-76	F	H	H	H	Cl	H	H	H	H
3-77	F	H	H	H	Br	H	H	H	H
3-78	H	F	H	H	Br	H	H	H	H
3-79	H	H	F	H	Br	H	H	H	H
3-80	H	H	H	F	Br	H	H	H	H
3-81	Cl	H	H	H	Cl	H	H	H	H
3-82	Cl	H	H	H	Br	H	H	H	H
3-83	H	Cl	H	H	Br	H	H	H	H
3-84	H	H	Cl	H	Br	H	H	H	H
3-85	H	H	H	Cl	Br	H	H	H	H
3-86	Br	H	H	H	Cl	H	H	H	H
3-87	Br	H	H	H	Br	H	H	H	H
3-88	H	Br	H	H	Br	H	H	H	H
3-89	H	H	Br	H	Br	H	H	H	H
3-90	H	H	H	Br	Br	H	H	H	H
3-91	I	H	H	H	Cl	H	H	H	H
3-92	I	H	H	H	Br	H	H	H	H
3-93	H	I	H	H	Br	H	H	H	H
3-94	H	H	I	H	Br	H	H	H	H
3-95	H	H	H	I	Br	H	H	H	H
3-96	Me	F	H	H	Cl	H	H	H	H
3-97	Me	F	H	H	Br	H	H	H	H
3-98	Me	H	F	H	Br	H	H	H	H

3-99	Me	H	H	F	Br	H	H	H	H
3-100	Me	Cl	H	H	Cl	H	H	H	H
3-101	Me	Cl	H	H	Br	H	H	H	H
3-102	Me	H	Cl	H	Br	H	H	H	H
3-103	Me	H	H	Cl	Br	H	H	H	H
3-104	Me	Br	H	H	Cl	H	H	H	H
3-105	Me	Br	H	H	Br	H	H	H	H
3-106	Me	H	Br	H	Br	H	H	H	H
3-107	Me	H	H	Br	Br	H	H	H	H
3-108	Et	Me	H	H	Cl	H	H	H	H
3-109	Et	Me	H	H	Br	H	H	H	H
3-110	Et	H	Me	H	Br	H	H	H	H
3-111	Et	H	H	Me	Br	H	H	H	H
3-112	Et	F	H	H	Cl	H	H	H	H
3-113	Et	F	H	H	Br	H	H	H	H
3-114	Et	H	F	H	Br	H	H	H	H
3-115	Et	H	H	F	Br	H	H	H	H
3-116	Et	Cl	H	H	Cl	H	H	H	H
3-117	Et	Cl	H	H	Br	H	H	H	H
3-118	Et	H	Cl	H	Br	H	H	H	H
3-119	Et	H	H	Cl	Br	H	H	H	H
3-120	iPr	Me	H	H	Cl	H	H	H	H
3-121	iPr	Me	H	H	Br	H	H	H	H
3-122	iPr	H	Me	H	Br	H	H	H	H
3-123	iPr	H	H	Me	Br	H	H	H	H
3-124	iPr	F	H	H	Cl	H	H	H	H
3-125	iPr	F	H	H	Br	H	H	H	H
3-126	iPr	H	F	H	Br	H	H	H	H
3-127	iPr	H	H	F	Br	H	H	H	H
3-128	iPr	Cl	H	H	Cl	H	H	H	H
3-129	iPr	Cl	H	H	Br	H	H	H	H
3-130	iPr	H	Cl	H	Br	H	H	H	H
3-131	iPr	H	H	Cl	Br	H	H	H	H
3-132	cPr	Me	H	H	Cl	H	H	H	H
3-133	cPr	Me	H	H	Br	H	H	H	H
3-134	cPr	H	Me	H	Br	H	H	H	H
3-135	cPr	H	H	Me	Br	H	H	H	H
3-136	cPr	F	H	H	Cl	H	H	H	H
3-137	cPr	F	H	H	Br	H	H	H	H
3-138	cPr	H	F	H	Br	H	H	H	H
3-139	cPr	H	H	F	Br	H	H	H	H
3-140	cPr	Cl	H	H	Cl	H	H	H	H
3-141	cPr	Cl	H	H	Br	H	H	H	H
3-142	cPr	H	Cl	H	Br	H	H	H	H
3-143	cPr	H	H	Cl	Br	H	H	H	H
3-144	F	Me	H	H	Cl	H	H	H	H
3-145	F	Me	H	H	Br	H	H	H	H
3-146	F	H	Me	H	Br	H	H	H	H
3-147	F	H	H	Me	Br	H	H	H	H
3-148	F	F	H	H	Cl	H	H	H	H
3-149	F	F	H	H	Br	H	H	H	H
3-150	F	H	F	H	Br	H	H	H	H
3-151	F	H	H	F	Br	H	H	H	H
3-152	F	Cl	H	H	Cl	H	H	H	H

3-153	F	Cl	H	H	Br	H	H	H	H
3-154	F	H	Cl	H	Br	H	H	H	H
3-155	F	H	H	Cl	Br	H	H	H	H
3-156	Cl	Me	H	H	Cl	H	H	H	H
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3-159	Cl	H	H	Me	Br	H	H	H	H
3-160	Cl	F	H	H	Cl	H	H	H	H
3-161	Cl	F	H	H	Br	H	H	H	H
3-162	Cl	H	F	H	Br	H	H	H	H
3-163	Cl	H	H	F	Br	H	H	H	H
3-164	Cl	Cl	H	H	Cl	H	H	H	H
3-165	Cl	Cl	H	H	Br	H	H	H	H
3-166	Cl	H	Cl	H	Br	H	H	H	H
3-167	Cl	H	H	Cl	Br	H	H	H	H
3-168	Br	Me	H	H	Cl	H	H	H	H
3-169	Br	Me	H	H	Br	H	H	H	H
3-170	Br	H	Me	H	Br	H	H	H	H
3-171	Br	H	H	Me	Br	H	H	H	H
3-172	Br	F	H	H	Cl	H	H	H	H
3-173	Br	F	H	H	Br	H	H	H	H
3-174	Br	H	F	H	Br	H	H	H	H
3-175	Br	H	H	F	Br	H	H	H	H
3-176	Br	Cl	H	H	Cl	H	H	H	H
3-177	Br	Cl	H	H	Br	H	H	H	H
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3-179	Br	H	H	Cl	Br	H	H	H	H

[0105]



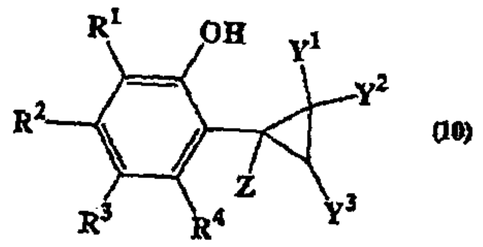
(9)

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4-3	H	Me	H	H	Me	CO	H	H	H	H
4-4	H	H	Me	H	Me	CO	H	H	H	H
4-5	H	H	H	Me	Me	CO	H	H	H	H
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4-7	H	H	H	H	Me	CO	H	Me	H	H
4-8	H	H	H	H	Me	CO	H	H	Me	H
4-9	H	H	H	H	Me	CO	H	H	H	Me
4-10	Me	Me	H	H	Me	CO	H	H	H	H
4-11	Me	H	Me	H	Me	CO	H	H	H	H
4-12	Me	H	H	Me	Me	CO	H	H	H	H
4-13	Me	H	H	H	Me	CO	Me	H	H	H
4-14	Me	H	H	H	Me	CO	H	Me	H	H
4-15	Me	H	H	H	Me	CO	H	H	Me	H
4-16	Me	H	H	H	Me	CO	H	H	H	Me
4-17	Me	Me	Me	H	Me	CO	H	H	H	H
4-18	Me	Me	H	Me	Me	CO	H	H	H	H
4-19	Me	Me	H	H	Me	CO	Me	H	H	H
4-20	Me	Me	H	H	Me	CO	H	Me	H	H
4-21	Me	Me	H	H	Me	CO	H	H	Me	H
4-22	Me	Me	H	H	Me	CO	H	H	H	Me
4-23	Me	Me	H	Me	Me	CO	Me	H	H	H
4-24	Me	Me	H	Me	Me	CO	H	Me	H	H
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4-28	Me	Me	Me	Me	Me	CO	Me	H	H	H
4-29	Me	Me	Me	Me	Me	CO	H	Me	H	H
4-30	Me	Me	Me	Me	Me	CO	H	H	Me	H
4-31	Me	Me	Me	Me	Me	CO	H	H	H	Me
4-32	H	Me	H	Me	Me	CO	H	H	H	H
4-33	H	H	Me	Me	Me	CO	H	H	H	H
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4-38	Me	H	H	H	Me	CO	Me	Me	H	Me
4-39	Me	H	H	H	Me	CO	Me	H	Me	Me
4-40	Me	H	H	H	Me	CO	Me	Me	Me	Me

4-41	Me	H	H	H	Me	CO	H	Me	Me	H
4-42	Me	H	H	H	Me	CO	H	Me	H	Me
4-43	Me	H	H	H	Me	CO	H	H	Me	Me
4-44	Me	H	H	H	Me	CO	H	Me	Me	Me
4-45	Me	H	H	H	Me	CO	Et	H	H	H
4-46	Me	H	H	H	Me	CO	cPr	H	H	H
4-47	Me	H	H	H	Me	CO	Ph	H	H	H
4-48	Me	H	H	H	Me	CO	H	Et	H	H
4-49	Et	H	H	H	Me	CO	H	H	H	H
4-50	H	Et	H	H	Me	CO	H	H	H	H
4-51	H	H	Et	H	Me	CO	H	H	H	H
4-52	H	H	H	Et	Me	CO	H	H	H	H
4-53	cPr	H	H	H	Me	CO	H	H	H	H
4-54	H	cPr	H	H	Me	CO	H	H	H	H
4-55	H	H	cPr	H	Me	CO	H	H	H	H
4-56	H	H	H	cPr	Me	CO	H	H	H	H
4-57	iPr	H	H	H	Me	CO	H	H	H	H
4-58	H	iPr	H	H	Me	CO	H	H	H	H
4-59	H	H	iPr	H	Me	CO	H	H	H	H
4-60	H	H	H	iPr	Me	CO	H	H	H	H
4-61	F	H	H	H	Me	CO	H	H	H	H
4-62	H	F	H	H	Me	CO	H	H	H	H
4-63	H	H	F	H	Me	CO	H	H	H	H
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4-66	H	Cl	H	H	Me	CO	H	H	H	H
4-67	H	H	Cl	H	Me	CO	H	H	H	H
4-68	H	H	H	Cl	Me	CO	H	H	H	H
4-69	Br	H	H	H	Me	CO	H	H	H	H
4-70	H	Br	H	H	Me	CO	H	H	H	H
4-71	H	H	Br	H	Me	CO	H	H	H	H
4-72	H	H	H	Br	Me	CO	H	H	H	H
4-73	I	H	H	H	Me	CO	H	H	H	H
4-74	H	I	H	H	Me	CO	H	H	H	H
4-75	H	H	I	H	Me	CO	H	H	H	H
4-76	H	H	H	I	Me	CO	H	H	H	H
4-77	Me	F	H	H	Me	CO	H	H	H	H
4-78	Me	H	F	H	Me	CO	H	H	H	H
4-79	Me	H	H	F	Me	CO	H	H	H	H
4-80	Me	Cl	H	H	Me	CO	H	H	H	H
4-81	Me	H	Cl	H	Me	CO	H	H	H	H
4-82	Me	H	H	Cl	Me	CO	H	H	H	H
4-83	Me	Br	H	H	Me	CO	H	H	H	H
4-84	Me	H	Br	H	Me	CO	H	H	H	H
4-85	Me	H	H	Br	Me	CO	H	H	H	H
4-86	Et	Me	H	H	Me	CO	H	H	H	H
4-87	Et	H	Me	H	Me	CO	H	H	H	H
4-88	Et	H	H	Me	Me	CO	H	H	H	H
4-89	Et	F	H	H	Me	CO	H	H	H	H
4-90	Et	H	F	H	Me	CO	H	H	H	H
4-91	Et	H	H	F	Me	CO	H	H	H	H
4-92	Et	Cl	H	H	Me	CO	H	H	H	H
4-93	Et	H	Cl	H	Me	CO	H	H	H	H
4-94	Et	H	H	Cl	Me	CO	H	H	H	H

4-95	iPr	Me	H	H	Me	CO	H	H	H	H
4-96	iPr	H	Me	H	Me	CO	H	H	H	H
4-97	iPr	H	H	Me	Me	CO	H	H	H	H
4-98	iPr	F	H	H	Me	CO	H	H	H	H
4-99	iPr	H	F	H	Me	CO	H	H	H	H
4-100	iPr	H	H	F	Me	CO	H	H	H	H
4-101	iPr	Cl	H	H	Me	CO	H	H	H	H
4-102	iPr	H	Cl	H	Me	CO	H	H	H	H
4-103	iPr	H	H	Cl	Me	CO	H	H	H	H
4-104	cPr	Me	H	H	Me	CO	H	H	H	H
4-105	cPr	H	Me	H	Me	CO	H	H	H	H
4-106	cPr	H	H	Me	Me	CO	H	H	H	H
4-107	cPr	F	H	H	Me	CO	H	H	H	H
4-108	cPr	H	F	H	Me	CO	H	H	H	H
4-109	cPr	H	H	F	Me	CO	H	H	H	H
4-110	cPr	Cl	H	H	Me	CO	H	H	H	H
4-111	cPr	H	Cl	H	Me	CO	H	H	H	H
4-112	cPr	H	H	Cl	Me	CO	H	H	H	H
4-113	F	Me	H	H	Me	CO	H	H	H	H
4-114	F	H	Me	H	Me	CO	H	H	H	H
4-115	F	H	H	Me	Me	CO	H	H	H	H
4-116	F	F	H	H	Me	CO	H	H	H	H
4-117	F	H	F	H	Me	CO	H	H	H	H
4-118	F	H	H	F	Me	CO	H	H	H	H
4-119	F	Cl	H	H	Me	CO	H	H	H	H
4-120	F	H	Cl	H	Me	CO	H	H	H	H
4-121	F	H	H	Cl	Me	CO	H	H	H	H
4-122	Cl	Me	H	H	Me	CO	H	H	H	H
4-123	Cl	H	Me	H	Me	CO	H	H	H	H
4-124	Cl	H	H	Me	Me	CO	H	H	H	H
4-125	Cl	F	H	H	Me	CO	H	H	H	H
4-126	Cl	H	F	H	Me	CO	H	H	H	H
4-127	Cl	H	H	F	Me	CO	H	H	H	H
4-128	Cl	Cl	H	H	Me	CO	H	H	H	H
4-129	Cl	H	Cl	H	Me	CO	H	H	H	H
4-130	Cl	H	H	Cl	Me	CO	H	H	H	H
4-131	Br	Me	H	H	Me	CO	H	H	H	H
4-132	Br	H	Me	H	Me	CO	H	H	H	H
4-133	Br	H	H	Me	Me	CO	H	H	H	H
4-134	Br	F	H	H	Me	CO	H	H	H	H
4-135	Br	H	F	H	Me	CO	H	H	H	H
4-136	Br	H	H	F	Me	CO	H	H	H	H
4-137	Br	Cl	H	H	Me	CO	H	H	H	H
4-138	Br	H	Cl	H	Me	CO	H	H	H	H
4-139	Br	H	H	Cl	Me	CO	H	H	H	H
4-140	Me	H	H	H	Et	CO	H	H	H	H
4-141	Me	H	H	H	CH_2Cl	CO	H	H	H	H
4-142	Me	H	H	H	CF_3	CO	H	H	H	H
4-143	Me	H	H	H	Bu-t	CO	H	H	H	H
4-144	Me	H	H	H	OMe	CO	H	H	H	H
4-145	Me	H	H	H	OEt	CO	H	H	H	H
4-146	Me	H	H	H	Me	SO_2	H	H	H	H
4-147	Me	H	H	H	Ph	SO_2	H	H	H	H

[0106]



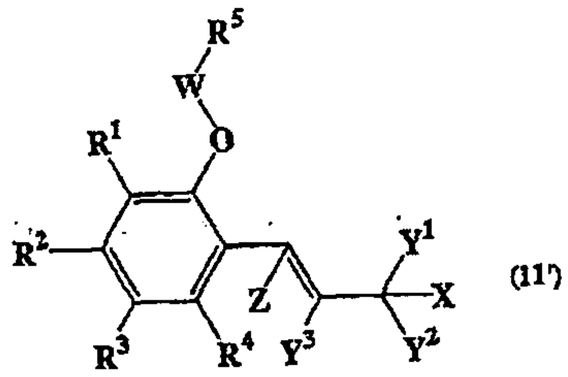
(10)

Comp. No.	R^1	R^2	R^3	R^4	Z	Y^1	Y^2	Y^3
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5-2	Me	H	H	H	H	H	H	H
5-3	H	Me	H	H	H	H	H	H
5-4	H	H	Me	H	H	H	H	H
5-5	H	H	H	Me	H	H	H	H
5-6	H	H	H	H	Me	H	H	H
5-7	H	H	H	H	H	Me	H	H
5-8	H	H	H	H	H	H	Me	H
5-9	H	H	H	H	H	H	H	Me
5-10	Me	Me	H	H	H	H	H	H
5-11	Me	H	Me	H	H	H	H	H
5-12	Me	H	H	Me	H	H	H	H
5-13	Me	H	H	H	Me	H	H	H
5-14	Me	H	H	H	H	Me	H	H
5-15	Me	H	H	H	H	H	Me	H
5-16	Me	H	H	H	H	H	H	Me
5-17	Me	Me	Me	H	H	H	H	H
5-18	Me	Me	H	Me	H	H	H	H
5-19	Me	Me	H	H	Me	H	H	H
5-20	Me	Me	H	H	H	Me	H	H
5-21	Me	Me	H	H	H	H	Me	H
5-22	Me	Me	H	H	H	H	H	Me
5-23	Me	Me	H	Me	Me	H	H	H
5-24	Me	Me	H	Me	H	Me	H	H
5-25	Me	Me	H	Me	H	H	Me	H
5-26	Me	Me	H	Me	H	H	H	Me
5-27	Me	Me	Me	Me	H	H	H	H
5-28	Me	Me	Me	Me	Me	H	H	H
5-29	Me	Me	Me	Me	H	Me	H	H
5-30	Me	Me	Me	Me	H	H	Me	H
5-31	Me	Me	Me	Me	H	H	H	Me
5-32	H	Me	H	Me	H	H	H	H
5-33	H	H	Me	Me	H	H	H	H
5-34	Me	H	H	H	Me	Me	H	H
5-35	Me	H	H	H	Me	H	Me	H
5-36	Me	H	H	H	Me	H	H	Me
5-37	Me	H	H	H	Me	Me	Me	H
5-38	Me	H	H	H	Me	Me	H	Me
5-39	Me	H	H	H	Me	H	Me	Me
5-40	Me	H	H	H	Me	Me	Me	Me
5-41	Me	H	H	H	H	Me	Me	H
5-42	Me	H	H	H	H	Me	H	Me
5-43	Me	H	H	H	H	H	Me	Me
5-44	Me	H	H	H	H	Me	Me	Me
5-45	Me	H	H	H	Et	H	H	H

5-46	Me	H	H	H	cPr	H	H	H
5-47	Me	H	H	H	Ph	H	H	H
5-48	Me	H	H	H	H	Et	H	H
5-49	Et	H	H	H	H	H	H	H
5-50	H	Et	H	H	H	H	H	H
5-51	H	H	Et	H	H	H	H	H
5-52	H	H	H	Et	H	H	H	H
5-53	cPr	H	H	H	H	H	H	H
5-54	H	cPr	H	H	H	H	H	H
5-55	H	H	cPr	H	H	H	H	H
5-56	H	H	H	cPr	H	H	H	H
5-57	iPr	H	H	H	H	H	H	H
5-58	H	iPr	H	H	H	H	H	H
5-59	H	H	iPr	H	H	H	H	H
5-60	H	H	H	iPr	H	H	H	H
5-61	F	H	H	H	H	H	H	H
5-62	H	F	H	H	H	H	H	H
5-63	H	H	F	H	H	H	H	H
5-64	H	H	H	F	H	H	H	H
5-65	Cl	H	H	H	H	H	H	H
5-66	H	Cl	H	H	H	H	H	H
5-67	H	H	Cl	H	H	H	H	H
5-68	H	H	H	Cl	H	H	H	H
5-69	Br	H	H	H	H	H	H	H
5-70	H	Br	H	H	H	H	H	H
5-71	H	H	Br	H	H	H	H	H
5-72	H	H	H	Br	H	H	H	H
5-73	I	H	H	H	H	H	H	H
5-74	H	I	H	H	H	H	H	H
5-75	H	H	I	H	H	H	H	H
5-76	H	H	H	I	H	H	H	H
5-77	Me	F	H	H	H	H	H	H
5-78	Me	H	F	H	H	H	H	H
5-79	Me	H	H	F	H	H	H	H
5-80	Me	Cl	H	H	H	H	H	H
5-81	Me	H	Cl	H	H	H	H	H
5-82	Me	H	H	Cl	H	H	H	H
5-83	Me	Br	H	H	H	H	H	H
5-84	Me	H	Br	H	H	H	H	H
5-85	Me	H	H	Br	H	H	H	H
5-86	Et	Me	H	H	H	H	H	H
5-87	Et	H	Me	H	H	H	H	H
5-88	Et	H	H	Me	H	H	H	H
5-89	Et	F	H	H	H	H	H	H
5-90	Et	H	F	H	H	H	H	H
5-91	Et	H	H	F	H	H	H	H
5-92	Et	Cl	H	H	H	H	H	H
5-93	Et	H	Cl	H	H	H	H	H
5-94	Et	H	H	Cl	H	H	H	H
5-95	iPr	Me	H	H	H	H	H	H
5-96	iPr	H	Me	H	H	H	H	H
5-97	iPr	H	H	Me	H	H	H	H
5-98	iPr	F	H	H	H	H	H	H
5-99	iPr	H	F	H	H	H	H	H

5-100	iPr	H	H	F	H	H	H	H
5-101	iPr	Cl	H	H	H	H	H	H
5-102	iPr	H	Cl	H	H	H	H	H
5-103	iPr	H	H	Cl	H	H	H	H
5-104	cPr	Me	H	H	H	H	H	H
5-105	cPr	H	Me	H	H	H	H	H
5-106	cPr	H	H	Me	H	H	H	H
5-107	cPr	F	H	H	H	H	H	H
5-108	cPr	H	F	H	H	H	H	H
5-109	cPr	H	H	F	H	H	H	H
5-110	cPr	Cl	H	H	H	H	H	H
5-111	cPr	H	Cl	H	H	H	H	H
5-112	cPr	H	H	Cl	H	H	H	H
5-113	F	Me	H	H	H	H	H	H
5-114	F	H	Me	H	H	H	H	H
5-115	F	H	H	Me	H	H	H	H
5-116	F	F	H	H	H	H	H	H
5-117	F	H	F	H	H	H	H	H
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5-119	F	Cl	H	H	H	H	H	H
5-120	F	H	Cl	H	H	H	H	H
5-121	F	H	H	Cl	H	H	H	H
5-122	Cl	Me	H	H	H	H	H	H
5-123	Cl	H	Me	H	H	H	H	H
5-124	Cl	H	H	Me	H	H	H	H
5-125	Cl	F	H	H	H	H	H	H
5-126	Cl	H	F	H	H	H	H	H
5-127	Cl	H	H	F	H	H	H	H
5-128	Cl	Cl	H	H	H	H	H	H
5-129	Cl	H	Cl	H	H	H	H	H
5-130	Cl	H	H	Cl	H	H	H	H
5-131	Br	Me	H	H	H	H	H	H
5-132	Br	H	Me	H	H	H	H	H
5-133	Br	H	H	Me	H	H	H	H
5-134	Br	F	H	H	H	H	H	H
5-135	Br	H	F	H	H	H	H	H
5-136	Br	H	H	F	H	H	H	H
5-137	Br	Cl	H	H	H	H	H	H
5-138	Br	H	Cl	H	H	H	H	H
5-139	Br	H	H	Cl	H	H	H	H

[0107]



(11')

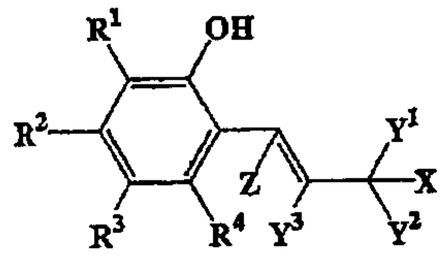
Comp. No.	R^1	R^2	R^3	R^4	R^5	W	X	Z	Y^1	Y^2	Y^3
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6-2	H	H	H	H	Me	CO	Br	H	H	H	H
6-3	H	H	H	H	Me	CO	I	H	H	H	H
6-4	Me	H	H	H	Me	CO	Cl	H	H	H	H
6-5	Me	H	H	H	Me	CO	Br	H	H	H	H
6-6	Me	H	H	H	Me	CO	I	H	H	H	H
6-7	H	Me	H	H	Me	CO	Cl	H	H	H	H
6-8	H	Me	H	H	Me	CO	Br	H	H	H	H
6-9	H	H	Me	H	Me	CO	Cl	H	H	H	H
6-10	H	H	Me	H	Me	CO	Br	H	H	H	H
6-11	H	H	H	Me	Me	CO	Cl	H	H	H	H
6-12	H	H	H	Me	Me	CO	Br	H	H	H	H
6-13	H	H	H	H	Me	CO	Br	Me	H	H	H
6-14	H	H	H	H	Me	CO	Br	H	Me	H	H
6-15	H	H	H	H	Me	CO	Br	H	H	Me	H
6-16	H	H	H	H	Me	CO	Br	H	H	H	Me
6-17	Me	Me	H	H	Me	CO	Cl	H	H	H	H
6-18	Me	Me	H	H	Me	CO	Br	H	H	H	H
6-19	Me	H	Me	H	Me	CO	Cl	H	H	H	H
6-20	Me	H	Me	H	Me	CO	Br	H	H	H	H
6-21	Me	H	H	Me	Me	CO	Cl	H	H	H	H
6-22	Me	H	H	Me	Me	CO	Br	H	H	H	H
6-23	Me	H	H	H	Me	CO	Br	Me	H	H	H
6-24	Me	H	H	H	Me	CO	Br	H	Me	H	H
6-25	Me	H	H	H	Me	CO	Br	H	H	Me	H
6-26	Me	H	H	H	Me	CO	Br	H	H	H	Me
6-27	Me	Me	Me	H	Me	CO	Br	H	H	H	H
6-28	Me	Me	H	Me	Me	CO	Br	H	H	H	H
6-29	Me	Me	H	H	Me	CO	Br	Me	H	H	H
6-30	Me	Me	H	H	Me	CO	Br	H	Me	H	H
6-31	Me	Me	H	H	Me	CO	Br	H	H	Me	H
6-32	Me	Me	H	H	Me	CO	Br	H	H	H	Me
6-33	Me	Me	H	Me	Me	CO	Br	Me	H	H	H
6-34	Me	Me	H	Me	Me	CO	Br	H	Me	H	H
6-35	Me	Me	H	Me	Me	CO	Br	H	H	Me	H
6-36	Me	Me	H	Me	Me	CO	Br	H	H	H	Me
6-37	Me	Me	Me	Me	Me	CO	Cl	H	H	H	H
6-38	Me	Me	Me	Me	Me	CO	Br	H	H	H	H
6-39	Me	Me	Me	Me	Me	CO	Br	Me	H	H	H
6-40	Me	Me	Me	Me	Me	CO	Br	H	Me	H	H
6-41	Me	Me	Me	Me	Me	CO	Br	H	H	Me	H
6-42	Me	Me	Me	Me	Me	CO	Br	H	H	H	Me

6-43	H	Me	H	Me	Me	CO	Cl	H	H	H	H
6-44	H	Me	H	Me	Me	CO	Br	H	H	H	H
6-45	H	H	Me	Me	Me	CO	Br	H	H	H	H
6-46	Me	H	H	H	Me	CO	Br	Me	Me	H	H
6-47	Me	H	H	H	Me	CO	Br	Me	H	Me	H
6-48	Me	H	H	H	Me	CO	Br	Me	H	H	Me
6-49	Me	H	H	H	Me	CO	Br	Me	Me	Me	H
6-50	Me	H	H	H	Me	CO	Br	Me	Me	H	Me
6-51	Me	H	H	H	Me	CO	Br	Me	H	Me	Me
6-52	Me	H	H	H	Me	CO	Br	Me	Me	Me	Me
6-53	Me	H	H	H	Me	CO	Br	H	Me	Me	H
6-54	Me	H	H	H	Me	CO	Br	H	Me	H	Me
6-55	Me	H	H	H	Me	CO	Br	H	H	Me	Me
6-56	Me	H	H	H	Me	CO	Br	H	Me	Me	Me
6-57	Me	H	H	H	Me	CO	Br	Et	H	H	H
6-58	Me	H	H	H	Me	CO	Br	cPr	H	H	H
6-59	Me	H	H	H	Me	CO	Br	Ph	H	H	H
6-60	Me	H	H	H	Me	CO	Br	H	Et	H	H
6-61	Et	H	H	H	Me	CO	Cl	H	H	H	H
6-62	Et	H	H	H	Me	CO	Br	H	H	H	H
6-63	H	Et	H	H	Me	CO	Br	H	H	H	H
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6-65	H	H	H	Et	Me	CO	Br	H	H	H	H
6-66	cPr	H	H	H	Me	CO	Cl	H	H	H	H
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6-69	H	H	cPr	H	Me	CO	Br	H	H	H	H
6-70	H	H	H	cPr	Me	CO	Br	H	H	H	H
6-71	iPr	H	H	H	Me	CO	Cl	H	H	H	H
6-72	iPr	H	H	H	Me	CO	Br	H	H	H	H
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6-74	H	H	iPr	H	Me	CO	Br	H	H	H	H
6-75	H	H	H	iPr	Me	CO	Br	H	H	H	H
6-76	F	H	H	H	Me	CO	Cl	H	H	H	H
6-77	F	H	H	H	Me	CO	Br	H	H	H	H
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6-79	H	H	F	H	Me	CO	Br	H	H	H	H
6-80	H	H	H	F	Me	CO	Br	H	H	H	H
6-81	Cl	H	H	H	Me	CO	Cl	H	H	H	H
6-82	Cl	H	H	H	Me	CO	Br	H	H	H	H
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6-84	H	H	Cl	H	Me	CO	Br	H	H	H	H
6-85	H	H	H	Cl	Me	CO	Br	H	H	H	H
6-86	Br	H	H	H	Me	CO	Cl	H	H	H	H
6-87	Br	H	H	H	Me	CO	Br	H	H	H	H
6-88	H	Br	H	H	Me	CO	Br	H	H	H	H
6-89	H	H	Br	H	Me	CO	Br	H	H	H	H
6-90	H	H	H	Br	Me	CO	Br	H	H	H	H
6-91	I	H	H	H	Me	CO	Cl	H	H	H	H
6-92	I	H	H	H	Me	CO	Br	H	H	H	H
6-93	H	I	H	H	Me	CO	Br	H	H	H	H
6-94	H	H	I	H	Me	CO	Br	H	H	H	H
6-95	H	H	H	I	Me	CO	Br	H	H	H	H
6-96	Me	F	H	H	Me	CO	Cl	H	H	H	H

6-97	Me	F	H	H	Me	CO	Br	H	H	H	H
6-98	Me	H	F	H	Me	CO	Br	H	H	H	H
6-99	Me	H	H	F	Me	CO	Br	H	H	H	H
6-100	Me	Cl	H	H	Me	CO	Cl	H	H	H	H
6-101	Me	Cl	H	H	Me	CO	Br	H	H	H	H
6-102	Me	H	Cl	H	Me	CO	Br	H	H	H	H
6-103	Me	H	H	Cl	Me	CO	Br	H	H	H	H
6-104	Me	Br	H	H	Me	CO	Cl	H	H	H	H
6-105	Me	Br	H	H	Me	CO	Br	H	H	H	H
6-106	Me	H	Br	H	Me	CO	Br	H	H	H	H
6-107	Me	H	H	Br	Me	CO	Br	H	H	H	H
6-108	Et	Me	H	H	Me	CO	Cl	H	H	H	H
6-109	Et	Me	H	H	Me	CO	Br	H	H	H	H
6-110	Et	H	Me	H	Me	CO	Br	H	H	H	H
6-111	Et	H	H	Me	Me	CO	Br	H	H	H	H
6-112	Et	F	H	H	Me	CO	Cl	H	H	H	H
6-113	Et	F	H	H	Me	CO	Br	H	H	H	H
6-114	Et	H	F	H	Me	CO	Br	H	H	H	H
6-115	Et	H	H	F	Me	CO	Br	H	H	H	H
6-116	Et	Cl	H	H	Me	CO	Cl	H	H	H	H
6-117	Et	Cl	H	H	Me	CO	Br	H	H	H	H
6-118	Et	H	Cl	H	Me	CO	Br	H	H	H	H
6-119	Et	H	H	Cl	Me	CO	Br	H	H	H	H
6-120	iPr	Me	H	H	Me	CO	Cl	H	H	H	H
6-121	iPr	Me	H	H	Me	CO	Br	H	H	H	H
6-122	iPr	H	Me	H	Me	CO	Br	H	H	H	H
6-123	iPr	H	H	Me	Me	CO	Br	H	H	H	H
6-124	iPr	F	H	H	Me	CO	Cl	H	H	H	H
6-125	iPr	F	H	H	Me	CO	Br	H	H	H	H
6-126	iPr	H	F	H	Me	CO	Br	H	H	H	H
6-127	iPr	H	H	F	Me	CO	Br	H	H	H	H
6-128	iPr	Cl	H	H	Me	CO	Cl	H	H	H	H
6-129	iPr	Cl	H	H	Me	CO	Br	H	H	H	H
6-130	iPr	H	Cl	H	Me	CO	Br	H	H	H	H
6-131	iPr	H	H	Cl	Me	CO	Br	H	H	H	H
6-132	cPr	Me	H	H	Me	CO	Cl	H	H	H	H
6-133	cPr	Me	H	H	Me	CO	Br	H	H	H	H
6-134	cPr	H	Me	H	Me	CO	Br	H	H	H	H
6-135	cPr	H	H	Me	Me	CO	Br	H	H	H	H
6-136	cPr	F	H	H	Me	CO	Cl	H	H	H	H
6-137	cPr	F	H	H	Me	CO	Br	H	H	H	H
6-138	cPr	H	F	H	Me	CO	Br	H	H	H	H
6-139	cPr	H	H	F	Me	CO	Br	H	H	H	H
6-140	cPr	Cl	H	H	Me	CO	Cl	H	H	H	H
6-141	cPr	Cl	H	H	Me	CO	Br	H	H	H	H
6-142	cPr	H	Cl	H	Me	CO	Br	H	H	H	H
6-143	cPr	H	H	Cl	Me	CO	Br	H	H	H	H
6-144	F	Me	H	H	Me	CO	Cl	H	H	H	H
6-145	F	Me	H	H	Me	CO	Br	H	H	H	H
6-146	F	H	Me	H	Me	CO	Br	H	H	H	H
6-147	F	H	H	Me	Me	CO	Br	H	H	H	H
6-148	F	F	H	H	Me	CO	Cl	H	H	H	H
6-149	F	F	H	H	Me	CO	Br	H	H	H	H
6-150	F	H	F	H	Me	CO	Br	H	H	H	H

6-151	F	H	H	F	Me	CO	Br	H	H	H	H
6-152	F	Cl	H	H	Me	CO	Cl	H	H	H	H
6-153	F	Cl	H	H	Me	CO	Br	H	H	H	H
6-154	F	H	Cl	H	Me	CO	Br	H	H	H	H
6-155	F	H	H	Cl	Me	CO	Br	H	H	H	H
6-156	Cl	Me	H	H	Me	CO	Cl	H	H	H	H
6-157	Cl	Me	H	H	Me	CO	Br	H	H	H	H
6-158	Cl	H	Me	H	Me	CO	Br	H	H	H	H
6-159	Cl	H	H	Me	Me	CO	Br	H	H	H	H
6-160	Cl	F	H	H	Me	CO	Cl	H	H	H	H
6-161	Cl	F	H	H	Me	CO	Br	H	H	H	H
6-162	Cl	H	F	H	Me	CO	Br	H	H	H	H
6-163	Cl	H	H	F	Me	CO	Br	H	H	H	H
6-164	Cl	Cl	H	H	Me	CO	Cl	H	H	H	H
6-165	Cl	Cl	H	H	Me	CO	Br	H	H	H	H
6-166	Cl	H	Cl	H	Me	CO	Br	H	H	H	H
6-167	Cl	H	H	Cl	Me	CO	Br	H	H	H	H
6-168	Br	Me	H	H	Me	CO	Cl	H	H	H	H
6-169	Br	Me	H	H	Me	CO	Br	H	H	H	H
6-170	Br	H	Me	H	Me	CO	Br	H	H	H	H
6-171	Br	H	H	Me	Me	CO	Br	H	H	H	H
6-172	Br	F	H	H	Me	CO	Cl	H	H	H	H
6-173	Br	F	H	H	Me	CO	Br	H	H	H	H
6-174	Br	H	F	H	Me	CO	Br	H	H	H	H
6-175	Br	H	H	F	Me	CO	Br	H	H	H	H
6-176	Br	Cl	H	H	Me	CO	Cl	H	H	H	H
6-177	Br	Cl	H	H	Me	CO	Br	H	H	H	H
6-178	Br	H	Cl	H	Me	CO	Br	H	H	H	H
6-179	Br	H	H	Cl	Me	CO	Br	H	H	H	H
6-180	Me	H	H	H	Et	CO	Br	H	H	H	H
6-181	Me	H	H	H	CH_2Cl	CO	Br	H	H	H	H
6-182	Me	H	H	H	CF_3	CO	Br	H	H	H	H
6-183	Me	H	H	H	Bu-t	CO	Br	H	H	H	H
6-184	Me	H	H	H	OMe	CO	Br	H	H	H	H
6-185	Me	H	H	H	OEt	CO	Br	H	H	H	H
6-186	Me	H	H	H	Me	SO_2	Br	H	H	H	H
6-187	Me	H	H	H	Ph	SO_2	Br	H	H	H	H

[0108]



(11")

Comp. No.	R^1	R^2	R^3	R^4	X	Z	Y^1	Y^2	Y^3
7-1	H	H	H	H	Cl	H	H	H	H
7-2	H	H	H	H	Br	H	H	H	H
7-3	H	H	H	H	I	H	H	H	H
7-4	Me	H	H	H	Cl	H	H	H	H
7-5	Me	H	H	H	Br	H	H	H	H
7-6	Me	H	H	H	I	H	H	H	H
7-7	H	Me	H	H	Cl	H	H	H	H
7-8	H	Me	H	H	Br	H	H	H	H
7-9	H	H	Me	H	Cl	H	H	H	H
7-10	H	H	Me	H	Br	H	H	H	H
7-11	H	H	H	Me	Cl	H	H	H	H
7-12	H	H	H	Me	Br	H	H	H	H
7-13	H	H	H	H	Br	Me	H	H	H
7-14	H	H	H	H	Br	H	Me	H	H
7-15	H	H	H	H	Br	H	H	Me	H
7-16	H	H	H	H	Br	H	H	H	Me
7-17	Me	Me	H	H	Cl	H	H	H	H
7-18	Me	Me	H	H	Br	H	H	H	H
7-19	Me	H	Me	H	Cl	H	H	H	H
7-20	Me	H	Me	H	Br	H	H	H	H
7-21	Me	H	H	Me	Cl	H	H	H	H
7-22	Me	H	H	Me	Br	H	H	H	H
7-23	Me	H	H	H	Br	Me	H	H	H
7-24	Me	H	H	H	Br	H	Me	H	H
7-25	Me	H	H	H	Br	H	H	Me	H
7-26	Me	H	H	H	Br	H	H	H	Me
7-27	Me	Me	Me	H	Br	H	H	H	H
7-28	Me	Me	H	Me	Br	H	H	H	H
7-29	Me	Me	H	H	Br	Me	H	H	H
7-30	Me	Me	H	H	Br	H	Me	H	H
7-31	Me	Me	H	H	Br	H	H	Me	H
7-32	Me	Me	H	H	Br	H	H	H	Me
7-33	Me	Me	H	Me	Br	Me	H	H	H
7-34	Me	Me	H	Me	Br	H	Me	H	H
7-35	Me	Me	H	Me	Br	H	H	Me	H
7-36	Me	Me	H	Me	Br	H	H	H	Me
7-37	Me	Me	Me	Me	Cl	H	H	H	H
7-38	Me	Me	Me	Me	Br	H	H	H	H
7-39	Me	Me	Me	Me	Br	Me	H	H	H
7-40	Me	Me	Me	Me	Br	H	Me	H	H
7-41	Me	Me	Me	Me	Br	H	H	Me	H
7-42	Me	Me	Me	Me	Br	H	H	H	Me

7-43	H	Me	H	Me	Cl	H	H	H	H
7-44	H	Me	H	Me	Br	H	H	H	H
7-45	H	H	Me	Me	Br	H	H	H	H
7-46	Me	H	H	H	Br	Me	Me	H	H
7-47	Me	H	H	H	Br	Me	H	Me	H
7-48	Me	H	H	H	Br	Me	H	H	Me
7-49	Me	H	H	H	Br	Me	Me	Me	H
7-50	Me	H	H	H	Br	Me	Me	H	Me
7-51	Me	H	H	H	Br	Me	H	Me	Me
7-52	Me	H	H	H	Br	Me	Me	Me	Me
7-53	Me	H	H	H	Br	H	Me	Me	H
7-54	Me	H	H	H	Br	H	Me	H	Me
7-55	Me	H	H	H	Br	H	H	Me	Me
7-56	Me	H	H	H	Br	H	Me	Me	Me
7-57	Me	H	H	H	Br	Et	H	H	H
7-58	Me	H	H	H	Br	cPr	H	H	H
7-59	Me	H	H	H	Br	Ph	H	H	H
7-60	Me	H	H	H	Br	H	Et	H	H
7-61	Et	H	H	H	Cl	H	H	H	H
7-62	Et	H	H	H	Br	H	H	H	H
7-63	H	Et	H	H	Br	H	H	H	H
7-64	H	H	Et	H	Br	H	H	H	H
7-65	H	H	H	Et	Br	H	H	H	H
7-66	cPr	H	H	H	Cl	H	H	H	H
7-67	cPr	H	H	H	Br	H	H	H	H
7-68	H	cPr	H	H	Br	H	H	H	H
7-69	H	H	cPr	H	Br	H	H	H	H
7-70	H	H	H	cPr	Br	H	H	H	H
7-71	iPr	H	H	H	Cl	H	H	H	H
7-72	iPr	H	H	H	Br	H	H	H	H
7-73	H	iPr	H	H	Br	H	H	H	H
7-74	H	H	iPr	H	Br	H	H	H	H
7-75	H	H	H	iPr	Br	H	H	H	H
7-76	F	H	H	H	Cl	H	H	H	H
7-77	F	H	H	H	Br	H	H	H	H
7-78	H	F	H	H	Br	H	H	H	H
7-79	H	H	F	H	Br	H	H	H	H
7-80	H	H	H	F	Br	H	H	H	H
7-81	Cl	H	H	H	Cl	H	H	H	H
7-82	Cl	H	H	H	Br	H	H	H	H
7-83	H	Cl	H	H	Br	H	H	H	H
7-84	H	H	Cl	H	Br	H	H	H	H
7-85	H	H	H	Cl	Br	H	H	H	H
7-86	Br	H	H	H	Cl	H	H	H	H
7-87	Br	H	H	H	Br	H	H	H	H
7-88	H	Br	H	H	Br	H	H	H	H
7-89	H	H	Br	H	Br	H	H	H	H
7-90	H	H	H	Br	Br	H	H	H	H
7-91	I	H	H	H	Cl	H	H	H	H
7-92	I	H	H	H	Br	H	H	H	H
7-93	H	I	H	H	Br	H	H	H	H
7-94	H	H	I	H	Br	H	H	H	H
7-95	H	H	H	I	Br	H	H	H	H
7-96	Me	F	H	H	Cl	H	H	H	H

7-97	Me	F	H	H	Br	H	H	H	H
7-98	Me	H	F	H	Br	H	H	H	H
7-99	Me	H	H	F	Br	H	H	H	H
7-100	Me	Cl	H	H	Cl	H	H	H	H
7-101	Me	Cl	H	H	Br	H	H	H	H
7-102	Me	H	Cl	H	Br	H	H	H	H
7-103	Me	H	H	Cl	Br	H	H	H	H
7-104	Me	Br	H	H	Cl	H	H	H	H
7-105	Me	Br	H	H	Br	H	H	H	H
7-106	Me	H	Br	H	Br	H	H	H	H
7-107	Me	H	H	Br	Br	H	H	H	H
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7-109	Et	Me	H	H	Br	H	H	H	H
7-110	Et	H	Me	H	Br	H	H	H	H
7-111	Et	H	H	Me	Br	H	H	H	H
7-112	Et	F	H	H	Cl	H	H	H	H
7-113	Et	F	H	H	Br	H	H	H	H
7-114	Et	H	F	H	Br	H	H	H	H
7-115	Et	H	H	F	Br	H	H	H	H
7-116	Et	Cl	H	H	Cl	H	H	H	H
7-117	Et	Cl	H	H	Br	H	H	H	H
7-118	Et	H	Cl	H	Br	H	H	H	H
7-119	Et	H	H	Cl	Br	H	H	H	H
7-120	iPr	Me	H	H	Cl	H	H	H	H
7-121	iPr	Me	H	H	Br	H	H	H	H
7-122	iPr	H	Me	H	Br	H	H	H	H
7-123	iPr	H	H	Me	Br	H	H	H	H
7-124	iPr	F	H	H	Cl	H	H	H	H
7-125	iPr	F	H	H	Br	H	H	H	H
7-126	iPr	H	F	H	Br	H	H	H	H
7-127	iPr	H	H	F	Br	H	H	H	H
7-128	iPr	Cl	H	H	Cl	H	H	H	H
7-129	iPr	Cl	H	H	Br	H	H	H	H
7-130	iPr	H	Cl	H	Br	H	H	H	H
7-131	iPr	H	H	Cl	Br	H	H	H	H
7-132	cPr	Me	H	H	Cl	H	H	H	H
7-133	cPr	Me	H	H	Br	H	H	H	H
7-134	cPr	H	Me	H	Br	H	H	H	H
7-135	cPr	H	H	Me	Br	H	H	H	H
7-136	cPr	F	H	H	Cl	H	H	H	H
7-137	cPr	F	H	H	Br	H	H	H	H
7-138	cPr	H	F	H	Br	H	H	H	H
7-139	cPr	H	H	F	Br	H	H	H	H
7-140	cPr	Cl	H	H	Cl	H	H	H	H
7-141	cPr	Cl	H	H	Br	H	H	H	H
7-142	cPr	H	Cl	H	Br	H	H	H	H
7-143	cPr	H	H	Cl	Br	H	H	H	H
7-144	F	Me	H	H	Cl	H	H	H	H
7-145	F	Me	H	H	Br	H	H	H	H
7-146	F	H	Me	H	Br	H	H	H	H
7-147	F	H	H	Me	Br	H	H	H	H
7-148	F	F	H	H	Cl	H	H	H	H
7-149	F	F	H	H	Br	H	H	H	H
7-150	F	H	F	H	Br	H	H	H	H

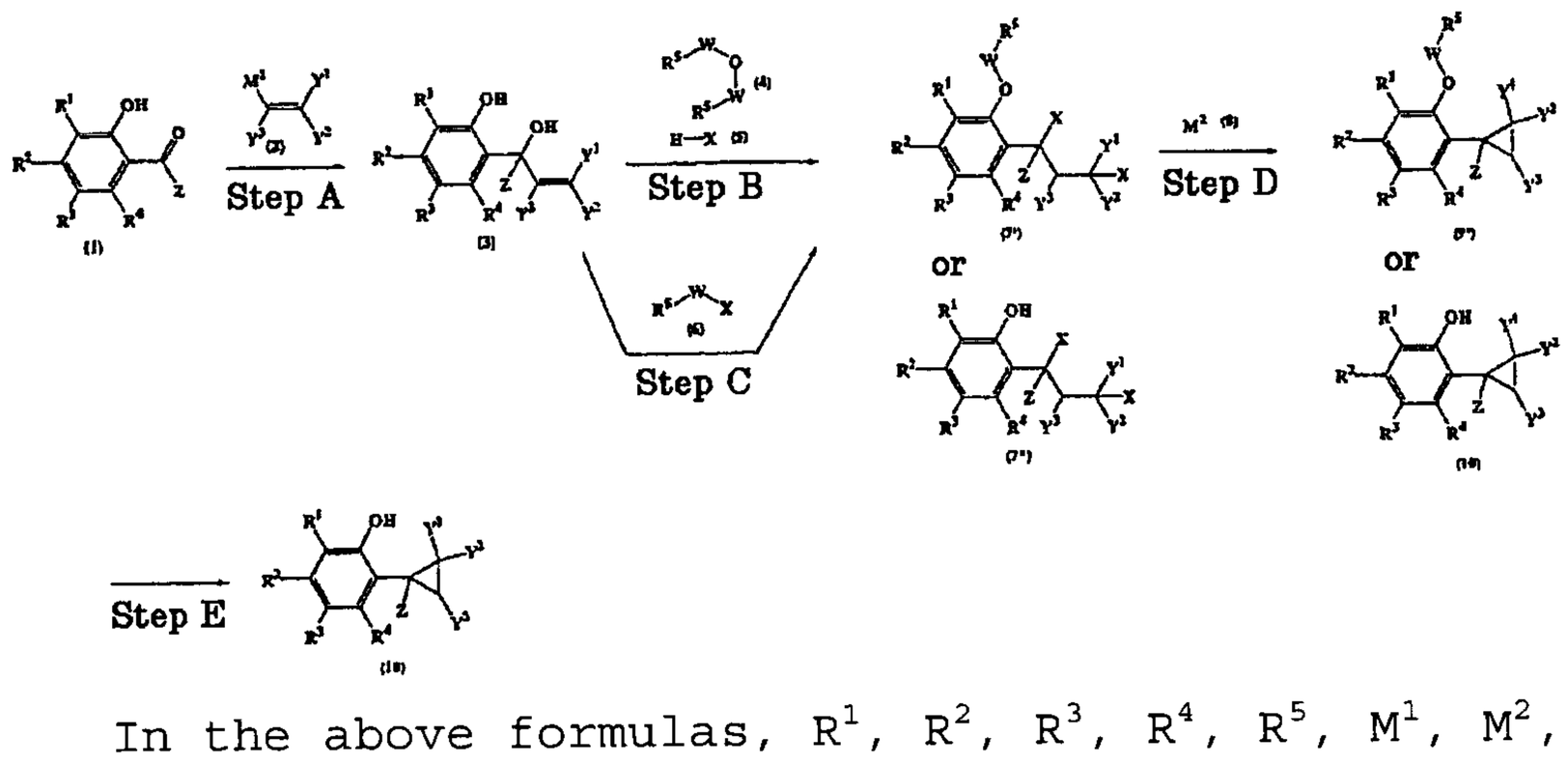
7-151	F	H	H	F	Br	H	H	H	H
7-152	F	Cl	H	H	Cl	H	H	H	H
7-153	F	Cl	H	H	Br	H	H	H	H
7-154	F	H	Cl	H	Br	H	H	H	H
7-155	F	H	H	Cl	Br	H	H	H	H
7-156	Cl	Me	H	H	Cl	H	H	H	H
7-157	Cl	Me	H	H	Br	H	H	H	H
7-158	Cl	H	Me	H	Br	H	H	H	H
7-159	Cl	H	H	Me	Br	H	H	H	H
7-160	Cl	F	H	H	Cl	H	H	H	H
7-161	Cl	F	H	H	Br	H	H	H	H
7-162	Cl	H	F	H	Br	H	H	H	H
7-163	Cl	H	H	F	Br	H	H	H	H
7-164	Cl	Cl	H	H	Cl	H	H	H	H
7-165	Cl	Cl	H	H	Br	H	H	H	H
7-166	Cl	H	Cl	H	Br	H	H	H	H
7-167	Cl	H	H	Cl	Br	H	H	H	H
7-168	Br	Me	H	H	Cl	H	H	H	H
7-169	Br	Me	H	H	Br	H	H	H	H
7-170	Br	H	Me	H	Br	H	H	H	H
7-171	Br	H	H	Me	Br	H	H	H	H
7-172	Br	F	H	H	Cl	H	H	H	H
7-173	Br	F	H	H	Br	H	H	H	H
7-174	Br	H	F	H	Br	H	H	H	H
7-175	Br	H	H	F	Br	H	H	H	H
7-176	Br	Cl	H	H	Cl	H	H	H	H
7-177	Br	Cl	H	H	Br	H	H	H	H
7-178	Br	H	Cl	H	Br	H	H	H	H
7-179	Br	H	H	Cl	Br	H	H	H	H

[0109]

The following provides an explanation of the process of the present invention. In the process of the present invention, although a compound of general formula (10) can be produced by a ring closure reaction of a compound of general formula (7) (a compound of general formula (7') and a compound of general formula (7")), this compound of general formula (7) can also be produced from a compound of general formula (3). Moreover, this compound of general formula (3) can be produced from a compound of general formula (1). This step is indicated with the following reaction formula. A compound represented by general formula (3) is obtained by using a compound represented by general formula (1) as a raw material, followed by converting a compound represented by general formula (3) to a compound represented by general formula (7') or (7"). Moreover, a compound represented by

general formula (7') or (7") is converted to a cyclopropyl phenyl ester derivative (9') or cyclopropylphenol derivative (10) (a compound of general formula (9') and a compound of general formula (10) compose a compound of the aforementioned general formula (9)) followed by finally converting the cyclopropyl phenyl ester derivative (9') to cyclopropylphenol derivative (10).

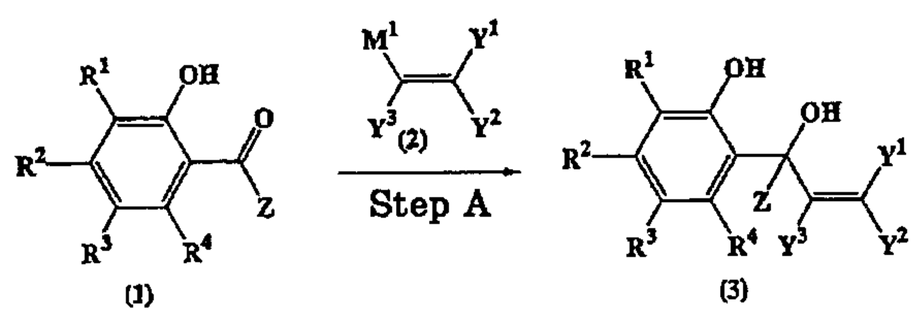
[0110]



In the above formulas, R^1, R^2, R^3, R^4, R^5, M^1, M^2, W, X, Y^1, Y^2, Y^3 and Z are the same as previously defined.

[0111]

(Step A)



In the above formulas, R^1, R^2, R^3, R^4, M^1, Y^1, Y^2, Y^3 and Z are the same as previously defined.

[0112]

Step A is a step to prepare an alcohol derivative represented by general formula (3) by an addition reaction of a vinyl metal compound represented by formula (2) with a carbonyl derivative represented by formula (1).

[0113]

The vinyl metal compound used in this step is not particularly limited provided it may bond to a carbonyl

compound to give alcohol derivatives, examples of which include a vinyl lithium compound such as vinyl lithium, 1-methylvinyl lithium, propenyl lithium, 1-methylpropenyl lithium, 2-methylpropenyl lithium, 1,2-dimethylpropenyl lithium, cyclohexenyl lithium, 1-methoxyvinyl lithium, 1-ethoxyvinyl lithium, 2-ethoxyvinyl lithium, 2,2-diethoxyvinyl lithium, 1-methylthiovinyl lithium, trifluorovinyl lithium, 4-t-butylcyclohexenyl lithium, 1-(trimethylsilyl)vinyl lithium, 2-(trimethylsilyl)vinyl lithium, 2,2-difluorovinyl lithium, 1-vinylvinyl lithium, 2-vinylvinyl lithium, 1-phenylvinyl lithium, and 2-phenylvinyl lithium; a vinylboron compound such as vinylboronic acid, hexeneboronic acid, and octeneboronic acid; a vinyl sodium compound such as vinyl sodium, decenyl sodium, and propanedienyl sodium; a vinyl magnesium compound such as vinyl magnesium chloride, vinyl magnesium bromide, vinyl magnesium iodide, propenylmagnesium chloride, propenylmagnesium bromide, (1-methylvinyl)magnesium chloride, (1-methylvinyl)magnesium bromide, (1-ethylvinyl)magnesium bromide, (1-isopropylvinyl)magnesium bromide, (1-methylpropenyl)magnesium bromide, (2-methylpropenyl)magnesium bromide, (1-ethylbutenyl)magnesium bromide, hexenyl magnesium bromide, heptenyl magnesium bromide, (2,4-dimethylpentenyl)magnesium bromide, cyclohexenyl magnesium bromide, {2-(2-phenylvinyl)cyclopentenyl}magnesium bromide, (trifluorovinyl)magnesium bromide, (perfluorooctenyl)magnesium bromide, (1-vinylvinyl)magnesium chloride, (1-vinylvinyl)magnesium bromide, (1-trimethylsilylvinyl)magnesium bromide, (1-trimethylsilylpropenyl)magnesium bromide, (2-trimethylsilylvinyl)magnesium bromide, (1-trimethylsilylhexyl)magnesium bromide, {1-(dimethylaminomethyl)vinyl}magnesium bromide, {1-(1-pyrrolidinylmethyl)vinyl}magnesium bromide, {3-(N,N-

diethylamino)propenyl}magnesium bromide, {1-(trimethylsilylmethyl)vinyl}magnesium bromide, (1-phenylvinyl)magnesium bromide, (2-phenylvinyl)magnesium bromide, {3-(benzyloxy)propenyl}magnesium bromide, {3-(t-butyldiphenylsilyloxy)propenyl}magnesium bromide, {4-ethoxy-1,3-butadienyl}magnesium bromide, {1-(1,3-benzodioxol-5-yl)vinyl}magnesium bromide, cyclobutene magnesium bromide, {3-(benzyloxymethoxy)pentenyl}magnesium bromide, (2-butyl-2-vinylvinyl)magnesium chloride, (2-heptyl-2-vinylvinyl)magnesium chloride, {1-decyl-2-(dimethylphenylsilyl)vinyl}magnesium bromide, (cyclobutylidenemethyl)magnesium bromide, (cyclohexylidenmethyl)magnesium bromide, propadienyl magnesium bromide, a divinyl magnesium; a vinyl aluminium compound such as vinyl aluminium dichloride, divinyl aluminium chloride, propanedienyl aluminium dibromide, di(propanedienyl)aluminium bromide, vinyl dimethyl aluminium, (divinyl)(methyl)aluminium, vinyl diethyl aluminium, (2-methylhexenyl)dimethyl aluminium, (2-methylheptenyl)dimethyl aluminium, trivinyl aluminium, and tripropenyl aluminium; a vinyl potassium compound such as vinyl potassium, and butenyl potassium; a vinyl calcium compound such as vinyl calcium chloride, vinyl calcium bromide, and vinyl calcium iodide; a vinyl titanium compound such as vinyl trichlorotitanium, and tetravinyl titanium; a vinyl manganese compound such as vinyl manganese chloride, vinyl manganese bromide, vinyl manganese iodide, (2-methylpropenyl)manganese chloride, (2-methylpropenyl)manganese bromide, and (2-methylpropenyl)manganese iodide; a vinyl copper compound such as vinyl copper, propenyl copper, butenyl copper, (2-methylbutenyl)copper, (2-methylpentenyl)copper, (2-ethylhexenyl)copper, and (2-butylhexenyl)copper; a vinyl zinc compound such as vinyl zinc chloride, vinyl zinc bromide, vinyl zinc iodide, (1-methylvinyl)zinc chloride, propenyl zinc bromide, (methyl)(vinyl)zinc,

(ethyl)(hexenyl)zinc, divinyl zinc, and bis(1-propyl-1,2-propadienyl)zinc; a vinyl tin compound such as (trimethyl)(vinyl)tin, (tributyl)(vinyl)tin, (trimethyl)(1-methylvinyl)tin, {1-(2-chloroethyl)vinyl}(trimethyl)tin, {1-(3-chloropropyl)vinyl}(trimethyl)tin, {2-(trimethylsilyl)vinyl}(tributyl)tin, {(3-methoxymethoxy)propyl-1,2-nonadienyl}(tributyl)tin, and tetravinyl tin; a vinyl tellurium compound such as divinyl tellane, (butyl)(2-phenylvinyl)telluride, (butyl)(1-phenyl vinyl)telluride, (butyl)(2-methylpropenyl)telluride, and (butyl)(4-methoxy-1,2-butadienyl)telluride; a vinyl cerium compound such as vinyl cerium dichloride, 1-methylvinyl cerium dichloride, octenylcerium dichloride, cyclopentenyl cerium dichloride, 2-phenylvinyl cerium dichloride, (1-trimethylsilylhexenyl)cerium dichloride, (1-ethoxyvinyl)cerium dichloride, and trivinyl cerium; a vinyl samarium compound such as vinyl samarium chloride, vinyl samarium bromide, and vinyl samarium iodide; a vinyl europium compound such as vinyl europium chloride, vinyl europium bromide, and vinyl europium iodide; a vinyl ytterbium compound such as vinyl ytterbium chloride, vinyl ytterbium bromide, vinyl ytterbium iodide, preferably a vinyl lithium compound such as vinyl lithium, 1-methylvinyl lithium, and propenyl lithium; a vinyl boron compound such as vinyl boronic acid, and hexeneboronic acid; a vinyl magnesium compound such as vinyl magnesium chloride, vinyl magnesium bromide, vinyl magnesium iodide, propenyl magnesium chloride, propenyl magnesium bromide, (1-methylvinyl)magnesium chloride, (1-methylvinyl)magnesium bromide, and divinyl magnesium; a vinyl aluminium compound such as vinyl aluminium dichloride, divinyl aluminium chloride, propanedienyl aluminium dibromide, di(propanedienyl)aluminium bromide, vinyl dimethyl aluminium, (divinyl)(methyl)aluminium, and vinyl diethyl aluminium; a vinyl manganese compound such

as vinyl manganese chloride, vinyl manganese bromide, vinyl manganese iodide, (2-methylpropenyl)manganese chloride, (2-methylpropenyl)manganese bromide, and (2-methylpropenyl)manganese iodide; a vinyl copper compound such as vinyl copper, propenyl copper, and butenyl copper; a vinyl zinc compound such as vinyl zinc chloride, vinyl zinc bromide, vinyl zinc iodide, (1-methylvinyl)zinc chloride, propenyl zinc bromide, (methyl)(vinyl)zinc, (ethyl)(hexenyl)zinc, divinyl zinc, and bis (1-propyl-1,2-propadienyl)zinc; a vinyl tin compound such as (trimethyl)(vinyl)tin, (tributyl)(vinyl)tin, (trimethyl)(1-methylvinyl)tin, {1-(2-chloroethyl)vinyl}(trimethyl)tin, {1-(3-chloropropyl)vinyl}(trimethyl)tin, {2-(trimethylsilyl)vinyl}(tributyl)tin, {(3-methoxymethoxy)propyl-1,2-nonadienyl}(tributyl)tin, and tetravinyl tin; a vinyl tellurium compound such as divinyltellane, (butyl)(2-phenylvinyl)telluride, (butyl)(1-phenylvinyl)telluride, (butyl)(2-methylpropenyl)telluride, and (butyl)(4-methoxy-1,2-butadienyl)telluride; a vinyl cerium compound such as vinylcerium dichloride, 1-methylvinyl cerium dichloride, octenyl cerium dichloride, cyclopentenyl cerium dichloride, 2-phenylvinyl cerium dichloride, (1-trimethylsilylhexenyl)cerium dichloride, (1-ethoxyvinyl)cerium dichloride, and trivinyl cerium; a vinyl samarium compound such as vinyl samarium chloride, vinyl samarium bromide, vinyl samarium iodide, more preferably vinyl lithium, vinyl magnesium chloride, vinyl magnesium bromide, vinyl magnesium iodide, propenyl magnesium chloride, propenyl magnesium bromide, (1-methylvinyl) magnesium chloride, vinyl zinc chloride, vinyl zinc bromide, divinyl zinc, most preferably vinyl magnesium chloride, vinyl magnesium bromide.

[0114]

The amount of the vinyl metal compound (2) to be

used in the present step is normally 0.5 to 20 mol and preferably 2 to 4 mol based on 1 mol of compound (1).

[0115]

There are no particular limitations on the solvent used in the present step provided it does not inhibit the reaction, and examples include hydrocarbons such as heptane, hexane, cycloheptane or cyclohexane; aromatic hydrocarbons such as benzene, toluene or xylene; halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform or tetrachloroethane; ethers such as dioxane, diethyl ether, tetrahydrofuran (THF) or ethylene glycol dimethyl ether; esters such as methyl acetate, ethyl acetate or propyl acetate; and, mixed solvents thereof, preferable examples include ethers and mixed solvents of ethers and aromatic hydrocarbons, and more preferable examples include tetrahydrofuran and a mixed solvent of tetrahydrofuran and toluene.

[0116]

The reaction tempereature may vary depending on starting materials, reaction reagents and the kind of solvents used, etc., and is usually -70 to 200°C, preferably 0 to 50°C.

[0117]

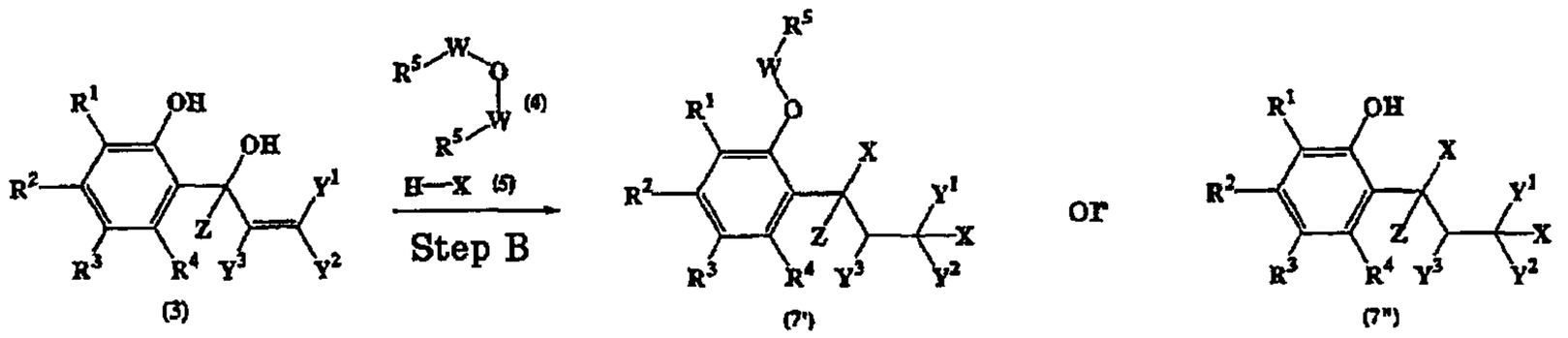
The reaction time varies depending on the raw material compounds, reaction reagents, solvents, reaction temperature and the like and is usually 1 hour to 100 hours, preferably 2 hours to 24 hours.

[0118]

The compound (1) used in this step is a known compound or can be manufactured according to a conventional method (e.g., a method described in Acta Chem. Scand., Vol. 53, p258 (1999) or J. Chem. Soc. Perkin Trans. 1, p1862 (1986)).

[0119]

(Step B)



[wherein R^1, R^2, R^3, R^4, R^5, W, X, Y^1, Y^2, Y^3, and Z are the same as previously defined.]

[0120]

Step B is a step to prepare an esterified dihalogenated compound represented by general formula (7') or a dihalogenated compound (7") by dihalogenation of alcohol derivatives represented by general formula (3) with acid anhydride (4) and hydrogen halide (5).

[0121]

An acid anhydride (4) used in this step is not particularly limited provided it acylates hydroxyl group, examples of which include acetic anhydride, propionic anhydride, butyric anhydride, isobutyric anhydride, difluoroacetic anhydride, trifluoroacetic anhydride, benzoic anhydride, methanesulfonic anhydride, trifluoromethanesulfonic anhydride, more preferably acetic anhydride.

[0122]

The amount of acid anhydride (4) to be used is usually 1.5 to 20 mol, preferably 2 to 5 mol based on 1 mol of compound (3).

[0123]

A hydrogen halide (5) used in this step is not particularly limited provided it halogenates hydroxyl group and react with double bond to add halogen and hydrogen atom, examples of which include hydrogen fluoride, hydrogen chloride, hydrogen bromide, or hydrogen iodide, preferably hydrogen chloride, hydrogen bromide, more preferably hydrogen bromide.

[0124]

The amount of hydrogen halide (5) to be used in

this step is usually 2 to 30 mol, preferably 3 to 10 mol based on 1 mol of compound (3).

[0125]

The solvent used in this step is not particularly limited provided it may not inhibit reactions, examples of which include hydrocarbons such as heptane, hexane, cycloheptane, cyclohexane; aromatic hydrocarbons such as benzene, toluene, xylene; halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, tetrachloroethane; ethers such as dioxane, diethyl ether, tetrahydrofuran (THF), ethyleneglycol dimethyl ether; esters such as methyl acetate, ethyl acetate, propyl acetate; organic acids such as formic acid, acetic acid, propionic acid, trifluoroacetic acid, difluoroacetic acid, methanesulfonic acid, trifluoromethanesulfonic acid; or mixture solvent thereof, preferably aromatic hydrocarbons, halogenated hydrocarbons, organic acids, or mixture solvent thereof, more preferably toluene, dichloromethane, acetic acid or mixture solvent thereof, particularly preferably acetic acid, mixture solvent of acetic acid and toluene.

[0126]

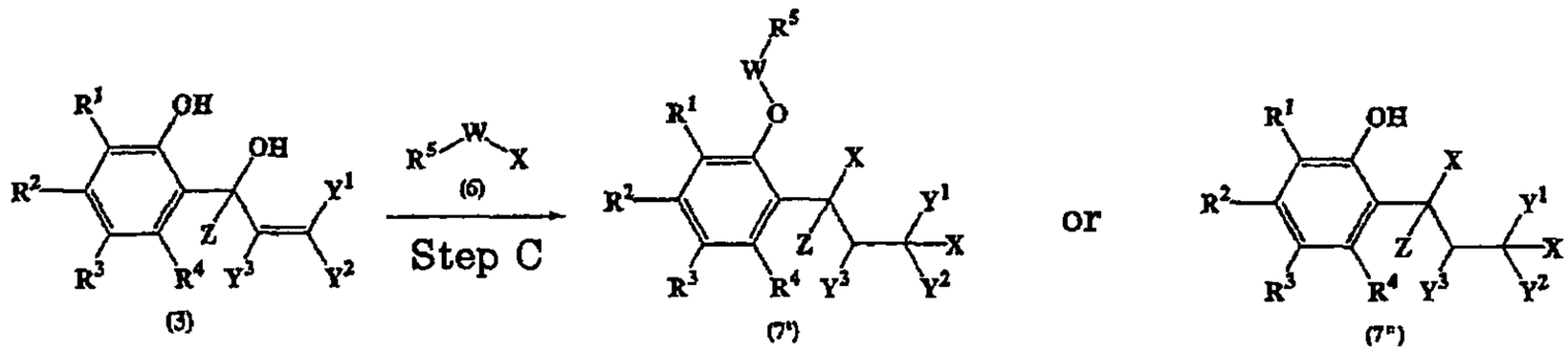
The reaction temperature varies depending on the raw material compounds, reaction reagents, solvents and the like, and is usually 0°C to 200°C, preferably 20°C to 80°C.

[0127]

The reaction time varies depending on the raw material compounds, reaction reagents, solvents, reaction temperature and the like and is usually 1 hour to 100 hours, preferably 2 hours to 24 hours.

[0128]

(Step C)



[wherein R^1, R^2, R^3, R^4, R^5, W, X, Y^1, Y^2, Y^3, and Z are the same as previously defined.]

[0129]

Step C is a step to prepare an esterified dihalogenated compound (7') or dihalogenated compound (7") by reacting acid halide (6) with alcohol derivatives represented by general formula (3).

[0130]

Acid halide (6) used in this step is not particularly limited provided it halogenates allyl alcohol, which may include acetyl chloride, acetyl bromide, acetyl iodide, propionyl bromide, pivaloyl chloride, trifluoroacetyl chloride, trichloroacetyl chloride, benzoyl chloride, methanesulfinyl chloride, methanesulfonyl chloride, benzenesulfonyl chloride, toluenesulfonyl chloride, chloro benzenesulfonyl chloride, trifluoromethanesulfonyl chloride, preferably acetyl chloride, acetyl bromide, methanesulfonyl chloride, toluenesulfonyl chloride, most preferably acetyl bromide.

[0131]

The amount of acid halide (6) to be used in this step is usually 1 to 20 mol, preferably 1 to 4 mol based on 1 mol of compound (3).

[0132]

The reaction may be carried out in the presence of acid. The acid to be used is not particularly limited, provided it does not inhibit reactions, which may include formic acid, acetic acid, propionic acid, pivalic acid, trifluoroacetic acid, trichloroacetic acid, benzoic acid, methanesulfonic acid, benzenesulfonic acid, toluenesulfonic acid, chlorobenzenesulfonic acid,

trifluoromethanesulfonic acid, preferably formic acid, acetic acid, propionic acid, trifluoroacetic acid, methanesulfonic acid, trifluoromethanesulfonic acid, and most preferably acetic acid.

[0133]

The solvent used in this step is not particularly limited, provided it does not inhibit reactions, examples of which include hydrocarbons such as heptane, hexane, cycloheptane, cyclohexane; aromatic hydrocarbons such as benzene, toluene, xylene; halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, tetrachloroethane; ethers such as dioxane, diethyl ether, tetrahydrofuran (THF), ethyleneglycol dimethyl ether; esters such as methyl acetate, ethyl acetate, propyl acetate; organic acid such as formic acid, acetic acid, propionic acid, trifluoroacetic acid, difluoroacetic acid, methanesulfonic acid, trifluoromethanesulfonic acid; or mixture solvent thereof, preferably aromatic hydrocarbons, halogenated hydrocarbons, organic acids, or mixture solvent thereof, more preferably toluene, dichloromethane, acetic acid or mixture solvent thereof, and most preferably acetic acid, and mixture solvent of acetic acid and toluene.

[0134]

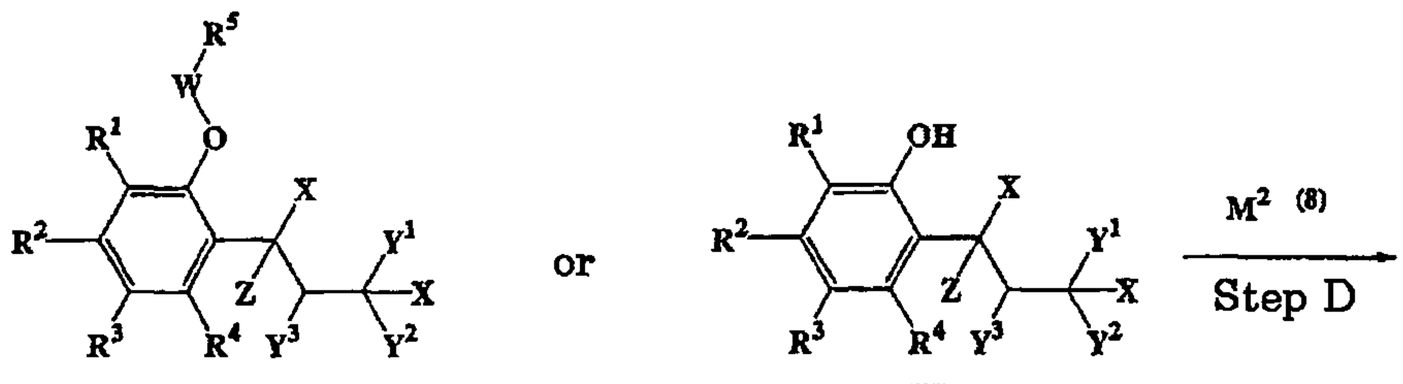
The reaction temperature varies depending on the raw material compounds, reaction reagents, solvents and the like, and is usually 0°C to 200°C, preferably 20°C to 70°C.

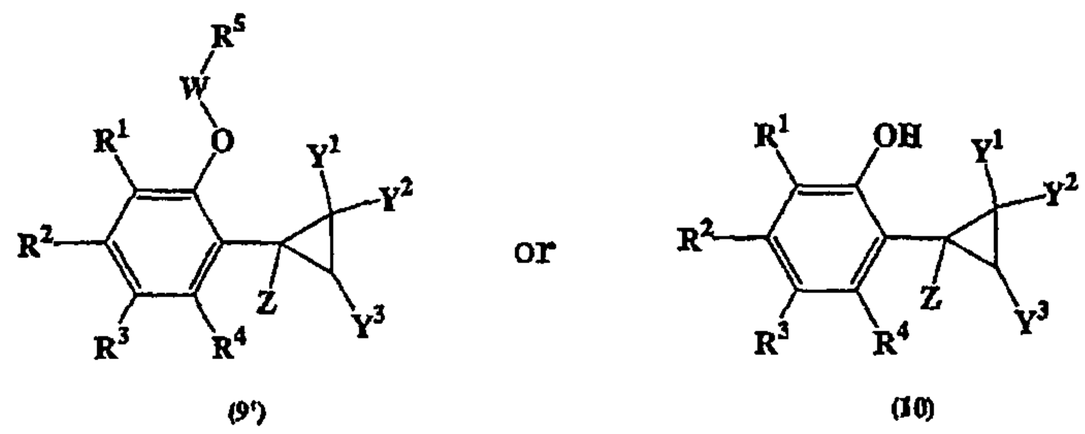
[0135]

The reaction time varies depending on the raw material compounds, reaction reagents, solvents, reaction temperature and the like and is usually 1 hour to 10 days, preferably 2 hours to 5 days.

[0136]

(Step D)





[wherein R^1, R^2, R^3, R^4, R^5, M^2, W, X, Y^1, Y^2, Y^3, and Z are the same as previously defined.]

[0137]

Step D is a step to prepare cyclopropyl phenyl ester derivatives represented by general formula (9') or cyclopropyl phenol derivatives represented by general formula (10) by an intramolecular 3-membered ring forming reaction, which is carried out by reacting (1,3-dihaloalkyl)phenyl ester derivatives represented by general formula (7') or (1,3-dihaloalkyl)phenol derivatives represented by general formula (7") with metal (or metal salt) (8).

[0138]

Metal, metal salt, or organic metal compound M^2 (8) used in this step is not particularly limited provided it converts halide to an organic metal compound by halogen-metal exchange reaction, examples of which include lithium, lithium alloy, sodium, magnesium, magnesium salt (e.g., magnesium chloride, magnesium bromide, magnesium iodide), potassium, nickel, nickel salt, copper, copper salt, zinc, zinc salt, zinc alloy (e.g., zinc-copper alloy, zinc-silver alloy), choromium, choromium salt, methyl lithium, butyl lithium, t-butyl lithium, phenyl lithium, methyl magnesium chloride, methyl magnesium bromide, phenyl

magnesium chloride, phenyl magnesium bromide or calcium, preferably lithium, lithium alloy, sodium, magnesium, magnesium salt, potassium, nickel, nickel salt, copper, copper salt, zinc, zinc salt, zinc alloy (e.g., zinc-copper alloy), or t-butyl lithium, more preferably magnesium, zinc, or zinc-copper alloy, and even more preferably magnesium.

[0139]

Metal magnesium used in this step may be activated using catalytic amount of iodine or dibromoethane and the like as necessary.

[0140]

The amount of metal, metal salt, or organic metal compound (8) to be used in this step is usually 1 to 10 mol, preferably 1 to 4 mol based on 1 mol of compound (7') or (7").

[0141]

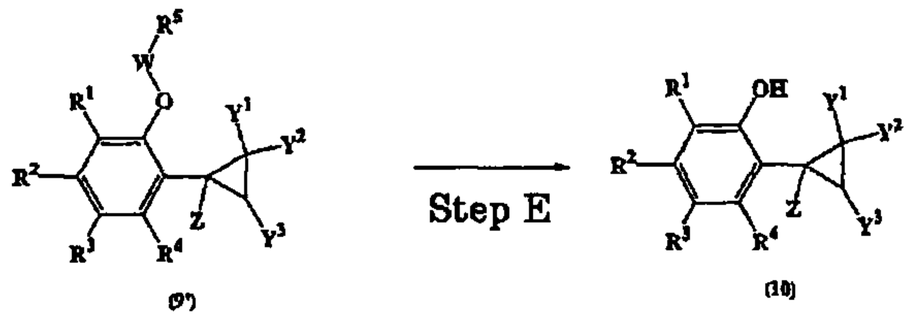
The reaction temperature varies depending on the raw material compounds, reaction reagents, solvents and the like, and is usually 0°C to 200°C, preferably 20°C to 100°C.

[0142]

The solvent used in this step is not particularly limited provided it does not inhibit reactions, examples of which include hydrocarbons such as heptane, hexane, cycloheptane, cyclohexane; aromatic hydrocarbons such as benzene, toluene, xylene; ethers such as dioxane, diethyl ether, tetrahydrofuran (THF), ethyleneglycol dimethyl ether; or mixture solvent thereof, preferably diethyl ether, tetrahydrofuran (THF), mixture solvent of tetrahydrofuran (THF) and toluene, most preferably tetrahydrofuran (THF), mixture solvent of tetrahydrofuran (THF) and toluene.

[0143]

(Step E)



(9')　　(10)

[wherein R^1, R^2, R^3, R^4, R^5, W, Y^1, Y^2, Y^3, and Z are the same as previously defined.]

[0144]

Step E is a step to prepare cyclopropyl phenol derivatives represented by general formula (10) of the present invention by hydrolysis reaction of cyclopropylphenyl ester derivatives represented by general formula (9') obtained in Step D.

[0145]

This step is carried out preferably in the presence of a base or acid.

[0146]

The base used in this step is not particularly limited provided it hydrolyzes ester, examples of which include alkaline metal carbonate such as lithium carbonate, sodium carbonate, potassium carbonate, cesium carbonate; alkaline metal hydrogencarbonate such as sodium hydrogen carbonate, or potassium hydrogen carbonate; alkaline metal hydroxide or alkaline earth metal hydroxide such as lithium hydroxide, sodium hydroxide, potassium hydroxide, barium hydroxide; alkaline metal alkoxide such as sodium methoxide, sodium ethoxide, potassium-t-butoxide; organic base such as triethylamine, tributylamine, diisopropyl ethylamine, N-methylmorpholine, pyridine, 4-(N,N-dimethylamino)pyridine, N,N-dimethylaniline, N,N-diethylaniline, 1,5-diazabicyclo[4.3.0]non-5-ene, 1,4-diazabicyclo[2.2.2]octane (DABCO), 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), preferably alkaline metal carbonate, alkaline metal hydroxide, more preferably potassium carbonate, sodium hydroxide, and potassium hydroxide.

[0147]

The amount of the base to be used is usually 1 to 20 mol, preferably 1.1 to 5 mol based on 1 mol of cyclopropylphenyl ester derivatives (9).

[0148]

The acid used in this step is not particularly limited provided it hydrolyzes ester, examples of which include mineral acid such as hydrofluoric acid, hydrochloric acid, hydrobromic acid, hydroiodic acid, sulfuric acid, phosphoric acid; organic acid such as formic acid, acetic acid, propionic acid, trifluoroacetic acid, methanesulfonic acid, benzenesulfonic acid, more preferably hydrochloric acid.

[0149]

The amount of the acid to be used is usually 1 to 20 mol, preferably 1.1 to 5 mol based on 1 mol of cyclopropylphenyl ester derivatives (9).

[0150]

The reaction temperature varies depending on the raw material compound, reaction reagents, solvents and the like and is usually 0°C to 200°C, preferably 0°C to 50°C.

[0151]

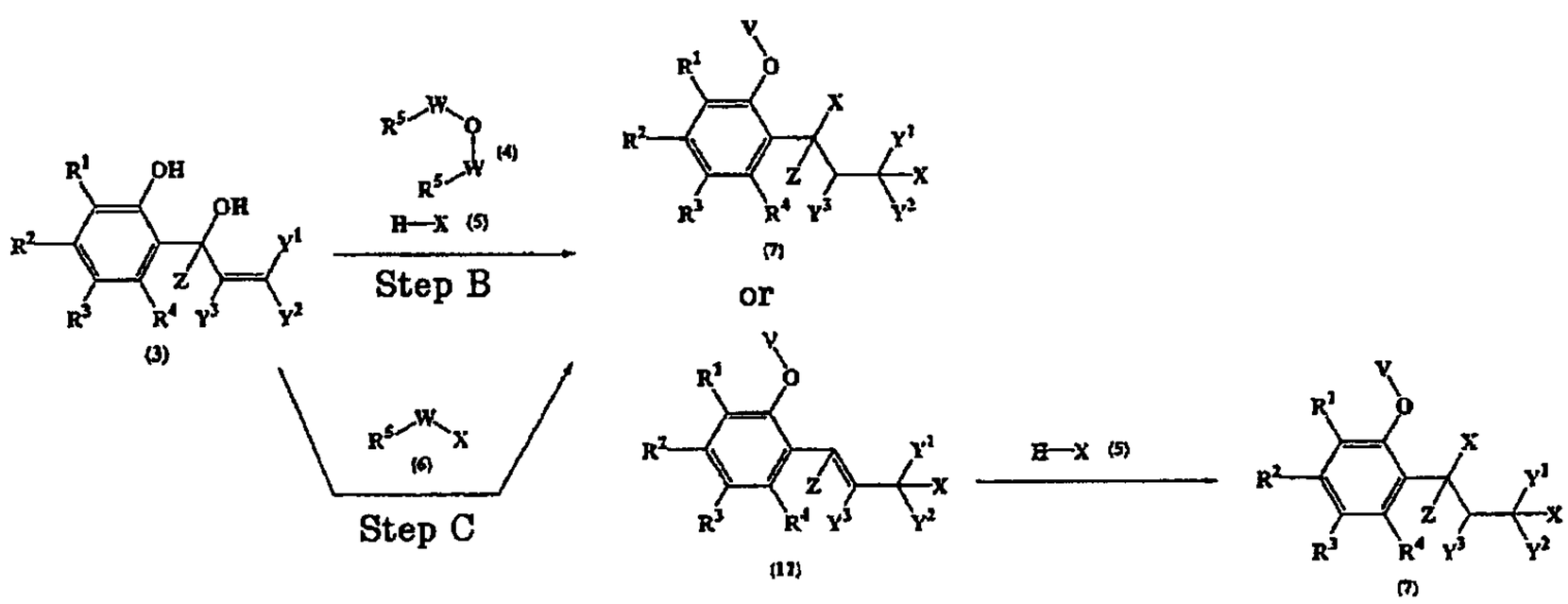
The solvent used in this step is not particularly limited provided it does not inhibit reactions, examples of which include alcohols such as methanol, ethanol, propanol; water; ethers such as dioxane, tetrahydrofuran, ethylene glycol dimethyl ether; ketones such as acetone, methyl ethyl ketone, methyl isobutyl ketone, cyclohexanone; dimethylsulfoxide (DMSO); or mixture solvent thereof, preferably alcohols and water, and more preferably methanol and mixture solvent of methanol-water.

[0152]

In the process of the present invention, a compound of general formula (7) can be obtained, as described above, by reacting a compound of general formula (3) with a mixture of compounds of general formula (4) and general

formula (5) or by reacting it with acid halide of general formula (6). In this reaction, a compound of general formula (11) may be produced with a conpound of formula (7). In such a case, a compound of general formula (11) can be converted to a compound of general formula (7) by further reacting it with hydrogen halide of general formula (5). This reaction can also be carried out by using a mixture of a compounds of general formula (11) and general formula (7). This step also consistutes a part of the process of present invention.

[0153]



[0154]

This step is a step to produce a compound of general formula (7) by addition of hydrogen halide (5) to a compound of general formula (11), which is produced by Step B or Step C, and the addition is carried out by reacting a compound of general formula (11) with hydrogen halide (5).

[0155]

Hydrogen halide (5) used in this step is not particularly limited provided it reacts with double bond to add halogen and hydrogen atom, examples of which include hydrogen fluoride, hydrogen chloride, hydrogen bromide, or hydrogen iodide, preferably hydrogen chloride, hydrogen bromide, more preferably hydrogen bromide.

[0156]

The amount of hydrogen halide (5) to be used in this step is usually 1 to 100 mol, preferably 2 to 30 mol

based on 1 mol of a compound (11).

[0157]

The solvent used in this step is not particularly limited provided it does not inhibit reactions, examples of which include hydrocarbons such as heptane, hexane, cycloheptane, cyclohexane; aromatic hydrocarbons such as benzene, toluene, xylene; halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, tetrachloroethane; ethers such as dioxane, diethyl ether, tetrahydrofuran (THF), ethyleneglycol dimethyl ether; esters such as methyl acetate, ethyl acetate, propyl acetate; organic acids such as formic acid, acetic acid, propionic acid, trifluoroacetic acid, difluoroacetic acid, methanesulfonic acid, trifluoromethanesulfonic acid; or mixture solvent thereof, preferably organic acids, and more preferably acetic acid.

[0158]

The reaction temperature varies depending on the raw material compounds, reaction reagents, solvents and the like, and is usually 0°C to 200°C, preferably 20°C to 80°C.

[0159]

The reaction time varies depending on the raw material compounds, reaction reagents, solvents, reaction temperature and the like and is usually 1 hours to 100 hours, preferably 2 hours to 24 hours.

The following provides a specific explanation of the present invention by examples. This invention is, however, not limited by these examples.

EXAMPLES

[0160]

Example 1

<u>2-(1-Hydroxyl-2-propenyl)-6-methylphenol</u>

A 1 L four-necked round-bottomed flask was equipped with a magnetic stirrer bar, a thermometer and a pressure-

equalizing dropping funnel. A 2-hydroxy-3-methylbenzaldehyde (30.0 g, 0.22 mol) and THF (300 ml) were added to it under a nitrogen atmosphere. After the mixture was cooled to 0°C, a solution of vinyl magnesium chloride in THF (1.9 M, 237 ml, 0.45 mol) was added thereto over 45 minutes while maintaining the mixture temperature of 15°C or less. The mixture was gradually warmed to room temperature and stirred at room temperature for 18 hours. The mixture was cooled to 0°C and a 20% aqueous ammonium chloride solution (600 ml) was added thereto at 0°C. After the mixture was stirred at room temperature for 30 minutes, the mixture was poured into water (500 ml). The mixture was extracted with ethyl acetate (3×500 ml). The organic layer was combined and successively washed with a 20% aqueous ammonium chloride solution (300 ml), water (2×300 ml) and a saturated aqueous NaCl solution (300 ml), followed by drying with anhydrous sodium sulfate (150 g). The solid was removed by filtration and the filtrate was concentrated to obtain 34.3 g of 2-(1-hydroxy-2-propenyl)-6-methylphenol as a brown gum.

^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.83 (1H, br-s), 7.06 (1H, d, J = 7.1 Hz), 6.83 (1H, d, J = 7.7 Hz), 6.75 (1H, t, J = 7.4 Hz), 6.15 (1H, ddd, J = 17, 9.9, and 6.0 Hz), 5.32-5.29 (2H, m), 5.22 (1H, d, J = 9.9 Hz), 2.23 (3H, s).

[0161]

Example 2

2-(1,3-Dibromopropyl)-6-methylphenyl acetate

A 1 L four-necked round-bottomed flask was equipped with a magnetic stirrer bar, a thermometer, a pressure-equalizing dropping funnel, a reflux condenser and a drying tube. A 25% hydrogen bromide-acetic acid solution (155 ml, 0.610 mol) and acetic anhydride (34.6 ml, 0.366 mol) were added to it. A solution of 2-(1-hydroxyallyl)-6-methylphenol (20.0 g, 0.122 mol) in acetic acid (30 ml) was added to the pressure-equalizing dropping funnel and

added thereto over 4 minutes such that the temperature of the mixture did not exceed 43°C. After the mixture was stirred at room temperature for 2 hours, it was stirred at 50°C for 4 hours. The mixture was cooled to room temperature and poured into water (1 L) such that the temperature did not exceed 23°C. The obtained mixture was extracted with a 10% ethyl acetate-90% hexane (V/V) solvent mixture (3×500 ml). The organic layer was combined and it was successively washed with water (4×800 ml) and a saturated aqueous NaCl solution (500 ml), followed by drying with anhydrous sodium sulfate (350 g). The solid was removed by filtration and the filtrate was concentrated to obtain 37.3 g of 2-(1,3-dibromopropyl)-6-methylphenyl acetate as a dark brown gum.

^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.41-7.38 (1H, m), 7.22-7.17 (2H, m), 5.35 (1H, dd, J = 4.8 and 4.8 Hz), 3.60 (1H, td, J = 9.8, 4.8 Hz), 3.49 (1H, quintet, J = 4.8 Hz), 2.69 (1H, qd, J = 9.6, 4.9 Hz), 2.48 (1H, qd, J = 9.7, 4.9 Hz), 2.40 (3H, s), 2.17 (3H, s).

[0162]

Example 3

2-Cyclopropyl-6-methylphenyl acetate

A 500 ml four-necked round-bottomed flask was equipped with a magnetic stirrer bar, a thermometer, a reflux condenser and a drying tube. Magnesium (2.50 g, 102.8 mmol) and anhydrous THF (30 ml) were added thereto under a nitrogen atmosphere. Iodine (63 mg) was added to the mixture and the mixture was stirred at room temperature for 30 minutes. Subsequently, 2-(1,3-dibromopropyl)-6-methylphenyl acetate (30.0 g, 85.7 mmol) and anhydrous THF (90 ml) were added to the mixture at 80°C over 15 minutes. After the mixture was stirred at 80°C for 30 minutes, the mixture was further stirred at room temperature for 1.5 hours. 1M Hydrochloric acid (100 ml) was added dropwise to the reaction mixture such that the temperature of the reaction mixture did not exceed

40°C. The mixture was diluted with water (100 ml) and extracted with a 10% ethyl acetate-90% hexane (V/V) solvent mixture (3×200 ml). The organic layer was combined and it was successively washed with water (2×200 ml) and a saturated aqueous NaCl solution (50 ml), followed by drying with anhydrous sodium sulfate (100 g). After the solid was removed by filtration, the filtrate was concentrated to obtain 18.5 g of 2-cyclopropyl-6-methylphenyl acetate as a brown gum.

^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.07-7.04 (2H, m), 6.86-6.83 (1H, m), 2.36 (3H, s), 2.17 (3H, s), 1.85-1.79 (1H, m), 0.87 (2H, dd, J = 8.8, 1.6 Hz), 0.63 (2H, d, J = 4.9 Hz).

[0163]

Example 4

2-Cyclopropyl-6-methylphenol

A 500 ml three-necked round-bottomed flask was equipped with a magnetic stirrer bar, a thermometer and a drying tube. A solution of 2-cyclopropyl-6-methylphenyl acetate (18.3 g, 96.2 mmol) in methanol (100 ml) was added thereto. Potassium carbonate (26.5 g, 192 mmol) was added thereto at once and the mixture was stirred at room temperature for 75 minutes. After water (300 ml) was added to the reaction mixture, the resulting mixture was concentrated by an evaporator to remove most of methanol. The obtained mixture was cooled to 0°C and 4M hydrochloric acid (100 ml) was added thereto such that the temperature of the mixture did not exceed 25°C. This was extracted with a 10% ethyl acetate-90% hexane (V/V) solvent mixture (3×200 ml). The organic layer was combined and successively washed with water (2×200 ml) and a saturated aqueous NaCl solution (50 ml), followed by drying with anhydrous sodium sulfate (90 g). After the solid was removed by filtration and the filtrate was concentrated to obtain 13.8 g of a crude product of 2-cyclopropyl-6-methylphenol as a brown oil. The crude product was distilled (7.6-7.8 mmHg, 94-98°C) to obtain 9.64 g of 2-

cyclopropyl-6-methylphenol as a light purple oil.
^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.00 (1H, d, J = 7.1 Hz), 6.94 (1H, d, J = 7.1 Hz), 6.75 (1H, t, J = 7.4 Hz), 5.55 (1H, s), 2.26 (3H, s), 1.80-1.74 (1H, m), 0.96 (2H, ddd, J = 9.1, 4.9, 3.3 Hz), 0.63 (2H, td, J = 5.5, 3.8 Hz).

[0164]

Example 5

2-(1,3-dibromopropyl)-6-methylphenyl acetate

A 5 ml pear shaped flask was equipped with a magnetic stirrer bar and a drying tube. 2-(1-Hydroxyally)-6-methylphenol (41 mg, 0.25 mmol) and acetic acid (0.6 ml) were added thereto and acetyl bromide (55 µl, 0.74 mmol) was added thereto with stirring. After the mixture was stirred at room temperature for 3 hours, it was concentrated. The obtained residue (70 mg) was purified by preparative thin layer chromatography (manufactured by MERCK, 1.05744, development by ethyl acetate:hexane=9:1) to obtain 35.8 mg of 2-(1,3-dibromopropyl)-6-methylphenyl acetate and 16.2 mg of 2-(3-bromo-1-propenyl)-6-methylphenyl acetate.

2-(3-bromo-1-propenyl)-6-methylphenyl acetate
^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.37-7.35 (1H, m), 7.20-7.11 (2H, m), 6.61 (1H, d, J = 15.8 Hz), 6.41-6.34 (1H, m), 4.13 (2H, d, J = 7.6 Hz), 2.37 (3H, s), 2.16 (3H, s).

[0165]

Example 6

2-Fluoro-6-(1-hydroxy-2-propenyl)phenol

After a solution of 3-fluoro-2-hydroxybenzaldehyde (600 mg, 4.28 mmol) in THF (10 ml) was cooled to 0°C, a solution of vinyl magnesium chloride in THF (1.9M, 5.42 ml, 10.3 mmol) was added thereto over 5 minutes. The mixture was gradually warmed to room temperature and stirred at room temperature overnight. The mixture was cooled to 0°C and a saturated aqueous ammonium chloride solution was added thereto at 0°C. The mixture was extracted with ethyl acetate. The organic layer was combined and

successively washed with water and a saturated aqueous NaCl solution, followed by drying with anhydrous sodium sulfate. The solid was removed by filtration and the filtrate was concentrated to obtain 772 mg of 2-fluoro-6-(1-hydroxy-2-propenyl)phenol as a pale yellow oil.

^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.16 (1H, s), 7.01 (1H, ddd, J = 10.4, 8.2, and 1.6 Hz), 6.88 (1H, d, J = 7.7 Hz), 6.80 (1H, td, J = 7.7 and 4.9 Hz), 6.12 (1H, ddd, J = 17.6, 10.4, and 6.0 Hz), 5.44 (1H, d, J = 4.4 Hz), 5.35 (1H, dt, J = 17.6 and 1.1 Hz), 5.26 (1H, dd, J = 10.4 and 1.1 Hz), 2.77 (1H, d, J = 3.2 Hz).

[0166]

Example 7

2-(1,3-Dibromopropyl)-6-fluorophenyl acetate

Acetic anhydride (1.21 ml, 12.8 mmol) was added to a 25% hydrogen bromide-acetic acid solution (5.74 ml, 21.4 mmol). A solution of 2-fluoro-6-(1-hydroxy-2-propenyl)phenol (772 mg, 4.28 mmol) in acetic acid (0.4 ml) was added thereto at room temperature. The mixture was stirred at 50°C for 6 hours. The mixture was cooled to room temperature and poured into water (100 ml). The obtained mixture was extracted with a 10% ethyl acetate-90% hexane (V/V) solvent mixture (50 ml). The organic layer was successively washed with water (4×50 ml) and a saturated aqueous NaCl solution (30 ml) and dried with anhydrous sodium sulfate. The solid was removed by filtration and the filtrate was concentrated to obtain 1.17 g of 2-(1,3-dibromopropyl)-6-fluorophenyl acetate as a dark brown oil.

^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.32 (1H, d, J = 7.7 Hz), 7.24 (1H, td, J = 8.2 and 4.9 Hz), 7.13 (1H, ddd, J = 9.9, 8.2, and 1.1 Hz), 5.37 (1H, dd, J = 8.8 and 4.9 Hz), 3.59 (1H, ddd, J = 10.4, 8.8, and 4.9 Hz), 3.47 (1H, quintet, J = 5.5 Hz), 2.71 (1H, qd, J = 9.9 and 4.9 Hz), 2.53-2.46 (1H, m), 2.41 (3H, s).

[0167]

Example 8

2-Cyclopropyl-6-fluorophenyl acetate

Iodine (catalytic amount) was added to a mixture of magnesium (95.2 mg, 3.96 mmol) and anhydrous THF (2 ml) under a nitrogen atmosphere and the mixture was stirred at room temperature for 30 minutes. Subsequently, a solution of 2-(1,3-dibromopropyl)-6-fluorophenyl acetate (1.17 g, 3.30 mmol) in anhydrous THF (6 ml) was added to the mixture over 10 minutes at 80°C. After the mixture was stirred at 80°C for 1 hour, the mixture was further stirred at room temperature for 1 hour. The reaction mixture was ice-cooled and 1M hydrochloric acid (15 ml) was added thereto. The mixture was stirred at room temperature for 1 hour and extracted with a 10% ethyl acetate-90% hexane (V/V) solvent mixture (2×20 ml). The organic layer was combined and successively washed with water (3×20 ml) and a saturated aqueous NaCl solution (20 ml), followed by drying with anhydrous sodium sulfate. After the solid was removed by filtration, the filtrate was concentrated to obtain 645 mg of 2-cyclopropyl-6-fluorophenyl acetate as a brown oil.

^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.09 (1H, td, J = 8.2 and 5.4 Hz), 6.96 (1H, td, J = 8.2 and 1.6 Hz), 6.72 (1H, d, J = 8.2 Hz), 2.38 (3H, s), 1.91-1.86 (1H, m), 0.94 (2H, ddd, J = 8.2, 6.6, 4.9 Hz), 0.67 (2H, dt, J = 6.6 and 4.9 Hz).

[0168]

Example 9

2-Cyclopropyl-6-fluorophenol

Potassium carbonate (911 mg, 6.60 mmol) was added to a solution of 2-cyclopropyl-6-fluorophenyl acetate (640 mg, 3.30 mmol) in methanol (4 ml), and the mixture was stirred at room temperature for 1 hour. After 1M hydrochloric acid was added to the reaction mixture to adjust pH to 2, this was extracted with acetic acid. The organic layer was combined and washed with a saturated aqueous NaCl solution, followed by drying with anhydrous

sodium sulfate. After the solid was removed by filtration, the filtrate was concentrated to obtain 497 mg of 2-cyclopropyl-6-fluorophenol.

^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 6.92-6.88 (1H, m), 6.74 (1H, td, J = 8.2 and 5.4 Hz), 6.67 (1H, d, J = 8.2 Hz), 5.32 (1H, d, J = 3.8 Hz), 2.09-2.03 (1H, m), 0.98 (2H, ddd, J = 8.8, 6.0, 4.4 Hz), 0.69 (2H, td, J = 6.0 and 4.4 Hz).

[0169]

Example 10

2-Chloro-6-(1-hydroxy-2-propenyl)phenol

After a solution of 3-chloro-2-hydroxybenzaldehyde (15.4 g, 98 mmol) in THF (100 ml) was cooled to 0°C, a solution of vinyl magnesium chloride in THF (1.9M, 126 ml, 240 mmol) was added thereto over 40 minutes. The mixture was gradually warmed to room temperature and stirred at room temperature for 14 hours. The mixture was cooled to 0°C and a 20% aqueous ammonium chloride solution (200 ml) was added thereto at 0°C. The mixture was extracted with ethyl acetate. The organic layer was combined and successively washed with water and a saturated aqueous NaCl solution, followed by drying with anhydrous sodium sulfate. The solid was removed by filtration and the filtrate was concentrated to obtain a brown oil. This oil was purified by silica gel column chromatograpy (elution with hexane:ethyl acetate=5:1) to obtain 15.1 g of 2-chloro-6-(1-hydroxy-2-propenyl)phenol as a pale brown oil.

^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.28 (1H, dd, J = 7.7 and 1.1 Hz), 7.16 (1H, s), 7.08 (1H, dd, J = 7.7 and 1.1 Hz), 6.84 (1H, t, J = 7.7 Hz), 6.12 (1H, ddd, J = 17.0, 10.4, and 6.0 Hz), 5.44 (1H, d, J = 4.4 Hz), 5.36 (1H, dt, J = 17.0 and 1.1 Hz), 5.26 (1H, dt, J = 10.4 and 1.1 Hz), 2.63 (1H, d, J = 3.3 Hz).

[0170]

Example 11

2-Chloro-6-(1,3-dibromopropyl)phenyl acetate

A solution of 2-chloro-6-(1-hydroxy-2-

propenyl)phenol (15.1 g, 82 mmol) in acetic acid (5 ml) was added to a mixture of a 30% hydrogen bromide-acetic acid solution (81.7 ml, 410 mmol) and acetic anhydride (23.3 ml, 246 mmol) over 15 minutes such that the temperature of the mixture did not exceed 43°C. After the mixture was stirred at room temperature for 30 minutes, it was stirred at 52°C for 9 hours and at room temperature for 15 hours. The reaction mixture was poured to water (500 ml) over 10 minutes and the obtained mixture was extracted with a 10% ethyl acetate-90% hexane (V/V) solvent mixture (3×200 ml). The organic layer was combined and washed with water (4×500 ml), followed by drying with anhydrous sodium sulfate. The solid was removed by filtration and the filtrate was concentrated to obtain 28.5 g of 2-chloro-6-(1,3-dibromopropyl)phenyl acetate as a pale brown oil.

^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.48 (1H, dd, J = 7.7 and 1.1 Hz), 7.41 (1H , dd, J = 7.7 and 1.1 Hz), 7.24 (1H, t, J = 7.7 Hz), 5.34 (1H, dd, J = 9.3 and 4.9 Hz), 3.60 (1H, td, J = 9.9, 4.4 Hz), 3.49 (1H, quintet, J = 4.9 Hz), 2.67 (1H, dq, J = 9.9, 4.9 Hz), 2.45 (1H, dq, J = 9.9, 4.9 Hz), 2.42 (3H, s).

[0171]

Example 12

2-Chloro-6-cyclopropylphenyl acetate

Iodine (catalytic amount) was added to a mixture of magnesium (1.97 g, 81 mmol) and anhydrous THF (30 ml) under a nitrogen atmosphere, and the mixture was stirred at room temperature for 30 minutes. Subsequently, a solution of 2-chloro-6-(1,3-dibromopropyl)phenyl acetate (28.5 g, 77 mmol) in anhydrous THF (90 ml) was added to the mixture at 80°C over 45 minutes. After the mixture was stirred at 80°C for 1 hour, the mixture was further stirred at room temperature for 2 hours. The reaction mixture was ice-cooled and 1M hydrochloric acid (80 ml) was added thereto. The mixture was extracted with ethyl

acetate (2×100 ml). The organic layer was combined and successively washed with water and a saturated aqueous NaCl solution, followed by drying with anhydrous sodium sulfate. After the solid was removed by filtration, the filtrate was concentrated to obtain 16.3 g of 2-chloro-6-cyclopropylphenyl acetate as a brown oil.

^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.25 (1H, dd, J = 7.7 and 1.1 Hz), 7.09 (1H, t, J = 7.7 Hz), 6.88 (1H, dd, J = 7.7 and 1.1 Hz), 2.39 (3H, s), 1.87 (1H, tt, J = 8.2 and 5.0 Hz), 0.93 (2H, ddd, J = 8.2, 6.0, and 4.4 Hz), 0.63 (2H, q, J = 5.5 Hz).

[0172]

Example 13

2-Chloro-6-cyclopropylphenol

Potassium carbonate (21.3 g, 154 mmol) was added to a solution of 2-chloro-6-cyclopropylphenyl acetate (16.3 g, 77 mmol) in methanol (80 ml) at once and the mixture was stirred at room temperature for 90 minutes. After water (240 ml) was added to the reaction mixture, the resulting mixture was concentrated by an evaporator to remove most of methanol. The obtained mixture was cooled to 0°C and 4M hydrochloric acid was added thereto to make pH to 2 or lower such that the temperature of the mixture did not exceed 25°C. This was extracted with a 10% ethyl acetate-90% hexane (V/V) solvent mixture. The organic layer was combined and washed with a saturated aqueous NaCl solution, followed by drying with anhydrous sodium sulfate (90 g). After the solid was removed by filtration, the filtrate was concentrated to obtain a pale brown oil. This oil was purified by silica gel column chromatography (use of 120 g of Wakogel C-100E) to obtain 10.1 g of 2-chloro-6-cyclopropylphenol.

^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.14 (1H, dd, J = 7.7 and 1.6 Hz), 6.80 (1H, dd, J = 7.7 and 1.1 Hz), 6.77 (1H, t, J = 7.7 Hz), 5.72 (1H, s), 2.08 (1H, tt, J = 8.2 and 4.9 Hz), 0.97 (2H, ddd, J = 8.2, 6.0, and 4.4 Hz), 0.67 (2H, ddd, J

= 6.0, 5.5 and 4.4 Hz).

[0173]

Example 14

2-Bromo-6-(1-hydroxy-2-propenyl)phenol

After a solution of 3-bromo-2-hydroxybenzaldehyde (1.35 g, 6.7 mmol) in THF (10 ml) was cooled to 0°C, a solution of vinyl magnesium chloride in THF (2.0M, 8.05 ml, 16.1 mmol) was added thereto under a nitrogen atmosphere over 5 minutes. The mixture was gradually warmed to room temperature and stirred at room temperature for 3 hours. The mixture was cooled to 0°C and a 20% aqueous ammonium chloride solution (30 ml) was added thereto at 0°C. After the mixture was stirred at room temperature for 30 minutes, it was poured to ice-water (30 ml). The mixture was extracted with ethyl acetate (2×50 ml). The organic layer was combined and successively washed with water (30 ml) and a saturated aqueous NaCl solution (30 ml), followed by drying with anhydrous sodium sulfate. The solid was removed by filtration and the filtrate was concentrated to obtain a pale brown oil. This oil was purified by silica gel column chromatography (use of 40 g of Wakogel C-100E, elution with hexane:ethyl acetate=5:1) to obtain 1.24 g of 2-bromo-6-(1-hydroxy-2-propenyl)phenol.

^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.43 (1H, dd, J = 7.7 and 1.1 Hz), 7.32 (1H, s), 7.10 (1H, dd, J = 7.7 and 1.1 Hz), 6.78 (1H, t, J = 7.7 Hz), 6.11 (1H, ddd, J = 17.0, 10.4, and 6.0 Hz), 5.42 (1H, dd, J = 5.5 and 4.5 Hz), 5.36 (1H, dt, J = 17.0 and 1.1 Hz), 5.25 (1H, dt, J = 10.4 and 1.1 Hz), 2.72-2.71 (1H, m).

[0174]

Example 15

2-Bromo-6-(1,3-dibromopropyl)phenyl acetate

A solution of 2-bromo-6-(1-hydroxy-2-propenyl)phenol (1.20 g, 5.24 mmol) in acetic acid (1 ml) was added to a mixture of 25% hydrogen bromide-acetic acid solution (6.60 ml, 26.2 mmol) and acetic anhydride (1.48

ml, 15.7 mmol) at room temperature. After the mixture was stirred at room temperature for 15 minutes, it was stirred at 50°C for 5 hours. The mixture was cooled to room temperature and poured to water (50 ml). The obtained mixture was extracted with a 10% ethyl acetate-90% hexane (V/V) solvent mixture (2×50 ml). The organic layer was combined and successively washed with water (40 ml) and a saturated aqueous NaCl solution, followed by drying with anhydrous sodium sulfate. The solid was removed by filtration and the filtrate was concentrated to obtain 1.89 g of residue. This residue was purified by silica gel column chromatography (Wakogel C-100E, elution with hexane:ethyl acetate=20:1) to obtain 1.24 g of 2-bromo-6-(1,3-dibromopropyl)phenyl acetate.

^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.57 (1H, dd, J = 7.7 and 1.1 Hz), 7.54 (1H , dd, J = 7.7 and 1.1 Hz), 7.18 (1H, t, J = 7.7 Hz), 5.34 (1H, dd, J = 9.9 and 4.4 Hz), 3.60 (1H, td, J = 10.4, 4.4 Hz), 3.50 (1H, quintet, J = 4.9 Hz), 2.65 (1H, dq, J = 9.9, 4.9 Hz), 2.45 (1H, dq, J = 9.3, 4.9 Hz), 2.42 (3H, s).

[0175]

Example 16

2-Bromo-6-cyclopropylphenyl acetate

Iodine (catalytic amount) was added to a mixture of magnesium (75.5 mg, 3.14 mmol) and anhydrous THF (1.5 ml) under a nitrogen atmosphere, and the mixture was stirred at room temperature for 30 minutes. Subsequently, a solution of 2-bromo-6-(1,3-dibromopropyl)phenyl acetate (1.24 g, 2.99 mmol) in anhydrous THF (4.5 ml) was added to the mixture at 80°C over 2 minutes. After the mixture was stirred at 80°C for 1 hour and 30 minutes, the mixture was further stirred at room temperature for 2 hours. The reaction mixture was ice-cooled and 1M hydrochloric acid was added thereto to make pH to 2 or lower. The mixture was extracted with ethyl acetate. The organic layer was combined and successively washed with water and a

saturated aqueous NaCl solution, followed by drying with anhydrous sodium sulfate. After the solid was removed by filtration, the filtrate was concentrated to obtain a residue. This residue was purified by silica gel column chromatography to obtain 316 mg of 2-bromo-6-cyclopropylphenyl acetate.

^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.41 (1H, dd, J = 7.7 and 1.1 Hz), 7.03 (1H, t, J = 7.7 Hz), 6.93 (1H, dd, J = 7.7 and 1.1 Hz), 2.39 (3H, s), 1.87 (1H, tt, J = 8.2 and 4.9 Hz), 0.92 (2H, dd, J = 8.8, and 1.6 Hz), 0.66 (2H, q, J = 5.5 Hz).

[0176]

Example 17

2-Bromo-6-cyclopropylphenol

Potassium carbonate (276 mg, 2.00 mmol) was added to a solution of 2-bromo-6-cyclopropylphenyl acetate (316 mg, purity: 80%, 1.00 mmol) in methanol (2 ml), and the mixture was stirred at room temperature for 4 hours. After water (15 ml) and 4M hydrochloric acid (15 ml) were added to the reaction mixture, the mixture was extracted with ethyl acetate. The organic layer was combined, washed with a saturated aqueous NaCl solution, followed by drying with anhydrous sodium sulfate. After the solid was removed by filtration, the filtrate was concentrated to obtain a pale brown oil. This oil was purified by preparative thin layer chromatography (manufactured by Merck Co., Ltd, 1.05744, use of two sheets, development by hexane:ethyl acetate=30:1) to obtain 118 mg of 2-bromo-6-cyclopropylphenol.

^{1}H-NMR (500MHz, $CDCl_3$)·ppm: 7.29 (1H, dd, J = 7.7 and 1.6 Hz), 6.85 (1H, t, J = 7.7 Hz), 6.78 (1H, dd, J = 7.7 and 1.1 Hz), 5.72 (1H, s), 2.09 (1H, tt, J = 8.2 and 5.0 Hz), 0.97 (2H, ddd, J = 8.2, 6.0, and 4.4 Hz), 0.67 (2H, ddd, J = 6.0, 5.5 and 4.4 Hz).

[0177]

Example 18

2-(1,3-Dibromopropyl)-6-methylphenyl acetate

A mixture of 2-(1,3-dibromopropyl)-6-methylphenyl acetate and 2-(3-bromo-1-propenyl)-6-methylphenyl acetate {970 mg, molar ratio=4:1, 2-(1,3-dibromopropyl)-6-methylphenyl acetate=2.32 mmol, 2-(3-bromo-1-propenyl)-6-methylphenyl acetate=0.58 mmol} was mixed with a 25% hydrogen bromide-acetic acid solution (3.7 ml, 14.5 mmol), and the mixture was stirred at room temperature overnight. The reaction mixture was concentrated and the residue was dissolved in ethyl acetate (50 ml). This solution was successively washed with water (3×30 ml) and a saturated aqueous NaCl solution (30 ml) and dried with anhydrous sodium sulfate. The solid was removed by filtration and the filtrate was concentrated to obtain 970 mg of a crude product of 2-(1,3-dibromopropyl)-6-methylphenyl acetate as a dark brown oil.

[0178]

Example 19

2-(1-Hydroxyl-2-propenyl)-6-methylphenol

2-Hydroxy-3-methylbenzaldehyde (48.78 g, purity: 40.7%, 0.146 mol) was dissolved in toluene (100 ml), and the mixture was added to a reactor under a nitrogen atmosphere. After the mixture was cooled to 0°C in ice-water, a solution of vinyl magnesium chloride in THF-toluene (1.77M, 182 ml, 0.32 mol, THF:toluene=1:1(v:v)) was added thereto over 15 minutes such that the temperature of the mixture did not exceed 25°C. After the mixture was stirred at 15-20°C for 2 hours, it was cooled to 0°C. A 20% aqueous ammonium chloride solution (250 ml) was added thereto. After the mixture was stirred at 25°C for 1 hour, the solution was separated. The organic layer was concentrated to obtain 32.36 g (purity: 73.5%, 0.145 mol) of 2-(1-hydroxy-2-propenyl)-6-methylphenol as an orange-colored oil.

[0179]

Example 20

2-(1,3-Dibromopropyl)-6-methylphenyl acetate

A 500 ml three-necked round-bottomed flask was equipped with a magnetic stirrer bar, a thermometer, a pressure-equalizing dropping funnel and a reflux condenser. A drying tube was mounted on the top of the reflux condenser. A 30% hydrogen bromide-acetic acid solution (48.4 ml, 0.247 mol) and acetic anhydride (14.0 ml, 0.148 mol) were added thereto. A solution of 2-(1-hydroxyallyl)-6-methylphenol (11.0 g, purity: 73.5%, 0.0493 mol) in toluene (20 ml) was added to the pressure-equalizing dropping funnel, and added to the flask over 20 minutes such that the temperature of the mixture did not exceed 35°C. The pressure-equalizing dropping funnel was removed and the mixture was stirred at 50-55°C for 6.5 hours. The mixture was cooled in ice-water (temperature of the mixture was 0-2°C) and an aqueous 4M NaOH solution (185 ml, 0.741 mol) was added thereto over 20 minutes such that the temperature did not exceed 40°C. The obtained mixture was stirred at 20°C for 1 hour and extracted with toluene (100 ml). After the organic layer was washed with water (100 ml), it was concentrated to obtain 18.0 g (purity: 67%, 0.0343 mol) of 2-(1,3-dibromopropyl)-6-methylphenyl acetate as a dark brown oil.

[0180]

Example 21

2-Cyclopropyl-6-methylphenyl acetate

A 300 ml four-necked round-bottomed flask was equipped with a magnetic stirrer bar, a thermometer, a reflux condenser and a drying tube. Magnesium (1.50 g, 61.7 mmol), toluene (15 ml) and anhydrous THF (15 ml) were added to the flask under a nitrogen atmosphere. The mixture was heated in an oil bath of 75°C for 15 minutes (temperature of the mixture was 62-64°C). Subsequently, the mixture was heated in an oil bath of 75°C and a solution of 2-(1,3-dibromopropyl)-6-methylphenyl acetate (17.9 g, purity: 67%, 34.3 mmol) in toluene (60 ml) was

added thereto over 30 minutes. The temperature of the mixture was raised to 89°C. After the mixture was stirred in an oil bath of 75°C for 5.5 hours, the mixture was cooled and 6M hydrochloric acid (20.5 ml, 123 mmol) was added dropwise thereto over 15 minutes such that the temperature of the reaction mixture did not exceed 45°C. After the mixture was stirred at room temperature for 1 hour, it was diluted with water (20 ml) and it was stirred for 10 minutes. The solution was separated and the organic layer was successively washed with a saturated aqueous $NaHCO_3$ solution (50 ml) and water (50 ml) and concentrated to obtain 11.1 g (purity: 45.2%, 26.4 mmol) of 2-cyclopropyl-6-methylphenyl acetate as a brown gum.

[0181]

Example 22

2-Cyclopropyl-6-methylphenol

A 200 ml four-necked round-bottomed flask was equipped with a magnetic stirrer bar, a thermometer and a drying tube. Magnesium (498 mg, 20.5 mmol) and anhydrous THF (7 ml) were added thereto under a nitrogen atmosphere. The mixture was heated in an oil bath of 75°C for 15 minutes (temperature of the mixture was 62-64°C). Subsequently, the mixture was heated in an oil bath of 75°C and a solution of 2-(1,3-dibromopropyl)-6-methylphenyl acetate (6.00 g, 17.1 mmol or less) in THF (21 ml) was added thereto over 20 minutes. The temperature of the mixture was raised to 70°C. After the mixture was stirred in an oil bath of 75°C for 3 hours, the mixture was cooled and 6M hydrochloric acid (7.13 ml, 42.8 mmol) was added thereto over 5 minutes. After the mixture was stirred at room temperature for 1 hour, it was transferred to a 200 ml round bottom flask and most of THF was distilled off under reduced pressure. Methanol (15 ml) was added to the residue and the obtained mixture was stirred under heating at reflux for 9 hours. The mixture was cooled and methanol was distilled off under reduced

pressure. Water (8 ml) was added to the residue and it was extracted with toluene (25 ml and 15 ml). The organic layer was combined and washed with water (20 ml), followed by concentration to obtain 2.69 g of a crude product of 2-cyclopropyl-6-methylphenol.

[0182]

Example 23

2-Cyclopropyl-6-methylphenol

A magnetic stirrer bar was attached to a 1L round bottom flask. 2-Cyclopropyl-6-methylphenyl acetate (32.5 g), methanol (90 ml) and an aqueous 4M NaOH solution (90 ml) were added thereto, and the mixture was stirred at room temperature for 2 hours. After most of methanol was removed by concentration, the obtained mixture was cooled in ice-water and water (500 ml) and 4M hydrochloric acid (120 ml) were added thereto, followed by stirring of the mixture. This was extracted with a 10% ethyl acetate-90% hexane (V/V) solvent mixture (3×200 ml). The organic layer was combined and successively washed with water (3×200 ml) and a saturated aqueous NaCl solution (200 ml), followed by drying with anhydrous sodium sulfate. After the solid was removed by filtration, the filtrate was concentrated to obtain 27.09 g (purity: 54.6%) of a crude product of 2-cyclopropyl-6-methylphenol.

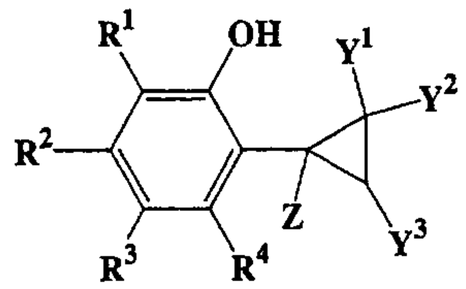
Utilizability in industry

[0183]

According to the present invention, the cyclopropylphenol derivative can be stably prepared at a low cost and at a high yield using a carbonyl derivative as a raw material. Its utilization value is high as a process for preparing the cyclopropylphenols useful as a raw material of a pharmaceutical/agrochemicals and functional materials.

CLAIMS

1. A process for producing a cyclopropylphenol derivative represented by general formula (10):



(10)

[wherein R^1, R^2, R^3 and R^4 each independently represent a hydrogen atom, halogen atom, optionally substituted C_1-C_6 alkyl group (wherein said substituent is a substituent selected from the following substituent group B), optionally substituted C_2-C_6 alkenyl group (wherein said substituent is a cyano group or nitro group), C_2-C_6 alkynyl group, optionally substituted C_3-C_6 cycloalkyl group (wherein said substituent is a substituent selected from the following substituent group C), C_4-C_{10} bicycloalkyl group, cyano group, formyl group, C_2-C_7 alkylcarbonyl group, optionally substituted benzoyl group (wherein said substituent is a substituent selected from the following substituent group A), carboxyl group, C_2-C_7 alkoxycarbonyl group, carbamoyl group, di(C_1-C_6 alkyl)carbamoyl group, optionally substituted phenyl group (wherein said substituent is a substituent selected from the following substituent group A), optionally substituted 3 to 6 membered heterocyclic group (wherein said heterocyclic ring contains one nitrogen atom, oxygen atom or sulfur atom therein, may further contain 1 to 2 nitrogen atoms, may be condensed with a benzene ring, and said substituent is a substituent selected from the following substituent group E), optionally substituted amino group (wherein said substituent is a substituent selected from the following substituent group D), nitro group, hydroxyl group, C_1-C_6 alkoxy group, C_1-C_6 haloalkoxy group, (C_1-C_6 alkoxy)C_1-C_6 alkoxy group, optionally substituted phenoxy group (wherein said substituent is a

hydroxyl group or a pyridazinyloxy group substituted with a halogen atom and/or C_1-C_6 alkoxy group), optionally substituted 5 to 6 membered heterocyclooxy group (wherein said heterocyclic ring contains one nitrogen atom, oxygen atom or sulfur atom therein, may further contain 1 to 2 nitrogen atoms, and said substituent is a substituent selected from the following substituent group E), optionally substituted C_2-C_7 alkylcarbonyloxy group (wherein said substituent is a substituent selected from the following substituent group B), optionally substituted C_2-C_7 alkoxycarbonyloxy group (wherein said substituent is a substituent selected from the following substituent group B), optionally substituted benzoyloxy group (wherein said substituent is a substituent selected from the following substituent group A), optionally substituted phenylsulfonyloxy group (wherein said substituent is a substituent selected from the following substituent group A), C_1-C_6 alkylthio group, C_1-C_6 alkylsulfinyl group, C_1-C_6 alkylsulfonyl group or tri(C_1-C_6 alkyl)silyl group, or two adjacent R^1, R^2, R^3 and R^4 may together with carbon atoms respectively bonded thereto form an optionally substituted 3 to 6 membered cyclic hydrocarbon group (wherein said cyclic hydrocarbon may be interrupted by 1 to 2 same or different heteroatoms selected from the group consisting of a nitrogen atom, oxygen atom and sulfur atom, said substituent is a halogen atom, C_1-C_6 alkyl group, hydroxy C_1-C_6 alkyl group, C_1-C_6 alkoxy group, oxo group, hydroxyimino group or C_1-C_6 alkoxyimino group, and in the case the cyclic hydrocarbon is substituted with a C_1-C_6 alkyl group, a new 3-membered ring may be formed by bonding with other C_1-C_6 alkyl groups or carbon atoms on the ring);

Z represents a hydrogen atom, optionally substituted C_1-C_6 alkyl group (wherein said substituent is a substituent selected from the following substituent group B), C_2-C_6 alkenyl group, C_2-C_6 alkynyl group,

optionally substituted C_3-C_6 cycloalkyl group or optionally substituted phenyl group (wherein said substituent is a substituent selected from the following substituent group A);

Y^1, Y^2 and Y^3 each independently represent a hydrogen atom, halogen atom, optionally substituted C_1-C_6 alkyl group (wherein said substituent is a substituent selected from the following substituent group B), optionally substituted C_2-C_6 alkenyl group (wherein said substituent is a cyano group or nitro group), C_2-C_6 alkynyl group, optionally substituted C_3-C_6 cycloalkyl group (wherein said substituent is a substituent selected from the following substituent group C), optionally substituted phenyl group (wherein said substituent is a substituent selected from the following substituent group A), nitro group, C_1-C_6 alkoxy group, C_1-C_6 haloalkoxy group, (C_1-C_6 alkoxy)C_1-C_6 alkoxy group, C_1-C_6 alkylthio group, C_1-C_6 alkylsulfinyl group or C_1-C_6 alkylsulfonyl group;

substituent group A is a group consisting of a halogen atom, C_1-C_6 alkyl group, C_1-C_6 haloalkyl group, C_3-C_6 cycloalkyl group, cyano group and tri(C_1-C_6 alkyl)silyl group;

substituent group B is a group consisting of a halogen atom, C_3-C_6 cycloalkyl group, cyano group, C_2-C_7 alkylcarbonyl group, C_2-C_7 alkoxycarbonyl group, phenyl group, C_1-C_6 alkoxy group, C_1-C_6 alkylthio group, C_1-C_6 alkylsulfinyl group, C_1-C_6 alkylsulfonyl group, C_1-C_4 alkylenedioxy group, hydroxyimino group and C_1-C_6 alkoxyimino group;

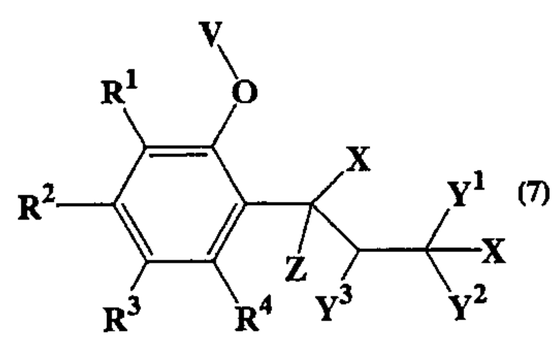
substituent group C is a group consisting of a halogen atom, optionally substituted C_1-C_6 alkyl group (wherein said substituent is a substituent selected from the aforementioned substituent group B), C_3-C_6 cycloalkyl group, C_2-C_6 alkenyl group, cyano group, C_2-C_7 alkylcarbonyl group, benzoyl group, carboxyl group, C_2-C_7 alkoxycarbonyl group, carbamoyl group, di(C_1-C_6

alkyl)carbamoyl group, optionally substituted phenyl group (wherein said substituent is a substituent selected from the aforementioned substituent group A), 5- or 6-membered heterocyclic group (wherein said heterocyclic ring contains one nitrogen atom, oxygen atom or sulfur atom therein, and may further contain 1 to 2 nitrogen atoms), optionally substituted amino group (wherein said substituent is a substituent selected from the following substituent group D), nitro group, hydroxyl group, C_1-C_6 alkoxy group, C_1-C_6 haloalkoxy group, phenoxy group, C_1-C_6 alkylthio group, phenylthio group, C_1-C_6 alkylsulfinyl group and C_1-C_6 alkylsulfonyl group;

substituent group D is a group consisting of a C_1-C_6 alkyl group, C_2-C_7 alkylcarbonyl group, C_2-C_7 alkoxycarbonyl group, di(C_1-C_6 alkyl)carbamoyl group and C_1-C_6 alkylsulfonyl group; and

substituent group E is a group consisting of a halogen atom, C_1-C_6 alkyl group, C_1-C_6 haloalkyl group, hydroxyl group, optionally substituted phenylsulfonyl group (wherein said substituent is a substituent selected from the aforementioned substituent group A) and di(C_1-C_6 alkyl)sulfamoyl group];

the process comprising reacting a compound represented by general formula (7):



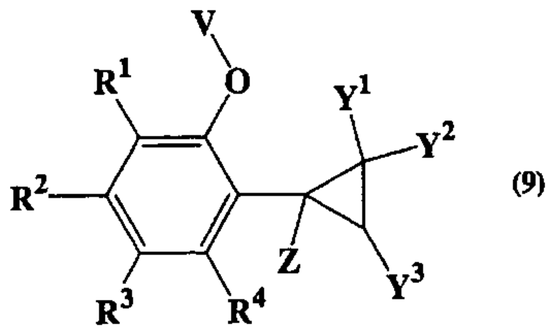
(7)

[wherein R^1, R^2, R^3, R^4, Z, Y^1, Y^2 and Y^3 are the same as previously defined; X represents a halogen atom; V represents a hydrogen atom or the group, -W-R^5, wherein R^5 represents a C_1-C_6 alkyl group, C_1-C_6 haloalkyl group, C_3-C_6 cycloalkyl group, C_2-C_6 alkenyl group, C_2-C_6 alkynyl group, optionally substituted phenyl group (wherein said substituent is a substituent selected from a halogen atom

and C_1-C_6 alkyl group), C_1-C_6 alkoxy group or C_1-C_6 haloalkoxy group; and, W represents a CO, SO or SO_2 group], with a metal, metal salt or organometallic compound represented by general formula (8):

$$M^2 \qquad (8)$$

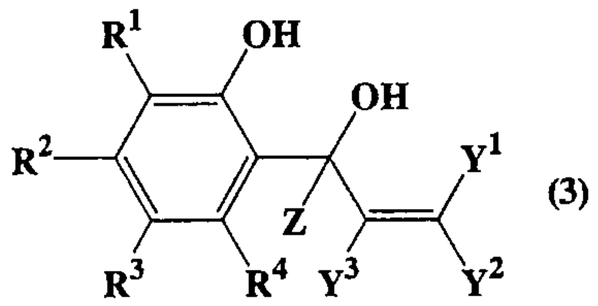
to obtain a compound represented by general formula (9):



(9)

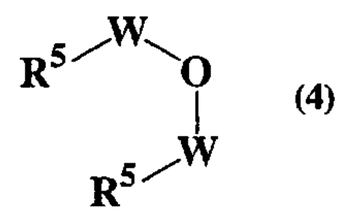
[wherein R^1, R^2, R^3, R^4, V, Z, Y^1, Y^2 and Y^3 are the same as previously defined] and obtaining a compound represented by general formula (10) by hydrolysis in the case V represents the group, -W-R^5.

2. The process according to claim 1, further comprising a step in which a compound of general formula (7) is obtained by reacting a compound represented by general formula (3):



(3)

[wherein R^1, R^2, R^3, R^4, Z, Y^1, Y^2 and Y^3 are the same as defined in claim 1] with a mixture of a compound represented by general formula (4):

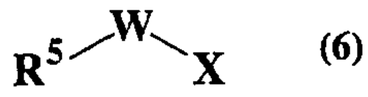


(4)

[wherein R^5 and W are the same as defined in claim 1] and a compound represented by general formula (5):

$$H\text{-}X \qquad (5)$$

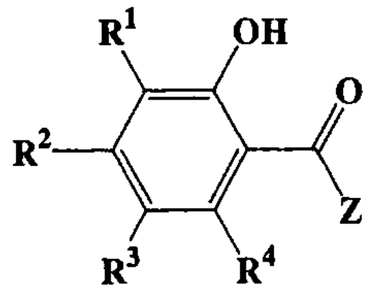
[wherein X is the same as defined in claim 1], or by reacting with an acid halide represented by general formula (6):



(6)

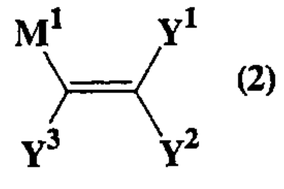
[wherein R^5, W and X are the same as previously defined].

3. The process according to claim 2, further comprising a step in which a compound represented by general formula (3) is obtained by reacting a compound represented by general formula (1):



(1)

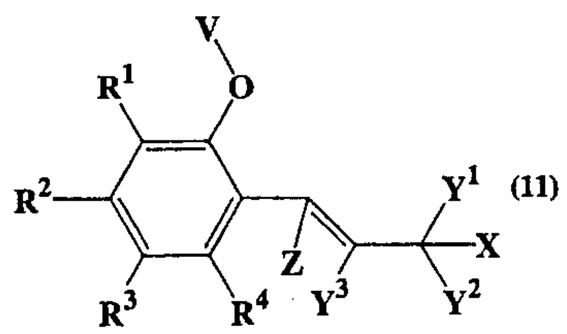
[wherein R^1, R^2, R^3, R^4 and Z are the same defined in claim 1], or salt thereof, with an organometallic compound represented by general formula (2):



(2)

[wherein Y^1, Y^2 and Y^3 are the same as defined in claim 1; and M^1 represents a metal residue, metal salt residue or organometallic residue].

4. The process according to claim 1, further comprising a step in which a compound represented by general formula (7) is obtained by reacting a compound represented by general formula (11):



(11)

[wherein R^1, R^2, R^3, R^4, V, X, Z, Y^1, Y^2 and Y^3 are the same as defined in claim 1] with a hydrogen halide represented by general formula (5):

H-X (5)

[wherein X is the same as previously defined].

5. The production process according to any of claims 1 to 4, wherein R^1, R^2, R^3 and R^4 are hydrogen atoms, halogen

atoms or C_1-C_6 alkyl groups,

Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms

R^5 is a C_1-C_6 alkyl group,

W is a CO group, and

X is a chlorine atom, bromine atom or iodine atom.

6. The production process according to any of claims 1 to 4, wherein R^1 is a halogen atom or C_1-C_6 alkyl group,

R^2, R^3 and R^4 are hydrogen atoms,

Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms

R^5 is a C_1-C_6 alkyl group,

W is a CO group, and

X is a chlorine atom or bromine atom.

7. The production process according to any of claims 1 to 4, wherein R^1 is a fluorine atom, chlorine atom, bromine atom or methyl group,

R^2, R^3 and R^4 are hydrogen atoms,

Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms

R^5 is a methyl group,

W is a CO group, and

X is a bromine atom.

8. The production process according to claim 3, wherein M^1 is lithium, boronic acid, sodium, magnesium, magnesium salt, aluminum, dialkyl aluminum, potassium, calcium, calcium salt, titanium, titanium salt, manganese, manganese salt, copper, copper salt, zinc, zinc salt, zinc alloy, tin, trialkyl tin, tellurium, alkyl tellurium, cerium, cerium salt, samarium, samarium salt, europium, europium salt, ytterbium or ytterbium salt, and

M^2 is lithium, lithium alloy, sodium, magnesium, magnesium salt, potassium, nickel, nickel salt, copper, copper salt, zinc, zinc salt, zinc alloy, chromium, chromium salt, methyl lithium, butyl lithium, t-butyl lithium, phenyl lithium, methyl magnesium chloride, methyl

magnesium bromide, phenyl magnesium chloride, phenyl magnesium bromide or calcium.

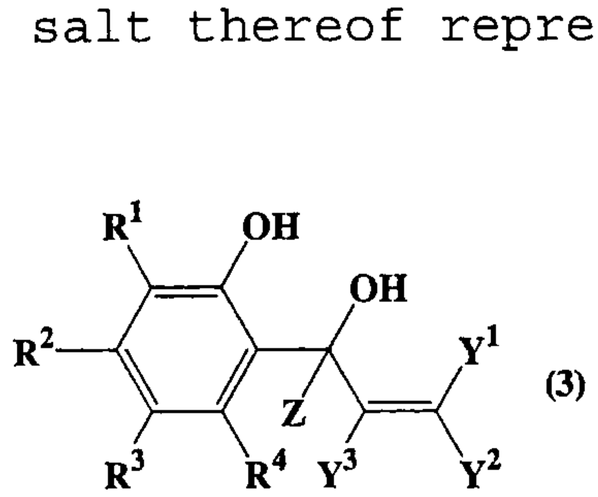
9. The production process according to claim 3, wherein M^1 is lithium, boronic acid, magnesium, magnesium salt, aluminum, dialkyl aluminum, manganese, manganese salt, copper, copper salt, zinc, zinc salt, zinc alloy, tin, trialkyl tin, tellurium, alkyl tellurium, cerium or cerium salt, and

M^2 is lithium, lithium alloy, sodium, magnesium, magnesium salt, potassium, nickel, nickel salt, copper, copper salt, zinc, zinc salt, zinc alloy or t-butyl lithium.

10. The production process according to claim 3, wherein M^1 is magnesium, magnesium chloride, magnesium bromide or magnesium iodide, and

M^2 is magnesium, zinc, or zinc-copper alloy.

11. A compound or salt thereof represented by general formula (3):



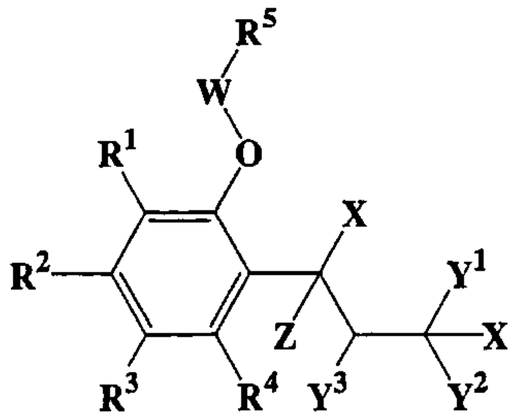
(3)

[wherein R^1, R^2, R^3 and R^4 are the same as defined in claim 1, and Z, Y^1, Y^2 and Y^3 represent hydrogen atoms, provided that R^1 is not a hydrogen atom].

12. The compound or salt thereof according to claim 11, wherein R^1 is a halogen atom or C_1-C_6 alkyl group, and

R^2, R^3 and R^4 are hydrogen atoms.

13. A compound or salt thereof represented by the following general formula (7'):



(7')

[wherein R^1, R^2, R^3, R^4, R^5, W, X, Z, Y^1, Y^2 and Y^3 are the same as defined in claim 1, provided that R^5 is neither a C_1-C_6 alkoxy group nor C_1-C_6 haloalkoxy group, and X is not a fluorine atom].

14. The compound or salt thereof according to claim 13, wherein R^1, R^2, R^3 and R^4 are hydrogen atoms, halogen atoms or C_1-C_6 alkyl groups,

Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms,

R^5 is a C_1-C_6 alkyl group,

W is a CO group, and

X is a chlorine atom, bromine atom or iodine atom.

15. The compound or salt thereof according to claim 13, wherein R^1 is a halogen atom or C_1-C_6 alkyl group,

R^2, R^3 and R^4 are hydrogen atoms,

Z is a hydrogen atom,

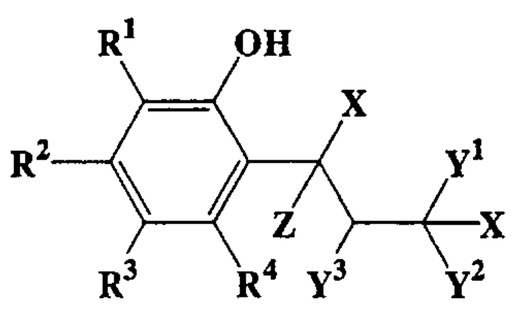
Y^1, Y^2 and Y^3 are hydrogen atoms,

R^5 is a C_1-C_6 alkyl group,

W is a CO group, and

X is a chlorine atom or bromine atom.

16. A compound or salt thereof represented by the following general formula (7"):



(7")

[wherein R^1, R^2, R^3, R^4, X, Z, Y^1, Y^2 and Y^3 are the same as defined in claim 1, provided that X is not a fluorine atom].

17. The compound or salt thereof according to claim 16,

wherein R^1, R^2, R^3 and R^4 are hydrogen atoms, halogen atoms or C_1-C_6 alkyl groups,

Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms, and

X is a chlorine atom, bromine atom or iodine atom.

18. The compound or salt thereof according to claim 16, wherein R^1 is a halogen atom or C_1-C_6 alkyl group,

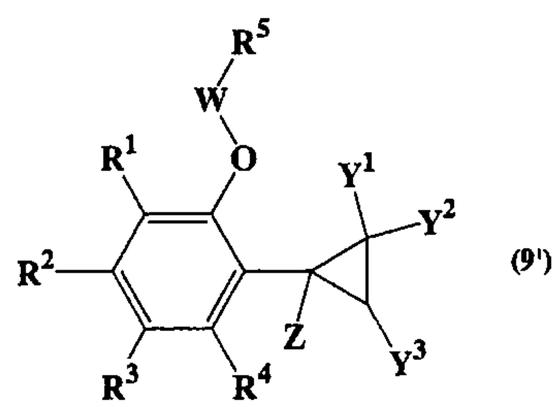
R^2, R^3 and R^4 are hydrogen atoms,

Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms, and

X is a chlorine atom or bromine atom.

19. A compound or salt thereof represented by the following general formula (9'):



(9')

[wherein R^1, R^2, R^3, R^4, R^5, W, Z, Y^1, Y^2 and Y^3 are the same as defined in claim 1, provided that R^1 is neither a hydrogen atom nor acetoxy group].

20. The compound or salt thereof according to claim 19, wherein R^1 is a halogen atom or C_1-C_6 alkyl group,

R^2, R^3 and R^4 are hydrogen atoms,

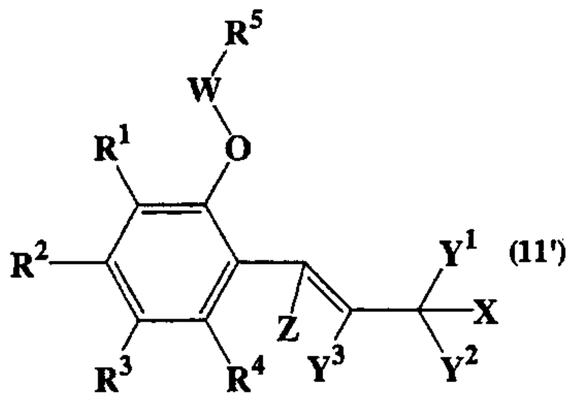
Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms,

R^5 is a C_1-C_6 alkyl group, and

W is a CO group.

21. A compound or salt thereof represented by general formula (11'):



(11')

[wherein R^1, R^2, R^3, R^4, R^5, W, X, Z, Y^1, Y^2 and Y^3 are the same as defined in claim 1, provided that R^1 is neither a hydrogen atom nor acetoxy group, and X is not a fluorine atom].

22. The compound or salt thereof according to claim 21, wherein R^1, R^2, R^3 and R^4 are hydrogen atoms, halogen atoms or C_1-C_6 alkyl groups,

Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms,

R^5 is a C_1-C_6 alkyl group,

W is a CO group, and

X is a chlorine atom, bromine atom or iodine atom,

provided that R^1 is not hydrogen atom.

23. The compound or salt thereof according to claim 21, wherein R^1 is a halogen atom or C_1-C_6 alkyl group,

R^2, R^3 and R^4 are hydrogen atoms,

Z is a hydrogen atom,

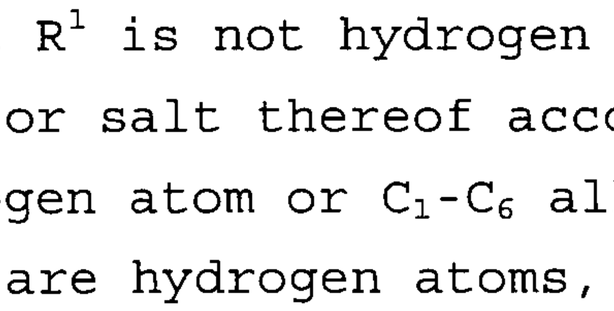
Y^1, Y^2 and Y^3 are hydrogen atoms,

R^5 is a C_1-C_6 alkyl group,

W is a CO group, and

X is a chlorine atom or bromine atom.

24. A compound or salt thereof represented by general formula (11"):



(11")

[wherein R^1, R^2, R^3, R^4, X, Z and Y^3 are the same as defined in claim 1, and Y^1 and Y^2 represent hydrogen atoms, provided that X is not a fluorine atom].

25. The compound or salt thereof according to claim 24, wherein R^1, R^2, R^3 and R^4 are hydrogen atoms, halogen atoms or C_1-C_6 alkyl groups,

Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms, and

X is a chlorine atom, bromine atom or iodine atom.

26. The compound or salt thereof according to claim 24, wherein R^1 is a halogen atom or C_1-C_6 alkyl group,

R^2, R^3 and R^4 are hydrogen atoms,

Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms, and

X is a chlorine atom or bromine atom.

27. The production process according to claim 3, wherein R^1 is a fluorine atom, chlorine atom, bromine atom or methyl group,

R^2, R^3 and R^4 are hydrogen atoms,

Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms,

R^5 is a methyl group,

W is a CO group,

X is a bromine atom,

M^1 is magnesium chloride, and

M^2 is magnesium.

28. The compound according to claim 11, wherein R^1 is a fluorine atom, chlorine atom, bromine atom or methyl group,

R^2, R^3 and R^4 are hydrogen atoms,

Z is a hydrogen atom, and

Y^1, Y^2 and Y^3 are hydrogen atoms.

29. The compound according to claim 13, wherein R^1 is a fluorine atom, chlorine atom, bromine atom or methyl group,

R^2, R^3 and R^4 are hydrogen atoms,

Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms,

R^5 is a methyl group,

W is a CO group, and

X is a bromine atom.

30. The compound according to claim 16, wherein R^1 is a fluorine atom, chlorine atom, bromine atom or methyl group,

R^2, R^3 and R^4 are hydrogen atoms,

Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms, and

X is a bromine atom.

31. The compound according to claim 19, wherein R^1 is a fluorine atom, chlorine atom, bromine atom or methyl group,

R^2, R^3 and R^4 are hydrogen atoms,

Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms,

R^5 is a methyl group, and

W is a CO group.

32. The compound according to claim 21, wherein R^1 is a fluorine atom, chlorine atom, bromine atom or methyl group,

R^2, R^3 and R^4 are hydrogen atoms,

Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms,

R^5 is a methyl group,

W is a CO group, and

X is a bromine atom.

33. The compound according to claim 24, wherein R^1 is a fluorine atom, chlorine atom, bromine atom or methyl group,

R^2, R^3 and R^4 are hydrogen atoms,

Z is a hydrogen atom,

Y^1, Y^2 and Y^3 are hydrogen atoms, and

X is a bromine atom.

34. 2-(1-hydroxyl-2-propenyl)-6-methylphenol.

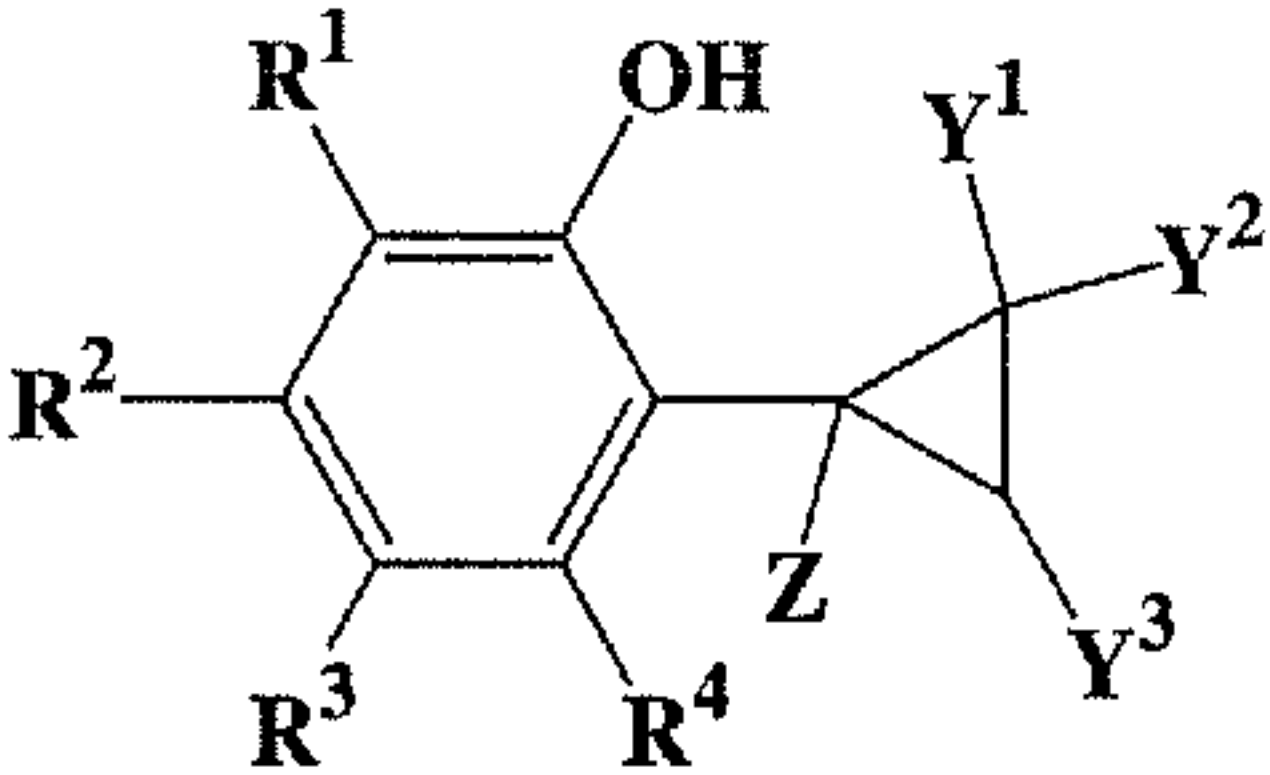
35. 2-(1,3-dibromopropyl)-6-methylphenyl acetate.

36. 2-(1,3-dibromopropyl)-6-methylphenol.

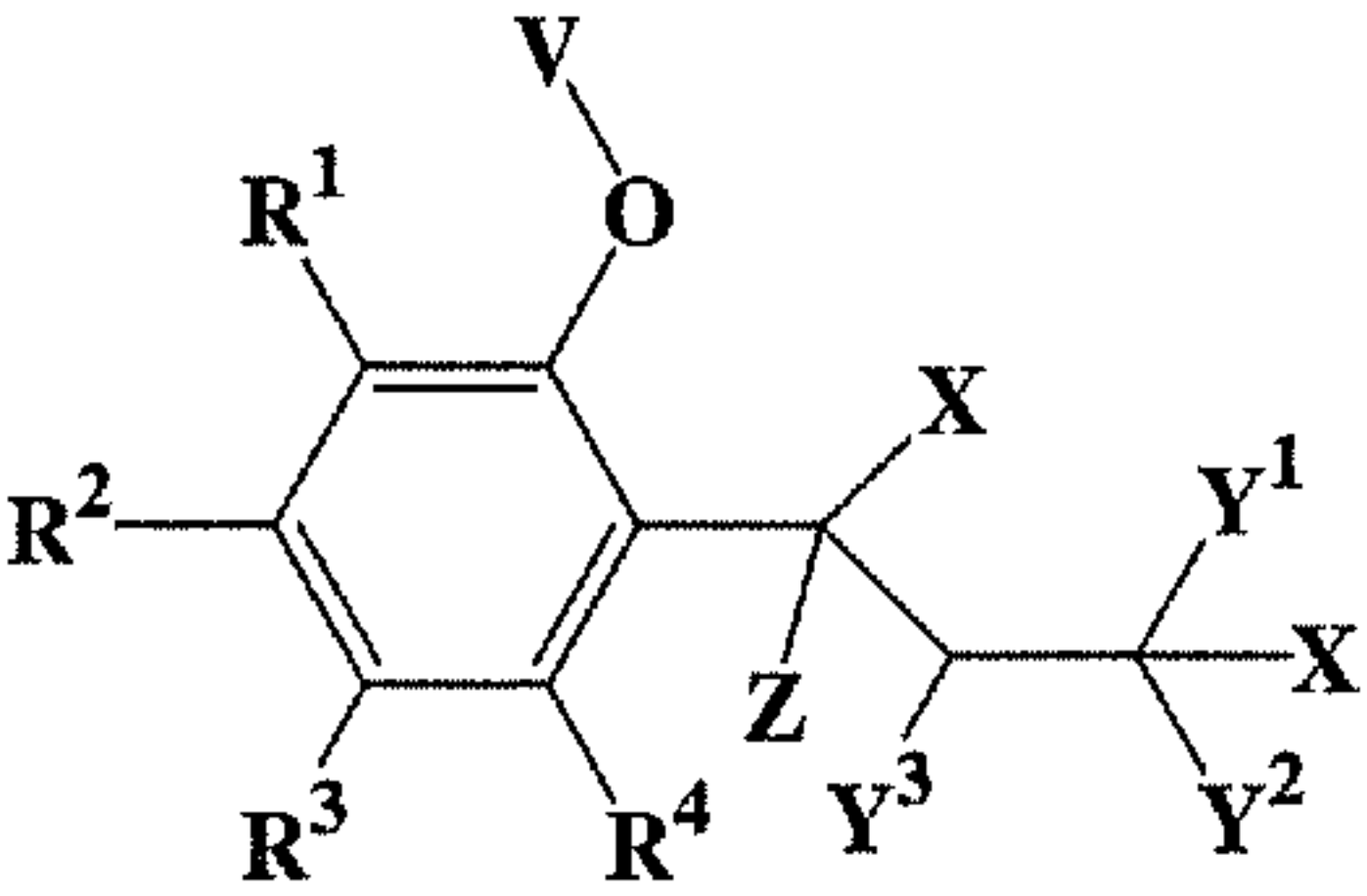
37. 2-cyclopropyl-6-methylphenyl acetate.

38. 2-(3-bromo-1-propenyl)-6-methylphenyl acetate.

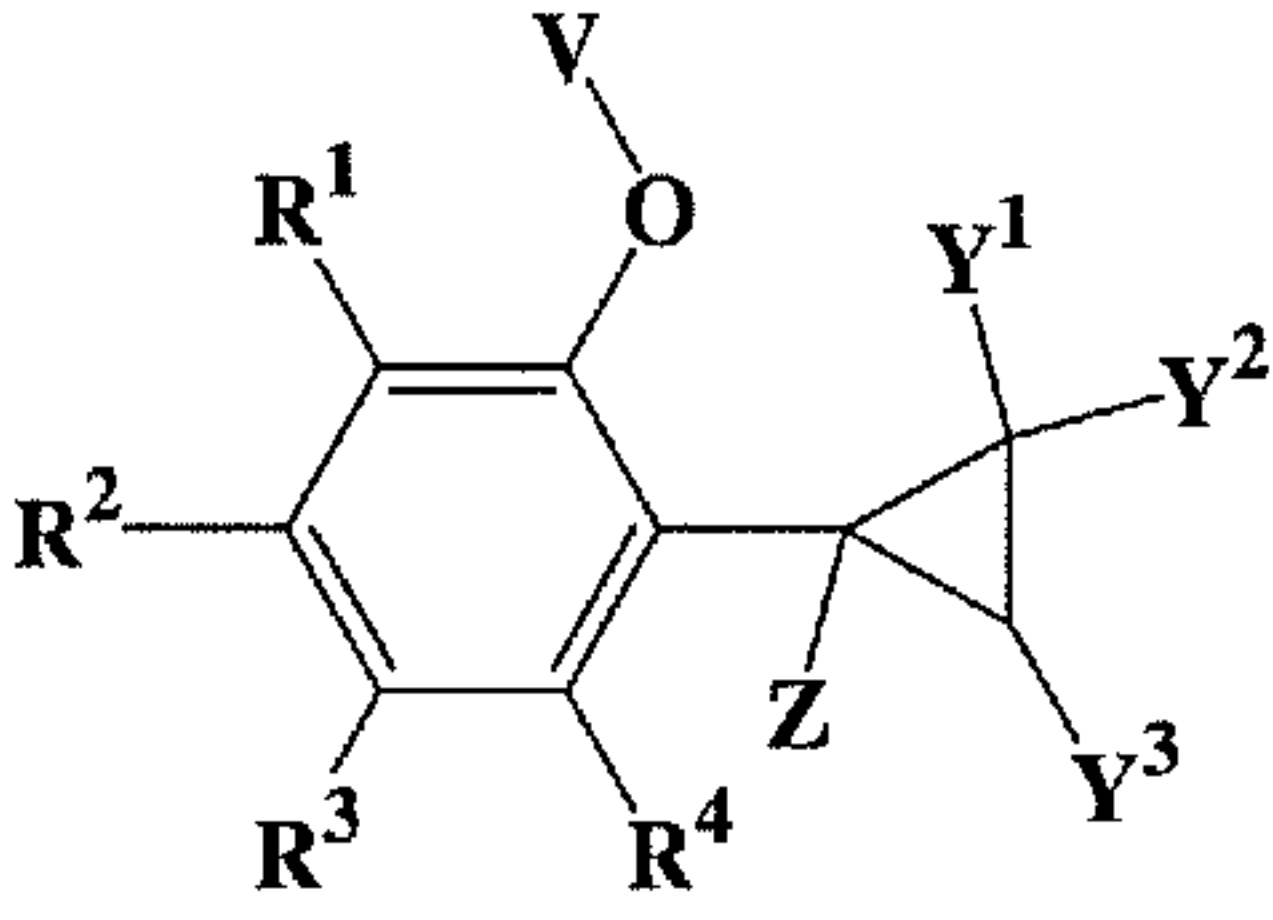
39. 2-(3-bromo-1-propenyl)-6-methylphenol.



(10)



(7)



(9)