CONVENTION

AUSTRALIA

Patents Act 1990

**NOTICE OF ENTITLEMENT**

We, **YASHIMA CHEMICAL INDUSTRIAL CO., LTD.** of 757-1, Futako, Takatsu-ku, Kawasaki-shi, Kanagawa 213, Japan state the following in connection with Australian Application No. 43554/93:

1. We are the nominated person.

2. The nominated person is the assignee of the actual inventors.

3. The nominated person is the applicant of the basic application listed in the declaration under Article 8 of the PCT.

4. The basic application is the application first made in a Convention country in respect of the invention.

Dated: 6 December 1994

By **PHILLIPS ORMONDE & FITZPATRICK**
Patent Attorneys for the Applicant
By:

David B Fitzpatrick

.....

To: The Commissioner of Patents

**PHILLIPS ORMONDE & FITZPATRICK**
**367 Collins Street**
**Melbourne, Australia, 3000**

Our Ref: 392509

1925x

M062838 061294

AU9343554

(12) PATENT ABRIDGMENT (11) Document No. AU-B-43554/93
(19) AUSTRALIAN PATENT OFFICE (10) Acceptance No. 669182

(54) Title
ACARICIDE

International Patent Classification(s)
(51)[5] A01N 043/76 A01N 043/78

(21) Application No. : 43554/93 (22) Application Date : 11.06.93

(87) PCT Publication Number : WO93/25079

(30) Priority Data

| (31) Number | (32) Date | (33) Country |
|---|---|---|
| 4-177737 | 12.06.92 | JP JAPAN |

(43) Publication Date : 04.01.94

(44) Publication Date of Accepted Application : 30.05.96

(71) Applicant(s)
YASHIMA CHEMICAL INDUSTRIAL CO., LTD.

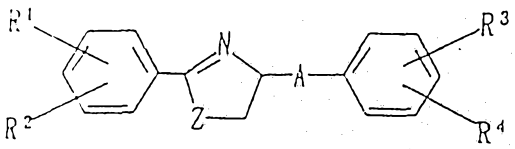
(72) Inventor(s)
JUNJI SUZUKI; YASUO KIKUCHI; TATSUYA ISHIDA; TATSUFUMI IKEDA

(74) Attorney or Agent
PHILLIPS ORMONDE & FITZPATRICK , 367 Collins Street, MELBOURNE VIC 3000

(56) Prior Art Documents
AU 634608 67820/90 A01N 43/76 43/78
US 4977171

(57) Claim

1. An agent when used to control ~~of~~ mites and ticks which externally parasitize animals or mites which parasitize dwelling environment, which contains as an effective ingredient an oxazoline or thiazoline compound represented by the following formula



(I)

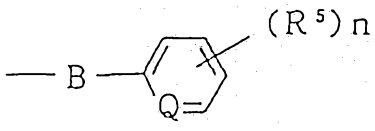
wherein,

$R^1$ and $R^2$ are the same or different, and each denote a hydrogen atom, a halogen atom, a lower alkyl group, a lower alkoxy group, a nitro group, a trifluoromethyl group or a trifluoromethoxy group,

$R^3$ and $R^4$ each denote a hydrogen atom, a halogen atom, a trifluoromethyl group, a trifluoromethoxy group, an alkyl group having 1 to 20 carbon atoms, an alkoxy group having 1 to 20 carbon atoms, an alkylthio

.../2

group having 1 to 20 carbon atoms, a lower alkoxy-lower alkyl group, a lower alkoxy-lower alkoxy group, an alkenyloxy group having 3 or more carbon atoms, a lower alkynyloxy group, a tri(lower alkyl)silyl group, a cycloalkyl group optionally substituted with a lower alkyl group or a group



,

B denotes a direct bond, an oxygen atom, a lower alkylene group, a lower alkyleneoxy group, a lower alkylenedioxy group or a di(lower alkyl)silyl group,

Q denotes CH or a nitrogen atom,

n denotes an integer of 0 to 5,

$R^5$ substituent(s), whose number is n, is(are) the same or different, and each denotes a halogen atom, a lower alkyl group, a lower alkoxy group, a lower haloalkyl group, a lower haloalkoxy group or a tri(lower alkyl) silyl group,

A denotes a direct bond or a lower alkylene group, and

Z denotes an oxygen atom or a sulfur atom.

2. A method for control of house dust mites and ticks which includes applying an acaricidally effective amount of a compound of the formula (I) according to claim 1 to animals or dwelling environment.

OPI DATE 04/01/94 APPLN. ID 43554/93
AOJP DATE 24/03/94 PCT NUMBER PCT/JP93/00783
AU9343554

(51) 国際特許分類 5
A01N 43/76, 43/78

A1

(11) 国際公開番号 WO 93/25079

(43) 国際公開日 1993年12月23日 (23.12.1993)

(21) 国際出願番号 PCT/JP93/00783
(22) 国際出願日 1993年6月11日(11. 06. 93)

(30) 優先権データ
特願平4/177737 1992年6月12日(12. 06. 92) JP

(71) 出願人(米国を除くすべての指定国について)
八洲化学工業株式会社
(YASHIMA CHEMICAL INDUSTRIAL CO., LTD.)〔JP/JP〕
〒~~103 東京都中央区日本橋本町 丁目9番4号 Tokyo, (JP)~~ →
757-1 Futako
Takatsu-ku
Kawasaki-shi
Kanagawa 213
Japan
(72) 発明者;および
(75) 発明者/出願人(米国についてのみ)
鈴木純二(SUZUKI, Junji)〔JP/JP〕
〒382 長野県須坂市高梨字割目262の2
サンビレッチ梨の木D-201号 Nagano, (JP)
菊地靖夫(KIKUCHI, Yasuo)〔JP/JP〕
〒381 長野県長野市大字富竹1081の6 Nagano, (JP)
石田達也(ISHIDA, Tatsuya)〔JP/JP〕
〒381 長野県長野市大字富竹1095の6 Nagano, (JP)
池田辰文(IKEDA, Tatsufumi)〔JP/JP〕
〒381 長野県長野市吉田1の7の1 Nagano, (JP)
(74) 代理人
弁理士 小田島平吉, 外(ODAJIMA, Heikichi et al.)
〒107 東京都港区赤坂1丁目9番15号 日本自転車会館
小田島特許事務所 Tokyo, (JP)

(81) 指定国
AT(欧州特許), AU, BE(欧州特許), BR, CA, CH(欧州特許), DE(欧州特許), DK(欧州特許), ES(欧州特許), FR(欧州特許), GB(欧州特許), GR(欧州特許), IE(欧州特許), IT(欧州特許), LU(欧州特許), MC(欧州特許), NL(欧州特許), PT(欧州特許), RU, SE(欧州特許), UA, US.

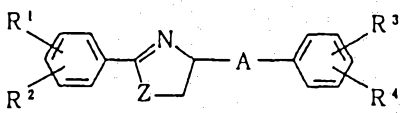
添付公開書類 国際調査報告書

669182



(54) Title : ACARICIDE

(54) 発明の名称 ダニ防除剤



(I)

(57) Abstract

An acaricide containing an oxa- or thiazoline compound represented by general fomula (I) as the active ingredient, wherein $R^1$, $R^2$, $R^3$, $R^4$, A and Z are each as defined in the specification. It has an excellent acaracidal effect against house dust acarids and those parasitic on pet and wild animals or birds.

# DESCRIPTION

## Acaricide

### Technical Field

This invention relates to an agent for control of mites and ticks as an environmental pest, and specifically relates to an acaricide which contains an oxazoline or thiazoline compound as an effective ingredient, and displays an excellent control effect against house dust mites and mites and ticks which parasitize pets or wild animals or birds.

### Background Art

Oxazoline or thiazoline compounds, which mainly target mites which parasitize crops, are disclosed in Japanese Laid-Open Patent Publication Nos. 85268/1990 and 232867/1991. However, there is no disclosure in the above publications about control effect on mites which live in houses or mites which parasitize large or small animals or birds, etc.

Under the above circumstances, the object of this invention lies in developing a new use of some particular compounds among compounds which conceptionally included in the above official gazettes, and searching compounds capable of displaying an excellent control effect against mites as an environmental pest among the selected compounds.

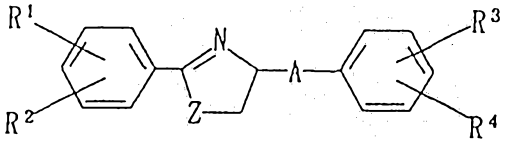
### Disclosure of Invention

The present inventors, for the purpose of fulfilling the above requisites, tested novel compounds invented as an agricultural acaricide on dust mites in houses and mites and ticks which parasitize livestock, and as a result found that these compounds show an extremely excellent acaricidal effect against them.

~~Namely, this invention is an acaricide, which contains as an effective ingredient an oxazoline or~~

Namely, this invention is an agent for control of mites or ticks which externally parasitize animals or mites which parasitize dwelling environment, which contains as an effective ingredient an oxazoline or

thiazoline compound represented by the following formula

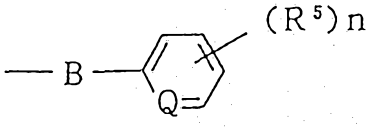


(I)

wherein,

$R^1$ and $R^2$ are the same or different, and each denote a hydrogen atom, a halogen atom, a lower alkyl group, a lower alkoxy group, a nitro group, a trifluoromethyl group or a trifluoromethoxy group,

$R^3$ and $R^4$ each denote a hydrogen atom, a halogen atom, a trifluoromethyl group, a trifluoromethoxy group, an alkyl group having 1 to 20 carbon atoms, an alkoxy group having 1 to 20 carbon atoms, an alkylthio group having 1 to 20 carbon atoms, a lower alkoxy-lower alkyl group, a lower alkoxy-lower alkoxy group, an alkenyloxy group having 3 or more carbon atoms, a lower alkynyloxy group, a tri(lower alkyl)silyl group, a cycloalkyl group optionally substituted with a lower alkyl group or a group



,

B denotes a direct bond, an oxygen atom, a lower alkylene group, a lower alkyleneoxy group, a lower alkylenedioxy group or a di(lower alkyl)silyl group,

Q denotes CH or a nitrogen atom,

n denotes an integer of 0 to 5,

$R^5$ substituents, whose number is n, are the same or different, and each denote a halogen atom, a lower alkyl group, a lower alkoxy group, a lower haloalkyl group, a lower haloalkoxy group or a tri(lower

alkyl)silyl group,

A denotes a direct bond or a lower alkylene group, and

Z denotes an oxygen atom or a sulfur atom.

In the present description, the term "lower" means that the number of carbon atoms of a group or compound to which this term was attached is 6 or less. The "halogen atom" denotes a fluorine atom, a chlorine atom, a bromine atom or an iodine atom; the "alkyl group" denotes a straight-chain or branched alkyl group having 1 to 20, preferably 1 to 15 carbon atoms; the "alkoxy group" and the "alkylthio group" respectively denote an (alkyl)-O-group and an (alkyl)-S-group whose respective alkyl parts have the above meaning; and the "haloalkyl group" denotes an alkyl group at least one of whose hydrogen atoms bound to the carbon atoms is replaced with a halogen atom, such as, for example, chloromethyl, trifluoromethyl or trifluoroethyl. The "haloalkoxy group" denotes a (haloalkyl)-O-group wherein the haloalkyl part has the above meaning, such as, for example, a trifluoromethoxy group; and the "lower alkoxy-lower alkyl group" is a (lower alkyl)-O-(lower alkyl) group wherein each alkyl part has the above meaning, and includes, for example, ethoxymethyl, n-propoxymethyl, isopropoxymethyl, n-butoxymethyl, isobutoxymethyl, 2-methoxyethyl, 2-ethoxyethyl groups, etc.

The "lower alkoxy-lower alkoxy group" is a (lower alkyl)-O-(lower alkyl)-O-group wherein each alkyl part has the above meaning, and includes, for example, 2-methoxy-ethoxy, 2-ethoxyethoxy, 2-n-propoxyethoxy, 4-isopropoxybutoxy groups, etc. The "alkenyloxy group" is an alkenyl group whose alkenyl part is straight-chain or branched chain, and denotes an alkenyloxy group having 3 to 15 carbon atoms such as, for example, an allyloxy, butenyloxy, 3-methyl-2-butenyloxy, geranyloxy, farnesyloxy or citronellyloxy group; and as the "lower alkynyloxy

RB

group" can, for example, be exemplified a propargyloxy group. The "tri(lower alkyl)silyl group" includes, for example, trimethylsilyl, ethyldimethylsilyl, n-propyldimethylsilyl, t-butyldimethylsilyl, triethylsilyl, methyldiethylsilyl groups, etc.

The "cycloalkyl group" includes those having 3 to 8 carbon atoms, e.g. a cyclohexyl group, and this cycloalkyl group may optionally be substituted with lower alkyl group(s). As examples of the thus substituted cycloalkyl groups can be mentioned methylcyclohexyl, ethylcyclohexyl, t-butylcyclohexyl groups, etc. The "lower alkylene group" denotes a straight-chain or branched chain alkylene group having 1 to 6 carbon atoms, and includes, for example, methylene, ethylene, propylene, butylene, 1,1-dimethylmethylene, etc. The "lower alkyleneoxy group" and the "lower alkylenedioxy group" are a-(lower alkylene)-O-group or -O-(lower alkylene)-group and an -O-(lower alkylene)-O- group, respectively, wherein each alkylene part has the above meaning. As example of the "di(lower alkyl)silyl group" can be mentioned dimethylsilyl, diethylsilyl, methylethylsilyl groups, etc.

Examples of the compounds of the formula (I) used in the invention are shown in the following Table 1 and Table 2.

The physical property value in the table denotes the refractive index ($n_D^{25}$) or the melting point (°C).

The abbreviations in the table mean the following meanings:

Me = Methyl, Et = Ethyl, Pr = Propyl,
Bu = Butyl, Ph = Phenyl

Table 1

| Compound No. | $R_1$ , $R_2$ | Z | $R_3$ , $R_4$ | Physical property value |
|---|---|---|---|---|
| 1 | 2-F | O | H | 57.0-60.0 |
| 2 | 2-Cl | O | H | 71.5-74.0 |
| 3 | 2-Br | O | H | 101.0-103.5 |
| 4 | 2-I | O | H | 1.6244 |
| 5 | 2,6-diCl | O | H | 1.5987 |
| 6 | 2-Cl, 4-$NO_2$ | O | H | 38.5-41.0 |
| 7 | 2-F | O | 2-Cl | 117.0-121.0 |
| 8 | 2-Cl | O | 2-Cl | 1.6093 |
| 9 | 2-Br | O | 2-Cl | 53.0-55.0 |
| 10 | 2-Cl | O | 3-Cl | 1.6093 |
| 11 | 2-Cl | O | 4-Cl | 1.6075 |
| 12 | 2-Br | O | 4-Cl | 1.6072 |
| 13 | 2-Cl | O | 2-Br | 1.6213 |
| 14 | 2-Cl, 6-F | O | 4-Cl | 1.5814 |
| 15 | 2-Cl, 6-F | O | 4-F | 1.5654 |
| 16 | 2,6-diCl | O | 4-Cl | 52.5~53.5 |
| 17 | 2,6-diF | O | 4-Cl | 1.5701 |
| 18 | 2,6-diF | O | 4-Br | 67.5~69.0 |
| 19 | 2-Cl | O | 4-$CF_3$ | 107.0-119.0 |
| 20 | 2-Cl,6-F | O | 2-$CF_3$ | 1.5335 |
| 21 | 2-Cl, 6-F | O | 4-$CF_3$ | 1.5326 |
| 22 | 2,6-diF | O | 4-$CF_3$ | 73.0-77.0 |
| 23 | 2-Cl | O | 4-$OCF_3$ | 61.0-62.0 |
| 24 | 2,6-diF | O | 4-$OCF_3$ | 61.5-64.5 |
| 25 | 2,6-diF | O | 2,4-diF | 1.5452 |

RB

Table 1 (continued)

| | | | | |
|---|---|---|---|---|
| 26 | 2-Cl | 0 | 2,4-diCl | 117.0-129.5 |
| 27 | 4-$OCF_3$ | 0 | 2,6-diF | 76.0-77.5 |
| 28 | 2,6-diF | 0 | 2,4-diCl | 66.0-67.0 |
| 29 | 2,6-diF | 0 | 3,4-diCl | 86.5-88.0 |
| 30 | 2,6-diF | 0 | 2-F, 4-Cl | 65.0~67.0 |
| 31 | 2-Cl,6-F | 0 | 3,5-diF | 1.5502 |
| 32 | 2-Cl | 0 | 4-OMe | 1.5004 |
| 33 | 2-Cl,6-F | 0 | 4-OMe | 77.5-82.5 |
| 34 | 2,6-diF | 0 | 4-SMe | 1.5962 |
| 35 | 2,6-diF | 0 | 2-Me, 4-Cl | 1.5691 |
| 36 | 2,6-diF | 0 | 2-Me,4-n-Octyl | 1.5349 |
| 37 | 2,6-diF | 0 | 3-Cl,4-Me | 1.5695 |
| 38 | 2-Cl, 6-F | 0 | 3-Br, 4-OMe | 1.5892 |
| 39 | 2,6-diF | 0 | 2-OMe,4-t-Bu | 76.0-77.5 |
| 40 | 2,6-diF | 0 | 2-OMe,4-n-Octyl | 1.5356 |
| 41 | 2,6-diF | 0 | 2-OMe,4-n-Nonyl | 1.5329 |
| 42 | 2,6-diF | 0 | 2-OMe,4-n-Decyl | 1.5262 |
| 43 | 2,6-diF | 0 | 4-Et | 1.5576 |
| 44 | 2,6-diF | 0 | 4-OEt | 1.5578 |
| 45 | 2-Cl,6-F | 0 | 4-OEt | 1.5719 |
| 46 | 2,6-diF | 0 | 2-OEt, 4-n-Nonyl | 1.5264 |
| 47 | 2,6-diF | 0 | 2-OEt,4-t-Bu | 101.0-102.0 |
| 48 | 2-Cl,6-F | 0 | 2-OEt,4-t-Bu | 1.5500 |
| 49 | 2,6-diF | 0 | 2-OEt,4-n-Octyl | 1.5292 |
| 50 | 2-Cl,6-F | 0 | 2-F, 4-OEt | 1.5870 |
| 51 | 2-Cl,6-F | 0 | 3-Cl, 4-OEt | 83.0-85.0 |
| 52 | 2-Cl,6-Cl | 0 | 3-Br, 4-OEt | 63.0-66.0 |
| 53 | 2,6-diF | 0 | 4-n-Pr | 1.5474 |

Table 1 (continued)

| | | | | |
|---|---|---|---|---|
| 54 | 2,6-diF | 0 | 4-i-Pr | 1.5512 |
| 55 | 2,6-diF | 0 | 3-O-i-Pr | 1.5504 |
| 56 | 2-Cl,6-F | 0 | 4-O-n-Pr | 1.5631 |
| 57 | 2,6-diF | 0 | 4-O-i-Pr | 1.5504 |
| 58 | 2-Cl,6-F | 0 | 4-O-i-Pr | 1.5635 |
| 59 | 2,6-diF | 0 | 4-S-i-Pr | 1.5758 |
| 60 | 2,6-diF | 0 | 2-O-n-Pr, 4-n-Pentyl | 1.5362 |
| 61 | 2,6-diF | 0 | 2-O-n-Pr, 4-t-Bu | 1.5349 |
| 62 | 2-Cl,6-F | 0 | 2-Cl, 4-O-i-Pr | 1.5683 |
| 63 | 2,6-diF | 0 | 4-n-Bu | 102.5-105.0 |
| 64 | 2,6-diF | 0 | 4-i-Bu | 1.5447 |
| 65 | 2-Cl,6-F | 0 | 4-i-Bu | 1.5558 |
| 66 | 2,6-diF | 0 | 4-sec-Bu | 1.5974 |
| 67 | 2,6-diF | 0 | 4-t-Bu | 1.5471 |
| 68 | 2-Cl,6-F | 0 | 4-t-Bu | 1.5592 |
| 69 | 2,6-diMe | 0 | 4-t-Bu | 83.5-86.0 |
| 70 | 2,6-diF | 0 | 4-O-n-Bu | 60.5-62.5 |
| 71 | 2-Cl,6-F | 0 | 4-O-n-Bu | 55.0-56.0 |
| 72 | 2,6-diF | 0 | 4-O-i-Bu | 1.5446 |
| 73 | 2,6-diF | 0 | 4-O-sec-Bu | 1.5414 |
| 74 | 2,6-diF | 0 | 2-O-n-Bu,4-t-Bu | 1.5316 |
| 75 | 2,6-diF | 0 | 2-Cl,4-t-Bu | 1.5517 |
| 76 | 2,6-diF | 0 | 2-F,4-O-n-Bu | 1.5350 |
| 77 | 2,6-diF | 0 | 4-n-Pentyl | 1.5445 |
| 78 | 2-Cl,6-F | 0 | 4-n-Pentyl | 1.5527 |
| 79 | 2,6-diCl | 0 | 4-i-Pr | 68.0-71.0 |
| 80 | 2-Cl,6-F | 0 | 4-i-Pentyl | 1.5504 |
| 81 | 2,6-diF | 0 | 4-t-Pentyl | 1.5396 |

RB

Table 1 (continued)

| | | | | |
|---|---|---|---|---|
| 82 | 2-Cl, 6-F | 0 | 4-neo-Pentyl | 1.5543 |
| 83 | 2, 6-diF | 0 | 4-O-n-Pentyl | 1.5378 |
| 84 | 2, 6-diF | 0 | 4-O-i-Pentyl | 1.5396 |
| 85 | 2, 6-diF | 0 | 2-O-n-Pentyl, 4-t-Bu | 1.5280 |
| 86 | 2, 6-diF | 0 | 2-F, 4-n-Pentyl | 1.5274 |
| 87 | 2-Cl, 6-F | 0 | 2-F, 4-n-Pentyl | 1.5382 |
| 88 | 2, 6-diF | 0 | 2-Cl, 4-n-Pentyl | 1.5435 |
| 89 | 2, 6-diF | 0 | 4-n-Hexyl | 1.5531 |
| 90 | 2, 6-diF | 0 | 4-i-Hexyl | 1.5372 |
| 91 | 2-Cl, 6-F | 0 | 4-i-Hexyl | 1.5486 |
| 92 | 2, 6-diF | 0 | 4-O-n-Hexyl | 1.5350 |
| 93 | 2, 6-diF | 0 | 2-O-n-Hexyl, 4-t-Bu | 1.5398 |
| 94 | 2, 6-diF | 0 | 2-F, 4-n-Hexyl | 1.5272 |
| 95 | 2, 6-diF | 0 | 2-Cl, 4-n-Hexyl | 1.5440 |
| 96 | 2, 6-diF | 0 | 4-n-Heptyl | 1.5322 |
| 97 | 2-Cl, 6-F | 0 | 4-n-Heptyl | 1.5432 |
| 98 | 2, 6-diF | 0 | 4-O-n-Heptyl | 1.5314 |
| 99 | 2-Cl, 6-F | 0 | 4-O-n-Heptyl | 1.5419 |
| 100 | 2-Cl, 6-F | 0 | 4-O-CH(di-n-Pr) | 1.5401 |
| 101 | 2, 6-diF | 0 | 2-F, 4-n-Heptyl | 1.5236 |
| 102 | 2, 6-diF | 0 | 2-Cl, 4-n-Hepyl | 1.5406 |
| 103 | 2-$CF_3$ | 0 | 4-n-Octyl | 1.5166 |
| 104 | 2, 6-diF | 0 | 4-n-Octyl | 1.5226 |
| 105 | 2, 6-diF | 0 | 4-O-n-Octyl | 1.5292 |
| 106 | 2, 6-diF | 0 | 2-F, 4-n-Octyl | 1.5215 |
| 107 | 2-Cl, 6-F | 0 | 2-F, 4-n-Octyl | 1.5322 |
| 108 | 2, 6-diF | 0 | 2-Cl, 4-n-Octyl | 1.5372 |
| 109 | 2, 6-diF | 0 | 4-n-Nonyl | 1.5304 |

Table 1 (continued)

| | | | | |
|---|---|---|---|---|
| 110 | 2-Cl, 6-F | 0 | 4-n-Nonyl | 1.5370 |
| 111 | 2,6-diF | 0 | 4-(1-Me-Octyl) | 1.5294 |
| 112 | 2,6-diF | 0 | 4-O-n-Nonyl | 1.5269 |
| 113 | 2,6-diF | 0 | 4-S-n-Nonyl | 1.5512 |
| 114 | 2,6-diF | 0 | 2-F, 4-n-Nonyl | 1.5184 |
| 115 | 2-Cl, 6-F | 0 | 2-F, 4-n-Nonyl | 1.5286 |
| 116 | 2,6-diF | 0 | 2-Cl, 4-n-Nonyl | 1.5283 |
| 117 | 2-Cl, 6-F | 0 | 4-O-(3, 7-diMe-Octyl) | 1.5377 |
| 118 | 2,6-diF | 0 | 4-n-Decyl | 1.5241 |
| 119 | 2,6-diF | 0 | 4-O-n-Decyl | 1.5236 |
| 120 | 2,6-diF | 0 | 2-n-Decyl, 4-F | 1.5242 |
| 121 | 2,6-diF | 0 | 2-F, 4-n-Decyl | 1.5154 |
| 122 | 2,6-diF | 0 | 2-Cl, 4-n-Decyl | 1.5194 |
| 123 | 2,6-diF | 0 | 4-(4, 8-diMe-Nonyl) | 1.5315 |
| 124 | 2-Cl, 6-F | 0 | 4-O-n-Undecyl | 1.5310 |
| 125 | 2,6-diF | 0 | 2-F, 4-n-Undecyl | 1.5150 |
| 126 | 2,6-diF | 0 | 4-n-Dodecyl | 1.5194 |
| 127 | 2-Cl, 6-F | 0 | 4-O-n-Dodecyl | 1.5268 |
| 128 | 2,6-diF | 0 | 2-F, 4-n-Dodecyl | 1.5106 |
| 129 | 2,6-diF | 0 | 4-O-n-Tridecyl | 43.0-45.0 |
| 130 | 2,6-diF | 0 | 4-O-n-Tetradecyl | 42.5-45.0 |
| 131 | 2,6-diF | 0 | 4-n-Pentadecyl | 1.5352 |
| 132 | 2,6-diF | 0 | 4-O-n-Pentadecyl | 53.5~55.0 |
| 133 | 2,6-diF | 0 | 4-O-n-Hexadecyl | 66.0-70.0 |
| 134 | 2,6-diF | 0 | 4-O-n-Heptadecyl | 59.0-60.5 |
| 135 | 2,6-diF | 0 | 4-O-n-Nonadecyl | 61.0-61.5 |
| 136 | 2,6-diF | 0 | 4-O-n-Eicosyl | 38.5-39.0 |
| 137 | 2-Cl, 6-F | 0 | 4-$CH_2$-O-Et | 1.5604 |

Table 1 (continued)

| | | | | |
|---|---|---|---|---|
| 138 | 2,6-diF | 0 | 4-$CH_2$-O-i-Pr | 1.5340 |
| 139 | 2-Cl,6-F | 0 | 4-$CH_2$-O-i-Pr | 1.5458 |
| 140 | 2,6-diF | 0 | 4-$CH_2$-O-i-Bu | 1.5372 |
| 141 | 2,6-diF | 0 | 4-OEt-O-Et | 1.5412 |
| 142 | 2,6-diF | 0 | 4-O-$C_4H_8$-O-i-Pr | 1.5316 |
| 143 | 2-Cl,6-F | 0 | 4-O-Propargyl | 1.5807 |
| 144 | 2,6-diF | 0 | 4-O-Geraniol | 1.5482 |
| 145 | 2,6-diF | 0 | 4-O-$\beta$-Citronellol | 1.5352 |
| 146 | 2,6-diF | 0 | 4-triMe-Silyl | 1.5444 |
| 147 | 2-Cl,6-F | 0 | 4-triMe-Silyl | 1.5556 |
| 148 | 2,6-diF | 0 | 4-triEt-Silyl | 1.5444 |
| 149 | 2,6-diF | 0 | 4-t-Bu-diMe-Silyl | 1.5413 |
| 150 | 2,6-diF | 0 | 4-Cyclohexyl | 1.5586 |
| 151 | 2,6-diF | 0 | 4-Cyclohexyl(4-t-Bu) | 1.5428 |
| 152 | 2-Cl,6-F | 0 | 4-Cyclohexyl(4-t-Bu) | 1.5486 |
| 153 | 2,6-diF | 0 | 4-Ph | 98.0-101.0 |
| 154 | 2-Cl,4-F | 0 | 4-Ph | 88.0-92.0 |
| 155 | 2-Cl,6-F | 0 | 4-Ph(2-Cl) | 1.6200 |
| 156 | 2,6-diF | 0 | 4-Ph(3-Cl) | 66.5-67.5 |
| 157 | 2,6-diF | 0 | 4-Ph(4-Cl) | 160.0-161.0 |
| 158 | 2-Cl,6-F | 0 | 4-Ph(4-Br) | 101.0-102.0 |
| 159 | 2,6-diF | 0 | 4-Ph(2,4-diF) | 1.5886 |
| 160 | 2,6-diF | 0 | 4-Ph(2-F,4-Cl) | 72.0-92.0 |
| 161 | 2-Cl,6-F | 0 | 4-Ph(2-F,4-Cl) | 77.0-95.0 |
| 162 | 2-Cl,6-F | 0 | 4-Ph(2-F,4-Br) | 1.6117 |
| 163 | 2,6-diF | 0 | 4-Ph(2-F,4-Br) | 1.5998 |
| 164 | 2-Cl | 0 | 4-Ph(2,4-diCl) | 1.6468 |
| 165 | 2,6-diF | 0 | 4-Ph(2,4-diCl) | 1.6146 |

KB

Table 1 (continued)

| | | | | |
|---|---|---|---|---|
| 166 | 2,6-diF | 0 | 4-Ph(2-Cl,4-Br) | 1.6140 |
| 167 | 2,6-diF | 0 | 4-Ph(3,4-diCl) | 114.0-115.0 |
| 168 | 2,6-diF | 0 | 4-Ph(3-Cl,4-F) | 98.5~101.0 |
| 169 | 2-F | 0 | 4-Ph(4-$OCF_3$) | 79.0-85.0 |
| 170 | 2-Cl | 0 | 4-Ph(4-$OCF_3$) | 65.0-66.5 |
| 171 | 2-$CF_3$ | 0 | 4-Ph(4-$OCF_3$) | 74.0-76.0 |
| 172 | 2-OMe,6-F | 0 | 4-Ph(4-$OCF_3$) | 78.0-80.0 |
| 173 | 2,6-diF | 0 | 4-Ph(4-$OCF_3$) | 1.5909 |
| 174 | 2-Cl,6-F | 0 | 4-Ph(4-$OCF_3$) | 1.5990 |
| 175 | 2-Cl,6-F | 0 | 4-Ph(2-Cl,4-$OCF_3$) | 1.5702 |
| 176 | 2,6-diF | 0 | 4-Ph(2-Br,4-$OCF_3$) | 1.5840 |
| 177 | 2,6-diF | 0 | 4-Ph(4-O-$CH_2$-$CF_3$) | 128.0-131.5 |
| 178 | 2-Cl,6-F | 0 | 4-Ph(4-O-$CH_2$-$CF_3$) | 111.5-113.0 |
| 179 | 2,6-diF | 0 | 4-Ph(4-Me) | 123.0-127.0 |
| 180 | 2,6-diF | 0 | 4-Ph(4-Et) | 130.0-132.0 |
| 181 | 2-Cl,6-F | 0 | 4-Ph(4-OEt) | 93.0-95.0 |
| 182 | 2,6-diF | 0 | 4-Ph(4-OEt) | 91.0-92.0 |
| 183 | 2,6-diF | 0 | 4-Ph(4-n-Pr) | 116.0-117.0 |
| 184 | 2,6-diF | 0 | 4-Ph(2-F,4-n-Pr) | 1.5854 |
| 185 | 2,6-diF | 0 | 4-Ph(2-Cl,4-n-Pr) | 1.5968 |
| 186 | 2,6-diF | 0 | 4-Ph(4-n-Bu) | 95.0-96.0 |
| 187 | 2,6-diF | 0 | 4-Ph(4-i-Bu) | 106.0-107.0 |
| 188 | 2,6-diF | 0 | 4-Ph(4-sec-Bu) | 1.5939 |
| 189 | 2,6-diF | 0 | 4-Ph(2-F,4-t-Bu) | 1.5833 |
| 190 | 2,6-diF | 0 | 4-Ph(2-Me, 4-t-Bu) | 1.5828 |
| 191 | 2,6-diF | 0 | 4-Ph(4-n-Pentyl) | 60.0-62.0 |
| 192 | 2,6-diF | 0 | 4-Ph(4-i-Amyl) | 79.0-80.0 |
| 193 | 2,6-diF | 0 | 4-Ph(2-F,4-n-Pentyl) | 69.5-71.0 |

Table 1 (continued)

| | | | | |
|---|---|---|---|---|
| 194 | 2,6-diF | 0 | 4-Ph(4-n-Octyl) | 65.0-67.5 |
| 195 | 2,6-diF | 0 | 4-Ph(4-triMe-Silyl) | 1.5842 |
| 196 | 2-Cl,6-F | 0 | 3-F,4-Ph | 103.0-104.0 |
| 197 | 2,6-diF | 0 | 2-F, 4-Ph(4-Cl) | 112.0-116.0 |
| 198 | 2-Cl,6-F | 0 | 2-F, 4-Ph(4-Cl) | 1.6168 |
| 199 | 2,6-diF | 0 | 2-F, 4-Ph(2,4-diCl) | 1.6062 |
| 200 | 2-Cl,6-F | 0 | 2-F, 4-Ph(2,4-diCl) | 1.6101 |
| 201 | 2,6-diF | 0 | 2-F,4-Ph(2-Me,4-Cl) | 1.5961 |
| 202 | 2,6-diF | 0 | 2-F, 4-Ph(4-Et) | 99.5~100.0 |
| 203 | 2,6-diF | 0 | 2-F, 4-Ph(4-n-Pr) | 1.5771 |
| 204 | 2,6-diF | 0 | 2-F, 4-Ph(4-i-Pr) | 1.5961 |
| 205 | 2,6-diF | 0 | 2-F, 4-Ph(4-n-Pentyl) | 66.5-67.0 |
| 206 | 2,6-diF | 0 | 2-F, 4-Ph(2-OEt,4-t-Bu) | 1.5722 |
| 207 | 2,6-diF | 0 | 2-F, 4-Ph(4-$OCF_3$) | 1.5656 |
| 208 | 2,6-diF | 0 | 2-Cl, 4-Ph(4-Cl) | 1.6229 |
| 209 | 2,6-diF | 0 | 2-Cl, 4-Ph(4-n-Pr) | 1.6005 |
| 210 | 2,6-diF | 0 | 2-Cl, 4-Ph(4-i-Pr) | 1.6017 |
| 211 | 2,6-diF | 0 | 2-Cl, 4-Ph(4-t-Bu) | 1.5900 |
| 212 | 2,6-diF | 0 | 2-Cl, 4-Ph(4-$OCF_3$) | 53.5-54.5 |
| 213 | 2,6-diF | 0 | 2-Me, 4-Ph(4-Et) | 114.0-115.0 |
| 214 | 2,6-diF | 0 | 2-Me, 4-Ph(4-t-Bu) | 1.5930 |
| 215 | 2,6-diF | 0 | 2-Me, 4-Ph(4-$OCF_3$) | 1.5648 |
| 216 | 2,6-diF | 0 | 2-Et,4-Ph(4-$OCF_3$) | 1.5601 |
| 217 | 2,6-diF | 0 | 2-OMe, 4-Ph(4-$OCF_3$) | 1.5610 |
| 218 | 2-Cl,6-F | 0 | 2-OMe, 4-Ph(4-$OCF_3$) | 89.5-91.0 |
| 219 | 2,6-diF | 0 | 2-OMe, 4-Ph(4-n-Pr) | 1.5852 |
| 220 | 2,6-diF | 0 | 2-OEt, 4-Ph(4-Cl) | 1.6040 |
| 221 | 2,6-diF | 0 | 2-OEt, 4-Ph(4-$OCF_3$) | 1.5568 |

RB

Table 1 (continued)

| | | | | |
|---|---|---|---|---|
| 222 | 2,6-diF | 0 | 2-OEt, 4-Ph(4-i-Pr) | 1.5830 |
| 223 | 2-Cl,6-F | 0 | 4-O-Pyridine | 1.6034 |
| 224 | 2-Cl,6-F | 0 | 4-O-Pyridine(4-$CF_3$) | 89.0-89.5 |
| 225 | 2-Cl,6-F | 0 | 3-OPh | 1.5995 |
| 226 | 2,6-diF | 0 | 4-OPh | 1.5923 |
| 227 | 2-Cl,6-F | 0 | 4-OPh | 1.6023 |
| 228 | 2-Cl,4-$NO_2$ | 0 | 4-OPh | 85.0-88.0 |
| 229 | 2,6-diMe | 0 | 4-OPh | 1.6024 |
| 230 | 2-Cl,6-F | 0 | 4-OPh(4-Cl) | 1.5573 |
| 231 | 2,6-diF | 0 | 4-OPh(4-Br) | 1.5982 |
| 232 | 2-Cl,6-F | 0 | 4-OPh(4-Br) | 1.6083 |
| 233 | 2,6-diF | 0 | 4-OPh(3-$CF_3$) | 1.5595 |
| 234 | 2,6-diF | 0 | 4-OPh(4-$OCF_3$) | 1.5437 |
| 235 | 2-Cl,6-F | 0 | 4-OPh(4-$OCF_3$) | 1.5542 |
| 236 | 2,6-diF | 0 | 4-OPh(2-Cl,4-$CF_3$) | 1.5846 |
| 237 | 2-Cl,6-F | 0 | 4-OPh(2-Cl,4-$CF_3$) | 1.5918 |
| 238 | 2,6-diF | 0 | 4-OPh(4-Me) | 1.5867 |
| 239 | 2-Cl,6-F | 0 | 4-OPh(4-Me) | 1.5973 |
| 240 | 2,6-diF | 0 | 4-OPh(4-OMe) | 1.5891 |
| 241 | 2-Cl,6-F | 0 | 4-OPh(4-OMe) | 54.0-57.0 |
| 242 | 2-Cl,6-F | 0 | 4-OPh(4-n-Pr) | 1.5861 |
| 243 | 2-Br | 0 | 4-OPh(4-sec-Bu) | 1.6046 |
| 244 | 2,6-diF | 0 | 4-OPh(4-sec-Bu) | 1.5717 |
| 245 | 2-Cl,6-F | 0 | 4-OPh(4-t-Bu) | 78.0-81.0 |
| 246 | 2,6-diF | 0 | 4-OPh(4-n-Hexyl) | 1.5621 |
| 247 | 2,6-diF | 0 | 4-OPh(3,5-diMe,4-O-n-Octyl) | 1.5488 |
| 248 | 2,6-diF | 0 | 4-OPh(4-n-Dodecyl) | 1.5387 |
| 249 | 2,6-diF | 0 | 4-OPh(4-O-n-Dodecyl) | 43.0-44.0 |

Table 1 (continued)

| | | | | |
|---|---|---|---|---|
| 250 | 2,6-diF | 0 | 2-F,4-OPh(2-Cl,4-$CF_3$) | 56.0-59.0 |
| 251 | 2-Cl,6-F | 0 | 3-Cl,4-OPh(4-Cl) | 1.6106 |
| 252 | 2-Cl,6-F | 0 | 3-Me,4-OPh(4-Me) | 1.5878 |
| 253 | 2,6-diF | 0 | 4-$CH_2$-Ph | 1.5924 |
| 254 | 2-Cl,6-F | 0 | 4-$CH_2$-Ph | 1.6004 |
| 255 | 2,6-diF | 0 | 4-$CH_2$-Ph(4-F) | 1.5767 |
| 256 | 2,6-diF | 0 | 4-$CH_2$-Ph(4-Cl) | 1.5920 |
| 257 | 2,6-diF | 0 | 4-$CH_2$-Ph(2,4-diF) | 1.5719 |
| 258 | 2,6-diF | 0 | 4-$CH_2$-Ph(2,4-diCl) | 1.5982 |
| 259 | 2-Cl,6-F | 0 | 4-$CH_2$-Ph(2,4-diCl) | 1.6078 |
| 260 | 2,6-diF | 0 | 4-$CH_2$-Ph(2,3,4,5,6-penta-F) | 1.5494 |
| 261 | 2,6-diF | 0 | 4-$CH_2$-Ph(4-$OCF_3$) | 1.5617 |
| 262 | 2-Cl,6-F | 0 | 4-$CH_2$-Ph(4-$OCF_3$) | 1.5722 |
| 263 | 2,6-diF | 0 | 4-$CH_2$-Ph(4-OMe) | 1.5850 |
| 264 | 2,6-diF | 0 | 4-$CH_2$-Ph(4-Et) | 1.5795 |
| 265 | 2,6-diF | 0 | 4-$CH_2$-Ph(4-i-Pr) | 1.5824 |
| 266 | 2-Cl,6-F | 0 | 4-$CH_2$-Ph(4-i-Pr) | 1.5956 |
| 267 | 2,6-diF | 0 | 4-$CH_2$-Ph(4-n-Bu) | 1.5682 |
| 268 | 2-Cl,6-F | 0 | 4-$CH_2$-Ph(4-n-Bu) | 1.5750 |
| 269 | 2-Cl,6-F | 0 | 4-$CH_2$-Ph(4-t-Bu) | 1.5835 |
| 270 | 2,6-diF | 0 | 4-$CH_2$-Ph(4-n-Hexyl) | 1.5585 |
| 271 | 2-Cl,6-F | 0 | 4-$CH_2$-Ph(4-n-Hexyl) | 1.5702 |
| 272 | 2,6-diF | 0 | 4-$CH_2$-Ph(4-n-Octyl) | 1.5514 |
| 273 | 2-Cl,6-F | 0 | 4-$CH_2$-Ph(4-n-Octyl) | 1.5620 |
| 274 | 2,6-diF | 0 | 2-F,4-$CH_2$-Ph(4-Cl) | 1.5908 |
| 275 | 2,6-diF | 0 | 2-F,4-$CH_2$-Ph(4-t-Bu) | 1.5632 |
| 276 | 2,6-diF | 0 | 2-F, 4-$CH_2$-Ph(4-n-Octyl) | 1.5464 |
| 277 | 2,6-diF | 0 | 2-Cl,4-$CH_2$-Ph(4-n-Octyl) | 1.5474 |

RB

Table 1 (continued)

| | | | | |
|---|---|---|---|---|
| 278 | 2,6-diF | 0 | 4-$C_2H_4$-Ph(4-Cl) | 1.5869 |
| 279 | 2-Cl,6-F | 0 | 4-$C_2H_4$-Ph(4-Cl) | 1.5968 |
| 280 | 2-Cl,6-F | 0 | 4-CH(Me)-Ph(4-Et) | 1.5706 |
| 281 | 2,6-diF | 0 | 4-CH(i-Bu)-Ph(4-Cl) | 1.5768 |
| 282 | 2-Cl,6-F | 0 | 4-CH(i-Bu)-Ph(4-Cl) | 1.5896 |
| 283 | 2,6-diF | 0 | 4-C(diMe)-Ph(4-Cl) | 1.5493 |
| 284 | 2-Cl,6-F | 0 | 4-C(diMe)-Ph(4-n-Octyl) | 1.5421 |
| 285 | 2,6-diF | 0 | 2-F,4-C(diMe)-Ph(4-Cl) | 1.5624 |
| 286 | 2,6-diF | 0 | 4-$OCH_2$-Ph | 69.0-72.0 |
| 287 | 2-Cl,6-F | 0 | 4-$OCH_2$-Ph | 1.5965 |
| 288 | 2,6-diF | 0 | 4-$OCH_2$-Ph(2-Cl) | 1.5942 |
| 289 | 2-Cl,6-F | 0 | 4-$OCH_2$-Ph(2-Cl) | 65.0-66.5 |
| 290 | 2,6-diF | 0 | 4-$OCH_2$-Ph(4-F) | 106.0-107.0 |
| 291 | 2,6-diF | 0 | 4-$OCH_2$-Ph(4-Cl) | 112.0-116.0 |
| 292 | 2-Cl,6-F | 0 | 4-$OCH_2$-Ph(4-Cl) | 117.5-118.0 |
| 293 | 2,6-diF | 0 | 4-$OCH_2$-Ph(2,6-diF) | 1.5632 |
| 294 | 2-Cl,6-F | 0 | 4-$OCH_2$-Ph(2,6-diF) | 1.5742 |
| 295 | 2,6-diF | 0 | 4-$OCH_2$-Ph(2,3-diCl) | 1.5936 |
| 296 | 2,6-diF | 0 | 4-$OCH_2$-Ph(3,4-diCl) | 69.0-70.5 |
| 297 | 2-Cl,6-F | 0 | 4-$OCH_2$-Ph(3,4-diCl) | 1.6049 |
| 298 | 2,6-diF | 0 | 4-$OCH_2$-Ph(3,5-diCl) | 76.0-78.0 |
| 299 | 2,6-diF | 0 | 4-$OCH_2$-Ph(4-$CF_3$) | 106.5-108.5 |
| 300 | 2,6-diF | 0 | 4-$OCH_2$-Ph(4-$OCF_3$) | 94.5-97.0 |
| 301 | 2,6-diF | 0 | 4-$OCH_2$-Ph(4-Et) | 105.0-106.5 |
| 302 | 2,6-diF | 0 | 4-$OCH_2$-Ph(4-i-Pr) | 1.5741 |
| 303 | 2,6-diF | 0 | 4-$OCH_2$-Ph(4-t-Bu) | 1.5649 |
| 304 | 2,6-diF | 0 | 4-$OCH_2$-Ph(4-n-Pentyl) | 77.5~78.5 |
| 305 | 2,6-diF | 0 | 3-Me,4-$OCH_2$-Ph(4-Et) | 97.5~99.5 |

Table 1 (continued)

| | | | | |
|---|---|---|---|---|
| 306 | 2-Cl, 6-F | O | 4-$CH_2$-O-Ph(4-Cl) | 111.0-113.0 |
| 307 | 2,6-diF | O | 4-O-$C_4H_8$-O-Ph(4-Cl) | 1.5678 |
| 308 | 2-Cl, 6-F | O | 4-O-$C_4H_8$-O-Ph(4-Cl) | 1.5598 |
| 309 | 2,6-diF | O | 4-Silyl(diMe)-Ph | 1.5778 |
| 310 | 2-OMe | S | H | 134.0-142.0 |
| 311 | 2,6-diF | S | 4-i-Pentyl | 58.5-60.5 |
| 312 | 2,6-diF | S | 4-n-Octyl | 1.5553 |
| 313 | 2,6-diF | S | 4-Ph(4-$OCF_3$) | 92.0-94.0 |
| 314 | 2,6-diF | S | 4-OPh(4-Me) | 61.0-63.0 |
| 315 | 2-Cl, 6-F | S | 4-$CH_2$-Ph(4-i-Pr) | 57.0-65.0 |
| 316 | 2,6-diF | S | 2-F, 4-$CH_2$-Ph(4-Cl) | 1.6166 |

Table 2

| Compound No. | $R_1$, $R_2$ | Z | A | $R_3$, $R_4$ | Physical property value |
|---|---|---|---|---|---|
| 317 | 2-Cl | O | -$CH_2$- | H | 90.0-93.0 |
| 318 | 2,6-diF | O | -$CH_2$- | 4-n-Octyl | 1.5274 |
| 319 | 2-Cl, 6-F | O | -$CH_2$- | 4-n-Octyl | 1.5354 |
| 320 | 2,6-diF | O | -$CH_2$- | 4-Ph | 1.6077 |
| 321 | 2-Cl | S | -$CH_2$- | 3-Cl | 68.5-71.0 |
| 322 | 2-Br | S | -$CH_2$- | H | 91.5-98.0 |
| 323 | 2-OMe | S | -$CH_2$- | 4-Me | 1.6040 |
| 324 | 2-OMe | S | -$CH_2$- | 3-Cl | 1.6249 |

RB

As apparent from the later-described test examples, the compounds of the above formula (I) provided by this invention have an extremely excellent acaricidal effect, and can be used as an acaricide for control of mites which externally parasitize the skins, etc. of animals (livestock, poultry, pets, wild animals, birds, etc.), control of mites which parasitize dwelling environment such as houses and offices, for example house dust mites, etc.

As specific examples of mites and ticks as the target can be mentioned Dermatophagoides farinae, Tyrophagus putrescentiae, Aieuroglyphus ovatus, Tarsonemus granarius, Haplochthonius simplex, Coamochthonius reticulalus, Ornithonyssus bacoti, Macrocheles muscae-domesticae, Rhipicephalus sanguineus, Haemaphysalis flava, Ixodes ovatus, Haemaphysalis longicornis, Haemaphysalis mageshimaensis, etc.

As to the acaricide of this invention, the compounds represented by the formula (I) can be used as such, but they are usually utilized after they are carried on liquid carriers, solid carriers or gaseous carriers, and then emulsifiers, dispersants, wetting agents, propellants, stabilizers, and other various auxiliaries are added according to necessity to make the mixtures into various forms fit for the application areas and the application methods, for example powders, granules, emulsions, oil solutions, aerosols, paints, fumigants, etc.

The liquid carriers include solvents such as xylene, toluene, benzene, cyclohexane, acetone, alcohols, mineral oils, petroleum and water.

The solid carriers include vegetable powders such as soybean meal and wheat flour, and mineral fine powders such as diatom earth, talc, kaolin, bentonite and clay.

The emulsifiers and dispersants include soaps,

polyoxyethylene fatty alcohol ethers, polyoxyethylene fatty acid esters, sulfate esters of higher alcohols, alkylarylsulfonate salts, etc.

The propellants include, for example, liquefied petroleum gases, dimethyl ether, fluorocarbons, etc.

The acaricide of this invention can further contain various insecticides, synergists, pest repellents, antioxidants, stabilizers, bactericides, fungicides, perfumes, etc.

The amount of the effective ingredient in the acaricide of this invention can suitably be determined in accordance with its formulation form, application method and application place, but in the case of use in the form of liquid formulations such as wettable powders and emulsions, the amount can be 0.1 to 50.0% by weight, preferably 1.0 to 20.0% by weight. Further, in the case of use in the form of solids such as powders, the amount can be 0.1 to 50.0% by weight, preferably 2.0 to 20.0% by weight.

The acaricide of this invention can be applied externally to animals to be treated by a means such as application, atomization, pour-on, painting or immersion; or can be applied to places in dwelling environment such as houses and offices, where mites parasitize or may parasitize, for example carpets, tatami mats, places where indoor dust gathers, etc.

Its dose is an acaricidally effective amount, and cannot be sweepingly described because it largely varies depending on its application target, its application place, etc., but its optimal application amount may readily be determined by a person skilled in the art by carrying out a small-scale experiment. If an example is given as a tentative standard, the dose can be 0.01 g or more, preferably in the range of 0.05 to 1.0 g as the amount of the effective ingredient per $m^2$ of the area to be treated.

Example

Formulation examples of compounds of this invention and test examples of acaricides of this invention are shown below. However, carriers, surfactants, etc. to be added in the formulations of the invention are not limited those used in these formulation examples.

Formulation example 1 (powder)

2 parts of a compound of this invention (Compound No. 47), 50 parts of talc and 48 parts of clay are uniformly mixed and ground to give a powder.

Formulation example 2 (wettable agent)

20 parts of a compound of this invention (Compound No. 104), 5 parts of sodium dodecylbenzenesulfonate, 3 parts of polyoxyethylene nonyl phenyl ether, 30 parts of clay and 42 parts of diatom earth are uniformly mixed and ground to give a wettable agent.

Formulation example 3 (emulsion)

78 parts of xylol is added to 10 parts of a compound of this invention (Compound No. 173) and 12 parts of polyoxyethylene nonyl phenyl ether, and the mixture is made into an emulsion where the solutes are uniformly dissolved.

Test example 1

An acetone solution of a test compound was mixed with a feed so that the concentration of the test compound in the resultant treated feed became 1,000 ppm. 10 g of the treated feed was put in a 100-ml vial, Tyrophagus putrescentiae in the number of about 1,000 or Dermatophagoides farinae in the number of about 1,000 was inoculated thereon, and the vial was covered with a sheet of filter paper. Three weeks after the inoculation, the number of the living mites on the feed was counted about each kind of the mites according to the saline floating method. The effect of each of the chemicals tested was evaluated by calculating the proliferation rate according to the following equation; and grading the chemicals into

6 groups, namely grading rank A to a chemical among the above chemicals which gives a proliferation rate of 0 or more and under 5, grading rank B to a chemical which gives a proliferation rate of 5 or more and under 10, grading rank C to a chemical which gives a proliferation rate of 10 or more and under 20, grading rank D to a chemical which gives a proliferation rate of 20 or more and under 50, grading rank E to a chemical which gives a proliferation rate of 50 or more and under 90, and grading rank F to a chemical which gives a proliferation rate of 90 or more. The effect of rank A is the highest and the effect of rank F is the lowest.

Proliferation rate (%) = $C_1/C_2 \times T_2/T_1 \times 100$

$C_1$ : number of mites released in the untreated section

$C_2$ : number of living mites at the time of investigation in the untreated section

$T_1$ : number of mites released in the treated section

$T_2$ : number of living mites at the time of investigation in the treated section

As a result, high activities were observed, namely of B in respect of 1, 2, 4, 5, 7, 9, 10, 27, 37, 38, 134, 135, 136, 144, 228, 248, 310, 317, 320, 321, 322, 323 and 324 among the exemplified compounds (exemplified compound numbers 1 to 324), and of A in respect of all the other exemplified compounds.

Test example 2

Eggs (about 50 eggs) of Haemaphysalis longicornis were placed on a sheet of filter paper treated with an acetone solution of a test compound so that the amount of the test compound became 500 $\mu g/cm^2$, and contacted with the sheet all day and night. The treated eggs were preserved in a 100-ml vial, and 5 weeks later hatch rates were investigated.

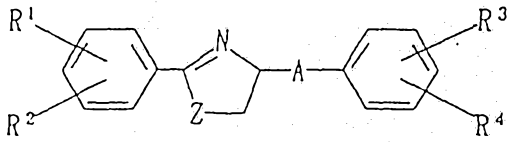
123

$$\text{Ovicidal rate (\%)} = \frac{\text{(Number of eggs used - Number of hatched larvae)}}{\text{Number of eggs used}} \times 100$$

As a result, all of the exemplified compounds (exemplified compound numbers 1 to 324) exhibited a high ovicidal effect of 90 to 100%.

CLAIMS

1. An agent when used to ~~for~~ control ~~of~~ mites and ticks which externally parasitize animals or mites which parasitize dwelling environment, which contains as an effective ingredient an oxazoline or thiazoline compound represented by the following formula

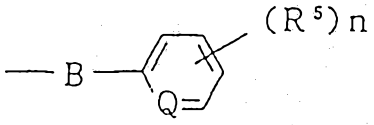


(I)

wherein,

$R^1$ and $R^2$ are the same or different, and each denote a hydrogen atom, a halogen atom, a lower alkyl group, a lower alkoxy group, a nitro group, a trifluoromethyl group or a trifluoromethoxy group,

$R^3$ and $R^4$ each denote a hydrogen atom, a halogen atom, a trifluoromethyl group, a trifluoromethoxy group, an alkyl group having 1 to 20 carbon atoms, an alkoxy group having 1 to 20 carbon atoms, an alkylthio group having 1 to 20 carbon atoms, a lower alkoxy-lower alkyl group, a lower alkoxy-lower alkoxy group, an alkenyloxy group having 3 or more carbon atoms, a lower alkynyloxy group, a tri(lower alkyl)silyl group, a cycloalkyl group optionally substituted with a lower alkyl group or a group



,

B denotes a direct bond, an oxygen atom, a lower alkylene group, a lower alkyleneoxy group, a lower alkylenedioxy group or a di(lower alkyl)silyl group,

Q denotes CH or a nitrogen atom,

n denotes an integer of 0 to 5,

$R^5$ substituent(s), whose number is n, is(are) the same or different, and each denotes a halogen atom, a lower alkyl group, a lower alkoxy group, a lower haloalkyl group, a lower haloalkoxy group or a tri(lower alkyl) silyl group,

A denotes a direct bond or a lower alkylene group, and

Z denotes an oxygen atom or a sulfur atom.

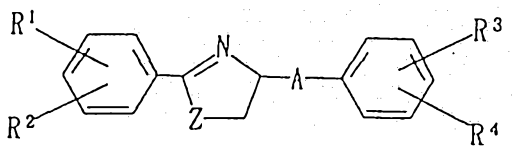
2. A method for control of house dust mites and ticks which includes applying an acaricidally effective amount of a compound of the formula (I) according to claim 1 to animals or dwelling environment.

3. A formulation for control of mites or ticks, substantially as hereinbefore described with reference to any one of Formulation Examples 1 to 3.

4. An agent when used to control mites or ticks, substantially as hereinbefore described with reference to any one of Compound Nos. 1 to 324.

ABSTRACT

An acaricide which contains as an effective ingredient an oxazoline or thiazoline compound represented by the following formula




wherein, $R^1$, $R^2$, $R^3$, $R^4$, Z and A are as defined in the description.

This acaricide has an excellent acaricidal activity against house dust mites and mites and ticks which parasitize pets or wild animals or birds.

RB

# INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP93/00783

A. CLASSIFICATION OF SUBJECT MATTER

Int. Cl$^5$ A01N43/76, A01N43/78

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)

Int. Cl$^5$ A01N43/76, A01N43/78

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 practicable, search terms used)

CAS ONLINE (Note: After structure retrieval by Reg. File, retrieve by CA, File)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category* | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|---|---|---|
| X | JP, A, 3-232867 (Yashima Kagaku Kogyo K.K.), October 16, 1991 (16. 10. 91), Claim 3, lower right column, page 10 to page 24, line 17, upper right column to line 2, lower left column, page 25, line 3, upper left column, page 28 to page 37 & EP, A2, 432661 & AU, A, 9067820 & US, A, 5141948 | 1 |
| X | JP, A, 2-85268 (Yashima Kagaku Kogyo K.K.), March 26, 1990 (26. 03. 90), Claim 3, lower right column, page 6 to line 5, lower right column, page 8, line 20, lower left column, page 11 to page 12 & EP, A, 345775 & US, A, 4977171 | 1 |

☐ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

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

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

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

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

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

"&" document member of the same patent family

| Date of the actual completion of the international search | Date of mailing of the international search report |
|---|---|
| August 17, 1993 (17. 08. 93) | September 7, 1993 (07. 09. 93) |
| Name and mailing address of the ISA/ Japanese Patent Office | Authorized officer |
| Facsimile No. | Telephone No. |

Form PCT/ISA/210 (second sheet) (July 1992)

国際調査報告

国際出願番号 PCT/JP 93/00783

A. 発明の属する分野の分類（国際特許分類（IPC））

Int. Cl[5] A01N43/76, A01N43/78

B. 調査を行った分野

調査を行った最小限資料（国際特許分類（IPC））

Int. Cl[5] A01N43/76, A01N43/78

最小限資料以外の資料で調査を行った分野に含まれるもの

国際調査で使用した電子データベース（データベースの名称、調査に使用した用語）

CAS ONLINE（注釈：Reg. Fileにて構造検索後、CA. Fileにて検索）

C. 関連すると認められる文献

| 引用文献のカテゴリー* | 引用文献名 及び一部の箇所が関連するときは、その関連する箇所の表示 | 関連する請求の範囲の番号 |
|---|---|---|
| X | JP, A, 3-232867（八洲化学工業株式会社）16. 10月. 1991（16. 10. 91）特許請求の範囲第3項第10頁右下欄～第24頁, 第25頁右上欄17行～同頁左下欄2行, 第28頁左上欄3行～第37頁&EP, A2, 432661&AU, A, 9067820&US, A5141948 | 1 |
| X | JP, A, 2-85268（八洲化学工業株式会社）26. 3月. 1990（26. 03. 90） | 1 |

☐ C欄の続きにも文献が列挙されている。

☐ パテントファミリーに関する別紙を参照。

* 引用文献のカテゴリー
「A」特に関連のある文献ではなく、一般的技術水準を示すもの
「E」先行文献ではあるが、国際出願日以後に公表されたもの
「L」優先権主張に疑義を提起する文献又は他の文献の発行日若しくは他の特別な理由を確立するために引用する文献（理由を付す）
「O」口頭による開示、使用、展示等に言及する文献
「P」国際出願日前で、かつ優先権の主張の基礎となる出願の日の後に公表された文献
「T」国際出願日又は優先日後に公表された文献であって出願と矛盾するものではなく、発明の原理又は理論の理解のために引用するもの
「X」特に関連のある文献であって、当該文献のみで発明の新規性又は進歩性がないと考えられるもの
「Y」特に関連のある文献であって、当該文献と他の1以上の文献との、当業者にとって自明である組合せによって進歩性がないと考えられるもの
「&」同一パテントファミリー文献

国際調査を完了した日
17. 08. 93

国際調査報告の発送日
07.09.93

名称及びあて先
日本国特許庁（ISA/JP）
郵便番号100
東京都千代田区霞が関三丁目4番3号

特許庁審査官（権限のある職員）
佐々木 秀次 印
4H 8930

電話番号 03-3581-1101 内線 3443

様式PCT/ISA/210（第2ページ）（1992年7月）

C（続き）. 関連すると認められる文献

| 引用文献のカテゴリー* | 引用文献名 及び一部の箇所が関連するときは、その関連する箇所の表示 | 関連する請求の範囲の番号 |
|---|---|---|
| | 特許請求の範囲第3項，第6頁右下欄～第8頁右下欄5行，第11頁左下欄20行～第12頁&EP，A，345775 &US，A，4977171 | |