

COMMONWEALTH of AUSTRALIA  
Patents Act 1952

633183

APPLICATION FOR A STANDARD PATENT

I/We

Sumitomo Chemical Company, Limited

of

5-33, Kitahama-4-chome, Chuo-ku, Osaka, Japan

hereby apply for the grant of a Standard Patent for an invention entitled:

A 1-pyridylimidazole derivative and its production and use

which is described in the accompanying complete specification.


Details of basic application(s):-

<u>Number</u>	<u>Convention Country</u>	<u>Date</u>
2-173135	Japan	29 June 1990
2-235439	Japan	4 September 1990

The address for service is care of DAVIES & COLLISON, Patent Attorneys, of 1 Little Collins Street, Melbourne, in the State of Victoria, Commonwealth of Australia.

DATED this TWENTIETH day of FEBRUARY 1991

To: THE COMMISSIONER OF PATENTS

  
.....  
a member of the firm of  
DAVIES & COLLISON for  
and on behalf of the  
applicant(s)

Davies & Collison, Melbourne

# COMMONWEALTH OF AUSTRALIA

## PATENTS ACT 1952

### DECLARATION IN SUPPORT OF CONVENTION OR NON-CONVENTION APPLICATION FOR A PATENT

Insert title of invention.

In support of the Application made for a patent for an invention  
entitled: "A 1-PYRIDYLIMIDAZOLE DERIVATIVE AND ITS  
PRODUCTION AND USE"

Insert full name(s) and address(es)  
of declarant(s) being the appli-  
cant(s) or person(s) authorized to  
sign on behalf of an applicant  
company.

I, Yoshihiko NISHIZAWA, c/o SUMITOMO  
CHEMICAL COMPANY, LIMITED, of 5-33,  
Kitahama-4-chome, Chuo-ku, Osaka, Japan,

Cross out whichever of paragraphs  
1(a) or 1(b) does not apply

1(a) relates to application made  
by individual(s)  
1(b) relates to application made  
by company; insert name of  
applicant company.

do solemnly and sincerely declare as follows :-

1. (a) ~~XXXX XXXXXXXXXXXXXXXXXXXXXXXX~~  
~~XXXX~~

or (b) I am authorized by SUMITOMO CHEMICAL COMPANY,  
LIMITED,

Cross out whichever of paragraphs  
2(a) or 2(b) does not apply

2(a) relates to application made  
by inventor(s)  
2(b) relates to application made  
by company(s) or person(s) who  
are not inventor(s); insert full  
name(s) and address(es) of inven-  
tors.

the applicant..... for the patent to make this declaration on its behalf.  
~~XXXX~~

2. (a) ~~XXXX XXXXXXXXXXXXXXXXXXXXXXXX~~  
~~XXXX~~

or (b) 1. Hiroki Tomioka 2. Noriyasu Sakamoto  
3. Kimitoshi Umeda 4. Hiroaki Fujimoto  
5. Takao Ishiwatari 6. Hiroshi Kisida

Please see reverse side for addresses.

~~XXXX~~ are the actual inventor(s)..... of the invention and the facts upon which the applicant.....  
is entitled to make the application are as follows :-  
~~XXXX~~

State manner in which applicant(s)  
derive title from inventor(s)

The applicant is the assignee of the  
invention from the inventors.

Cross out paragraphs 3 and 4  
for non-convention applications.  
For convention applications,  
insert basic country(s) followed  
by date(s) and basic applicant(s).

3. The basic application.S..... as defined by Section 141 of the Act ~~was~~ made  
in Japan on the June 29, 1990  
by SUMITOMO CHEMICAL COMPANY, LIMITED  
in Japan on the September 4, 1990  
by SUMITOMO CHEMICAL COMPANY, LIMITED  
in ..... on the .....  
by .....

4. The basic application.S..... referred to in paragraph 3 of this Declaration ~~was~~  
the first application.S..... made in a Convention country in respect of the invention the subject  
of the application.

Insert place and date of signature.

Declared at Osaka, Japan this 31st day of January, 1991.

Signature of declarant(s) (no  
attestation required)

SUMITOMO CHEMICAL COMPANY, LIMITED

Note: Initial all alterations.

*Yoshihiko Nishizawa*  
YOSHIHIKO NISHIZAWA  
REPRESENTATIVE DIRECTOR

DAVIES & COLLISON, MELBOURNE and CANBERRA.

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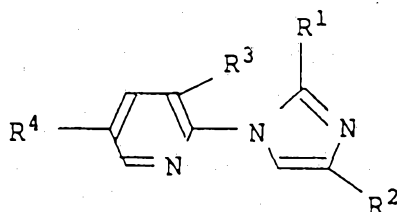


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(12) PATENT ABRIDGMENT (11) Document No. AU-B-71186/91  
(19) AUSTRALIAN PATENT OFFICE (10) Acceptance No. 633183

- (54) Title  
A 1-PYRIDYLIMIDAZOLE DERIVATIVE AND ITS PRODUCTION AND USE
- International Patent Classification(s)  
(51)<sup>s</sup> C07D 401/04 A01N 043/50
- (21) Application No. : 71186/91 (22) Application Date : 20.02.91
- (30) Priority Data
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| (31) Number | (32) Date | (33) Country |
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- (43) Publication Date : 02.01.92
- (44) Publication Date of Accepted Application : 21.01.93
- (71) Applicant(s)  
SUMITOMO CHEMICAL COMPANY, LIMITED
- (72) Inventor(s)  
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- (56) Prior Art Documents  
AU 7118891 C07D 233/64  
AU 71184/91 C07D 233/64  
AU 54589/90 C07D 233/84
- (57) Claim

1. A pyridylimidazole derivative having the formula:



wherein R<sup>1</sup> is a hydrogen atom, a C<sub>1</sub>-C<sub>3</sub> alkyl group, a C<sub>1</sub>-C<sub>3</sub> alkylthio group or a C<sub>2</sub>-C<sub>3</sub> alkoxyalkyl group; R<sup>2</sup> is a hydrogen atom or a C<sub>1</sub>-C<sub>4</sub> haloalkyl group; R<sup>3</sup> is a halogen atom, a nitro group or a trifluoromethyl group; R<sup>4</sup> is a C<sub>1</sub>-C<sub>3</sub> haloalkyl group or a C<sub>1</sub>-C<sub>3</sub> haloalkoxy group.

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(10) 633183

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15. A method for controlling insect pests which comprises applying an insecticidally effective amount of the pyridylimidazole derivative according to claim 1 to the insect pests or to the locus where insect pests propagate.

633183

COMMONWEALTH OF AUSTRALIA

PATENTS ACT 1952

COMPLETE SPECIFICATION

NAME & ADDRESS  
OF APPLICANT:

Sumitomo Chemical Company, Limited  
5-33, Kitahama-4-chome  
Chuo-ku  
Osaka  
Japan

NAME(S) OF INVENTOR(S):

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ADDRESS FOR SERVICE:

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Patent Attorneys  
1 Little Collins Street, Melbourne, 3000.

COMPLETE SPECIFICATION FOR THE INVENTION ENTITLED:

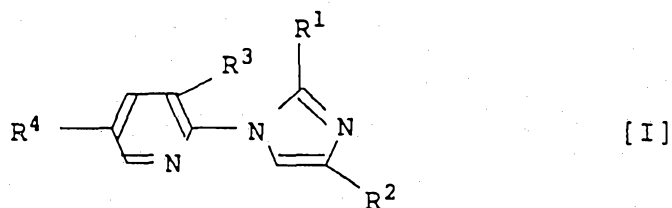
A 1-pyridylimidazole derivative and its production and use

The following statement is a full description of this invention, including the best method of performing it known to me/us:-

## 1 BACKGROUND OF THE INVENTION

### 1. Field of the Invention

The present invention relates to a novel pyridylimidazole derivative having the formula [I]:



5 wherein R<sup>1</sup> is a hydrogen atom, a C<sub>1</sub>-C<sub>3</sub> alkyl group, a C<sub>1</sub>-C<sub>3</sub> alkylthio group or a C<sub>2</sub>-C<sub>3</sub> alkoxyalkyl group; R<sup>2</sup> is a hydrogen atom or a C<sub>1</sub>-C<sub>4</sub> haloalkyl group; R<sup>3</sup> is a halogen atom, a nitro group or a trifluoromethyl group; R<sup>4</sup> is a C<sub>1</sub>-C<sub>3</sub> haloalkyl group or a C<sub>1</sub>-C<sub>3</sub> haloalkoxy  
10 group, a process for producing the same and insecticides containing the same as an active ingredient.

### 2. Description of the Related Art

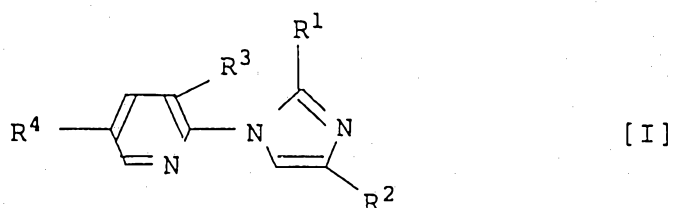
It is described in U.S. Patent 3,868,458, U.S. Patent 3,940,484 and U.S. Patent 3,996,366 that a  
15 certain imidazole derivative is useful as an active ingredient of insecticide.

As a result of extensive investigations on compounds having an excellent insecticidal effect, the present inventors have found a pyridylimidazole

1 derivative having the formula [I] exhibit an extremely  
high insecticidal effect, and thus have accomplished the  
present invention.

#### SUMMARY OF THE INVENTION

5 According to the present invention, there is  
provided a pyridylimidazole derivative having the  
formula [I]:



wherein R<sup>1</sup> is a hydrogen atom, a C<sub>1</sub>-C<sub>3</sub> alkyl group, a  
C<sub>1</sub>-C<sub>3</sub> alkylthio group or a C<sub>2</sub>-C<sub>3</sub> alkoxyalkyl group; R<sup>2</sup> is  
10 a hydrogen atom or a C<sub>1</sub>-C<sub>4</sub> haloalkyl group; R<sup>3</sup> is a  
halogen atom, a nitro group or a trifluoromethyl group ;  
R<sup>4</sup> is a C<sub>1</sub>-C<sub>3</sub> haloalkyl group or a C<sub>1</sub>-C<sub>3</sub> haloalkoxy  
group, a process for producing the same and insecticides  
containing the same as an active ingredient.

15 In the formula [I], examples of the halogen  
atom and the same as the substituent include a fluorine  
atom, a chlorine atom or a bromine atom.



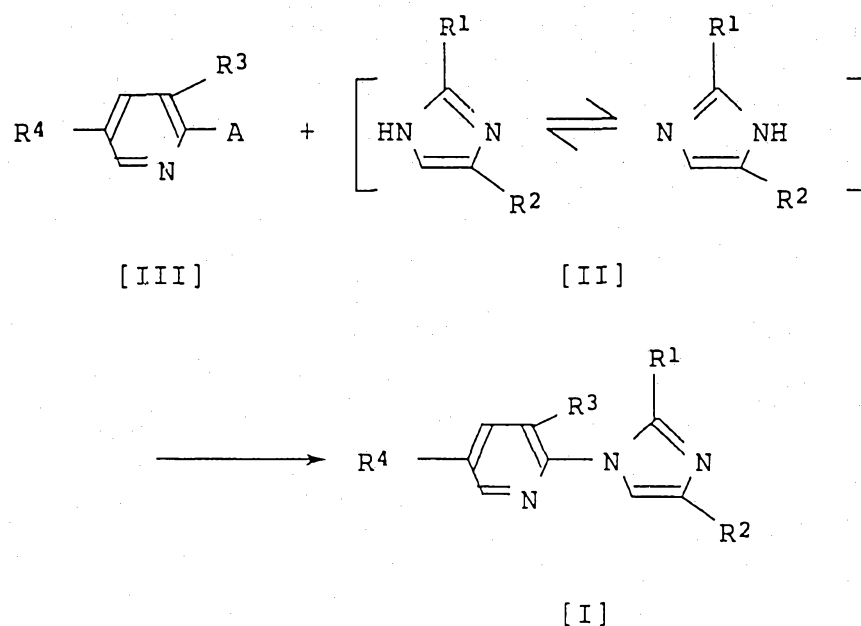
1 DESCRIPTION OF THE PREFERRED EMBODIMENTS

Hereinafter, the present invention is explained in detail.

Among the pyridylimidazole derivative of the present invention, it wherein  $R^1$  is a hydrogen atom or a  $C_1-C_3$  alkyl group;  $R^2$  is a  $C_1-C_3$  haloalkyl group which comprises at least a fluorine atom, a chlorine atom or a bromine atom as the halogen atom;  $R^3$  is a fluorine atom, a chlorine atom or a trifluoromethyl group;  $R^4$  is a  $C_1-C_3$  haloalkyl group which comprises at least a fluorine atom as the halogen atom, is preferred. More preferred is it wherein  $R^1$  is a hydrogen atom or a methyl group;  $R^2$  is a  $C_2$  haloalkyl group which comprises at least a fluorine atom, a chlorine atom or a bromine atom as the halogen atom;  $R^3$  is a fluorine atom or a chlorine atom;  $R^4$  is a trifluoromethyl group.

Further, particularly more preferred is it wherein  $R^1$  is a hydrogen atom or a methyl group;  $R^2$  is a haloalkyl group represented by the formula,  $-CF_2CF_2X$ , in which X is a hydrogen atom, a fluorine atom, a chlorine atom or a bromine atom;  $R^3$  is a chlorine atom;  $R^4$  is a trifluoromethyl group; the most preferred being it wherein  $R^1$  is a methyl group;  $R^2$  is a haloalkyl group represented by the formula,  $-CF_2CF_2X$ , in which X is a hydrogen atom, a fluorine atom, a chlorine atom or a bromine atom;  $R^3$  is a chlorine atom;  $R^4$  is a trifluoromethyl group.

- 1           The compounds of the present invention can be produced according to the following reaction scheme.



wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are each as defined above and A is a halogen atom.

- 5           The compound of the present invention can be produced by reacting halide compounds having the formula [III] with imidazole derivatives having the formula [II] at about -5°C to about 150°C for about 1 to 24 hours in a solvent in the presence of an reagent for removing a  
10 hydrogen halide.

The amounts of the reagents used in the reaction are 1-2 equivalents of the halide compounds having the formula [III] and 1-4 equivalents of the

1 reagent for removing a hydrogen halide to one equivalent  
of the imidazole derivatives having the formula [II].

Examples of the solvent which is used for the  
both reactions described above include aliphatic  
5 hydrocarbons such as hexane, heptane, ligroin, petroleum  
ether, etc.; aromatic hydrocarbons such as benzene,  
toluene, xylene, etc.; halogenated hydrocarbons such as  
chloroform, carbon tetrachloride, dichloroethane,  
chlorobenzene, dichlorobenzene, etc.; ethers such as  
10 diethyl ether, diisopropyl ether, dioxan, tetra-  
hydrofuran, ethylene glycol dimethyl ether, etc.;  
ketones such as acetone, methyl ethyl ketone, methyl  
isobutyl ketone, isophorone, cyclohexanone, etc.; esters  
such as ethyl acetate, butyl acetate, etc.; nitro  
15 compounds such as nitroethane, nitrobenzene, etc.;  
nitriles such as acetonitrile, isobutyronitrile, etc.;  
tertiary amines such as pyridine, triethylamine, N,N-  
diethylaniline, tributylamine, N-methylmorpholine, etc.;  
acid amides such as formamide, N,N-dimethylformamide,  
20 N,N-dimethylacetamide, etc.; sulfur compounds such as  
dimethylsulfoxide, sulfolane, etc.; or mixtures thereof.

Examples of the reagent of removing hydrogen  
halide include organic bases such as pyridine,  
triethylamine, N,N-diethylaniline, etc.; inorganic bases  
25 such as sodium hydroxide, potassium hydroxide, sodium  
carbonate, potassium carbonate, sodium hydrogen  
carbonate, calcium carbonate, sodium hydride, etc.;

1 alkali metal alkoxides such as sodium methoxide, sodium  
ethoxide, etc.

After completion of the reaction, post-  
treatment follows in a conventional manner. If  
5 necessary and desired, the product may further be  
purified by chromatography, distillation,  
recrystallization, etc.

The imedazole derivatives having the formula  
[II] and the halide compounds having the formula [III]  
10 which are used as raw materials for the compounds of the  
present invention are prepared by the methods described  
in U.S. Patent 3,868,458, U.S. Patent 3,940,484, U.S.  
Patent 3,996,366, J. Org. Chem., 47, 2867 (1982), Japan  
Patent (laid open) 86-286,370 and U.S. Patent 3,888,932,  
15 U.S. Patent 3,928,416, European Patent 23,100, European  
Patent 34,402, West German Patent 2,606,393, West German  
Patent 3,545,570, U.S. Patent 4,184,041, British Patent  
2,002,368, British Patent 1,121,211, Japan Patent (laid  
open) 84-20,269 respectively, or in a manner similar to  
20 the methods.

Examples of the compounds of the present  
invention are shown in Table 1 below.

R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>
H	CF <sub>3</sub>	F	CF <sub>3</sub>
H	CF <sub>3</sub>	Cl	CF <sub>3</sub>
H	CF <sub>3</sub>	Br	CF <sub>3</sub>
H	CF <sub>3</sub>	NO <sub>2</sub>	CF <sub>3</sub>
H	CF <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> H	F	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> H	Cl	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> H	Br	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> H	NO <sub>2</sub>	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> H	CF <sub>3</sub>	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>3</sub>	F	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>3</sub>	Cl	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>3</sub>	Br	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>3</sub>	NO <sub>2</sub>	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> Cl	F	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> Cl	Cl	CF <sub>3</sub>

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H	CF <sub>2</sub> CF <sub>2</sub> Cl	Br	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> Cl	NO <sub>2</sub>	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> Cl	CF <sub>3</sub>	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> Br	F	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> Br	Cl	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> Br	Br	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> Br	NO <sub>2</sub>	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> Br	CF <sub>3</sub>	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	F	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Cl	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Br	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	NO <sub>2</sub>	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Cl	CF <sub>3</sub>
H	CF <sub>2</sub> CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Br	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>3</sub>	F	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>3</sub>	Cl	CF <sub>3</sub>

- Cont'd -

(Cont'd)

CH <sub>3</sub>	CF <sub>3</sub>	Br	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>3</sub>	NO <sub>2</sub>	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> H	F	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> H	Cl	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> H	Br	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> H	NO <sub>2</sub>	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> H	CF <sub>3</sub>	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>3</sub>	F	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>3</sub>	Cl	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>3</sub>	Br	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>3</sub>	NO <sub>2</sub>	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Cl	F	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Cl	Cl	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Cl	Br	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Cl	NO <sub>2</sub>	CF <sub>3</sub>

- Cont'd -

(Cont'd)

CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Cl	CF <sub>3</sub>	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Br	F	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Br	Cl	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Br	Br	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Br	NO <sub>2</sub>	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Br	CF <sub>3</sub>	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	F	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Cl	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Br	CF <sub>3</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	NO <sub>2</sub>	CF <sub>3</sub>
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C <sub>2</sub> H <sub>5</sub>	CF <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>

- Cont'd -



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C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> H	F	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> H	Cl	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> H	Br	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> H	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>3</sub>	F	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>3</sub>	Cl	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>3</sub>	Br	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> Cl	F	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> Cl	Cl	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> Cl	Br	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> Cl	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> Br	F	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> Br	Cl	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> Br	Br	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> Br	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	F	CF <sub>3</sub>

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C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Cl	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Br	CF <sub>3</sub>
C <sub>2</sub> H <sub>5</sub>	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>3</sub>	F	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>3</sub>	Cl	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>3</sub>	Br	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> H	F	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> H	Cl	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> H	Br	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> H	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>3</sub>	F	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>3</sub>	Cl	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>3</sub>	Br	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> Cl	F	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> Cl	Cl	CF <sub>3</sub>

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C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> Cl	Br	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> Cl	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> Br	F	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> Br	Cl	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> Br	Br	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> Br	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	F	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Cl	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Br	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -n	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>3</sub>	F	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>3</sub>	Cl	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>3</sub>	Br	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> H	F	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> H	Cl	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> H	Br	CF <sub>3</sub>

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C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> H	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>3</sub>	F	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>3</sub>	Cl	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>3</sub>	Br	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> Cl	F	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> Cl	Cl	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> Cl	Br	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> Cl	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> Br	F	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> Br	Cl	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> Br	Br	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> Br	CF <sub>3</sub>	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	F	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Cl	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Br	CF <sub>3</sub>
C <sub>3</sub> H <sub>7</sub> -iso	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>

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H	CF <sub>3</sub>	Cl	OCHF <sub>2</sub>
H	CF <sub>2</sub> CF <sub>2</sub> H	Cl	OCHF <sub>2</sub>
H	CF <sub>2</sub> CF <sub>3</sub>	Cl	OCHF <sub>2</sub>
H	CF <sub>2</sub> CF <sub>2</sub> Cl	Cl	OCHF <sub>2</sub>
H	CF <sub>2</sub> CF <sub>2</sub> Br	Cl	OCHF <sub>2</sub>
H	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Cl	OCHF <sub>2</sub>
CH <sub>3</sub>	CF <sub>3</sub>	Cl	OCHF <sub>2</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> H	Cl	OCHF <sub>2</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>3</sub>	Cl	OCHF <sub>2</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Cl	Cl	OCHF <sub>2</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Br	Cl	OCHF <sub>2</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Cl	OCHF <sub>2</sub>
H	CF <sub>3</sub>	Br	OCHF <sub>2</sub>
H	CF <sub>2</sub> CF <sub>2</sub> H	Br	OCHF <sub>2</sub>
H	CF <sub>2</sub> CF <sub>3</sub>	Br	OCHF <sub>2</sub>
H	CF <sub>2</sub> CF <sub>2</sub> Cl	Br	OCHF <sub>2</sub>
H	CF <sub>2</sub> CF <sub>2</sub> Br	Br	OCHF <sub>2</sub>
H	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Br	OCHF <sub>2</sub>

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CH <sub>3</sub>	CF <sub>3</sub>	Br	OCHF <sub>2</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> H	Br	OCHF <sub>2</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>3</sub>	Br	OCHF <sub>2</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Cl	Br	OCHF <sub>2</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Br	Br	OCHF <sub>2</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Br	OCHF <sub>2</sub>
H	CF <sub>3</sub>	Cl	OCClF <sub>2</sub>
H	CF <sub>2</sub> CF <sub>2</sub> H	Cl	OCClF <sub>2</sub>
H	CF <sub>2</sub> CF <sub>3</sub>	Cl	OCClF <sub>2</sub>
H	CF <sub>2</sub> CF <sub>2</sub> Cl	Cl	OCClF <sub>2</sub>
H	CF <sub>2</sub> CF <sub>2</sub> Br	Cl	OCClF <sub>2</sub>
H	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Cl	OCClF <sub>2</sub>
CH <sub>3</sub>	CF <sub>3</sub>	Cl	OCClF <sub>2</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> H	Cl	OCClF <sub>2</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>3</sub>	Cl	OCClF <sub>2</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Cl	Cl	OCClF <sub>2</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Br	Cl	OCClF <sub>2</sub>
CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Cl	OCClF <sub>2</sub>

1           Examples of harmful insects against which the  
compounds of the present invention exhibit remarkable  
effects include the following:

Harmful insects belonging to Hemiptera:

5           Planthoppers such as small brown planthopper  
(Laodelphax striatellus), brown planthopper (Nilaparvata  
lugens), white-backed rice planthopper (soqatella  
furcifera), etc.; leafhoppers such as green rice  
leafhopper (Nephotettix cincticeps), (Nephotettix  
10 virescens), etc.; aphids, bugs, whiteflies, scales, lace  
bugs, psyllids, etc.

Lepidoptera:

          Pyralid moths such as rice stem borer (Chilo  
suppressalis), rice leafroller (Cnaphalocrocis  
15 medinalis), Indian meal moth (Plodia interpunctella),  
etc.; moths such as tobacco cutworm (Spodoptera litura),  
rice armyworm (Pseudaletia separata), cabbage armyworm  
(Mamestra brassicae), etc.; Pieridae such as common  
cabbageworm (Pieris rapae crucivora), etc.; Tortricidae  
20 or tortricid moths such as Adoxophyes spp., Grapholita  
spp., etc.; Carposinidae, lyonetiid moths (Lyonetiidae),  
tussock moths (Lymantriidae), beet semi-loopers  
(Autographa nigrisigna); harmful insects belonging to  
Agrothis spp. such as turnip cutworm (Agrothis segetum),  
25 black cutworm (Agrothis ipsilon); harmful insects  
belonging to Hiliothis spp.; diamondback moth (Plutella  
xylostella), clothes moths (Tineidae), casemaking

- 1 clothes moth (Tinea translucens), webbing clothes moth (Tineola bisselliella); etc.

Harmful insects belonging to Diptera:

- Mosquitos such as common mosquito (Culex pipiens pallens), Culex tritaeniorhynchus, etc.; Aedes spp. such as Aedes aegypti, Aedes albopictus, etc.; Anopheles spp. such as Anopheles sinensis, etc.; midges (Chironomidae); Muscidae such as housefly (Musca domestica), false stablefly (Muscina stabulans), etc.;
- 10 Calliphoridae; Sarcophagidae; lesser housefly (Fannia canicularis); Anthomyiidae or anthomyiid flies such as seedcorn maggot (Delia platura), onion maggot (Delia antiqua), etc.; fruit flies (Tephritidae); small fruit flies (Drosophilidae); moth flies (Psychodidae); black
- 15 flies (Simuliidae); Tabanidae; stable flies (Stomoxysidae); etc.

Harmful insects belonging to Coleoptera:

- Corn root worms such as western corn rootworm (Diabrotica virgifera), southern corn root worm
- 20 (Diabrotica undecimpunctata), etc.; scarabs (Scarabaeidae) such as cupreous chafer (Anomala cuprea), soybean beetle (Anomala rufocuprea), etc.; weevils such as maize weevil (Sitophilus zeamais), rice water weevil (Lissorhoptrus oryzophilus), adzuki bean weevil
- 25 (Callosobruchys chinensis), etc.; darkling beetles (Tenebrionidae) such as yellow mealworm (Tenebrio molitor), red flour beetle (Tribolium castaneum), etc.; leaf beetles (Chrysomelidae) such as cucurbit leaf



- 1 beetle (Aulacophora femoralis), striped flea beetles  
(Phyllotreta striolata), etc.; Anobiidae;  
Epilachna spp. such as twenty-eight-spotted  
ladybirds (Epilachna vigintioctopunctata), etc.;
- 5 powderpost beetles (Lyctidae); false powderpost beetles  
(Bostrychidae), Cerambycidae; robe beetle (Paederus  
fusipes), etc.

Harmful insects belonging to Dictyoptera:

- German cockroach (Blattella germanica),
- 10 smokybrown cockroach (Periplaneta fuliginosa), American  
cockroach (Periplaneta americana), brown cockroach  
(Periplaneta brunnea), oriental cockroach (Blatta  
orientalis), etc.

Harmful insects belonging to Thysanoptera:

- 15 Thrips palmi, flower thrips (Thrips  
hawaiiensis), etc.

Harmful insects belonging to Hymenoptera:

- ants (Formicidae); hornets (Vespidae);  
bethyloid wasps (Bethyridae); sawflies (Tenthredinidae)
- 20 such as cabbage sawfly (Athalia rosae ruficornis), etc.

Harmful insects belonging to Orthoptera:

- mole crickets (Gryllotalpidae); grasshoppers  
(Acrididae), etc.;

Harmful insects belonging to Aphaniptera:

- 25 Purex irritans, etc.

Harmful insects belonging to Anoplura:

- Pediculus humanus capitis, Phthirus pubis,  
etc.

1 Harmful insects belonging to Isoptera:

Reticulitermes speratus, Formosan subterranean termite (Coptotermes formosanus), etc.

Moreover, the compounds of the present  
5 invention are very effective to the insects which develop the resistance against conventional insecticides.

In the case that the compounds of the present invention are used as the active ingredient of insecti-  
10 cidal compositions, the compounds may be used as they are, without adding any other components but in general, the compounds are mixed with a solid carrier, a liquid carrier, a gaseous carrier, a feed, etc. and, if necessary and desired, the mixture is further supple-  
15 mented with a surfactant and other adjuvants used to prepare insecticidal preparations and prepared into forms such as oil sprays, emulsifiable concentrates, wettable powders, flowable concentrated, granules, dusts, aerosol, fumigants (fogging, etc.), poison bait,  
20 etc.

These formulations contain generally 0.01 to 95% by weight of the compounds of the present invention as the active ingredient.

Examples of the solid carrier used for making  
25 formulations include fine powders or granulates, etc. of clays (kaolin clay, diatomaceous earth, synthetic hydrated silicon dioxide, bentonite, Fubasami clay terra alba, etc.), talc, ceramics, other inorganic minerals

1 (sericite, quartz, sulfur, activated carbon, calcium  
carbonate, hydrated silica, etc.), chemical fertilizers  
(ammonium sulfate, ammonium phosphate, ammonium nitrate,  
urea, ammonium chloride, etc.), etc. Examples of the  
5 liquid carrier include water, alcohols (methanol,  
ethanol, etc.), ketones (acetone, methyl ethyl ketone,  
etc.), aromatic hydrocarbons (benzene, toluene, xylene,  
ethylbenzene, methylnaphthalene, etc.), aliphatic  
hydrocarbons (hexane, cyclohexane, kerosene, gas oil,  
10 etc.), esters (ethyl acetate, butyl acetate, etc.),  
nitriles (acetonitrile, isobutyronitrile, etc.), ethers  
(diisopropyl ether, dioxan, etc.), acid amides (N,N-  
dimethylformamide, N,N-dimethylacetamide, etc.),  
halogenated hydrocarbons (dichloromethane, trichloro-  
15 ethane, carbon tetrachloride, etc.), dimethylsulfoxide;  
vegetable oils such as soybean oil, cotton seed oil,  
etc. Examples of the gaseous carrier, i.e., propellant,  
include freon gas, butane gas, LPG (liquefied petroleum  
gas), dimethyl ether, carbon dioxide, etc.

20 Examples of the surfactant include alkyl  
sulfates, alkyl sulfonic acid salts, alkylaryl sulfonic  
acid salts, alkyl aryl ethers and polyoxyethylene  
derivatives thereof, polyethylene glycol ether,  
polyvalent alcohol esters, sugar alcohol derivatives,  
25 etc.

Examples of the adjuvants such as binders,  
dispersing agents, etc. for formulations include casein,  
gelatin, polysaccharides (starch powders, gum arabic,

1 cellulose derivatives, alginic acid, etc.), lignin  
derivatives, bentonite, sugars, synthetic water-soluble  
high molecular substances (polyvinyl alcohol, polyvinyl-  
pyrrolidone, polyacrylic acid, etc.). Examples of the  
5 stabilizer include PAP (acidic isopropyl phosphate), BHT  
(2,6-di-tert-butyl-4-methylphenol), BHA (mixture of 2-  
tert-butyl-4-methoxyphenol and 3-tert-butyl-4-  
methoxyphenol), vegetable oils, mineral oils,  
surfactants, fatty acids or esters thereof, and the  
10 like.

As a base material for the poison baits, there  
are, for example, feed components such as crop powders,  
essential vegetable oil, sugars, crystalline cellulose  
etc.; antioxidants such as dibutylhydroxytoluene,  
15 nordihydroguaiaretic acid, etc.; preservatives such as  
dehydroacetic acid, etc.; feeding error preventing  
agents such as red pepper powders, etc.; incentive flavor  
such as cheese flavor, onion flavor, etc.

The thus obtained formulations may be used as  
20 they are or after diluting with water, etc. Alterna-  
tively, the formulations may be used as admixture with  
other insecticides, nematocides, acaricides, bacterio-  
cides, herbicides, plant growth regulators, synergistic  
agents, fertilizers, soil conditioners, animal feed,  
25 etc., or may also be used simultaneously with them,  
without mixing therewith.

Where the compounds of the present invention  
are used as insecticides for agricultural use, the dose

- 1 is generally 0.1 g to 100 g per 10 ares; when  
emulsifiable concentrates, wettable powders, flowable  
concentrates, etc. are used after diluting them with  
water, the concentration is 0.1 ppm to 500 ppm.
- 5 Granules, dusts, etc. may be used as they are, without  
diluting them. For purposes of household and public  
hygiene, emulsifiable concentrates, wettable powders,  
flowable concentrates, etc. are diluted with water in a  
concentration of 0.1 ppm to 500 ppm; oils, aerosol,  
10 fumigants, poison baits, etc. may be used as they are.

These doses and concentrations may vary  
depending upon kind of formulations, timing for  
application, place applied, method for application,  
kinds of insect, condition of damages, etc. and may be  
15 increased or decreased, irrespective of the ranges set  
forth above.

Hereafter the present invention is described  
in more detail, by referring to synthesis examples,  
formulation examples and test examples but is not deemed  
20 to these examples.

#### Synthesis Example 1 (Synthesis of Compound No. (3))

To a solution of 0.37 g (2 m mol) of 4(5)-  
pentafluoroethylimidazole in 5 ml of N,N-dimethyl  
formamide was added 80 mg (2 m mol) of an oily sodium  
25 hydride (60%) while cooling with ice, followed by  
stirring at the same temperature for 10 minutes. After  
the reaction was completed, to the reaction mixture was

1 added dropwise 0.43 g (2 m mol) of 2,3-dichloro-5-  
trifluoromethylpyridine, followed by stirring at room  
temperature for 8 hours. After the reaction was  
completed, the reaction mixture was poured into water,  
5 and extracted with ethyl acetate. Further, then the  
residue was washed with brine, dried over magnesium  
sulfate, and concentrated under reduced pressure. The  
obtained product was subjected to silica gel chromato-  
graphy to give 0.24 g of 1-(3-chloro-5-trifluoromethyl-  
10 pyridin-2-yl)-4-pentafluoroethylimidazole.

m.p. 52.0°C

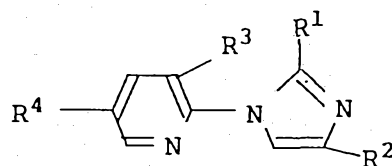
#### Synthesis Example 2 (Synthesis of Compound No. (11))

To a solution of 0.22 g (1 m mol) of 2-methyl-  
4(5)-(2-chloro-1,1,2,2-tetrafluoroethyl) imidazole in 5  
15 ml of N,N-dimethylformamide was added both of 0.21 g  
(1.5 m mol) of anhydrous potassium carbonate and 0.22 g  
(1 m mol) of 2,3-dichloro-5-trifluoromethylpyridine,  
followed by stirring at 80-85°C for 7 hours. After the  
reaction was completed, the reaction mixture was poured  
20 into water, and extracted with ethyl acetate. Further,  
then the residue was washed with brine, dried over  
magnesium sulfate, and concentrated under reduced  
pressure. The obtained product was subjected to silica  
gel chromatography to give 0.27 g of 1-(3-chloro-5-  
25 trifluoromethyl pyridin-2-yl)-2-methyl-4-(2-chloro-  
1,1,2,2-tetrafluoroethyl imidazole.

m.p. 137.5°C

1            Examples of the present invention prepared in  
the same manner as above are shown in Table 2.

Table 2



Compound No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	Physical constant
(1)	H	CF <sub>3</sub>	Cl	CF <sub>3</sub>	m.p. 73.3°C
(2)	H	CF <sub>2</sub> CF <sub>2</sub> H	Cl	CF <sub>3</sub>	n <sub>D</sub> <sup>25.4</sup> 1.4813
(3)	H	CF <sub>2</sub> CF <sub>3</sub>	Cl	CF <sub>3</sub>	m.p. 52.0°C
(4)	H	CF <sub>2</sub> CF <sub>3</sub>	CF <sub>3</sub>	CF <sub>3</sub>	n <sub>D</sub> <sup>23.9</sup> 1.4220
(5)	H	CF <sub>2</sub> CF <sub>2</sub> Br	Cl	CF <sub>3</sub>	n <sub>D</sub> <sup>24.0</sup> 1.4999
(6)	H	CF <sub>2</sub> CF <sub>2</sub> Br	CF <sub>3</sub>	CF <sub>3</sub>	n <sub>D</sub> <sup>24.5</sup> 1.4550
(7)	CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub>	Cl	CF <sub>3</sub>	m.p. 77.9°C
(8)	CH <sub>3</sub>	CF <sub>3</sub>	Cl	CF <sub>3</sub>	m.p. 73.5°C
(9)	CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> H	Cl	CF <sub>3</sub>	m.p. 147.9°C
(10)	CH <sub>3</sub>	CF <sub>2</sub> CF <sub>3</sub>	Cl	CF <sub>3</sub>	m.p. 85.3°C
(11)	CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Cl	Cl	CF <sub>3</sub>	m.p. 137.5°C
(12)	CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Br	Cl	CF <sub>3</sub>	m.p. 63-65°C
(13)	CH <sub>3</sub>	CF <sub>2</sub> CF <sub>2</sub> Br	CF <sub>3</sub>	CF <sub>3</sub>	m.p. 60.3°C



1           Next, Formulation examples are shown, wherein parts are all by weight and the compounds of the present invention are designated by the compound numbers shown in Table 2.

5   Formulation Example 1. Emulsifiable concentrate

          After 10 parts each of Compounds (1) through (13) of the present invention are dissolved in 35 parts of xylene and 35 parts of dimethylformamide, 14 parts of polyoxyethylene styrylphenyl ether and 6 parts of  
10 calcium dodecylbenzenesulfonate are added to the solutions. The resulting mixtures are thoroughly mixed stirred to give 10% emulsifiable concentrate, respectively.

Formulation Example 2. Wettable powder

15           After 20 parts of Compound (1) through (13) of the present invention are added to a mixture of 4 parts of sodium laurylsulfate, 2 parts of calcium lignin-sulfonate, 20 parts of synthetic hydrated silicon dioxide fine powders and 54 parts of diatomaceous earth,  
20 the mixture is mixed and stirred with a juice mixer to give 20% wettable powder.

Formulation Example 3. Granule

          After 5 parts of synthetic hydrated silicon dioxide fine powders, 5 parts of sodium dodecylbenzene-  
25 sulfonate, 30 parts of bentonite and 55 parts of clay

1 are added to 5 parts of Compound (1) through (13) of the  
present invention, the mixture is thoroughly mixed and  
stirred. A suitable amount of water is further added to  
the mixture followed by stirring. The mixture is  
5 granulated with a granulator and air-dried to give 5%  
granule.

#### Formulation Example 4. Dust

After 1 part of Compound (7) of the present  
invention is dissolved in a appropriate amount of  
10 acetone, 5 parts of synthetic hydrated silicon dioxide  
fine powders, 0.3 part of PAP and 93.7 parts of clay are  
added to the solution. The mixture is mixed and stirred  
with a juice mixer and acetone is evaporated off to give  
1% dust.

#### 15 Formulation Example 5. Flowable concentrate

After 20 parts of Compound (12) of the present  
invention and 1.5 part of sorbitan trioleate are mixed  
with 28.5 parts of an aqueous solution containing 2  
parts of polyvinyl alcohol, the mixture is finely  
20 divided (less than 3  $\mu$  in particle diameter) with a sand  
grinder. Then, 40 parts of aqueous solution containing  
0.05 part of xanthane gum and 0.1 part of aluminum  
magnesium silicate are added to the powders and 10 parts  
of propylene glycol are further added thereto. The  
25 mixture is thoroughly mixed and stirred to give 20%  
flowable concentrate for aqueous suspension.

1 Formulation Example 6. Oil spray

After 0.1 part of Compound (1) through (13) of the present invention is dissolved in 5 parts of xylene and 5 parts of trichloroethane, the solution is mixed  
5 with 89.9 parts of deodorized kerosene to give 0.1% oil spray.

Formulation Example 7. Oil-based aerosol

After 0.1 part of Compound (1) through (13) of the present invention, 0.2 part of tetramethrin, 0.1  
10 part of d-phenothrin, 10 parts of trichloroethane and 59.6 parts of deodorized kerosene are mixed with each other and dissolved. The solution is filled in an aerosol container. After a valve is mounted to the container, 30 parts of propellant (liquefied petroleum  
15 gas) are filled under pressure through the valve to give oil-based aerosol.

Formulation Example 8. Water-based aerosol

After 0.2 part of Compound (11) of the present invention, 0.2 part of d-allethrin, 0.2 part of d-  
20 phenothrin, 5 parts of xylene, 3.4 parts of deodorized kerosene and 1 part of emulsifier [ATMOS 300 (registered trademark, Atlas Chemical Co., Ltd.)] are mixed with each other and dissolved. The solution and 50 parts of distilled water are filled in an aerosol container.  
25 After a valve is mounted to the container, 40 parts of propellant (liquefied petroleum gas) are filled under

1 pressure through the valve to give water-based aerosol.

Formulation Example 9. Mosquito coil

After 0.3 g of d-allethrin is added to 0.3 g  
of Compound (12) of the present invention, the mixture  
5 is dissolved in 20 ml of acetone. The solution is then  
uniformly mixed with 99.4 g of carrier for mosquito-coil  
(tapa powder : sake lees powder : wood powder of 4 : 3 :  
3) with stirring and 120 ml of water is then added to  
the mixture. The mixture is thoroughly kneaded, molded  
10 and dried to give mosquito-coil.

Formulation Example 10. Electric mosquito mat

Acetone is added to 0.4 g of Compound (12) of  
the present invention, 0.4 g of d-allethrin and 0.4 g of  
piperonyl butoxide to dissolve and make the whole volume  
15 10 ml. This solution, 0.5 ml, is uniformly impregnated  
with a base material for electric mat (a mixture of  
cotton linter and pulp solidified in a plate-like form)  
having 2.5 cm × 1.5 cm and a thickness of 0.3 cm to give  
an electric mosquito mat.

20 Formulation Example 11. Fumigant

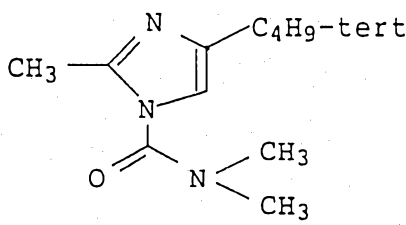
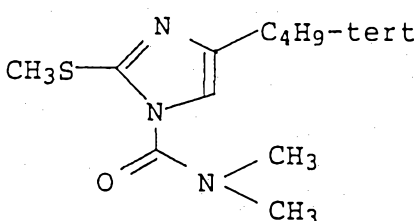
After 100 mg of Compound (12) of the present  
invention is dissolved in an appropriate amount of  
acetone, the solution is impregnated with a porous  
ceramic plate having 4.0 cm × 4.0 cm and a thickness of  
25 1.2 cm to give a fumigant.

1 Formulation Example 12. Poison bait

After 10 mg of Compound (1) through (13) of  
the present invention is dissolved in a 0.5 ml acetone,  
the solution is applied to 5 g of the powder of dry  
5 animal food. The powder is dried to give a 0.5% poison  
bait.

Next, effectiveness of the compounds of the  
present invention as the active ingredient of insecti-  
cidal compositions is described below, with reference to  
10 test examples, wherein the compounds of the present  
invention are designated by the compound numbers shown  
in Table 2 and compounds used for comparison and control  
are designated by the compound numbers shown in Table 3.

Table 3

<u>Compound Symbol</u>	<u>Chemical Structure</u>	<u>Note</u>
(A)		Compound described in U.S. Patent 3,868,458 and 3,940,484
(B)		Compound described in U.S. Patent 3,996,366

1 Test Example 1 (Insecticidal test on nymphs of brown  
planthopper)

The emulsifiable concentrate of the test  
compound prepared according to Formulation Example 1 was  
5 diluted with water (corresponding to 500, 5, 0.5 ppm)  
and a rice plant seedling (length of about 12 cm) was  
immersed in the dilution for a minute. After air-  
drying, the rice plant seedling was put in a test tube  
and about 30 nymphs of brown planthopper (Nilaparvata  
10 lugens) were released. Six days after, the nymphs were  
observed if they were alive or dead. Criterion for the  
judgment is as follows.

- a: no insect was alive.
- b: alive insects were 5 or less.
- 15 c: alive insects were 6 or more.

The results are shown in Table 4.

Table 4

<u>Test Compound</u>	<u>Concentration (ppm)</u>	<u>Efficacy</u>
(1)	500	a
(2)	500	a
	5	a
(3)	500	a
	5	a
(4)	500	a
(5)	500	a
	5	a
(6)	500	a
(7)	500	a
(8)	500	a
(9)	500	a
	5	a
	0.5	a
(10)	500	a
	5	a
	0.5	a
(11)	500	a
	5	a
	0.5	a
(12)	500	a
	5	a
	0.5	a
(13)	500	a
Untreated	—	c

1 Test Example 2 (Insecticidal test on southern corn  
rootworm)

On the bottom of a polyethylene cup having a diameter of 5.5 cm, a filter paper which is of the same  
5 size was laid down and 1 ml of an aqueous dilution (500 or 50 ppm) of the emulsifiable concentrate of the test compound prepared according to Formulation Example 1 was dropped onto the filter paper and one corn sprout was put as feed. About 30 eggs of southern corn rootworm  
10 (Diabrotica undecimpunctata) were put in the cup. Eight days after the cup was covered, dead or alive larvae hatched were examined. Criterion for the judgment is as follows.

- 15 a: no insect was alive.  
b: alive insects were 5 or less.  
c: alive insects were 6 or more.

The results are shown in Table 5.



Table 5

<u>Test Compound</u>	<u>Concentration (ppm)</u>	<u>Efficacy</u>
(1)	500	a
	50	a
(2)	500	a
	50	a
(3)	500	a
	50	a
(4)	500	a
	50	a
(5)	500	a
	50	a
(6)	500	a
	50	a
(7)	500	a
	50	a
(8)	500	a
	50	a
(9)	500	a
	50	a
(10)	500	a
	50	a
(11)	500	a
	50	a
(12)	500	a
	50	a
(13)	500	a
	50	a
Untreated		c

1 Test Example 3 (Insecticidal test on common mosquito)

The emulsifiable concentrate of the test compound prepared according to Formulation Example 1 was diluted with water and 0.7 ml of the dilution was added  
5 to 100 ml of ion exchange water (concentration of the effective ingredient was 3.5 ppm). In the mixture were released 20 last instar larvae of common mosquito (Culex pipiens pallens). One day after the release, mortality was examined.

10 Criterion for the judgment is as follows.

a: 90% or more

b: not less than 10% but less than 90%

c: less than 10%

The results are shown in Table 6.

Table 6

<u>Test Compound</u>	<u>Efficacy</u>
(1)	a
(2)	a
(3)	
(4)	
(5)	
(6)	a
(7)	a
(8)	a
(9)	a
(10)	a
(11)	a
(12)	a
(13)	a
Untreated	c

1 Test Example 4 (Insecticidal test on German cockroach)

On the bottom of a polyethylene cup having a diameter of 5.5 cm, a filter paper which is of the same size was laid down and 0.7 ml of an aqueous dilution

- 5 (500 ppm) of the emulsifiable concentrate of the test compound prepared according to Formulation Example 1 was dropped onto the filter paper. As feed, 30 mg of sucrose was uniformly spread thereon. In the cup, 10 adult males of German cockroach (Blattella germanica)

1 were released. Six days after the cup was covered, dead or alive insects were examined to determine mortality.

The results are shown in Table 7.

Table 7

<u>Test Compound</u>	<u>Mortality (%)</u> <u>500 ppm</u>
(1)	100
(2)	100
(3)	100
(4)	100
(5)	100
(6)	100
(7)	100
(8)	100
(9)	100
(10)	100
(11)	100
(12)	100
(13)	100
(A)	0
(B)	0
Untreated	0

Test Example 5 (Insecticidal test on housefly)

5 On the bottom of a polyethylene cup having a diameter of 5.5 cm, a filter paper which is of the same size was laid down and 0.7 ml of an aqueous dilution (500 ppm) of the emulsifiable concentrate of the test

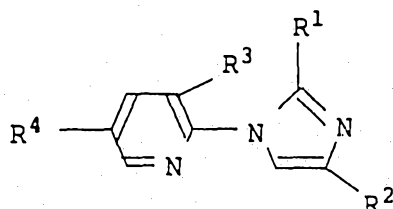
1 compound prepared according to Formulation Example 1 was  
dropped onto the filter paper. As feed, 30 mg of  
sucrose was uniformly spread thereon. In the cup, 10  
adult females of housefly (Musca domestica) were  
5 released. Forty eight hours after the cup was covered,  
dead or alive insects were examined to determine  
mortality (2 replications). The results are shown in  
Table 8.

Table 8

<u>Test Compound</u>	<u>Mortality (%)</u> <u>500 ppm</u>
(1)	100
(2)	100
(3)	100
(4)	100
(5)	100
(6)	100
(7)	100
(8)	100
(9)	100
(10)	100
(11)	100
(12)	100
(13)	100
Untreated	0

THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

1. A pyridylimidazole derivative having the formula:



wherein R<sup>1</sup> is a hydrogen atom, a C<sub>1</sub>-C<sub>3</sub> alkyl group, a C<sub>1</sub>-C<sub>3</sub> alkylthio group or a C<sub>2</sub>-C<sub>3</sub> alkoxyalkyl group; R<sup>2</sup> is a hydrogen atom or a C<sub>1</sub>-C<sub>4</sub> haloalkyl group; R<sup>3</sup> is a halogen atom, a nitro group or a trifluoromethyl group; R<sup>4</sup> is a C<sub>1</sub>-C<sub>3</sub> haloalkyl group or a C<sub>1</sub>-C<sub>3</sub> haloalkoxy group.

2. A pyridylimidazole derivative according to claim 1, wherein R<sup>1</sup> is a hydrogen atom or a C<sub>1</sub>-C<sub>3</sub> alkyl group; R<sup>2</sup> is a C<sub>1</sub>-C<sub>3</sub> haloalkyl group which comprises at least a fluorine atom, a chlorine atom or a bromine atom as the halogen atom; R<sup>3</sup> is a fluorine atom, a chlorine atom or a trifluoromethyl group; R<sup>4</sup> is a C<sub>1</sub>-C<sub>3</sub> haloalkyl group which comprises at least a fluorine atom as the halogen atom.

3. A pyridylimidazole derivative according to claim 1, wherein R<sup>1</sup> is a hydrogen atom or a methyl group; R<sup>2</sup> is a C<sub>2</sub> haloalkyl group which comprises at least a fluorine atom, a chlorine atom or a bromine atom

as the halogen atom; R<sup>3</sup> is a fluorine atom or a chlorine atom; R<sup>4</sup> is a trifluoromethyl group.

4. A pyridylimidazole derivative according to claim 1, wherein R<sup>1</sup> is a hydrogen atom or a methyl group; R<sup>2</sup> is a haloalkyl group represented by the formula, -CF<sub>2</sub>CF<sub>2</sub>X, in which X is a hydrogen atom, a fluorine atom, a chlorine atom or a bromine atom; R<sup>3</sup> is a chlorine atom; R<sup>4</sup> is a trifluoromethyl group.

5. A pyridylimidazole derivative according to claim 1, wherein R<sup>1</sup> is a methyl group; R<sup>2</sup> is a haloalkyl group represented by the formula, -CF<sub>2</sub>CF<sub>2</sub>X, in which X is a hydrogen atom, a fluorine atom, a chlorine atom or a bromine atom; R<sup>3</sup> is a chlorine atom; R<sup>4</sup> is a trifluoromethyl group.

6. A pyridylimidazole derivative according to claim 1, which is 1-(3-chloro-5-trifluoromethylpyridin-2-yl)-4-(1,1,2,2-tetrafluoroethyl)imidazole.

7. A pyridylimidazole derivative according to claim 1, which is 1-(3-chloro-5-trifluoromethylpyridin-2-yl)-4-pentafluoroethylimidazole.

8. A pyridylimidazole derivative according to claim 1, which is 1-(3-chloro-5-trifluoromethylpyridin-2-yl)-4-(2-bromo-1,1,2,2-tetrafluoroethyl)imidazole.

9. A pyridylimidazole derivative according to claim 1, which is 1-(3-chloro-5-trifluoromethylpyridin-2-yl)-2-methyl-4-(1,1,2,2-tetrafluoroethyl)imidazole.

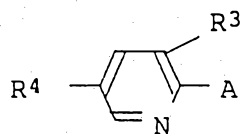
10. A pyridylimidazole derivative according to claim 1, which is 1-(3-chloro-5-trifluoromethylpyridin-

2-yl)-2-methyl-4-pentafluoroethylimidazole.

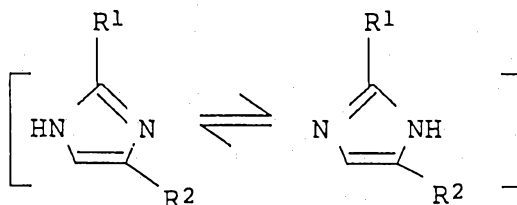
11. A pyridylimidazole derivative according to claim 1, which is 1-(3-chloro-5-trifluoromethylpyridin-2-yl)-2-methyl-(2-chloro-1,1,2,2-tetrafluoroethyl)imidazole.

12 A pyridylimidazole derivative according to claim 1, which is 1-(3-chloro-5-trifluoromethylpyridin-2-yl)-2-methyl-(2-bromo-1,1,2,2-tetrafluoroethyl)imidazole.

13. A process for producing a pyridylimidazole derivative according to claim 1, which comprises reacting a halide compound having the formula;



wherein R<sup>3</sup> is a halogen atom, a nitro group or a trifluoromethyl group; R<sup>4</sup> is a C<sub>1</sub>-C<sub>3</sub> haloalkyl group or a C<sub>1</sub>-C<sub>3</sub> haloalkoxy group; A is a halogen atom, with an imidazole derivative having the formula;





wherein R<sup>1</sup> is a hydrogen atom, a C<sub>1</sub>-C<sub>3</sub> alkyl group, a C<sub>1</sub>-C<sub>3</sub> alkylthio group or a C<sub>2</sub>-C<sub>3</sub> alkoxyalkyl group; R<sup>2</sup> is a hydrogen atom or a C<sub>1</sub>-C<sub>4</sub> haloalkyl group.

14. An insecticidal composition which comprises an insecticidally effective amount of the pyridylimidazole derivative according to claim 1 and an inert carrier.

15. A method for controlling insect pests which comprises applying an insecticidally effective amount of the pyridylimidazole derivative according to claim 1 to the insect pests or to the locus where insect pests propagate.

~~16. Use of the pyridylimidazole derivative~~  
~~according to claim 1 as a insecticide.~~

16. Compounds of formula (I), processes for their production or insecticidal compositions or methods involving them, substantially as hereinbefore described with reference to the Examples.

5

10 DATED this 28th day of October, 1992  
Sumitomo Chemical Company, Limited  
By Its Patent Attorneys  
DAVIES COLLISON CAVE

