The present invention is intended to provide a novel parasiticide, antiprotozoal or other endoparasite control agents which are effective for controlling animal endoparasites that have been impossible to control by conventional ones. Provided is an endoparasite control agent comprising, as an active ingredient, a carboxamide derivative represented by the general formula (I):

![Chemical structure](image)
ENDOPARASITE CONTROL AGENT

FIELD

[0001] The present invention relates an endoparasite control agent comprising a carboxamide derivative or a salt thereof as an active ingredient, and a method for controlling endoparasites, comprising orally or parenterally administering the endoparasite control agent.

BACKGROUND ART

[0002] Certain kinds of carboxamide derivatives have been known to have microbicidal activity (see Patent Literature 1 to 12). However, the literature does not describe that these compounds are effective for the disinfection or control of endoparasites in animals such as mammals and birds. It is also known that certain kinds of carboxamide derivatives are effective against nematodes that may damage agricultural products (see Patent Literature 4 or 5), but there is no specific disclosure as to whether these compounds are effective against endoparasites in animals. Furthermore, there is a report that compounds that inhibit succinate-ubiquinone reductase (mitochondrial complex II), which is one of the respiratory enzymes of endoparasites, can serve as an endoparasite control agent (see Non Patent Literature 1).

[0003] In addition, Patent Literature 13 discloses certain kinds of carboxamide derivatives which are effective against endoparasites. However, there is no disclosure of the effects of the compounds of the present invention against endoparasites.

[0004] Generally, parasitosis is caused by infestation of host animals with parasites such as unicellular protists (protozoa), multicellular helminths and arthropods. It is reported that the incidence of parasitosis in Japan has been remarkably decreased by improvement of environmental hygiene, but on a global scale, particularly in developing countries, parasitosis still widely prevails and causes tremendous damage. In recent years, there have been seen the introduction of infection sources via long- or short-term travelers having visited such countries; parasitic infection due to the consumption of food imports or raw meat and fish meat, which have become more available thanks to the advance in freezing and logistics technologies; and the transmission of parasitosis from pets. Under such circumstances, the incidence of parasitosis is on an upward trend again. Another problem is that immunodeficiency caused by mass administration of immunosuppressants, anticancer drugs, etc. or by AIDS etc. allows usually non-pathogenic or low-pathogenic parasites to express their pathogenicity and to cause opportunistic infection in hosts. Further, parasitosis in domestic animals, such as pigs, horses, cattle, sheep, dogs, cats and domestic fowls, is a universal and serious economic problem. That is, parasitic infection of domestic animals causes anemia, malnutrition, debility, weight loss, and serious damage of intestinal tract walls, tissues and organs, and may result in decline in feed efficiency and productivity, leading to a great economic loss. Therefore, novel parasiticides, antiprotozoals or other endoparasite control agents have always been desired.

CITATION LIST

Patent Literature


Non Patent Literature


SUMMARY OF INVENTION

Technical Problem

[0019] In view of the above-described circumstances, the present invention is mainly intended to provide a novel parasiticide, antiprotozoal or other endoparasite control agents which are effective for controlling animal endoparasites that have been impossible to control by conventional ones.

Solution to Problem

[0020] The present inventors conducted extensive research to solve the above-described problems. As a result, the present inventors found that a carboxamide derivative represented by the general formula (I) of the present invention and a salt thereof are highly effective for controlling endoparasites. The present inventors further conducted a great deal of examination and then completed the present invention. That is, the present invention relates to the following.

[0021] (I) An endoparasite control agent comprising, as an active ingredient, a carboxamide derivative represented by the general formula (I):

\[
\text{R}_1 \text{C}=\text{N}-\text{R}_2 \quad (a) \quad \text{or} \quad \text{R}_1 \text{C}=\text{N}-\text{R}_2 \quad (b)
\]

\[
\text{X}^1 \quad \text{X}^2 \quad \text{O} \quad \text{X}^3 \quad \text{X}^4
\]

wherein

- X^1 and X^2 may be the same or different, and each represent
- (a1) a hydrogen atom;
- (a2) a halogen atom;
- (a3) a C_1 to C_6 alkyl group;
- (a4) a halo (C_1 to C_6) alkyl group;
- (a5) a C_1 to C_6 alkoxy group; or
- (a6) a halo (C_1 to C_6) alkoxy group,
R¹ and R² may be the same or different, and are selected from the group consisting of:

(b1) a hydrogen atom;
(b2) a halogen atom;
(b3) a (C₁-C₆) alkyl group;
(b4) a (C₁-C₆) alkoxy group; and
(b5) a halo (C₁-C₆) alkyl group, or optionally
R¹ and R² together with the carbon atom bound to R¹ and R² form a (C₁-C₆) cycloalkane.

R² and R⁴ may be the same or different, and are selected from the group consisting of:

c1) a hydrogen atom;
c2) a halogen atom;
c3) a (C₁-C₆) alkyl group;
c4) a (C₁-C₆) alkoxy group; and
c5) a halo (C₁-C₆) alkyl group, or optionally
c6) R¹ and R² together with the carbon atom bound to R¹ and R² form a (C₁-C₆) cycloalkane.

Y¹ represents:

d1) a hydrogen atom;
d2) a halogen atom;
d3) a (C₁-C₆) alkyl group;
d4) a halo (C₁-C₆) alkyl group;
d5) a (C₁-C₆) alkoxy group; or
d6) a halo (C₁-C₆) alkoxy group.

Y² and Y⁴ may be the same or different, and each represent:
e1) a hydrogen atom;
e2) a halogen atom;
e3) a (C₁-C₆) alkyl group;
e4) a halo (C₁-C₆) alkyl group;
e5) a (C₁-C₆) alkoxy group; or
e6) a halo (C₁-C₆) alkoxy group, and

Y⁴ represents:
e7) a phenyl group;
e8) a pyridyl group;
e9) a pyrimidyl group having, on the ring, 1 to 4 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₆) alkyl group, (e) a halo (C₁-C₆) alkyl group, (f) a (C₁-C₆) alkoxy group and (g) a halo (C₁-C₆) alkoxy group;
e10) a pyrimidyl group having, on the ring, 1 to 3 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₆) alkyl group, (e) a halo (C₁-C₆) alkyl group, (f) a (C₁-C₆) alkoxy group and (g) a halo (C₁-C₆) alkoxy group;
e11) a pyrazolyloxy group;
e12) a pyrazolyloxy group having, on the ring, 1 to 3 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₆) alkyl group, (e) a halo (C₁-C₆) alkyl group, (f) a (C₁-C₆) alkoxy group and (g) a halo (C₁-C₆) alkoxy group;
e13) a pyrazolyloxy group;
e14) a pyrazolyloxy group having, on the ring, 1 to 3 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₆) alkyl group, (e) a halo (C₁-C₆) alkyl group, (f) a (C₁-C₆) alkoxy group and (g) a halo (C₁-C₆) alkoxy group;
R' and R^2 each represent (b1) a hydrogen atom,

R' and R^2 may be the same or different, and are selected from the group consisting of

(0118) R' and R^2 each represent (b1) a hydrogen atom,

(0119) R' and R^2 may be the same or different, and are selected from the group consisting of

(0120) (c1) a hydrogen atom;

(0121) (c3) a (C_1-C_3) alkyl group; and

(0122) (c4) a (C_1-C_3) alkoxy group, or optionally

(0123) (e6) R' and R^2 together with the carbon atom bound to R' and R^2 form a (C_1-C_3) cycloalkane,

(0124) Y^2 represents (d2) a halogen atom,

(0125) Y^2 and Y^4 each represent (e1) a hydrogen atom, and

(0126) Y^3 is selected from the group consisting of

(0127) (f1) a phenyl group;

(0128) (f2) a phenyl group having, on the ring, 1 to 5 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C_1-C_3) alkyl group, (e) a halo (C_1-C_3) alkyl groups, (f) a (C_1-C_3) alkoxy group and (g) a halo (C_1-C_3) alkoxy group;

(0129) (f3) a phenoxy group;

(0130) (f4) a phenoxy group having, on the ring, 1 to 5 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C_1-C_3) alkyl group, (e) a halo (C_1-C_3) alkyl group, (f) a (C_1-C_3) alkoxy group and (g) a halo (C_1-C_3) alkoxy group;

(0131) (f5) a pyridyl group;

(0132) (f7) a pyridyloxy group;

(0133) (f8) a pyridyloxy group having on the ring, 1 to 4 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C_1-C_3) alkyl group, (e) a halo (C_1-C_3) alkyl group, (f) a (C_1-C_3) alkoxy group and (g) a halo (C_1-C_3) alkoxy group;

(0134) (f10) a pyrimidyl group having, on the ring, 1 to 3 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C_1-C_3) alkyl group, (e) a halo (C_1-C_3) alkyl group, (f) a (C_1-C_3) alkoxy group and (g) a halo (C_1-C_3) alkoxy group;

(0135) (f11) a pyrazoloxy group;

(0136) (f12) a pyrazoloxy group having, on the ring, 1 to 3 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C_1-C_3) alkyl group, (e) a halo (C_1-C_3) alkyl group, (f) a (C_1-C_3) alkoxy group and (g) a halo (C_1-C_3) alkoxy group and (h) a formyl group;

(0137) (f13) a quinoloxyl group;

(0138) (f14) a quinoloxyl group having on the ring, 1 to 3 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C_1-C_3) alkyl group, (e) a halo (C_1-C_3) alkyl group, (f) a (C_1-C_3) alkoxy group and (g) a halo (C_1-C_3) alkoxy group;

(0139) (f15) a quinoloxyl group;

(0140) (f17) a quinoloxyl group;

(0141) (f19) a benzoxazoxy group;

(0142) (f21) a benzothiazoloxy group; and

(0143) (f22) a benzothiazoloxy group having on the ring, 1 to 4 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C_1-C_3) alkyl group, (e) a halo (C_1-C_3) alkyl group, (f) a (C_1-C_3) alkoxy group and (g) a halo (C_1-C_3) alkoxy group.

[0012] [3] The endoparasite control agent according to the above [1], wherein

[0013] A represents a C—H group,

[0014] X' and X^2 may be the same or different, and are selected from the group consisting of

[0015] (a1) a hydrogen atom;

[0016] (a2) a halogen atom; and

[0017] (a4) a halo (C_1-C_3) alkyl group,
a (C₁-C₅) alkyl group, (e) a halo (C₁-C₅) alkyl group, (f) a (C₁-C₅) alkoxy group and (g) a halo (C₁-C₅) alkoxy group.  

[0143] The endoparasite control agent according to the above [1], wherein  

[0144] A represents a nitrogen atom,  

[0145] X¹ and X² may be the same or different, and are selected from the group consisting of  

[0146] (a1) a hydrogen atom;  
[0147] (a2) a halogen atom; and  
[0148] (a4) a halo (C₁-C₅) alkyl group,  
[0149] R¹ and R² each represent (b1) a hydrogen atom,  
[0150] R³ and R⁴ may be the same or different, and are selected from the group consisting of  

[0151] (c1) a hydrogen atom; and  
[0152] (c3) a (C₁-C₅) alkyl group,  
[0153] Y¹ represents  

[0154] (d2) a halogen atom; or  
[0155] (d3) a (C₁-C₅) alkyl group,  

[0156] Y² and Y³ each represent (e1) a hydrogen atom, and  

[0157] Y² is selected from the group consisting of  

[0158] (f1) a phenyl group;  

[0159] (f2) a phenyl group having, on the ring, 1 to 5 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₅) alkyl group, (f) a halo (C₁-C₅) alkyl group, (g) a halo (C₁-C₅) alkoxy group and (h) a halo (C₁-C₅) alkoxy group;  

[0160] (f3) a phenoxy group;  

[0161] (f4) a phenoxy group having, on the ring, 1 to 5 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₅) alkyl group, (f) a halo (C₁-C₅) alkyl group and (g) a halo (C₁-C₅) alkoxy group; and  

[0162] (f5) a pyridyl group.  

[0163] [5] A method for controlling endoparasites, comprising orally or parenterally administering an effective amount of the endoparasite control agent according to any one of the above [1] to [4] to a non-human mammal or a bird.  


[0165] [7] The method according to the above [5], or [6], wherein the non-human mammal is a domestic animal.  

[0166] [8] A method for controlling endoparasites, comprising orally or parenterally administering an effective amount of the endoparasite control agent according to any one of the above [1] to [4] to a human.  


[0168] [10] Use of the carboxamide derivative specified in any one of the above [1] to [4] or a salt thereof for production of endoparasite control agents  


Advantageous Effects of Invention  

[0170] The present invention provides an endoparasite control agent having better performance in the disinfection or control of endoparasites as compared with the conventional art.  

DESCRIPTION OF EMBODIMENTS  

[0171] The definitions in connection with the general formula (I) representing the carboxamide derivative of the present invention are described below.  

[0172] The “halogen atom” refers to a chlorine atom, a bromine atom, an iodine atom or a fluorine atom.  

[0173] The “(C₁-C₅) alkyl group” refers to a straight-chain or branched-chain alkyl group of 1 to 6 carbon atoms, for example, a methyl group, an ethyl group, a n-propyl group, an isopropyl group, a n-butyl group, an isobutyl group, a sec-butyl group, a tert-butyl group, a n-pentyl group, a n-pentyloxy group, a hexyl group or the like.  

[0174] The “(C₁-C₅) alkoxy group” refers to a straight-chain or branched-chain alkoxy group of 1 to 6 carbon atoms, for example, a methoxy group, an ethoxy group, an n-propoxy group, an isopropoxy group, an n-butoxy group, a sec-butoxy group, a tert-butoxy group, a n-pentyloxy group, an isopentyloxy group, a neopentyloxy group, a n-hexyloxy group or the like.  

[0175] The “halo (C₁-C₅) alkyl group” refers to a straight-chain or branched-chain alkyl group of 1 to 6 carbon atoms substituted with one or more halogen atoms which may be the same or different from each other, for example, a trifluoromethyl group, a difluoromethyl group, a perfluoroethyl group, a hexafluoroisopropyl group, a perfluorooisopropyl group, a chloromethyl group, a bromomethyl group, a 1-bromomethyl group, a 2,3-dibromopropyl group or the like.  

[0176] The “halo (C₁-C₅) alkoxy group” refers to a straight-chain or branched-chain alkoxy group of 1 to 6 carbon atoms substituted with one or more halogen atoms which may be the same or different from each other, for example, a trifluoroethoxy group, a difluoroethoxy group, a hexafluoroethoxy group, a perfluoroisopropoxy group, a chloroethoxy group, a bromoethoxy group, a 1-bromoethoxy group, a 2,3-dibromopropoxy group or the like.  

[0177] The “(C₃-C₆) cycloalkane” formed of R³ and R⁴ together with the carbon atom bound to R¹ and R² is, for example, cyclopropane, cyclobutane, cyclopentane, cyclohexane or the like.  

[0178] The “(C₃-C₆) cycloalkane” formed of R³ and R⁴ together with the carbon atom bound to R¹ and R² is, for example, cyclopropane, cyclobutane, cyclopentane, cyclohexane or the like.  

[0179] Examples of the salt of the carboxamide derivative represented by the general formula (I) of the present invention include inorganic acid salts, such as hydrochlorides, sulfates, nitrates and phosphates; organic acid salts, such as acetates, formates, malates, oxalates, methanesulfonates, benzenesulfonates and p-toluenesulfonates; and salts with an inorganic or organic base such as a sodium ion, a potassium ion, a calcium ion and a trimethylammonium ion.  

[0180] As the carboxamide derivative of the present invention, preferred is a compound of the general formula (I) in which  

[0181] A represents a nitrogen atom or a C—H group,  

[0182] X¹ and X² may be the same or different, and each represent  

[0183] (a1) a hydrogen atom;  

[0184] (a2) a halo (C₁-C₅) alkyl group,
R¹ and R² each represent (b1) a hydrogen atom,
R³ and R⁴ may be the same or different, and are
selected from the group consisting of
(c1) a hydrogen atom;
(c3) a (C₃-C₆) alkyl group; and
(c4) a (C₃-C₆) alkoxy group, or optionally
(c6) R³ and R⁴ together with the carbon atom
bound to R³ and R⁴ form a (C₃-C₆) cycloalkane,
Y¹ represents (d2) a halogen atom,
Y² and Y⁴ each represent (e1) a hydrogen atom, and
Y³ is selected from the group consisting of
(f1) a phenyl group;
(f2) a phenyl group having, on the ring, 1 to 5
substituting groups which may be the same or different
and are selected from the group consisting of (a) a halogen
atom, (b) a cyano group, (c) a nitro group, (d) a (C₃-C₆)
alkyl group, (e) a halo (C₃-C₆) alkyl group, (f) a (C₃-C₆)
alkoxy group and (g) a halo (C₃-C₆) alkoxy group;
(f5) a phenyl group;
(f7) a pyridyl group;
(f8) a pyridyl group having, on the ring, 1 to 4
substituting groups which may be the same or different
and are selected from the group consisting of (a) a halogen
atom, (b) a cyano group, (c) a nitro group, (d) a (C₃-C₆)
alkyl group, (e) a halo (C₃-C₆) alkyl group, (f) a (C₃-C₆)
alkoxy group and (g) a halo (C₃-C₆) alkoxy group;
(f10) a pyrimidyl group having, on the ring, 1
to 3 substituting groups which may be the same or different
and are selected from the group consisting of (a) a halogen
atom, (b) a cyano group, (c) a nitro group, (d) a (C₃-C₆)
alkyl group, (e) a halo (C₃-C₆) alkyl group, (f) a (C₃-C₆)
alkoxy group and (g) a halo (C₃-C₆) alkoxy group;
(f11) a pyrazolyl group;
(f12) a pyrazolyl group having, on the ring, 1 to
3 substituting groups which may be the same or different
and are selected from the group consisting of (a) a halogen
atom, (b) a cyano group, (c) a nitro group, (d) a (C₃-C₆)
alkyl group, (e) a halo (C₃-C₆) alkyl group, (f) a (C₃-C₆)
alkoxy group and (g) a halo (C₃-C₆) alkoxy group;
(f15) a quinolyl group;
(f17) a quinoxalyl group;
(f19) a benzoxazolyl group;
(f21) a benzo[b]thiazolyl group; and
(f22) a benzothiazolyl group having, on the ring,
1 to 4 substituting groups which may be the same or different
and are selected from the group consisting of (a) a halogen
atom, (b) a cyano group, (c) a nitro group, (d) a (C₃-C₆)
alkyl group, (e) a halo (C₃-C₆) alkyl group, (f) a (C₃-C₆)
alkoxy group and (g) a halo (C₃-C₆) alkoxy group, or a salt thereof.
As the carboxamide derivative of the present invention,
therefore is preferred is a compound of the general formula
(I) in which

A is a C—H group,
X¹ and X² may be the same or different, and are
selected from the group consisting of
(a1) a hydrogen atom;
(a2) a halogen atom; and
(a4) a halo (C₃-C₆) alkyl group,
(a5) R³ and R⁴ each represent (b1) a hydrogen atom,
(a6) R³ and R⁴ may be the same or different, and are
selected from the group consisting of
(a1) a hydrogen atom;
(a3) a (C₃-C₆) alkyl group; and
(a4) a halo (C₃-C₆) alkyl group,
(a6) R³ and R⁴ may be the same or different, and are
selected from the group consisting of
(a1) a hydrogen atom;
(a3) a (C₃-C₆) alkyl group; and
(a4) a halo (C₃-C₆) alkyl group,
(a6) R³ and R⁴ may be the same or different, and are
selected from the group consisting of
(a1) a hydrogen atom;
(a3) a (C₃-C₆) alkyl group; and
(a4) a halo (C₃-C₆) alkyl group,
(a6) R³ and R⁴ may be the same or different, and are
selected from the group consisting of
(a1) a hydrogen atom;
(a3) a (C₃-C₆) alkyl group; and
(a4) a halo (C₃-C₆) alkyl group,
(a6) R³ and R⁴ may be the same or different, and are
selected from the group consisting of
(a1) a hydrogen atom;
(a3) a (C₃-C₆) alkyl group; and
(a4) a halo (C₃-C₆) alkyl group,
(a6) R³ and R⁴ may be the same or different, and are
selected from the group consisting of
(a1) a hydrogen atom;
(a3) a (C₃-C₆) alkyl group; and
(a4) a halo (C₃-C₆) alkyl group,
(a6) R³ and R⁴ may be the same or different, and are
selected from the group consisting of
(a1) a hydrogen atom;
(a3) a (C₃-C₆) alkyl group; and
(a4) a halo (C₃-C₆) alkyl group,
(a6) R³ and R⁴ may be the same or different, and are
selected from the group consisting of
(a1) a hydrogen atom;
a (C1-C6) alkyl group, (e) a halo (C1-C6) alkyl group, (f) a (C1-C6) alkoxy group, (g) a halo (C1-C6) alkoxy group and (h) a formyl group;

Q1

Q2

Q3

Q4

Q5

Q6

Q7

Q8

Q9

Q10

Also preferred is a compound of the general formula (I) in which

Q1 to Q19 represent the following structures. The black circle in each of the formulae Q1 to Q19 represents a binding site.
TABLE 1

<table>
<thead>
<tr>
<th>Compound No.</th>
<th>X¹</th>
<th>X²</th>
<th>R³</th>
<th>R⁴</th>
<th>Y¹</th>
<th>Y²</th>
<th>Physical property</th>
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<td>CF₃</td>
<td>H</td>
<td>H</td>
<td>Cl</td>
<td>Cl</td>
<td>Q3</td>
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<td>H</td>
<td>Cl</td>
<td>Q4</td>
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<td>H</td>
<td>Cl</td>
<td>Q5</td>
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<td>H</td>
<td>Cl</td>
<td>Q6</td>
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<td>H</td>
<td>Cl</td>
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<td>H</td>
<td>Cl</td>
<td>Q9</td>
<td></td>
<td>450 (M + 1)</td>
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<td>H</td>
<td>Cl</td>
<td>Q10</td>
<td></td>
<td>456 (M + 1)</td>
</tr>
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[0265] The endoparasite control agent of the present invention has excellent anti-endoparasite effect, and exerts appropriate control effect against endoparasites. The animal for which the endoparasite control agent of the present invention can be used is a human and an animal of non-human mammalian or avian species. Exemplary members of the non-human mammalian species include domestic animals, such as pigs, horses, cattle, sheep, goats, rabbits, camels, water buffaloes, deer, mink and chinchillas; pet animals, such as dogs, cats, little birds and monkeys; and experimental animals, such as rats, mice, golden hamsters and guinea pigs. Exemplary members of the avian species include domestic fowls, such as chickens, ducks, gagamo ducks (crossbreeds of wild and domestic ducks), quails, domestic ducks, geese and turkeys. The examples listed above are non-limiting examples.

[0266] Human endoparasites against which the endoparasite control agent of the present invention is effective are roughly classified into protozoa and helminths. Examples of the protozoa include, but are not limited thereto, Rhizopoda, such as Entamoeba histolytica; Mastigophora, such as Leishmania, Trypanosoma and Trichomonas; Sporozoa, such as Plasmodium and Toxoplasma; and Ciliophora, such as Balantidium coli. Examples of the helminths include, but are not limited thereto, Nematoda, such as Ascaris lumbricoides, Anisakis, Toxocara canis, Trichostrongylus spp., Enterobius vermicularis, hookworms (for example, Ancylostoma duodenale, Necator americanus, Ancylostoma braziliense, etc.), Angiostrongylus spp., Gnathostoma spp., filarial worms (for example, Wuchereria bancrofti, Brugia malayi, etc.), Onchocerca volvulus, Dracunculus medinensis, Trichinella spiralis and Strongyloides stercoralis; Acanthocephala, such as Macracanthorhynchus hirudinaceus; Gordiacea, such as Gordioides; Hirudinea, such as Hirudo niphon; Trematoda, such as Schistosoma japonicum, Schistosoma mansoni, Schistosoma haematobium, Clonorchis sinensis, Heterophyes heterophyes, Fasciola spp. and Paragonimus spp., and Cestoda, such as Diphyllolobus latum, Sparganum mansoni, Sparganum proliferum, Diplogonoporus grandis, Taeniidae for example, Taeniarhynchus saginatus, Taenia solium, Echinococcus, etc., Hymenolepis spp., Dipylidium caninum, Mesocestoides lineatus, Bertiella spp. and Nybelinia surmenicola.

[0267] Non-human mammalian or avian endoparasites against which the endoparasite control agent of the present invention is effective are roughly classified into protozoa and helminths. Examples of the protozoa include, but are not limited thereto, Apicomplexa, such as Coccidia (for example, Eimeria, Isospora, Toxoplasma, Neospora, Sarcozystis, Besnoitia, Hammondia, Cryptosporidium, Caryospora, etc.), Haemoparasina (for example, Leucocytozoan, Plasmodium, etc.), Piropicola (for example, Theileria, Anaplasma, Eperythrozoon, Haemobartonella, Ehrlichia, etc.), and others (for example, Hepatozoa, Haemogregarina, etc.); Microspora, such as Encephalitozoon and Nosema; Mastigophora, such as Trypanosomatid (for example, Trypanosoma, Leishmania, etc.), Trichomonadida (for example, Chilomastix, Trichomonas, Monocercomonas, Histomonas, etc.), and Diplomonadida (for example, Hexamita, Giardia, etc.); Sarcodina, such as Amoebida (for example, Entamoeba histolytica (Entamoeba) etc.) and Ciliophora, such as Balantidium coli (Balantidium), Buxtonella and Eudoctophyllum.

[0268] Examples of the helminths include, but are not limited thereto, Nematoda, such as Ascaridida (for example, Ascaris suum (Ascaris), Toxocara canis and Toxocara cati (Toxocara), Toxascaris leonina (Toxascaris), Parascarisi equorum (Parascarisi), Ascaridia galli (Ascaridia), Heterakis gallinarum (Heterakis), Anisakis, etc.), Oxyurida (for example, Oxyuris equi (Oxyuris), Passalurus ambiguus (Passalurus, etc.), Strongyloidea (for example, Strongylus vulgaris (Strongylus), Haemonchus contortus (Haemonchus), Ostertagia ostertagi (Ostertagia), Trichostrongylus colubriformis (Trichostrongylus), Cooperia punctata (Coo-
peria), Nematodirus filicollis (Nematodirus), Hysterorhynchus rubidus (Hysterorhynchus), Oesophagostomum radiatum (Oesophagostomum), Chabertia ovina (Chabertia), Ancylostoma caninum (Ancylostoma), Uncinaria stenocephala (Uncinaria), Necator americanus (Necator), Bunostomum phlebotomum (Bunostomum), Dictyocaulus viviparus (Dictyocaulus), Metastrongylus elongatus (Metastrongylus), Filaroides nihonkaiensis (Filaroides), Aeluromonadida abstrusus (Aeluromonadida), Angiostrongylus cantonensis (Angiostrongylus), Syngamus trachea (Syngamus), Stephanurus dentatus (Stephanurus), etc.), Rhabditida (for example, Strongyloides stercoralis (Strongyloides), Mecronema, etc.), Spirurida (for example, Helodermata rhodesi (Helodermata), Oxystrongylus, Spirocerca lupi (Spirocerca), Gongoloma pulchrum (Gongoloma), Dracunculidae (Dracunculidae), Habronema microstoma (Habronema), Ascarops strongylina (Ascarops), Physalotespraepetialis (Physalotespraepetialis), Gnathostoma spinigerum (Gnathostoma), etc.), Filaridida (for example, Dirofilaria immitis (Dirofilaria), Setaria equina (Setaria), Dipetalonema, Paramphistomum bovis (Paramphistomum), Onchocerca cervicalis (Onchocerca), etc.), and Enoploida (for example, Parareporthia (Parareporthia), Stephanofilaria ovata (Stephanofilaria), Trichuris vulpis (Trichuris), Capillaria bovis (Capillaria), Trichosomoides crassicauda (Trichosomoides), Trichinella spiralis (Trichinella), Dicrofilaria renale (Dicrofilaria), etc.); Trematoda, such as Fascioloids (for example, Fasciola hepatica (Fasciola), Fasciolopsiasis buski (Fasciolopsiasis), etc.), Paramphistomatidae (for example, Heterophyes heterophyes (Heterophyes), Heterophyidae (Heterophyidae), Echinostomatidae (Echinostomatidae), Trichostrongylidae (Trichostrongylidae), etc.); Diplotrema (for example, Eurytrema pancreaticum (Eurytrema), Dicrocoelium dendriticum (Dicrocoelium), etc.), Diplostomata (for example, Pharyngostomum cardatum (Pharyngostomum), Alaria, etc.), Echinostomatidae (for example, Echinostoma boreum (Echinostoma), Echinostomatidae (Echinostomatidae), Troglotrema (Troglotrema), etc.), Trichinellidae (Trichinellidae), etc.), Prostomodons (for example, Prostomodons, etc.), and Schistosomatidae (for example, Schistosoma japonicum (Schistosoma), etc.); Cestoda, such as Diphyllobothrium nihonkaiense (Diphyllobothrium), Spirometra erinacea (Spirometra), etc.), and Cyclophyllidea (for example, Anoplocephala perfoliata (Anoplocephala), Paranoplocephala maniliana (Paranoplocephala), Moniezia benedeni (Moniezia), Dipylidium caninum (Dipylidium), Mesocostoides lineatus (Mesocostoides), Taenia pisiformis and Taenia hydatigena (Taenia), Hydatigera taeniaeformis (Hydatigera), Multiceps multiceps (Multiceps), Echinococcus granulosus (Echinococcus), Echinococcus multilocularis (Echinococcus), Taenia solium (Taenia), Taeniarhynchus saginatus (Taeniarhynchus), Hymenolepis diminuta (Hymenolepis), Vampirolegia nana (Vampirolegia), Raillietina tetragona (Raillietina), Amoebotaenia sphenoides (Amoebotaenia), etc.); Acanthocephala, such as Macracanthorhynchus hirudinaceus (Macracanthorhynchus) and Moniliformis moniliformis (Moniliformis); Linguatulida, such as Linguatula serrata (Linguatula); and other various parasites.

[0269] In different designations, examples of the helminths include, but are not limited to, Nematoda, such as Enoploida (for example, Trichuris spp., Capillaria spp., Trichinella spp., etc.), Rhabditida (for example, Microema spp., Strongyloides spp., etc.), Strongyloidea (for example, Strongyulus spp., Triodontophorus spp., Oesophagodontus spp., Trichonema spp., Gylacophylax spp., Cylindropharynx spp., Poletostomum spp., Cyclorchocera spp., Cyllosthephus spp., Oesophagostomum spp., Chabertia spp., Stephanurus spp., Ankylostoma spp., Uncinaria spp., Bunostomum spp., Globoccephalus spp., Syngamus spp., Gnathostoma spp., Metastrongylus spp., Dictyocaulus spp., Muellerius spp., Prostrongylus spp., Neostongylus spp., Cystocaulus spp., Pneumostrongylus spp., Spicocaulus spp., Elaphrostrongylus spp., Parelaophrostrongylus spp., Crenosoma spp., Paracrenosoma spp., Angiostrongylus spp., Aeluromonadida abstrusus spp., Alaria spp., Setaria equina spp., Dipetalonema spp., Paramphistomum bovis spp., Onchocerca cervicalis spp., etc.), and Enoploida (for example, Parareporthia spp., Stephanofilaria ovata spp., Trichuris vulpis spp., Capillaria bovis spp., Trichosomoides crassicauda spp., Trichinella spiralis spp., Dicrofilaria renale spp., etc.); Trematoda, such as Fasciolopsiasis buski (Fasciolopsiasis), etc.), Paramphistomatidae (for example, Heterophyes heterophyes spp., Heterophyidae spp., Echinostomatidae spp., Trichinellidae spp., etc.), Prostomodons (for example, Prostomodons spp., etc.), and Schistosomatidae (for example, Schistosoma japonicum spp., Schistosoma spp., etc.); Cestoda, such as Diphyllobothrium nihonkaiense (Diphyllobothrium), Spirometra erinacea spp., etc.), and Cyclophyllidea (for example, Anoplocephala perfoliata spp., Paranoplocephala maniliana spp., Moniezia benedeni spp., Dipylidium caninum spp., Mesocostoides lineatus spp., Taenia pisiformis spp., and Taenia hydatigena spp., Hydatigera taeniaeformis spp., Multiceps multiceps spp., Echinococcus granulosus spp., Echinococcus multilocularis spp., Taenia solium spp., Taeniarhynchus saginatus spp., Hymenolepis diminuta spp., Vampirolegia nana spp., Raillietina tetragona spp., Amoebotaenia sphenoides spp., etc.); Acanthocephala, such as Macracanthorhynchus hirudinaceus spp., Moniliformis moniliformis spp., Linguatulida, such as Linguatula serrata spp., etc., and other various parasites.


[0271] The endoparasite control agent of the present invention is effective for controlling not only parasites that live in the body of an intermediate or final host, but also parasites that live in the body of a reservoir host. The
compound represented by the general formula (I) of the present invention is effective for controlling parasites at their every developmental stage. For example, in the case of protozoa, the compound is effective against their cysts, precystic forms and trophozoites; schizonts and ameboid forms at the asexual stage; gametocytes, gametes and zygotes at the sexual stage; sporozoites; etc. In the case of nematodes, the compound is effective against their eggs, larvae and adults. The compound of the present invention is capable of not only disinfecting parasites in the living body, but also even preventing parasitic infection by application to the environment as a route of infection. For example, soil-borne infection, i.e., infection from soil of crop fields and parks; percutaneous infection from water in rivers, lakes, marshes, paddy fields, etc.; oral infection from feces of animals such as dogs and cats; oral infection from saltwater fish, freshwater fish, crustaceans, shellfish, raw meat of domestic animals, etc.; infection from mosquitoes, gaddflies, flies, cockroaches, mites and ticks, fleas, lice, assassin bugs, trombiculid mites, etc.; and the like can be prevented from occurring.

[0272] The endoparasite control agent of the present invention can be administered as a pharmaceutical for treatment or prevention of parasitosis in humans and animals of non-human mammalian or avian species. The mode of administration may be oral or parenteral administration. In the case of oral administration, the endoparasite control agent of the present invention can be administered, for example, as a capsule, a tablet, a pill, a powder, a granule, a fine granule, a powder, a syrup, an enteric-coated preparation, a suspension or a paste, or after blended in a liquid drink or feed for animals. In the case of parenteral administration, the endoparasite control agent of the present invention can be administered, for example, as an injection, an infusion, a suppository, an emulsion, a suspension, a drop, an ointment, a cream, a solution, a lotion, a spray, an aerosol, a cataplasm or a tape, or in a dosage form which allows sustained mucosal or percutaneous absorption.

[0273] In the case where the endoparasite control agent of the present invention is used as a pharmaceutical for humans and animals of non-human mammalian or avian species, the optimum amount (effective amount) of the active ingredient varies with the purpose (treatment or prevention), the kind of infectious parasite, the type and severity of infection, the dosage form, etc., but in general, in the oral daily dose is in the range of about 0.0001 to 10000 mg/kg body weight and the parenteral daily dose is in the range of about 0.0001 to 10000 mg/kg body weight. Such a dose may be given as a single dose or divided into multiple doses.

[0274] The concentration of the active ingredient in the endoparasite control agent of the present invention is generally about 0.001 to 100% by mass, preferably about 0.001 to 99% by mass, and more preferably about 0.005 to 20% by mass. The endoparasite control agent of the present invention may be a composition that can be directly administered, or a highly concentrated composition that needs to be diluted to a suitable concentration before use.

[0275] The endoparasite control agent of the present invention can be used in combination with any existing endoparasite control agent for the purpose of reinforcing or complementing its effect. In such a combined use, two or more active ingredients may be mixed and formulated into a single preparation before administration, or two or more different preparations may be administered separately.

EXAMPLES

[0276] Next, the present invention will be illustrated in detail by formulation examples and test example of the endoparasite control agent of the present invention, but the scope of the present invention is not limited by the following formulation examples and test example.

[0277] In Examples, “part” means a part by mass.

Formulation Example 1

Emulsion

[0278] Ten parts of a carboxamide derivative represented by the general formula (I) of the present invention, 6 parts of Sorpol 355S (surfactant, manufactured by Toho Chemical Industry), and 84 parts of Solvesso 150 (manufactured by Exxon) are uniformly mixed with stirring to give an emulsion.

Formulation Example 2

Ointment

[0279] One part of a carboxamide derivative represented by the general formula (I) of the present invention, 50 parts of white beeswax, and 43 parts of white petrolatum are well mixed to give an ointment.

Formulation Example 3

Tablet

[0280] Two parts of a carboxamide derivative represented by the general formula (I) of the present invention, 10 parts of vegetable oil (olive oil), 3 parts of crystalline cellulose, 20 parts of white carbon, and 65 parts of kaolin are well mixed and compressed into a tablet.

Formulation Example 4

Injection

[0281] Ten parts of a carboxamide derivative represented by the general formula (I) of the present invention, 10 parts of propylene glycol for use as a food additive, and 80 parts of vegetable oil (corn oil) are mixed to give an injection.

Formulation Example 5

Solution

[0282] Five parts of a carboxamide derivative represented by the general formula (I) of the present invention, 20 parts of a surfactant for ordinary use as a dissolution or suspension aid, and 75 parts of ion exchanged water are well mixed to give a solution.

Test Example

Test for Effect on Motion of Larvae of 

Haemonchus Nematode (Haemonchus contortus)

[0283] The compound of the present invention was prepared as solutions in 100% DMSO at the final concentrations of 50 ppm, 5 ppm, 0.5 ppm, 0.05 ppm and 0.005 ppm. DMSO stands for dimethyl sulfoxide.

[0284] A larval suspension containing 1st-stage larvae of Haemonchus contortus harvested by the Baermann tech-
nique (for example, see K. Nakazono et al., “Inclination of Baermann funnel wall and efficiency of nematode extraction” Proc. Assoc. Pl. Prot. Kyushu 53: 126-130 (1987)) was placed at a density of 20 larvae per well in a test plate, and 0.5 μl/well of the test solution containing the compound of the present invention diluted to a predetermined concentration was added to the test plate. The plate was kept under the conditions of 27°C/95% RH for 4 days. In the test, ivermectin was used for the positive control and DMSO was used for the negative control.

R² and R³ may be the same or different, and are selected from the group consisting of

(b1) a hydrogen atom;
(b2) a halogen atom;
(b3) a (C₁-C₆) alkyl group;
(b4) a (C₁-C₆) alkoxy group; and
(b5) a halo (C₁-C₆) alkoxy group, or optionally
(b6) R¹ and R² together with the carbon atom bound to R¹ and R² form a (C₅-C₆) cycloalkane,
R² and R³ may be the same or different, and are selected from the group consisting of
(c1) a hydrogen atom;
(c2) a halogen atom;
(c3) a (C₁-C₆) alkyl group;
(c4) a (C₁-C₆) alkoxy group; and
(c5) a halo (C₁-C₆) alkoxy group, or optionally
(c6) R² and R³ together with the carbon atom bound to R² and R³ form a (C₅-C₆) cycloalkane,
Y² represents
(d1) a hydrogen atom;
(d2) a halogen atom;
(d3) a (C₁-C₆) alkyl group;
(d4) a halo (C₁-C₆) alkoxy group;
(d5) a (C₁-C₆) alkoxy group; or
(d6) a halo (C₁-C₆) alkoxy group,
Y² and Y³ may be the same or different, and each represent
(e1) a hydrogen atom;
(e2) a halogen atom;
(e3) a (C₁-C₆) alkyl group;
(e4) a halo (C₁-C₆) alkoxy group;
(e5) a (C₁-C₆) alkoxy group; or
(e6) a halo (C₁-C₆) alkoxy group, and
Y² represents
(f1) a phenyl group;
(f2) a phenyl group having, on the ring, 1 to 5 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₆) alkyl group, (e) a halo (C₁-C₆) alkyl group, (f) a (C₁-C₆) alkoxy group and (g) a halo (C₁-C₆) alkoxy group;
(f3) a phenoxy group;
(f4) a phenoxy group having, on the ring, 1 to 5 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₆) alkyl group, (f) a (C₁-C₆) alkoxy group and (g) a halo (C₁-C₆) alkoxy group;
(f5) a pyridyl group;
(f6) a pyridyl group having, on the ring, 1 to 4 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₆) alkyl group, (e) a halo (C₁-C₆) alkyl group, (f) a (C₁-C₆) alkoxy group and (g) a halo (C₁-C₆) alkoxy group;
(f7) a pyridyloxy group;
(f8) a pyridyloxy group having, on the ring, 1 to 4 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₆) alkyl group, (e) a halo (C₁-C₆) alkyl group, (f) a (C₁-C₆) alkoxy group and (g) a halo (C₁-C₆) alkoxy group;
(f9) a pyrimidyl group;
(f10) a pyrimidyl group having, on the ring, 1 to 3 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₆) alkyl
group, (e) a halo (C₁₋₃-C₆) alkyl group, (f) a (C₁₋₃-C₆) alkoxy group and (g) a halo (C₁₋₃-C₆) alkoxy group;

(f11) a pyrazoloxy group;

(f12) a pyrazoloxy group having, on the ring, 1 to 3 substituting groups which may be the same or different and are selected from the group consisting of (a) a nitro group, (b) a cyano group, (c) a nitrile group, (d) a (C₁₋₃-C₆) alkyl group, (e) a halo (C₁₋₃-C₆) alkyl group, (f) a (C₁₋₃-C₆) alkoxy group and (g) a halo (C₁₋₃-C₆) alkoxy group;

(f13) a pyrazoloxy group;

(f14) a pyrazoloxy group having, on the ring, 1 to 3 substituting groups which may be the same or different and are selected from the group consisting of (a) a halo (C₁₋₃-C₆) alkyl group, (b) a cyano group, (c) a nitrile group, (d) a (C₁₋₃-C₆) alkyl group, (e) a halo (C₁₋₃-C₆) alkyl group, (f) a (C₁₋₃-C₆) alkoxy group and (g) a halo (C₁₋₃-C₆) alkoxy group;

(f15) a quinoloxyl group;

(f16) a quinoloxyl group having, on the ring, 1 to 6 substituting groups which may be the same or different and are selected from the group consisting of (a) a halo (C₁₋₃-C₆) alkyl group, (b) a cyano group, (c) a nitrile group, (d) a (C₁₋₃-C₆) alkyl group, (e) a halo (C₁₋₃-C₆) alkyl group, (f) a (C₁₋₃-C₆) alkoxy group and (g) a halo (C₁₋₃-C₆) alkoxy group and (h) a formyl group;

(f17) a quinoloxyl group;

(f18) a quinoloxyl group having, on the ring, 1 to 5 substituting groups which may be the same or different and are selected from the group consisting of (a) a halo (C₁₋₃-C₆) alkyl group, (b) a cyano group, (c) a nitrile group, (d) a (C₁₋₃-C₆) alkyl group, (e) a halo (C₁₋₃-C₆) alkyl group, (f) a (C₁₋₃-C₆) alkoxy group and (g) a halo (C₁₋₃-C₆) alkoxy group;

(f19) a quinoloxyl group;

(f20) a benzoxazoloxyl group having, on the ring, 1 to 4 substituting groups which may be the same or different and are selected from the group consisting of (a) a halo (C₁₋₃-C₆) alkyl group, (b) a cyano group, (c) a nitrile group, (d) a (C₁₋₃-C₆) alkyl group, (e) a halo (C₁₋₃-C₆) alkyl group, (f) a (C₁₋₃-C₆) alkoxy group and (g) a halo (C₁₋₃-C₆) alkoxy group;

(f21) a benzoxazoloxyl group;

(f22) a benzoxazoloxyl group having, on the ring, 1 to 4 substituting groups which may be the same or different and are selected from the group consisting of (a) a halo (C₁₋₃-C₆) alkyl group, (b) a cyano group, (c) a nitrile group, (d) a (C₁₋₃-C₆) alkyl group, (e) a halo (C₁₋₃-C₆) alkyl group, (f) a (C₁₋₃-C₆) alkoxy group and (g) a halo (C₁₋₃-C₆) alkoxy group; or a salt thereof.

13. The method according to claim 12, wherein the endoparasite control agent is orally or parenterally administered to a non-human mammal.

14. The method according to claim 13, wherein the non-human mammal is a domestic animal.

15. The method according to claim 12, wherein the mammal is a human.

16. The method according to claim 12, wherein the mammal is a non-human mammal.

17. The method according to claim 12, wherein

A represents a nitrogen atom or a C—H group, X¹ and X² may be the same or different, and each represent

(a1) a hydrogen atom;
(a2) a halo (C₁₋₃-C₆) alkyl group;
(a3) a (C₁₋₃-C₆) alkoxy group, and
R¹ and R² each represent (b1) a hydrogen atom, R¹ and R² may be the same or different, and are selected from the group consisting of

(c1) a halo (C₁₋₃-C₆) alkyl group;
(c2) a (C₁₋₃-C₆) alkoxy group, and
R³ and R⁴ together with the carbon atom bound to R³ and R⁴ form a (C₅₋₆-C₆) cycloalkane,

X² and X⁴ each represent (e1) a hydrogen atom, and
X³ is selected from the group consisting of

(f1) a phenyl group;
(f2) a phenyl group having, on the ring, 1 to 5 substituting groups which may be the same or different and are selected from the group consisting of (a) a halo (C₁₋₃-C₆) alkyl group, (b) a cyano group, (c) a nitrile group, (d) a (C₁₋₃-C₆) alkoxy group and (g) a halo (C₁₋₃-C₆) alkoxy group;
(f3) a phenoxy group;
(f4) a phenoxy group having, on the ring, 1 to 5 substituting groups which may be the same or different and are selected from the group consisting of (a) a halo (C₁₋₃-C₆) alkyl group, (b) a cyano group, (c) a nitrile group, (d) a (C₁₋₃-C₆) alkoxy group, (f) a (C₁₋₃-C₆) alkoxy group and (g) a halo (C₁₋₃-C₆) alkoxy group;
(f5) a pyridyl group;
(f6) a pyridyl group having, on the ring, 1 to 4 substituting groups which may be the same or different and are selected from the group consisting of (a) a halo (C₁₋₃-C₆) alkyl group, (b) a cyano group, (c) a nitrile group, (d) a (C₁₋₃-C₆) alkoxy group, (e) a halo (C₁₋₃-C₆) alkoxy group and (g) a halo (C₁₋₃-C₆) alkoxy group;
(f7) a pyridyl group having, on the ring, 1 to 3 substituting groups which may be the same or different and are selected from the group consisting of (a) a halo (C₁₋₃-C₆) alkyl group, (b) a cyano group, (c) a nitrile group, (d) a (C₁₋₃-C₆) alkoxy group, (f) a (C₁₋₃-C₆) alkoxy group and (g) a halo (C₁₋₃-C₆) alkoxy group;
(f8) a pyridyl group; and
(f9) a pyridyl group having, on the ring, 1 to 3 substituting groups which may be the same or different and are selected from the group consisting of (a) a halo (C₁₋₃-C₆) alkyl group, (b) a cyano group, (c) a nitrile group, (d) a (C₁₋₃-C₆) alkoxy group, (e) a halo (C₁₋₃-C₆) alkoxy group and (g) a halo (C₁₋₃-C₆) alkoxy group;
(f10) a pyrimidyl group having, on the ring, 1 to 3 substituting groups which may be the same or different and are selected from the group consisting of (a) a halo (C₁₋₃-C₆) alkyl group, (b) a cyano group, (c) a nitrile group, (d) a (C₁₋₃-C₆) alkoxy group, (e) a halo (C₁₋₃-C₆) alkoxy group and (g) a halo (C₁₋₃-C₆) alkoxy group;
(f11) a pyrazoloxy group;
(f12) a pyrazoloxy group having, on the ring, 1 to 3 substituting groups which may be the same or different and are selected from the group consisting of (a) a halo (C₁₋₃-C₆) alkyl group, (b) a cyano group, (c) a nitrile group, (d) a (C₁₋₃-C₆) alkoxy group, (e) a halo (C₁₋₃-C₆) alkoxy group and (g) a halo (C₁₋₃-C₆) alkoxy group; and
(f13) a pyrazoloxy group having, on the ring, 1 to 4 substituting groups which may be the same or different and are selected from the group consisting of (a) a halo (C₁₋₃-C₆) alkyl group, (b) a cyano group, (c) a nitrile group, (d) a (C₁₋₃-C₆) alkoxy group, (e) a halo (C₁₋₃-C₆) alkoxy group and (g) a halo (C₁₋₃-C₆) alkoxy group.

18. The method according to claim 12, wherein

A represents a C—H group, X¹ and X² may be the same or different, and are selected from the group consisting of

(a1) a hydrogen atom;
(a2) a halo (C₁₋₃-C₆) alkyl group,
R¹ and R² each represent (b1) a hydrogen atom, R³ and R⁴ may be the same or different, and are selected from the group consisting of:

(c1) a hydrogen atom;
(c3) a (C₁-C₅) alkyl group; and
(c4) a (C₁-C₅) alkoxy group, or optionally
(c6) R² and R⁴ together with the carbon atom bound to R³ and R⁴ form a (C₃-C₅) cycloalkane,
Y² and Y⁴ each represent (c1) a hydrogen atom, and Y³ is selected from the group consisting of:
(I1) a phenyl group;
(I2) a phenyl group having, on the ring, 1 to 5 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₅) alkyl group, (e) a halo (C₁-C₅) alkyl group, (f) a (C₁-C₅) alkoxy group and (g) a halo (C₁-C₅) alkoxy group;
(I3) a phenoxy group;
(I4) a phenoxy group having, on the ring, 1 to 5 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₅) alkyl group, (f) a (C₁-C₅) alkoxy group and (g) a halo (C₁-C₅) alkoxy group;
(I5) a pyridyl group;
(I6) a pyridyloxy group; and
(I7) a pyridyloxy group having, on the ring, 1 to 4 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₅) alkyl group, (f) a (C₁-C₅) alkoxy group and (g) a halo (C₁-C₅) alkoxy group;
(I8) a pyrimidyl group;
(I9) a pyrimidyloxy group having, on the ring, 1 to 3 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₅) alkyl group, (f) a (C₁-C₅) alkoxy group and (g) a halo (C₁-C₅) alkoxy group;
(I10) a pyrazyl group;
(I11) a pyrazyloxy group having, on the ring, 1 to 3 substituting groups which may be the same or different and are selected from the group consisting of (a) a halogen atom, (b) a cyano group, (c) a nitro group, (d) a (C₁-C₅) alkyl group, (f) a (C₁-C₅) alkoxy group and (g) a halo (C₁-C₅) alkoxy group;