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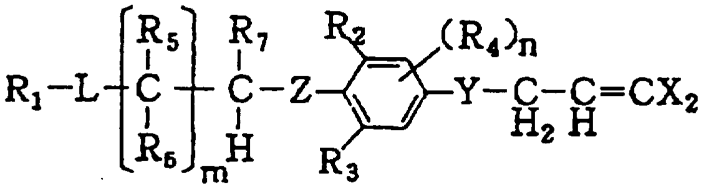
(54) Title: DIHALOPROPENE COMPOUNDS, INSECTICIDES CONTAINING THEM AS ACTIVE INGREDIENTS, AND INTERMEDIATES FOR THEIR PRODUCTION

(57) Abstract



(I)

The present invention provides dihalopropene compounds of general formula (I), wherein R_1 is C_1-C_{10} alkyl or the like; L is C(=O)NH or the like; R_2, R_3 and R_4 are independently halogen or the like; R_5, R_6 and R_7 are independently hydrogen or the like; m is an integer of 0 to 4; n is an integer of 0 to 2; X is chlorine or the like; Y is oxygen or the like; and Z is oxygen or the like, which have excellent insecticidal activity so that they are satisfactorily effective for the control of noxious insects.

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DESCRIPTION

DIHALOPROPENE COMPOUNDS, INSECTICIDES CONTAINING THEM AS ACTIVE INGREDIENTS, AND INTERMEDIATES FOR THEIR PRODUCTION

Technical Field

The present invention relates to dihalopropene compounds, insecticides containing them as active ingredients, and intermediates for their production.

Background Art

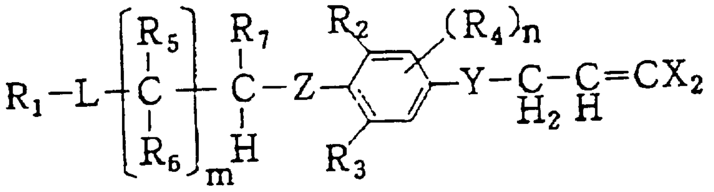
As disclosed in JP-A 48-86835/1973 and JP-A 49-1526/1974, for example, it is well known that some kinds of propene compounds can be used as active ingredients of insecticides.

In view of their insecticidal activity, however, it cannot always be said that these compounds are satisfactorily effective for the control of noxious insects.

Disclosure of Invention

The present inventors have intensively studied to find a compound having excellent insecticidal activity. As a result, they have found that particular dihalopropene compounds have satisfactory insecticidal activity for the control of noxious insects, thereby completing the present invention.

That is, the present invention provides dihalopropene compounds of the general formula:



[1]

wherein m is an integer of 0 to 4;

n is an integer of 0 to 2;

X's are independently chlorine or bromine;

Y is oxygen, NH or sulfur; and

Z is oxygen, sulfur or NR_{15} in which R_{15} is hydrogen or C_1-C_3 alkyl;

R_2, R_3 and R_4 are independently halogen, C_1-C_3 haloalkyl or C_1-C_3 alkyl;

R_5, R_6 and R_7 are independently hydrogen, C_1-C_3 alkyl or trifluoromethyl;

L is C=W, $C(=W)NR_{13}$, $NR_{13}C(=W)$, SO_2NR_{13}, $NR_{13}SO_2$, $NR_{13}C(=W_1)$-W, $WC(=W_1)NR_{13}$ or $NR_{14}C(=W)NR_{13}$ in which W and W_1 are independently oxygen or sulfur, and R_{13} and R_{14} are independently hydrogen, C_1-C_{10} alkyl, C_1-C_5 haloalkyl, C_2-C_{10} alkenyl, C_2-C_6 haloalkenyl, C_3-C_9 alkynyl or C_3-C_5 haloalkynyl;

R_1 is C_1-C_{10} alkyl, C_1-C_5 haloalkyl, C_2-C_{10} alkenyl, C_2-C_6 haloalkenyl, C_3-C_9 alkynyl, C_3-C_5 haloalkynyl, C_2-C_7 alkoxyalkyl, C_2-C_7 alkylthioalkyl,

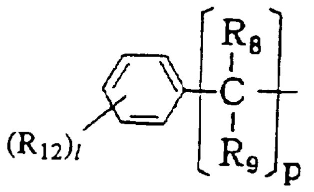
C_3-C_6 cycloalkyl optionally substituted with C_1-C_4 alkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy,

C_4-C_9 cycloalkylalkyl optionally substituted with C_1-C_4 alkyl,

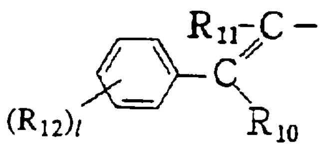
C_5-C_6 cycloalkenyl optionally substituted with C_1-C_4 alkyl,

C_6-C_8 cycloalkenylalkyl optionally substituted with C_1-C_4 alkyl,

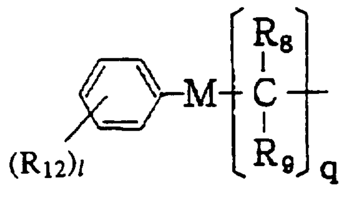
an optionally substituted heterocyclic group, Q_1, Q_2, Q_3 or Q_4 of the general formula:



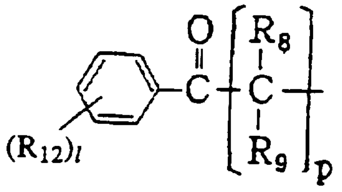
Q_1



Q_2



Q$_3$



Q$_4$

[II]

in which M is oxygen, NH or sulfur,

l is an integer of 0 to 5,

p is an integer of 0 to 5, and

q is an integer of 1 to 5;

R_{12} is halogen, cyano, nitro, pentafluorosulfanyl (F_5S), C_1-C_8 alkyl, C_1-C_3 haloalkyl, C_1-C_7 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, C_3-C_6 alkenyloxy, C_3-C_6 haloalkenyloxy, C_1-C_3 hydroxyalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_2-C_4 alkynyloxy, C_2-C_4 haloalkynyl, C_2-C_4 haloalkynyloxy, C_2-C_4 alkoxyalkyl, C_2-C_4 alkylthioalkyl, C_3-C_6 cycloalkyl, C_5-C_6 cycloalkenyl, C_2-C_5 alkoxycarbonyl, C_3-C_6 cycloalkyloxy, C_5-C_6 cycloalkenyloxy,

phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy or C_1-C_3 haloalkoxy,

phenoxy optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy or C_1-C_3 haloalkoxy,

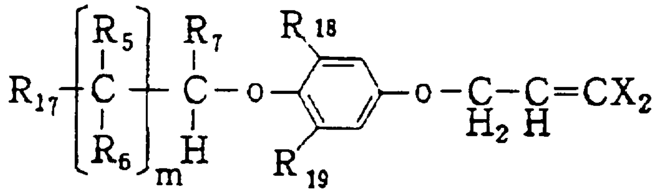
benzyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy or C_1-C_3 haloalkoxy,

benzyloxy optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy or C_1-C_3 haloalkoxy;

or when *l* is an integer of 2 to 5, adjacent two R_{12} are combined together at their ends to form trimethylene or tetramethylene, methylenedioxy optionally substituted with halogen or C_1-C_3 alkyl, or ethylenedioxy optionally substituted with halogen or C_1-C_3 alkyl; and

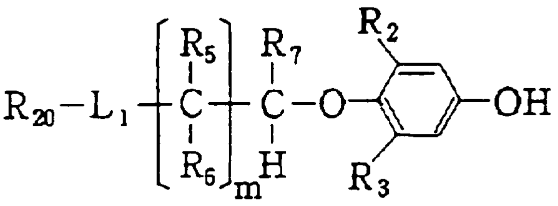
R_8, R_9, R_{10} and R_{11} are independently hydrogen, C_1-C_3 alkyl or trifluoromethyl.

The present invention further provides compounds of the general formula:



[III]

which are useful as intermediates for the production of some of the present compounds, wherein X's are independently chlorine or bromine; R_{18} and R_{19} are independently halogen or C_1-C_3 alkyl; R_{17} is amino or carboxyl; R_5, R_6 and R_7 are independently hydrogen, C_1-C_3 alkyl or trifluoromethyl; and m is an integer of 0 to 4. More particularly, the present invention provides phenol compounds of the general formula:



[IV]

wherein R_{20} is Q_1 as defined above, or 2-pyridyl, 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 3-pyridyl or 4-pyridyl, each of which may be optionally substituted with $(R_{16})_s$ in which R_{16} is halogen, nitro, cyano, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, C_1-C_2 alkylsulfinyl, C_1-C_2 alkylsulfonyl, C_1-C_2 haloalkylsulfinyl, C_1-C_2 haloalkylsulfonyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_2-C_4 haloalkynyl, amino, dimethylamino, acetamido, acetyl, haloacetyl, formyl, carboxyl, methoxycarbonyl, C_3-C_6 cycloalkyl, (C_1-C_2 alkyl)aminocarbonyl, [di(C_1-C_2 alkyl)amino]-carbonyl,

phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy,

benzyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy,

phenoxy optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy,

benzyloxy optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy,

or pyridyloxy optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy; and

s is an integer of 0 to 7;

R_2 and R_3 are independently halogen, C_1-C_3 haloalkyl or C_1-C_3 alkyl;

R_5, R_6 and R_7 are independently hydrogen, C_1-C_3 alkyl or trifluoromethyl;

L_1 is C=W, C(=W)NR_{131} or SO_2NR_{131} in which W is oxygen or sulfur, and R_{131} is hydrogen or C_1-C_3 alkyl.

As more specific examples of these phenol compounds, the present invention provides phenol compounds wherein R_{20} is Q_1 in which p = 0 or R_1 as defined above in which the 5- or 6-membered heterocyclic group is 2-pyridyl, 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 3-pyridyl or 4-pyridyl; and

phenol compounds wherein R_{20} is Q_1 in which p = 0 or R_1 as defined above in which the 5- or 6-membered heterocyclic group is 2-pyridyl, 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 3-pyridyl or 4-pyridyl, and L_1 is $C(=W)NR_{131}$ or SO_2NR_{131}; and

phenol compounds, wherein R_{20} is Q_1 in which p = 0 or R_1 as defined above in which the 5- or 6-membered heterocyclic group is 2-pyridyl, 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 3-pyridyl or 4-pyridyl; R_2 and R_3 are halogen or C_1-C_3 alkyl; and L_1 is $C(=W)NR_{131}$ or SO_2NR_{131}; and the following compounds:

3,5-dichloro-4-(3-(N-(4-trifluoromethylphenyl)carbamoyl)propyloxy)phenol;

3,5-dichloro-4-(4-(N-(4-trifluoromethylphenyl)carbamoyl)butyloxy)phenol;

3,5-dichloro-4-(3-(N-(5-trifluoromethyl-2-pyridyl)carbamoyl)propyloxy)-phenol; and

3,5-dichloro-4-(4-(N-(5-trifluoromethyl-2-pyridyl)carbamoyl)butyloxy)-phenol.

Detailed Description of the Invention

The variables in the above formulas for the present compounds and their intermediates can take the following specific examples.

Examples of the substituent on the optionally substituted heterocyclic group represented by R_1 are those of the formula: $(R_{16})_s$ in which R_{16} is halogen, nitro, cyano, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, C_1-C_2 alkylsulfinyl, C_1-C_2 alkylsulfonyl, C_1-C_2 haloalkylsulfinyl, C_1-C_2

haloalkylsulfonyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_2-C_4 haloalkynyl, amino, dimethylamino, acetamido, acetyl, haloacetyl, formyl, carboxyl, methoxycarbonyl, C_3-C_6 cycloalkyl, (C_1-C_2 alkyl)aminocarbonyl, [di(C_1-C_2 alkyl)-amino]carbonyl,

phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy,

benzyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy,

phenoxy optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy,

benzyloxy optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy,

or pyridyloxy optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy; and

s is an integer of 0 to 7.

Examples of the halogen atom represented by R_2, R_3, R_4, R_{12}, R_{16}, R_{18} or R_{19}, or present in R_{12} or R_{16}, are fluorine, chlorine, bromine and iodine.

Examples of the C_1-C_{10} alkyl group represented by R_1, R_{13} or R_{14} are methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, isopentyl, neopentyl, tert-pentyl, n-hexyl, n-heptyl, isohexyl, n-octyl, n-nonyl, n-decyl, 1-ethylpropyl, 2-ethylbutyl, 1-methylpentyl, 1-ethylbutyl, 3-methylpentyl, 1,3-dimethylbutyl, 1-methylheptyl and 1-methyloctyl.

Examples of the C_1-C_3 alkyl group represented by R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11}, R_{15}, R_{18} or R_{19} are methyl, ethyl, n-propyl and isopropyl.

Examples of the C_1-C_8 alkyl group represented by R_{12} are methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, isopentyl, neopentyl, tert-pentyl, 1-ethylpentyl, n-hexyl, isohexyl, 2-ethylbutyl, 1-methylpentyl, 1-ethylbutyl, 3-methylpentyl, 1,3-dimethylbutyl, n-heptyl, n-octyl and 1-methylheptyl.

Examples of the C_1-C_2 alkyl group present in R_{16} are methyl and ethyl.

Examples of the C_1-C_5 haloalkyl group represented by R_1, R_{13} or R_{14} are trifluoromethyl, difluoromethyl, bromodifluoromethyl, 2,2,2-trifluoroethyl, perfluoroethyl, 2-fluoroethyl, 2-chloroethyl, 2-bromoethyl, 2-iodoethyl, 2,2-dichloroethyl, 2-bromo-1,1,2,2-tetrafluoroethyl, 1,1,2,2-tetrafluoroethyl, 2-chloro-1,1,2-trifluoroethyl, 2-bromo-1,1,2-trifluoroethyl, 2,2,2-trichloroethyl, 2,2,2-tribromoethyl, 3-chloropropyl, 3-bromopropyl, 3-fluoropropyl, 3-iodopropyl, 3,3,3-trifluoropropyl, 2,2,3,3,3-pentafluoropropyl, 1,1,2,3,3,3-hexafluoropropyl, 2-chloropropyl, 1-chloro-1-methylethyl, 1-bromo-1-methylethyl, 2-fluoro-1-(fluoromethyl)ethyl, 2-chloro-1-(chloromethyl)ethyl, 2-bromo-1-(bromomethyl)ethyl, 2,2,2-trifluoro-1-(trifluoromethyl)ethyl, 2,3-dibromopropyl, 4-fluorobutyl, 4-bromobutyl, 4-chlorobutyl, 4-iodobutyl, 2-(bromomethyl)-propyl, 3-chloro-2,2-dimethyl-n-propyl, 3-bromo-2,2-dimethylpropyl, 2,2,3,4,4,4-hexafluorobutyl, 3-bromo-(1-bromomethyl)propyl and 2,2,3,3,4,4,5,5-octafluoropentyl.

Examples of the C_1-C_3 haloalkyl group represented by R_2, R_3, R_4, R_{12} or R_{16}, or present in R_{12} or R_{16}, are trifluoromethyl, difluoromethyl, bromodifluoromethyl, 2,2,2-trifluoroethyl, 2-fluoroethyl, 2-chloroethyl, 2-bromoethyl, 1-fluoroethyl, 1-chloroethyl, 1-bromoethyl, 2,2,3,3,3-pentafluoropropyl, 3,3,3-trifluoropropyl, 1-fluoropropyl, 2-chloropropyl and 3-bromopropyl.

Examples of the C_2-C_{10} alkenyl group represented by R_1, R_{13} or R_{14} are vinyl, allyl, homoallyl, isopropenyl, 2-butenyl, 1-methyl-2-propenyl, prenyl, 3-methyl-

3-butenyl, 1-ethyl-2-propenyl, 2-ethyl-2-propenyl, 2-pentenyl, 2-methyl-2-butenyl, 1-methyl-2-butenyl, 2-methyl-3-butenyl, 4-pentenyl, 1-methyl-3-butenyl, 1-ethyl-2-propenyl, 1-propyl-2-propenyl, 3-hexenyl, 2-isopropyl-2-propenyl, 2-ethyl-2-butenyl, 2-methyl-2-pentenyl, 1-ethyl-2-butenyl, 1-methyl-4-pentenyl, 1,3-dimethyl-2-butenyl, 2-hexenyl, 4-hexenyl, 5-hexenyl, 1-n-propyl-2-propenyl, 1-allyl-3-butenyl, 2-heptenyl, 1,5-dimethyl-4-hexenyl, 1-pentyl-2-propenyl, 1,7-dimethyl-6-octenyl and geranyl.

Examples of the C_2-C_6 haloalkenyl group represented by R_1, R_{13} or R_{14} are 2-chloroethenyl, 2,2-dichloroethenyl, 3-chloro-2-propenyl, 3-bromo-2-propenyl, 2-chloro-2-propenyl, 2-bromo-2-propenyl, 3,3-dichloro-2-propenyl, 3,3-dibromo-2-propenyl, 3,3-difluoro-2-propenyl, 2-chloromethyl-2-propenyl, 4-chloro-2-butenyl, 4-chloro-2-butenyl, 3-chloro-4,4,4-trifluoro-2-butenyl, 4-bromo-3-fluoro-4,4-difluoro-2-butenyl, 3,4,4,4-tetrafluoro-2-butenyl, 4,4-dichloro-3-butenyl, 4,4-dibromo-3-butenyl, 4,4,4-trifluoro-3-butenyl, 3-chloro-2-butenyl and 6,6-dichloro-5-hexenyl.

Examples of the C_2-C_4 alkenyl group represented by R_{12} or R_{16} are vinyl, isopropenyl, 1-propenyl, 2-ethyl-1-propenyl, 1-methyl-1-propenyl, allyl, 2-methylpropenyl and 2-butenyl.

Examples of the C_2-C_4 haloalkenyl group represented by R_{12} or R_{16} are 2,2-dichloroethenyl, 2,2-dibromoethenyl, 3,3-dichloroallyl, 3,3-dibromoallyl, 2,3-dichloroallyl, 2,3-dibromoallyl, 2-chloro-2-propenyl, 3-chloro-2-propenyl, 2-bromo-2-propenyl and 3-chloro-2-butenyl.

Examples of the C_3-C_9 alkynyl group represented by R_1, R_{13} or R_{14} are 2-propynyl, 1-methyl-2-propynyl, 2-butynyl, 3-butynyl, 2-methyl-3-butynyl, 1-methyl-3-butynyl, 2-pentynyl, 4-pentynyl, 3-pentynyl, 1-ethyl-2-propynyl, 2-hexynyl, 3-hexynyl, 5-hexynyl, 1-pentyl-2-propynyl and 3-nonynyl.

Examples of the C_3-C_5 haloalkynyl group represented by R_1, R_{13} or R_{14} are 3-chloro-2-propynyl, 3-bromo-2-propynyl, 4-chloro-2-butynyl, 3-chloro-1-methyl-2-propynyl, 3-bromo-1-methyl-2-propynyl, 4-chloro-3-butynyl, 4-bromo-3-butynyl, 4-chloro-2-methyl-3-butynyl, 4-bromo-2-methyl-3-butynyl, 1-methyl-4-chloro-3-butynyl, 1-methyl-4-bromo-3-butynyl, 5-chloro-4-pentynyl, 5-bromo-4-pentynyl, 1-ethyl-3-chloro-2-propynyl and 1-ethyl-3-bromo-2-propynyl.

Examples of the C_2-C_4 alkynyl group represented by R_{12} or R_{16} are ethynyl, 1-propynyl, 2-propynyl and 1-methyl-2-propynyl.

Examples of the C_2-C_4 haloalkynyl group represented by R_{12} or R_{16} are chloroethynyl, bromoethynyl, iodoethynyl, 3-chloro-2-propynyl, 3-bromo-2-propynyl, 3-iodo-2-propynyl, 1-methyl-3-chloro-2-propynyl, 1-methyl-3-bromo-2-propynyl and 1-methyl-3-iodo-2-propynyl.

Examples of the C_2-C_4 alkynyloxy group represented by R_{12} are ethynyloxy, 1-propynyloxy, 2-propynyloxy and 1-methyl-2-propynyloxy.

Examples of the C_2-C_4 haloalkynyloxy group represented by R_{12} are chloroethynyloxy, 3-chloro-2-propynyloxy, 3-bromo-2-propynyloxy, 1-methyl-3-chloro-2-propynyloxy and 1-methyl-3-bromo-2-propynyloxy.

Examples of the C_2-C_7 alkoxyalkyl group represented by R_1 are methoxymethyl, 2-methoxyethyl, ethoxymethyl, isopropoxymethyl, 2-propoxymethyl, 1-methoxyethyl, 2-ethoxyethyl, 1-ethoxyethyl, 3-methoxypropyl, 2-methoxypropyl, 1-methoxypropyl, 2-methoxy-1-methylethyl, 2-propoxyethyl, 2-ethoxypropyl, 2-ethoxy-1-methylethyl, 2-methoxybutyl, 2-methoxy-1-ethylethyl, 3-ethoxypropyl, 3-methoxy-n-butyl, 3-methoxy-2-methylpropyl, 3-methoxy-1-methylpropyl, 2-isopropoxyethyl, 3-methoxybutyl, 3-methyl-3-methoxybutyl, 2-butoxyethyl and 2-butoxy-1-methylethyl.

Examples of the C_2-C_4 alkoxyalkyl group represented by R_{12} are methoxymethyl, ethoxymethyl, n-propoxymethyl, isopropoxymethyl, 2-methoxyethyl, 1-methoxyethyl, 2-ethoxyethyl, 1-ethoxyethyl, 3-methoxypropyl, 2-methoxypropyl, 1-methoxypropyl and 2-methoxy-1-methylethyl.

Examples of the C_2-C_7 alkylthioalkyl group represented by R_1 are methylthiomethyl, ethylthiomethyl, 2-methylthioethyl, 1-methylthioethyl, propylthiomethyl, isopropylthiomethyl, 2-ethylthioethyl, 1-ethylthioethyl, 3-(methylthio)propyl, 2-(methylthio)propyl, 1-(methylthio)propyl, 1-methyl-2-methylthioethyl, 2-isopropylthioethyl, 2-(propylthio)ethyl, 2-methylthio-1-methylpropyl, 2-(methylthio)butyl, 1-ethyl-2-methylthioethyl, 2-(ethylthio)propyl, 2-ethylthio-1-methylethyl, 3-(ethylthio)propyl, 3-(methylthio)butyl, 2-methyl-3-(methylthio)propyl, 1-methyl-3-(methylthio)propyl, 2-tert-butylthioethyl, 2-isobutylthioethyl, 2-sec-butylthioethyl, 3-(tert-butylthio)propyl, 3-(isobutylthio)propyl and 3-(sec-butylthio)propyl.

Examples of the C_2-C_4 alkylthioalkyl group represented by R_{12} are methylthiomethyl, ethylthiomethyl, propylthiomethyl, isopropylthiomethyl, 2-methylthioethyl, 1-methylthioethyl, 2-ethylthioethyl, 1-ethylthioethyl, 3-methylthiopropyl, 2-methylthiopropyl, 1-methylthiopropyl and 2-methylthio-1-methylethyl.

Examples of the C_3-C_6 cycloalkyl group optionally substituted with C_1-C_4 alkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy, which is represented by R_1, are cyclopropyl, cyclobutyl, 2-methoxycyclopentyl, 2-ethoxycyclopentyl, 2-propoxycyclopentyl, 2-isopropoxycyclopentyl, 2-butoxycyclopentyl, 2-isobutoxycyclopentyl, 2-sec-butoxycyclopentyl, 2-tert-butoxycyclopentyl, cyclopentyl, 3-methylcyclopentyl, 2-methylcyclopentyl, 3-methoxycyclohexyl, 3-ethoxycyclohexyl, 3-propoxycyclohexyl, 3-isopropoxycyclohexyl, 3-butoxycyclohexyl, 3-isobutoxycyclohexyl, 3-sec-butoxycyclohexyl, 3-tert-butoxycyclohexyl, 4-methoxycyclohexyl, 4-ethoxycyclohexyl, 4-propoxycyclohexyl, 4-isopropoxycyclohexyl, 4-butoxycyclohexyl, 4-isobutoxycyclohexyl, 4-sec-butoxycyclohexyl and 4-tert-butoxycyclohexyl.

Examples of the C_4-C_9 cycloalkylalkyl group optionally substituted with C_1-C_4 alkyl, which is represented by R_1, are cyclopropylmethyl, cyclobutylmethyl, 1-cyclopropylethyl, 2-methylcyclopropanemethyl, 2-(2-methylcyclopropyl)ethyl, cyclopentylmethyl, cyclohexylmethyl, 2-cyclohexylethyl, 3-cyclopentylpropyl and 3-cyclohexylpropyl.

Examples of the C_5-C_6 cycloalkenyl group optionally substituted with C_1-C_4 alkyl, which is represented by R_1, are 2-cyclohexenyl, 3,5,5-trimethyl-2-cyclohexenyl, 3-methyl-2-cyclohexenyl, 3-cyclohexenyl, 2-cyclopentenyl and 3-cyclopentenyl.

Examples of the C_6-C_8 cycloalkenylalkyl group optionally substituted with C_1-C_4 alkyl, which is represented by R_1, are (1-cyclopentenyl)methyl, (3-cyclohexenyl)-methyl and 2-(3-cyclohexenyl)ethyl.

Examples of the C_3-C_6 cycloalkyl group represented by R_{12} or R_{16} are cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

Examples of the C_5-C_6 cycloalkenyl group represented by R_{12} are 1-cyclopentenyl, 2-cyclopentenyl, 3-cyclopentenyl, 1-cyclohexenyl, 2-cyclohexenyl and 3-cyclohexenyl.

Examples of the C_3-C_6 cycloalkyloxy group represented by R_{12} are cyclopropyloxy, cyclobutyloxy, cyclopentyloxy and cyclohexyloxy.

Examples of the C_5-C_6 cycloalkenyloxy group represented by R_{12} are 1-cyclopentenyloxy, 2-cyclopentenyloxy, 3-cyclopentenyloxy, 1-cyclohexenyloxy, 2-cyclohexenyloxy and 3-cyclohexenyloxy.

Examples of the C_1-C_3 alkoxy group present in R_1 or R_{12} are methoxy, ethoxy, n-propoxy and isopropoxy.

Examples of the C_1-C_7 alkoxy group represented by R_{12} are methoxy, ethoxy, 2-propoxy, isopropoxy, n-butoxy, sec-butoxy, isobutoxy, tert-butoxy, n-pentyloxy, isopentyloxy, neopentyloxy, tert-pentyloxy, (1-ethylpropyl)oxy, n-hexyloxy and n-heptyloxy.

Examples of the C_1-C_3 haloalkoxy group represented by R_{12} or R_{16}, or present in R_{12} or R_{16}, are trifluoromethoxy, difluoromethoxy, bromodifluoromethoxy, 2-fluoroethoxy, 2,2,2-trifluoroethoxy, 2-chloroethoxy, 2-bromoethoxy, 2-chloro-1,1,2-trifluoroethoxy, 2-bromo-1,1,2-trifluoroethoxy, 1,1,2,2-tetrafluoroethoxy, 1,2,2,3,3,3-hexafluoropropoxy, 3-fluoro-n-propoxy, 3-chloropropoxy, 3-bromopropoxy, 2,2,3,3,3-pentafluoropropoxy, 3,3,3-trifluoropropoxy and 1,1,2,2,2-pentafluoroethoxy.

Examples of the C_1-C_3 alkylthio group represented by R_{12} or R_{16} are methylthio, ethylthio, n-propylthio and isopropylthio.

Examples of the C_1-C_3 haloalkylthio group represented by R_{12} or R_{16} are trifluoromethylthio, difluoromethylthio, bromodifluoromethylthio, 2,2,2-trifluoroethylthio, 2-chloro-1,1,2-trifluoroethylthio, 2-bromo-1,1,2-trifluoroethylthio, 1,1,2,2-tetrafluoroethylthio, 2-chloroethylthio, 2-fluoroethylthio, 2-bromoethylthio, 3-fluoropropylthio, 3-chloro-n-propylthio, (3-bromopropyl)thio, 2,2,3,3,3-pentafluoropropylthio and 3,3,3-trifluoropropylthio.

Examples of the C_3-C_6 alkenylthio group represented by R_{12} are allyloxy, 2-methylallyloxy, 2-butenyloxy, 3-methyl-2-butenyloxy, 2-methyl-2-butenyloxy, 2-pentenyloxy and 2-hexenyloxy.

Examples of the C_3-C_6 haloalkenyloxy group represented by R_{12} are

3,3-dichloroallyloxy, 3,3-dibromoallyloxy, 2,3-dichloroallyloxy, 2,3-dibromoallyloxy, 2-chloro-2-propenyloxy, 3-chloro-2-propenyloxy, 2-bromo-2-propenyloxy and 3-chloro-2-butenyloxy.

Examples of the C_1-C_3 hydroxyalkyl group represented by R_{12} are hydroxymethyl, 2-hydroxyethyl, 1-hydroxyethyl, 3-hydroxypropyl, 2-hydroxypropyl and 1-hydroxypropyl.

Examples of the C_2-C_5 alkoxycarbonyl group represented by R_{12} are methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl, isopropoxycarbonyl, n-butoxycarbonyl, isobutoxycarbonyl, sec-butoxycarbonyl and tert-butoxycarbonyl.

Examples of the C_1-C_4 alkyl group represented by R_{16}, or present in R_1, R_{12} or R_{16}, are methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl and tert-butyl.

Examples of the C_1-C_4 alkoxy group represented by R_{16}, or present in R_{16}, are methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, sec-butoxy, isobutoxy and tert-butoxy.

Examples of the C_1-C_2 alkylsulfinyl group represented by R_{16} are methylsulfinyl and ethylsulfinyl.

Examples of the C_1-C_2 alkylsulfonyl group represented by R_{16} are methylsulfonyl and ethylsulfonyl.

Examples of the C_1-C_2 haloalkylsulfinyl group represented by R_{16} are trifluoromethylsulfinyl, 2,2,2-trifluoroethylsulfinyl and perfluoroethylsulfinyl.

Examples of the C_1-C_2 haloalkylsulfonyl group represented by R_{16} are trifluoromethylsulfonyl, 2,2,2-trifluoroethylsulfonyl and perfluoroethylsulfonyl.

Examples of the (C_1-C_2 alkyl)aminocarbonyl group represented by R_{16} are methylaminocarbonyl and ethylaminocarbonyl.

Examples of the [di(C_1-C_2 alkyl)amino]carbonyl group represented by R_{16} are dimethylaminocarbonyl, N-methyl-N-ethylaminocarbonyl and diethylaminocarbonyl.

Examples of the heterocyclic ring in the optionally substituted heterocyclic group represented by R_1 are hexamethylenimine, heptamethylenimine, 5- and 6-membered heterocyclic rings containing at least one oxygen, sulfur or nitrogen atom. Specific examples thereof include isoxazole, isothiazole, thiazole, 1,3,4-thiadiazole, pyrrole, furan, thiophene, pyrazole, imidazole, 1,2,3-triazole, 1,2,4-triazole, 1,2,3,4-tetrazole, pyridine, pyridazine, pyrimidine, pyrazine, 1,2,4-triazine, 1,3,5-triazine, indole, benzodioxane, pyrrolidine, 2,3-dihydro-4H-pyran-4-one, chromone, morpholine, 2-pyrroline, 3-pyrroline, 1,2,3,6-tetrahydropyrrolidine, piperazine, thiomorpholine, thiazolidine, benzofuran, thianaphthalene, imidazole, benzimidazole, benzotriazole, benzisoxazole, benzoxazole, benzothiazole, quinoline, isoquinoline, quinoxaline, quinazole, piperidine, piperazine, tetrahydrofuran, tetrahydropyran and pyrazoline.

The following are preferred examples of the present compounds:

dihalopropene compounds wherein R_{13} and R_{14} are independently hydrogen or C_1-C_3 alkyl;

dihalopropene compounds wherein R_1 is C_1-C_{10} alkyl, C_1-C_5 haloalkyl, C_2-C_{10} alkenyl, C_2-C_6 haloalkenyl, C_3-C_9 alkynyl or C_3-C_5 haloalkynyl;

dihalopropene compounds wherein L is $C(=W)NR_{13}$;

dihalopropene compounds wherein L is $WC(=W_1)NR_{13}$;

dihalopropene compounds wherein R_1 is Q_1, Q_2, Q_3 or Q_4;

dihalopropene compounds wherein R_1 is Q_1;

dihalopropene compounds wherein R_1 is Q_1, and L is C=W, $C(=W)NR_{13}$ or

SO_2NR_{13};

dihalopropene compounds wherein R_1 is Q_1, and L is $C(=W)NR_{13}$ or SO_2NR_{13};

dihalopropene compounds wherein R_1 is Q_1, and L is $C(=W)NR_{13}$;

dihalopropene compounds wherein R_1 is Q_1 in which $p = 0$, and L is C=W, $C(=W)NR_{13}$ or SO_2NR_{13};

dihalopropene compounds wherein R_1 is Q_1 in which $p = 0$, and L is $C(=W)NR_{13}$ or SO_2NR_{13};

dihalopropene compounds wherein R_1 is Q_1 in which $p = 0$, and L is $C(=W)NR_{13}$;

dihalopropene compounds wherein R_2, R_3 and R_4 are independently halogen or C_1-C_3 alkyl;

dihalopropene compounds wherein R_2 and R_3 are both chlorine, and R_4 is hydrogen;

dihalopropene compounds wherein Y and Z are both oxygen;

dihalopropene compounds wherein R_5, R_6 and R_7 are independently hydrogen or C_1-C_3 alkyl; and

dihalopropene compounds wherein R_5, R_6 and R_7 are all hydrogen.

The following are other preferred examples of the present compounds:

dihalopropene compounds wherein R_1 is a 5- or 6-membered heterocyclic group containing at least one oxygen, sulfur or nitrogen atom and optionally substituted with $(R_{16})_s$; and more particularly,

dihalopropene compounds wherein the 5- or 6-membered heterocyclic group is 2-pyridyl, 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 3-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, 2-furyl or 3-furyl;

dihalopropene compounds wherein the 5- or 6-membered heterocyclic group is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 2-pyridyl, 3-pyridyl or 4-pyridyl, and L is C=W, C(=W)NR_{13} or SO_2NR_{13};

dihalopropene compounds wherein the 5- or 6-membered heterocyclic group is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 2-pyridyl, 3-pyridyl or 4-pyridyl, and L is C(=W)NR_{13} or SO_2NR_{13};

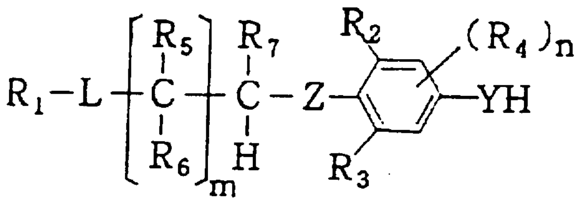
dihalopropene compounds wherein the 5- or 6-membered heterocyclic group is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 2-pyridyl, 3-pyridyl or 4-pyridyl, and L is C(=W)NR_{13}; and

dihalopropene compounds wherein the 5- or 6-membered heterocyclic group is 1-pyrrolidinyl or 1-piperidyl, and L is C=W.

The present compounds can be produced, for example, by the following production processes A–N.

(Production process A)

In this process, a compound of the general formula:



[V]

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, L, Y, Z, m and n are each as defined above, is reacted with a compound of the general formula:

$$L_2\text{-}CH_2CH{=}CX_2 \qquad [VI]$$

wherein X is as defined above, and L_2 is halogen (e.g., chlorine, bromine, iodine), mesyloxy or tosyloxy.

The reaction is preferably effected in an inert solvent in the presence of a suitable base.

Examples of the solvent which can be used are ketones such as acetone, methyl ethyl ketone and cyclohexanone; ethers such as 1,2-dimethoxyethane, tetrahydrofuran, dioxane and dialkyl (e.g., C_1-C_4) ether (e.g., diethyl ether, diisopropyl ether); N,N-dimethylformamide, dimethylsulfoxide, hexamethylphosphoric triamide, sulforane, acetonitrile, nitromethane; halogenated hydrocarbons such as dichloromethane, chloroform, 1,2-dichloroethane and chlorobenzene; hydrocarbons such as toluene, benzene and xylene; and water. If necessary, a mixture of these solvents can be used.

Examples of the base which can be used are hydroxides of alkali metals or alkaline earth metals, such as lithium hydroxide, sodium hydroxide, potassium hydroxide and calcium hydroxide; carbonates of alkali metals or alkaline earth metals, such as lithium carbonate, potassium carbonate, sodium carbonate and calcium carbonate; hydrides of alkali metals or alkaline earth metals, such as lithium hydride, sodium hydride, potassium hydride and calcium hydride; alkali metal alkoxides (e.g., C_1-C_4), such as sodium methoxide, sodium ethoxide and potassium tert-butoxide; and organic bases such as triethylamine and pyridine. If necessary, catalysts such as ammonium salts (e.g., benzyltriethylammonium chloride) may be added to the reaction system at a ratio of 0.01 to 1 mole per mole of the compound of general formula [V].

The reaction temperature is usually set within the range of –20°C to +150°C or the boiling point of a solvent used in the reaction, preferably –5°C to +100°C or the boiling point of a solvent used in the reaction.

The molar ratio of the starting materials and bases to be used in the reaction can be freely determined, but it is favorable to effect the reaction at an equimolar ratio or a ratio closer thereto.

After completion of the reaction, the reaction mixture is subjected to ordinary post-treatments such as organic solvent extraction and concentration, and the desired compound of the present invention can be isolated. Further, purification may be carried out, if necessary, by an ordinary technique such as chromatography, distillation or recrystallization.

(Production process B for the present compounds wherein Y is oxygen)

In this process, a compound of general formula [V] is reacted with an alcohol compound of the general formula:

$$HO\text{-}CH_2CH{=}CX_2 \qquad [VII]$$

wherein X is as defined above.

The reaction is preferably effected in the presence of a suitable dehydrating agent in an inert solvent, if necessary.

Examples of the dehydrating agent which can be used are dicyclohexylcarbodiimide, and dialkyl(e.g., C_1-C_4)azodicarboxylates (e.g., diethylazodicarboxylate, diisopropylazodicarboxylate)-trialkyl(e.g., C_1-C_{20})phosphine or triarylphosphine (e.g., triphenylphosphine, trioctylphosphine, tributylphosphine).

Examples of the solvent which can be used are hydrocarbons such as benzene, xylene and toluene; ethers such as diethyl ether, diisopropyl ether, tetrahydrofuran and dioxane; and halogenated hydrocarbons such as carbon tetrachloride, dichloromethane, chlorobenzene and dichlorobenzene.

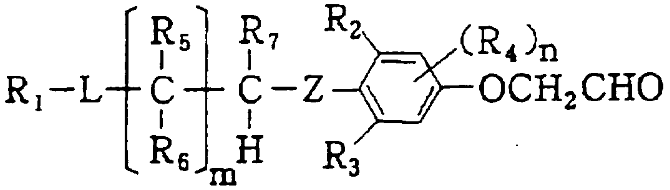
The reaction temperature is usually set within the range of –20°C to +200°C or the boiling point of a solvent used in the reaction.

The molar ratio of the starting materials and dehydrating agents to be used in the reaction can be freely determined, but it is favorable to effect the reaction at an equimolar ratio or a ratio closer thereto.

After completion of the reaction, the reaction mixture is subjected to ordinary post-treatments such as organic solvent extraction and concentration, and the desired compound of the present invention can be isolated. Further, purification may be carried out, if necessary, by an ordinary technique such as chromatography, distillation or recrystallization.

(Production process C for the present compounds wherein Y is oxygen)

In this process, an aldehyde compound of the general formula:



[VIII]

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, L, Z, m and n are each as defined above, is reacted with carbon tetrachloride or carbon tetrabromide.

The reaction is preferably effected in the presence of a suitable trialkylphosphine or triarylphosphine, and if necessary, in the presence of metal zinc, in an inert solvent.

Examples of the solvent which can be used are hydrocarbons such as benzene, xylene and toluene; ethers such as diethyl ether, diisopropyl ether, tetrahydrofuran and dioxane; and halogenated hydrocarbons (exclusive of carbon tetrabromide and carbon tetrachloride) such as dichloromethane, 1,2-dichloroethane and chlorobenzene.

The reaction temperature is usually set within the range of –30°C to +150°C or the boiling point of a solvent used in the reaction.

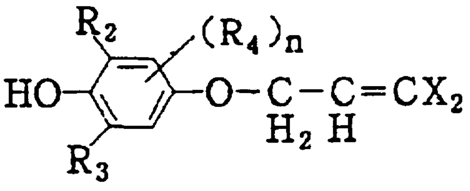
Examples of the trialkyl(e.g., C_1-C_{20})phosphine or triarylphosphine, which can be used in the reaction, are triphenylphosphine and trioctylphosphine. The metal zinc which is used, if necessary, is preferably in dust form.

The molar ratio of the starting materials and reagents to be used in the reaction can be freely determined, but the ratio is preferably such that carbon tetrabromide or tetrachloride, trialkylphosphine or triarylphosphine, and zinc are 2 moles, 2 or 4 moles (2 moles when zinc is used), and 2 moles, respectively, per mole of the aldehyde compound of general formula [VIII], or it is favorable to effect the reaction at a ratio closer thereto.

After completion of the reaction, the reaction mixture is subjected to ordinary post-treatments such as organic solvent extraction and concentration, and the desired compound of the present invention can be isolated. Further, purification may be carried out, if necessary, by an ordinary technique such as chromatography, distillation or recrystallization.

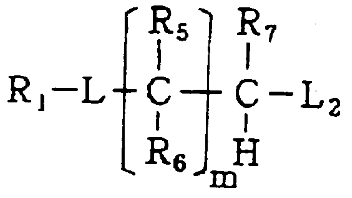
(Production process D for the present compounds wherein Y and Z are both oxygen)

In this process, a compound of the general formula:



[IX]

wherein R_2, R_3, R_4, X and n are each as defined above, is reacted with a compound of the general formula:



$$R_1-L\left[\begin{matrix}R_5\\ |\\ C\\ |\\ R_6\end{matrix}\right]_m\begin{matrix}R_7\\ |\\ C\\ |\\ H\end{matrix}-L_2$$

[X]

wherein R_1, R_5, R_6, R_7, L, L_2 and m are each as defined above.

The reaction is preferably effected in the presence of a suitable base in an inert solvent.

Examples of the solvent which can be used are ketones such as acetone, methyl ethyl ketone and cyclohexanone; ethers such as 1,2-dimethoxyethane, tetrahydrofuran, dioxane and dialkyl (e.g., C_1-C_4) ethers (e.g., diethyl ether, diisopropyl ether); N,N-dimethylformamide, dimethylsulfoxide, hexamethylphosphoric triamide, sulforane, acetonitrile, nitromethane; halogenated hydrocarbons such as dichloromethane, chloroform, 1,2-dichloroethane and chlorobenzene; hydrocarbons such as toluene, benzene and xylene; and water. If necessary, a mixture of these solvents can be used.

Examples of the base which can be used are hydroxides of alkali metals or alkaline earth metals, such as lithium hydroxide, sodium hydroxide, potassium hydroxide and calcium hydroxide; carbonates of alkali metals or alkaline earth metals, such as lithium carbonate, potassium carbonate, sodium carbonate and calcium carbonate; hydrides of alkali metals or alkaline earth metals, such as lithium hydride, sodium hydride, potassium hydride and calcium hydride; alkali metal alkoxides (e.g., C_1-C_4) such as sodium methoxide, sodium ethoxide and potassium tert-butoxide; organic bases such as triethylamine and pyridine. If necessary, catalysts such as ammonium salts (e.g., benzyltriethylammonium chloride) may be added to the reaction system at a ratio of 0.01 to 1 mole per mole of the compound of general formula [IX].

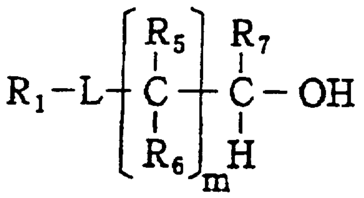
The reaction temperature is usually set within the range of –20°C to +150°C or the boiling point of a solvent used in the reaction, preferably –5°C to +100°C or the boiling point of a solvent used in the reaction.

The molar ratio of the starting materials and dehydrating agents to be used in the reaction can be freely determined, but it is favorable to effect the reaction at an equimolar ratio or a ratio closer thereto.

After completion of the reaction, the reaction mixture is subjected to ordinary post-treatments such as organic solvent extraction and concentration, and the desired compound of the present invention can be isolated. Further, purification may be carried out, if necessary, by an ordinary technique such as chromatography, distillation or recrystallization.

(Production process E for the present compounds wherein Y and Z are both oxygen)

In this process, a compound of general formula [IX] is reacted with a compound of the general formula:



$$R_1-L-\left[\begin{array}{c}R_5\\ |\\ C\\ |\\ R_6\end{array}\right]_m-\begin{array}{c}R_7\\ |\\ C\\ |\\ H\end{array}-OH$$

[XI]

wherein R_1, R_5, R_6, R_7, L and m are as defined above.

The reaction is preferably effected in the presence of a suitable dehydrating agent in an inert solvent, if necessary.

Examples of the dehydrating agent which can be used are dicyclohexylcarbodiimide, and dialkyl(e.g., C_1-C_4)azodicarboxylates (e.g., diethylazodicarboxylate, diisopropylazodicarboxylate)-trialkyl(e.g., C_1-C_{20})phosphine or triarylphosphine (e.g., triphenylphosphine, trioctylphosphine, tributylphosphine).

Examples of the solvent which can be used are hydrocarbons such as benzene, xylene and toluene; ethers such as diethyl ether, diisopropyl ether, tetrahydrofuran and dioxane; and halogenated hydrocarbons such as carbon tetrachloride, dichloromethane, chlorobenzene and dichlorobenzene.

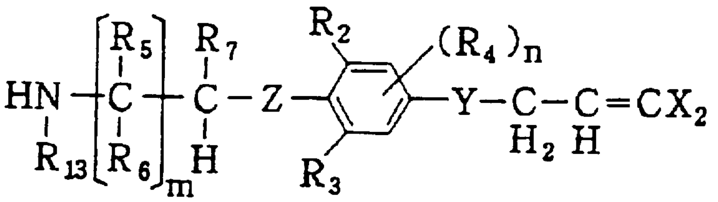
The reaction temperature is usually set within the range of –20°C to +200°C or the boiling point of a solvent used in the reaction.

The molar ratio of the materials and dehydrating agents to be used in the reaction can be freely determined, but it is favorable to effect the reaction at an equimolar ratio or a ratio closer thereto.

After completion of the reaction, the reaction mixture is subjected to ordinary post-treatments such as organic solvent extraction and concentration, and the desired compound of the present invention can be isolated. Further, purification may be carried out, if necessary, by an ordinary technique such as chromatography, distillation or recrystallization.

(Production process F for the present compounds wherein L is -C(=O)-NR_{13}-)

In this process, an amine compound of the general formula:



[XII]

wherein R_2, R_3, R_4, R_5, R_6, R_7, R_{13}, X, Y, Z, m and n are each as defined above, is reacted with a carboxylic acid compound of the general formula:

R_1-C(=O)-V [XIII]

wherein R_1 is as defined above, and V is chlorine, bromine, hydroxyl, methoxy, ethoxy, propoxy or 1-imidazolyl.

(i) In the case where V in general formula [XIII] is chlorine, bromine or 1-imidazolyl, examples of the reaction solvent which can be used are ethers such as diethyl ether, tetrahydrofuran and dioxane; aromatic hydrocarbons such as benzene, toluene, xylene, chlorobenzene and pyridine; hydrocarbons such as n-hexane, n-heptane and cyclohexane; halogenated hydrocarbons such as methylene chloride, chloroform, carbon tetrachloride and 1,2-dichloroethane; esters such as ethyl acetate and methyl acetate; water; nitriles such as acetonitrile; polar solvents such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone and dimethylsulfoxide; and mixtures thereof.

The reaction temperature is usually set within the range of –20°C to +150°C or the boiling point of a solvent used in the reaction, preferably 0°C to 50°C.

The reaction is usually effected in the presence of a base such as sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, triethylamine or pyridine at a ratio of 1 to 10 moles per mole of the compound of general formula [XIII].

When two phase reaction is effected with water as a solvent, the use of a phase transfer catalyst such as tetra-n-butylammonium bromide or benzyltriethylammonium chloride makes it possible to raise the reaction rate.

(ii) In the case where V in general formula [XIII] is hydroxyl, methoxy, ethoxy or propoxy, the reaction is usually effected without any solvent, or in a polar solvent such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone or dimethylsulfoxide, or in an aromatic hydrocarbon solvent such as benzene, toluene, xylene or chlorobenzene, at a reaction temperature of 50° to 250°C.

If necessary, as a reaction catalyst, an acidic substance such as sulfuric acid, benzenesulfonic acid, p-toluenesulfonic acid or active silica gel, or a basic substance such as pyridine, triethylamine, sodium methoxide, sodium ethoxide or active alumina can be used at a weight which is 0.0001 to 1 time as much as the weight of the carboxylic acid compound of general formula [XIII].

The molar ratio of the materials and dehydrating agents to be used in the reaction can be freely determined, but it is favorable to effect the reaction at an equimolar ratio or a ratio closer thereto.

(iii) In the case where V in general formula [XIII] is hydroxyl, the following process can be used in the production.

That is, a carboxylic acid compound of general formula [XIII] is usually reacted with an amine compound of general formula [XII] in the presence of an inert organic solvent or without any solvent, thereby causing condensation by dehydration to give the desired compound of the present invention. Examples of the dehydrating agent are carbodiimides such as dicyclohexylcarbodiimide and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide; and inorganic dehydrating agents such as silicon tetrachloride. Examples of the inert organic solvent are non-aromatic hydrocarbons such as n-pentane, n-hexane, n-heptane and cyclohexane; aromatic hydrocarbons such as benzene, toluene and xylene; chlorinated hydrocarbons such as methylene chloride, chloroform, carbon tetrachloride, 1,2-dichloroethane, chlorobenzene and o-dichlorobenzene; esters such as ethyl acetate and methyl acetate; amides such as N,N-dimethylformamide, N,N-dimethylacetamide and N-methylpyrrolidone; nitriles such as acetonitrile; ethers such as diethyl ether, tetrahydrofuran and dioxane; and pyridine.

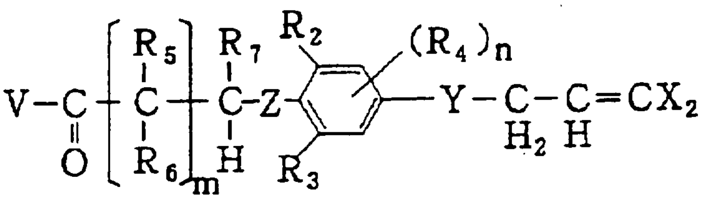
The reaction temperature is usually set within the range of –20°C to +150°C or the boiling point of a solvent used in the reaction.

The molar ratio of the materials and dehydrating agents to be used in the reaction can be freely determined, but it is favorable to effect the reaction at an equimolar ratio or a ratio closer thereto.

After completion of the reaction in case (i), (ii) or (iii), the reaction mixture is subjected to ordinary post-treatments such as organic solvent extraction and concentration, and the desired compound of the present invention can be isolated. Further, purification may be carried out, if necessary, by an ordinary technique such as chromatography, distillation or recrystallization.

(Production process G for the present compounds wherein L is -NR_{13}-C-(=O)-)

In this process, a compound of the general formula:



[XIV]

wherein R_2, R_3, R_4, R_5, R_6, R_7, X, Y, Z, V, m and n are each as defined above, is reacted with a compound of the general formula:

R_1-NH-R_{13} or R_{20}-H [XV]

wherein R_1 and R_{13} are each as defined above, and R_{20}-H is a 5- or 6-membered heterocyclic group optionally substituted with $(R_{16})_s$ containing an NH moiety, or a 5- or 6-membered heterocyclic group containing at least one oxygen, sulfur or nitrogen atom and optionally substituted with $(R_{16})_s$ containing an NH moiety (e.g., pyrrole, piperidine, 2,6-dihydropyrrole, morpholine), in which $(R_{16})_s$ is as defined above.

(i) In the case where V in general formula [XIV] is chlorine, bromine or 1-imidazolyl, examples of the reaction solvent which can be used are ethers such as diethyl ether, tetrahydrofuran and dioxane; aromatic hydrocarbons such as benzene, toluene, xylene, chlorobenzene and pyridine; hydrocarbons such as n-hexane, n-heptane and cyclohexane; halogenated hydrocarbons such as methylene chloride, chloroform, carbon tetrachloride and 1,2-dichloroethane; esters such as ethyl acetate and methyl acetate; water; nitriles such as acetonitrile; polar solvents such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone and dimethylsulfoxide; and mixtures thereof.

The reaction temperature is usually set within the range of -20°C to +150°C or the boiling point of a solvent used in the reaction, preferably 0°C to 50°C.

The reaction is usually effected in the presence of a base such as sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, triethylamine or pyridine at a ratio of 1 to 10 moles per mole of the compound of general formula [XIV].

When two phase reaction is effected with water as a solvent, the use of a phase transfer catalyst such as tetra-n-butylammonium bromide or benzyltriethylammonium chloride makes it possible to raise the reaction rate.

(ii) In the case where V in general formula [XIV] is hydroxyl, methoxy, ethoxy or propoxy, the reaction is usually effected without any solvent, or in a polar solvent such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone or dimethylsulfoxide, or in an aromatic hydrocarbon solvent such as benzene, toluene, xylene, or chlorobenzene, at a reaction temperature of 50° to 250°C.

If necessary, as a reaction catalyst, an acidic substance such as sulfuric acid, benzenesulfonic acid, p-toluenesulfonic acid or active silica gel, or a basic substance such as pyridine, triethylamine, sodium methoxide, sodium ethoxide or active alumina can be used at a weight which is 0.0001 to 1 time as much as the weight of the carboxylic acid compound of general formula [XIV].

The molar ratio of the materials to be used in the reaction can be freely determined, but it is favorable to effect the reaction at an equimolar ratio or a ratio closer thereto.

(iii) In the case where V in general formula [XIV] is hydroxyl, the following process can be used in the production.

That is, a compound of general formula [XIV] is usually reacted with an amine compound of general formula [XV] in the presence of an inert organic solvent or without any solvent, thereby causing condensation by dehydration to give the desired compound of the present invention. Examples of the dehydrating agent are carbodiimides such as dicyclohexylcarbodiimide and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide; and inorganic dehydrating agents such as silicon tetrachloride. Examples of the inert organic solvent are non-aromatic hydrocarbons such as n-pentane, n-hexane, n-heptane and cyclohexane; aromatic hydrocarbons such as benzene, toluene, xylene, chlorobenzene, pyridine and o-dichlorobenzene; chlorinated hydrocarbons such as methylene chloride, chloroform, carbon tetrachloride and 1,2-dichloroethane; esters such as ethyl acetate and methyl acetate; amides such as N,N-dimethylformamide, N,N-dimethylacetamide and N-methylpyrrolidone; nitriles such as acetonitrile; and ethers such as diethyl ether, tetrahydrofuran and dioxane.

The reaction temperature is usually set within the range of –20°C to +150°C or the boiling point of a solvent used in the reaction.

The molar ratio of the materials and dehydrating agents to be used in the reaction can be freely determined, but it is favorable to effect the reaction at an equimolar ratio or a ratio closer thereto.

After completion of the reaction in case (i), (ii) or (iii), the reaction mixture is subjected to ordinary post-treatments such as organic solvent extraction and concentration, and the desired compound of the present invention can be isolated. Further, purification may be carried out, if necessary, by an ordinary technique such as chromatography, distillation or recrystallization.

(Production process H for the present compounds wherein L is -C(=S)–NR_{13}- or -NR_{13}-C(=S)-)

In this process, the present compounds wherein L is -C(=O)-NR_{13}- or -NR_{13}-C(=O)- are reacted with phosphorus pentasulfide or the Lawesson's Reagent.

Examples of the solvent which can be used are aromatic hydrocarbons such as benzene, toluene, xylene, chlorobenzene, pyridine and quinoline. If necessary, a mixture of these solvents can be used.

The reaction temperature is usually set within the range of 0°C to 150°C or the boiling point of a solvent used in the reaction, preferably 20°C to 150°C or the boiling point of a solvent used in the reaction.

The molar ratio of the starting materials to be used in the reaction can be freely determined, but it is preferred that phosphorus pentasulfide or the Lawesson's Reagent is used at a ratio of 0.2 to 20 moles or 0.5 to 50 moles, respectively, per mole of the present compounds wherein L is -C(=O)-NR_{13}- or -NR_{13}-C(=O)-.

(Production process I for the present compounds wherein L is -SO_2-NR_{13}-)

In this process, an amine compound of general formula [XII] is reacted with a sulfonic acid compound of the general formula:

$$R_1\text{-}SO_2\text{-}L_3 \qquad [XVI]$$

wherein R_1 is as defined above, and L_3 is chlorine or bromine.

Examples of the solvent which can be used are ethers such as diethyl ether, tetrahydrofuran and dioxane; aromatic hydrocarbons such as benzene, toluene, xylene, chlorobenzene and pyridine; hydrocarbons such as n-hexane, n-heptane and cyclohexane; halogenated hydrocarbons such as methylene chloride, chloroform, carbon tetrachloride and 1,2-dichloroethane; esters such as ethyl acetate and methyl acetate; water; nitriles such as acetonitrile; polar solvents such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone and dimethylsulfoxide; and mixtures thereof.

The reaction temperature is usually set within the range of –20°C to +150°C or the boiling point of a solvent used in the reaction, preferably 0°C to 50°C.

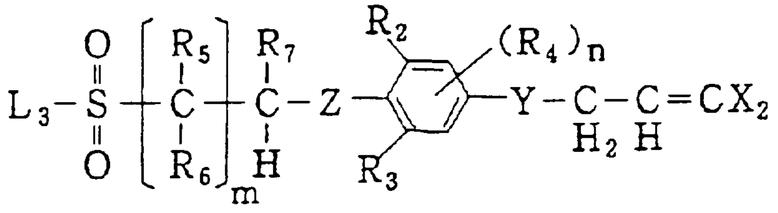
The reaction is usually effected in the presence of a base, such as sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, triethylamine or pyridine, at a ratio of 1 to 10 moles per mole of the compound of general formula [XVI].

When two phase reaction is effected with water as a solvent, the use of a phase transfer catalyst such as tetra-n-butylammonium bromide or benzyltriethylammonium chloride makes it possible to raise the reaction rate.

After completion of the reaction, the reaction mixture is subjected to ordinary post-treatments such as organic solvent extraction and concentration, and the desired compound of the present invention can be isolated. Further, purification may be carried out, if necessary, by an ordinary technique such as chromatography, distillation or recrystallization.

(Production process J for the present compounds wherein L is -NR_{13}-SO_2-)

In this process, a sulfonic acid compound of the general formula:



[XVII]

wherein R_2, R_3, R_4, R_5, R_6, R_7, X, Y, Z, L_3, m and n are each as defined above, is reacted with the compound of general formula [XV].

Examples of the solvent which can be used are ethers such as diethyl ether, tetrahydrofuran and dioxane; aromatic hydrocarbons such as benzene, toluene, xylene, chlorobenzene and pyridine; hydrocarbons such as n-hexane, n-heptane and cyclohexane; halogenated hydrocarbons such as methylene chloride, chloroform, carbon tetrachloride and 1,2-dichloroethane; esters such as ethyl acetate and methyl acetate; water; nitriles such as acetonitrile; polar solvents such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone and dimethylsulfoxide; and mixtures thereof.

The reaction temperature is usually set within the range of –20°C to +150°C or the boiling point of a solvent used in the reaction, preferably 0°C to 50°C.

The reaction is usually effected in the presence of a base, such as sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, triethylamine or pyridine, at a ratio of 1 to 10 moles per mole of the compound of general formula [XVII].

When two phase reaction is effected with water as a solvent, the use of a phase transfer catalyst such as tetra-n-butylammonium bromide or benzyltriethylammonium chloride makes it possible to raise the reaction rate.

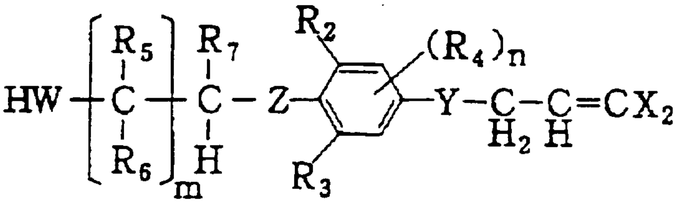
After completion of the reaction, the reaction mixture is subjected to ordinary post-treatments such as organic solvent extraction and concentration, and the desired compound of the present invention can be isolated. Further, purification may be carried out, if necessary, by an ordinary technique such as chromatography, distillation or recrystallization.

(Production process K for the present compounds wherein L is -NR_{13}-

C(=W_1)W-)

(The first step of production process K)

In this process, a compound of the general formula:

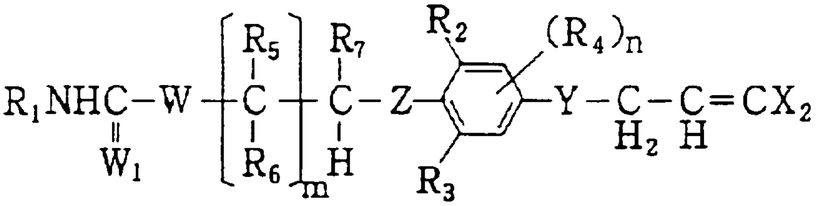


[XVIII]

wherein R_2, R_3, R_4, R_5, R_6, R_7, W, X, Y, Z, m and n are each as defined above, is reacted with a (thio)isocyanate compound of the general formula:

R_1-N=C=W_1 [XIX]

wherein R_1 and W_1 are each as defined above, to give a carbamic acid derivative of the general formula:



[XX]

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, W, W_1, X, Y, Z, m and n are each as defined above.

The reaction is preferably effected, if necessary, in the presence of an appropriate catalyst in a solvent having no influence thereon.

Examples of the solvent which can be used are hydrocarbons such as benzene and toluene; ethers such as diethyl ether, tetrahydrofuran and dioxane; polar solvents such as N,N-dimethylformamide, dimethylsulfoxide and hexamethylphosphoric acid triamide; halogenated hydrocarbons such as methylene chloride, chloroform, 1,2-dichloroethane and chlorobenzene; acetonitrile; and nitromethane. If necessary, a mixture of these solvents can be used.

Examples of the catalyst which can be used are organic bases such as triethylamine, pyridine and sodium acetate; and acids such as aluminum chloride, hydrogen chloride and boron trifluoride-ether complex (BF_3-$(C_2H_5)_2O$).

The reaction temperature is usually set within the range of –20°C to the boiling point of a solvent used in the reaction, preferably –5°C to the boiling point of a solvent used in the reaction.

The molar ratio of the materials to be used in the reaction can be freely determined, but it is favorable to effect the reaction at an equimolar ratio or a ratio closer thereto.

After completion of the reaction, the reaction mixture is subjected to ordinary post-treatments such as organic solvent extraction and concentration, and the desired compound of the present invention (wherein R_{13} is H) can be isolated. Further, purification may be carried out, if necessary, by an ordinary technique such as chromatography, distillation or recrystallization.

(The second step of production process K)

In this process, a carbamic acid derivative of general formula [XX] is reacted with a halogenated compound of the general formula:

$$R_{13}\text{-}L_4 \qquad [XXI]$$

wherein R_{13} is as defined above (however, it does not represent hydrogen) and L_4 is halogen (e.g., chlorine, bromine, iodine), to give the present compounds (wherein R_{13} is not hydrogen).

The reaction is preferably effected in the presence of an appropriate catalyst in a solvent having no influence thereon.

Examples of the solvent which can be used are ketones such as acetone and methyl ethyl ketone; hydrocarbons such as benzene and toluene; ethers such as diethyl ether, tetrahydrofuran and dioxane; halogenated hydrocarbons such as methylene chloride, chloroform, 1,2-dichloroethane and chlorobenzene; acetonitrile; nitromethane; and pyridine. If necessary, a mixture of these solvents can be used.

Examples of the base which can be used are carbonates of alkali metals, such as potassium carbonate; hydrides of alkali metals, such as sodium hydride; and organic bases such as sodium methoxide, sodium ethoxide, triethylamine and pyridine.

The reaction temperature is usually set within the range of −10°C to the boiling point of a solvent used in the reaction.

The molar ratio of the materials to be used in the reaction can be freely determined, but it is preferred that the halogenated compound of general formula [XXI] and the base are used at ratios of 1 to 2 moles and 0.9 to 20 moles, respectively, per mole of the carbamic acid derivative of general formula [XX].

After completion of the reaction, the reaction mixture is subjected to ordinary post-treatments such as organic solvent extraction and concentration, and the desired compound of the present invention can be isolated. Further, purification may be carried out, if necessary, by an ordinary technique such as chromatography, distillation or recrystallization.

(Production process L for the present compounds wherein L is $-WC(=W_1)-NR_{13}-$)

In this process, an amine compound of general formula [XII] is reacted with a compound of the general formula::

$$R_1\text{-}W\text{-}C(=W_1)\text{-}L_3 \qquad [XXII]$$

wherein R_1, W, W_1 and L_3 are each as defined above.

The reaction is preferably effected in the presence of an appropriate catalyst in a solvent having no influence thereon.

Examples of the base which can be used are carbonates of alkali metals, such as potassium carbonate; and organic bases such as triethylamine and pyridine. If necessary, a catalyst such as ammonium salts (e.g., benzyltriethylammonium chloride) may be added to the reaction system.

Examples of the solvent which can be used are ketones such as acetone and methyl ethyl ketone; hydrocarbons such as benzene and toluene; ethers such as diethyl ether, tetrahydrofuran and dioxane; halogenated hydrocarbons such as methylene chloride, chloroform, 1,2-dichloroethane and chlorobenzene; acetonitrile; and nitromethane. If necessary, a mixture of these solvents or a mixture of these solvents and water can be used.

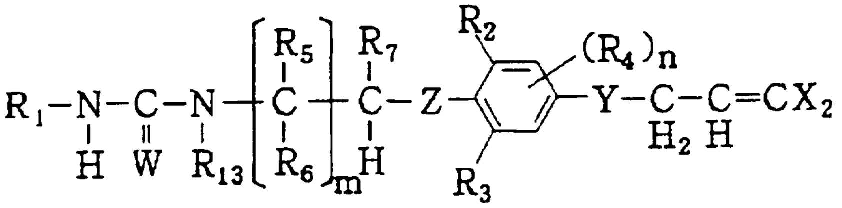
The reaction temperature is usually set within the range of –20°C to the boiling point of a solvent used in the reaction, preferably –5°C to the boiling point of a solvent used in the reaction.

After completion of the reaction, the reaction mixture is subjected to ordinary post-treatments such as organic solvent extraction and concentration, and the desired compound of the present invention can be isolated. Further, purification may be carried out, if necessary, by an ordinary technique such as chromatography, distillation or recrystallization.

(Production process M for the present compounds wherein L is -NR_{14}-C(=W)NR_{13}-)

(The first step of production process M)

In this process, an amine compound of general formula [XII] is reacted with a (thio)isocyanate compound of general formula [XIX] to give an urea derivative compound of the general formula:



[XXIII]

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_{13}, W, X, Y, Z, m and n are each as defined above.

Examples of the solvent which can be used are hydrocarbons such as benzene and toluene; ethers such as diethyl ether, tetrahydrofuran and dioxane; polar solvents such as N,N-dimethylformamide, dimethylsulfoxide and hexamethylphosphoric acid triamide; halogenated hydrocarbons such as methylene chloride, chloroform, 1,2-dichloroethane and chlorobenzene; acetonitrile; and nitromethane. If necessary, a mixture of these solvents can be used.

The reaction temperature is usually set within the range of -20°C to the boiling point of a solvent used in the reaction, preferably -5°C to the boiling point of a solvent used in the reaction.

The molar ratio of the materials to be used in the reaction can be freely determined, but it is favorable to effect the reaction at an equimolar ratio or a ratio closer thereto.

After completion of the reaction, the reaction mixture is subjected to ordinary post-treatments such as organic solvent extraction and concentration, and the desired compound of the present invention (wherein R_{14} is H) can be isolated. Further, purification may be carried out, if necessary, by an ordinary technique such as chromatography, distillation or recrystallization.

(The second step of production process M)

In this process, an urea derivative compound of general formula [XXIII] is reacted with a compound of the general formula:

$$R_{14}\text{-}L_4 \qquad [XXIV]$$

wherein R_{14} and L_4 are each as defined above, to give the present compounds (wherein R_{14} is not hydrogen).

The reaction is preferably effected in the presence of an appropriate catalyst in a solvent having no influence thereon.

Examples of the solvent which can be used are ketones such as acetone and methyl ethyl ketone; hydrocarbons such as benzene and toluene; ethers such as diethyl ether, tetrahydrofuran and dioxane; halogenated hydrocarbons such as methylene chloride, chloroform, 1,2-dichloroethane and chlorobenzene; acetonitrile; nitromethane; and pyridine. If necessary, a mixture of these solvents can be used.

Examples of the base which can be used are carbonates of alkali metals, such as potassium carbonate; hydrides of alkali metals, such as sodium hydride; and organic bases such as sodium methoxide, sodium ethoxide, triethylamine and pyridine.

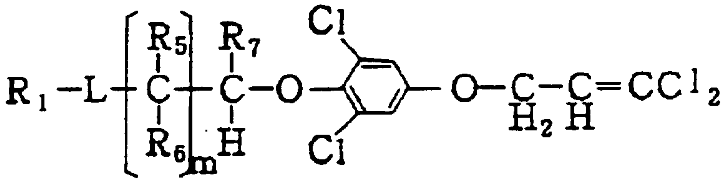
The reaction temperature is usually set within the range of -10°C to the boiling point of a solvent used in the reaction.

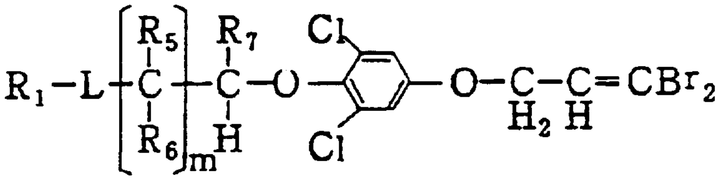
The molar ratio of the materials to be used in the reaction can be freely determined, but it is preferred that the compound of general formula [XXIV] and the base are used at ratios of 1 to 2 moles and 0.9 to 20 moles, respectively, per mole of the urea derivative compound of general formula [XXIII].

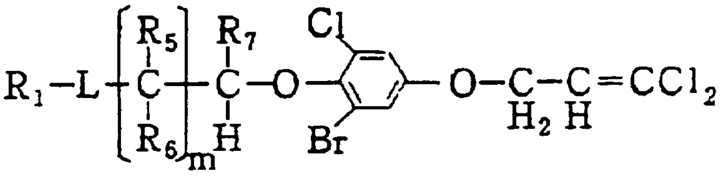
After completion of the reaction, the reaction mixture is subjected to ordinary post-treatments such as organic solvent extraction and concentration, and the desired compound of the present invention can be isolated. Further, purification may be carried out, if necessary, by an ordinary technique such as chromatography, distillation or recrystallization.

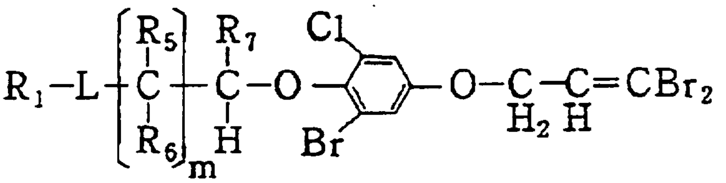
When any one of the present compounds has an asymmetric carbon atom, it is to be construed to include its optically active isomers (i.e., (+)-form and (−)-form) having biological activity and their mixtures at any ratio. When any one of the present compounds exhibits geometrical isomerism, it is to be construed to include its geometrical isomers (i.e., cis-form and trans-form) and their mixtures at any ratio.

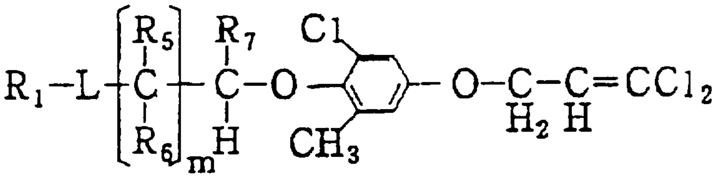
The following are specific examples of the present compounds; however, the present invention is not limited to these examples.

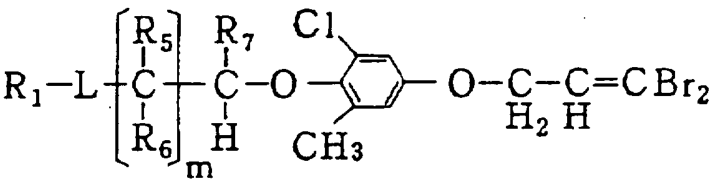


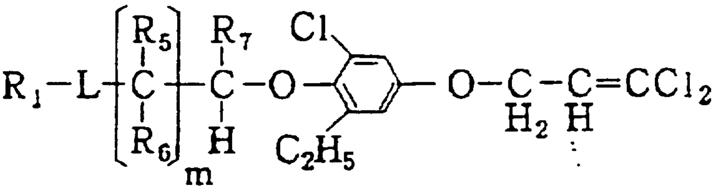


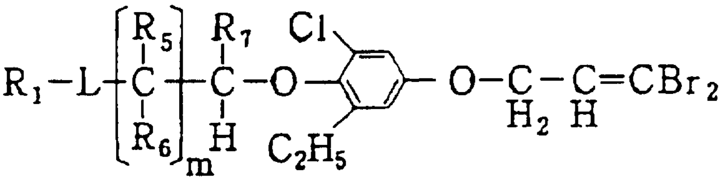


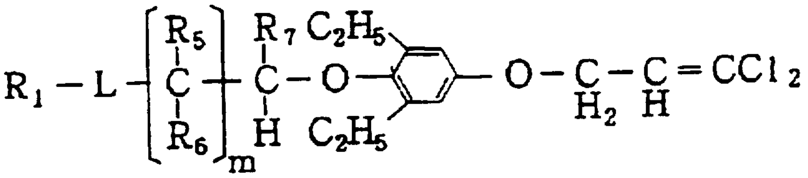


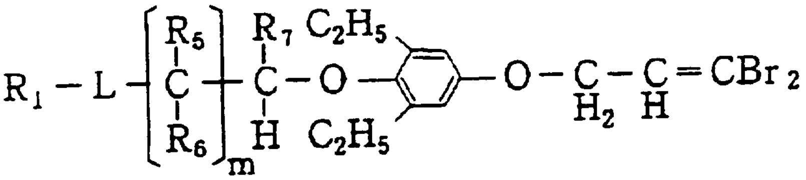


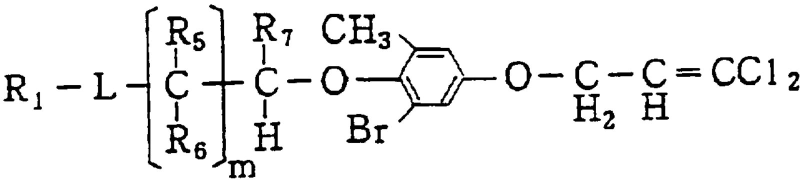


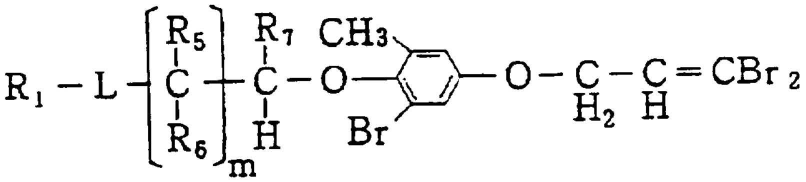


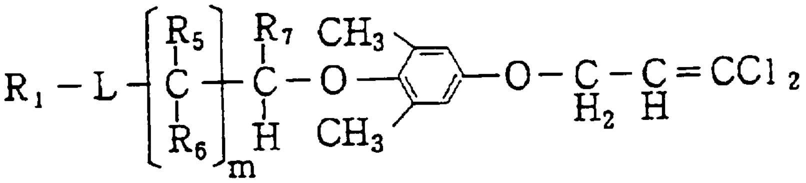


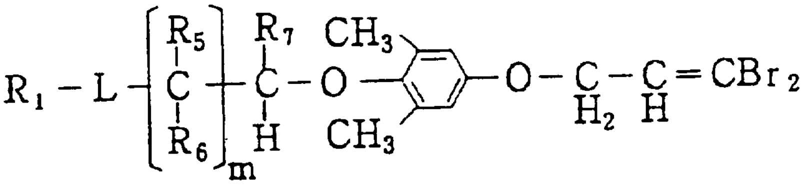


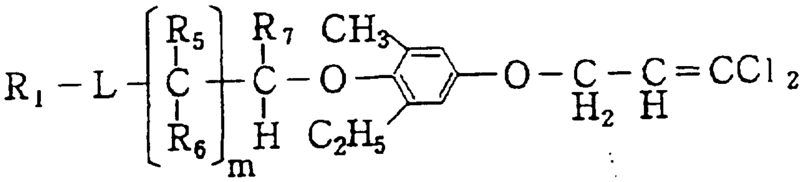


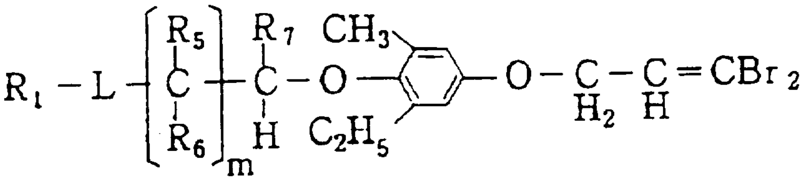


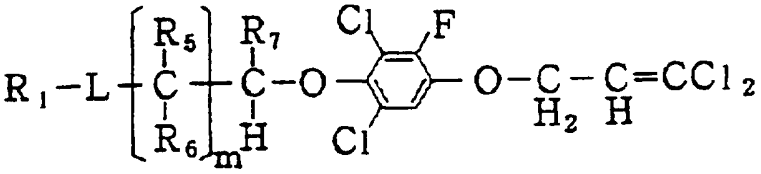


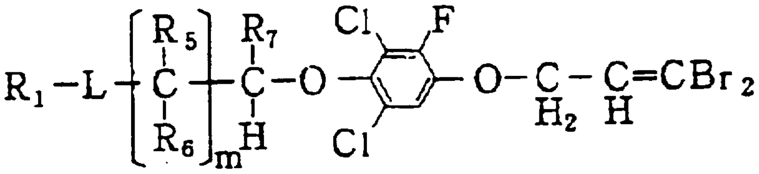


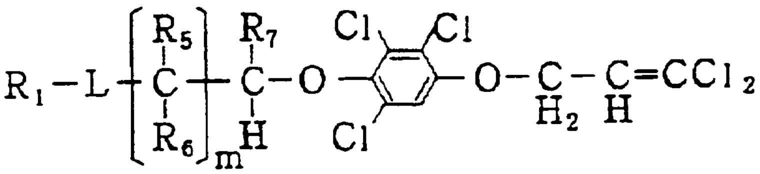


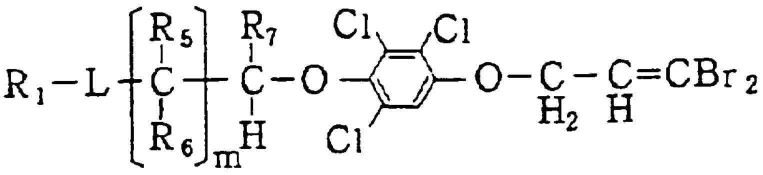


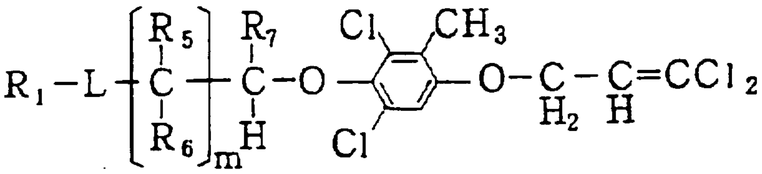


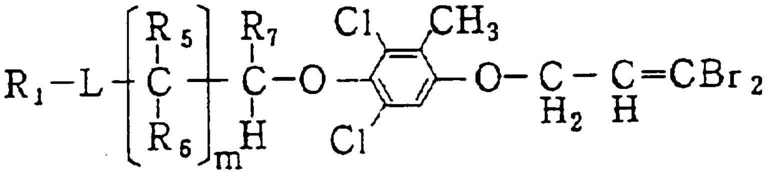


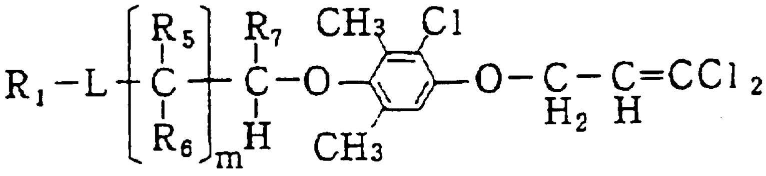


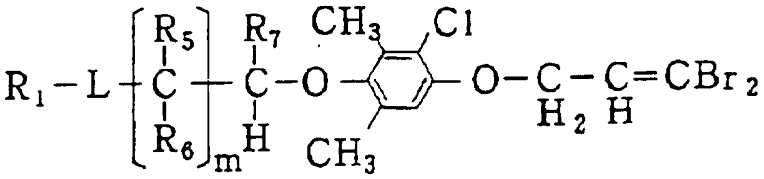


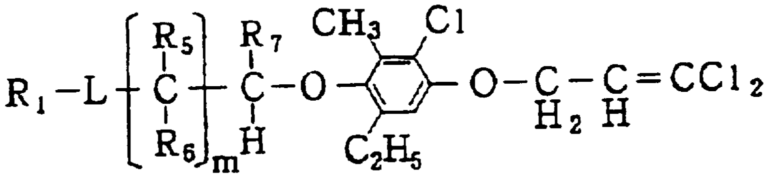


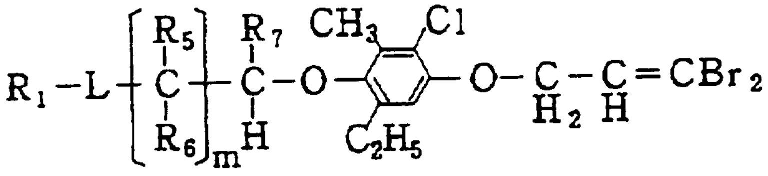


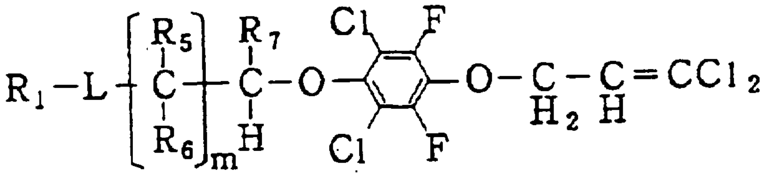


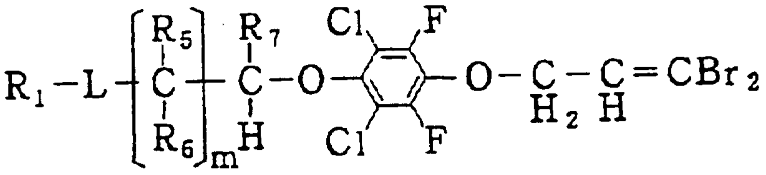


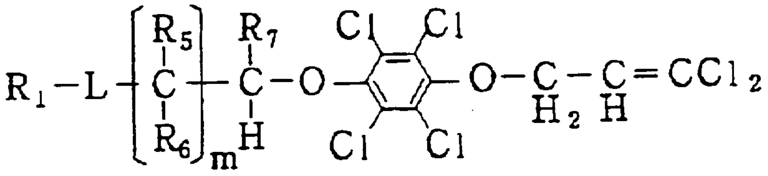


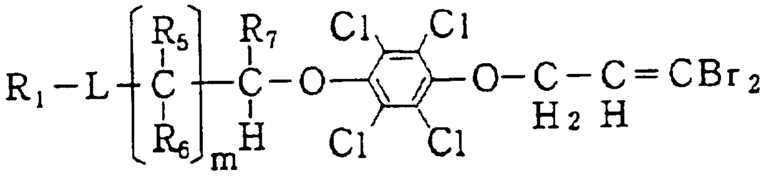


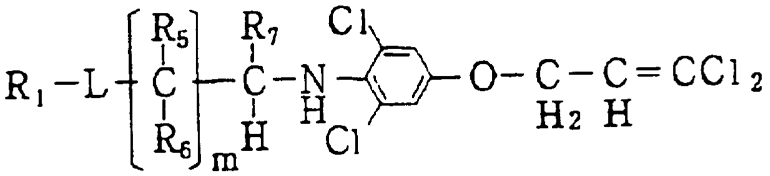


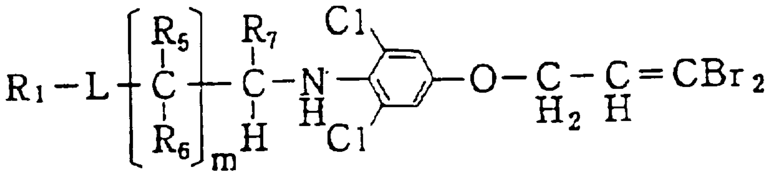


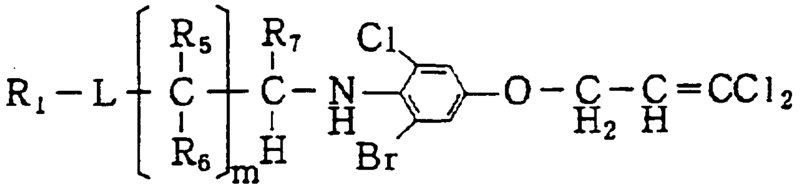


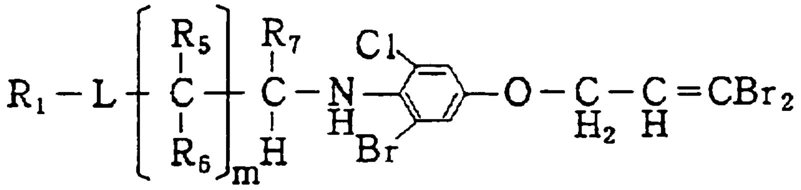


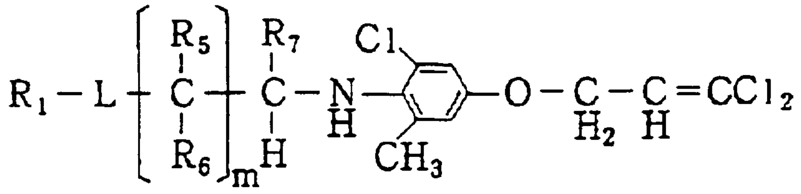


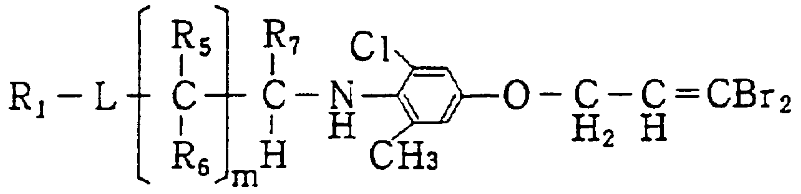


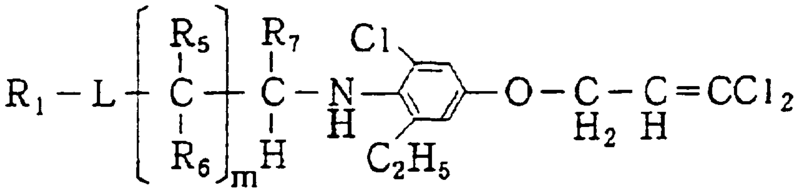


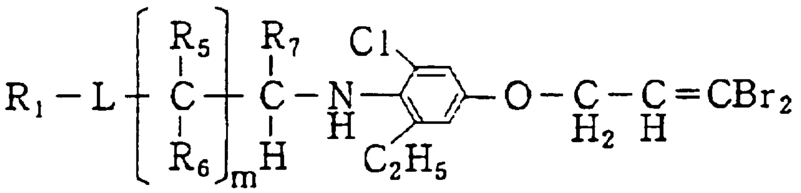


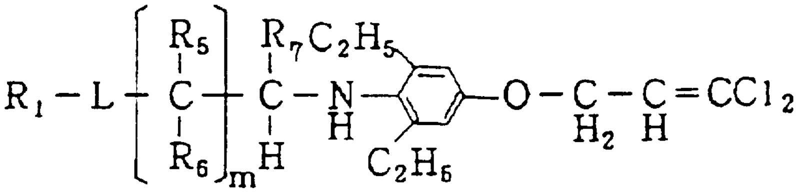


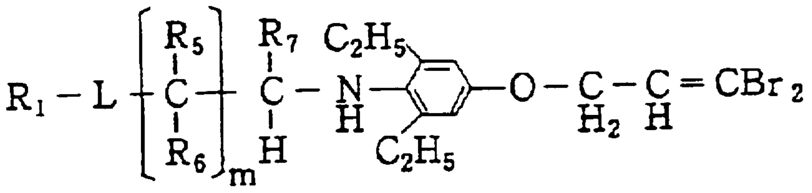


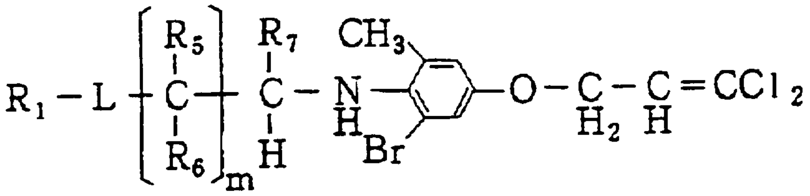


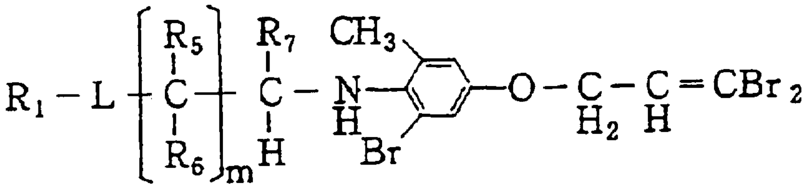


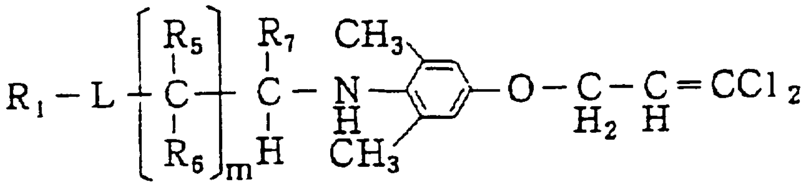


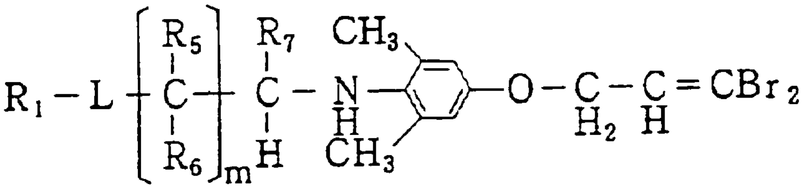


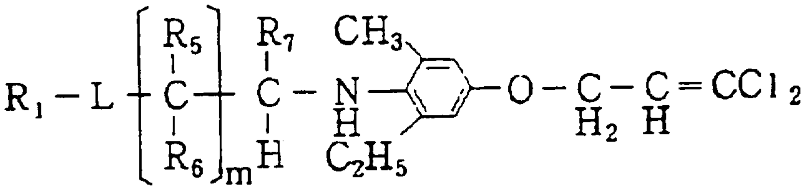


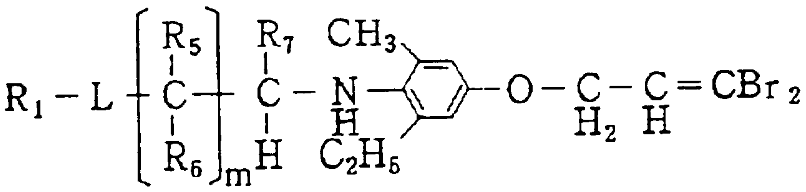


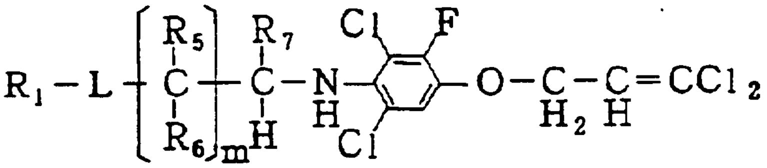


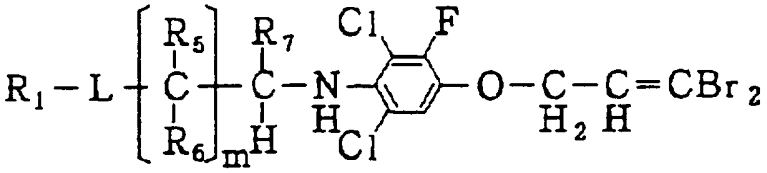


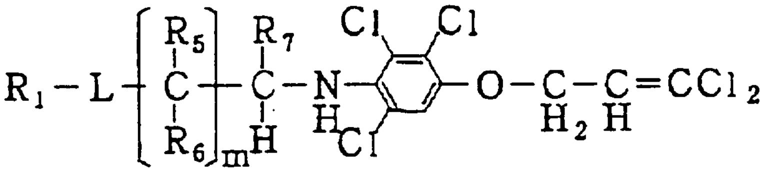


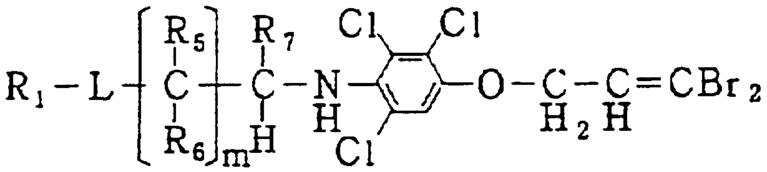


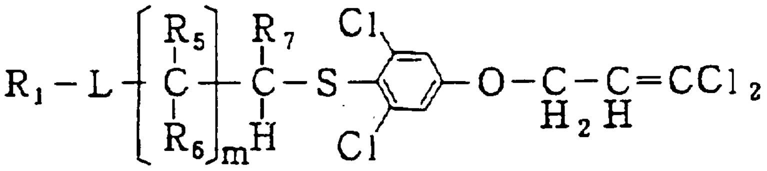


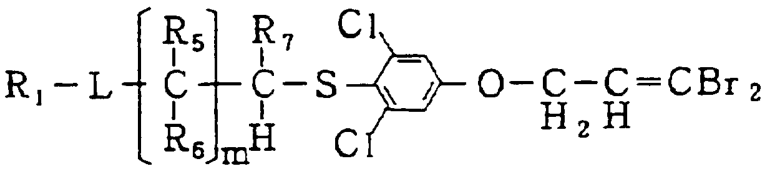


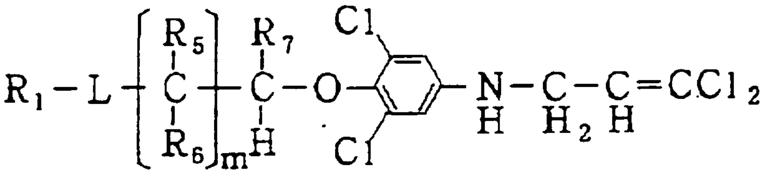


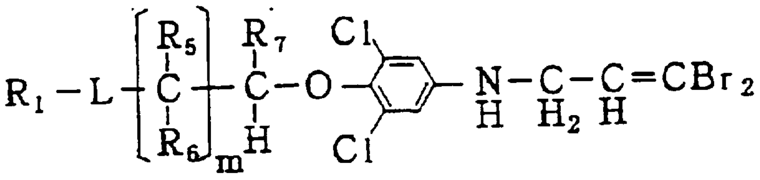


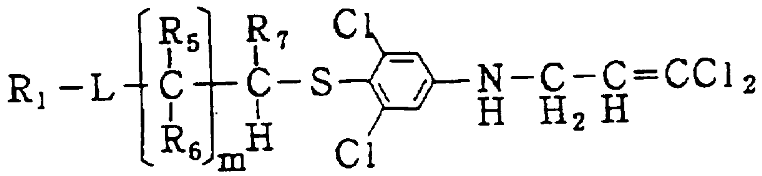


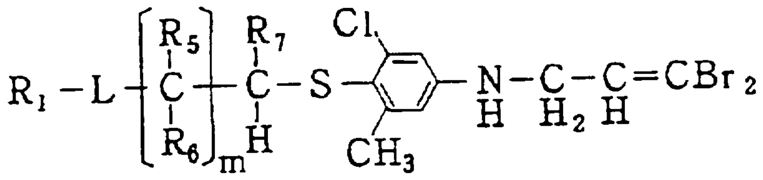


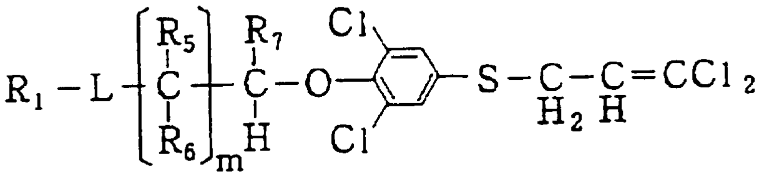


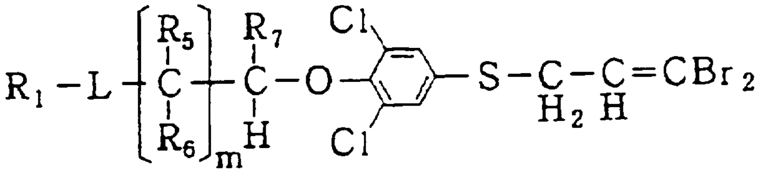


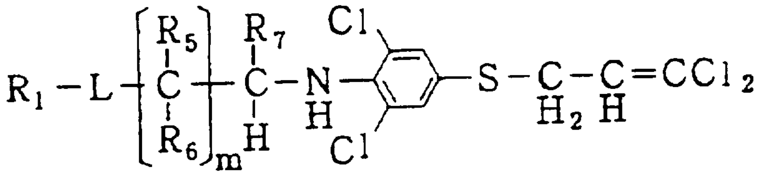


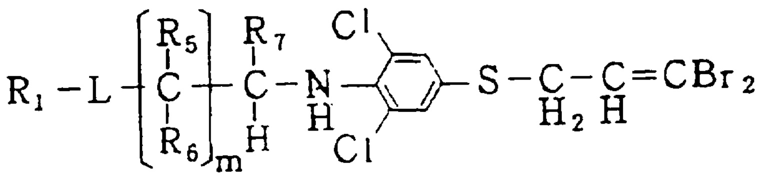




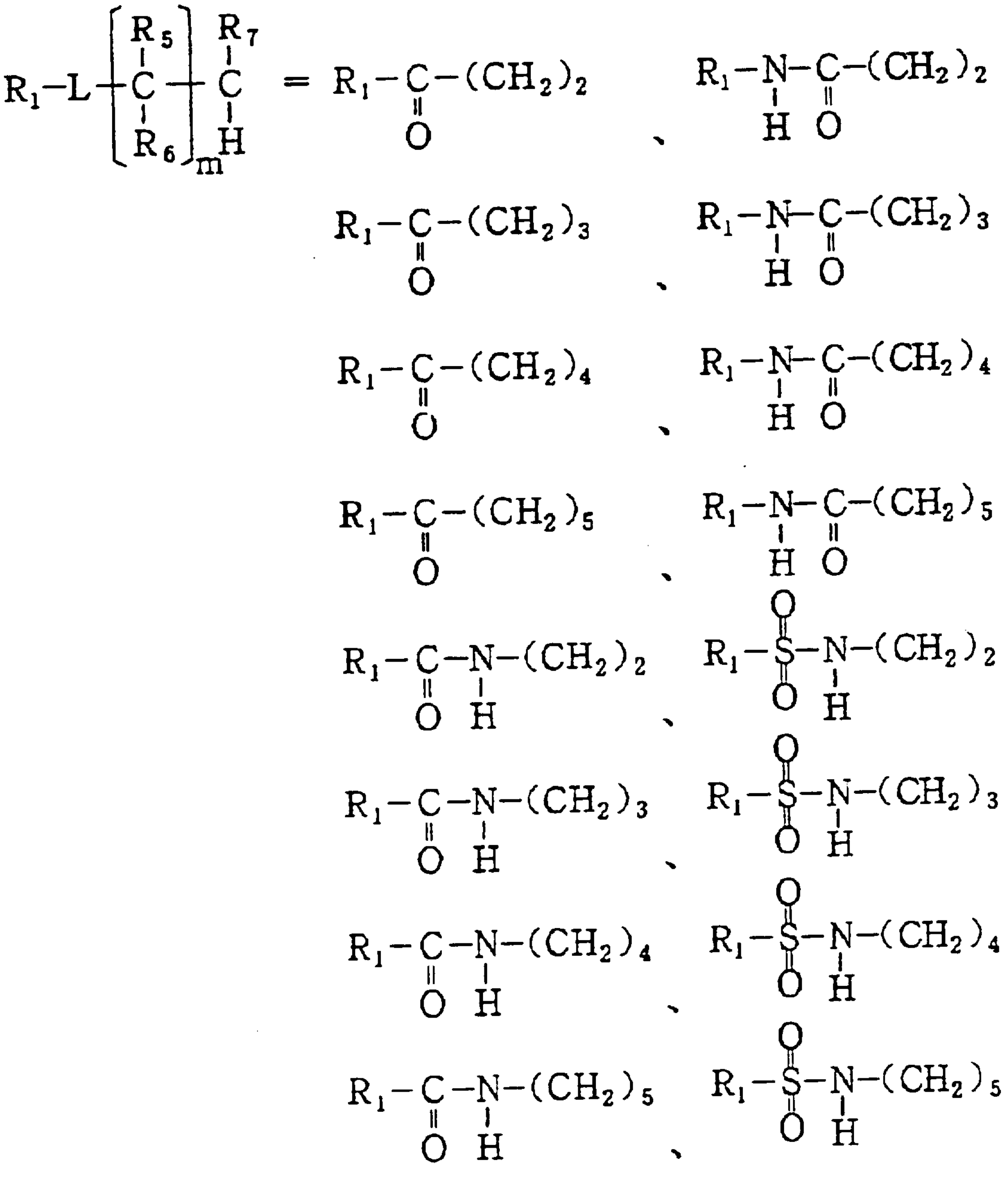








wherein



$$R_1{-}L{-}\left[\overset{R_5}{\underset{R_6}{C}}\right]_m{-}\overset{R_7}{\underset{H}{C}} = R_1{-}\underset{\overset{\|}{O}}{C}{-}(CH_2)_2 \quad R_1{-}\underset{H}{N}{-}\underset{\overset{\|}{O}}{C}{-}(CH_2)_2 ,$$

$$R_1{-}\underset{\overset{\|}{O}}{C}{-}(CH_2)_3 \quad R_1{-}\underset{H}{N}{-}\underset{\overset{\|}{O}}{C}{-}(CH_2)_3 ,$$

$$R_1{-}\underset{\overset{\|}{O}}{C}{-}(CH_2)_4 \quad R_1{-}\underset{H}{N}{-}\underset{\overset{\|}{O}}{C}{-}(CH_2)_4 ,$$

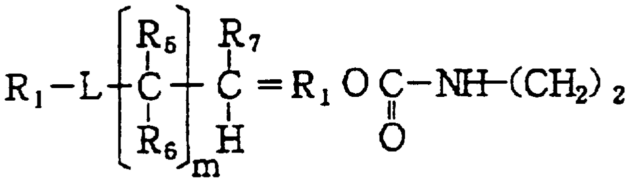
$$R_1{-}\underset{\overset{\|}{O}}{C}{-}(CH_2)_5 \quad R_1{-}\underset{H}{N}{-}\underset{\overset{\|}{O}}{C}{-}(CH_2)_5 ,$$

$$R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{H}{N}{-}(CH_2)_2 , \quad R_1{-}\overset{O}{\underset{O}{S}}{-}\underset{H}{N}{-}(CH_2)_2$$

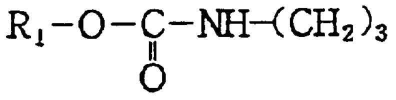
$$R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{H}{N}{-}(CH_2)_3 , \quad R_1{-}\overset{O}{\underset{O}{S}}{-}\underset{H}{N}{-}(CH_2)_3$$

$$R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{H}{N}{-}(CH_2)_4 , \quad R_1{-}\overset{O}{\underset{O}{S}}{-}\underset{H}{N}{-}(CH_2)_4$$

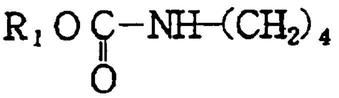
$$R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{H}{N}{-}(CH_2)_5 , \quad R_1{-}\overset{O}{\underset{O}{S}}{-}\underset{H}{N}{-}(CH_2)_5$$



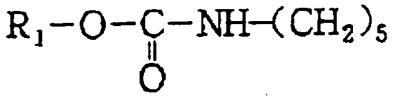
$R_1-L\left[\begin{array}{c}R_5\\|\\C\\|\\R_6\end{array}\right]_m\underset{\underset{H}{|}}{\overset{\overset{R_7}{|}}{C}}=R_1O\underset{\underset{O}{\|}}{C}-NH-(CH_2)_2$ 、



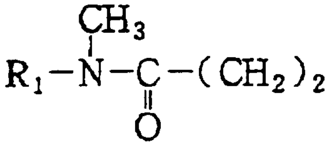
$R_1-O-\underset{\underset{O}{\|}}{C}-NH-(CH_2)_3$



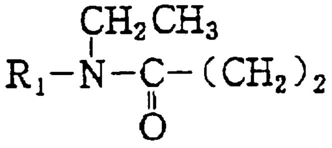
$R_1O\underset{\underset{O}{\|}}{C}-NH-(CH_2)_4$ 、



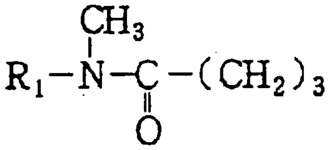
$R_1-O-\underset{\underset{O}{\|}}{C}-NH-(CH_2)_5$



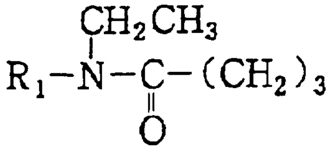
$R_1-\overset{\overset{CH_3}{|}}{N}-\underset{\underset{O}{\|}}{C}-(CH_2)_2$ 、



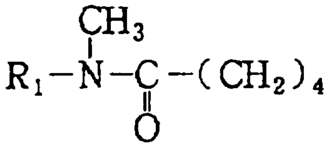
$R_1-\overset{\overset{CH_2CH_3}{|}}{N}-\underset{\underset{O}{\|}}{C}-(CH_2)_2$



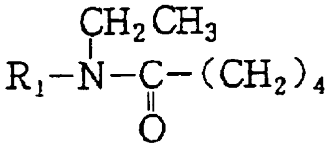
$R_1-\overset{\overset{CH_3}{|}}{N}-\underset{\underset{O}{\|}}{C}-(CH_2)_3$ 、



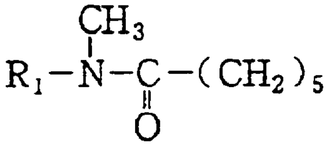
$R_1-\overset{\overset{CH_2CH_3}{|}}{N}-\underset{\underset{O}{\|}}{C}-(CH_2)_3$



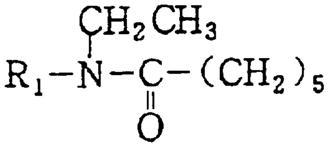
$R_1-\overset{\overset{CH_3}{|}}{N}-\underset{\underset{O}{\|}}{C}-(CH_2)_4$ 、



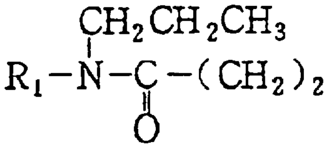
$R_1-\overset{\overset{CH_2CH_3}{|}}{N}-\underset{\underset{O}{\|}}{C}-(CH_2)_4$



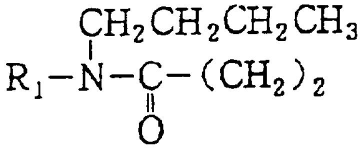
$R_1-\overset{\overset{CH_3}{|}}{N}-\underset{\underset{O}{\|}}{C}-(CH_2)_5$ 、



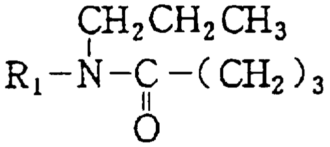
$R_1-\overset{\overset{CH_2CH_3}{|}}{N}-\underset{\underset{O}{\|}}{C}-(CH_2)_5$



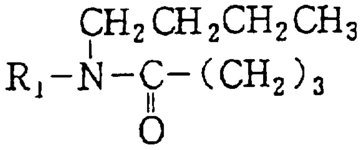
$R_1-\overset{\overset{CH_2CH_2CH_3}{|}}{N}-\underset{\underset{O}{\|}}{C}-(CH_2)_2$ 、



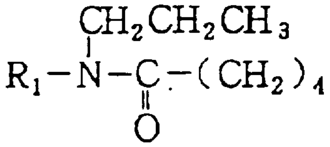
$R_1-\overset{\overset{CH_2CH_2CH_2CH_3}{|}}{N}-\underset{\underset{O}{\|}}{C}-(CH_2)_2$



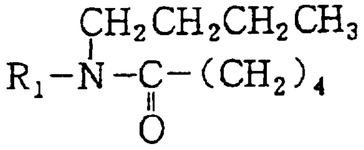
$R_1-\overset{\overset{CH_2CH_2CH_3}{|}}{N}-\underset{\underset{O}{\|}}{C}-(CH_2)_3$ 、



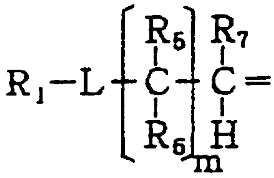
$R_1-\overset{\overset{CH_2CH_2CH_2CH_3}{|}}{N}-\underset{\underset{O}{\|}}{C}-(CH_2)_3$

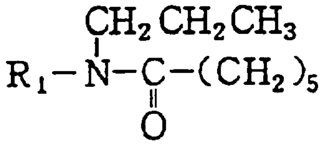


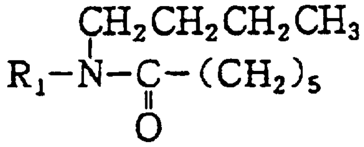
$R_1-\overset{\overset{CH_2CH_2CH_3}{|}}{N}-\underset{\underset{O}{\|}}{C}-(CH_2)_4$ 、

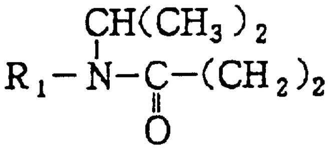


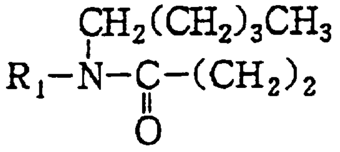
$R_1-\overset{\overset{CH_2CH_2CH_2CH_3}{|}}{N}-\underset{\underset{O}{\|}}{C}-(CH_2)_4$

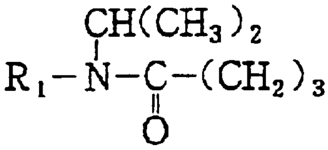

$R_1-L-\left[C(R_5)(R_6)\right]_m-C(R_7)(H)=$

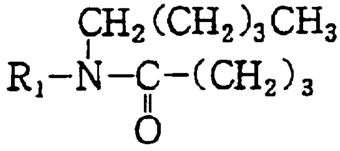

$R_1-N(CH_2CH_2CH_3)-C(=O)-(CH_2)_5$ 、

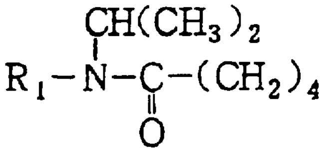

$R_1-N(CH_2CH_2CH_2CH_3)-C(=O)-(CH_2)_5$

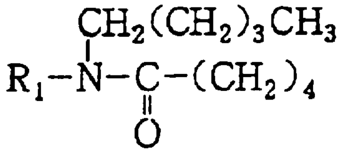

$R_1-N(CH(CH_3)_2)-C(=O)-(CH_2)_2$ 、


$R_1-N(CH_2(CH_2)_3CH_3)-C(=O)-(CH_2)_2$


$R_1-N(CH(CH_3)_2)-C(=O)-(CH_2)_3$ 、


$R_1-N(CH_2(CH_2)_3CH_3)-C(=O)-(CH_2)_3$


$R_1-N(CH(CH_3)_2)-C(=O)-(CH_2)_4$ 、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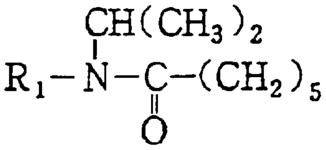
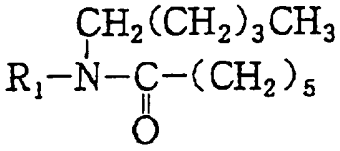
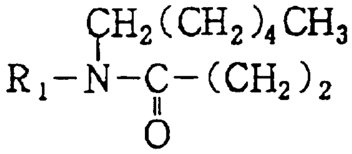
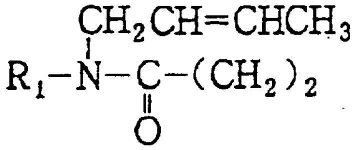
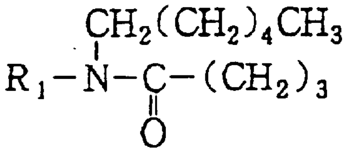
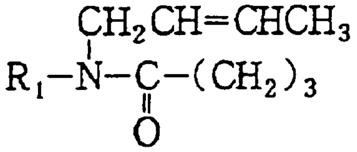

$R_1-N(CH(CH_3)_2)-C(=O)-(CH_2)_5$ 、

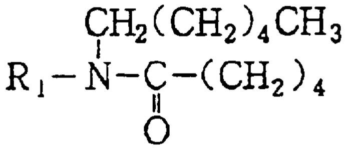

$R_1-N(CH_2(CH_2)_3CH_3)-C(=O)-(CH_2)_5$

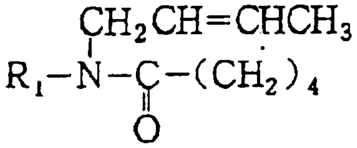

$R_1-N(CH_2(CH_2)_4CH_3)-C(=O)-(CH_2)_2$ 、

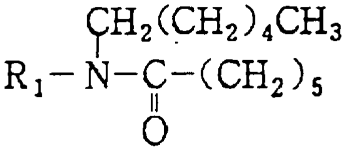

$R_1-N(CH_2CH=CHCH_3)-C(=O)-(CH_2)_2$

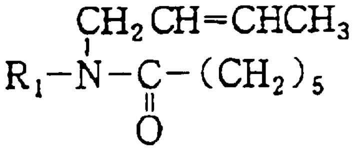

$R_1-N(CH_2(CH_2)_4CH_3)-C(=O)-(CH_2)_3$ 、

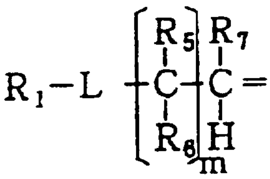

$R_1-N(CH_2CH=CHCH_3)-C(=O)-(CH_2)_3$

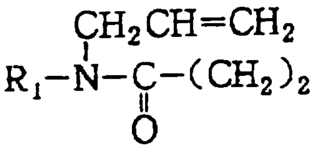

$R_1-N(CH_2(CH_2)_4CH_3)-C(=O)-(CH_2)_4$ 、

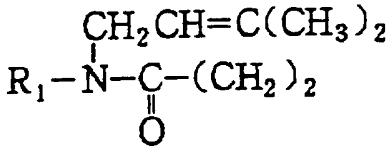

$R_1-N(CH_2CH=CHCH_3)-C(=O)-(CH_2)_4$

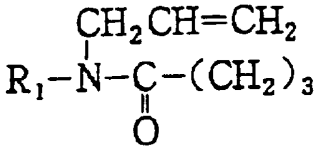

$R_1-N(CH_2(CH_2)_4CH_3)-C(=O)-(CH_2)_5$ 、

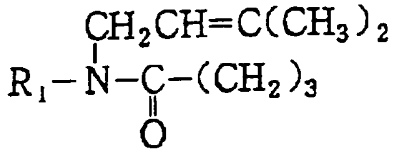

$R_1-N(CH_2CH=CHCH_3)-C(=O)-(CH_2)_5$

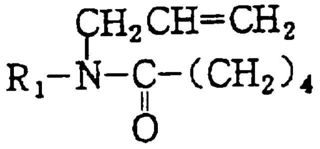

$R_1-L\left[\overset{R_5}{\underset{R_6}{C}}\right]_m\overset{R_7}{\underset{H}{C}}=$

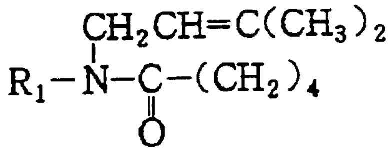

$R_1-N(CH_2CH=CH_2)-C(=O)-(CH_2)_2$ 、

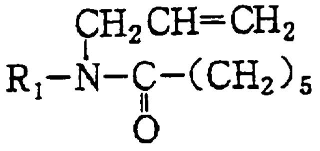

$R_1-N(CH_2CH=C(CH_3)_2)-C(=O)-(CH_2)_2$

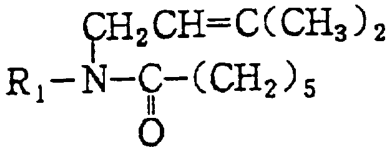

$R_1-N(CH_2CH=CH_2)-C(=O)-(CH_2)_3$ 、

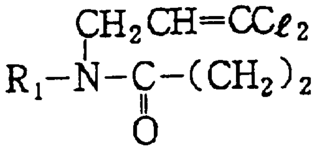

$R_1-N(CH_2CH=C(CH_3)_2)-C(=O)-(CH_2)_3$

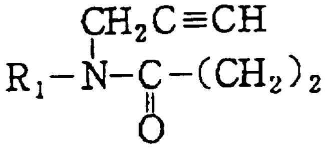

$R_1-N(CH_2CH=CH_2)-C(=O)-(CH_2)_4$ 、

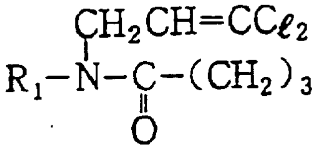

$R_1-N(CH_2CH=C(CH_3)_2)-C(=O)-(CH_2)_4$

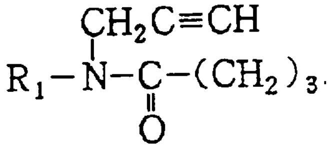

$R_1-N(CH_2CH=CH_2)-C(=O)-(CH_2)_5$ 、

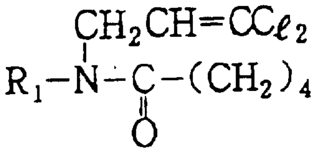

$R_1-N(CH_2CH=C(CH_3)_2)-C(=O)-(CH_2)_5$

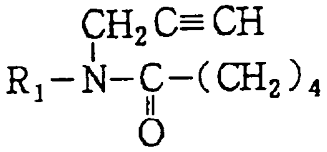

$R_1-N(CH_2CH=CCl_2)-C(=O)-(CH_2)_2$ 、

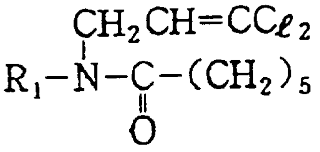

$R_1-N(CH_2C\equiv CH)-C(=O)-(CH_2)_2$

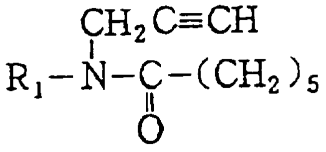

$R_1-N(CH_2CH=CCl_2)-C(=O)-(CH_2)_3$ 、

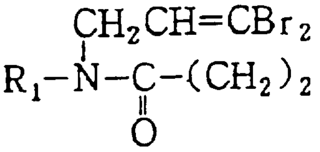

$R_1-N(CH_2C\equiv CH)-C(=O)-(CH_2)_3$

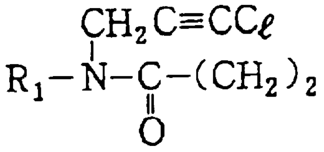

$R_1-N(CH_2CH=CCl_2)-C(=O)-(CH_2)_4$ 、

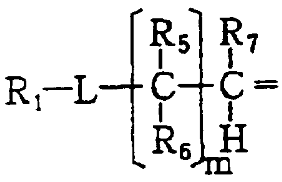

$R_1-N(CH_2C\equiv CH)-C(=O)-(CH_2)_4$


$R_1-N(CH_2CH=CCl_2)-C(=O)-(CH_2)_5$ 、

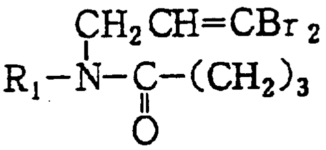

$R_1-N(CH_2C\equiv CH)-C(=O)-(CH_2)_5$


$R_1-N(CH_2CH=CBr_2)-C(=O)-(CH_2)_2$ 、

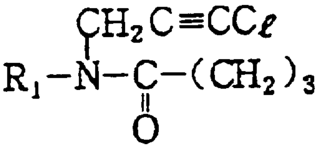

$R_1-N(CH_2C\equiv CCl)-C(=O)-(CH_2)_2$



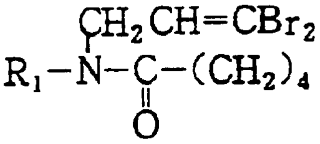
$R_1-L-\left[\begin{array}{c}R_5\\|\\C\\|\\R_6\end{array}\right]_m-\begin{array}{c}R_7\\|\\C\\|\\H\end{array}=$



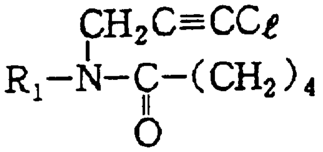
$R_1-\overset{\displaystyle CH_2CH=CBr_2}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_3$ 、



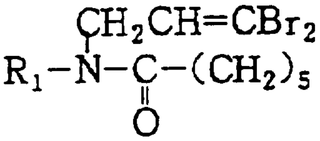
$R_1-\overset{\displaystyle CH_2C\equiv CC\ell}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_3$



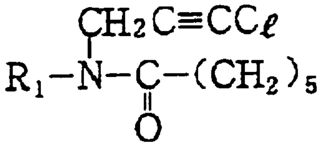
$R_1-\overset{\displaystyle CH_2CH=CBr_2}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_4$ 、



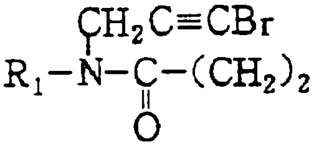
$R_1-\overset{\displaystyle CH_2C\equiv CC\ell}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_4$



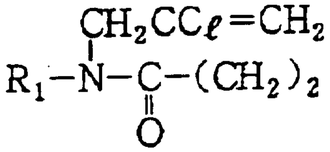
$R_1-\overset{\displaystyle CH_2CH=CBr_2}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_5$ 、



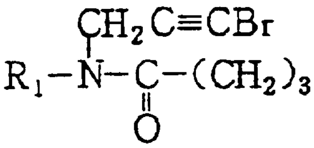
$R_1-\overset{\displaystyle CH_2C\equiv CC\ell}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_5$



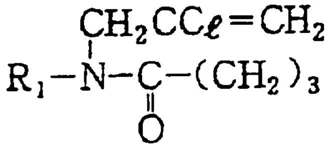
$R_1-\overset{\displaystyle CH_2C\equiv CBr}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_2$ 、



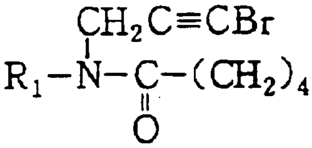
$R_1-\overset{\displaystyle CH_2CC\ell=CH_2}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_2$



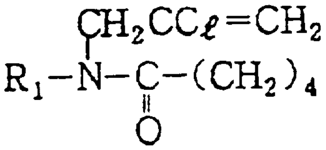
$R_1-\overset{\displaystyle CH_2C\equiv CBr}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_3$ 、



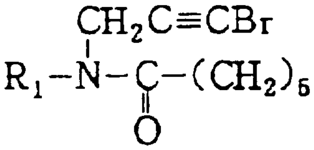
$R_1-\overset{\displaystyle CH_2CC\ell=CH_2}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_3$



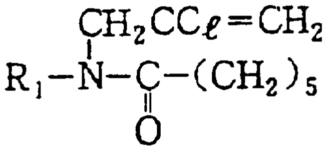
$R_1-\overset{\displaystyle CH_2C\equiv CBr}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_4$ 、



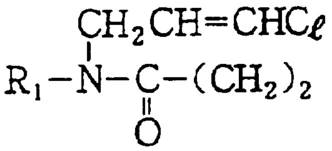
$R_1-\overset{\displaystyle CH_2CC\ell=CH_2}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_4$



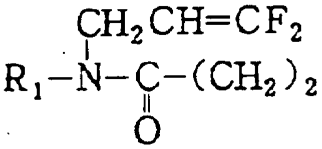
$R_1-\overset{\displaystyle CH_2C\equiv CBr}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_5$ 、



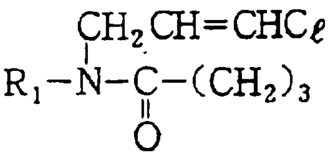
$R_1-\overset{\displaystyle CH_2CC\ell=CH_2}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_5$



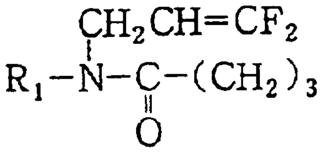
$R_1-\overset{\displaystyle CH_2CH=CHC\ell}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_2$ 、



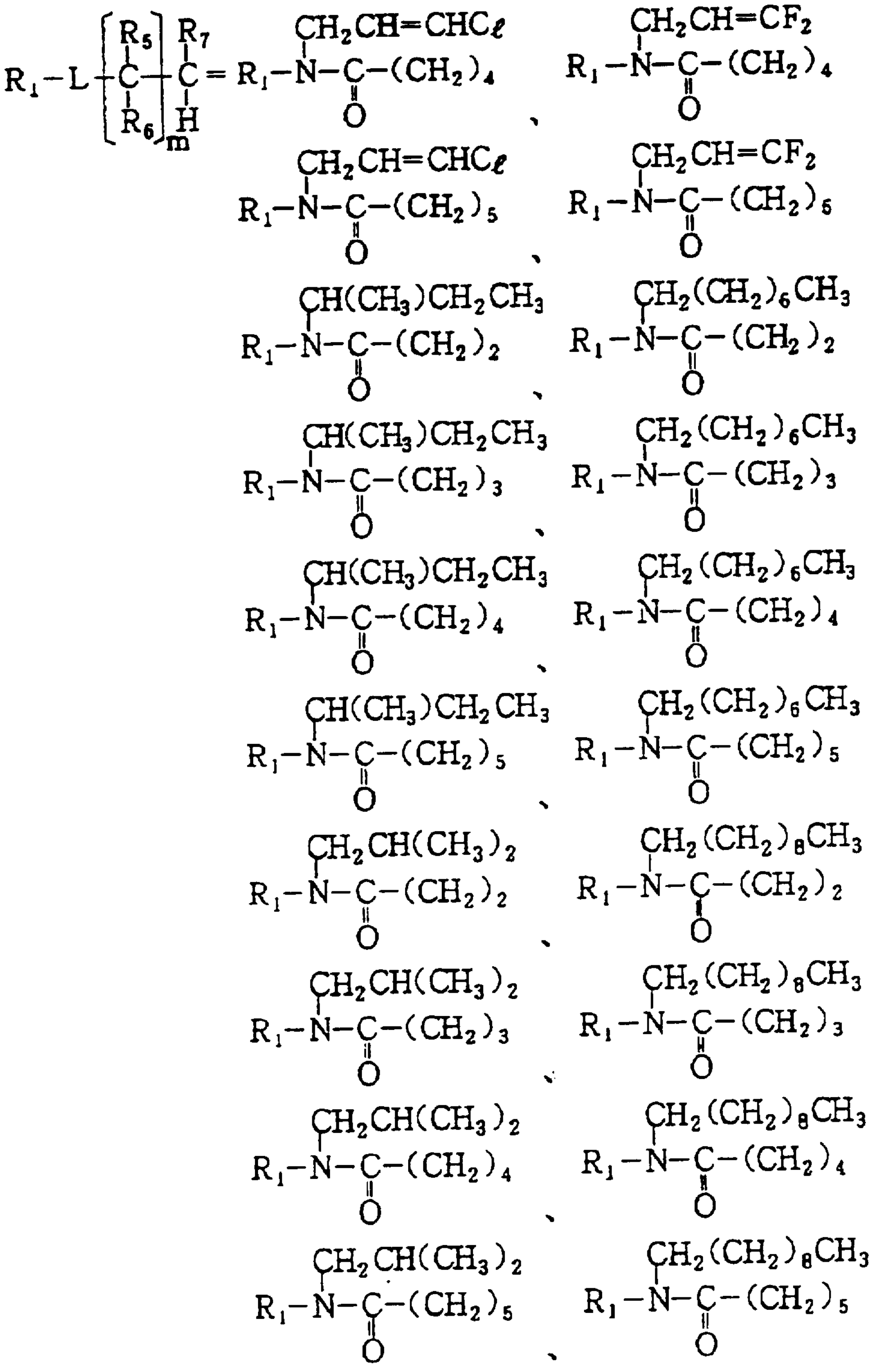
$R_1-\overset{\displaystyle CH_2CH=CF_2}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_2$



$R_1-\overset{\displaystyle CH_2CH=CHC\ell}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_3$ 、



$R_1-\overset{\displaystyle CH_2CH=CF_2}{\overset{|}{N}}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_3$



$R_1-L-\left[\begin{matrix}R_5\\ |\\ C\\ |\\ R_6\end{matrix}\right]_m-\overset{\overset{\displaystyle R_7}{|}}{\underset{\underset{\displaystyle H}{|}}{C}}= R_1-\overset{\overset{\displaystyle CH_2CH=CHC\ell}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_4$ 、 $R_1-\overset{\overset{\displaystyle CH_2CH=CF_2}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_4$

$R_1-\overset{\overset{\displaystyle CH_2CH=CHC\ell}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_5$ 、 $R_1-\overset{\overset{\displaystyle CH_2CH=CF_2}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_5$

$R_1-\overset{\overset{\displaystyle CH(CH_3)CH_2CH_3}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_2$ 、 $R_1-\overset{\overset{\displaystyle CH_2(CH_2)_6CH_3}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_2$

$R_1-\overset{\overset{\displaystyle CH(CH_3)CH_2CH_3}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_3$ 、 $R_1-\overset{\overset{\displaystyle CH_2(CH_2)_6CH_3}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_3$

$R_1-\overset{\overset{\displaystyle CH(CH_3)CH_2CH_3}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_4$ 、 $R_1-\overset{\overset{\displaystyle CH_2(CH_2)_6CH_3}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_4$

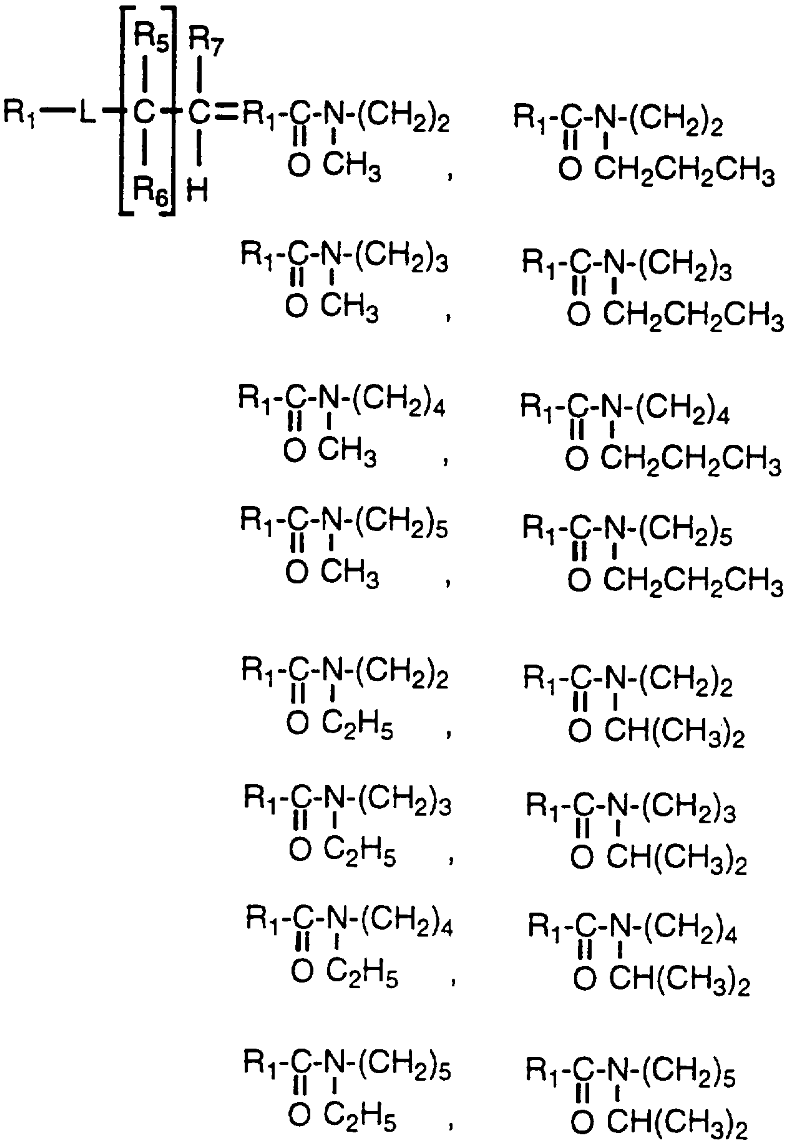
$R_1-\overset{\overset{\displaystyle CH(CH_3)CH_2CH_3}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_5$ 、 $R_1-\overset{\overset{\displaystyle CH_2(CH_2)_6CH_3}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_5$

$R_1-\overset{\overset{\displaystyle CH_2CH(CH_3)_2}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_2$ 、 $R_1-\overset{\overset{\displaystyle CH_2(CH_2)_8CH_3}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_2$

$R_1-\overset{\overset{\displaystyle CH_2CH(CH_3)_2}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_3$ 、 $R_1-\overset{\overset{\displaystyle CH_2(CH_2)_8CH_3}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_3$

$R_1-\overset{\overset{\displaystyle CH_2CH(CH_3)_2}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_4$ 、 $R_1-\overset{\overset{\displaystyle CH_2(CH_2)_8CH_3}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_4$

$R_1-\overset{\overset{\displaystyle CH_2CH(CH_3)_2}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_5$ 、 $R_1-\overset{\overset{\displaystyle CH_2(CH_2)_8CH_3}{|}}{N}-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_5$



$$R_1{-}L{-}\left[\overset{R_5}{\underset{R_6}{\overset{|}{\underset{|}{C}}}}\right]{-}\overset{R_7}{\underset{H}{\overset{|}{\underset{|}{C}}}}{=}R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{CH_3}}{N}{-}(CH_2)_2\text{ ,}\quad R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{CH_2CH_2CH_3}}{N}{-}(CH_2)_2$$

$$R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{CH_3}}{N}{-}(CH_2)_3\text{ ,}\quad R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{CH_2CH_2CH_3}}{N}{-}(CH_2)_3$$

$$R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{CH_3}}{N}{-}(CH_2)_4\text{ ,}\quad R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{CH_2CH_2CH_3}}{N}{-}(CH_2)_4$$

$$R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{CH_3}}{N}{-}(CH_2)_5\text{ ,}\quad R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{CH_2CH_2CH_3}}{N}{-}(CH_2)_5$$

$$R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{C_2H_5}}{N}{-}(CH_2)_2\text{ ,}\quad R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{CH(CH_3)_2}}{N}{-}(CH_2)_2$$

$$R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{C_2H_5}}{N}{-}(CH_2)_3\text{ ,}\quad R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{CH(CH_3)_2}}{N}{-}(CH_2)_3$$

$$R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{C_2H_5}}{N}{-}(CH_2)_4\text{ ,}\quad R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{CH(CH_3)_2}}{N}{-}(CH_2)_4$$

$$R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{C_2H_5}}{N}{-}(CH_2)_5\text{ ,}\quad R_1{-}\underset{\overset{\|}{O}}{C}{-}\underset{\overset{|}{CH(CH_3)_2}}{N}{-}(CH_2)_5$$

(in which R_1 is as defined in Tables 1 to 7).

TABLE 1

R^1	R^1
CH_3-	$(CH_3)_2CHCH_2CH(CH_3)-$
C_2H_5-	$CH_3(CH_2)_5CH(CH_3)-$
$CH_3CH_2CH_2-$	$CH_3(CH_2)_6CH(CH_3)-$
$(CH_3)_2CH-$	CF_3-
$CH_3(CH_2)_2CH_2-$	CF_2H-
$(CH_3)_2CHCH_2-$	CF_2Br-
$CH_3CH_2CH(CH_3)-$	CF_3CH_2-
$(CH_3)_3C-$	CF_3CF_2-
$CH_3(CH_2)_3CH_2-$	FCH_2CH_2-
$(CH_3)_2CHCH_2CH_2-$	$ClCH_2CH_2-$
$(CH_3)_3CCH_2-$	$BrCH_2CH_2-$
$CH_3CH_2C(CH_3)_2-$	ICH_2CH_2-
$CH_3(CH_2)_4CH_2-$	$(Cl)_2CHCH_2-$
$(CH_3)_2CHCH_2CH_2CH_2-$	$BrCF_2CF_2-$
$CH_3(CH_2)_5CH_2-$	CF_2HCF_2-
$CH_3(CH_2)_6CH_2-$	$CFClHCF_2-$
$CH_3(CH_2)_7CH_2-$	$CF_2BrCFH-$
$CH_3(CH_2)_8CH_2-$	$ClCH_2CH_2CH_2-$
$(C_2H_5)_2CH-$	$BrCH_2CH_2CH_2-$
$(C_2H_5)_2CHCH_2-$	$FCH_2CH_2CH_2-$
$CH_3(CH_2)_3CH(CH_3)-$	$ICH_2CH_2CH_2-$
$CH_3(CH_2)_2CH(C_2H_5)-$	$CF_3CH_2CH_2-$
$CH_3CH_2CH(CH_3)(CH_2)_2-$	$CF_3CF_2CH_2-$

TABLE 2

CF_3CFHCF_2-
$FCH_2(CH_2)_3-$
$BrCH_2(CH_2)_3-$
$ClCH_2(CH_2)_3-$
$ICH_2(CH_2)_3-$
$ClC(CH_3)_2CH_2-$
$FCH_2(CH_2)_4-$
$BrCH_2(CH_2)_4-$
$ClCH_2(CH_2)_4-$
$ICH_2(CH_2)_4-$
$CH_3CHClCH_2-$
$CH_3CH(CH_2Br)CH_2-$
$CH_2ClC(CH_3)_2CH_2-$
$CH_2BrC(CH_3)_2CH_2-$
$CH_2BrCHBrCH_2-$
CCl_3CH_2-
CBr_3CH_2-
$CF_2HCF_2CH_2-$
$CF_3CFHCF_2CH_2-$
$CF_2H(CF_2)_3CH_2-$
$CH_2ClCH(CH_3)-$
$CH_2BrCH(CH_3)-$
$CH_2FCH(CH_2F)-$
$CH_2ClCH(CH_2Cl)-$
$CH_2BrCH(CH_2Br)-$
$CH_2BrCH_2CH(CH_2Br)-$

$CF_3CH(CF_3)-$
$CH_2=CH-$
$CHCl=CH-$
$CCl_2=CH-$
$CH_2=CHCH_2-$
$CH_2=CHCH_2CH_2-$
$(CH_3)_2C=CH(CH_2)_2(CH_3)C=CHCH_2-$
$(CH_2=CH)(CH_3CH_2CH_2)CH-$
$(CH_2=CHCH_2)_2CH-$
$CH_2=C(CH_3)CH_2-$
$(CH_3)_2C=CHCH_2-$
$CH=C(CH_3)CH_2CH_2-$
$(CH_2=CH)(CH_3CH_2)CH-$
$ClCH=CHCH_2-$
$CH_3CH=CHCH_2-$
$BrCH=CHCH_2-$
$CH_2=CClCH_2-$
$CH_2=CBrCH_2-$
$CH_2=C(CH_2Cl)CH_2-$
$ClCH_2CH=CHCH_2-$
$CH_3CH_2CH=CHCH_2CH_2-$
$ClCH_2CH=CHCH_2-$
$(Cl_2)C=CHCH_2-$
$(Br_2)C=CHCH_2-$
$(F_2)C=CHCH_2-$

TABLE 3

$(CF_3)(Cl)C=CHCH_2-$

$(F)(CF_2Br)C=CHCH_2-$

$(CF_3)(F)C=CHCH_2-$

$(Cl)_2C=CHCH_2CH_2-$

$(Br)_2C=CHCH_2CH_2-$

$CH_2=C(CH(CH_3)_2)CH_2-$

$CH_3CH=C(C_2H_5)CH_2-$

$CH_2=C(C_2H_5)CH_2-$

$C_2H_5CH=C(CH_3)CH_2-$

$C_2H_5CH=CHCH_2-$

$CH_3CH=C(CH_3)CH_2-$

$CH_3(CH_2)_3CH=CHCH_2-$

$CH_2=CHCH(CH_3)-$

$CH_3CH=CHCH(CH_3)-$

$CH_3CH=CHCH(C_2H_5)-$

$CH_2=CHCH_2CH_2CH(CH_3)-$

$(CH_3)_2C=CHCH(CH_3)-$

$CF_3CH=CHCH_2-$

$CH_2=CHCH(CH_3)CH_2-$

$CH_2=CHCH_2CH_2CH_2-$

$CH_2=CHCH_2(CH_3)CH-$

$CH_2=CHCH(C_2H_5)-$

$CH_3(CH_2)_2CH=CHCH_2-$

$CH_3CH=CH(CH_2)_2CH_2-$

$CH_2=CH(CH_2)_3CH_2-$

$CH_2=CHCH(CH_3CH_2CH_2)-$

$(CH_3)_2=CH(CH_2)_2CH(CH_3)-$

$CH_3(CH_2)_3CH_2CH(CH=CH_2)-$

$(CH_3)_2C=CH(CH_2)_2$
$-CH(CH_3)CH_2CH_2-$

$(CH_3)(Cl)C=CHCH_2-$

$(Cl)_2C=CH(CH_2)_3CH_2-$

$CH\equiv CCH(CH_3)-$

$CH_3C\equiv CCH_2-$

$HC\equiv CCH_2-$

$HC\equiv CCH_2CH_2-$

$CH_3CH_2CH_2C\equiv CCH_2-$

$CH_3CH_2C\equiv CCH_2CH_2-$

$HC\equiv CCH(CH_3)CH_2-$

$HC\equiv CCH(CH_3(CH_2)_4)-$

$HC\equiv CCH_2CH(CH_3)-$

$CH_3CH_2C\equiv CCH_2-$

$HC\equiv CCH_2CH_2CH_2-$

$CH_3C\equiv CCH_2CH_2-$

$HC\equiv CCH(C_2H_5)-$

$HC\equiv CCH_2CH_2CH_2CH_2-$

$CH_3(CH_2)_4C\equiv CCH_2CH_2-$

$ClC\equiv CCH(CH_3)-$

$BrC\equiv CCH(CH_3)-$

TABLE 4

$ClC\equiv CCH_2-$	$CH_2(CH_3O)CH_2CH_2-$
$BrC\equiv CCH_2-$	$CH_3CH(CH_3O)CH_2-$
$ClC\equiv CCH_2CH_2-$	$CH_3CH_2CH(CH_3O)-$
$BrC\equiv CCH_2CH_2-$	$CH_3OCH_2CH(CH_3)-$
$ClC\equiv CCH(CH_3)CH_2-$	$CH_3(CH_2)_2OCH_2CH_2-$
$BrC\equiv CCH(CH_3)CH_2-$	$CH_3CH_2OCH(CH_3)CH_2-$
$ClC\equiv CCH_2CH(CH_3)-$	$CH_3CH_2OCH_2CH(CH_3)-$
$BrC\equiv CCH_2CH(CH_3)-$	$CH_3OCH(C_2H_5)CH_2-$
$ClC\equiv CCH_2CH_2CH_2-$	$CH_3OCH_2CH(C_2H_5)-$
$BrC\equiv CCH_2CH_2CH_2-$	$C_2H_5OCH_2CH_2CH_2-$
$ClC\equiv CCH(C_2H_5)-$	$CH_3OCH(CH_3)CH_2CH_2-$
$BrC\equiv CCH(C_2H_5)-$	$CH_3OCH_2CH(CH_3)CH_2-$
$CH_3(CH_2)_3OCH_2CH(CH_3)-$	$CH_3OCH_2CH_2CH(CH_3)-$
$CH_3(CH_2)_3OCH_2CH_2-$	$CH_3SCH_2(CH_2)_2CH_2-$
$(CH_3)_2CHOCH_2CH_2-$	CH_3SCH_2-
$(CH_3)_2(CH_3O)CCH_2CH_2-$	$CH_3CH_2SCH_2-$
$CH_3(CH_3O)CHCH_2CH_2-$	$CH_3CH_2CH_2SCH_2-$
$CH_3OCH_2CH_2-$	$(CH_3)_2CHSCH_2-$
$CH_3CH_2OCH_2-$	$CH_3SCH_2CH_2-$
CH_3OCH_2-	$CH_3SCH(CH_3)-$
$(CH_3)_2CHOCH_2-$	$CH_3CH_2SCH_2CH_2-$
$CH_3CH_2CH_2OCH_2-$	$CH_3CH_2SCH(CH_3)-$
$CH_3CH(OCH_3)-$	$CH_3SCH_2CH_2CH_2-$
$CH_3CH_2OCH_2CH_2-$	$CH_3S(CH_3)CHCH_2-$
$CH_3CH(OC_2H_5)-$	$CH_3SCH(CH_3CH_2)-$

TABLE 5

$CH_3SCH_2CH(CH_3)-$
$(CH_3)_2CHSCH_2CH_2-$
$CH_3CH_2CH_2SCH_2CH_2-$
$CH_3SCH(CH_3)C(CH_3)H-$
$CH_3SCH(C_2H_5)CH_2-$
$CH_3SCH_2CH(C_2H_5)-$
$CH_3CH_2SCH(CH_3)CH_2-$
$CH_3CH_2SCH_2CH(CH_3)-$
$CH_3CH_2SCH_2CH_2CH_2-$
$CH_3SCH(CH_3)CH_2CH_2-$
$CH_3SCH_2CH(CH_3)CH_2-$
$CH_3SCH_2CH_2CH(CH_3)-$
$(CH_3)_3CSCH_2CH_2-$
$(CH_3)_2CHCH_2SCH_2CH_2-$
$CH_3CH_2CH(CH_3)SCH_2CH_2-$
$(CH_3)_3CSCH_2CH_2CH_2-$
$(CH_3)_2CHCH_2SCH_2CH_2CH_2-$
$CH_3CH_2CH(CH_3)SCH_2CH_2CH_2-$
3-methoxycyclohexyl
3-ethoxycyclohexyl
3-propoxycyclohexyl
3-isopropoxycyclohexyl
3-butoxycyclohexyl
3-isobutyloxycyclohexyl

3-sec-butyloxycyclohexyl
3-tert-butyloxycyclohexyl

4-methoxycyclohexyl
4-ethoxycyclohexyl
4-propoxycyclohexyl
4-isopropoxycyclohexyl
4-butoxycyclohexyl
4-isobutyloxycyclohexyl
4-sec-butyloxycyclohexyl
4-tert-butyloxycyclohexyl

2-methoxycyclopentyl
2-ethoxycyclopentyl
2-propoxycyclopentyl
2-isopropoxycyclopentyl
2-butoxycyclopentyl
2-isobutyloxycyclopentyl
2-sec-butyloxycyclopentyl
2-tert-butyloxycyclopentyl

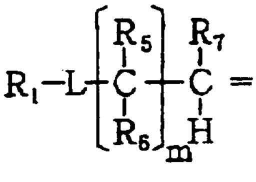
cyclopropyl
cyclobutyl
cyclopentyl

TABLE 6

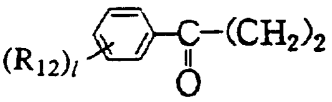
- cyclohexyl
- 2,3-dimethylcyclohexyl
- 2-ethylcyclohexyl
- 3,3,5,5-tetramethylcyclohexyl
- 3,4-dimethylcyclohexyl
- 3,5-dimethylcyclohexyl
- 4-ethylcyclohexyl
- 2-methylcyclohexyl
- 3-methylcyclohexyl
- 4-methylcyclohexyl
- 3-methylcyclopentyl
- 2-methylcyclopentyl
- 3-(trifluoromethoxy)cyclohexyl
- 4-(trifluoromethoxy)cyclohexyl
- 3-(trifluoromethoxy)cyclopentyl
- 3-(difluoromethoxy) cyclohexyl
- 4-(difluoromethoxy)cyclohexyl
- 3-(difluoromethoxy)cyclopentyl
- 3-(difluorobromomethoxy)cyclohexyl
- 4-(difluorobromomethoxy)cyclohexyl
- 3-(difluorobromomethoxy)cyclopentyl
- 3-(2,2,2-trifluoroethoxy)cyclohexyl
- 4-(2,2,2-trifluoroethoxy)cyclohexyl
- 3-(2,2,2-trifluoroethoxy)cyclopentyl
- 3-(1,1,2,2,2-pentafluoroethoxy)cyclohexyl
- 4-(1,1,2,2,2-pentafluroethoxy)cyclohexyl
- 3-(1,1,2,2,2-pentafluoroethoxy)cyclopentyl
- 3-(2-chloroethoxy)cyclohexyl
- 3-(2-chloroethoxy)cyclopentyl
- 4-(2-chloroethoxy)cyclohexyl
- 3-(2-bromoethoxy)cyclohexyl

TABLE 7

3-(2-bromoethoxy)cyclopentyl
4-(2-bromoethoxy)cyclohexyl
3-(2-chloro-1,1,2-trifluoroethoxy)cyclohexyl
3-(2-chloro-1,1,2-trifluoroethoxy)cyclopentyl
4-(2-chloro-1,1,2-trifluoroethoxy)cyclohexyl
3-(2-bromo-1,1,2-trifluoroethoxy)cyclohexyl
3-(2-bromo-1,1,2-trifluoroethoxy)cyclopentyl
4-(2-bromo-1,1,2-trifluoroethoxy)cyclohexyl
3-(1,1,2,2-tetrafluoroethoxy)cyclohexyl
3-(1,1,2,2-tetrafluoroethoxy)cyclopentyl
4-(1,1,2,2-tetrafluoroethoxy)cyclohexyl
3-(1,2,2,3,3,3-hexafluoropropoxy)cyclohexyl
3-(1,2,2,3,3,3-hexafluoropropoxy)cyclopentyl
4-(1,2,2,3,3,3-hexafluoropropoxy)cyclohexyl
2-cyclohexylethyl
cyclobutylmethyl
cyclopropylmethyl
1-cyclopropylethyl
cyclohexylmethyl
cyclopentylmethyl
2-methylcyclopropanemethyl
3-cyclopentylpropyl
3-cyclohexylpropyl
2-(2-methylcycropropylethyl
2-cyclohexenyl
3,5,5-trimethyl-2-cyclohexenyl
3-methyl-2-cyclohexenyl
2-(3-cyclohexenyl)ethyl
(3-cyclohexenyl)methyl
(1-cyclopentenyl)methyl
2-cyclopentenyl
3-cyclopentenyl
3-cyclohexenyl



$R_1-L-\left[C(R_5)(R_6)\right]_m-C(R_7)(H)$ =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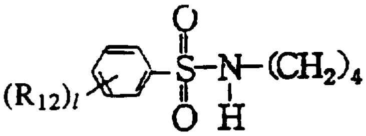

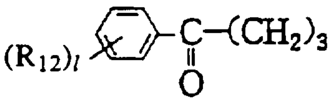
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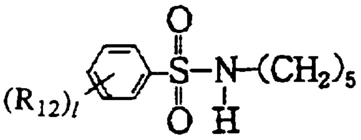

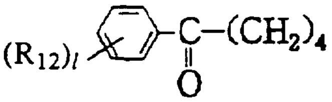
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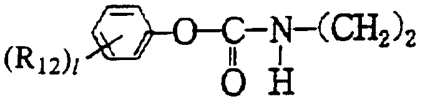

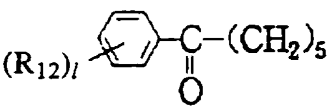
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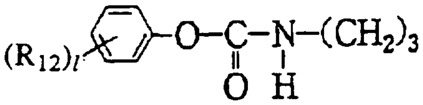

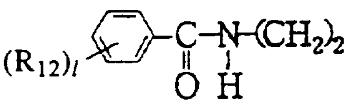
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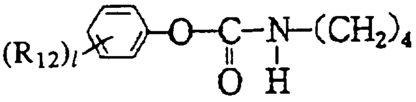

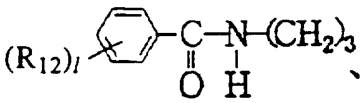
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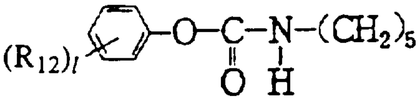

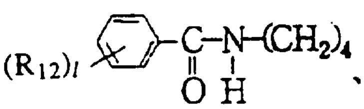
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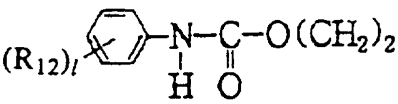

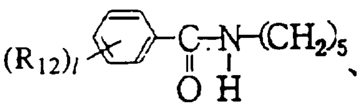
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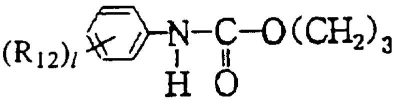
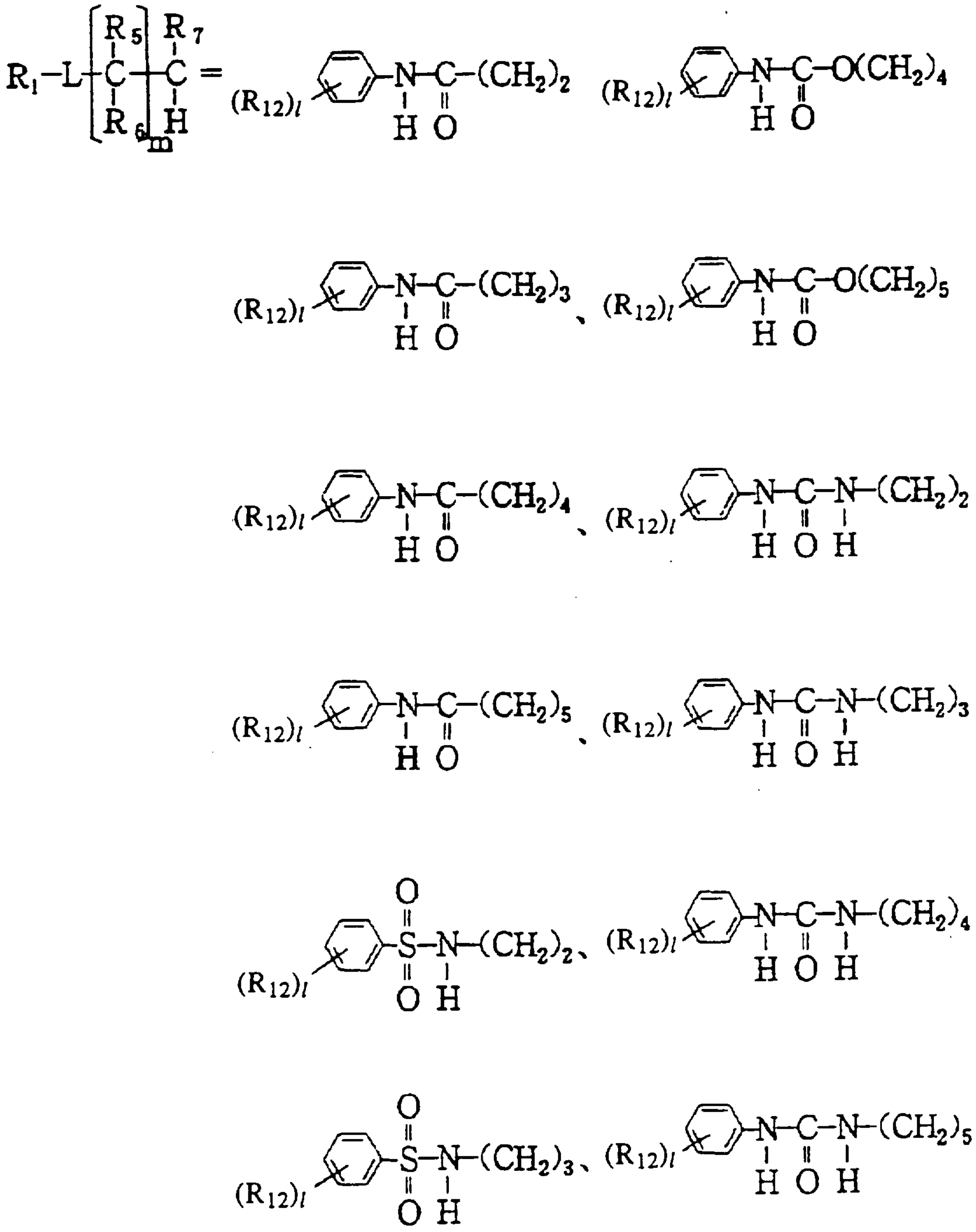




(in which $(R_{12})_\ell$ is as defined in Tables 8 to 21).

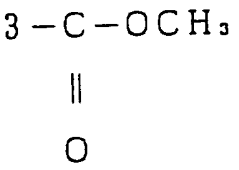
TABLE 8

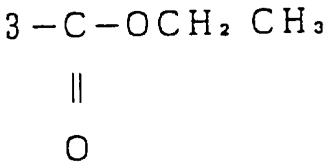
$(R_{12})_l$	$(R_{12})_l$
H	3-$OCH_2C_6H_5$
2-CH_3	3-OCF_3
2-F	3-OCF_2CF_2H
2-CF_3	3-NO_2
2-Cl	3-OC_6H_4 (p-CH_3)
2-Br	3-OC_6H_4 (p-C(CH_3)$_3$)
2-I	3-OC_6H_4 (m-CF_3)
2-OCH_3	3-OC_6H_4 (p-Cl)
2-OCH_2CH_3	3-OC_6H_3 (3, 4-Cl_2)
2-C_6H_5	3-OC_6H_3 (3, 5-Cl_2)
2-NO_2	3-OC_6H_4 (p-OCH_3)
2-C_6H_4 (p-CF_3)	3-CN
2-$CH_2C_6H_5$	3-CH_3
2-OC_6H_5	3-CH_2CH_3
2-CN	3-$CH_2CH_2CH_3$
3-CH_3	3-CH(CH_3)$_2$
3-F	3-C(CH_3)$_3$
3-CF_3	3-OCF_2Br
3-Cl	3-OCF_2H
3-Br	3-OCF_2CFHCF_3
3-I	3-OCH_2CF_2
3-OCH_3	3-OCH_2CH_3
3-OC_6H_5	3-$OCH_2CH_2CH_3$

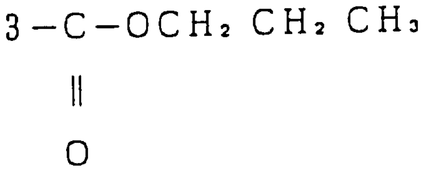
TABLE 9

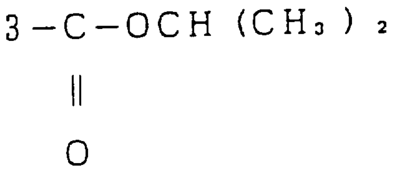
$3-OCH_2(CH_2)_2CH_3$
$3-OCH(CH_3)_2$
$3-OCH(CH_3)CH_2CH_3$
$3-OCH_2CH(CH_3)CH_3$
$3-OC(CH_3)_3$
$3-OCH_2CH=CH_2$
$3-OCH_2CH=C(Cl)_2$
$3-OCH_2CH=C(Br)_2$
$3-OCH_2CH=CH(Cl)$
$3-OCH_2C(Cl)=CH(Cl)$
$3-OCH_2CH=(CH_3)_2$
$3-OCH_2CH=CH(CH_3)$
$3-OCH_2C(CH_3)=CH_2$
$3-OCH_2CBr=CH(Br)$
$3-CH_2OH$
$3-CH_2OCH_3$
$3-CH_2OCH_2CH_3$
$3-CH_2OCH_2CH_2CH_3$
$3-CH_2OCH(CH_3)_2$
$3-OCH_2C\equiv CH$
$3-OCH_2C\equiv C-Cl$
$3-OCH_2C\equiv C-Br$
$3-OCH_2C\equiv C-CH_3$
$3-OCH(CH_3)C\equiv CH$
3-cyclopentyl
3-cyclohexyl

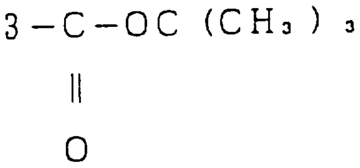
3-(3-cyclopentenyl)
3-(4-cyclopentenyl)


$3-\underset{\underset{O}{\|}}{C}-OCH_3$


$3-\underset{\underset{O}{\|}}{C}-OCH_2CH_3$


$3-\underset{\underset{O}{\|}}{C}-OCH_2CH_2CH_3$


$3-\underset{\underset{O}{\|}}{C}-OCH(CH_3)_2$


$3-\underset{\underset{O}{\|}}{C}-OC(CH_3)_3$

3-cyclopropyloxy
3-cyclobutyloxy
3-cyclopentyloxy
3-cyclohexyloxy
3-(3-cyclohexenyl)
3-(4-cyclohexenyl)
3-(5-cyclohexenyl)
3-(3-cyclopentenyloxy)
3-(4-cyclopentenyloxy)

TABLE 10

3-(3-cyclohexenyloxy)	3-$OCH_2C_6H_4$(p-CH_3)
3-(4-cyclohexenyloxy)	3-$OCH_2C_6H_4$(p-CF_3)
3-(5-cyclohexenyloxy)	3-SCF_2CF_2H
3-$CH_2C_6H_5$	3-SCH_3
3-$OCH_2CH=C(Cl)(CH_3)$	3-SCH_2CH_3
3-$OCH_2CH=C(CH_3)(CF_3)$	3-$OCH_2C(Cl)=CH_2$
3-OC_6H_4(o-Cl)	4-CH_3
3-OC_6H_4(o-F)	4-CH_2CH_3
3-OC_6H_4(o-CH_3)	4-$CH(CH_3)_2$
3-OC_6H_4(m-Cl)	4-$C(CH_3)_3$
3-OC_6H_4(m-F)	4-$CH_2(CH_2)_2CH_3$
3-OC_6H_4(m-CH_3)	4-F
3-$OCH_2C_6H_4$(o-Cl)	4-CF_3
3-$OCH_2C_6H_4$(o-F)	4-Cl
3-$OCH_2C_6H_4$(o-Br)	4-Br
3-$OCH_2C_6H_4$(o-CH_3)	4-OCH_3
3-$OCH_2C_6H_4$(o-CF_3)	4-OCH_2CH_3
3-$OCH_2C_6H_4$(m-Cl)	4-$OCH_2(CH_2)_2CH_3$
3-$OCH_2C_6H_4$(m-F)	4-OCF_3
3-$OCH_2C_6H_4$(m-Br)	4-C_6H_5
3-$OCH_2C_6H_4$(m-CH_3)	4-$OCH_2C_6H_5$
3-$OCH_2C_6H_4$(m-CF_3)	4-$OCH_2CH_2CH_3$
3-$OCH_2C_6H_4$(p-Cl)	4-OCF_3
3-$OCH_2C_6H_4$(p-Br)	4-SCH_3
3-$OCH_2C_6H_4$(p-F)	4-NO_2

TABLE 11

$4-OC_6H_5$

$4-CH_2(CH_2)_3CH_3$

$4-CH_2(CH_2)_4CH_3$

$4-CH_2(CH_2)_5CH_3$

$4-CH_2(CH_2)_6CH_3$

$4-CH=CH_2$

$4-I$

$4-OCH_2(CH_2)_3CH_3$

$4-OCH_2(CH_2)_4CH_3$

$4-OCH_2(CH_2)_5CH_3$

$4-OCH(CH_3)_2$

4-(2-cyclohexenyl)

$4-SCH_2CH_3$

$4-C_6H_4(p-CH_2CH_3)$

$4-CN$

$4-OCF_2Br$

$4-OCF_2H$

$4-OCF_2CFHCF_3$

$4-OCH_2CF_3$

$4-OCF_2CF_2H$

$4-SCF_2CF_2H$

$4-SCH(CH_3)_2$

$4-OCH_2CH=CH_2$

$4-OCH_2CH=C(Cl)_2$

$4-OCH_2CH=C(Br)_2$

$4-OCH_2CH=CH(Cl)$

$4-OCH_2C(Cl)=CH(Cl)$

$4-OCH_2CH=C(CH_3)_2$

$4-OCH_2CH=CH(CH_3)$

$4-OCH_2C(CH_3)=CH_2$

$4-OCH_2C(Cl)=CH_2$

$4-OCH_2C(Br)=CH_2$ (Br)

$4-CH_2OH$

$4-CH_2OCH_3$

$4-CH_2OCH_2CH_3$

$4-CH_2OCH_2CH_2CH_3$

$4-CH_2OCH(CH_3)_2$

$4-OCH_2C\equiv CH$

$4-OCH_2C\equiv C-Cl$

$4-OCH_2C\equiv C-Br$

$4-OCH_2C\equiv C-CH_3$

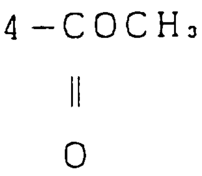
$4-OCH(CH_3)C\equiv CH$

4-cyclopentyl

4-cyclohexyl

4-(3-cyclopentenyl)

4-(4-cyclopentenyl)



$4-\underset{\underset{O}{\|}}{C}OCH_3$

TABLE 12

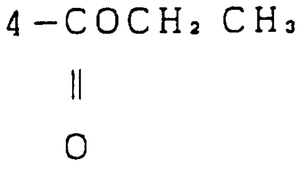
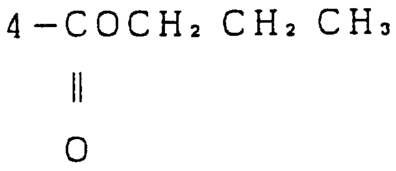
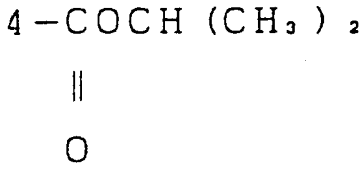
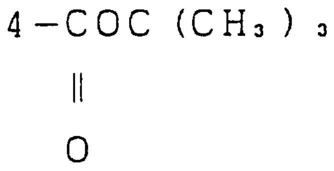
 $4-\underset{\overset{\|}{O}}{C}OCH_2CH_3$	$4-OCH_2CH=C(Cl)CH_3$
	$4-OCH_2CH=C(CH_3)CF_3$
	$4-OC_6H_4(o-Cl)$
 $4-\underset{\overset{\|}{O}}{C}OCH_2CH_2CH_3$	$4-OC_6H_4(o-F)$
	$4-OC_6H_4(o-CH_3)$
	$4-OC_6H_4(m-Cl)$
 $4-\underset{\overset{\|}{O}}{C}OCH(CH_3)_2$	$4-OC_6H_4(m-F)$
	$4-OC_6H_4(m-CH_3)$
	$4-OCH_2C_6H_4(o-Cl)$
 $4-\underset{\overset{\|}{O}}{C}OC(CH_3)_3$	$4-OCH_2C_6H_4(o-F)$
	$4-OCH_2C_6H_4(o-Br)$
	$4-OCH_2C_6H_4(o-CH_3)$
4-cyclopropyloxy	$4-OCH_2C_6H_4(o-CF_3)$
4-cyclobutyloxy	$4-OCH_2C_6H_4(m-Cl)$
4-cyclopentyloxy	$4-OCH_2C_6H_4(m-F)$
4-cyclohexyloxy	$4-OCH_2C_6H_4(m-Br)$
4-(3-cyclohexenyl)	$4-OCH_2C_6H_4(m-CH_3)$
4-(4-cyclohexenyl)	$4-OCH_2C_6H_4(m-CF_3)$
4-(5-cyclohexenyl)	$4-OCH_2C_6H_4(p-Cl)$
4-(3-cyclopentenyloxy)	$4-OCH_2C_6H_4(p-Br)$
4-(4-cyclopentenyloxy)	$4-OCH_2C_6H_4(p-F)$
4-(3-cyclohexenyloxy)	$4-OCH_2C_6H_4(p-CH_3)$
4-(4-cyclohexenyloxy)	$4-OCH_2C_6H_4(p-CF_3)$
4-(5-cyclohexenyloxy)	$4-SCF_2CF_2H$
$4-CH_2C_6H_5$	$4-OCH_2C(Cl)=CH_2$

TABLE 13

$2,6-F_2$	$3-CH_3, 4-NO_2$
$2,3-F_2$	$3-NO_2, 4-CH_3$
$2-F, 6-Cl$	$2-NO_2, 4-Cl$
$2,6-Cl_2$	$3-NO_2, 4-Cl$
$2,3-(OCH_3)_2$	$2-Cl, 5-NO_2$
$2,4-(CH_3)_2$	$2-NO_2, 5-Cl$
$2,4-(CH_3)_2$	$3-OCH_3, 4-NO_2$
$2,5-(CH_3)_2$	$2-CH_3, 3-F$
$3,4-F_2$	$2-F, 3-CF_3$
$2,4-F_2$	$2,3-Cl_2$
$2,5-F_2$	$2,6-(OCH_3)_2$
$2,4-Cl_2$	$2-Cl, 6-NO_2$
$3,4-Cl_2$	$2-NO_2, 3-OCH_3$
$2,5-Cl_2$	$2,6-(NO_2)_2$
$2,4-(OCH_3)_2$	$2-Cl, 5-CF_3$
$2,5-(OCH_3)_2$	$3-Cl, 4-F$
$2-OCH_3, 5-Br$	$2-Cl, 4-F$
$3,4-OCH_3O-$	$3-Br, 4-F$
$3,5-(CH_3)_2$	$2-OCH_3, 5-Br$
$3,5-(CF_3)_2$	$3,4-OCH_2CH_2O-$
$3,5-F_2$	$3-NO_2, 5-Cl$
$3,5-Cl_2$	$2,4-(NO_2)_2$
$3,5-(OCH_3)_2$	$3,5-(OCH_2C_6H_5)_2$
$2-CH_3, 4-C_6H_5$	$3,4-(OCH_2C_6H_5)_2$
$2-NO_2, 4-Cl$	$2-F, 6-CF_3$
$2-NO_2, 5-CH_3$	$2-F, 3-CF_3$

TABLE 14

2, 6-(CF$_3$)$_2$
2-NO$_2$, 6-CH$_3$
2-NO$_2$, 3-CH$_3$
2-CH$_3$, 3-NO$_2$
2-NO$_2$, 3-Cl
2-Cl, 3-NO$_2$
2-Br, 3-NO$_2$
2-NO$_2$, 3-OCH$_3$
2-CH$_3$, 5-F
3-F, 4-CH$_3$
3-Br, 4-CH$_3$
2, 4-(CF$_3$)$_2$
3-I, 4-CH$_3$
2-Cl, 5-CF$_3$
2, 5-(CF$_3$)$_2$
2-F, 4-CF$_3$
2-Cl, 4-F
3-OCH$_3$, 4-CH$_3$
2-OCH$_3$, 4-Cl
2-OCH$_3$, 5-Cl
2-Br, 5-OCH$_3$
3, 4-(OCH$_2$CH$_3$)$_2$
2-Cl, 5-SCH$_3$
2-OCH$_3$, 4-SCH$_3$
3-CH$_3$, 4-NO$_2$

2-CH$_3$, 5-NO$_2$
2-NO$_2$, 4-CF$_3$
2-F, 5-NO$_2$
2-Cl, 4-NO$_2$
3-NO$_2$, 4-F
2-Br, 5-NO$_2$
3-NO$_2$, 4-OCH$_3$
3, 5-(C(CH$_3$)$_3$)$_2$
2, 3-(CH$_3$)$_2$, 4-OCH$_3$
3-CH$_3$, 2, 4-(OCH$_3$)$_2$
2, 3, 4-(OCH$_3$)$_3$
3, 4, 5-(OCH$_3$)$_3$
2, 3, 4, 5, 6-F$_5$
2, 4, 6-(CH$_3$)$_3$
2, 3, 6-Cl$_3$
3, 4-(OCH$_3$)$_2$, 5-Br
2, 4, 6-(OCH$_3$)$_3$
2, 4-(OCH$_3$)$_2$, 5-Br
2-Br, 4, 5-(OCH$_3$)$_2$
2, 4, 5-(OCH$_3$)$_3$
2-NO$_2$, 3, 4-(OCH$_3$)$_2$
2-NO$_2$, 3, 4-OCH$_2$O-
2, 5-Cl$_2$, 4-CHF$_2$
2, 3, 4-F$_3$
2, 3, 6-Cl$_3$

TABLE 15

2, 3, 5, 6-F_4
2, 3, 6-F_3
2, 4, 6-F_3
3, 4, 5-F_3
2, 4, 6-Cl_3
2, 3, 5-Cl_3
2, 3, 5-I_3
2, 4, 5-F_3
2, 4-Cl_2, 5-F
2, 3, 4, 5-F_4
2, 3, 5, 6-F_4, 4-CH_3
2, 3, 5, 6-F_4, 4-Br
3-Cl, 4-OCH_3
3-Cl, 4-OCH_2CH_3
3-Cl, 4-$OCH_2CH_2CH_3$
3-Cl, 4-$OCH(CH_3)_2$
3-Cl, 4-$OCH_2(CH_2)_2CH_3$
3-Cl, 4-$OCH(CH_3)CH_2CH_3$
3-Cl, 4-$OCH_2CH(CH_3)_2$
3-Cl, 4-$OC(CH_3)_3$
3-Cl, 4-OCF_3
3-Cl, 4-OCF_2Br
3-Cl, 4-OCF_2H
3-Cl, 4-OCF_2CF_2H
3-Cl, 4-OCF_2CFHCF_3
3-Cl, 4-OCH_2CF_3
3-Cl, 4-OC_6H_5
3-Cl, 4-$OCH_2C_6H_5$
3-Cl, 4-cyclopentyloxy
3-Cl, 4-cyclohexyloxy
3-Br, 4-OCH_3
3-Br, 4-OCH_2CH_3
3-Br, 4-$OCH_2CH_2CH_3$
3-Br, 4-$OCH(CH_3)_2$
3-Br, 4-$OCH_2(CH_2)_2CH_3$
3-Br, 4-$OCH(CH_3)CH_2CH_3$
3-Br, 4-$OCH_2CH(CH_3)_2$
3-Br, 4-$OC(CH_3)_3$
3-Br, 4-OCF_3
3-Br, 4-OCF_2Br
3-Br, 4-OCF_2H
3-Br, 4-OCF_2CF_2H
3-Br, 4-OCF_2CFHCF_3
3-Br, 4-OCH_2CF_3
3-Br, 4-OC_6H_5
3-Br, 4-$OCH_2C_6H_5$
3-Br, 4-cyclopentyloxy
3-Br, 4-cyclohexyloxy
3-F, 4-OCH_3
3-F, 4-OCH_2CH_3

TABLE 16

3-F, 4-$OCH_2CH_2CH_3$	3-CH_3, 4-OCF_3
3-F, 4-$OCH(CH_3)_2$	3-CH_3, 4-OCF_2Br
3-F, 4-$OCH_2(CH_2)_2CH_3$	3-CH_3, 4-OCF_2H
3-F, 4-$OCH(CH_3)CH_2CH_3$	3-CH_3, 4-OCF_2CF_2H
3-F, 4-$OCH_2CH(CH_3)_2$	3-CH_3, 4-OCF_2CFHCF_3
3-F, 4-$OC(CH_3)_3$	3-CH_3, 4-OCH_2CF_3
3-F, 4-OCF_3	3-CH_3, 4-OC_6H_5
3-F, 4-OCF_2Br	3-CH_3, 4-$OCH_2C_6H_5$
3-F, 4-OCF_2H	3-CH_3, 4-cyclopentyloxy
3-F, 4-OCF_2CF_2H	3-CH_3, 4-cyclohexyloxy
3-F, 4-OCF_2CFHCF_3	3-OCH_3, 4-OCH_3
3-F, 4-OCH_2CF_3	3-OCH_3, 4-OCH_2CH_3
3-F, 4-OC_6H_5	3-OCH_3, 4-$OCH_2CH_2CH_3$
3-F, 4-$OCH_2C_6H_5$	3-OCH_3, 4-$OCH(CH_3)_2$
3-F, 4-cyclopentyloxy	3-OCH_3, 4-$OCH_2(CH_2)_2CH_3$
3-F, 4-cyclohexyloxy	3-OCH_3, 4-$OCH(CH_3)CH_2CH_3$
3-CH_3, 4-OCH_3	3-OCH_3, 4-$OCH_2CH(CH_3)_2$
3-CH_3, 4-OCH_2CH_3	3-OCH_3, 4-$OC(CH_3)_3$
3-CH_3, 4-$OCH_2CH_2CH_3$	3-OCH_3, 4-OCF_3
3-CH_3, 4-$OCH(CH_3)_2$	3-OCH_3, 4-OCF_2Br
3-CH_3, 4-$OCH_2(CH_2)_2CH_3$	3-OCH_3, 4-OCF_2H
3-CH_3, 4-$OCH(CH_3)CH_2CH_3$	3-OCH_3, 4-OCF_2CF_2H
3-CH_3, 4-$OCH_2CH(CH_3)_2$	3-OCH_3, 4-OCF_2CFHCF_3
3-CH_3, 4-$OC(CH_3)_3$	3-OCH_3, 4-OCH_2CF_3

TABLE 17

3-OCH_3, 4-OC_6H_5
3-OCH_3, 4-$OCH_2C_6H_5$
3-OCH_3, 4-cyclopentyloxy
3-OCH_3, 4-cyclopentyloxy
3-OCH_2CH_3, 4-OCH_3
3-OCH_2CH_3, 4-OCH_2CH_3
3-OCH_2CH_3, 4-$OCH_2CH_2CH_3$
3-OCH_2CH_3, 4-$OCH(CH_3)_2$
3-OCH_2CH_3, 4-$OCH_2(CH_2)_2CH_3$
3-OCH_2CH_3, 4-$OCH(CH_3)CH_2CH_3$
3-OCH_2CH_3, 4-$OCH_2CH(CH_3)_2$
3-OCH_2CH_3, 4-$OC(CH_3)_3$
3-OCH_2CH_3, 4-OCF_3
3-OCH_2CH_3, 4-OCF_2Br
3-OCH_2CH_3, 4-OCF_2H
3-OCH_2CH_3, 4-OCF_2CF_2H
3-OCH_2CH_3, 4-OCF_2CFHCF_3
3-OCH_2CH_3, 4-OCH_2CF_3
3-OCH_2CH_3, 4-OC_6H_5
3-OCH_2CH_3, 4-$OCH_2C_6H_5$
3-OCH_2CH_3, 4-cyclohexyloxy
3-OCH_2CH_3, 4-cyclopentyloxy

4-Cl, 3-OCH_3
4-Cl, 3-OCH_2CH_3
4-Cl, 3-$OCH_2CH_2CH_3$
4-Cl, 3-$OCH(CH_3)_2$
4-Cl, 3-$OCH_2(CH_2)_2CH_3$
4-Cl, 3-$OCH(CH_3)CH_2CH_3$
4-Cl, 3-$OCH_2CH(CH_3)_2$
4-Cl, 3-$OC(CH_3)_3$
4-Cl, 3-OCF_3
4-Cl, 3-OCF_2Br
4-Cl, 3-OCF_2H
4-Cl, 3-OCF_2CF_2H
4-Cl, 3-OCF_2CFHCF_3
4-Cl, 3-OCH_2CF_3
4-Cl, 3-OC_6H_5
4-Cl, 3-$OCH_2C_6H_5$
4-Cl, 3-cyclopentyloxy
4-Cl, 3-cyclohexyloxy
4-Br, 3-OCH_3
4-Br, 3-OCH_2CH_3
4-Br, 3-$OCH_2CH_2CH_3$
4-Br, 3-$OCH(CH_3)_2$
4-Br, 3-$OCH_2(CH_2)_2CH_3$
4-Br, 3-$OCH(CH_3)CH_2CH_3$
4-Br, 3-$OCH_2CH(CH_3)_2$
4-Br, 3-$OC(CH_3)_3$

TABLE 18

4-Br, 3-OCF_3	4-F, 4-$OCH_2C_6H_5$
4-Br, 3-OCF_2Br	4-F, 3-cyclopentyloxy
4-Br, 3-OCF_2H	4-F, 3-cyclohexyloxy
4-Br, 3-OCF_2CF_2H	4-CH_3, 3-OCH_3
4-Br, 3-OCF_2CFHCF_3	4-CH_3, 3-OCH_2CH_3
4-Br, 3-OCH_2CF_3	4-CH_3, 3-$OCH_2CH_2CH_3$
4-Br, 3-OC_6H_5	4-CH_3, 3-$OCH(CH_3)_2$
4-Br, 3-$OCH_2C_6H_5$	4-CH_3, 3-$OCH_2(CH_2)_2CH_3$
4-Br, 3-cyclopentyloxy	4-CH_3, 3-$OCH(CH_3)CH_2CH_3$
4-Br, 3-cyclohexyloxy	4-CH_3, 3-$OCH_2CH(CH_3)_2$
4-F, 3-OCH_3	4-CH_3, 3-$OC(CH_3)_3$
4-F, 3-OCH_2CH_3	4-CH_3, 3-OCF_3
4-F, 3-$OCH_2CH_2CH_3$	4-CH_3, 3-OCF_2Br
4-F, 3-$OCH(CH_3)_2$	4-CH_3, 3-OCF_2H
4-F, 3-$OCH_2(CH_2)_2CH_3$	4-CH_3, 3-OCF_2CF_2H
4-F, 3-$OCH(CH_3)CH_2CH_3$	4-CH_3, 3-OCF_2CFHCF_3
4-F, 3-$OCH_2CH(CH_3)_2$	4-CH_3, 3-OCH_2CF_3
4-F, 3-$OC(CH_3)_3$	4-CH_3, 3-OC_6H_5
4-F, 3-OCF_3	4-CH_3, 3-$OCH_2C_6H_5$
4-F, 3-OCF_2Br	4-CH_3, 3-cyclopentyloxy
4-F, 3-OCF_2H	4-CH_3, 3-cyclohexyloxy
4-F, 3-OCF_2CF_2H	2-Cl, 5-OCH_3
4-F, 3-OCF_2CFHCF_3	2-Cl, 5-OCH_2CH_3
4-F, 3-OCH_2CF_3	2-Cl, 5-$OCH_2CH_2CH_3$
4-F, 3-OC_6H_5	2-Cl, 5-$OCH(CH_3)_2$

TABLE 19

2-Cl, 5-$OCH_2(CH_2)_2CH_3$

2-Cl, 5-$OCH(CH_3)CH_2CH_3$

2-Cl, 5-$OCH_2CH(CH_3)_2$

2-Cl, 5-$OC(CH_3)_3$

2-Cl, 5-OCF_3

2-Cl, 5-OCF_2Br

2-Cl, 5-OCF_2H

2-Cl, 5-OCF_2CF_2H

2-Cl, 5-OCF_2CFHCF_3

2-Cl, 5-OCH_2CF_3

2-Cl, 5-OC_6H_5

2-Cl, 5-$OCH_2C_6H_5$

2-Cl, 5-cyclopentyloxy

2-Cl, 5-cyclohexyloxy

2-Cl, 4-OCH_3

2-Cl, 4-OCH_2CH_3

2-Cl, 4-$OCH_2CH_2CH_3$

2-Cl, 4-$OCH(CH_3)_2$

2-Cl, 4-$OCH_2(CH_2)_2CH_3$

2-Cl, 4-$OCH(CH_3)CH_2CH_3$

2-Cl, 4-$OCH_2CH(CH_3)_2$

2-Cl, 4-$OC(CH_3)_3$

2-Cl, 4-OCF_3

2-Cl, 4-OCF_2Br

2-Cl, 4-OCF_2H

2-Cl, 4-OCF_2CF_2H

2-Cl, 4-OCF_2CFHCF_3

2-Cl, 4-OCH_2CF_3

2-Cl, 4-OC_6H_5

2-Cl, 4-$OCH_2C_6H_5$

2-Cl, 4-cyclopentyloxy

2-Cl, 4-cyclohexyloxy

4-OCH_3, 3-$OCH_2CH_2CH_3$

4-OCH_3, 3-$OCH(CH_3)_2$

4-OCH_3, 3-$OCH_2(CH_2)_2CH_3$

4-OCH_3, 3-$OCH(CH_3)CH_2CH_3$

4-OCH_3, 3-$OCH_2CH(CH_3)_2$

4-OCH_3, 3-$OC(CH_3)_3$

4-OCH_3, 3-OCF_3

4-OCH_3, 3-OCF_2Br

4-OCH_3, 3-OCF_2H

4-OCH_3, 3-OCF_2CF_2H

4-OCH_3, 3-OCF_2CFHCF_3

4-OCH_3, 3-OCH_2CF_3

4-OCH_3, 3-OC_6H_5

4-OCH_3, 3-$OCH_2C_6H_5$

4-OCH_3, 3-cyclopentyloxy

4-OCH_3, 3-cyclohexyloxy

2,5-$(CH_3)_2$, 4-OCH_3

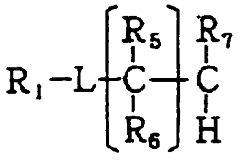
2,5-$(CH_3)_2$, 4-OCH_2CH_3

TABLE 20

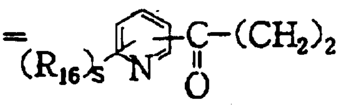
2,5-$(CH_3)_2$, 4-$OCH_2CH_2CH_3$
2,5-$(CH_3)_2$, 4-$OCH(CH_3)_2$
2,5-$(CH_3)_2$, 4-$OCH_2(CH_2)_2CH_3$
2,5-$(CH_3)_2$, 4-$OCH(CH_3)CH_2CH_3$
2,5-$(CH_3)_2$, 4-$OCH_2CH(CH_3)_2$
2,5-$(CH_3)_2$, 4-$OC(CH_3)_3$
2,5-$(CH_3)_2$, 4-OCF_3
2,5-$(CH_3)_2$, 4-OCF_2Br
2,5-$(CH_3)_2$, 4-OCF_2H
2,5-$(CH_3)_2$, 4-OCF_2CF_2H
2,5-$(CH_3)_2$, 4-OCF_2CFHCF_3
2,5-$(CH_3)_2$, 4-OCH_2CF_3
2,5-$(CH_3)_2$, 4-OC_6H_5
2,5-$(CH_3)_2$, 4-$OCH_2C_6H_5$
2,5-$(CH_3)_2$, 4-cyclopentyloxy
2,5-$(CH_3)_2$, 4-cyclohexyloxy
3,5-$(CH_3)_2$, 4-OCH_3
3,5-$(CH_3)_2$, 4-OCH_2CH_3
3,5-$(CH_3)_2$, 4-$OCH_2CH_2CH_3$
3,5-$(CH_3)_2$, 4-$OCH(CH_3)_2$
3,5-$(CH_3)_2$, 4-$OCH_2(CH_2)_2CH_3$
3,5-$(CH_3)_2$, 4-$OCH(CH_3)CH_2CH_3$
3,5-$(CH_3)_2$, 4-$OCH_2CH(CH_3)_2$
3,5-$(CH_3)_2$, 4-$OC(CH_3)_3$
3,5-$(CH_3)_2$, 4-OCF_3
3,5-$(CH_3)_2$, 4-OCF_2Br
3,5-$(CH_3)_2$, 4-OCF_2H
3,5-$(CH_3)_2$, 4-OCF_2CF_2H
3,5-$(CH_3)_2$, 4-OCF_2CFHCF_3
3,5-$(CH_3)_2$, 4-OCH_2CF_3
3,5-$(CH_3)_2$, 4-OC_6H_5
3,5-$(CH_3)_2$, 4-$OCH_2C_6H_5$
3,5-$(CH_3)_2$, 4-cyclopentyloxy
3,5-$(CH_3)_2$, 4-cyclohexyloxy
3,5-Cl_2, 4-OCH_3
3-OC_6H_4 (p-F)
3,5-Cl_2, 4-OCH_2CH_3
3,5-Cl_2, 4-$OCH_2CH_2CH_3$
3,5-Cl_2, 4-$OCH(CH_3)_2$
3,5-Cl_2, 4-$OCH_2(CH_2)_2CH_3$
3,5-Cl_2, 4-$OCH(CH_3)CH_2CH_3$

TABLE 21

$3,5\text{-}Cl_2$, $4\text{-}OCH_2CH(CH_3)_2$	$3,5\text{-}Cl_2$, $4\text{-}OC_6H_5$
$3,5\text{-}Cl_2$, $4\text{-}OC(CH_3)_3$	$3,5\text{-}Cl_2$, $4\text{-}OCH_2C_6H_5$
$3,5\text{-}Cl_2$, $4\text{-}OCF_3$	$3,5\text{-}Cl_2$, 4-cyclopentyloxy
$3,5\text{-}Cl_2$, $4\text{-}OCF_2Br$	$3,5\text{-}Cl_2$, 4-cyclohexyloxy
$3,5\text{-}Cl_2$, $4\text{-}OCF_2H$	$2\text{-}F$, $5\text{-}OC_6H_4(p\text{-}F)$
$3,5\text{-}Cl_2$, $4\text{-}CF_2CF_2H$	$2\text{-}Br$, $5\text{-}(OC_6H_5)$
$3,5\text{-}Cl_2$, $4\text{-}OCF_2CFHCF_3$	$4\text{-}OC_6H_4(p\text{-}CF_3)$
$3,5\text{-}Cl_2$, $4\text{-}OCH_2CF_3$	$3\text{-}OC_6H_4(p\text{-}F)$
$3,4\text{-}OCH_2CH_2O\text{-}$, $2\text{-}CH_3$	



$$R_1-L-\left[-\underset{R_6}{\overset{R_5}{C}}-\right]-\underset{H}{\overset{R_7}{C}}=$$



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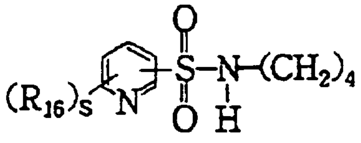

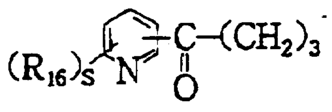

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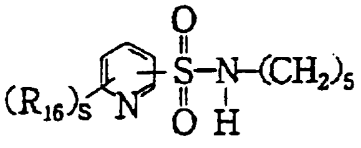

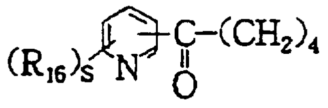

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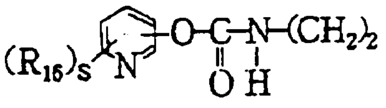

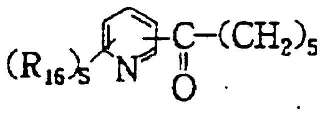

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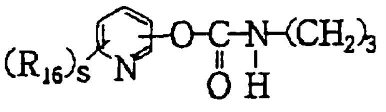

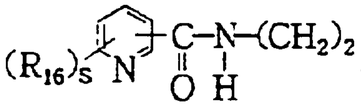

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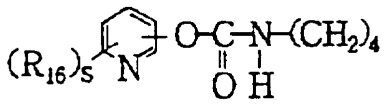

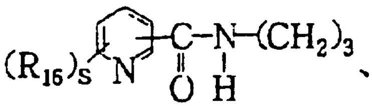

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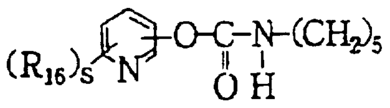

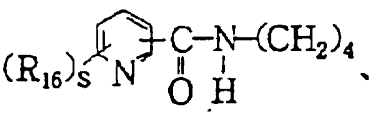

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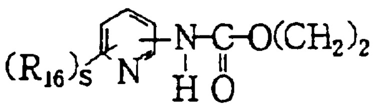
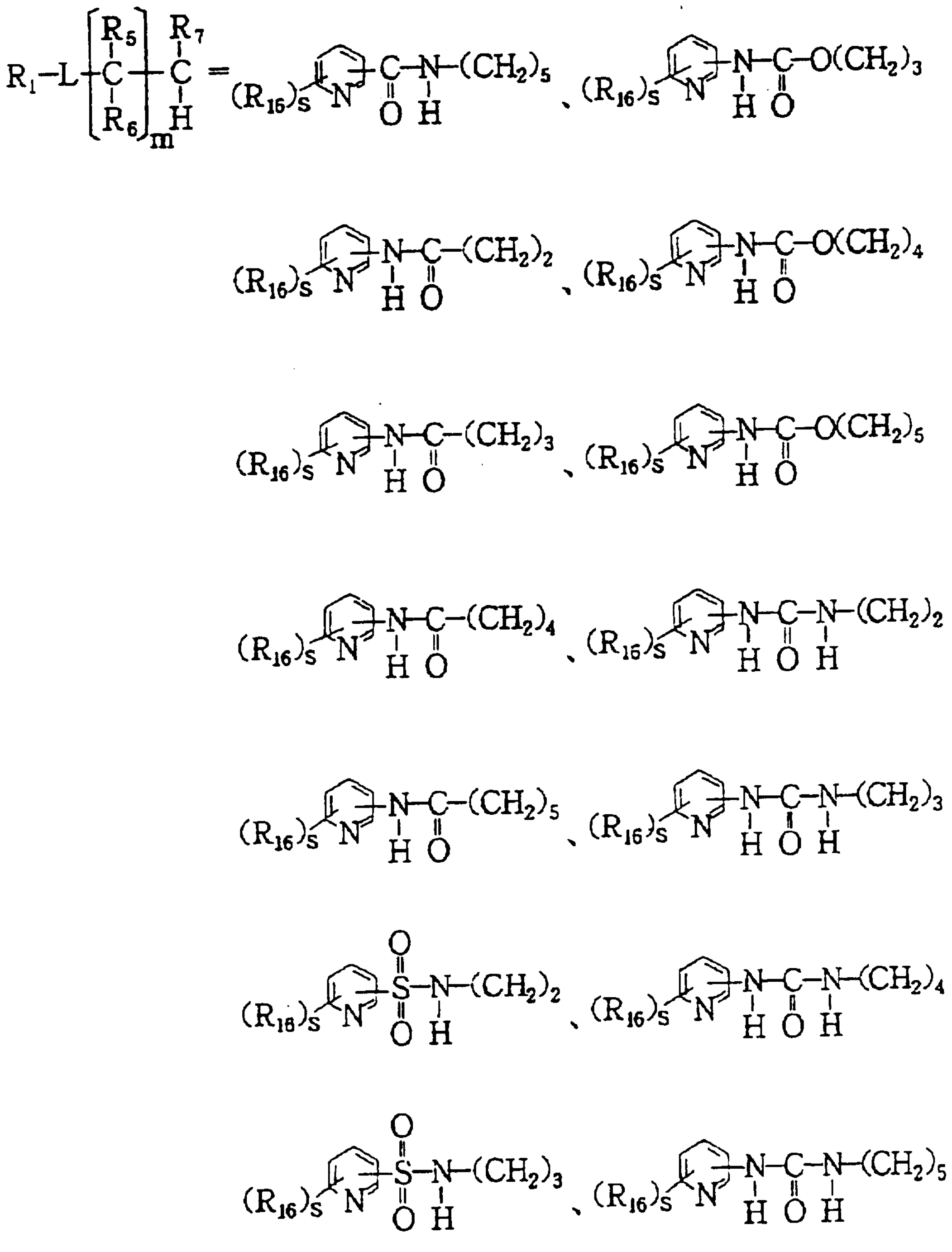


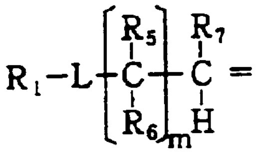


$$R_1-L-\left[\begin{array}{c}R_5\\ |\\ C\\ |\\ R_6\end{array}\right]_m-\begin{array}{c}R_7\\ |\\ C\\ |\\ H\end{array}=$$

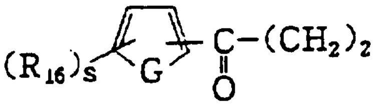
(in which the position of the heterocyclic ring and $(R_{16})_s$ are each as defind in Table 22).

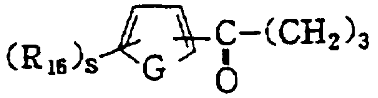
TABLE 22

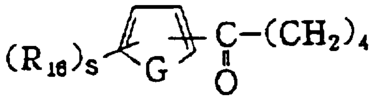
Position of heterocyclic ring	$(R_{16})_s$
3	H
3	6-Cl
3	6-Br
3	6-I
3	$6-CH_3$
3	$6-CF_3$
3	$2-Cl, 6-CH_3$
3	$5,6-Cl_2$
3	5-Br
3	$5,6-(OCH_3)_2$
2	H
2	$6-CH_3$
2	6-Cl
2	$5-CF_3$
2	5-Cl
2	6-F
2	5-Br
2	$3,5-(CF_3)_2$
2	$4,5-(CF_3)_2$
2	$3,5-Cl_2$
2	$3-Cl, 5-CF_3$
4	H

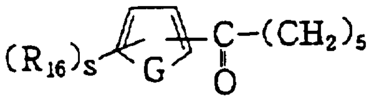


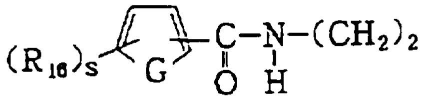
$$R_1-L-\left[\begin{matrix}R_5\\ |\\ C\\ |\\ R_6\end{matrix}\right]_m-\begin{matrix}R_7\\ |\\ C\\ |\\ H\end{matrix}=$$

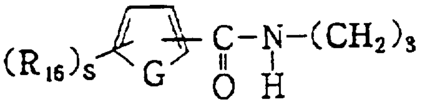


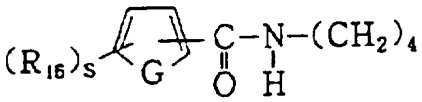


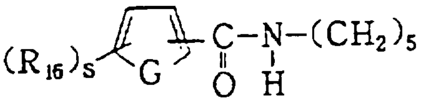












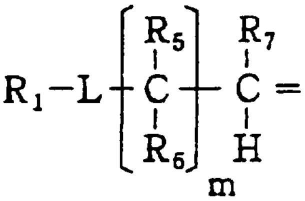
(in which G, the position of the heterocyclic ring and $(R_{16})_s$ are each as defined in Tables 23 and 24).

TABLE 23

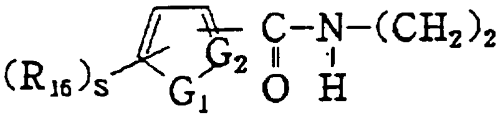
G	Position of heterocyclic ring	$(R_{16})_s$
O	2	H
O	2	5-CH_3
O	2	5-CH_2OCH_3
O	2	5-CH_2CH_3
O	2	5-NO_2
O	2	5-Cl
O	2	5-Br
O	3	H
O	3	2-CH_3
O	3	2, 5-$(CH_3)_2$
O	3	2, 4-$(CH_3)_2$
S	2	H
S	2	5-CH_3
S	2	5-Cl
S	2	5-Br
S	2	5-NO_2
S	2	5-$NHCOCH_3$
S	2	5-$NHCOCF_3$
S	2	3-CH_3
S	2	4-Br
S	3	H
S	3	2, 5-$(CH_3)_2$
NH	2	H

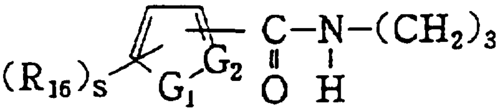
TABLE 24

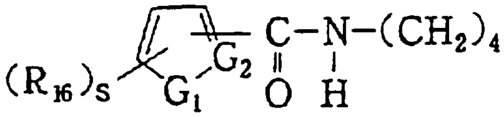
G	Position of heterocyclic ring	$(R_{16})_s$
NH	2	2, 4-$(CH_3)_2$
N	2	1-CH_3
NH	2	3, 5-$(CH_3)_2$
NH	2	3, 4, 5-$(CH_3)_3$
NH	2	3, 4-$(C_2H_5)_2$, 5-CH_3
NH	3	H
N	3	1-CH_3
NH	3	5-Cl
N	2	1, 2, 4-$(CH_3)_3$
N	2	1, 3, 5-$(CH_3)_3$
N	2	1, 3, 4, 5-$(CH_3)_4$
N	2	3, 4-$(C_2H_5)_2$, 1, 5-$(CH_3)_2$
N	3	5-Cl, 1-CH_3

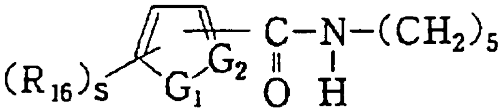


$$R_1-L\left[\begin{array}{c}R_5\\ |\\ C\\ |\\ R_6\end{array}\right]_m-\overset{R_7}{\underset{H}{C}}=$$





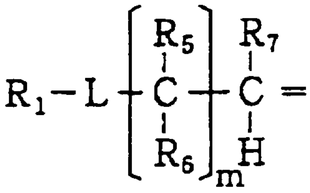




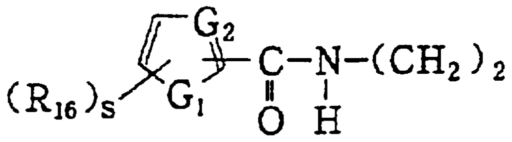
(in which G_1, G_2, the position of heterocyclic ring and $(R_{16})_s$ are each as defined in Table 25).

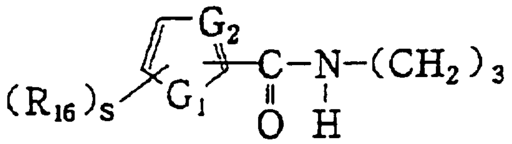
TABLE 25

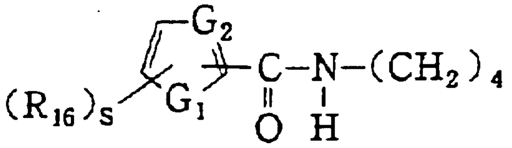
G_1	G_2	Position of heterocyclic ring	$(R_{16})_s$
O	N	3	H
O	N	3	5-Cl
O	N	3	5-Br
O	N	5	H
S	N	3	H
S	N	3	5-Cl
S	N	3	5-Br
NH	N	4	H
NH	N	4	$3-CF_3$
N	N	5	$1-C_2H_5$, $3-CH_3$
NH	N	5	$3-CH_3$
N	N	4	$1-CH_3$
N	N	4	$1-CH_3$, $3-CF_3$
N	N	5	1, $3-(CH_3)_2$
N	N	4	$1-CH_3$, $5-NO_2$

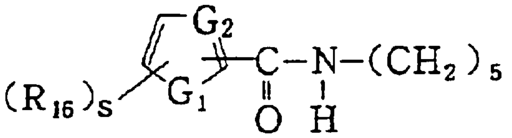


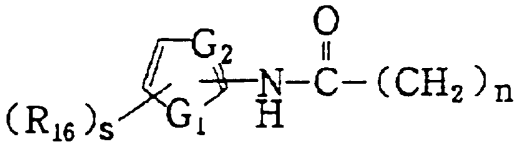
$R_1-L-\left[\overset{R_5}{\underset{R_6}{C}}\right]_m-\overset{R_7}{\underset{H}{C}}=$











(n = 2, 3, 4 or 5)

(in which G_1, G_2, the position of heterocyclic ring and $(R_{16})_s$ are each as defined in Table 26).

TABLE 26

G_1	G_2	Position of heterocyclic ring	$(R_{16})_s$
S	N	4	H
S	N	4	2-Cl
S	N	4	2-Br
S	N	5	H
S	N	5	2-Cl
S	N	5	2-Br
S	N	5	$4-CH_3$
NH	N	5	$2,4-(CH_3)_2$
NH	N	2	H
NH	N	5	$3-CH_3$
N	N	5	$1-C_2H_5$, $3-CH_3$
N	N	2	$1-CH_3$
N	N	5	$1,3-(CH_3)_2$
S	N	2	5-Br

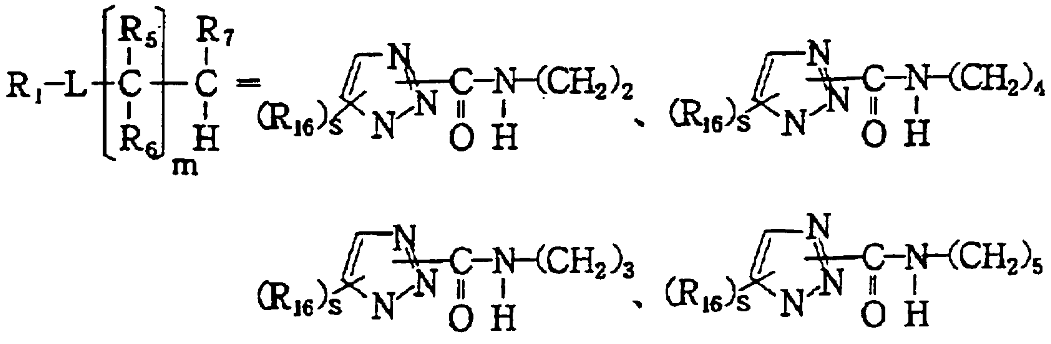


TABLE 27

Position of heterocyclic ring	$(R_{16})_s$
3	H

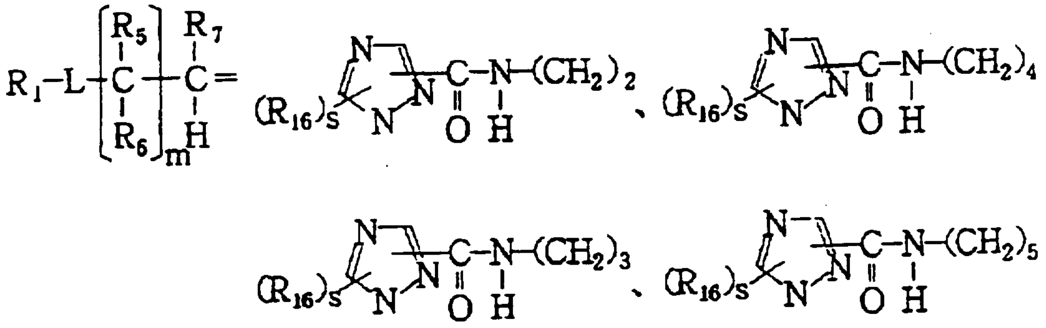


TABLE 28

Position of heterocyclic ring	$(R_{16})_s$
3	1 –H
3	1 –C_6H_5

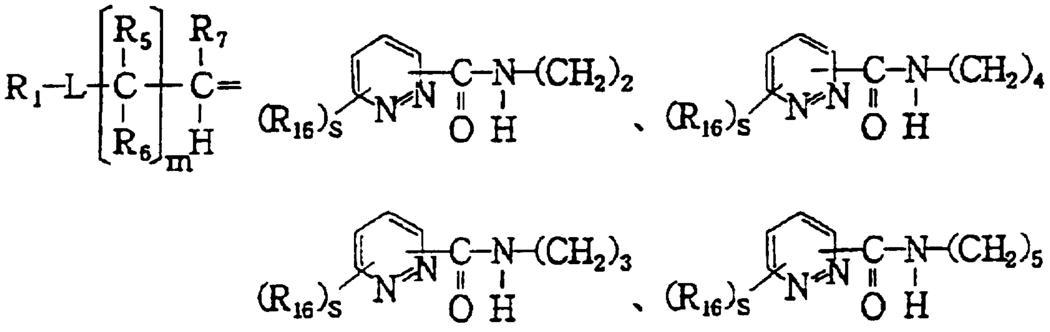


TABLE 29

Position of heterocyclic ring	$(R_{16})_s$
3	6-Cl
4	H

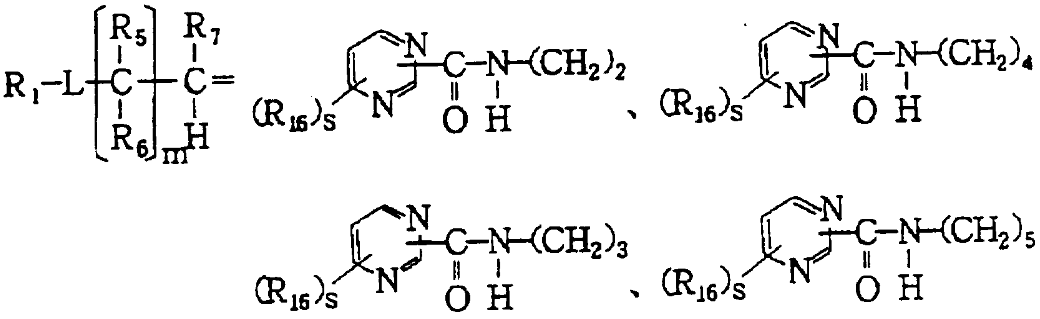


TABLE 30

Position of heterocyclic ring	$(R_{16})_s$
2	5-Br
5	2-Cl

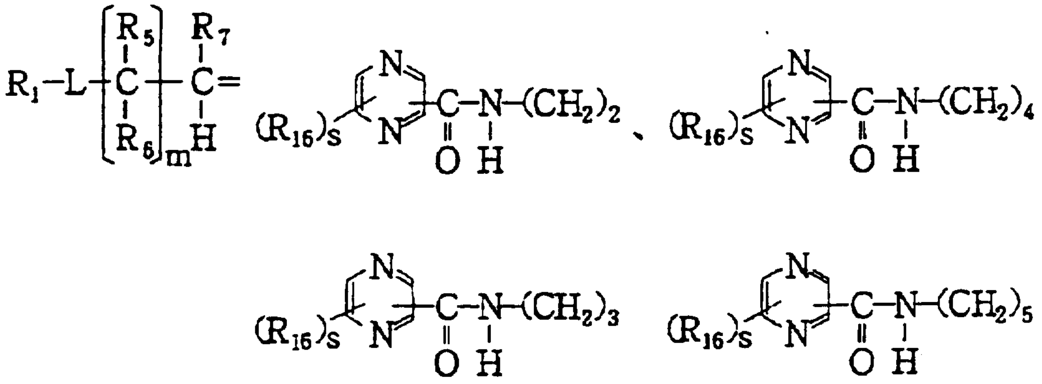


TABLE 31

Position of heterocyclic ring	$(R_{16})_s$
2	H
2	$5-CH_3$

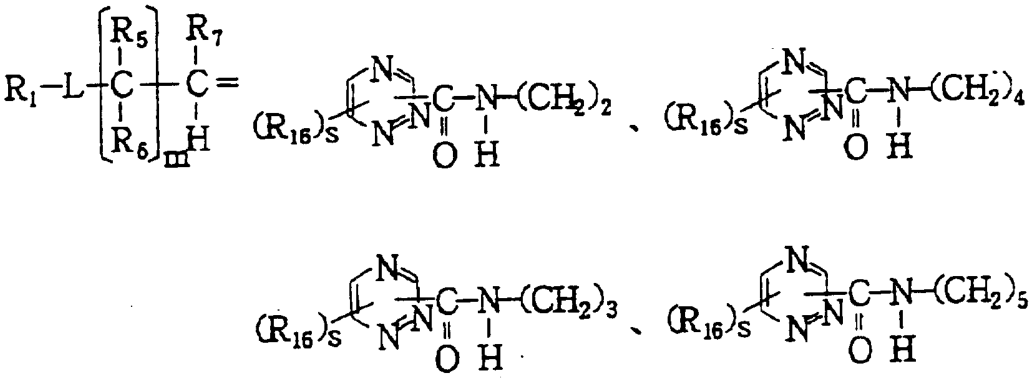


TABLE 32

Position of heterocyclic ring	$(R_{16})_s$
3	5, 6–$(CH_3)_2$

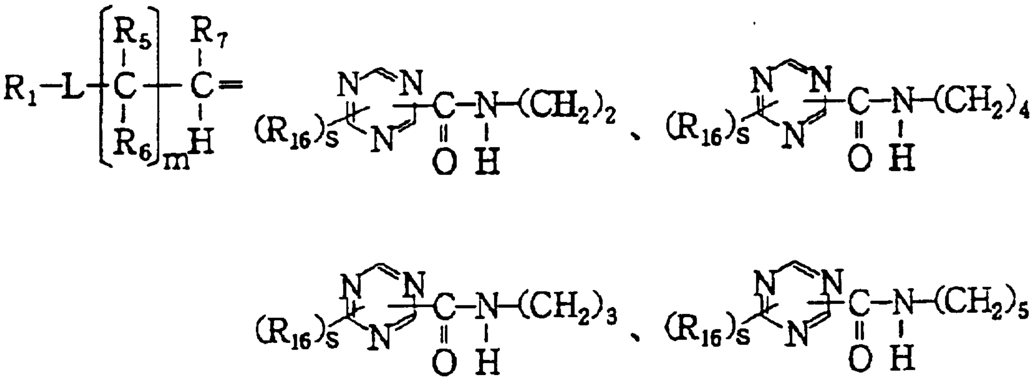
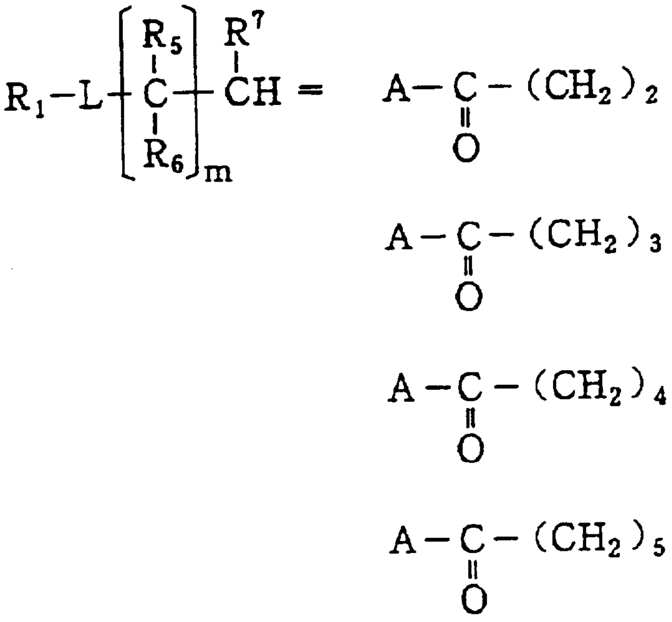


TABLE 33

Position of heterocyclic ring	$(R_{16})_s$
2	4, 6−$(C_6H_5)_2$
5	4, 6−$(CCl_3)_2$



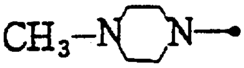
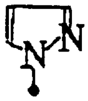
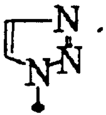
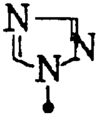
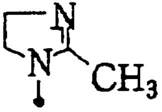
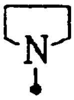
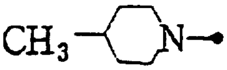
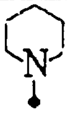
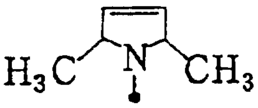
$$R_1-L-\left[\begin{array}{c}R_5\\|\\C\\|\\R_6\end{array}\right]_m-\overset{\overset{\displaystyle R^7}{|}}{C}H = A-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_2$$

$$A-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_3$$

$$A-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_4$$

$$A-\underset{\underset{\displaystyle O}{\|}}{C}-(CH_2)_5$$

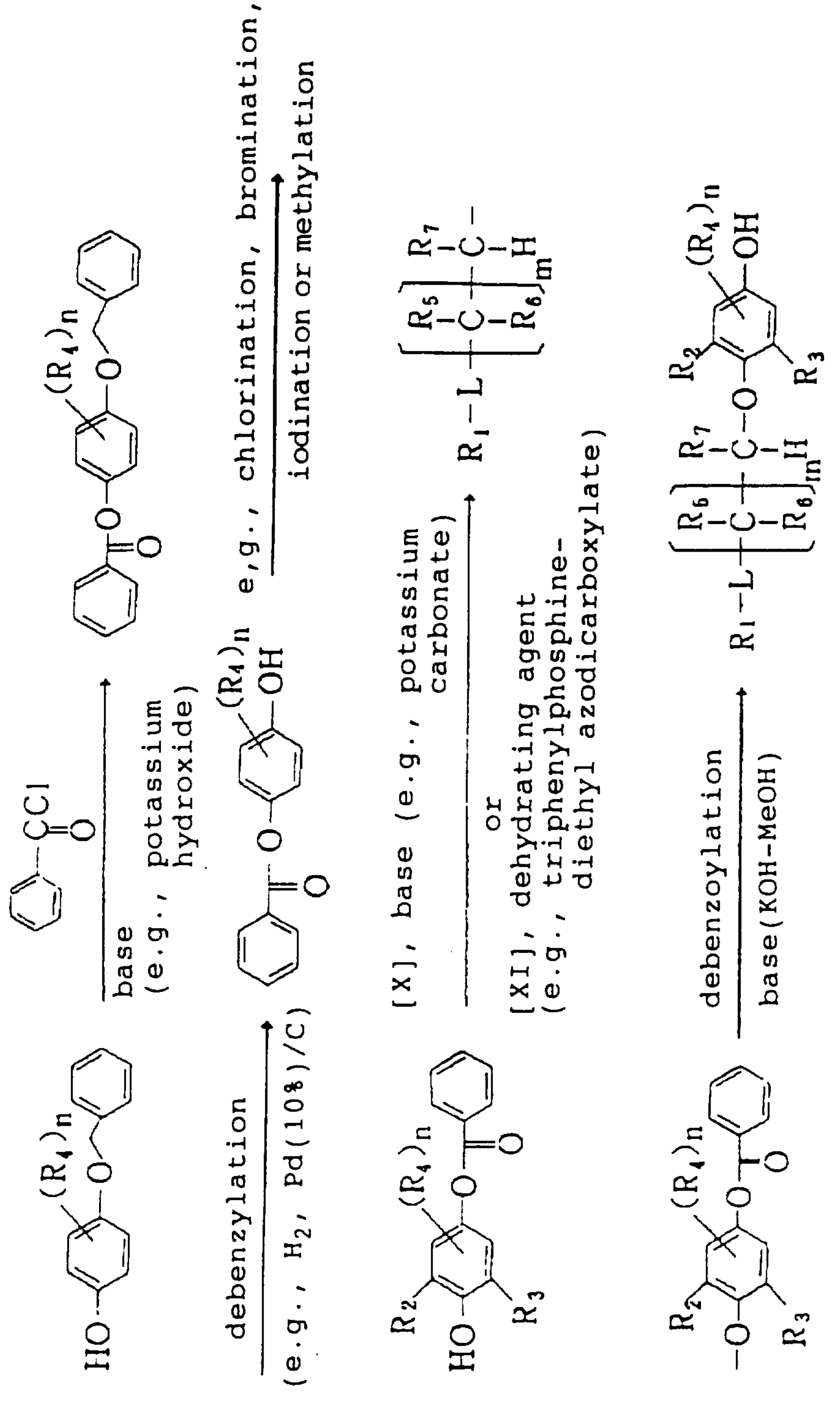
TABLE 34

A—●	A—●	A—●
		
		
		
		
		
		
		
		

The compounds of general formula [IV] or [V], which are intermediates for the production of the present compounds, can be produced, for example, according to the following schemes 1 to 4:

SCHEME 1

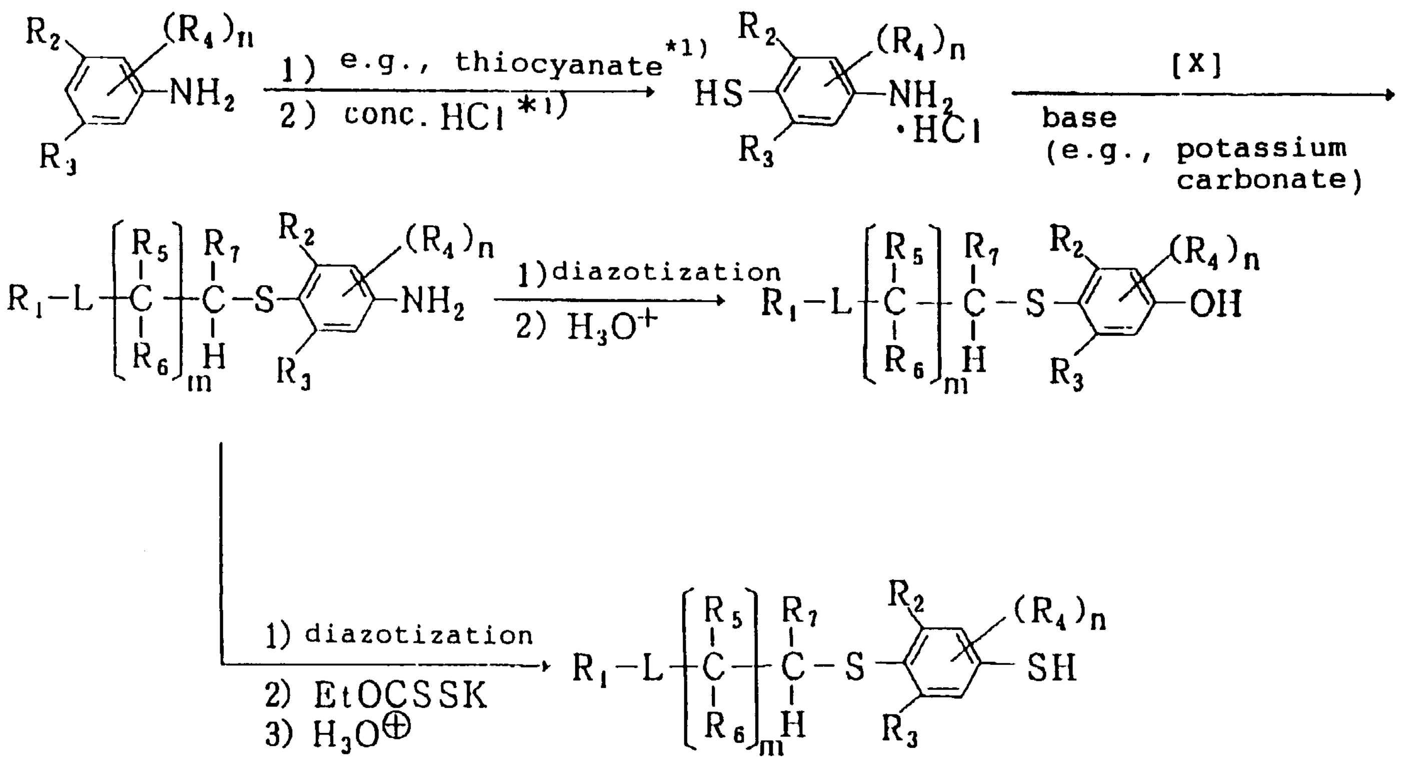
(when Y and Z are both oxygen)



wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, m, n, L_2 and L are each as defined above.

SCHEME 2

(when Y and Z are not both oxygen)

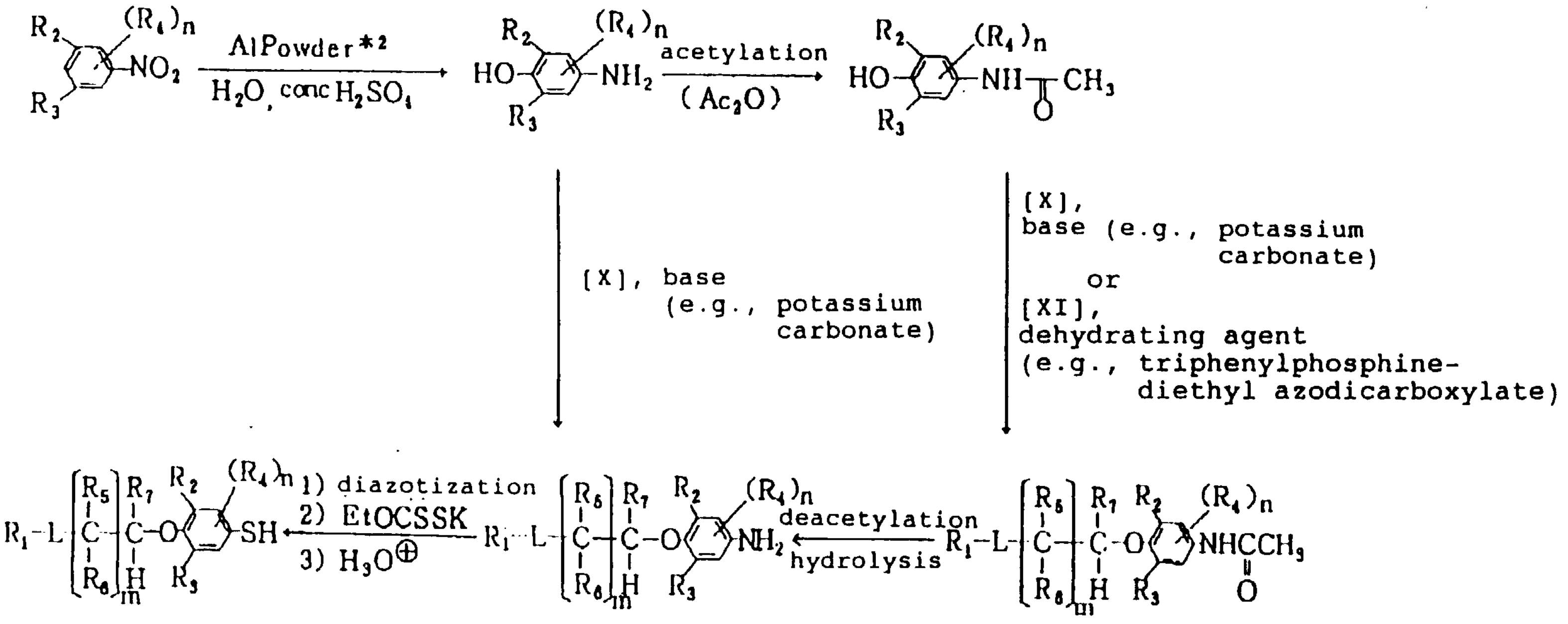


*1): JP-A 60-181067/1985

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, m, n, L_2 and L are each as defined above.

SCHEME 3

(when Y and Z are not both oxygen)

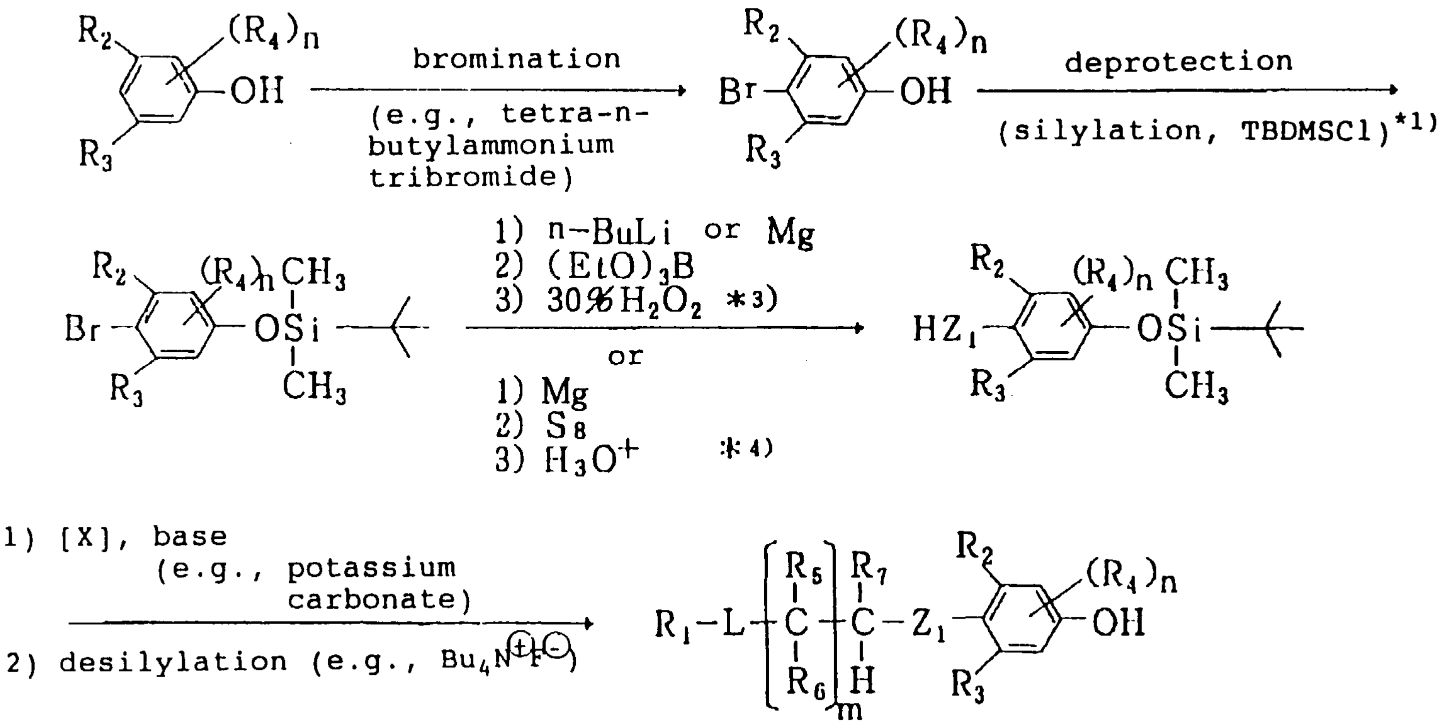


*2): H.J. Shine, "Aromatic Rearrangement", Elsevier, 182(1967)

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, m, n, L_2 and L are each as defined above.

SCHEME 4

(when Y is oxygen)



*3): J. Org. Chem., 22, 1001(1957)
*4): Ber., 72, 594(1939)

wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, m, n and L_2 are each as defined above, and Z_1 is oxygen or sulfur.

The compounds of general formula [VI] and the alcohol compounds of general formula [VII], which are intermediates for the production of the present compounds, can be obtained from commercial sources or can be produced according to the following scheme 5:

SCHEME 5

$$X_2C=CHCH_3 \xrightarrow[\text{radical initiator}]{\text{N-chlorosuccinimide, N-bromosuccinimide, chlorine or bromine}}$$

$$X_2C=CCH_2L_3 \xrightarrow[\text{2) } K_2CO_3/MeOH]{\text{1) sodium acetate}}$$

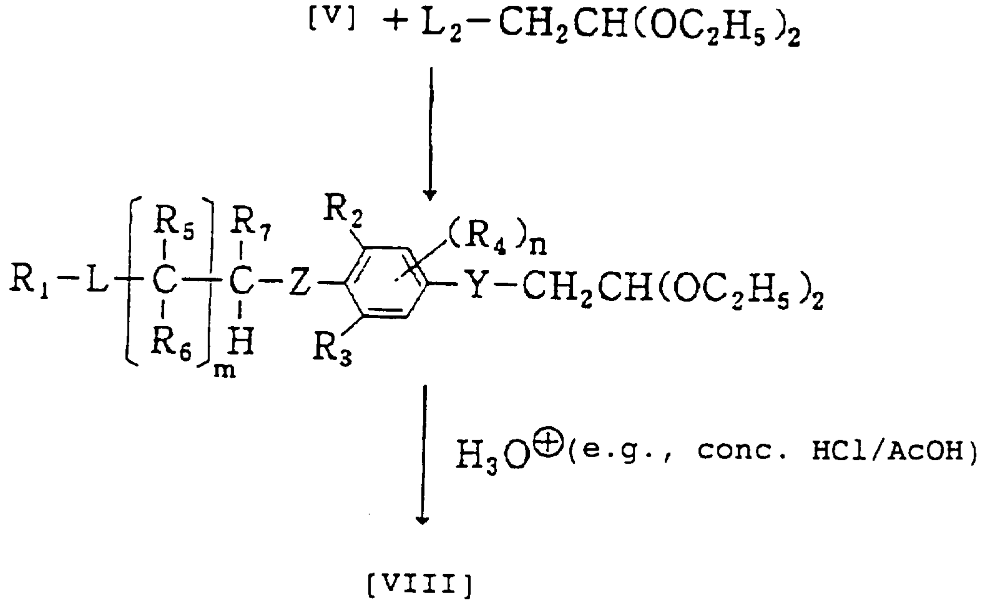
$$X_2C=CCH_2OH \xrightarrow[\text{base}]{\text{mesyl chloride or tosyl chloride}}$$

$$X_2C=CCH_2L_6$$

wherein L_6 is mesyloxy or tosyloxy, and L_3 and X are each as defined above.

The aldehyde compounds of general formula [VIII], which are intermediates for the production of the present compounds, can be produced, for example, according to the following scheme 6:

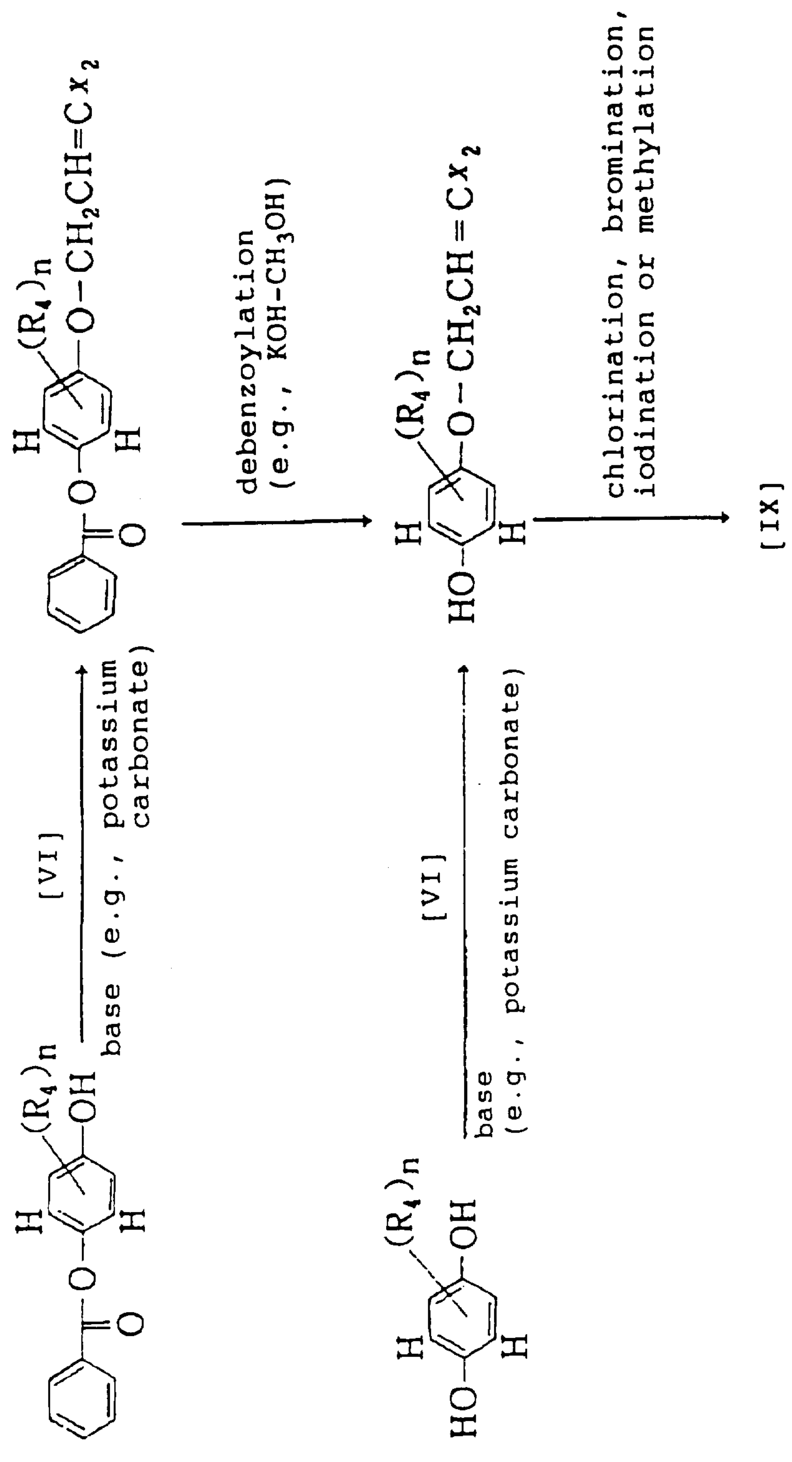
SCHEME 6



wherein all the symbols are each as defined above.

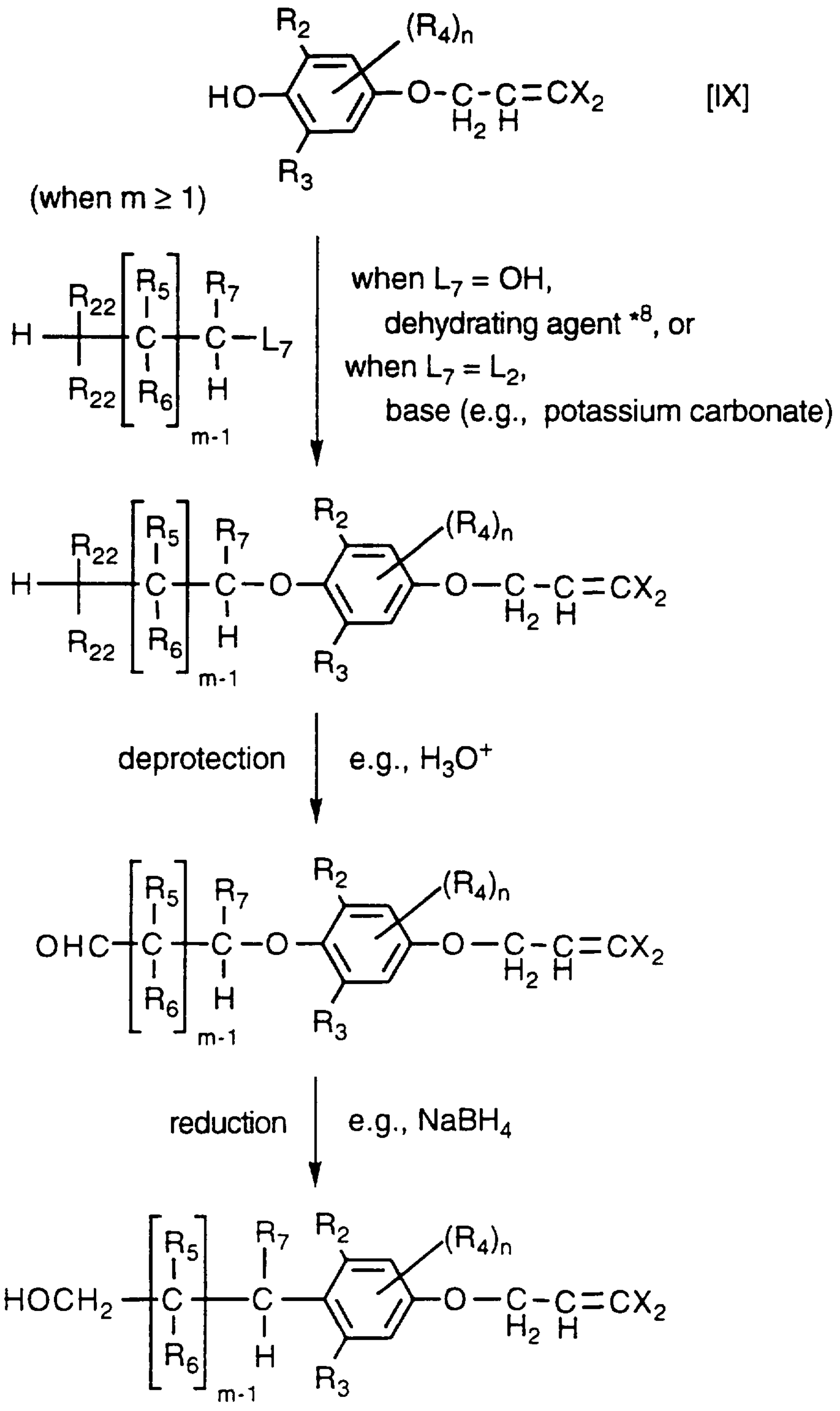
The compounds of general formula [IX], which are intermediates for the production of the present compounds, can be produced, for example, according to the following scheme 7:

SCHEME 7

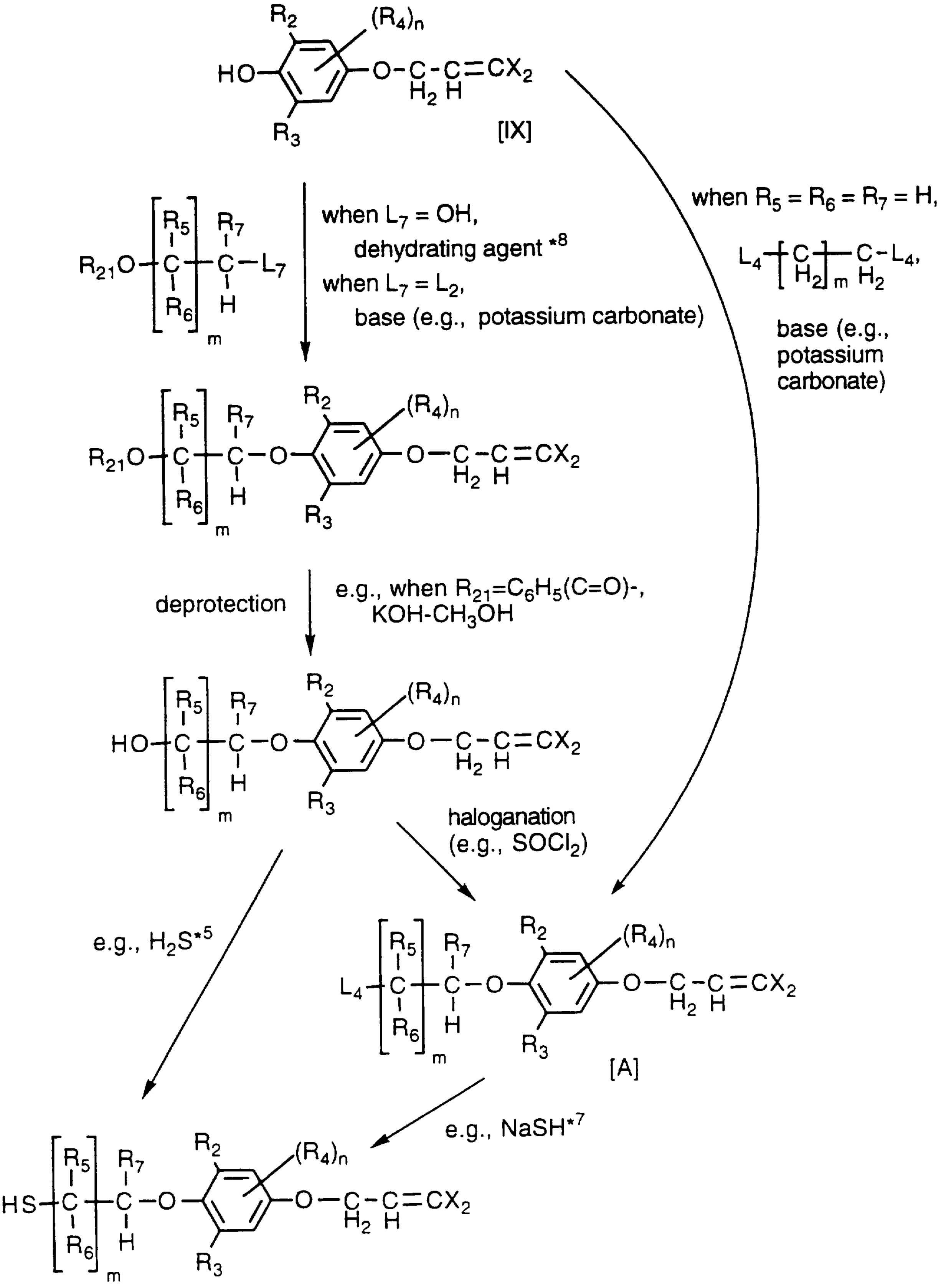


The compounds of general formula [XVIII] wherein Y and Z are both oxygen, which are intermediates for the production of the present compounds, can be produced, for example, according to the following schemes 8.1 and 8.2:

SCHEME 8.1



SCHEME 8.2

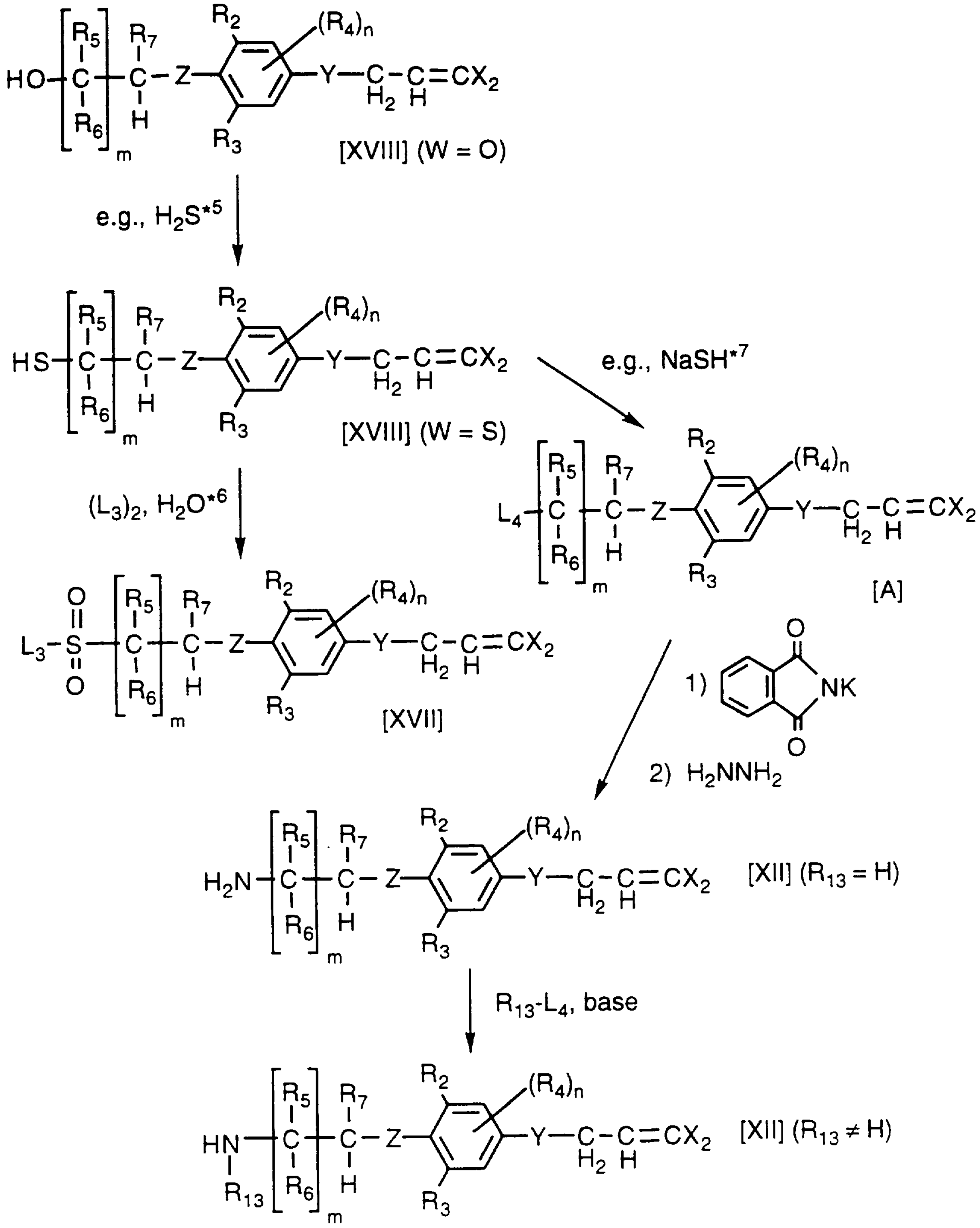

[IX]
when L₇ = OH,
dehydrating agent *8
when L₇ = L₂,
base (e.g., potassium carbonate)
when R₅ = R₆ = R₇ = H,
base (e.g., potassium carbonate)
deprotection
e.g., when R₂₁=C₆H₅(C=O)-,
KOH-CH₃OH
haloganation
(e.g., SOCl₂)
e.g., H₂S*5
[A]
e.g., NaSH*7

*5): e.g., R.L. Kramer et al., J. Am. Chem. Soc., 43, 880(1921)
*7): e.g., L.M. Ellis et al., J. Am. Chem. Soc., 54, 1674(1932)
*8): e.g., triphenylphosphine diethyl azodicarboxylate

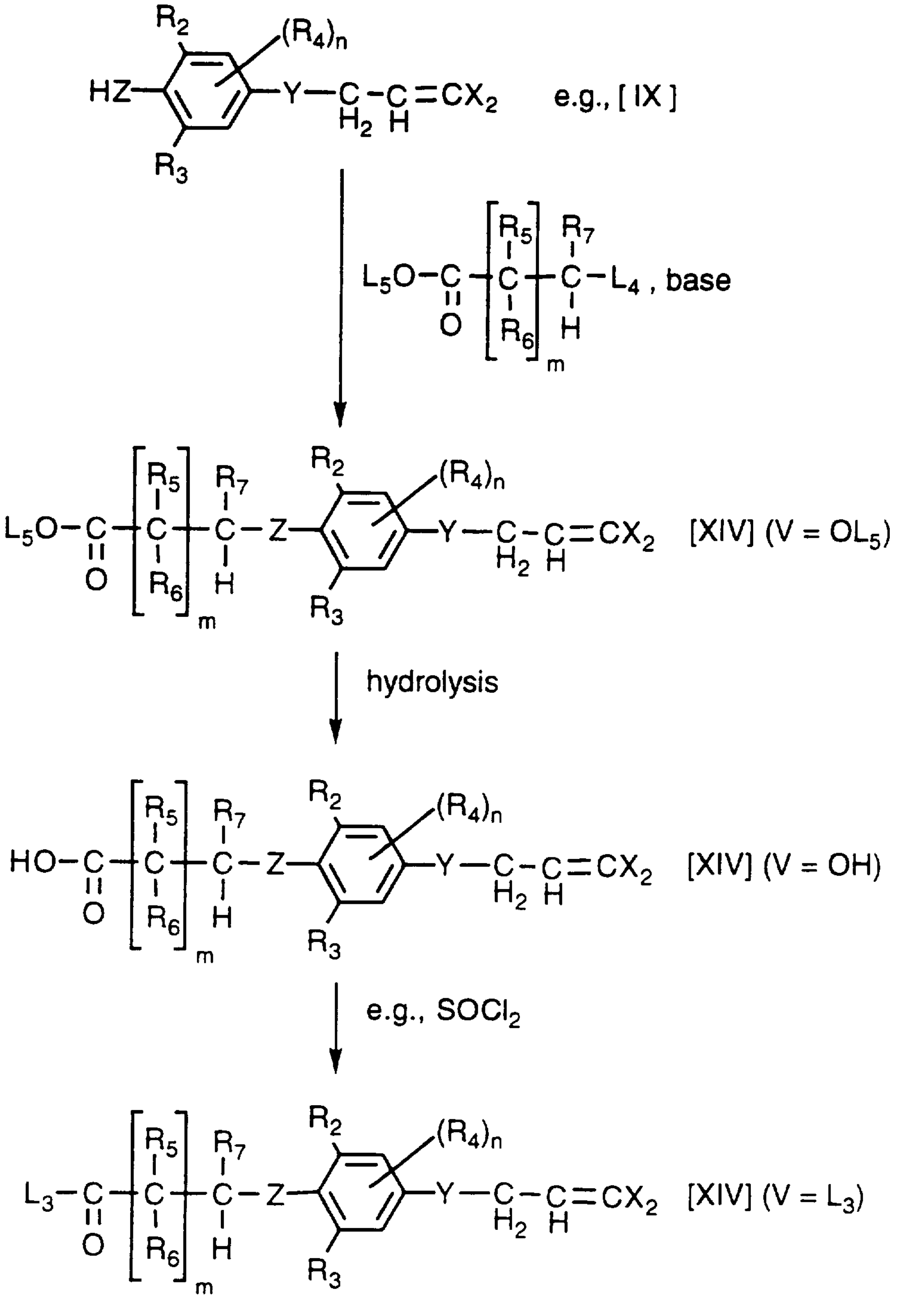
wherein R_2, R_3, R_4, R_5, R_6, R_7, X, L_2, L_4, m and n are each as defined above; L_7 is hydroxyl, halogen, (e.g., chlorine, bromine, iodine), mesyl or tosyl; R_{21} is a protecting group for alcohols (e.g., benzoyl); and R_{22} is C_1-C_4 alkoxy (e.g., methoxy, ethoxy).

The compounds of general formula [III], [XII], [XIV] or [XVII], which are intermediates for the production of the present compounds, can be produced, for example, according to the following schemes 9.1, 9.2 and 10:

SCHEME 9.1


[XVIII] (W = O)
e.g., H2S*5
[XVIII] (W = S)
e.g., NaSH*7
(L3)2, H2O*6
[XVII]
[A]
1)
NK
2) H2NNH2
[XII] (R13 = H)
R13-L4, base
[XII] (R13 ≠ H)

SCHEME 9.2

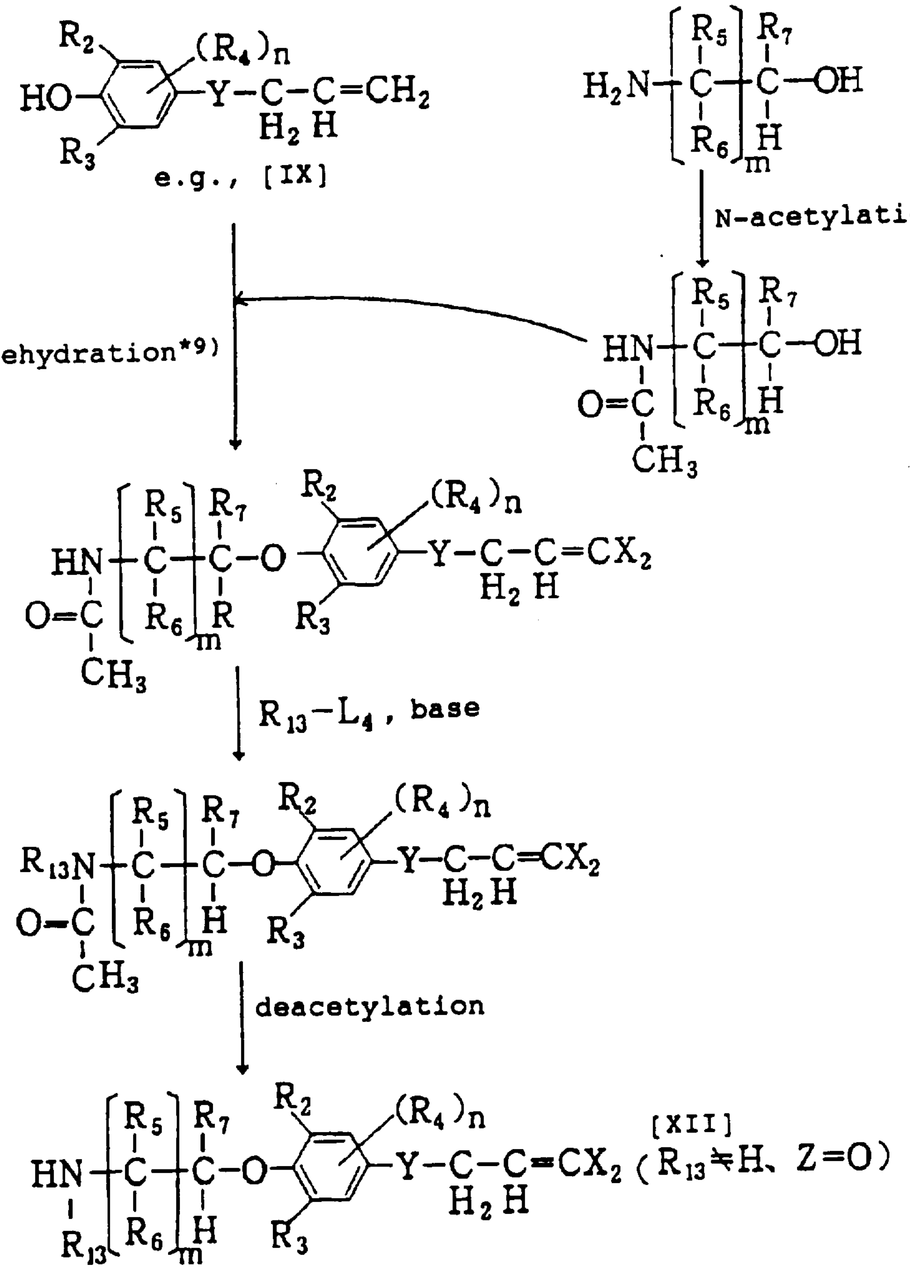


*5): e.g., R.L. Kramer et al., J. Am. Chem. Soc., 43, 880(1921)
*6): e.g., I.B. Douglass et al., J. Am. Chem. Soc., 60, 1486(1938)
*7): e.g., L.M. Ellis et al., J. Am. Chem. Soc., 54, 1674(1932)

wherein R_2, R_3, R_4, R_5, R_6, R_7, R_{13}, X, Y, Z, L_3, L_4, m and n are each as defined above; L_5 is methyl, ethyl or propyl; L_7 is hydroxyl, halogen (e.g., chlorine, bromine, iodine), mesyl or tosyl; R_{21} is a protecting group for alcohols (e.g., benzoyl); and R_{22} is C_1-C_4 alkoxy (e.g., methoxy, ethoxy).

SCHEME 10

(when Z is oxygen)

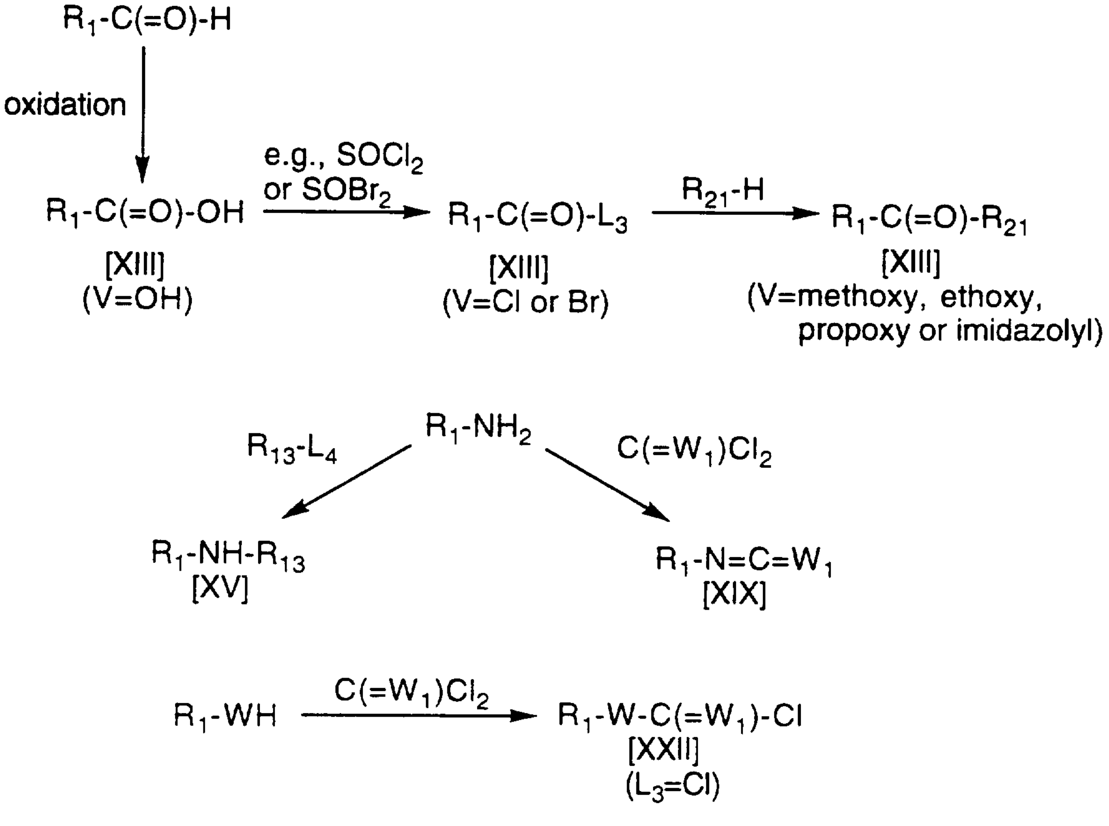


*9): e.g., triphenylphosphine diethyl azodicarboxylate

wherein R_2, R_3, R_4, R_5, R_6, R_7, R_{13}, X, Y, m and n are each as defined above.

The compounds of general formula [XIII], [XV], [XVI], [XIX] or [XXII], which are intermediates for the production of the present compounds, can be obtained from commercial sources or can be produced, for example, according to the following scheme 11 or 12:

SCHEME 11



wherein R_{21} is methoxy, ethoxy, propoxy or imidazolyl, and the other variables are each as defined above.

SCHEME 12

<in the case where R_1 is an aromatic ring such as benzene or pyridine ring>

$$R_1\text{-H} \xrightarrow{\text{Cl-SO}_3\text{H}} \underset{[\text{XVI}]}{R_1\text{-SO}_2\text{Cl}}$$

The present compounds are satisfactorily effective for the control of various noxious insects, examples of which are as follows:

Hemiptera:

Delphacidae such as *Laodelphax striatellus, Nilaparvata lugens* and *Sogatella furcifera, Deltocephalidae* such as *Nephotettix cincticeps* and *Nephotettix virescens, Aphididae, Pentatomidae, Aleyrodidae* , *Coccidae* , *Tingidae, Psyllidae* , etc.

Lepidoptera:

Pyralidae such as *Chilo suppressalis, Cnaphalocrocis medinalis, Ostrinia nubilalis, Parapediasia teterrella, Notarcha derogata* and *Plodia interpunctella, Noctuidae* such as *Spodoptera litura, Spodoptera exigua, Spodoptera littoralis, Pseudaletia separata, Mamestra brassicae, Agrotis ipsilon, Trichoplusia* spp., *Heliothis* spp. and *Helicoverpa* spp., *Pieridae* such as *Pieris rapae crucivora, Tortricidae* such as *Adoxophyes* spp., *Grapholita molesta* and *Cydia pomonella, Carposinidae* such as *Carposina niponensis, Lyonetiidae* such as *Lyonetia* spp., *Lymantriidae* such as *Lymantria* spp. and *Euproctis* spp., *Yponomeutidae* such as *Plutella xylostella, Gelechiidae* such as *Pectinophora gossypiella, Arctiidae* such as *Hyphantria cunea, Tineidae* such as*Tinea translucens* and *Tineola bisselliella*, etc.

Diptera:

Culex such as *Culex pipiens pallens* and *Cules tritaeniorhynchus, Aedes* such as *Aedes aegypti* and *Aedes albopictus*, *Anopheles* such as *Anophelinae sinensis*, *Chironomidae*, *Muscidae* such as *Musca domestica* and *Muscina stabulans*, *Calliphoridae*, *Sarcophagidae*, *Fannia canicularis*, *Anthomyiidae* such as *Delia Platura* and *Delia antigua*, *Trypetidae*, *Drosophilidae*, *Psychodidae*, *Simuliidae*, *Tabanidae*,*Stomoxyinae*, *Agromyzidae*, etc.

Coleoptera:

Diabrotica such as *Diabrotica virgifera* and *Diabrotica undecimpunctata*, *Scarabaeidae* such as *Anomala cuprea* and *Anomala rufocuprea*, *Curculionidae* such as *Sitophilus zeamais*, *Lissorphoptrus oryzophilus*, *Hypera pastica*, and *Calosobruchys chinensis*, *Tenebrionidae* such as *Tenebrio molitor* and *Tribolium castaneum*, *Chrysomelidae* such as *Aulacophora femoralis*, *Phyllotreta striolata*, *Anobiidae* and *Leoptinotarsa decemlineata*, *Anobiidae*, *Epilachna* spp. such as *Epilachna vigintioctopunctata*, *Lyctidae*, *Bostrychidae*, *Cerambycidae*, *Paederus fuscipes*, etc.

Dictyoptera:

Blattella germanica, *Periplaneta fuliginosa*, *Peroplaneta americana*, *Periplaneta brunnea*, *Blatta orientalis*, etc.

Thysanoptera:

Thrips palmi, *Thrips tabaci*, *Thrips hawaiiensis*, etc.

Hymenoptera:

Formicidae, *Vespidae*, *Bethylidae*, *Tenthredinidae* such as *Athalia rosae japonensis*, etc.

Orthoptera:

Gryllotalpidae, *Acrididae*, etc.

Siphonaptera:

Purex irritans etc.

Anoplura:

Pediculus humanus capitis, *Phthirus pubis*, etc.

Isoptera (termites):

Reticulitermes speratus, *Coptotermes formosanus*, etc.

The present compounds are also effective for the control of various noxious insects having resistance to conventional insecticides.

When the present compounds are used as active ingredients of insecticides, they may be used as such without any addition of other ingredients. The present compounds are, however, usually formulated into dosage forms such as oil sprays, emulsifiable concentrates, wettable powders, flowables, granules, dusts, aerosols, fumigants (foggings) and poison baits. These dosage forms are usually prepared by mixing the present compounds with solid carriers, liquid carriers, gaseous carriers or baits, and if necessary, adding surfactants and other auxiliaries used for formulation.

Each of the dosage forms usually contains at least one of the present compounds as an active ingredient in an amount of 0.01% to 95% by weight.

Examples of the solid carrier to be used for formulation are fine powder or granules of clay materials such as kaolin clay, diatomaceous earth, synthetic hydrated silicon oxide, bentonite, Fubasami clay and acid clay; various kinds of talc, ceramics and other inorganic minerals such as sericite, quartz, sulfur, active carbon, calcium carbonate and hydrated silica; and chemical fertilizers such as ammonium sulfate, ammonium phosphate, ammonium nitrate, urea and ammonium chloride.

Examples of the liquid carrier are water; alcohols such as methanol and ethanol; ketones such as acetone and methyl ethyl ketone; aromatic hydrocarbons such as benzene, toluene, xylene, ethylbenzene and methylnaphthalene; aliphatic hydrocarbons such as hexane, cyclohexane, kerosine and gas oil; esters such as ethyl acetate and butyl acetate; nitriles such as acetonitrile and isobutyronitrile; ethers such as diisopropyl ether and dioxane; acid amides such as N,N-dimethylformamide and N,N-dimethylacetamide; halogenated hydrocarbons such as dichloromethane, trichloroethane and carbon tetrachloride; dimethyl sulfoxide; and vegetable oils such as soybean oil and cottonseed oil.

Examples of the gaseous carrier or propellant are flon gas, butane gas, LPG (liquefied petroleum gas), dimethyl ether and carbon dioxide.

Examples of the surfactant are alkyl sulfates, alkyl sulfonates, alkyl arylsulfonates, alkyl aryl ethers and their polyoxyethylene derivatives, polyethylene glycol ethers, polyhydric alcohol esters and sugar alcohol derivatives.

Examples of the auxiliaries used for formulation, such as fixing agents or dispersing agents, are casein, gelatin, polysaccharides such as starch, gum arabic, cellulose derivatives and alginic acid, lignin derivatives, bentonite, sugars, and synthetic water-soluble polymers such as polyvinyl alcohol, polyvinyl pyrrolidone and polyacrylic acid.

Examples of the stabilizer are PAP (isopropyl acid phosphate), BHT (2,6-di-tert-butyl-4-methylphenol), BHA (mixtures of 2-t-butyl-4-methoxyphenol and 3-tert-butyl-4-methoxyphenol), vegetable oils, mineral oils, surfactants, fatty acids and their esters.

Examples of the base material to be used in the poison baits are bait materials such as grain powder, vegetable oils, sugars and crystalline cellulose; antioxidants such as dibutylhydroxytoluene and nordihydroguaiaretic acid; preservatives such as dehydroacetic acid; substances for preventing erroneous eating, such as red pepper powder, attractant flavors such as cheese flavor or onion flavor.

The dosage forms thus obtained are used as such or after diluted with water. The dosage forms may also be used in combination with other insecticides, nematocides, acaricides, bactericides, fungicides, herbicides, plant growth regulators, synergists, fertilizers, soil conditioners and/or animal feed under non-mixing conditions or pre-mixing conditions.

Examples of the insecticide, acaricide and/or nematocide which can be used are organophosphorus compounds such as Fenitrothion [O,O-dimethyl O-(3-methyl-4-nitrophenyl)phosphorothioate], Fenthion [O,O-dimethyl O-(3-methyl-4-methylthio)-phenyl)phophorothioate], Diazinon [O,O-diethyl-O-2-isopropyl-6-methylpyrimidin-4-yl-phosphorothioate], Chlorpyriphos [O,O-diethyl-O-3,5,6-trichloro-2-pyridylphosphorothioate], Acephate [O,S-dimethylacetylphosphoramidothioate], Methidathion [S-2,3-dihydro-5-methoxy-2-oxo-1,3,4-thiadiazol-3-ylmethyl O,O-dimethylphosphorodithioate], Disulfoton [O,O-diethyl S-2-ethylthioethylphosphorothioate], DDVP [2,2-dichlorovinyl-dimethylphosphate], Sulprofos [O-ethyl O-4-(methylthio)phenyl S-propyl phosphorodithioate], Cyanophos [O-4-cyanophenyl O,O-dimethylphosphorothioate], Dioxabenzofos [2-methoxy-4H-1,3,2-benzodioxaphosphinine-2-sulfide], Dimethoate [O,O-dimethyl-S-(N-methylcarbamoylmethyl)dithiophosphate], Phenthoate [ethyl 2-dimethoxyphosphinothioylthio(phenyl)acetate], Malathion [diethyl(dimethoxyphosphinothioylthio)succinate], Trichlorfon [dimethyl 2,2,2-trichloro-1-hydroxyethylphosphonate], Azinphos-methyl [S-3,4-dihydro-4-oxo-1,2,3-benzotriazin-3-ylmethyl O,O-dimethylphosphorodithioate], Monocrotophos [dimethyl (E)-1-methyl-2-(methylcarbamoyl)vinylphosphate], Ethion [O,O,O',O'-tetraethyl S,S'-methylenebis(phosphorodithioate)] and Profenofos [O-4-bromo-2-chlorophenyl O-ethyl S-propyl phosphorothioate]; carbamate compounds such as BPMC [2-sec-butylphenylmethylcarbamate], Benfuracarb [ethyl N-[2,3-dihydro-2,2-dimethylbenzofuran-7-yloxycarbonyl(methyl)aminothio]-N-isopropyl-β-alaninate], Propoxur [2-isopropoxyphenyl N-methylcarbamate], Carbosulfan [2,3-dihydro-2,2-dimethyl-7-benzo[b]furanyl N-dibutylaminothio-N-methylcarbamate], Carbaril [1-naphthyl-N-methylcarbamate], Methomyl [S-methyl-N-[(methylcarbamoyl)oxy]thioacetimidate], Ethiofencarb [2-(ethylthiomethyl)phenylmethylcarbamate], Aldicarb [2-methyl-2-(methylthio)propanaldehyde O-methylcarbamoyloxime], Oxamyl [N,N-dimethyl-2-methylcarbamoyloxyimino-2-(methylthio)acetamide], Fenothiocarb [S-(4-phenoxybutyl)-N,Ndimethylthiocarbamate], Thiodicarb [3,7,9,13-tetramethyl-5,11-dioxa-2,8,14-trithia-4, 7,9,12-tetraazapentadeca-3,12-diene-6,10-dione] and Alanylcarb [ethyl (Z)-N-benzyl-N-{[methyl(1-methylthioethylideneaminooxycarbonyl)amino]thio}-β-alaninate]; pyrethroid compounds such as Etofenprox [2-(4-ethoxyphenyl)-2-methylpropyl-3-phenoxybenzylether], Fenvalerate [(RS)-α-cyano-3-phenoxybenzyl (RS)-2-(4-chlorophenyl)-3-methylbutyrate], Esfenvalerate [(S)-α-cyano-3-phenoxybenzyl (S)-2-(4-chlorophenyl)-3-methylbutyrate], Fenpropathrin [(RS)-α-cyano-3-phenoxybenzyl 2,2,3,3-tetramethylcyclopropanecarboxylate], Cypermethrin [(RS)-α-cyano-3-phenoxybenzyl (1RS,3RS)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate], Permethrin [3-phenoxybenzyl (1RS,3RS)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate], Cyhalothrin [(RS)-α-cyano-3-phenoxybenzyl (Z)-(1RS)-cis-3-(2-chloro-3,3,3-trifluoroprop-1-enyl)-2,2-dimethylcyclopropanecarboxylate], Deltamethrin [(S)-α-cyano-m-phenoxybenzyl (1R,3R)-3-(2,2-dibromovinyl)-2,2-dimethylcyclopropanecarboxylate], Cycloprothrin [(RS)-α-cyano-3-phenoxybenzyl (RS)-2,2-dichloro-1-(4-ethoxyphenyl)cyclopropanecarboxylate], Fluvalinate [α-cyano-3-phenoxybenzyl N-(2-chloro-α,α,α-trifluoro-p-tolyl)-D-valinate], Bifenthrin [2-methylbiphenyl-3-ylmethyl) (Z)-(1RS)-cis-3-(2-chloro-3,3,3-trifluoroprop-1-enyl)-2,2-dimethylcyclopropanecarboxylate], Acrinathrin [(S)-α-cyano-(3-phenoxyphenyl)methyl [1R-{1α(S*),3α(Z)}]-2,2-dimethyl-3-[3-oxo-3-(2,2,2-trifluoro-1-(trifluoromethyl)ethoxy-1-propenyl]cyclopropanecarboxylate], 2-methyl-2-(4-bromodifluoromethoxyphenyl)propyl (3-phenoxybenzyl) ether, Traromethrin [(S)-α-cyano-3-phenoxylbenzyl (1R,3R)-3-[(1'RS)-(1',1',2',2'-tetrabromoethyl)]-2,2-dimethylcyclopropanecarboxylate] and Silafluofen [4-ethoxylphenyl [3-(4-fluoro-3-phenoxyphenyl)propyl]dimethylsilane]; thiadiazine derivatives such as Buprofezin [2-tert-butylimino-3-isopropyl-5-phenyl-1,3,5-thiadiazin-4-one]; nitroimidazolidine derivatives such as Imidacloprid [1-(6-chloro-3-pyridylmethyl)-N-nitroimidazolidin-2-ylidenamine]; Nereistoxin derivatives such as Cartap [S,S'-(2-dimethylaminotrimethylene)bisthiocarbamate], Thiocyclam [N,N-dimethyl-1,2,3-trithian-5-ylamine] and Bensultap [S,S'-2-dimethylaminotrimethylene di(benzenethiosulfonate)]; N-cyanoamidine derivatives such as acetamiprid [N-cyano-N'-methyl-N'-(6-chloro-3-pyridylmethyl)acetamidine]; chlorinated hydrocarbon compounds such as Endosulfan [6,7,8,9,10,10-hexachloro-1,5,5a,6,9,9a-hexahydro-6,9-methano-2,4,3-benzodioxathiepinoxide], γ-BHC [1,2,3,4,5,6-hexachlorocyclohexane] and Kelthane [1,1-bis(chlorophenyl)-2,2,2-trichloroethanol]; benzoylphenylurea compounds such as Chlorfluazuron [1-(3,5-dichloro-4-(3-chloro-5-trifluoromethylpyridin-2-yloxy)phenyl)-3-(2,6-difluorobenzoyl)urea], Teflubenzuron [1-(3,5-dichloro-2,4-difluorophenyl)-3-(2,6-difluorobenzoyl)urea] and Fulphenoxron [1-(4-(2-chloro-4-trifluoromethylphenoxy)-2-fluorophenyl)-3-(2,6-difluorobenzoyl)urea]; formamidine derivatives such as Amitraz [N,N'-[(methylimino)dimethylidine]-di-2,4-xylidine] and Chlordimeform [N'-(4-chloro-2-methylphenyl)-N,N-dimethylmethanimidamide]; thiourea derivatives such as Diafenthiuron [N-(2,6-diisopropyl-4-phenoxyphenyl)N'-tert-butylcarbodiimide];

Bromopropylate [isopropyl 4,4'-dibromobenzylate], Tetradifon [4-chlorophenyl-2,4,5-trichlorophenylsulfone], Quinomethionate [S,S-6-methylquinoxaline-2,3-diyldithiocarbonate], Propargite [2-(4-tert-butylphenoxy)cyclohexyl prop-2-yl sulfite], Fenbutatin oxide [bis[tris(2-methyl-2-phenylpropyl)tin]oxide], Hexythiazox [(4RS,5RS)-5-(4-chlorophenyl)-N-chlorohexyl-4-methyl-2-oxo-1,3-thiazolidine-3-carboxamide], Chlofentezine [3,6-bis(2-chlorophenyl)-1,2,4,5-tetrazine], Pyridaben [2-tert-butyl-5-(4-tert-butylbenzylthio)-4-chloropyridazin-3(2H)-one], Fenpyroximate [tert-butyl (E)-4-[(1,3-dimethyl-5-phenoxypyrazol-4-yl)methyleneaminooxymethyl]benzoate], Tebfenpyrad [N-4-tert-butylbenzyl)-4-chloro-3-ethyl-1-methyl-5-pyrazolecarboxamide], polynactin complexes including tetranactin, dinactin and trinactin; Milbemectin, Avermectin, Ivermectin, Azadilactin [AZAD], Pyrimidifen [5-chloro-N-[2-{4-(2-ethoxyethyl)-2,3-dimethylphenoxy}ethyl]-6-ethylpyrimidin-4-amine], Chlorfenapyl [4-bromo-2-(4-chlorophenyl)-1-ethoxymethyl-5-trifluoromethylpyrrole-3-carbonitrile], Tebfenozide [N-tert-butyl-N'-(4-ethylbenzoyl)-3,5-dimethylbenzohydrazide], Fipronyl [5-amino-1-(2,6-dichloro-α,α,α-trifluoro-p-tolyl)-4-trifluoromethylsulfinylpyrazole-3-carbonitrite] and Pimetrozine [2,3,4,5-tetrahydro-3-oxo-4-[(pyridin-3-yl)-methyleneamino]-6-methyl-1,2,4-triazine].

When the present compounds are used as active ingredients of insecticides for agriculture, the application amount thereof is usually in the range of 0.1 to 100 g per 10 ares. In the case of emulsifiable concentrates, wettable powders and flowable concentrates, which are used after diluted with water, the application concentration thereof is usually in the range of 0.1 to 500 ppm. In the case of granules and dusts, they are applied as such without any dilution. When the present compounds are used as active ingredients of insecticides for epidemic prevention, they are formulated into dosage forms such as emulsifiable concentrates, wettable powders and flowable concentrates, which are applied after diluted with water to a typical concentration of 0.1 to 500 ppm; or they are formulated into dosage forma such as oil sprays, aerosols, fumigants and poisonous baits, which are applied as such without any dilution.

The application amount and application concentration may vary depending upon various conditions such as dosage form type, application time, place and method, kind of noxious insects, and degree of damage, and they can be increased or decreased without limitation to the above range.

The present invention will be further illustrated by the following production examples, formulation examples and test examples; however, the present invention is not limited to these examples.

The following are production examples for the present compounds according to various production processes.

Production Example 1: Production of compound (2) by production process F

To a solution of 0.21 g of 3,5-dichloro-4-(3-aminopropyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene and 0.07 g of triethylamine dissolved in 5 ml of dichloromethane was added dropwise a solution of 0.11 g of 4-chlorobenzoyl chloride dissolved in 5 ml of dichloromethane, while stirring under ice cooling. After stirring at room temperature for 6 hours, the reaction mixture was washed with water and then concentrated to give a residue. The residue was subjected to silica gel chromatography, which afforded 0.21 g of 3,5-dichloro-4-(3-(4-chlorobenzamido)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene (71% yield), m.p., 95.1°C.

Production Example 2: Production of compound (7) by production process F

To a solution of 0.21 g of 3,5-dichloro-4-(3-aminopropyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene and 0.07 g of triethylamine dissolved in 5 ml of dichloromethane was added dropwise a solution of 0.15 g of 4-trifluoromethylbenzoyl chloride dissolved in 5 ml of dichloromethane, while stirring under ice cooling. After stirring at room temperature for 6 hours, the reaction mixture was washed with water and then concentrated to give a residue. The residue was subjected to silica gel chromatography, which afforded 0.25 g of 3,5-dichloro-4-(3-(4-trifluoromethylbenzamido)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene (79% yield), m.p., 93.5°C.

Production Example 3: Production of compound (10) by production process F

To a solution of 0.15 g of 4-trifluoromethylcinnamic acid and 0.07 g of triethylamine dissolved in 5 ml of dichloromethane was added 0.14 g of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide (WSC) hydrochloride, while stirring under ice cooling. After stirring for 30 minutes, a solution of 0.20 g of 3,5-dichloro-4-(2-aminoethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene dissolved in 5 ml of dichloromethane was added dropwise. After stirring at room temperature for 12 hours, the reaction mixture was concentrated to give a residue. The residue was subjected to silica gel chromatography, which afforded 0.20 g of 3,5-dichloro-4-(2-(4-trifluoromethylcinnamamido)ethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene (63% yield), m.p., 109.4°C.

Production Example 4: Production of compound (24) by production process G

To a solution of 0.26 g of 4-(2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)-phenoxy)butyric acid and 0.07 g of triethylamine dissolved in 5 ml of dichloromethane was added dropwise 0.14 g of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide (WSC) hydrochloride, while stirring under ice cooling. After stirring for 30 minutes, a solution of 0.12 g of 4-trifluoromethoxyaniline dissolved in 5 ml of dichloromethane was added dropwise. After stirring at room temperature for 12 hours, the reaction mixture was concentrated to give a residue. The residue was subjected to silica gel chromatography, which afforded 0.26 g of 3,5-dichloro-4-(3-(N-(4-trifluoromethoxyphenyl)carbamoyl)-propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene (70% yield), m.p., 88.8°C.

Production Example 5: Production of compound (25) by production process I

To a solution of 0.20 g of 3,5-dichloro-4-(2-aminoethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene and 0.10 g of triethylamine dissolved in 5 ml of dichloromethane was added dropwise a solution of 0.16 g of 4-trifluoromethoxybenzenesulfonyl chloride dissolved in 5 ml of dichloromethane, while stirring under ice cooling. After stirring at room temperature for 6 hours, the reaction mixture was concentrated to give a residue. The residue was subjected to silica gel chromatography, which afforded 0.20 g of 3,5-dichloro-4-(2-(4-trifluoromethoxybenzenesulfonamido)ethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene (60% yield), $n_D^{23.0}$ 1.5470.

Production Example 6: Production of compound (26) by production process M

A solution of 0.20 g of 3,5-dichloro-4-(2-aminoethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene and 0.14 g of 4-trifluoromethoxyphenyl isocyanate dissolved in 10 ml of toluene was heated under reflux, while stirring, for 12 hours, and the reaction mixture was then concentrated to give a residue. The residue was subjected to silica gel chromatography, which afforded 0.15 g of 3,5-dichloro-4-(2-(N'-(4-trifluoromethoxyphenyl)ureido)ethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene (46% yield), m.p., 125.4°C.

Production Example 7: Production of compound (28) by production process K

A solution of 0.17 g of 3,5-dichloro-4-(3-hydroxypropyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene, 0.09 g of 4-chlorophenyl isocyanate and a catalytic amount of pyridine dissolved in 10 ml of toluene was heated at 60° to 70°C, while stirring, for 3 hours, and the reaction mixture was then concentrated to give a residue. The residue was subjected to silica gel chromatography, which afforded 0.19 g of 3,5-dichloro-4-(3-(N-(4-chlorophenyl)carbamoyloxy)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene (77% yield), m.p., 54.3°C.

Production Example 8: Production of compound (7) by production process A

To a mixture of 2.0 g of 3,5-dichloro-4-(3-(4-trifluoromethyl)benzamido)-propyloxy)phenol, 0.68 g of potassium carbonate and 20 ml of N,N-dimethylformamide was added dropwise a mixed solution of 0.71 g of 1,1,3-trichloropropene and 5 ml of N,N-dimethylformamide, while stirring at room temperature. After stirring at room temperature for 6 hours, the reaction mixture was poured into ice water and extracted twice with 50 ml of diethyl ether. The ether layers were combined, washed with water, dried over anhydrous magnesium sulfate, and then concentrated to give crude crystals. These crude crystals were ground and washed with n-hexane, which afforded 1.9 g of 3,5-dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(4-(trifluoromethyl)benzamido)-propyloxy)benzene (75% yield), m.p., 93.5°C.

Production Example 9: Production of compound (59) by production process A

To a mixture of 0.66 g of 3-ethyl-5-methyl-4-(3-(4-(trifluoromethyl)benzamido)propyloxy)phenol, 0.29 g of potassium carbonate and 20 ml of N,N-dimethylformamide was added dropwise a mixed solution of 0.28 g of 1,1,3-trichloropropene and 5 ml of N,N-dimethylformamide, while stirring at room temperature. After stirring at room temperature for 6 hours, the reaction mixture was poured into ice water and extracted twice with 50 ml of diethyl ether. The ether layers were combined, washed with water, dried over anhydrous magnesium sulfate, and then concentrated to give crude crystals. The crude crystals were ground and washed with n-hexane, which afforded 0.48 g of 3-ethyl-5-methyl-1-(3,3-dichloro-2-propenyloxy)-4-(3-(4-(trifluoromethyl)benzamido)propyloxy)benzene (58% yield), m.p., 92.2°C.

Production Example 10: Production of compound (67) by production process F

To a mixture of 0.96 g of 4-(3-aminopropyloxy)-3,5-dichloro-1-(3,3-dichloro-2-propenyloxy)benzene, 0.53 g of 5-(trifluoromethyl)-2-pyridinecarboxylic acid, 0.37 g of triethylamine and 10 ml of chloroform was added 0.64 g of WSC hydrochloride, while stirring at room temperature. After stirring at room temperature for 6 hours, the reaction mixture was concentrated to give a residue. The residue was subjected to silica gel chromatography, which afforded 0.15 g of 3,5-dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(5-(trifluoromethyl)picolinamido)propoxy)benzene (10% yield), m.p., 55.1°C.

Production Example 11: Production of compound (34) by production process F

To a mixture of 0.35 g of 4-(3-aminopropyloxy)-3,5-dichloro-1-(3,3-dichloro-2-propenyloxy)benzene, 0.19 g of 5-bromo-2-furancarboxylic acid, 0.18 ml of triethylamine and 10 ml of chloroform was added 0.23 g of WSC hydrochloride, while stirring at room temperature. After stirring at room temperature for 6 hours, the reaction mixture was concentrated to give a residue. The residue was dissolved in 50 ml of ethyl acetate, and the ethyl acetate layer was successively washed with 10% hydrochloric acid, saturated aqueous sodium hydrogen carbonate solution and saturated saline solution, dried over anhydrous magnesium sulfate, and then concentrated to give a residue. The residue was subjected to silica gel chromatography, which afforded 0.35 g of 3,5-dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(5-bromo-2-furancarboxamido)propyloxy)-benzene (64% yield), $n_D^{24.0}$ 1.5918.

Production Example 12: Production of compound (93) by production process F

To a mixture of 0.42 g of 3,5-dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(methylamino)propyloxy)benzene, 0.18 ml of triethylamine and 10 ml of chloroform was added 0.18 ml of 4-(trifluoromethyl)benzoyl chloride, while stirring under ice cooling. After stirring at room temperature for 6 hours, the reaction mixture was concentrated to give a residue. The residue was dissolved in 50 ml of ethyl acetate, and the ethyl acetate layer was successively washed with 10% hydrochloric acid, saturated aqueous sodium hydrogen carbonate solution and saturated saline solution, dried over anhydrous magnesium sulfate, and then concentrated to give a residue. The residue was subjected to silica gel chromatography, which afforded 0.35 g of 3,5-dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(N-methyl-4-(trifluoromethyl)benzamido)propyloxy)benzene (55% yield), $n_D^{24.5}$ 1.5461.

Production Example 13: Production of compound (100) by production process F

To a mixture of 0.35 g of 4-(3-aminopropyloxy)-3,5-dichloro-1-(3,3-dichloro-2-propenyloxy)benzene, 0.17 g of 4-chlorophenylacetic acid, 0.18 ml of triethylamine and 10 ml of dichloromethane was added 0.23 g of WSC hydrochloride, while stirring at room temperature. After stirring at room temperature for 6 hours, the reaction mixture was concentrated to give a residue. The residue was dissolved in 50 ml of ethyl acetate, and the ethyl acetate layer was successively washed with 10% hydrochloric acid, saturated aqueous sodium hydrogen carbonate solution and saturated saline solution, dried over anhydrous magnesium sulfate, and then concentrated to give a residue. The residue was subjected to silica gel chromatography, which afforded 0.35 g of 3,5-dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(4-chlorophenylacetamido)propyloxy)benzene (70% yield), m.p., 108.4°C.

Production Example 14: Production of compound (127) by production process F

To a solution of 0.20 g of 5-(2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)-phenoxy)valeric acid and 0.05 g of dipropargylamine dissolved in 10 ml of chloroform was added 0.11 g of WSC hydrochloride, while stirring at room temperature. After stirring at room temperature for 24 hours, the reaction mixture was concentrated to give a residue. The residue was subjected to silica gel chromatography, which afforded 0.21 g of 3,5-dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(N,N-dipropargylcarbamoyl)butyloxy)benzene (92% yield), $n_D^{25.0}$ 1.5481.

Production Example 15: Production of compound (129) by production process L

A mixture of 0.33 g of 3,5-dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(aminopropyloxy)benzene and 10 ml of pyridine was cooled to 0°C, and 0.10 g of methyl chloroformate was slowly added dropwise, while stirring. The reaction mixture was stirred at 0°C for 1 hours, after which the temperature was slowly raised to room temperature, and the reaction mixture was further stirred at room temperature for 6 hours. The reaction mixture was poured into 10% hydrochloric acid, and the mixture was extracted twice with 50 ml of ethyl acetate. The ethyl acetate layers were combined, washed with water, dried over anhydrous magnesium sulfate, and then concentrated, which afforded 0.30 g of 3,5-dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-methoxycarbonylamino)propyloxy)benzene (74% yield), $n_D^{25.5}$ 1.5421.

The following are specific examples of the present compounds with their compound numbers and physical properties, if measured.

(1) 3,5-Dichloro-4-(3-benzamidopropyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene $n_D^{23.0}$ 1.5860

(2) 3,5-Dichloro-4-(3-(4-chlorobenzamido)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 95.1°C

(3) 3,5-Dichloro-4-(2-(4-trifluoromethoxybenzamido)ethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 81.0°C

(4) 3,5-Dichloro-4-(3-(4-trifluoromethoxybenzamido)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 93.8°C

(5) 3,5-Dichloro-4-(3-(4-fluorobenzamido)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene $n_D^{24.5}$ 1.5800

(6) 3,5-Dichloro-4-(3-(4-bromobenzamido)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 102.7°C

(7) 3,5-Dichloro-4-(3-(4-trifluoromethylbenzamido)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 93.5°C

(8) 3,5-Dichloro-4-(3-(4-ethoxybenzamido)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 120.6°C

(9) 3,5-Dichloro-4-(3-(4-isopropoxybenzamido)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 91.8°C

(10) 3,5-Dichloro-4-(2-(4-trifluoromethylcinnamamido)ethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 109.4°C

(11) 3,5-Dichloro-4-(3-(4-trifluoromethylcinnamamido)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 116.6°C

(12) 3,5-Dichloro-4-(3-(2-chlorobenzamido)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 70.3°C

(13) 3,5-Dichloro-4-(3-(3-chlorobenzamido)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 70.3°C

(14) 3,5-Dichloro-4-(3-(2,4-dichlorobenzamido)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 118.5°C

(15) 3,5-Dichloro-4-(3-(2,6-dichlorobenzamido)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 124.6°C

(16) 3,5-Dichloro-4-(3-(2,4,6-trichlorobenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 126.1°C

(17) 3,5-Dichloro-4-(3-(2-trifluoromethylbenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 98.9°C

(18) 3,5-Dichloro-4-(3-(3-trifluoromethylbenzamido)propoxy)-(1-(3,3-dichloro-2-propenyloxy)benzene m.p., 73.5°C

(19) 3,5-Dichloro-4-(3-(3,5-bistrifluoromethylbenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 110.4°C

(20) 3,5-Dichloro-4-(3-(4-tert-butylbenzamido)propyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 109.5°C

(21) 3,5-Dichloro-4-(3-(3,4-dichlorobenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 85.9°C

(22) 3,5-Dichloro-4-(3-(4-nitrobenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 136.0°C

(23) 3,5-Dichloro-4-(3-(4-cyanobenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 111.7°C

(24) 3,5-Dichloro-4-(3-(N-(4-trifluoromethoxyphenyl)carbamoyl)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 88.8°C

(25) 3,5-Dichloro-4-(2-(4-trifluoromethoxybenzenesulfonamido)ethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene $n_D^{23.0}$ 1.5470

(26) 3,5-Dichloro-4-(2-(N'-(4-trifluoromethoxyphenyl)ureido)ethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 125.4°C

(27) 3,5-Dichloro-4-(3-(N-phenylcarbamoyloxy)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene $n_D^{24.5}$ 1.5777

(28) 3,5-Dichloro-4-(3-(N-(4-chlorophenyl)carbamoyloxy)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 54.3°C

(29) 3,5-Dichloro-4-(2-(N-(4-chlorophenyl)carbamoyloxy)ethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 105.5°C

(30) 3,5-Dichloro-4-(2-(N-(4-trifluoromethoxyphenyl)carbamoyloxy)-ethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene $n_D^{24.5}$ 1.5446

(31) 3,5-Dichloro-4-(3-(6-chloronicotinamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 85.6°C

(32) 3,5-Dichloro-4-(4-(4-trifluoromethylbenzamido)butoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 90.5°C

(33) 3,5-Dichloro-4-(3-(thiophene-2-carboxamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene $n_D^{24.0}$ 1.6026

(34) 3,5-Dichloro-4-(3-(5-bromofurane-2-carboxamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene $n_D^{24.0}$ 1.5918

(35) 3,5-Dichloro-4-(3-(5-chloroindole-2-carboxamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 153.9°C

(36) 3,5-Dichloro-4-(3-(4-chlorobenzamido)propoxy)-1-(3,3-dibromo-2-propenyloxy)benzene

(37) 3,5-Dibromo-4-(3-(4-chlorobenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(38) 3,5-Dimethyl-4-(3-(4-chlorobenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(39) 3,5-Diethyl-4-(3-(4-chlorobenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(40) 3-Chloro-5-fluoro-4-(3-(4-chlorobenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(41) 3-Chloro-5-methyl-4-(3-(4-chlorobenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(42) 3-Chloro-5-ethyl-4-(3-(4-chlorobenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(43) 3-Ethyl-5-methyl-4-(3-(4-chlorobenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(44) 3,5-Dichloro-4-(4-(4-chlorobenzamido)butoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 96.5°C

(45) 3,5-Dichloro-4-(4-(4-chlorobenzamido)butoxy)-1-(3,3-dibromo-2-propenyloxy)benzene

(46) 3,5-Dibromo-4-(4-(4-chlorobenzamido)butoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(47) 3,5-Dimethyl-4-(4-(4-chlorobenzamido)butoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(48) 3,5-Diethyl-4-(4-(4-chlorobenzamido)butoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(49) 3-Chloro-5-fluoro-4-(4-(4-chlorobenzamido)butoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(50) 3-Chloro-5-methyl-4-(4-(4-chlorobenzamido)butoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(51) 3-Chloro-5-ethyl-4-(4-(4-chlorobenzamido)butoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(52) 3-Ethyl-5-methyl-4-(4-(4-chlorobenzamido)butoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(53) 3,5-Dichloro-4-(3-(4-trifluoromethylbenzamido)propoxy)-1-(3,3-dibromo-2-propenyloxy)benzene

(54) 3,5-Dibromo-4-(3-(4-trifluoromethylbenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(55) 3,5-Dimethyl-4-(3-(4-trifluoromethylbenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(56) 3,5-Diethyl-4-(3-(4-trifluoromethylbenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 99.5°C

(57) 3-Chloro-5-fluoro-4-(3-(4-trifluoromethylbenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(58) 3-Chloro-5-methyl-4-(3-(4-trifluoromethylbenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 91.0°C

(59) 3-Ethyl-5-methyl-4-(3-(4-trifluoromethylbenzamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 92.2°C

(60) 3,5-Dichloro-4-(4-(4-trifluoromethylbenzamido)butoxy)-1-(3,3-dibromo-2-propenyloxy)benzene

(61) 3,5-Dibromo-4-(4-(4-trifluoromethylbenzamido)butoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(62) 3,5-Dimethyl-4-(4-(4-trifluoromethylbenzamido)butoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(63) 3,5-Diethyl-4-(4-(4-trifluoromethylbenzamido)butoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(64) 3-Chloro-5-fluoro-4-(4-(4-trifluoromethylbenzamido)butoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(65) 3-Chloro-5-methyl-4-(4-(4-trifluoromethylbenzamido)butoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(66) 3-Ethyl-5-methyl-4-(4-(4-trifluoromethylbenzamido)butoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(67) 3,5-Dichloro-4-(3-(5-trifluoromethylpicolinamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene m.p., 55.1°C

(68) 3,5-Dichloro-4-(3-(5-trifluoromethylpicolinamido)propoxy)-1-(3,3-dibromo-2-propenyloxy)benzene

(69) 3,5-Dibromo-4-(3-(5-trifluoromethylpicolinamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(70) 3,5-Dimethyl-4-(3-(5-trifluoromethylpicolinamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(71) 3,5-Diethyl-4-(3-(5-trifluoromethylpicolinamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(72) 3-Chloro-5-fluoro-4-(3-(5-trifluoromethylpicolinamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(73) 3-Chloro-5-methyl-4-(3-(5-trifluoromethylpicolinamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(74) 3-Ethyl-5-methyl-4-(3-(5-trifluoromethylpicolinamido)propoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(75) 3,5-Dichloro-4-(4-(5-trifluoromethylpicolinamido)butyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(76) 3,5-Dichloro-4-(4-(5-trifluoromethylpicolinamido)butyloxy)-1-(3,3-dibromo-2-propenyloxy)benzene

(77) 3,5-Dibromo-4-(4-(5-trifluoromethylpicolinamido)butyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(78) 3,5-Dimethyl-4-(4-(5-trifluoromethylpicolinamido)butyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(79) 3,5-Diethyl-4-(4-(5-trifluoromethylpicolinamido)butyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(80) 3-Chloro-5-fluoro-4-(4-(5-trifluoromethylpicolinamido)butyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(81) 3-Chloro-5-methyl-4-(4-(5-trifluoromethylpicolinamido)butyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(82) 3-Ethyl-5-methyl-4-(4-(5-trifluoromethylpicolinamido)butyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene

(83) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(5-methyl-1,4-benzodioxane-6-carboxamido)propoxy)benzene m.p., 120.8°C

(84) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(2-pyrazinecarboxamido)propoxy)benzene $n_D^{28.0}$ 1.5825

(85) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(4-pyridazinecarboxamido)propoxy)benzene glassy

(86) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(1-methyl-2-indolecarboxamido)propoxy)benzene m.p., 123.3°C

(87) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(6,6-dimethyl-5,6-dihydro-4H-pyran-4-one-2-carboxamido)propoxy)benzene $n_D^{28.0}$ 1.5612

(88) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(4-oxo-4H-1-benzopyrane-2-carboxamido)propoxy)benzene m.p., 165.7°C

(89) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(1-methyl-2-pyrrolecarboxamido)propoxy)benzene $n_D^{28.0}$ 1.5772

(90) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(2-quinolinecarboxamido)propoxy)benzene m.p., 145.8°C

(91) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(5-methyl-2-pyrazinecarboxamido)propoxy)benzene m.p., 109.0°C

(92) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(N-methyl-4-(trifluoromethyl)benzamido)butoxy)benzene $n_D^{26.0}$ 1.5417

(93) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(N-methyl-4-(trifluoromethyl)benzamido)propoxy)benzene $n_D^{24.5}$ 1.5461

(94) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(2-furancarboxamido)propoxy)benzene $n_D^{24.5}$ 1.5682

(95) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(3-furancarboxamido)propoxy)benzene $n_D^{24.5}$ 1.5695

(96) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(3-thiophenecarboxamido)propoxy)benzene $n_D^{24.5}$ 1.5824

(97) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(5-methylthiophenecarboxamido)propoxy)benzene m.p., 85.4°C

(98) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(4-propylbenzamido)propoxy)benzene m.p., 92.9°C

(99) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(6-methyl-3-pyridinecarboxamido)propoxy)benzene m.p., 94.8°C

(100) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(4-chlorophenylacetamido)propoxy)benzene m.p., 108.4°C

(101) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(3-(trifluoromethyl)phenylacetamido)propoxy)benzene m.p., 88.7°C

(102) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(N-isopropyl-4-(trifluoromethyl)benzamido)butoxy)benzene $n_D^{24.5}$ 1.5394

(103) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(N-(4-(trifluoromethyl)phenyl)carbamoyl)propoxy)benzene m.p., 112.8°C

(104) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(N-(4-(trifluoromethyl)phenyl)carbamoyl)butoxy)benzene m.p., 111.5°C

(105) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(1-methyl-5-nitro-4-pyrazolecarboxamido)propoxy)benzene m.p., 122.2°C

(106) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(3-quinolinecarboxamido)propoxy)benzene m.p., 115.9°C

(107) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(5-nitro-2-furancarboxamido)propoxy)benzene $n_D^{21.0}$ 1.5850

(108) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(5-(trifluoromethyl)-2-pyridinecarboxamido)propoxy)benzene m.p., 66.8°C

(109) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(N-(5-bromo-2-thiazole)carbamoyl)propoxy)benzene m.p., 164.0°C

(110) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(4-chlorobenzenesulfonamido)butoxybenzene $n_D^{21.5}$ 1.5745

(111) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(5-bromo-2-furancarboxamido)butoxybenzene $n_D^{21.5}$ 1.5801

(112) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(5-methyl-2-thiophenecarboxamido)butoxybenzene m.p., 105.2°C

(113) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(3-quinolinecarboxamido)butoxybenzene m.p., 110.1°C

(114) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(dipropylcarbamoyl)butoxy)benzene $n_D^{26.5}$ 1.5291

(115) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(5-(dipropylcarbamoyl)pentoxy)benzene $n_D^{24.0}$ 1.5271

(116) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(diethylcarbamoyl)-butoxy)benzene $n_D^{25.0}$ 1.5386

(117) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(trifluoroacetamido)-propoxy)benzene m.p., 68.1°C

(118) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(trifluoromethanesulfonyl)propoxy)benzene m.p., 47.2°C

(119) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(acetamidopropoxy)-benzene m.p., 77.8°C

(120) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(1-piperidylcarbonyl)butoxy)benzene $n_D^{24.5}$ 1.5423

(121) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(1-pyrrolidinylcarbonyl)butoxy)benzene $n_D^{24.5}$ 1.5537

(122) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(2-(1-piperidylcarbonyl)ethoxy)benzene $n_D^{27.0}$ 1.5517

(123) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(1-piperidylcarbonyl)propoxy)benzene $n_D^{25.0}$ 1.5510

(124) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(N,N-diallylcarbamoyl)butoxy)benzene $n_D^{26.5}$ 1.5432

(125) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(5-(1-piperidylcarbonyl)pentoxy)benzene $n_D^{24.0}$ 1.5395

(126) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(5-(N,N-diallylcarbamoyl)pentoxy)benzene $n_D^{24.0}$ 1.5396

(127) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(N,N-dipropargylcarbamoyl)butoxy)benzene $n_D^{25.0}$ 1.5481

(128) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(4-morpholinylcarbonyl)butoxy)benzene $n_D^{25.5}$ 1.5450

(129) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(methoxycarbonylamino)propoxy)benzene $n_D^{25.5}$ 1.5421

(130) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(methoxycarbonylamino)butoxy)benzene $n_D^{24.5}$ 1.5440

(131) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(ethoxycarbonylamino)butoxy)benzene $n_D^{24.5}$ 1.5375

(132) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(propyloxycarbonylamino)butoxy)benzene $n_D^{24.5}$ 1.5339

(133) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(tert-butyramidopropoxy)benzene $n_D^{23.0}$ 1.5407

(134) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(2,4-bis(trifluoromethyl)benzamido)propoxy)benzene

(135) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(2,4-bis(trifluoromethyl)benzamido)butyroxy)benzene

(136) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(2-fluoro-4-(trifluoromethyl)benzamido)propoxy)benzene

(137) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(2-fluoro-4-(trifluoromethyl)benzamido)butyroxy)benzene

(138) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(2-nitro-4-(trifluoromethyl)benzamido)propoxy)benzene

(139) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(2-nitro-4-(trifluoromethyl)benzamido)butyroxy)benzene

(140) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(4-chloro-2-fluorobenzamido)propoxy)benzene

(141) 3,5-dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(4-chloro-2-fluorobenzamido)butyroxy)benzene

(142) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(2-chloro-5-(trifluoromethyl)benzamido)propoxy)benzene

(143) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(2-chloro-5-(trifluoromethyl)benzamido)butyroxy)benzene

(144) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(2,5-dichlorobenzamido)propoxy)benzene

(145) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(2,5-dichlorobenzamido)butyroxy)benzene

(146) 3,5-Diethyl-1-(3,3-dichloro-2-propenyloxy)-4-(3-(2,4-bis(trifluoromethyl)benzamido)propoxy)benzene

(147) 3,5-Diethyl-1-(3,3-dichloro-2-propenyloxy)-4-(3-(2-fluoro-4-(trifluoromethyl)benzamido)propoxy)benzene

(148) 3,5-Diethyl-1-(3,3-dichloro-2-propenyloxy)-4-(3-(4-chloro-2-fluorobenzamido)propoxy)benzene

The following are production examples for the intermediates of general formula [IX].

Intermediate Production Example 1: Production of intermediate compound 1)

A reaction vessel was charged with 30.5 g of 4-hydroxyphenyl benzoate, 21.6 g of potassium carbonate, 20.8 g of 1,1,3-trichloropropene and 100 ml of N,N-dimethylformamide. After stirring at room temperature for 15 hours, the reaction mixture was poured into water and extracted twice with 150 ml of diethyl ether. The ether layers were combined, washed with water, dried over anhydrous magnesium sulfate, and then concentrated to give a crude product. The crude product was subjected to silica gel chromatography, which afforded 44.1 g of 4-(3,3-dichoro-2-propenyloxy)phenyl benzoate (96% yield).

A reaction vessel was charged with 44.1 g of 4-(3,3-dichloro-2-propenyloxy)-phenyl benzoate and 400 ml of methanol, and 33 g of 30% aqueous potassium hydroxide solution was slowly added dropwise under ice cooling. After stirring for 1 hour, the mixture was made weak acidic by the addition of 10% hydrochloric acid, and then extracted twice with 150 m of diethyl ether under salting out. The ether layers were combined, washed with water, dried over anhydrous magnesium sulfate, and then concentrated to give a crude product. The crude product was subjected to silica gel chromatography, which afforded 26.0 g of 4-(3,3-dichloro-2-propenyloxy)phenol (87% yield).

A reaction vessel was charged with 26.0 g of 4-(3,3-dichloro-2-propenyloxy)phenol and 500 ml of carbon tetrachloride, and a solution of 27.1 g of t-butyl hypochlorite dissolved in 20 ml of carbon tetrachloride was slowly added dropwise, while stirring under cooling. After stirring for 24 hours, the reaction mixture was poured into water, and the organic layer (carbon tetrachloride layer) was separated. The organic layer was washed with water, dried over anhydrous magnesium sulfate, and then concentrated to give a crude product. The crude product was subjected to silica gel chromatography, which afforded 11.0 g of 2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenol (32% yield), $n_D^{22.5}$ 1.5895.

The following are some specific examples of the intermediates of general formula [IX] with their compound numbers and physical properties, if measured.

1) 2,6-Dichloro-4-(3,3-dichloro-2-propenyloxy)phenol

^{1}H-NMR ($CDCl_3$/TMS) δ (ppm): 4.57 (2H, d), 5.50 (1H, brs), 6.11 (1H, t), 6.85 (2H, s)

2) 2,6-Dichloro-4-(3,3-dibromo-2-propenyloxy)phenol

3) 2-Chloro-6-bromo-4-(3,3-dichloro-2-propenyloxy)phenol

4) 2-Chloro-6-bromo-4-(3,3-dibromo-2-propenyloxy)phenol

5) 2,6-Dibromo-4-(3,3-dichloro-2-propenyloxy)phenol

6) 2,6-Dibromo-4-(3,3-dibromo-2-propenyloxy)phenol

7) 2,6-Dimethyl-4-(3,3-dichloro-2-propenyloxy)phenol

8) 2,6-Dimethyl-4-(3,3-dibromo-2-propenyloxy)phenol

9) 2-Chloro-6-methyl-4-(3,3-dichloro-2-propenyloxy)phenol

10) 2-Chloro-6-methyl-4-(3,3-dibromo-2-propenyloxy)phenol

The following are production examples for the intermediates of general formula [XVIII].

Intermediate Production Example 2: Production of intermediate compound 12)

A reaction vessel was charged with 10.6 g of 1,3-dibromopropane, 5.53 g of potassium carbonate and 100 ml of N,N-dimethylformamide, and a solution of 10.1 g of 2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenol dissolved in 40 ml of N,N-dimethylformamide was slowly added dropwise. After stirring at room temperature for 24 hours, the reaction mixture was poured into water and extracted twice with 150 ml of diethyl ether. The ether layers were combined, washed with water, dried over anhydrous magnesium sulfate, and then concentrated to give a crude product. The crude product was subjected to silica gel chromatography, which afforded 11.1 g of 3,5-dichloro-4-(3-bromopropoxy)-1-(3,3-dichloro-2-propenyloxy)benzene (77% yield).

A reaction vessel was charged with 11.1 g of 3,5-dichloro-4-(3-bromopropoxy)-1-(3,3-dichloro-2-propenyloxy)benzene, 3.31 g of benzoic acid, 3.90 g of potassium carbonate and 50 ml of N,N-dimethylformamide. After stirring at room temperature for 24 hours, the reaction mixture was poured into water and extracted twice with 150 ml of diethyl ether. The ether layers were combined, and washed with water, dried over anhydrous magnesium chloride, and then concentrated to give a crude product. The crude product was subjected to silica gel chromatography, which afforded 11.6 g of 3,5-dichloro-4-(3-benzoyloxypropyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene (95% yield).

A reaction vessel was charged with 11.6 g of 3,5-dichloro-4-(3-benzoyloxypropyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene, 15.2 g of 10% aqueous potassium hydroxide solution and 30 ml of methanol. After stirring at room temperature for 24 hours, the reaction mixture was concentrated. Water was poured into the concentrate, and the mixture was extracted twice with 150 ml of diethyl ether. The ether layers were combined, washed with water, dried over anhydrous magnesium sulfate, and then concentrated to give a crude product. The crude product was subjected to silica gel chromatography, which afforded 7.41 g of 3-(2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxy)-1-propanol (83% yield), m.p., 56.6°C.

The following are some specific examples of the intermediates of general formula [XVIII] with their compound numbers and physical properties, if measured.

11) 2-(2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxy)ethanol

12) 3-(2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxy)-1-propanol

m.p., 56.6°C

13) 4-(2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxy)-1-butanol

14) 5-(2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxy)-1-pentanol

15) 2-(2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxy)-1-ethanethiol

16) 3-(2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxy)-1-propanethiol

17) 4-(2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxy)-1-butanethiol

18) 5-(2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxy)-1-pentanethiol

The following are production examples for the intermediates of general formula [III] or [XII].

Intermediate Production Example 3: Production of intermediate compound 21)

A reaction vessel was charged with 4.09 g of 3,5-dichloro-4-(3-bromopropyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene, 2.41 of potassium phthalimide and 30 ml of N,N-dimethylformamide. After stirring at room temperature for 24 hours, the reaction mixture was poured into water and extracted twice with 150 ml of chloroform. The chloroform layers were combined, washed with diluted hydrochloric acid and diluted aqueous sodium hydroxide, dried over anhydrous magnesium sulfate, and then concentrated, which afforded 4.67 g of crude 3,5-dichloro-4-(3-phthalimidopropyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene (98% yield).

A reaction vessel was charged with 4.67 g of crude 3,5-dichloro-4-(3-phthalimidopropyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene, 0.55 g of hydrazine monohydrate and 200 ml of ethanol. After heating under reflux for 2 hours, the reaction mixture was made weak acidic by the addition of concentrated hydrochloric acid, and then further heated under reflux for 1 hours. The deposited solid was collected by filtration, and the filtrate was concentrated. Water was poured into the concentrated, and the mixture was extracted twice with 150 ml of chloroform. The chloroform layers were combined, washed with water, dried over anhydrous magnesium sulfate, and then concentrated to give a crude product. The crude product was subjected to silica gel chromatography which afforded 2.4 of 3,5-dichloro-4-(3-aminopropyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene (71% yield), $n_D^{23.5}$ 1.5672.

Intermediate Production Example 4: Production of intermediate compound 23)

A mixture of 9.1 g of 2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenol, 8.9 g of N-(4-bromoethyl)phthalimide, 4.4 g of potassium carbonate and 100 ml of N,N-dimethylformamide was stirred at room temperature for 24 hours. The reaction mixture was poured into ice water and made weak acidic by the addition of 10% hydrochloric acid, after which 200 ml of ethyl acetate was added for extraction. The ethyl acetate layer was successively washed with 10% hydrochloric acid and saturated saline solution, dried over anhydrous magnesium sulfate, and then concentrated to give crude crystals. The crude crystals were washed with n-hexane and then dried under reduced pressure, which afforded 14.5 g of 3,5-dichloro-4-(4-phthalimidobutyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene (94% yield).

A mixture of 14.5 g of 3,5-dichloro-4-(4-phthalimidobutyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene, 1.73 ml of hydrazine monohydrate and 100 ml of ethanol was heated under reflux for 4 hours. The reaction mixture was made weak acidic by the addition of concentrated hydrochloric acid and further heated under reflux for 1 hour. The temperature of the reaction mixture was decreased to room temperature, after which the deposited solid was collected by filtration and the filtrate was concentrated to give a residue. To the residue was added a solution of 2.1 g of potassium hydroxide dissolved in 100 ml of ethanol, after which the deposited solid was collected by filtration and the filtrate was concentrated to give a residue. To the residue was added 100 ml of diethyl ether for dissolution, and the solution was dried over anhydrous magnesium sulfate and then concentrated, which afforded 7.51 g of 3,5-dichloro-4-(4-aminobutyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene (71% yield), $n_D^{23.5}$ 1.5672.

Intermediate Production Example 5: Production of intermediate compound 60)

A mixture of 1.0 g of 3,5-dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-bromobutyloxy)benzene, 4 ml of 40% methanol solution of methylamine, 0.33 g of potassium carbonate and N,N-dimethylformamide was stirred at room temperature for 24 hours. To the reaction mixture was added 100 ml of saturated aqueous sodium hydrogen carbonate solution, and the mixture was extracted with 100 ml of ethyl acetate. The ethyl acetate layer was successively washed with 100 ml of saturated sodium hydrogen carbonate and saturated saline solution, dried over anhydrous magnesium sulfate, and then concentrated, which afforded 0.80 g of 3,5-dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(methylamino)butyloxy)benzene (89% yield), $n_D^{26.0}$ 1.5545.

The following are some specific examples of the intermediates of general formula [III] or [XII] with their compound numbers and physical properties, if measured.

19) 3,5-Dichloro-4-(2-aminoethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene $n_D^{25.0}$ 1.5784

20) 3,5-Dichloro-4-(2-aminoethoxy)-1-(3,3-dibromo-2-propenyloxy)benzene

21) 3,5-Dichloro-4-(3-aminopropyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene $n_D^{23.5}$ 1.5672

22) 3,5-Dichloro-4-(3-aminopropyloxy)-1-(3,3-dibromo-2-propenyloxy)-benzene

23) 3,5-Dichloro-4-(4-aminobutyloxy)-1-(3,3-dichloro-2-propenyloxy)-benzene $n_D^{24.5}$ 1.5722

24) 3,5-Dichloro-4-(4-aminobutyloxy)-1-(3,3-dibromo-2-propenyloxy)benzene

25) 3,5-Dichloro-4-(5-aminopentyloxy)-1-(3,3-dichloro-2-propenyloxy)-benzene

26) 3,5-Dichloro-4-(5-aminopentyloxy)-1-(3,3-dibromo-2-propenyloxy)-benzene

27) 3,5-Dibromo-4-(2-aminoethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

28) 3,5-Dibromo-4-(2-aminoethoxy)-1-(3,3-dibromo-2-propenyloxy)benzene

29) 3,5-Dibromo-4-(3-aminopropyloxy)-1-(3,3-dichloro-2-propenyloxy)-benzene

30) 3,5-Dibromo-4-(3-aminopropyloxy)-1-(3,3-dibromo-2-propenyloxy)-benzene

31) 3,5-Dibromo-4-(4-aminobutyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene

32) 3,5-Dibromo-4-(4-aminobutyloxy)-1-(3,3-dibromo-2-propenyloxy)benzene

33) 3,5-Dibromo-4-(5-aminopentyloxy)-1-(3,3-dichloro-2-propenyloxy)-benzene

34) 3,5-Dibromo-4-(5-aminopentyloxy)-1-(3,3-dibromo-2-propenyloxy)-benzene

35) 3,5-Dimethyl-4-(2-aminoethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

36) 3,5-Dimethyl-4-(2-aminoethoxy)-1-(3,3-dibromo-2-propenyloxy)benzene

37) 3,5-Dimethyl-4-(3-aminopropyloxy)-1-(3,3-dichloro-2-propenyloxy)-benzene

38) 3,5-Dimethyl-4-(3-aminopropyloxy)-1-(3,3-dibromo-2-propenyloxy)-benzene

39) 3,5-Dimethyl-4-(4-aminobutyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene

40) 3,5-Dimethyl-4-(4-aminobutyloxy)-1-(3,3-dibromo-2-propenyloxy)benzene

41) 3,5-Dimethyl-4-(5-aminopentyloxy)-1-(3,3-dichloro-2-propenyloxy)-benzene

42) 3,5-Dimethyl-4-(5-aminopentyloxy)-1-(3,3-dibromo-2-propenyloxy)-benzene

43) 3-Chloro-5-methyl-4-(2-aminoethoxy)-1-(3,3-dichloro-2-propenyloxy)-benzene

44) 3-Chloro-5-methyl-4-(2-aminoethoxy)-1-(3,3-dibromo-2-propenyloxy)-benzene

45) 3-Chloro-5-methyl-4-(3-aminopropyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene

46) 3-Chloro-5-methyl-4-(3-aminopropyloxy)-1-(3,3-dibromo-2-propenyloxy)benzene

47) 3-Chloro-5-methyl-4-(4-aminobutyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene

48) 3-Chloro-5-methyl-4-(4-aminobutyloxy)-1-(3,3-dibromo-2-propenyloxy)benzene

49) 3-Chloro-5-methyl-4-(5-aminopentyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene

50) 3-Chloro-5-methyl-4-(5-aminopentyloxy)-1-(3,3-dibromo-2-propenyloxy)benzene

51) 3-Ethyl-5-methyl-4-(2-aminoethoxy)-1-(3,3-dichloro-2-propenyloxy)benzene

52) 3-Ethyl-5-methyl-4-(2-aminoethoxy)-1-(3,3-dibromo-2-propenyloxy)benzene

53) 3-Ethyl-5-methyl-4-(3-aminopropyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene

54) 3-Ethyl-5-methyl-4-(3-aminopropyloxy)-1-(3,3-dibromo-2-propenyloxy)benzene

55) 3-Ethyl-5-methyl-4-(4-aminobutyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene

56) 3-Ethyl-5-methyl-4-(4-aminobutyloxy)-1-(3,3-dibromo-2-propenyloxy)benzene

57) 3-Ethyl-5-methyl-4-(5-aminopentyloxy)-1-(3,3-dichloro-2-propenyloxy)benzene

58) 3-Ethyl-5-methyl-4-(5-aminopentyloxy)-1-(3,3-dibromo-2-propenyloxy)benzene

59) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(3-(methylamino)propyloxy)benzene $n_D^{26.0}$ 1.5618

60) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(methylamino)butyloxy)benzene $n_D^{26.0}$ 1.5545

61) 3,5-Dichloro-1-(3,3-dichloro-2-propenyloxy)-4-(4-(isopropylamino)-butyloxy)benzene $n_D^{24.5}$ 1.5355

The following are production examples for the intermediates of general formula [III] or [XII].

Intermediate Production Example 6: Production of intermediate compound 62)

A reaction vessel was charged with 2.02 g of 2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenol, 1.56 g of ethyl 3-bromobutyrate, 1.11 g of potassium carbonate and 20 ml of N,N-dimethylformamide. After stirring at room temperature for 12 hours, the reaction mixture was poured into water and extracted twice with 50 ml of diethyl ether. The ether layers were combined, washed with water, dried over anhydrous magnesium sulfate, and then concentrated to give a crude product. The crude product was subjected to silica gel chromatography, which afforded 2.54 g of ethyl 2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxybutyrate (90% yield).

A reaction vessel was charged with 2.54 g of ethyl 2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxybutyrate, 4.0 g of 10% aqueous potassium hydroxide solution and 50 ml of methanol. After stirring at room temperature for 24 hours, the reaction mixture was concentrated. Then, 50 ml of diethyl ether was poured into the reaction mixture, and the mixture was extracted twice with 50 ml of 5% aqueous sodium hydrogen carbonate solution. The aqueous layers were combined, and made weak acidic by the addition of concentrated hydrochloric acid. The deposited crystals were extracted twice with 50 ml of diethyl ether. The ether layers were combined, washed with water, dried over anhydrous magnesium sulfate, and then concentrated, which afforded 2.11 g of 4-(2,6-dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxy)butyric acid (90% yield), m.p., 80.9°C.

The following are some specific examples of the intermediates of general formula [III] or [XIV] with their compound number and physical properties, if measured.

62) 4-(2,6-Dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxy)butyric acid
m.p., 80.9°C

63) 4-(2,6-Dichloro-4-(3,3-dibromo-2-propenyloxy)phenoxy)butyric acid

64) 5-(2,6-Dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxy)valeric acid
m.p., 75.7°C

65) 5-(2,6-Dichloro-4-(3,3-dibromo-2-propenyloxy)phenoxy)valeric acid

66) 4-(2,6-Dibromo-4-(3,3-dichloro-2-propenyloxy)phenoxy)butyric acid

67) 4-(2,6-Dibromo-4-(3,3-dibromo-2-propenyloxy)phenoxy)butyric acid

68) 5-(2,6-Dibromo-4-(3,3-dichloro-2-propenyloxy)phenoxy)valeric acid

69) 5-(2,6-Dibromo-4-(3,3-dibromo-2-propenyloxy)phenoxy)valeric acid

70) 4-(2,6-Dimethyl-4-(3,3-dichloro-2-propenyloxy)phenoxy)butyric acid

71) 4-(2,6-Dimethyl-4-(3,3-dibromo-2-propenyloxy)phenoxy)butyric acid

72) 5-(2,6-Dimethyl-4-(3,3-dichloro-2-propenyloxy)phenoxy)valeric acid

73) 5-(2,6-Dimethyl-4-(3,3-dibromo-2-propenyloxy)phenoxy)valeric acid

74) 4-(2-Chloro-6-methyl-4-(3,3-dichloro-2-propenyloxy)phenoxy)butyric

acid

75) 4-(2-Chloro-6-methyl-4-(3,3-dibromo-2-propenyloxy)phenoxy)butyric acid

76) 5-(2-Chloro-6-methyl-4-(3,3-dichloro-2-propenyloxy)phenoxy)valeric acid

77) 5-(2-Chloro-6-methyl-4-(3,3-dibromo-2-propenyloxy)phenoxy)valeric acid

78) 4-(2-Ethyl-6-methyl-4-(3,3-dichloro-2-propenyloxy)phenoxy)butyric acid

79) 4-(2-Ethyl-6-methyl-4-(3,3-dibromo-2-propenyloxy)phenoxy)butyric acid

80) 5-(2-Ethyl-6-methyl-4-(3,3-dichloro-2-propenyloxy)phenoxy)valeric acid

81) 5-(2-Ethyl-6-methyl-4-(3,3-dibromo-2-propenyloxy)phenoxy)valeric acid

The following are production examples for the intermediates of general formula [IV] or [V].

Intermediate Production Example 7: Production of intermediate compound 84)

A reaction vessel was charged with 7.51 g of 3-amino-1-propanol, 2.53 g of triethylamine and 50 ml of dichloromethane, and 5.21 g of 4-trifluoromethylbenzoyl chloride was slowly added dropwise under ice cooling. After stirring at room temperature for 6 hours, the reaction mixture was successively washed with diluted hydrochloric acid and water, dried over anhydrous magnesium sulfate, and then concentrated, which afforded 5.25 g of 3-(4-trifluoromethylbenzamido)propan-1-ol (85% yield).

A reaction vessel was charged with 5.25 g (21.2 mmol) of 3-(4-(trifluoromethyl)benzamido)propan-1-ol, 5.72 g (21.2 mmol) of 4-benzyloxy-2,6-dichlorophenol, 5.29 g (20.2 mmol) of triphenylphosphine and 100 ml of tetrahydrofuran, and a solution of 4.08 g (20.2 mmol) of diisopropyl azodicarboxylate dissolved in 20 ml of tetrahydrofuran was added dropwise, while stirring. After stirring at room temperature for 12 hours, the reaction mixture was concentrated, and the residue was subjected to silica gel chromatography, which afforded 1-benzyloxy-3,5-dichloro-4-(3-(4-trifluoromethylbenzamido)propyloxy)benzene.

1-Benzyloxy-3,5-dichloro-4-(3-(4-trifluoromethylbenzamido)propyloxy)benzene was dissolved in ethyl acetate, which was put into a reaction vessel, and the air in the vessel was replaced with nitrogen gas. A catalytic amount of 10% palladium on carbon was added, and the nitrogen gas in the vessel was replaced with hydrogen gas, followed by vigorous stirring at room temperature for 24 hours. The hydrogen gas in the vessel was replaced with nitrogen gas, after which the reaction mixture was filtered through cerite and the filtrate was concentrated to give 3,5-dichloro-4-(3-(4-trifluoromethylbenzamido)propyloxy)phenol.

<u>Intermediate Production Example 8</u>: Production of intermediate compound 120)

To a mixture of 27 g of 2-ethyl-6-methylaniline, 36 ml of concentrated sulfuric acid and 100 ml of water was added dropwise a solution of 16.1 g of sodium nitrite dissolved in 50 ml of water, while stirring at a temperature of 0° to 5°C. After completion of the dropwise addition, 150 g of chilled water, 1.5 g of urea and 150 g of ice were added.

This aqueous solution was added dropwise to a mixture of 100 ml of sulfuric acid, 100 ml of water and 150 g of sodium sulfate as a solution which was heating at 135°C under stirring. Upon the dropwise addition, steam distillation was carried out. After completion of the dropwise addition, an aqueous solution obtained by the steam distillation was subjected to salting out with sodium chloride, dried over anhydrous magnesium sulfate, and then concentrated to give a crude product. The crude product was subjected to silica gel chromatography, which afforded 16 g of 2-ethyl-6-methylphenol (59% yield).

Then, 16 g of 2-ethyl-6-methylphenol was dissolved in 200 ml of chloroform, which was stirred at 0°C, and 56.6 g of tetrabutylammonium tribromide was added in small portions to this solution. After stirring at room temperature for 1 hour, the solvent was distilled out under reduced pressure. The residue was dissolved in 300 ml of diethyl ether, successively washed with 10% hydrochloric acid and water, dried over anhydrous magnesium sulfate, and then concentrated to give a crude product. The crude product was subjected to silica gel chromatography, which afforded 23 g of 4-bromo-2-ethyl-6-methylphenol (92% yield).

To a mixture of 26 g of 4-bromo-2-ethyl-6-methylphenol, 24.8 g of benzyl bromide and 200 ml of N,N-dimethylformamide was added 21.7 g of potassium carbonate, while stirring at room temperature. After stirring at room temperature for 24 hours, the reaction mixture was poured into ice water, and extracted twice with 500 ml of diethyl ether. The diethyl ether layers were combined, washed with water, dried over anhydrous magnesium sulfate, and then concentrated to give a crude product. The crude product was subjected to silica gel chromatography, which afforded 35.6 g of 4-bromo-2-ethyl-6-methyl-1-benzyloxybenzene (97% yield).

Then, 35.6 g of 4-bromo-2-ethyl-6-methyl-1-benzyloxybenzene was dissolved in 250 ml of tetrahydrofuran, and 69 ml of n-butyl lithium solution (in hexane; 1.69 mol/liter), while stirring at –70°C. After further stirring at –70°C for 2 hours, a solution of 12.1 g of trimethoxyboron dissolved in 50 ml of tetrahydrofuran was added dropwise to the reaction mixture. After completion of the dropwise addition, the reaction mixture was returned to room temperature, stirred for 1 hour, and then poured into ice water. The mixture was made weak acidic by the addition of 10% hydrochloric acid, and extracted twice with 500 ml of diethyl ether. The ether layers was combined, washed with water, dried over anhydrous magnesium sulfate, and then concentrated to give a residue. To the residue was added 120 ml of toluene, and 33 ml of 30% aqueous hydrogen peroxide was added dropwise, while heating at 70°C under stirring. After heating under reflux for 1 hours, the reaction mixture was returned to room temperature, washed once with water, twice with 10% aqueous ferrous ammonium sulfate and then once with water. The toluene layer was dried over anhydrous magnesium sulfate, and then concentrated to give a crude product. The crude product was subjected to silica gel chromatography, which afforded 26.2 g of 3-ethyl-4-benzyloxy-5-methylphenol (93% yield).

To a mixture of 6.3 g of 4-benzyloxy-3-ethyl-5-methylphenol, 3.2 g of triethylamine and 50 ml of chloroform was added dropwise 4.0 g of benzoyl chloride, while stirring at 0°C. After stirring at room temperature for 6 hours, the reaction mixture was concentrated under reduced pressure to give a residue. To the residue was added 100 ml of 10% hydrochloric acid, and the mixture was extracted with 100 ml of ethyl acetate. The ethyl acetate layer was successively washed 10% hydrochloric acid, saturated aqueous sodium hydrogen carbonate solution and saturated saline solution, dried over anhydrous magnesium sulfate, and then concentrated under reduced pressure, which afforded 8.4 g of crude 4-benzyloxy-3-ethyl-5-methylphenyl benzoate (93% yield).

Then, 8.4 g of crude 4-benzyloxy-3-ethyl-5-methylphenyl benzoate was dissolved in 100 ml of ethyl acetate, which was put into a reaction vessel, and the air in the vessel was replaced with nitrogen gas. Then, 0.5 g of 10% palladium on carbon was added, and the nitrogen gas in the vessel was replaced with hydrogen gas, followed by vigorous stirring at room temperature for 24 hours. The hydrogen gas in the vessel was replaced with nitrogen gas, after which the reaction mixture was filtered through cerite and the filtrate was concentrated under reduced pressure, which afforded 5.9 g of crude 3-ethyl-4-hydroxy-5-methylphenyl benzoate (95% yield).

To a mixture of 0.5 g of crude 3-ethyl-4-hydroxy-5-methylphenyl benzoate, 0.48 g of 3-(4-(trifluoromethyl)benzamido)propan-1-ol, 0.54 g of triphenylphosphine and 10 ml of tetrahydrofuran was added dropwise a solution of 0.41 g of diisopropylazodicarboxylate dissolved in 2 ml of tetrahydrofuran, while stirring at room temperature. After stirring at room temperature for 24 hours, the reaction mixture was concentrated under reduced pressure to give a residue. The residue was subjected to silica gel chromatography, which afforded 0.87 g of 3-ethyl-5-methyl-4-(3-(4-(trifluromethyl)benzamido)-propyloxyphenyl benzoate (90% yield).

To a mixture of 0.87 g of 3-ethyl-5-methyl-4-(3-(4-(trifluoromethyl)benzamido)propyloxyphenyl benzoate and 10 ml of methanol was added a mixture of 0.16 g of sodium hydroxide and 2 m of water, while stirring at 0°C. After stirring at room temperature for 24 hours, the reaction mixture was made weak acidic by the addition of 10% hydrochloric acid, and extracted with 50 ml of ethyl acetate. The ethyl acetate layer was washed with saturated saline solution, dried over anhydrous magnesium sulfate, and then concentrated under reduced pressure to give a residue. The residue was subjected to silica gel chromatography, which afforded 0.66 g of 3-ethyl-5-methyl-4-(3-(4-(trifluoromethyl)benzamido)propyloxyphenol (94% yield).

The following are some specific examples of the intermediates of general formula [IV] or [V] with their compound numbers and physical properties, if measured.

82) 3,5-Dichloro-4-(3-benzamidopropyloxy)phenol

83) 3,5-Dichloro-4-(3-(4-chlorobenzamido)propyloxy)phenol

84) 3,5-Dichloro-4-(3-(4-trifluoromethylbenzamido)propyloxy)phenol

85) 3,5-Dichloro-4-(3-(4-trifluoromethoxybenzamido)propyloxy)phenol

86) 3,5-Dichloro-4-(4-benzamidobutyloxy)phenol

87) 3,5-Dichloro-4-(4-(4-chlorobenzamido)butyloxy)phenol

88) 3,5-Dichloro-4-(4-(4-trifluoromethylbenzamido)butyloxy)phenol

89) 3,5-Dichloro-4-(4-(4-trifluoromethoxybenzamido)butyloxy)phenol

90) 3,5-Dichloro-4-(3-(5-trifluoromethylpicolinamido)propyloxy)phenol

91) 3,5-Dichloro-4-(4-(5-trifluoromethylpicolinamido)butyloxy)phenol

92) 3,5-Dichloro-4-(3-(4-trifluoromethylbenzenesulfonamido)propyloxy)-phenol

93) 3,5-Dichloro-4-(4-(4-trifluoromethylbenzenesulfonamido)butyloxy)-phenol

94) 3,5-Dichloro-4-(3-(5-trifluoromethylpyridine-2-sulfonamido)propoxy)-phenol

95) 3,5-Dichloro-4-(4-(5-trifluoromethylpyridine-2-sulfonamido)butyloxy)-phenol

96) 3,5-Dichloro-4-(3-(N-(4-trifluoromethylphenyl)carbamoyl)propyloxy)-phenol

97) 3,5-Dichloro-4-(4-(N-(4-trifluoromethylphenyl)carbamoyl)butyloxy)-phenol

98) 3,5-Dichloro-4-(3-(N-(5-trifluoromethyl-2-pyridyl)carbamoyl)propyloxy)phenol

99) 3,5-Dichloro-4-(4-(N-(5-trifluoromethyl-2-pyridyl)carbamoyl)butyloxy)phenol

100) 3-Chloro-5-methyl-4-(3-benzamidopropyloxy)phenol

101) 3-Chloro-5-methyl-4-(3-(4-chlorobenzamido)propyloxy)phenol

102) 3-Chloro-5-methyl-4-(3-(4-trifluoromethylbenzamido)propyloxy)phenol

103) 3-Chloro-5-methyl-4-(3-(4-trifluoromethoxybenzamido)propyloxy)phenol

104) 3-Chloro-5-methyl-4-(4-benzamidobutyloxy)phenol

105) 3-Chloro-5-methyl-4-(4-(4-chlorobenzamido)butyloxy)phenol

106) 3-Chloro-5-methyl-4-(4-(4-trifluoromethylbenzamido)butyloxy)phenol

107) 3-Chloro-5-methyl-4-(4-(4-trifluoromethoxybenzamido)butyloxy)phenol

108) 3-Chloro-5-methyl-4-(3-(5-trifluoromethylpicolinamido)propyloxy)-phenol

109) 3-Chloro-5-methyl-4-(4-(5-trifluoromethylpicolinamido)butyloxy)phenol

110) 3-Chloro-5-methyl-4-(3-(4-trifluoromethylbenzenesulfonamido)propyl-

oxy)phenol

111) 3-Chloro-5-methyl-4-(4-(4-trifluoromethylbenzenesulfonamido)butyloxy)phenol

112) 3-Chloro-5-methyl-4-(3-(5-trifluoromethylpyridine-2-sulfonamido)propyloxy)phenol

113) 3-Chloro-5-methyl-4-(4-(5-trifluoromethylpyridine-2-sulfonamido)-butyloxy)phenol

114) 3-Chloro-5-methyl-4-(3-(N-(4-trifluoromethylphenyl)carbamoyl)propyloxy)phenol

115) 3-Chloro-5-methyl-4-(4-(N-(4-trifluoromethylphenyl)carbamoyl)butyloxy)phenol

116) 3-Chloro-5-methyl-4-(3-(N-(5-trifluoromethyl-2-pyridyl)carbamoyl)-propyloxy)phenol

117) 3-Chloro-5-methyl-4-(4-(N-(5-trifluoromethyl-2-pyridyl)carbamoyl)-butyloxy)phenol

118) 3-Ethyl-5-methyl-4-(3-benzamidopropyloxy)phenol

119) 3-Ethyl-5-methyl-4-(3-(4-chlorobenzamido)propyloxy)phenol

120) 3-Ethyl-5-methyl-4-(3-(4-trifluoromethylbenzamido)propyloxy)phenol

121) 3-Ethyl-5-methyl-4-(3-(4-trifluoromethoxybenzamido)propyloxy)phenol

122) 3-Ethyl-5-methyl-4-(4-benzamidobutyloxy)phenol

123) 3-Ethyl-5-methyl-4-(4-(4-chlorobenzamido)butyloxy)phenol

124) 3-Ethyl-5-methyl-4-(4-(4-trifluoromethylbenzamido)butyloxy)phenol

125) 3-Ethyl-5-methyl-4-(4-(4-trifluoromethoxybenzamido)butyloxy)phenol

126) 3-Ethyl-5-methyl-4-(3-(5-trifluoromethylpicolinamido)propyloxy)phenol

127) 3-Ethyl-5-methyl-4-(4-(5-trifluoromethylpicolinamido)butyloxy)phenol

128) 3-Ethyl-5-methyl-4-(3-(4-trifluoromethylbenzenesulfonamido)propyloxy)phenol

129) 3-Ethyl-5-methyl-4-(4-(4-trifluoromethylbenzenesulfonamido)butyloxy)phenol

130) 3-Ethyl-5-methyl-4-(3-(5-trifluoromethylpyridine-2-sulfonamido)propyloxy)phenol

131) 3-Ethyl-5-methyl-4-(4-(5-trifluoromethylpyridine-2-sulfonamido)butyloxy)phenol

132) 3-Ethyl-5-methyl-4-(3-(N-(4-trifluoromethylphenyl)carbamoyl)propyloxy)phenol

133) 3-Ethyl-5-methyl-4-(4-(N-(4-trifluoromethylphenyl)carbamoyl)butyloxy)phenol

134) 3-Ethyl-5-methyl-4-(3-(N-(5-trifluoromethyl-2-pyridyl)carbamoyl)propyloxy)phenol

135) 3-Ethyl-5-methyl-4-(4-(N-(5-trifluoromethyl-2-pyridyl)carbamoyl)propyloxy)phenol

136) 3,5-Dichloro-4-(3-(2-furancarboxamido)propyloxy)phenol

137) 3,5-Dichloro-4-(3-(2-furancarboxamido)butyloxy)phenol

138) 3,5-Dichloro-4-(3-(3-furancarboxamido)propyloxy)phenol

139) 3,5-Dichloro-4-(4-(3-furancarboxamido)butyloxy)phenol

140) 3,5-Dichloro-4-(3-(5-bromo-2-furancarboxamido)propyloxy)phenol

141) 3,5-Dichloro-4-(4-(5-bromo-2--furancarboxamido)butyloxy)phenol

142) 3,5-Dichloro-4-(3-(2-thiophenecarboxamido)propyloxy)phenol

143) 3,5-Dichloro-4-(4-(2-thiophenecarboxamido)butyloxy)phenol

144) 3,5-Dichloro-4-(3-(3-thiophenecarboxamido)propyloxy)phenol

145) 3,5-Dichloro-4-(4-(3-thiophenecarboxamido)butyloxy)phenol

146) 3,5-Dichloro-4-(3-(5-methyl-2-thiophenecarboxamido)butyloxy)phenol

147) 3,5-Dichloro-4-(4-(5-methyl-2-thiophenecarboxamido)butyloxy)phenol

148) 3,5-Dichloro-4-(3-(2-pyrazinecarboxamido)propyloxy)phenol

149) 3,5-Dichloro-4-(4-(2-pyrazinecarboxamido)butyloxy)phenol

150) 3,5-Dichloro-4-(3-(1-methyl-2-indolecarboxamido)propyloxy)phenol

151) 3,5-Dichloro-4-(4-(1-methyl-2-indolecarboxamido)butyloxy)phenol

152) 3,5-Dichloro-4-(3-(1-methyl-2-pyrrolecarboxamido)propyloxy)phenol

153) 3,5-Dichloro-4-(4-(1-methyl-2-indolecarboxamido)butyloxy)phenol

154) 3,5-Dichloro-4-(3-(2-quinolinecarboxamido)propyloxy)phenol

155) 3,5-Dichloro-4-(4-(2-quinolinecarboxamido)butyloxy)phenol

156) 3,5-Dichloro-4-(3-(5-methyl-2-pyrazinecarboxamido)propyloxy)phenol

157) 3,5-Dichloro-4-(4-(5-methyl-2-pyrazinecarboxamido)butyloxy)phenol

The following are formulation examples in which "parts" are by weight and the present compounds are designated by their compound numbers as described above.

Formulation Example 1: Emulsifiable concentrates

Ten parts of each of the present compounds (1) to (148) are dissolved in 35 parts of xylene and 35 parts of N,N-dimethylformamide, to which 14 parts of polyoxyethylene styrylphenyl ether and 6 parts of calcium dodecylbenzenesulfonate are added, and the mixture is well stirred to give a 10% emulsifiable concentrate of each compound.

Formulation Example 2: Wettable powders

Twenty parts of each of the present compounds (1) to (148) are added to a mixture of 4 parts of sodium lauryl sulfate, 2 parts of calcium lignin sulfonate, 20 parts of synthetic hydrated silicon oxide fine powder and 54 parts of diatomaceous earth, and the mixture is stirred with a mixer to give a 20% wettable powder of each compound.

Formulation Example 3: Granules

Five parts of each of the present compounds (1) to (148), 5 parts of synthetic hydrated silicon oxide fine powder, 5 parts of sodium dodecylbenzenesulfonate, 30 parts of bentonite and 55 parts of clay are mixed, and the mixture is well stirred. Then, a suitable amount of water is added to the mixture, which is further stirred, granulated with a granulator and then air-dried to give a 5% granule of each compound.

Formulation Example 4: Dusts

One part of each of the present compounds (1) to (148) is dissolved in a suitable amount of acetone, to which 5 parts of synthetic hydrated silicon oxide fine powder, 0.3 part of PAP and 93.7 parts of clay are added, and the mixture is stirred with a mixer. The removal of acetone by evaporation gives a 1% dust of each compound.

Formulation Example 5: Flowables

Twenty parts of each of the present compounds (1) to (148) are mixed with 1.5 parts of sorbitan trioleate and 28.5 parts of an aqueous solution containing 2 parts of polyvinyl alcohol, and the mixture is pulverized into fine particles having a particle size of not more than 3 μm with a sand grinder, to which 40 parts of an aqueous solution containing 0.05 part of xanthan gum and 0.1 part of aluminum magnesium silicate are added and then 10 parts of propylene glycol are added. The mixture is stirred to give a 20% water-based suspension of each compound.

Formulation Example 6: Oil sprays

First, 0.1 part of each of the present compounds (1) to (148) is dissolved in 5 parts of xylene and 5 parts of trichloroethane. Then, the solution was mixed with 89.9 parts of deodorized kerosine to give a 0.1% oil spray of each compound.

Formulation Example 7: Oil-based aerosols

First, 0.1 part of each of the present compounds (1) to (148), 0.2 part of tetramethrin, 0.1 part of d-phenothrin, and 10 parts of trichloroethane are dissolved in 59.6 parts of deodorized kerosine, and the solution is put in an aerosol vessel. Then, the vessel is equipped with a valve, through which 30 parts of a propellant (liquefied petroleum gas) are charged under increased pressure to give an oil-based aerosol of each compound.

Formulation Example 8: Water-based aerosols

An aerosol vessel is filled with 50 parts of pure water and a mixture of 0.2 part of each of the present compounds (1) to (148), 0.2 part of d-allethrin, 0.2 part of d-phenothrin, 5 parts of xylene, 3.4 parts of deodorized kerosine and 1 part of an emulsifier [ATMOS 300 (registered trade name by Atlas Chemical Co.)]. Then, the vessel is equipped with a valve, through which 40 parts of a propellant (liquefied petroleum gas) are charged under pressure to give a water-based aerosol of each compound.

Formulation Example 9: Mosquito-coils

First, 0.3 g of each of the present compounds (1) to (148) is mixed with 0.3 g of d-allethrin, and the mixture is dissolved in 20 ml of acetone. The solution is uniformly mixed with 99.4 g of a carrier for mosquito-coils (prepared by mixing Tabu powder, pyrethrum marc powder and wood flour in the ratio of 4 : 3 : 3) under stirring.

The mixture is well kneaded with 120 ml of water, molded and dried to give a mosquito-coil of each compound.

Formulation Example 10: Electric mosquito-mats

First, 0.4 g of each of the present compounds (1) to (148), 0.4 parts of d-allethrin and 0.4 g of pipenyl butoxide are dissolved in acetone to have a total volume of 10 ml. Then, 0.5 ml of the solution is uniformly absorbed in a substrate for electric mosquito-mats having a size of 2.5 cm x 1.5 cm x 0.3 cm (prepared by forming a fibrillated mixture of cotton linter and pulp into a sheet) to give an electric mosquito-mat of each compound.

Formulation Example 11: Heating smoke formulations

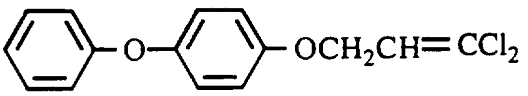
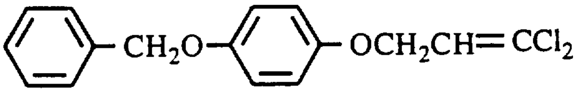
First, 100 mg of each of the present compounds (1) to (148) is dissolved in a suitable amount of acetone. Then, the solution is absorbed in a porous ceramic plate having a size of 4.0 cm x 4.0 cm x 1.2 cm to give a heating smoke formulation of each compound.

Formulation Example 12: Poison baits

First, 10 mg of each of the present compounds (1) to (148) is dissolved in 0.5 ml of acetone, and the solution is uniformly mixed with 5 g of solid bait powder for animals (Breeding Solid Feed Powder CE-2, trade name by Japan Clea Co., Ltd.). Then, the removal of acetone by air drying gives a 0.5% poison bait of each compound.

The following test examples demonstrate that the present compounds are useful as active ingredients of insecticides. In these test examples, the present compounds are designated by their compound numbers as described above and the compounds used for comparison are designated by their compound symbols as shown in Table 35.

TABLE 35

Compound	Chemical structure	Remarks
(A)		Compound disclosed in JP-A 48-86835/1973, page 23
(B)		Compound disclosed in JP-A 49-1526/1974, page 22

Test Example 1: Insecticidal test against *Spodoptera litura*

A 200-fold water dilution (500 ppm) of an emulsifiable concentrate of the test compound, which had been obtained according to Formulation Example 1, was absorbed at a volume of 2 ml in 13 g of an artificial diet for *Spodoptera litura*, which had been prepared in a polyethylene cup having a diameter of 11 cm. Ten fourth-instar larvae of *Spodoptera litura* were set free in the cup. After 6 days, the survival of larvae was examined to determine the mortality. The test was conducted in duplicate.

As a result, it was found that the present compounds (1)-(7), (9), (11)-(21), (23)-(25), (27)-(30), (32)-(34), (44), (56), (58), (59), (67), (84), (86), (89)-(104), (108), (110), (111), (114)-(118), (120), (121), (124)-(127) and (129)-(133) exhibited the mortality of 80% or more. In contrast, both compounds (A) and (B) for comparison exhibited the mortality of 0%.

Test Example 2: Insecticidal test against *Plutella xylostella*

A water dilution (25 ppm) of an emulsifiable concentrate of the test compound, which had been obtained according to Formulation Example 1, was sprayed at a sufficient volume over potted cabbages at the five leaf stage. After air drying, ten third-instar larvae of *Plutella xylostella* were set free on each pot. After 4 days, the mortality was determined.

As a result, it was found that the present compounds (1), (2), (4), (6), (7), (12)-(14), (18)-(21), (33), (34), (44), (58), (59), (67), (84), (86), (89), (90), (97), (98) and (108) exhibited the mortality of 80% or more. In contrast, both compounds (A) and (B) for comparison exhibited the mortality of 0%.

Test Example 3: Insecticidal test against *Cnaphalocrocis medinalis*

A water dilution (25 ppm) of an emulsifiable concentrate of the test compound, which had been obtained according to Formulation Example 1, was sprayed at a sufficient volume over the foliage of cupped rice seedings (Nihonbare). After air drying of the chemical solution, third-instar larvae of *Cnaphalocrocis medinalis* were set free thereon. After 4 days, the mortality was determined.

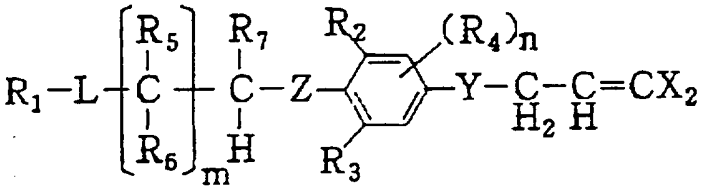
As a result, it was found that the present compounds (1), (2), (4)-(7), (13), (14), (17), (18), (21), (32), (34), (56), (58), (59), (67), (89), (93) and (127) exhibited the mortality of 80% or more. In contrast, both compounds (A) and (B) for comparison exhibited the mortality of 0%.

Industrial Applicability

The present compounds have excellent insecticidal activity so that they are satisfactorily effective for the control of noxious insects.

CLAIMS

1. A dihalopropene compound of the general formula:



[I]

wherein m is an integer of 0 to 4;

n is an integer of 0 to 2;

X's are independently chlorine or bromine;

Y is oxygen, NH or sulfur; and

Z is oxygen, sulfur or NR_{15} in which R_{15} is hydrogen or C_1-C_3 alkyl;

R_2, R_3 and R_4 are independently halogen, C_1-C_3 haloalkyl or C_1-C_3 alkyl;

R_5, R_6 and R_7 are independently hydrogen, C_1-C_3 alkyl or trifluoromethyl;

L is C=W, $C(=W)NR_{13}$, $NR_{13}C(=W)$, SO_2NR_{13}, $NR_{13}SO_2$, $NR_{13}C(=W_1)$-W, $WC(=W_1)NR_{13}$ or $NR_{14}C(=W)NR_{13}$ in which W and W_1 are independently oxygen or sulfur, and R_{13} and R_{14} are independently hydrogen, C_1-C_{10} alkyl, C_1-C_5 haloalkyl, C_2-C_{10} alkenyl, C_2-C_6 haloalkenyl, C_3-C_9 alkynyl or C_3-C_5 haloalkynyl;

R_1 is C_1-C_{10} alkyl, C_1-C_5 haloalkyl, C_2-C_{10} alkenyl, C_2-C_6 haloalkenyl, C_3-C_9 alkynyl, C_3-C_5 haloalkynyl, C_2-C_7 alkoxyalkyl, C_2-C_7 alkylthioalkyl,

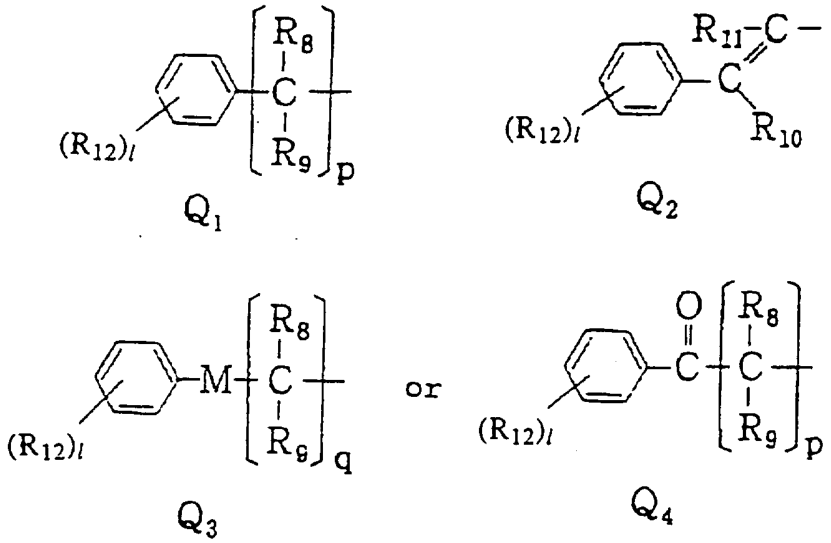
C_3-C_6 cycloalkyl optionally substituted with C_1-C_4 alkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy,

C_4-C_9 cycloalkylalkyl optionally substituted with C_1-C_4 alkyl,

C_5-C_6 cycloalkenyl optionally substituted with C_1-C_4 alkyl,

C_6-C_8 cycloalkenylalkyl optionally substituted with C_1-C_4 alkyl,

an optionally substituted heterocyclic group, Q_1, Q_2, Q_3 or Q_4 of the general formula:



[II]

in which M is oxygen, NH or sulfur,

l is an integer of 0 to 5,

p is an integer of 0 to 5, and

q is an integer of 1 to 5;

R_{12} is halogen, cyano, nitro, pentafluorosulfanyl (F_5S), C_1-C_8 alkyl, C_1-C_3 haloalkyl, C_1-C_7 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, C_3-C_6 alkenyloxy, C_3-C_6 haloalkenyloxy, C_1-C_3 hydroxyalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_2-C_4 alkynyloxy, C_2-C_4 haloalkynyl, C_2-C_4 haloalkynyloxy, C_2-C_4 alkoxyalkyl, C_2-C_4 alkylthioalkyl, C_3-C_6 cycloalkyl, C_5-C_6 cycloalkenyl, C_2-C_5 alkoxycarbonyl, C_3-C_6 cycloalkyloxy, C_5-C_6 cycloalkenyloxy,

phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy or C_1-C_3 haloalkoxy, phenoxy optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy or C_1-C_3 haloalkoxy,

benzyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy or C_1-C_3 haloalkoxy,

benzyloxy optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy or C_1-C_3 haloalkoxy;

or when *l* is an integer of 2 to 5, adjacent two R_{12} are combined together at their ends to form trimethylene or tetramethylene, methylenedioxy optionally substituted with halogen or C_1-C_3 alkyl, or ethylenedioxy optionally substituted with halogen or C_1-C_3 alkyl; and

R_8, R_9, R_{10} and R_{11} are independently hydrogen, C_1-C_3 alkyl or trifluoromethyl.

2. A dihalopropene compound according to claim 1, wherein R_{13} and R_{14} are independently hydrogen or C_1-C_3 alkyl.

3. A dihalopropene compound according to claim 1 or 2, wherein R_1 is C_1-C_{10} alkyl, C_1-C_5 haloalkyl, C_2-C_{10} alkenyl, C_2-C_6 haloalkenyl, C_3-C_9 alkynyl or C_3-C_5 haloalkynyl.

4. A dihalopropene compound according to claim 1, 2 or 3, wherein L is $C(=W)NR_{13}$.

5. A dihalopropene compound according to claim 1 or 2, wherein R_1 is Q_1, Q_2, Q_3 or Q_4.

6. A dihalopropene compound according to claim 1 or 2, wherein R_1 is Q_1.

7. A dihalopropene compound according to claim 1 or 2, wherein R_1 is

Q_1, and L is C=W, C(=W)NR_{13} or SO_2NR_{13}.

8. A dihalopropene compound according to claim 1 or 2, wherein R_1 is Q_1, and L is C(=W)NR_{13} or SO_2NR_{13}.

9. A dihalopropene compound according to claim 1 or 2, wherein R_1 is Q_1, and L is C(=W)NR_{13}.

10. A dihalopropene compound according to claim 1 or 2, wherein R_1 is Q_1, $p = 0$, and L is C=W, C(=W)NR_{13} or SO_2NR_{13}.

11. A dihalopropene compound according to claim 1 or 2, wherein R_1 is Q_1, $p = 0$, and L is C(=W)NR_{13} or SO_2NR_{13}.

12. A dihalopropene compound according to claim 1 or 2, wherein R_1 is Q_1, $p = 0$, and L is C(=W)NR_{13}.

13. A dihalopropene compound according to claim 1 or 2, wherein R_1 is a 5- or 6-membered heterocyclic group containing at least one oxygen, sulfur or nitrogen atom and optionally substituted with $(R_{16})_s$ in which R_{16} is halogen, nitro, cyano, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, C_1-C_2 alkylsulfinyl, C_1-C_2 alkylsulfonyl, C_1-C_2 haloalkylsulfinyl, C_1-C_2 haloalkylsulfonyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_2-C_4 haloalkynyl, amino, dimethylamino, acetamido, acetyl, haloacetyl, formyl, carboxyl, methoxycarbonyl, C_3-C_6 cycloalkyl, (C_1-C_2 alkyl)aminocarbonyl, [di(C_1-C_2 alkyl)amino]carbonyl,

phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy,

benzyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy, phenoxy optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy,

benzyloxy optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy,

or pyridyloxy optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy or C_1-C_3 haloalkoxy; and

s is an integer of 0 to 7.

14. A dihalopropene compound according to claim 13, wherein the 5- or 6-membered heterocyclic group is 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyridyl, 3-pyridyl or 4-pyridyl.

15. A dihalopropene compound according to claim 13, wherein the 5- or 6-membered heterocyclic group is 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyridyl, 3-pyridyl or 4-pyridyl, and L is C=W, $C(=W)NR_{13}$ or SO_2NR_{13}.

16. A dihalopropene compound according to claim 13, wherein the 5- or 6-membered heterocyclic group is 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyridyl, 3-pyridyl or 4-pyridyl, and L is $C(=W)NR_{13}$ or SO_2NR_{13}.

17. A dihalopropene compound according to claim 13, wherein the 5- or 6-membered heterocyclic group is 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyridyl, 3-pyridyl or 4-pyridyl, and L is $C(=W)NR_{13}$.

18. A dihalopropene compound according to claim 13, wherein the 5- or 6-membered heterocyclic group is 1-pyrrolidinyl or 1-piperidyl, and L is C=W or

$C(=W)NR_{13}$.

19. A dihalopropene compound according to claim 1 or 2, wherein R_2, R_3 and R_4 are independently halogen or C_1-C_3 alkyl.

20. A dihalopropene compound according to claim 1 or 2, wherein R_2 and R_3 are both chlorine, and R_4 is hydrogen.

21. A dihalopropene compound according to claim 1 or 2, wherein Y and Z are both oxygen.

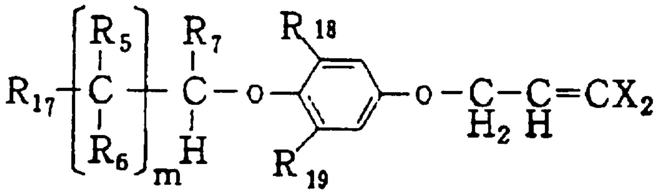
22. A dihalopropene compound according to claim 1 or 2, wherein R_5, R_6 and R_7 are independently hydrogen or C_1-C_3 alkyl.

23. A dihalopropene compound according to claim 1 or 2, wherein R_5, R_6 and R_7 are all hydrogen.

24. A dihalopropene compound according to claim 1, 2 or 3, wherein L is $WC(=W_1)NR_{13}$.

25. An insecticide comprising, as an active ingredient, a dihalopropene compound as set forth in any one of claims 1 to 24.

26. A compound of the general formula:



[III]

wherein X's are independently chlorine or bromine; R_{18} and R_{19} are independently halogen or C_1-C_3 alkyl; R_{17} is amino or carboxyl; R_5, R_6 and R_7 are independently hydrogen, C_1-C_3 alkyl or trifluoromethyl; and m is an integer of 0 to 4.

27. A compound according to claim 26, wherein R_5, R_6 and R_7 are all hydrogen, and m is an integer of 2 or 3.

28. 3,5-Dichloro-4-(3-aminopropyloxy)-1-(3,3-dichloro-2-propenyloxy)-benzene.

29. 3,5-Dichloro-4-(3-aminopropyloxy)-1-(3,3-dibromo-2-propenyloxy)-benzene.

30. 3,5-Dichloro-4-(4-aminobutyloxy)-1-(3,3-dichloro-2-propenyloxy)-benzene.

31. 3,5-Dichloro-4-(4-aminobutyloxy)-1-(3,3-dibromo-2-propenyloxy)-benzene.

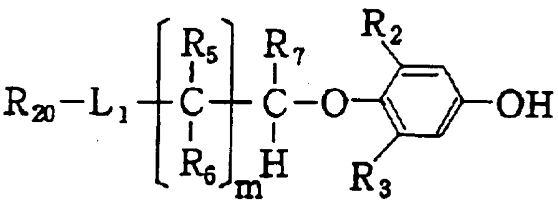
32. 4-(2,6-Dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxy)butyric acid.

33. 4-(2,6-Dichloro-4-(3,3-dibromo-2-propenyloxy)phenoxy)butyric acid.

34. 5-(2,6-Dichloro-4-(3,3-dichloro-2-propenyloxy)phenoxy)valeric acid.

35. 5-(2,6-Dichloro-4-(3,3-dibromo-2-propenyloxy)phenoxy)valeric acid.

36. A phenol compound of the general formula:



[IV]

wherein R_{20} is Q_1 as defined in claim 1 or R_1 as defined in claim 13 in which the 5- or 6-membered heterocyclic group is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 2-pyridyl, 3-pyridyl or 4-pyridyl; R_2 and R_3 are independently halogen, C_1-C_3 haloalkyl or C_1-C_3 alkyl; R^5, R^6 and R^7 are independently hydrogen, C_1-C_3 alkyl or trifluoromethyl; L_1 is C=W, C(=W)NR_{131} or SO_2NR_{131} in which W is oxygen or sulfur, and R_{131} is hydrogen or C_1-C_3 alkyl; and m is an integer of 0 to 4.

37. A phenol compound according to claim 36, wherein the 5- or 6-membered heterocyclic group is 2-pyridyl, 3-pyridyl or 4-pyridyl.

38. A phenol compound according to claim 36 or 37, wherein R_{20} is Q_1 in which p = 0 or R_1 as defined in claim 13 in which the 5- or 6-membered heterocyclic group is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 2-pyridyl, 3-pyridyl or 4-pyridyl.

39. A phenol compound according to claim 36 or 37, wherein R_{20} is Q_1 in which p = 0 or R_1 as defined in claim 13 in which the 5- or 6-membered heterocyclic group is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 2-pyridyl, 3-pyridyl or 4-pyridyl; and L_1 is C(=W)NR_{131} or SO_2NR_{131}.

40. A phenol compound according to claim 36 or 37, wherein R_{20} is Q_1 in which p = 0 or R_1 as defined in claim 13 in which the 5- or 6-membered heterocyclic group is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyrazinyl, 2-indolyl, 2-pyrrolyl, 2-quinolyl, 2-pyridyl, 3-pyridyl or 4-pyridyl; R_2 and R_3 are halogen or C_1-C_3 alkyl; and L_1 is C(=W)NR_{131} or SO_2NR_{131}.

41. 3,5-Dichloro-4-(3-benzamidopropyloxy)phenol.

42. 3,5-Dichloro-4-(3-(4-chlorobenzamido)propyloxy)phenol.

43. 3,5-Dichloro-4-(3-(4-trifluoromethylbenzamido)propyloxy)phenol.

44. 3,5-Dichloro-4-(3-(4-trifluoromethoxybenzamido)propyloxy)phenol.

45. 3,5-Dichloro-4-(4-benzamidobutyloxy)phenol.

46. 3,5-Dichloro-4-(4-(4-chlorobenzamido)butyloxy)phenol.

47. 3,5-Dichloro-4-(4-(4-trifluoromethylbenzamido)butyloxy)phenol.

48. 3,5-Dichloro-4-(4-(4-trifluoromethoxybenzamido)butyloxy)phenol.

49. 3,5-Dichloro-4-(3-(5-trifluoromethylpicolinamido)propyloxy)phenol.

50. 3,5-Dichloro-4-(4-(5-trifluoromethylpicolinamido)butyloxy)phenol.

51. 3,5-Dichloro-4-(3-(4-trifluoromethylbenzenesulfonamido)propyloxy)-phenol.

52. 3,5-Dichloro-4-(4-(4-trifluoromethylbenzenesulfonamido)butyloxy)-phenol.

53. 3,5-Dichloro-4-(3-(5-trifluoromethylpyridine-2-sulfonamido)propyl-oxy)phenol.

54. 3,5-Dichloro-4-(4-(5-trifluoromethylpyridine-2-sulfonamido)butyloxy)-phenol.

55. 3,5-Dichloro-4-(3-(2-furancarboxamido)propyloxy)phenol.

56. 3,5-Dichloro-4-(4-(2-furancarboxamido)butyloxy)phenol.

57. 3,5-Dichloro-4-(3-(3-furancarboxamido)propyloxy)phenol.

58. 3,5-Dichloro-4-(4-(3-furancarboxamido)butyloxy)phenol.

59. 3,5-Dichloro-4-(3-(5-bromo-2-furancarboxamido)propyloxy)phenol.

60. 3,5-Dichloro-4-(4-(5-bromo-2-furancarboxamido)butyloxy)phenol.

61. 3,5-Dichloro-4-(3-(2-thiophenecarboxamido)propyloxy)phenol.

62. 3,5-Dichloro-4-(4-(2-thiophenecarboxamido)butyloxy)phenol.

63. 3,5-Dichloro-4-(3-(3-thiophenecarboxamido)propyloxy)phenol.

64. 3,5-Dichloro-4-(4-(3-thiophenecarboxamido)butyloxy)phenol.

65. 3,5-Dichloro-4-(3-(5-methyl-2-thiophenecarboxamido)propyloxy)-phenol.

66. 3,5-Dichloro-4-(4-(5-methyl-2-thiophenecarboxamido)butyloxy)-phenol.

67. 3,5-Dichloro-4-(3-(2-pyrazinecarboxamido)propyloxy)phenol.

68. 3,5-Dichloro-4-(4-(2-pyrazinecarboxamido)butyloxy)phenol.

69. 3,5-Dichloro-4-(3-(1-methyl-2-indolecarboxamido)propyloxy)phenol.

70. 3,5-Dichloro-4-(4-(1-methyl-2-indolecarboxamido)butyloxy)phenol.

71. 3,5-Dichloro-4-(3-(1-methyl-2-pyrrolecarboxamido)propyloxy)phenol.

72. 3,5-Dichloro-4-(4-(1-methyl-2-pyrrolecarboxamido)butyloxy)phenol.

73. 3,5-Dichloro-4-(3-(2-quinolinecarboxamido)propyloxy)phenol.

74. 3,5-Dichloro-4-(4-(2-quinolinecarboxamido)butyloxy)phenol.

75. 3,5-Dichloro-4-(3-(5-methyl-2-pyrazinecarboxamido)propyloxy)-phenol.

76. 3,5-Dichloro-4-(4-(5-methyl-2-pyrazinecarboxamido)butyloxy)phenol.

77. 3,5-Dichloro-4-(3-(N-(4-trifluoromethylphenyl)carbamoyl)propyloxy)-phenol.

78. 3,5-Dichloro-4-(4-(N-(4-trifluoromethylphenyl)carbamoyl)butyloxy)-phenol.

79. 3,5-Dichloro-4-(3-(N-(5-trifluoromethyl-2-pyridyl)carbamoyl)propyl-oxy)phenol.

80. 3,5-Dichloro-4-(4-(N-(5-trifluoromethyl-2-pyridyl)carbamoyl)butyl-oxy)phenol.

INTERNATIONAL SEARCH REPORT

Interr al Application No
PCT/JP 96/00989

A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 C07C233/69 C07C233/22 C07C235/24 C07C235/06 C07C311/29 C07C275/34 C07C271/28 C07C271/16 C07D213/81 C07C235/48 C07C255/57 C07D213/82 C07D333/38 C07D307/68 C07D209/42

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

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07C

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

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	GB,A,1 424 211 (CIBA GEIGY AG) 11 February 1976 cited in the application see claims 1,14,39-41; table & JP,A,48 086 835	1,25
A	GB,A,1 420 171 (CIBA GEIGY AG) 7 January 1976 cited in the application see claims 1,7,29-36; table & JP,A,49 001 256	
A	EP,A,0 169 169 (SANDOZ AG ;SANDOZ AG (DE); SANDOZ AG (AT)) 22 January 1986 see claims 1,9,10; examples T-1-T-4; tables A,C	1,25

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1

Date of the actual completion of the international search 9 July 1996	Date of mailing of the international search report 18.07.96
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Authorized officer Seufert, G

INTERNATIONAL SEARCH REPORT

Interr ıal Application No
PCT/JP96/00989

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 A01N37/20 A01N37/22 A01N47/30 A01N37/34 A01N47/12

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

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

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

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP,A,0 218 543 (SANDOZ AG ;SANDOZ AG (DE); SANDOZ AG (AT)) 15 April 1987 see claims 1,6,7; table I -----	1,25

☐ Further documents are listed in the continuation of box C.

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

Date of the actual completion of the international search	Date of mailing of the international search report
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Authorized officer

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Information on patent family members

International Application No
PCT/JP 96/00989

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EP-A-0218543	15-04-87	AU-B- 589431 AU-B- 6024086 DE-A- 3681109 JP-A- 62070361 SU-A- 1456010 US-A- 5389651	12-10-89 29-01-87 02-10-91 31-03-87 30-01-89 14-02-95