



(86) **Date de dépôt PCT/PCT Filing Date:** 2006/02/14
(87) **Date publication PCT/PCT Publication Date:** 2006/08/24
(45) **Date de délivrance/Issue Date:** 2014/11/18
(85) **Entrée phase nationale/National Entry:** 2007/05/14
(86) **N° demande PCT/PCT Application No.:** EP 2006/001320
(87) **N° publication PCT/PCT Publication No.:** 2006/087162
(30) **Priorité/Priority:** 2005/02/19 (EP05003623.5)

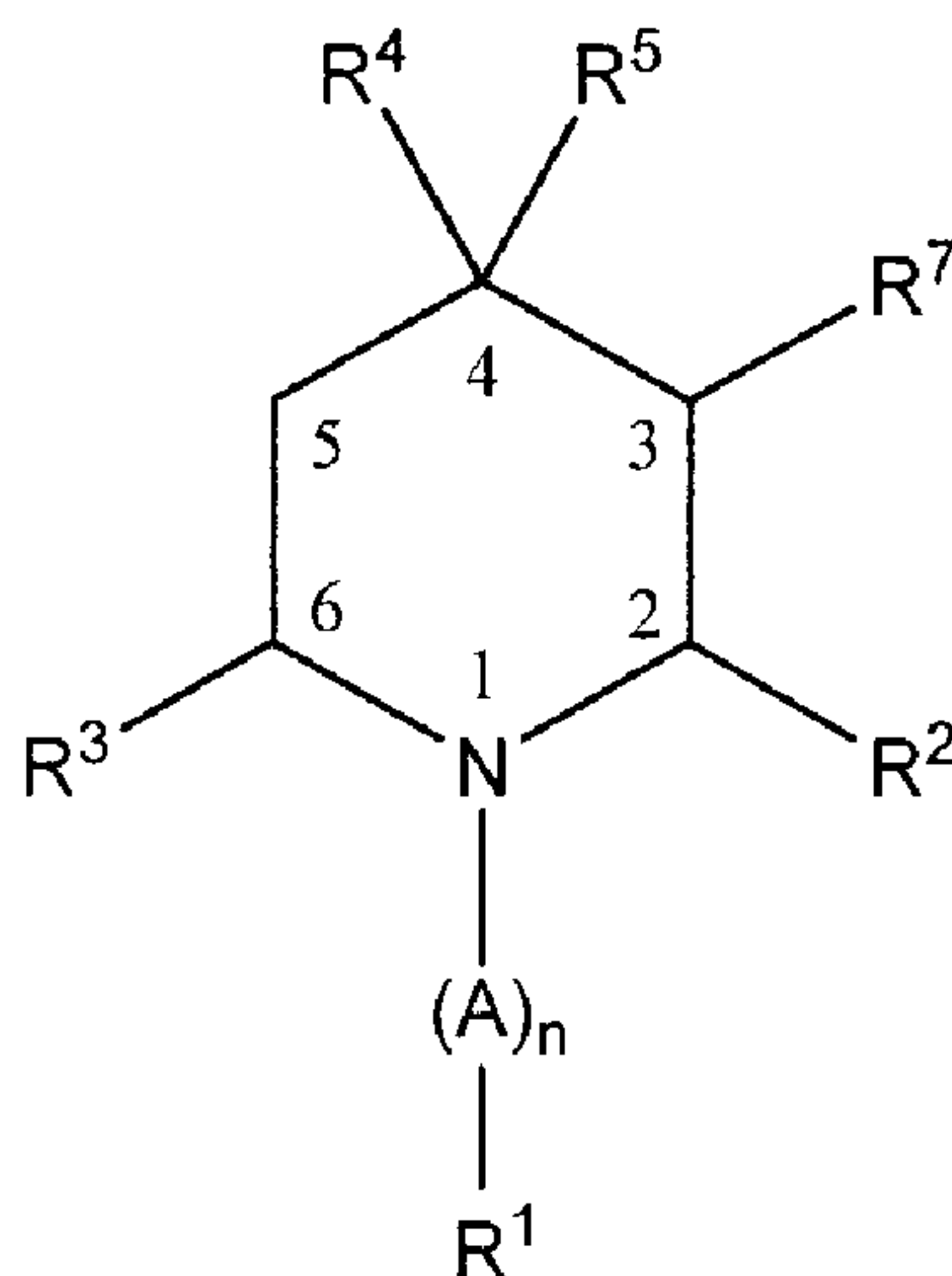
(51) **Cl.Int./Int.Cl. A01N 43/40** (2006.01),
A01N 43/42 (2006.01), **A01N 43/54** (2006.01),
A01N 43/58 (2006.01), **A01N 43/60** (2006.01),
A01N 43/90 (2006.01)

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(54) **Titre : PIPERIDINES SUBSTITUEES ANTIPARASITAIRES**
(54) **Title: PESTICIDAL SUBSTITUTED PIPERIDINES**



(I)

(57) **Abrégé/Abstract:**

The invention relates to the use of piperidine derivatives encompassed from the general formula (I) for the control of pests, including arthropods and helminths, and a method for the control of pests.



(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
24 August 2006 (24.08.2006)

PCT

(10) International Publication Number
WO 2006/087162 A1

(51) International Patent Classification:

A01N 43/40 (2006.01) *A01N 43/58* (2006.01)
A01N 43/42 (2006.01) *A01N 43/60* (2006.01)
A01N 43/54 (2006.01) *A01N 43/90* (2006.01)

(21) International Application Number:

PCT/EP2006/001320

(22) International Filing Date:

14 February 2006 (14.02.2006)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

05003623.5 19 February 2005 (19.02.2005) EP

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(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

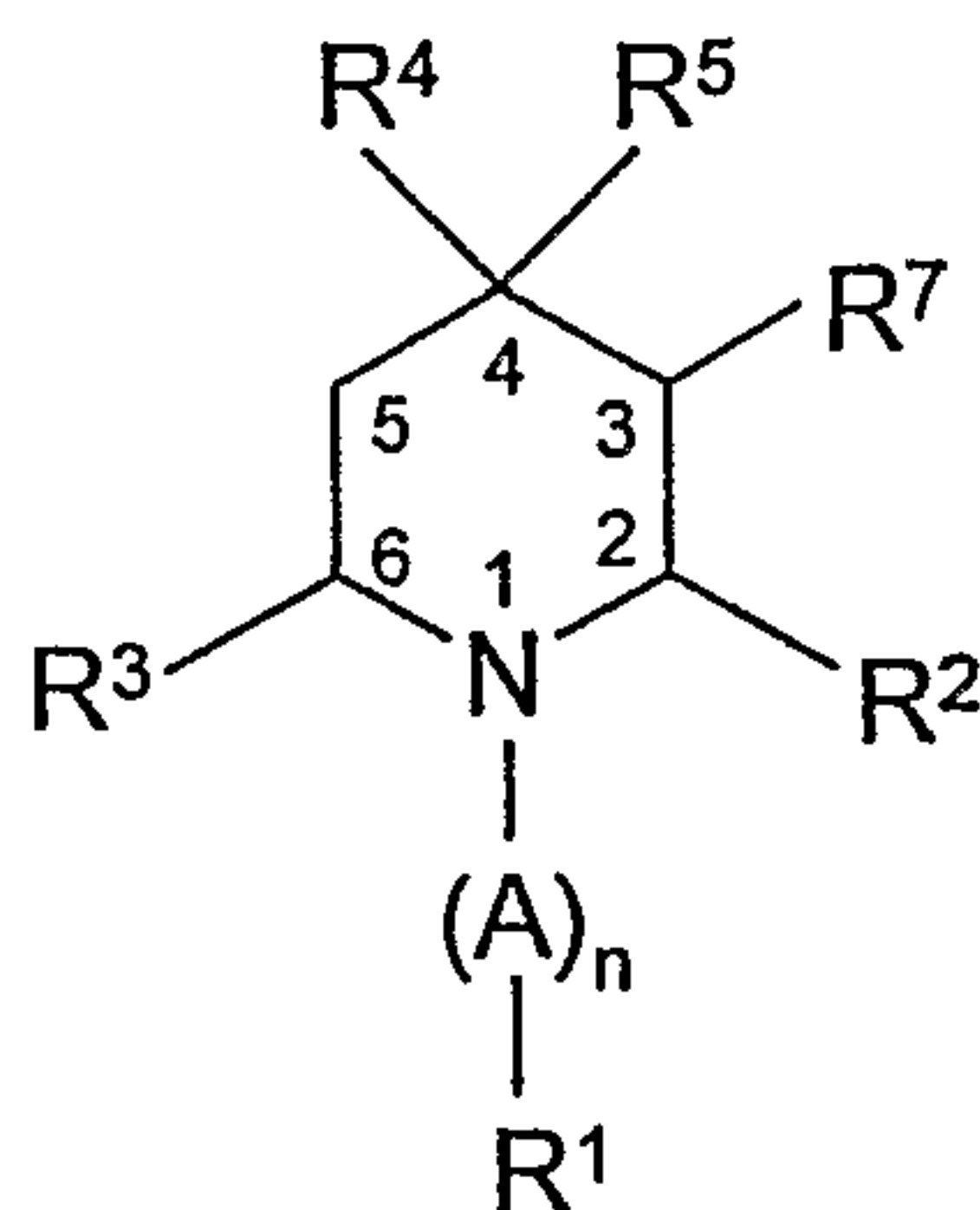
— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PESTICIDAL SUBSTITUTED PIPERIDINES

(I)

(57) Abstract: The invention relates to the use of piperidine derivatives encompassed from the general formula (I) for the control of pests, including arthropods and helminths, and a method for the control of pests.



WO 2006/087162 A1

Pesticidal substituted piperidines

Description

5 The invention relates to the use of piperidine derivatives for the control of pests, including arthropods and helminths, and a method for the control of pests.

Some of the concerned piperidine derivatives are known as synthesis intermediates from

Faul, Margaret M.; Kobierski, Michael E.; Kopach, Michael E., Journal of Organic Chemistry (2003), 68(14), 5739-5741. CODEN: JOCEAH ISSN: 0022-3263;

Gooding, Owen W.; Beard, Colin C.; HTCYAM; Heterocycles; EN; 32; 9; 1991; 1777-1780;

10 Massa, S.; Mai, A.; Artico, M, Synthetic Communications (1990), 20(22), 3537-45;

Some piperidine derivatives are known synthesis intermediates for the preparation of herbicidal isonipecotin acid derivatives (DE 25 10 831) or for tert-amino-substituted 1,5-imino-cycloalkanes (US 2,836,598, US 2,845,427). In addition several substituted piperidines are already known as compounds with pharmacological properties from CH 469 736, WO 04/026873 and from DE 29 19
15 553.

The control of insects, arachnids and helminths with some substituted piperidine compounds has been described in WO 9637494 A1 (3-aryl-3-cyano-8-azabicyclo[3.2.1]octanes), GB 2319524 A1 (nortropane derivatives), DE 10 2004 010 086 A1 (substituted 4-methylene-piperidine derivates). However, the described pesticidal substituted piperidines are not structurally related to the
20 piperidine derivatives described as pesticides in the present application.

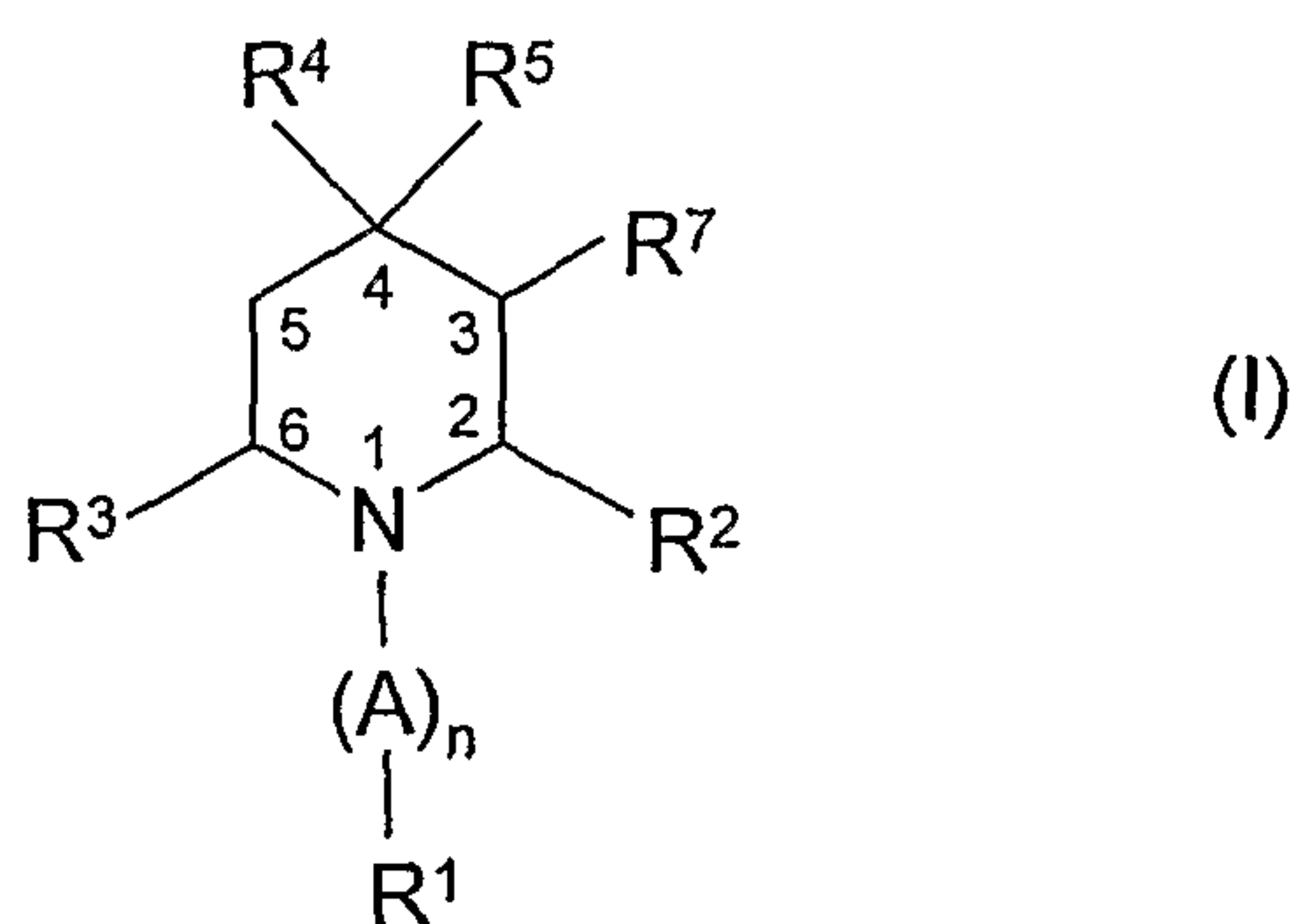
Since modern pesticides must meet a wide range of demands, for example regarding level, duration and spectrum of action, use spectrum, toxicity, combination with other active substances, combination with formulation auxiliaries or synthesis, and since the occurrence of resistances is possible, the development of such substances can never be regarded as concluded, and there is constantly a
25 high demand for novel pesticidal compounds which are advantageous over the known compounds, at least as far as some aspects are concerned.

It is an object of the present invention to provide new pesticides which may be used as ectoparasitocides in stock animals or in domestic companion animals. Another object of the invention is to provide new pesticides which may be used in lower dose than existing pesticides. Another object of
30 the invention is to provide new pesticides which do not have the same biochemical mode of action

- 2 -

as known pesticides and are active against pests that have developed resistance against commercial pesticides. A further object of the invention is to provide new pesticides which are safer to the user and the environment. These objects are met in whole or in part by the present invention.

The present invention relates to the use of compounds which are substituted piperidine derivatives of formula (I) or a pesticidally acceptable salt thereof, for the control of pests:



wherein:

A is a straight or branched (C₁-C₃)-alkylene or (C₁-C₃)-haloalkylene

R¹ is (C₆-C₁₄)-aryl, unsubstituted or substituted

by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴ ;

or is (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, (C₃-C₇)-cycloalkenyl

unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹², NR¹³R¹⁴, OH and oxo;

or a heterocyclyl or heteroaryl, unsubstituted or substituted

by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹², OH and oxo;

R² and R³ are each independently H or (C₁-C₃)-alkyl;

or wherein R² and R³ may form together a (C₁-C₆)-alkylene bridge ;

- 3 -

R^4 and R^5 are each independently H, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl or (C₁-C₆)-alkoxy; wherein in case R^4 and R^5 are each independently (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl or (C₁-C₆)-alkoxy both groups together may form a 4-7 membered ring with the carbon atom in position 4 (C-4) of the piperidine ring; and

5 wherein the residues may be unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴;

or in case R^4 is H or (C₁-C₆)-alkyl, R^5 may be also OH, OCOR⁸, OCOOR⁹, OCO-COO(C₁-C₄)-alkyl, COO(C₁-C₄)-alkyl, COOH, CH₂Phenyl,

10 unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴;

or in case R^5 is a binding electron pair, R^4 may form together with R^5 a residue X selected from the group consisting of O, S, N-OH, N-O-(C₁-C₆)-alkyl, N-O-CO-R⁸, N-O-COOR⁹

15 R^7 is H, (C₁-C₃)-alkyl, (C₂-C₄)-alkenyl ;

unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴ ;

or wherein the residues

20 R^7 and R^5 and the carbon atoms in position 3 (C-3) and in position 4 (C-4) of the piperidin ring form a (C₃-C₇)-cycloalkyl, (C₃-C₇)-cycloalkenyl or a (C₆-C₁₄)-aryl residue,

either unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴ ;

25 R^8 is H, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₃-C₇)-cycloalkyl or (C₁-C₆)-alkyl,

unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₃-C₇)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkylthio, OH, CN, NO₂, R¹⁰, R¹¹, S(O)_pR¹² and NR¹³R¹⁴ ;

R^9 is H, (C₃-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₇)-cycloalkyl or (C₁-C₆)-alkyl,

51440-77

- 4 -

unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₃-C₇)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkylthio, OH, CN, NO₂, R¹⁰, R¹¹, S(O)_pR¹² and NR¹³R¹⁴

5 R¹⁰ is (C₆-C₁₄)-aryl, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴

10 R¹¹ is a saturated or unsaturated heteroaromatic or heterocyclyl radical,
unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹², OH and oxo;

R¹² is (C₁-C₆)-alkyl or (C₁-C₆)-haloalkyl

15 R¹³ and R¹⁴ are each independently H, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₃-C₇)-cycloalkyl or (C₃-C₇)-cycloalkyl-(C₁-C₆)-alkyl; or a group wherein R¹³ and R¹⁴ together with the N form a 4 to 8-membered heteroaryl or heterocyclyl ring that may contain one or two further hetroatoms;

and wherein

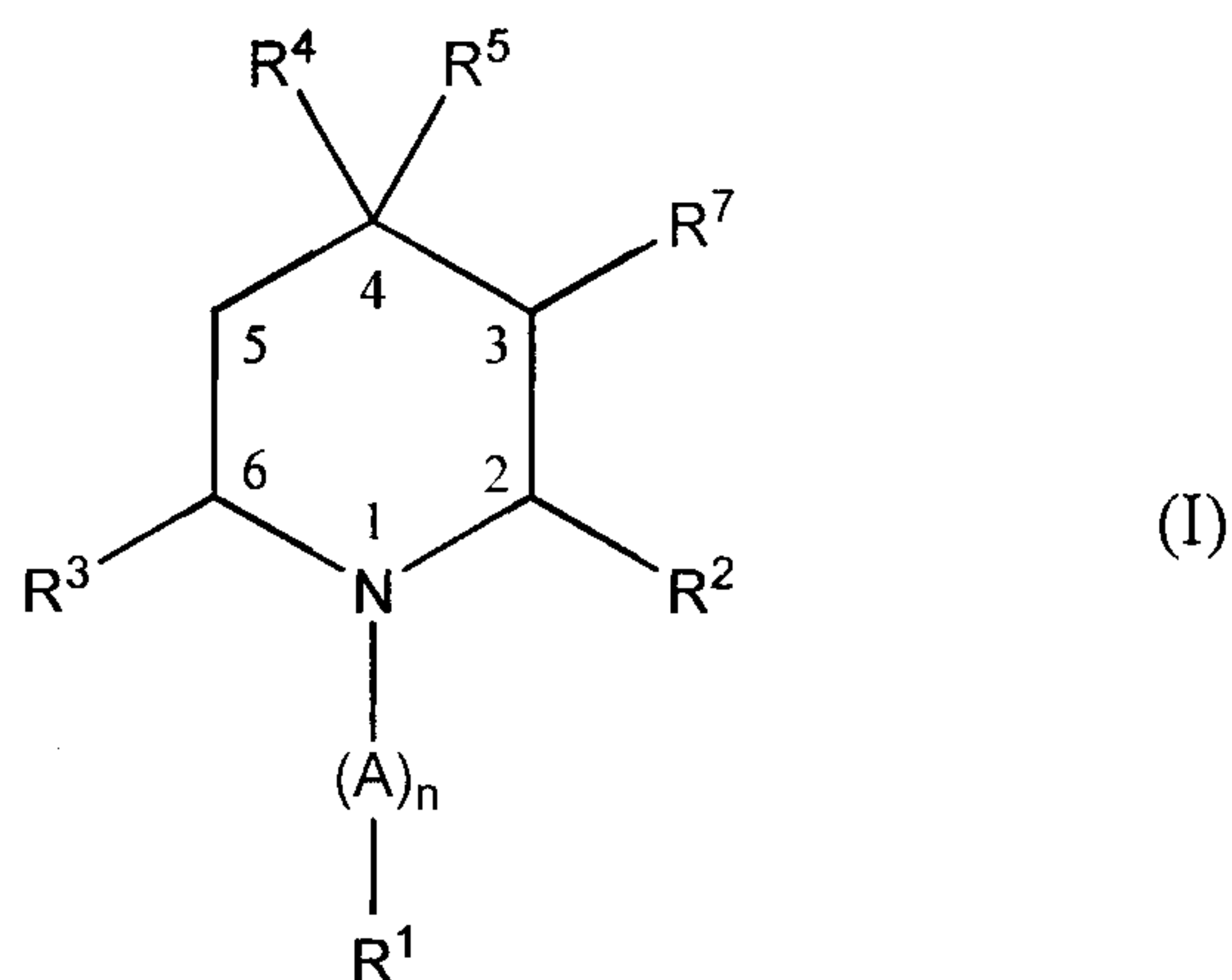
n is 0 or 1 and

p is 0, 1 or 2.

51440-77

- 4a -

According to another aspect of the present invention, there is provided use of a substituted piperidine derivatives of formula (I) or a pesticidally acceptable salt thereof, in the preparation of a medicament for the control of pests



5 wherein:

A is $-\text{CH}_2-$, $-\text{CH}_2\text{CH}_2-$ or $-\text{CH}(\text{CH}_3)-$;

R^1 is $(\text{C}_6-\text{C}_{14})$ -aryl, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6) -alkyl, (C_1-C_6) -haloalkyl, (C_1-C_6) -alkoxy, (C_1-C_6) -haloalkoxy, CN, NO_2 , $\text{S}(\text{O})_p\text{R}^{12}$ and $\text{NR}^{13}\text{R}^{14}$;

10 or is (C_3-C_7) -cycloalkyl or (C_3-C_7) -cycloalkenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6) -alkoxy, (C_1-C_6) -haloalkoxy, CN, NO_2 , $\text{S}(\text{O})_p\text{R}^{12}$, $\text{NR}^{13}\text{R}^{14}$, OH and oxo;

or a heterocyclyl or heteroaryl, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6) -alkyl, (C_1-C_6) haloalkyl, (C_1-C_6) -alkoxy, 15 (C_1-C_6) -haloalkoxy, CN, NO_2 , $\text{S}(\text{O})_p\text{R}^{12}$, OH and oxo; wherein said heteroaryl is pyridine, pyrimidine, pyrazine or pyridazine; and said heterocyclyl contains 3 to 7 ring atoms and 1, 2 or 3 heteroatoms selected from N, O, S or P;

R^2 and R^3 are each independently H or (C_1-C_3) -alkyl;

51440-77

- 4b -

or wherein R^2 and R^3 may form together a (C_1-C_6) -alkylene bridge;

R^4 and R^5 are each independently H, (C_1-C_6) -alkyl, (C_2-C_6) -alkenyl or (C_1-C_6) -alkoxy;

wherein in case R^4 and R^5 are each independently (C_1-C_6) -alkyl, (C_2-C_6) -alkenyl or

5 (C_1-C_6) -alkoxy both groups together may form a 4-7 membered ring with the carbon atom in position 4 (C-4) of the piperidine ring; and

wherein the residues may be unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6) -alkyl, (C_1-C_6) -haloalkyl, (C_1-C_6) -alkoxy, (C_1-C_6) -haloalkoxy, CN, NO_2 , $S(O)_pR^{12}$ and $NR^{13}R^{14}$;

10 or in case R^4 is H or (C_1-C_6) -alkyl, R^5 may be also OH, $OCOR^8$, $OCOOR^9$, $OCOCOO(C_1-C_4)$ -alkyl, $COO(C_1-C_4)$ -alkyl, $COOH$, CH_2 Phenyl, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6) -alkyl, (C_1-C_6) -haloalkyl, (C_1-C_6) -alkoxy, (C_1-C_6) -haloalkoxy, CN, NO_2 , $S(O)_pR^{12}$ and $NR^{13}R^{14}$;

or in case R^5 is a binding electron pair, R^4 may form together with R^5 a residue X selected
15 from the group consisting of O, S, N-OH, N-O- (C_1-C_6) -alkyl, N-O-CO- R^8 , and N-O-COOR⁹;

R^7 is H, (C_1-C_3) -alkyl, (C_2-C_4) -alkenyl; unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6) -alkyl, (C_1-C_6) -haloalkyl, (C_1-C_6) -alkoxy, (C_1-C_6) -haloalkoxy, CN, NO_2 , $S(O)_pR^{12}$ and $NR^{13}R^{14}$; or wherein the residues R^7 and R^5 and the carbon atoms in position 3 (C-3) and in position 4 (C-4) of the piperidine
20 ring form a (C_3-C_7) -cycloalkyl, (C_3-C_7) -cycloalkenyl or a (C_6-C_{14}) -aryl residue,

either unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6) -alkyl, (C_1-C_6) -haloalkyl, (C_1-C_6) -alkoxy, (C_1-C_6) -haloalkoxy, CN, NO_2 , $S(O)_pR^{12}$ and $NR^{13}R^{14}$;

R^8 is H, (C_2-C_6) -alkenyl, (C_2-C_6) -alkynyl, (C_3-C_7) -cycloalkyl or (C_1-C_6) -alkyl,
25 unsubstituted or substituted by one or more radicals selected from the group consisting of

51440-77

- 4c -

halogen, (C₃-C₇)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkylthio, OH, CN, NO₂, R¹⁰, R¹¹, S(O)_pR¹² and NR¹³R¹⁴;

R⁹ is H, (C₃-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₇)-cycloalkyl or (C₁-C₆)-alkyl, unsubstituted or substituted by one or more radicals selected from the group consisting of
 5 halogen, (C₃-C₇)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkylthio, OH, CN, NO₂, R¹⁰, R¹¹, S(O)_pR¹² and NR¹³R¹⁴;

R¹⁰ is (C₆-C₁₄)-aryl, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴;

10 R¹¹ is a saturated or unsaturated heteroaromatic or heterocyclyl radical, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹², OH and oxo;

R¹² is (C₁-C₆)-alkyl or (C₁-C₆)-haloalkyl;

15 R¹³ and R¹⁴ are each independently H, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₃-C₇)-cycloalkyl or (C₃-C₇)-cycloalkyl-(C₁-C₆)-alkyl; or a group wherein R¹³ and R¹⁴ together with the N form a 4 to 8-membered heteroaryl or heterocyclyl ring that may contain one or two further heteroatoms; and wherein

n is 0 or 1 and p is 0, 1 or 2.

20 According to still another aspect of the present invention, there is provided a substituted piperidine derivative, or pesticidally acceptable salt thereof as defined herein for use in controlling arthropod pests and/or helminths pests.

51440-77

- 4d -

According to yet another aspect of the present invention, there is provided a substituted piperidine derivative, or pesticidally acceptable salt thereof as defined herein for use in controlling insects, arachnids and/or nematodes.

According to a further aspect of the present invention, there is provided a substituted
5 piperidine derivative, or pesticidally acceptable salt thereof as defined herein for use as a pesticide or as an ectoparasiticide in stock animals or in domestic companion animals.

According to yet a further aspect of the present invention, there is provided a pesticidal composition comprising a substituted piperidine derivative or a pesticidally acceptable salt thereof as defined herein, in association with a pesticidally acceptable diluent or carrier and/or
10 surface active agent for use in controlling pests.

According to still a further aspect of the present invention, there is provided a substituted piperidine derivative, or pesticidally acceptable salt thereof as defined herein for use in controlling pests.

The invention also encompasses any stereoisomer, enantiomer or geometric isomer, and
15 mixtures thereof.

By the term "pesticidally acceptable salts" is meant salts the anions or cations of which are known and accepted in the art for the formation of salts for pesticidal use.

Suitable salts with acids, e.g. formed by compounds of formula (I) containing a basic nitrogen in the piperidine ring, include salts with inorganic acids, for example hydrochlorides,
20 sulphates, phosphates and nitrates and salts with organic acids for example acetic acid, methanesulfonic acid.

The term "pests" encompass in particular arthropod pests, including insects and arachnids, and helminths pests, including nematodes. An preferred embodiment of the present invention is to provide pesticides which may be used as ectoparasitocides in stock animals or in domestic
25 companion animals.

In the present specification, including the accompanying claims, the aforementioned substituents have the following meanings:

"Halogen atom" means fluorine, chlorine, bromine or iodine.

5 The term "halo" before the name of a radical means that this radical is partially or completely halogenated, that is to say, substituted by F, Cl, Br, or I, in any combination, preferably by F or Cl.

"Alkyl" -groups and portions thereof (unless otherwise defined) may be straight- or branched-chain.

10 The expression "(C₁-C₆)-alkyl" is to be understood as meaning an unbranched or branched hydrocarbon radical having 1, 2, 3, 4, 5 or 6 carbon atoms, such as, for example a methyl, ethyl, propyl, isopropyl, 1-butyl, 2-butyl, 2-methylpropyl or tert.-butyl radical.

Alkyl radicals and also in composite groups, unless otherwise defined, preferably have 1 to 4 carbon atoms.

15 "(C₁-C₃)-alkylene" is to be understood as meaning an unbranched or branched alkanediyl group having 1 to 3 carbon atoms, e.g. -CH₂-, -CH₂-CH₂-, -CH₂-CH₂-CH₂- or -CH₂-CH(CH₃)-. The expression "(C₁-C₃)- haloalkene" means an (C₁-C₃)-alkylene group, in which one or more hydrogen atoms are replaced by the same number of identical or different halogen atoms.

20 "(C₁-C₆)-haloalkyl" means an alkyl group mentioned under the expression "(C₁-C₆)-alkyl" in which one or more hydrogen atoms are replaced by the same number of identical or different halogen atoms, such as monohaloalkyl, perhaloalkyl, CF₃, CHF₂, CH₂F, CHFCH₃, CF₃CH₂, CF₃CF₂, CHF₂CF₂, CH₂FCHCl, CH₂Cl, CCl₃, CHCl₂ or CH₂CH₂Cl.

"(C₁-C₆)-alkoxy" means an alkoxy group whose carbon chain has the meaning given under the expression "(C₁-C₆)-alkyl". "Haloalkoxy" is, for example, OCF₃, OCHF₂, OCH₂F, CF₃CF₂O, OCH₂CF₃ or OCH₂CH₂Cl.

25 "(C₂-C₆)-alkenyl" means an unbranched or branched non-cyclic carbon chain having a number of carbon atoms which corresponds to this stated range and which contains at least one double bond which can be located in any position of the respective unsaturated radical. "(C₂-C₆)-alkenyl" accordingly denotes, e.g. the vinyl, allyl, 2-methyl-2-propenyl, 2-butenyl, pentenyl, 2-methylpentenyl or the hexenyl group.

30 "(C₂-C₆)-alkynyl" means an unbranched or branched non-cyclic carbon chain having a number of carbon atoms which corresponds to this stated range and which contains one triple bond which can

be located in any position of the respective unsaturated radical. "(C₂-C₆)-alkynyl" accordingly denotes, for example, the propargyl, 1-methyl-2-propynyl, 2-butyne-1-yl or 3-butyne-1-yl group.

"Cycloalkyl" groups preferably have from three to seven carbon atoms in the ring and are optionally substituted by halogen or alkyl.

- 5 The expression "(C₃-C₇)-cycloalkyl-(C₁-C₆)-alkyl" means a (C₁-C₆)-alkyl group which is substituted by a (C₃-C₇)-cycloalkyl ring.

In compounds of formula (I) the following examples of radicals are provided:

An example of alkyl substituted by cycloalkyl is cyclopropylmethyl; and

an example of alkyl substituted by alkoxy is methoxymethyl (CH₂OCH₃);

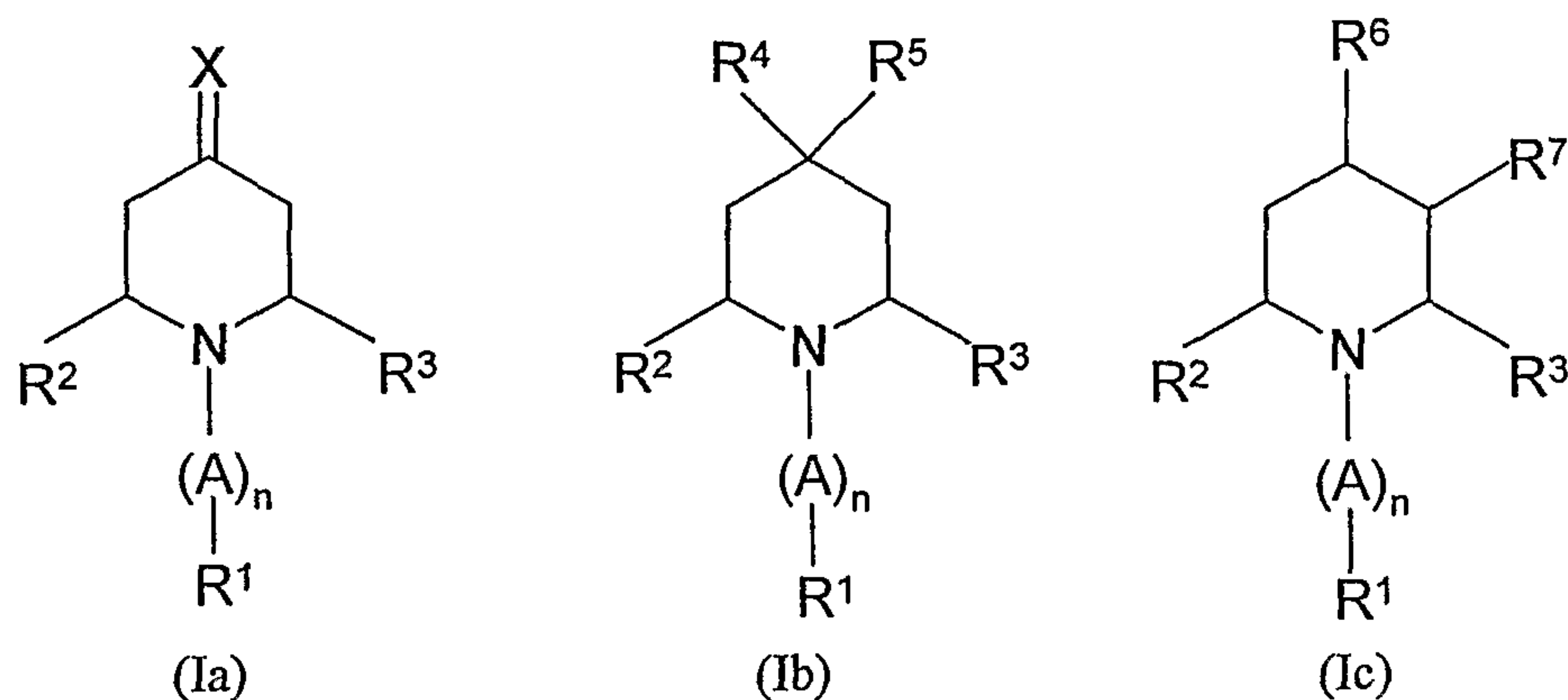
- 10 "Aryl-(C₁-C₆)-alkyl" means a (C₁-C₆)-alkyl radical which is substituted by an aryl radical.

"Aryl" denotes a mono-, bi- or polycyclic aromatic system, for example phenyl, naphthyl, tetrahydronaphthyl, indenyl, indanyl, pentalenyl, fluorenyl and the like, preferably phenyl. Aryl groups may be unsubstituted or substituted by one or more radicals, preferably 1, 2 or 3 radicals.

- 15 A "heterocyclyl" radical preferably contains one or more, in particular 1, 2 or 3, hetero atoms in the heterocyclic ring, preferably selected from the group consisting of N, O, S and P (S atoms being optionally in the SO or SO₂ oxidation state); it is preferably an aliphatic heterocyclyl radical having 3 to 7 ring atoms. The saturated or unsaturated "heterocyclyl" radical can be, for example, oxiranyl, oxetanyl, oxolanyl (= tetrahydrofuryl), oxanylyl, pyrrolidyl, piperidyl, piperazinyl, dioxolanyl, oxazolanyl, isoxazolanyl, oxazolidinyl, isoxazolidinyl or morpholinyl. The "heterocyclyl" radical may
20 be unsubstituted or substituted, preferably by one or more radicals, most preferably by 1, 2 or 3 radicals.

- 25 A "heteroaryl" radical preferably contains one or more, in particular 1, 2 or 3, hetero atoms in the heteroaromatic ring, preferably selected from the group consisting of N, O and S; it is preferably a heteroaromatic radical having 5 to 7 ring atoms. The heteroaromatic ring can be for example, a mono-, bi- or polycyclic aromatic system in which at least 1 ring contains one or more hetero atoms, for example pyridyl, pyrimidinyl, pyridazinyl, pyrazinyl, triazinyl, thienyl, thiazolyl, thiadiazolyl, oxazolyl, isoxazolyl, furyl, pyrrolyl, pyrazolyl, imidazolyl and triazolyl. The "heteroaryl" radical may be unsubstituted or substituted, preferably by one or more radicals, most preferably by 1, 2 or 3 radicals.

The present invention provides the use of preferred compounds which are piperidin derivatives of formula (Ia), (Ib) and (Ic):



wherein:

5 A is an unbranched or branched (C₁-C₃)-alkylene and/or

R¹ is phenyl, unsubstituted or substituted

by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴ ;

10 or is (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₃-C₇)-cycloalkyl, (C₃-C₇)-cycloalkylenyl;

or is heteroaryl e.g. pyridine, pyrimidine, pyrazine and pyridazine,

unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹², OH and oxo;

15 and/or

R², R³ are each independently H, (C₁-C₃)-alkyl; wherein R² and R³ may form together a (C₁-C₃)-alkylene bridge, in particular a -C₂H₄- group so that a tropan ring system is generated;

and/or in formula (Ib)

R⁴, R⁵ are each independently H, (C₁-C₆)-alkyl, (C₁-C₆)-alkoxy; or in case R⁴ and R⁵ are (C₁-C₆)-alkyl or (C₁-C₆)-alkoxy both groups together with C-4 of the piperidine ring may form a 4-7 membered ring;

20

and/or in formula (Ic)

R^6 is OH, $OCOR^8$, $OCOOR^9$, $OCO-COO(C_1-C_4)\text{-alkyl}$, $COO(C_1-C_4)\text{-alkyl}$, $COOH$ or $CH_2\text{Phenyl}$, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, $(C_1-C_6)\text{-alkyl}$, $(C_1-C_6)\text{-haloalkyl}$, $(C_1-C_6)\text{-alkoxy}$, $(C_1-C_6)\text{-haloalkoxy}$, CN, NO_2 , $S(O)_pR^{12}$ and $NR^{13}R^{14}$

and/or

R^7 is H, $(C_1-C_3)\text{-alkyl}$,

or wherein

R^7 and R^6 and the carbon atoms in position 3 (C-3) and 4 (C-4) of the piperidin ring form together a 5 to 7 -membered cycloalkyl or cycloalkenyl ring or a 6 to 14 membered aromatic ring, either unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, $(C_1-C_6)\text{-alkyl}$, $(C_1-C_6)\text{-haloalkyl}$, $(C_1-C_6)\text{-alkoxy}$, $(C_1-C_6)\text{-haloalkoxy}$, CN, NO_2 , $S(O)_pR^{12}$ and $NR^{13}R^{14}$;

and/or in formula (Ia)

X is O, S, N-OH, N-O- $(C_1-C_6)\text{-alkyl}$, N-O-CO- R^8 , N-O-COOR⁹

and wherein

R^8 is H, $(C_2-C_6)\text{-alkenyl}$, $(C_2-C_6)\text{-haloalkenyl}$, $(C_2-C_6)\text{-alkynyl}$, $(C_2-C_6)\text{-haloalkynyl}$, $(C_3-C_7)\text{-cycloalkyl}$ or $(C_1-C_6)\text{-alkyl}$ which last mentioned group is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, $(C_3-C_7)\text{-cycloalkyl}$, $(C_1-C_6)\text{-alkoxy}$, $(C_1-C_6)\text{-alkylthio}$, OH, CN, NO_2 , R^{10} , R^{11} , $S(O)_pR^{12}$ and $NR^{13}R^{14}$;

R^9 is H, $(C_3-C_6)\text{-alkenyl}$, $(C_3-C_6)\text{-haloalkenyl}$, $(C_3-C_6)\text{-alkynyl}$, $(C_3-C_6)\text{-haloalkynyl}$, $(C_3-C_7)\text{-cycloalkyl}$, or $(C_1-C_6)\text{-alkyl}$ which last mentioned group is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, $(C_3-C_7)\text{-cycloalkyl}$, $(C_1-C_6)\text{-alkoxy}$, $(C_1-C_6)\text{-alkylthio}$, OH, CN, NO_2 , R^{10} , R^{11} , $S(O)_pR^{12}$ and $NR^{13}R^{14}$;

R^{10} is phenyl, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, $(C_1-C_6)\text{-alkyl}$, $(C_1-C_6)\text{-haloalkyl}$, $(C_1-C_6)\text{-alkoxy}$, $(C_1-C_6)\text{-haloalkoxy}$, CN, NO_2 , $S(O)_pR^{12}$ and $NR^{13}R^{14}$;

R^{11} is a saturated, unsaturated or heteroaromatic heterocyclyl radical unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, $(C_1-C_6)\text{-alkyl}$, $(C_1-C_6)\text{-haloalkyl}$, $(C_1-C_6)\text{-alkoxy}$, $(C_1-C_6)\text{-haloalkoxy}$, CN, NO_2 , $S(O)_pR^{12}$, OH and oxo;

- 9 -

R^{12} is (C_1-C_6) -alkyl or (C_1-C_6) -haloalkyl

R^{13} and R^{14} are each independently H (C_1-C_6) -alkyl, (C_1-C_6) -haloalkyl, (C_2-C_6) -alkenyl, (C_2-C_6) -alkynyl, (C_3-C_7) -cycloalkyl or (C_3-C_7) -cycloalkyl- (C_1-C_6) -alkyl; or R^{13} and R^{14} together with the N form a 4 to 8-membered heteroaryl or heterocyclyl ring that may contain one or two further hetroatoms selected from the group consisting of N, O, S ;

and wherein

n is 0 or 1,

p is 0, 1 or 2,

or a pesticidally acceptable salt thereof, for the control of pests.

More preferred compounds for the control of pests are a class of compounds of formual (I) in which:

A is a straight chain or branched (C_1-C_3) -alkylene and

R^1 is phenyl

unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6) -alkyl, (C_1-C_6) -haloalkyl, (C_1-C_6) -alkoxy, (C_1-C_6) -haloalkoxy, CN, NO_2 , $S(O)_pR^{12}$ and $NR^{13}R^{14}$;

or is (C_1-C_6) -alkyl, (C_1-C_6) -haloalkyl, (C_3-C_7) -cycloalkyl, (C_3-C_7) -cycloalkylenyl;

or is pyridine, pyrimidine, pyrazine and pyridazine,

unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6) -alkyl, (C_1-C_6) -haloalkyl, (C_1-C_6) -alkoxy, (C_1-C_6) -haloalkoxy, CN, NO_2 , $S(O)_pR^{12}$, OH and oxo; and

R^2 and R^3 are each independently H or CH_3 ;

or in case R^2 and R^3 are both CH_3 the methyl groups may be connected to form a $-C_2H_4-$ group;

and wherein in formula (Ib) preferrably

R^4 and R^5 are each independently H, (C_1-C_6) -alkyl, (C_1-C_6) -alkoxy;

- 10 -

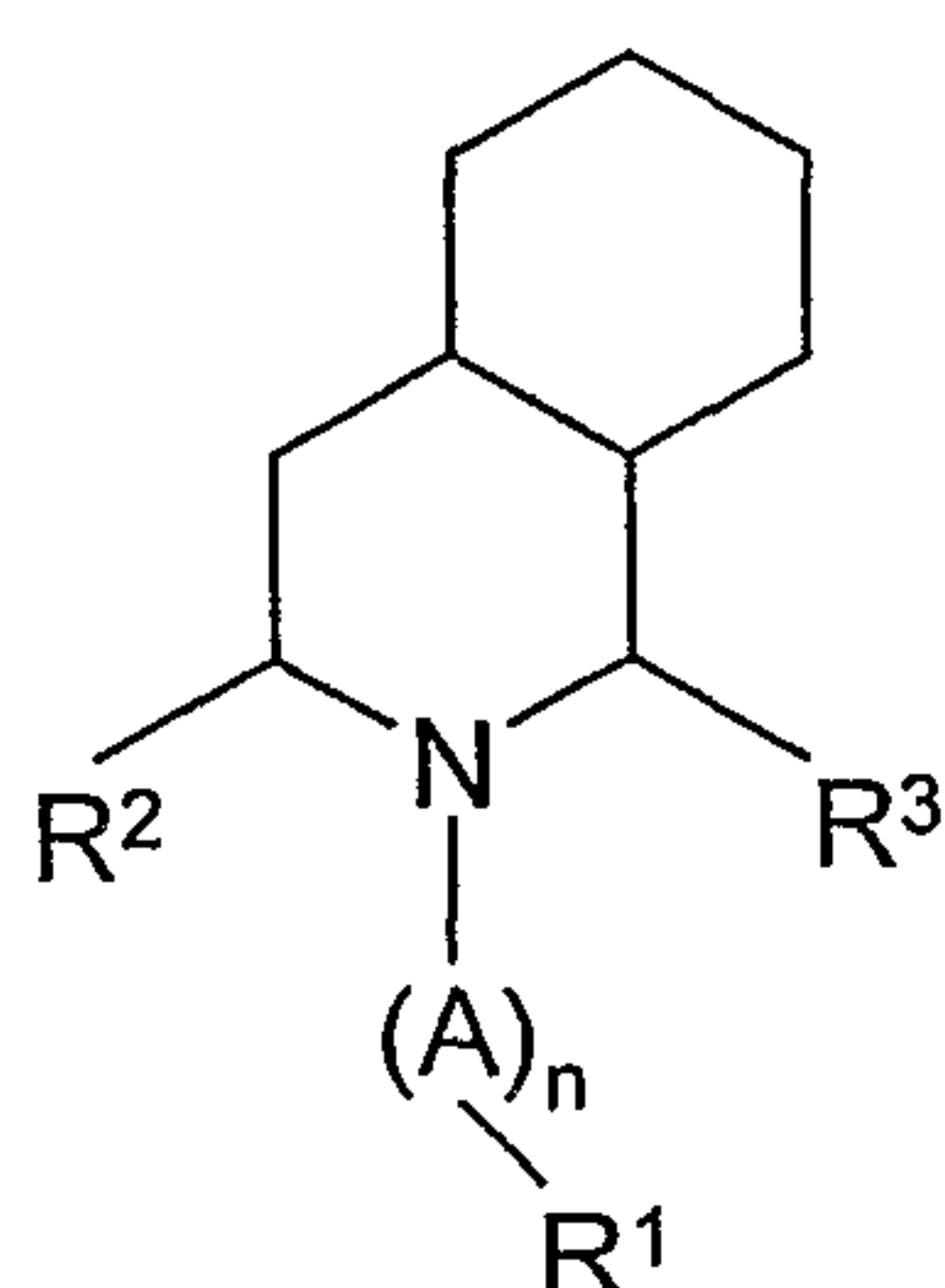
or in case R^4 and R^5 are (C_1-C_6) -alkyl or (C_1-C_6) -alkoxy both groups together with C-4 of the piperidine ring may form a 4-7 membered ring;

and wherein in formula (Ic) preferably

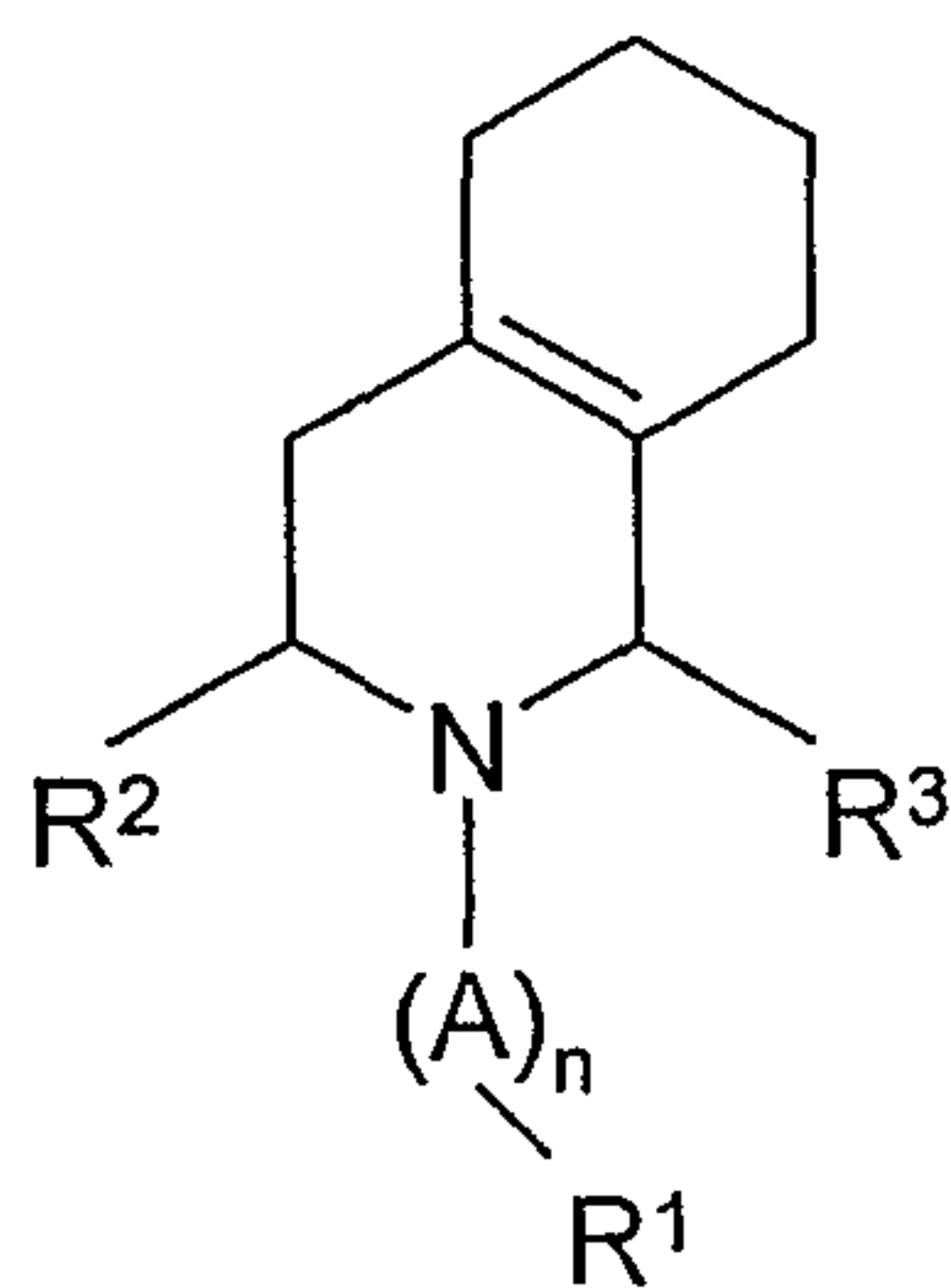
R^6 is OH, $OCOR^8$, $OCOOR^9$, $COO(C_1-C_4)$ -alkyl ; and

5 R^7 is H or

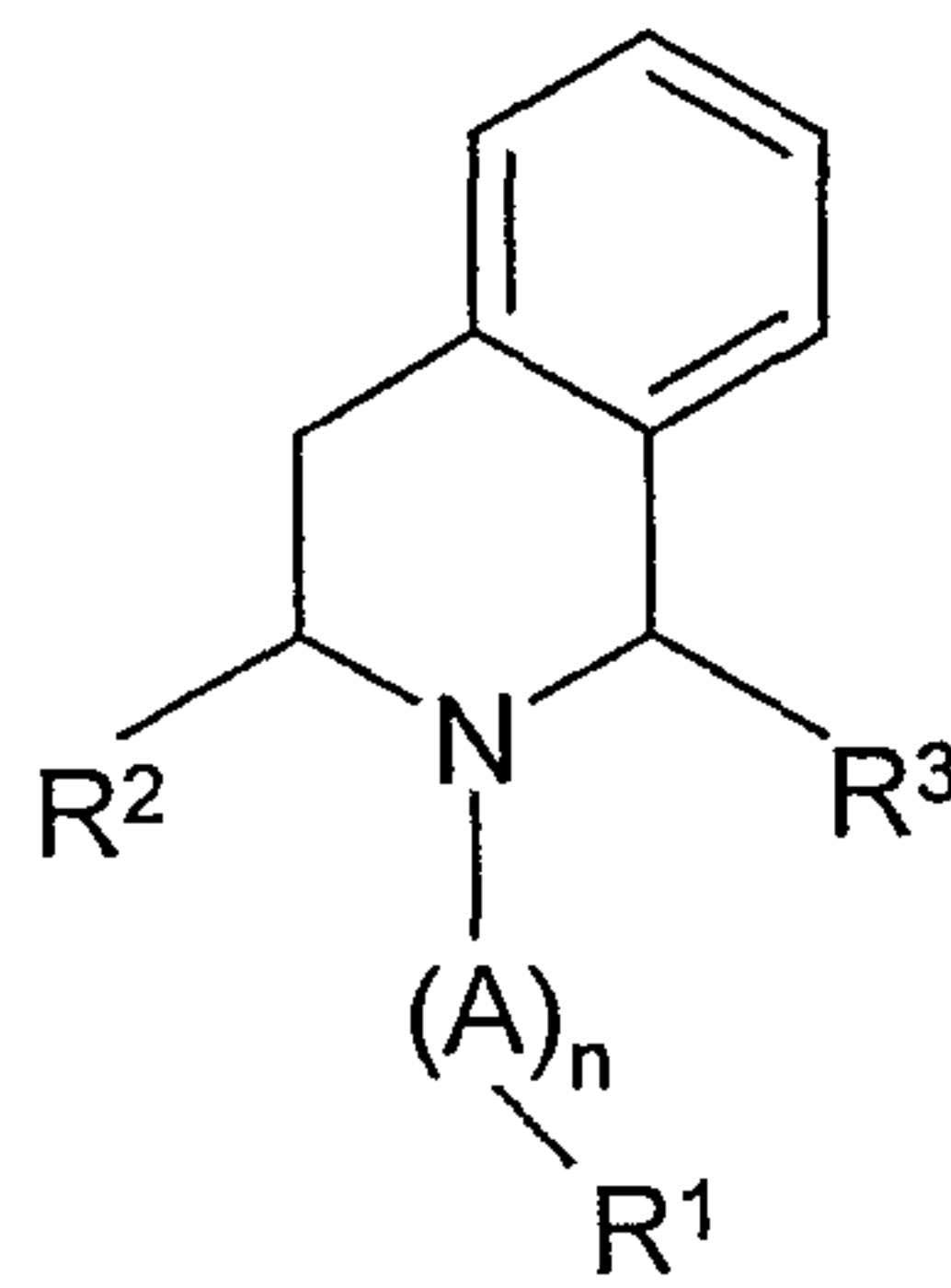
R^7 together with R^6 and C-3 and C-4 of the piperidin ring forms a saturated or unsaturated 6-membered ring, either unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6) -alkyl, (C_1-C_6) -haloalkyl, (C_1-C_6) -alkoxy, (C_1-C_6) -haloalkoxy, CN, NO_2 , $S(O)_pR^{12}$ and $NR^{13}R^{14}$ to generate formula (IIa) and (IIb) and (IIc);



(IIa)



(IIb)



(IIc)

and wherein in formula (Ic) preferably

X is O;

and wherein R^8 , R^9 , R^{10} , R^{11} , R^{12} , R^{13} and R^{14} are as defined above,

15 and wherein n is 0 or 1 and p is 0, 1 or 2.

or an pesticidally acceptable salt thereof.

Concerning R^8 radicals selected from the group consisting of H, (C_3-C_7) -cycloalkyl, or (C_1-C_6) -alkyl which last mentioned group is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_3-C_7) -cycloalkyl, (C_1-C_6) -alkoxy, (C_1-C_6) -alkylthio, OH, CN, NO_2 , R^{10} , R^{11} , $S(O)_pR^{12}$ and $NR^{13}R^{14}$ are preferred;

Concerning R^9 radicals selected from the group consisting of H, (C_3-C_7) -cycloalkyl, or (C_1-C_6) -alkyl which last mentioned group is unsubstituted or substituted by one or more radicals selected

- 11 -

from the group consisting of halogen, (C₃-C₇)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkylthio, OH, CN, NO₂, R¹⁰, R¹¹, S(O)_pR¹² and NR¹³R¹⁴ are preferred.

Concerning R¹⁰ phenyl radical, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₃)-alkyl, (C₁-C₃)-haloalkyl, (C₁-C₃)-alkoxy, (C₁-C₃)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴ are preferred.

R¹¹ is a saturated, unsaturated or heteroaromatic heterocyclyl radical unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹², OH and oxo;

Concerning R¹² radicals selected from the group consisting of (C₁-C₃)-alkyl or (C₁-C₃)-haloalkyl are preferred.

Concerning R¹³ and R¹⁴ radicals independently selected from the group consisting of H (C₁-C₃)-alkyl, (C₁-C₃)-haloalkyl, (C₂-C₄)-alkenyl, (C₂-C₄)-alkynyl, (C₃-C₇)-cycloalkyl or (C₃-C₇)-cycloalkyl-(C₁-C₆)-alkyl; or wherein R¹³ and R¹⁴ together with the N form a 4 to 8-membered ring that may contain one or two further N atoms or one further O or S atom; are preferred.

Further compounds of formula (I) are preferred wherein n is 1.

General process for the preparation of compounds of formula (I):

In the description when symbols appearing in formulae are not specifically defined, it is understood that they are "as defined above" in accordance with the first definition of each symbol in the specification.

The compounds of general formula (I) can be prepared by the application, adaptation and combination of known methods, e.g. as described in

Baraldi, Pier Giovanni; Romagnoli, Romeo; Pavani, Maria Giovanna; Nunez, Maria del Carmen; Tabrizi, Mojgan Aghazadeh; Shryock, John C.; Leung, Edward; Moorman, Allan R.; Uluoglu, Canan; Iannotta, Valeria; Merighi, Stefania; Borea, Pier Andrea; JMC MAR; J. Med. Chem.; EN; 46; 5; 2003; 794 – 809;

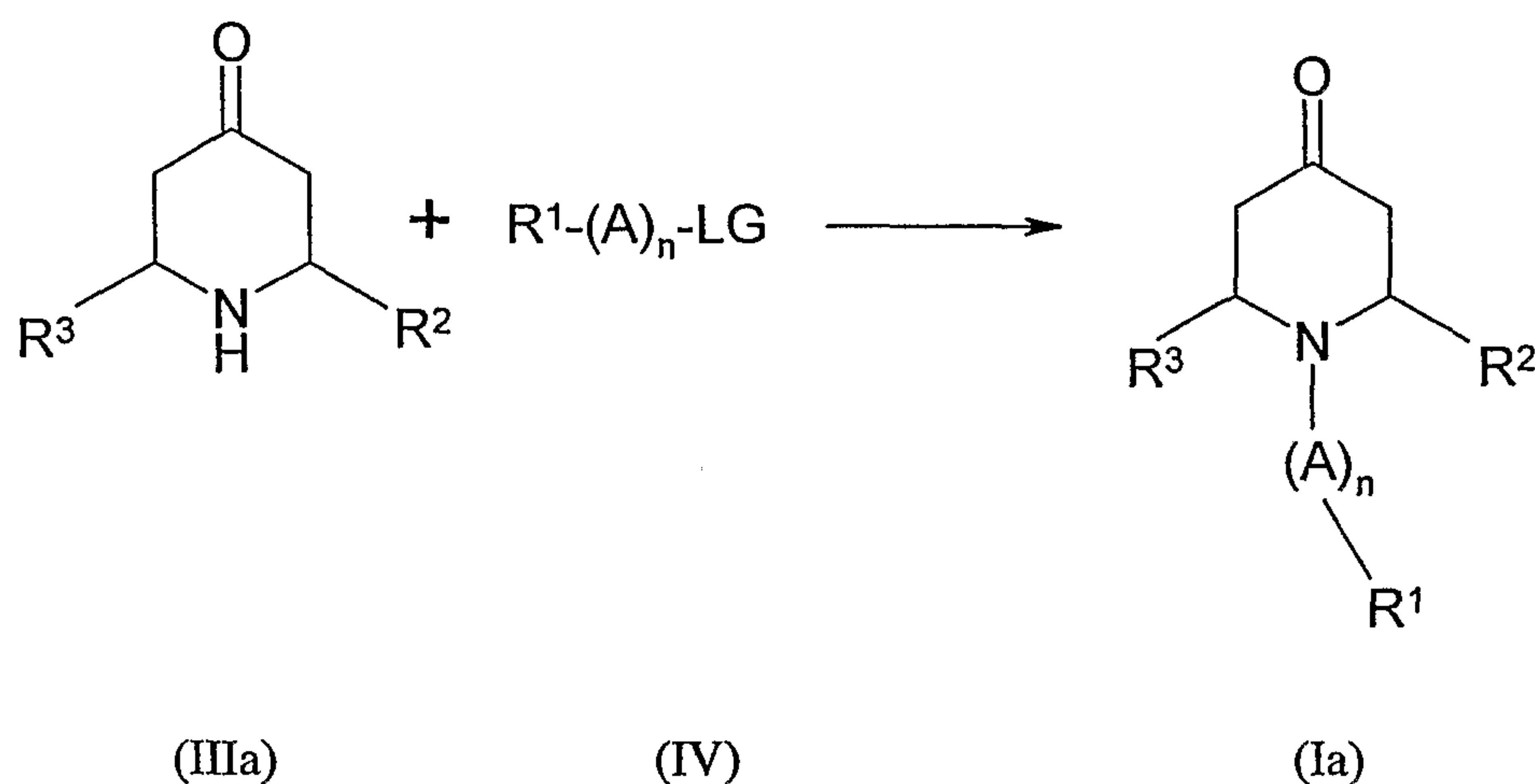
Gooding, Owen W.; Beard, Colin C.; HTCYAM; Heterocycles; EN; 32; 9; 1991; 1777-1780;

Klein, Pieter A. M van der; Kourounakis, Angeliki P.; IJzerman, Ad P.; JMC MAR; J. Med. Chem.; EN; 42; 18; 1999; 3629 – 3635;

Gong, Leyi; Hogg, J. Heather; Collier, James; Wilhelm, Robert S.; Soderberg, Carol; BMC LE8; Bioorg. Med. Chem. Lett.; EN; 13; 20; 2003; 3597 – 3600;

Faul, Margaret M.; Kobierski, Michael E.; Kopach, Michael E., *Journal of Organic Chemistry* (2003), 68(14), 5739-5741.

It is known from prior art that compounds of formula (I) or (Ia) wherein R^1 , R^2 , R^3 , $(A)_n$ are as defined above, and R^4 and R^5 are X, wherein X is O may be prepared by the reaction of piperidones of formula (IIIa) with alkylating agents of formula (IV):

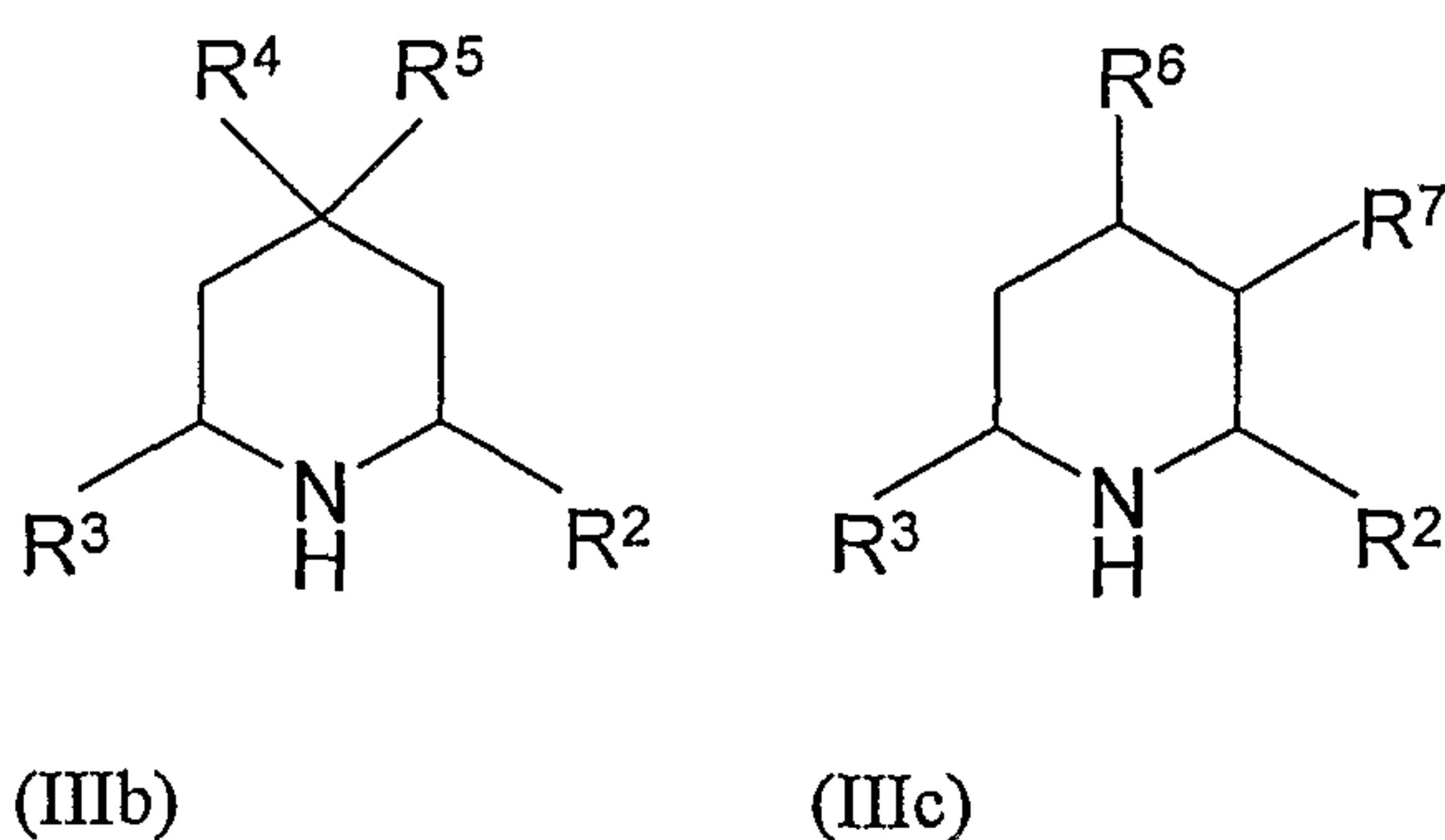


wherein LG is halogen or a sulfonate group RSO_2O .

Compounds of formula (IV) $R^1-(A)_n-LG$ may be halides or sulfonates.

The addition reaction may be performed in presence of bases like alkali hydroxides, alkali alcohols, alkali carbonates, organic amines (e.g. triethylamine, diisopropylethylamine) in organic solvents.

It is also known that compounds of formula (I), (Ib) or (Ic) wherein R^1 , R^2 , R^3 , $(A)_n$, R^4 , R^5 (wherein R^4 , R^5 are not X), R^6 , R^7 are as defined above, may be prepared by the reaction of piperidines of formula (IIIb) or (IIIc) with alkylating agents of formula (IV):



The addition reaction may be performed in presence of bases like alkali hydroxides, alkali alcohols, alkali carbonates, organic amines (e.g. triethylamine, diisopropylethylamine) in organic solvents.

Compounds of formula (Ib) may be prepared as described e.g. in:

Zhang, Wei; Curran, Dennis P.; Chen, Christine Hiu-Tung; TETRAB; Tetrahedron; EN; 58; 20; 2002; 3871 - 3876

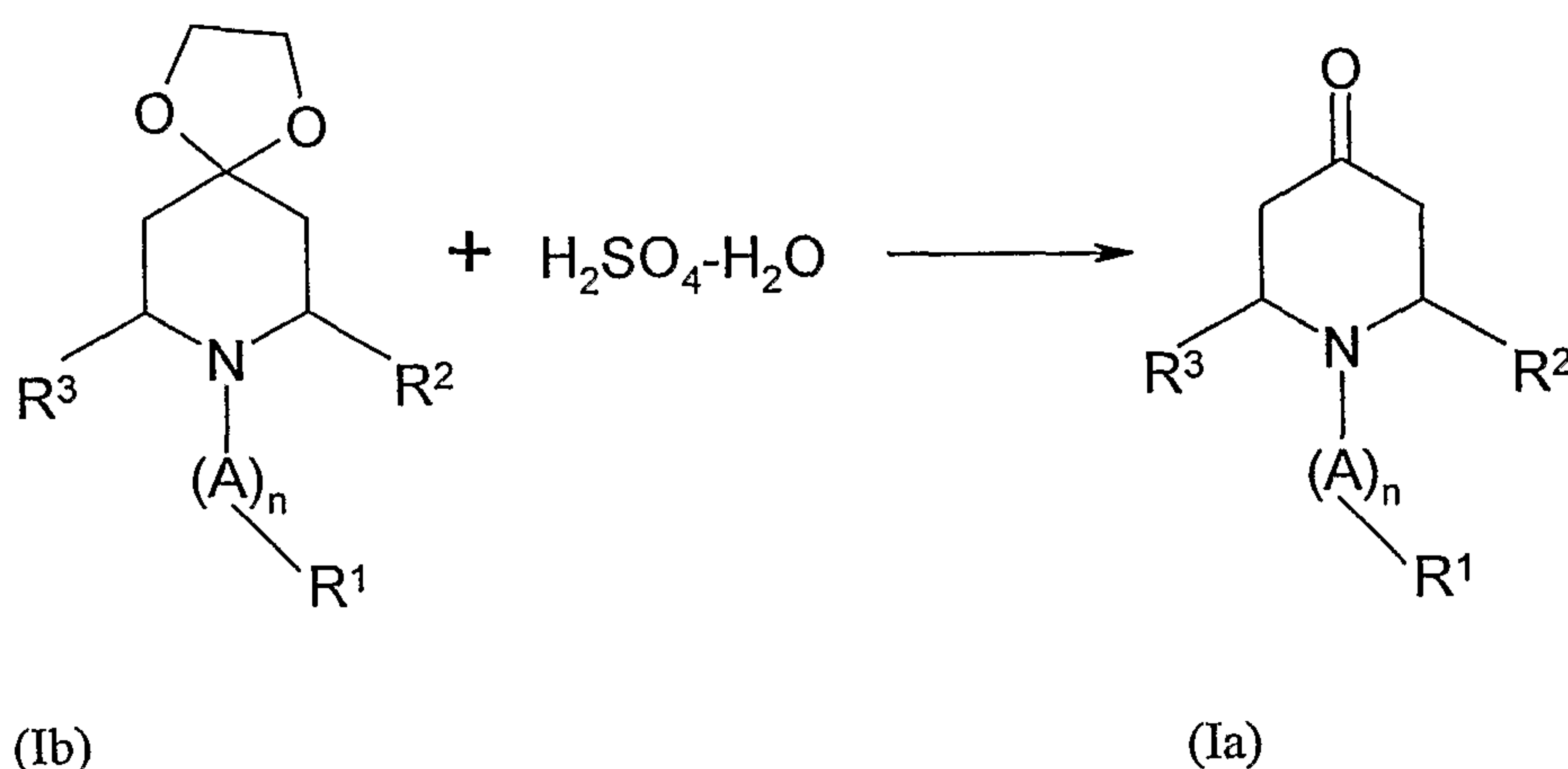
J.R.Geigy A.-G.; CH 469736; 1966; Chem.Abstr.; EN; 71; 113003n; 1969;

5 Compounds of formula (Ic) may be prepared as described e.g. in:

Buettelmann, Bernd; Alanine, Alexander; Bourson, Anne; Gill, Ramanjit; Heitz, Marie-Paule; Mutel, Vincent; Pinard, Emmanuel; Trube, Gerhard; Wyler, Rene; BMCLE8; Bioorg.Med.Chem.Lett.; EN; 13; 5; 2003; 829 - 832 ;

Synthelabo S.A.; DE 2919553; 1979; Chem.Abstr.; EN; 92; 94264;

10 It is further known from prior art that compounds of formula (Ia) wherein R^1 , R^2 , R^3 , $(A)_n$ are as defined above, and X is O may be prepared by the reaction of ketals of formula (Ib) wherein R^1 , R^2 , R^3 , $(A)_n$ are as defined above and R^4 , R^5 are alkoxy or alkylendioxy, with acids in aqueous mixtures. This hydrolysis reaction is performed in water or mixtures of water with organic solvents in presence of acids like hydrochloric acid or sulfuric acid at temperatures from 20 to 120°C.



The reaction may be performed as described e.g. in:

Novelli, Federica; Sparatore, Fabio; FRMCE8; Farmaco; EN; 57; 11; 2002; 871 - 882

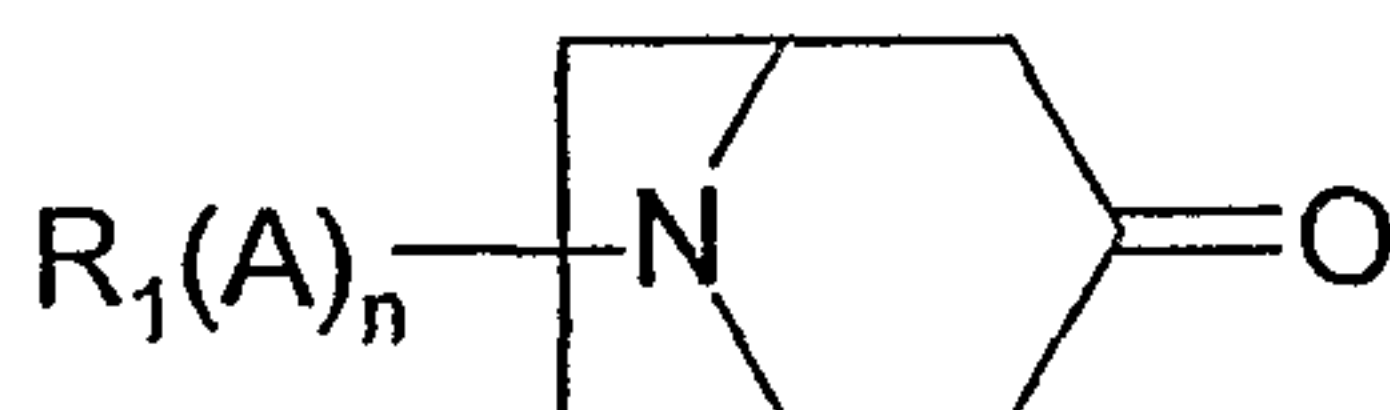
Janssens, Frans; Torremans, Joseph; Janssen, Marcel; Stokbroekx, Raymond A.; Luyckx, Marcel;
20 Janssen, Paul A. J.; JMCMAR; J.Med.Chem.; EN; 28; 12; 1985; 1925-1933

Faul, Margaret M.; Kobierski, Michael E.; Kopach, Michael E.; JOCEAH; J.Org.Chem.; EN; 68; 14; 2003; 5739 - 5741

Faja, Montserrat; Reese, Colin B.; Song, Quanlai; Zhang, Pei-Zhuo; JCPRB4; J.Chem.Soc.Perkin Trans.1; EN; 3; 1997; 191-194

J.R.Geigy A.-G.; CH 469736; 1966; Chem.Abstr.; EN; 71; 113003n; 1969

5 It is known that compounds of formula (Ia) wherein R^1 , $(A)_n$ are as defined above, X is O and R^2 , R^3 together form a C_2H_4 bridge may be prepared by the reaction of amines $R^1-(A)_n-NH_2$ (V) with succinaldehyde and 3-oxo-pentanedioic acid or its esters to generate 8-substituted tropanones (Ia) (R^2 , R^3 together form C_2H_4).



(Ia), wherein R^2 , R^3 together form C_2H_4

10 The reaction may be performed as described e.g. in:

Sterling Drug Inc.; US 2845427; 1955; Sterling Drug Inc.; US 2836598; 1954.

Maag, Hans; Locher, Rita; Daly, John J.; Kompis, Ivan; HCACAV; Helv.Chim.Acta; EN; 69; 1986; 887-897

15 Ridder, Dirk J. A. De; Goubitz, Kees; Schenk, Henk; Krijnen, Bert; Verhoven, Jan W.; HCACAV; Helv.Chim.Acta; EN; 86; 2003; 812 - 826

Biological Scope:

20 According to a further feature of the present invention there is provided a method for the control of pests at a locus which comprises applying thereto an effective amount of a compound of formula (I) or a salt thereof. For this purpose, the said compound is normally used in the form of a pesticidal composition (i.e. in association with compatible diluents or carriers and/or surface active agents suitable for use in pesticidal compositions), for example as hereinafter described.

The term "compound of the invention" as used hereinafter embraces a thioether derivative of formula (I) as defined above and a pesticidally acceptable salt thereof.

25 One aspect of the present invention as defined above is a method for the control of pests at a locus. The locus includes, for example, the pest itself, the place (plant, field, forest, orchard, waterway, soil, plant product, or the like) where the pest resides or feeds, or a place susceptible to future infestation by the pest. The compound of the invention may therefore be applied directly to the pest, to the place where the pest resides or feeds, or to the place susceptible to future infestation by the pest.

As is evident from the foregoing pesticidal uses, the present invention provides pesticidally active compounds and methods of use of said compounds for the control of a number of pest species which includes: arthropods, especially insects or mites, or plant nematodes. The compound of the invention may thus be advantageously employed in practical uses, for example, in agricultural or horticultural crops, in forestry, in veterinary medicine or livestock husbandry, or in public health.

The compounds of the invention may be used for example in the following applications and on the following pests:

For the control of soil insects, such as corn rootworm, termites (especially for protection of structures), root maggots, wireworms, root weevils, stalkborers, cutworms, root aphids, or grubs. They may also be used to provide activity against plant pathogenic nematodes, such as root-knot, cyst, dagger, lesion, or stem or bulb nematodes, or against mites. For the control of soil pests, for example corn rootworm, the compounds are advantageously applied to or incorporated at an effective rate into the soil in which crops are planted or to be planted or to the seeds or growing plant roots.

In the area of public health, the compounds are especially useful in the control of many insects, especially filth flies or other Dipteran pests, such as houseflies, stableflies, soldierflies, hornflies, deerflies, horseflies, midges, punkies, blackflies, or mosquitoes.

In the protection of stored products, for example cereals, including grain or flour, groundnuts, animal feedstuffs, timber or household goods, e.g. carpets and textiles, compounds of the invention are useful against attack by arthropods, more especially beetles, including weevils, moths or mites, for example *Ephestia* spp. (flour moths), *Anthrenus* spp. (carpet beetles), *Tribolium* spp. (flour beetles), *Sitophilus* spp. (grain weevils) or *Acarus* spp. (mites).

In the control of cockroaches, ants or termites or similar arthropod pests in infested domestic or industrial premises or in the control of mosquito larvae in waterways, wells, reservoirs or other running or standing water.

Moreover it has been found that the compounds of the invention exhibit high insecticidal action against insects that destroy technical materials.

As example and preferably - but not limiting - the following insects are named:

Beetles such as *Hylotrupes bajulus*, *Chlorophorus pilosis*, *Anobium punctatum*, *Xestobium rufovillosum*, *Ptilinus pecticornis*, *Dendrobium pertinex*, *Ernobius mollis*, *Priobium carpini*, *Lyctus brunneus*, *Lyctus africanus*, *Lyctus planicollis*, *Lyctus linearis*, *Lyctus pubescens*, *Trogoxylon aequale*, *Minthes rugicollis*, *Xyleborus* spec. *Tryptodendron* spec. *Apate monachus*, *Bostrychus capucins*, *Heterobostrychus brunneus*, *Sinoxylon* spec. *Dinoderus minutus*;

Hymenoptera such as *Sirex juvenicus*, *Urocerus gigas*, *Urocerus gigas taignus*, *Urocerus augur*;

Termites such as *Kaloterms flavicollis*, *Cryptoterms brevis*, *Heteroterms indicola*, *Reticulitermes flavipes*, *Reticulitermes santonensis*, *Reticulitermes lucifugus*, *Mastoterms darwiniensis*, *Zootermopsis nevadensis*, *Coptoterms formosanus*;

5 Silverfish such as *Lepisma saccharina*.

Within the present context technical materials are understood to mean non-living materials such as preferably plastics, adhesives, glues, paper and cardboard, leather, wood, wood fabrication products and paints.

10 At the same time the compounds of the invention can be used for protection against fouling of objects, especially ships' hulls, screens, nets, buildings, wharfs and signal installations that come into contact with sea or brackish water.

Moreover, the compounds of the invention can be used in combination with other active compounds as anti-fouling agents.

15 The active compounds are suitable for the control of zoopests in household, hygiene and storage protection, especially insects, arachnids and mites that appear in enclosed spaces such as apartments, factory halls, offices, vehicle cabins, etc. They can be used alone or in combination with other active compounds and auxiliaries in household insecticidal products for the control of these pests. They are active against sensitive and resistant species as well as against all development stages. These pests include:

20 The order Scorpionidea e.g. *Buthus occitanus*.

The order Acarina e.g. *Argas persicus*, *Argas reflexus*, *Bryobia* ssp., *Dermanyssus gallinae*, *Glyciphagus domesticus*, *Ornithodoros moubat*, *Rhipicephalus sanguineus*, *Trombicula alfreddugesi*, *Neutrombicula autumnalis*, *Dermatophagoides pteronissimus*, *Dermatophagoides forinae*.

The order Araneae e.g. *Aviculariidae*, *Araneidae*.

25 The order Opiliones e.g. *Pseudoscorpiones chelifer*, *Pseudoscorpiones cheiridium*, *Opiliones phalangium*.

The order Isopoda e.g. *Oniscus asellus*, *Porcellio scaber*.

The order Diplopoda e.g. *Blaniulus guttulatus*, *Polydesmus* spp..

The order Chilopoda e.g. *Geophilus* spp..

The order Zygentoma e.g. *Ctenolepisma* spp., *Lepisma saccharina*, *Lepismodes inquilinus*.

The order der Blattaria e.g. *Blatta orientalis*, *Blattella germanica*, *Blattella asahinai*, *Leucophaea maderae*, *Panchlora* spp., *Parcoblatta* spp., *Periplaneta australasiae*, *Periplaneta americana*, *Periplaneta brunnea*, *Periplaneta fuliginosa*, *Supella longipalpa*.

5 The order Saltatoria e.g. *Acheta domesticus*.

The order Dermaptera e.g. *Forficula auricularia*.

The order Isoptera e.g. *Kaloterms* spp., *Reticulitermes* spp.

The order Psocoptera e.g. *Lepinatus* spp., *Liposcelis* spp.

10 The order Coleoptera e.g. *Anthrenus* spp., *Attagenus* spp., *Dermestes* spp., *Latheticus oryzae*, *Necrobia* spp., *Ptinus* spp., *Rhizopertha dominica*, *Sitophilus granarius*, *Sitophilus oryzae*, *Sitophilus zeamais*, *Stegobium paniceum*.

15 The order Diptera e.g. *Aedes aegypti*, *Aedes albopictus*, *Aedes taeniorhynchus*, *Anopheles* spp., *Calliphora erythrocephala*, *Chrysozona pluvialis*, *Culex quinquefasciatus*, *Culex pipiens*, *Culex tarsalis*, *Drosophila* spp., *Fannia canicularis*, *Musca domestica*, *Phlebotomus* spp., *Sarcophaga carnaria*, *Simulium* spp., *Stomoxys calcitrans*, *Tipula paludosa*.

The order Lepidoptera e.g.. *Achroia grisella*, *Galleria mellonella*, *Plodia interpunctella*, *Tinea cloacella*, *Tinea pellionella*, *Tineola bisselliella*.

The order Siphonaptera e.g. *Ctenocephalides canis*, *Ctenocephalides felis*, *Pulex irritans*, *Tunga penetrans*, *Xenopsylla cheopis*.

20 The order Hymenoptera e.g. *Camponotus herculeanus*, *Lasius fuliginosus*, *Lasius niger*, *Lasius umbratus*, *Monomorium pharaonis*, *Paravespula* spp., *Tetramorium caespitum*.

The order Anoplura e.g. *Pediculus humanus capitis*, *Pediculus humanus corporis*, *Pemphigus* spp., *Phylloera vastatrix*, *Phthirus pubis*.

25 The order Heteroptera e.g. *Cimex hemipterus*, *Cimex lectularius*, *Rhodinus prolixus*, *Triatoma infestans*.

The use in the household insecticidal sector is carried out alone or in combination with other suitable active compounds such as phosphates, carbamates, pyrethroids, neonicotinoids, growth regulators or active compounds from other known classes of insecticides.

Use is carried out with aerosols, non-pressurised spray agents, e.g. pump and dusting sprays, nebulisers, misters, foamers, gels, evaporation products with evaporation platelets of cellulose or plastic, liquid evaporators, gel and membrane evaporators, propeller-driven evaporators, non-energy or passive evaporation systems, fly papers, fly traps, and fly gels, as granulates or dusts, in scatter bait or bait stations.

For the treatment of foundations, structures or soil in the prevention of the attack on building by termites, for example, *Reticulitermes* spp., *Heterotermes* spp., *Coptotermes* spp..

In agriculture against adults, larvae and eggs of Lepidoptera (butterflies and moths), e.g. *Heliothis* spp. such as *Heliothis virescens* (tobacco budworm), *Heliothis armigera* and *Heliothis zea*. Against adults and larvae of Coleoptera (beetles) e.g. *Anthonomus* spp. e.g. *grandis* (cotton boll weevil), *Leptinotarsa decemlineata* (Colorado potato beetle), *Diabrotica* spp. (corn rootworms). Against Hemiptera (Hemiptera and Homoptera) e.g. *Psylla* spp., *Bemisia* spp., *Trialeurodes* spp., *Aphis* spp., *Myzus* spp., *Megoura viciae*, *Phylloxera* spp., *Nephotettix* spp. (rice leaf hoppers), *Nilaparvata* spp..

Against Diptera e.g. *Musca* spp.. Against Thysanoptera such as *Thrips tabaci*. Against Orthoptera such as *Locusta* and *Schistocerca* spp., (locusts and crickets) e.g. *Gryllus* spp., and *Acheta* spp. for example, *Blatta orientalis*, *Periplaneta americana*, *Blatella germanica*, *Locusta migratoria migratorioides*, and *Schistocerca gregaria*. Against Collembola e.g. *Periplaneta* spp. and *Blatella* spp. (roaches).

Against arthropods of agricultural significance such as Acari (mites) e.g. *Tetranychus* spp., and *Panonychus* spp..

Against nematodes which attack plants or trees of importance to agriculture, forestry or horticulture either directly or by spreading bacterial, viral, mycoplasma or fungal diseases of the plants. For example root-knot nematodes such as *Meloidogyne* spp. (e.g. *M. incognita*).

In the field of veterinary medicine or livestock husbandry or in the maintenance of public health against arthropods which are parasitic internally or externally upon vertebrates, particularly warm-blooded vertebrates, for example domestic animals, e.g. cattle, sheep, goats, equines, swine, poultry, dogs or cats, for example Acarina, including ticks (e.g. soft-bodied ticks including *Argasidae* spp. e.g. *Argas* spp. and *Ornithodoros* spp. (e.g. *Ornithodoros moubata*); hard-bodied ticks including *Ixodidae* spp., e.g. *Boophilus* spp. e.g. *Boophilus microplus*, *Rhipicephalus* spp. e.g. *Rhipicephalus appendiculatus* and *Rhipicephalus sanguineus*; mites (e.g. *Damalinea* spp.); fleas (e.g. *Ctenocephalides* spp. e.g. *Ctenocephalides felis* (cat flea) and *Ctenocephalides canis* (dog flea)); lice e.g. *Menopon* spp.; Diptera (e.g. *Aedes* spp., *Anopheles* spp., *Musca* spp., *Hypoderma*

spp.); Hemiptera.; Dictyoptera (e.g. *Periplaneta* spp., *Blatella* spp.); Hymenoptera; for example against infections of the gastro-intestinal tract caused by parasitic nematode worms, for example members of the family Trichostrongylidae.

5 In a preferred aspect of the invention the compounds of formula (I) are used for the control of parasites of animals. Preferably the animal to be treated is a domestic companion animal such as a dog or a cat.

The parasites to be controlled include for example:

The order Anoplurida e.g. *Haematopinus* spp., *Linognathus* spp., *Pediculus* spp., *Phtirus* spp., *Solenopotes* spp.

10 The order Mallophagida and the suborders Amblycerina and Ischnocerina e.g. *Trimenopon* spp., *Menopon* spp., *Trinoton* spp., *Bovicola* spp., *Werneckiella* spp., *Lepikentron* spp., *Damalina* spp., *Trichodectes* spp., *Felicola* spp.

15 The order Diptera and the suborders Nematocerina and Brachycerina e.g. *Aedes* spp., *Anopheles* spp., *Culex* spp., *Simulium* spp., *Eusimulium* spp., *Phlebotomus* spp., *Lutzomyia* spp., *Culicoides* spp., *Chrysops* spp., *Hybomitra* spp., *Atylotus* spp., *Tabanus* spp., *Haematopota* spp., *Philipomyia* spp., *Braula* spp., *Musca* spp., *Hydrotaea* spp., *Stomoxys* spp., *Haematobia* spp., *Morellia* spp., *Fannia* spp., *Glossina* spp., *Calliphora* spp., *Lucilia* spp., *Chrysomyia* spp., *Wohlfahrtia* spp., *Sarcophaga* spp., *Oestrus* spp., *Hypoderma* spp., *Gasterophilus* spp., *Hippobosca* spp., *Lipoptena* spp., *Melophagus* spp.

20 The order Siphonapterida e.g. *Pulex* spp., *Ctenocephalides* spp., *Xenopsylla* spp., *Ceratophyllus* spp.

The order Heteropterida e.g. *Cimex* spp., *Triatoma* spp., *Rhodnius* spp., *Panstrongylus* spp.

The order Blattarida e.g. *Blatta orientalis*, *Periplaneta americana*, *Blattella germanica*, *Supella* spp.

25 The subclass Acari (Acarina) and the order Meta- and Mesostigmata e.g. *Argas* spp., *Ornithodoros* spp., *Otobius* spp., *Ixodes* spp., *Amblyomma* spp., *Boophilus* spp., *Dermacentor* spp., *Haemaphysalis* spp., *Hyalomma* spp., *Rhipicephalus* spp., *Dermanyssus* spp., *Raillietia* spp., *Pneumonyssus* spp., *Sternostoma* spp., *Varroa* spp.

30 The order Actinedida (Prostigmata) and Acaridida (Astigmata) e.g. *Acarapis* spp., *Cheyletiella* spp., *Ornithocheyletia* spp., *Myobia* spp., *Psorergates* spp., *Demodex* spp., *Trombicula* spp., *Lis-trophorus* spp., *Acarus* spp., *Tyrophagus* spp., *Caloglyphus* spp., *Hypodectes* spp., *Pterolichus* spp.,

Psoroptes spp., Chorioptes spp., Otodectes spp., Sarcoptes spp., Notoedres spp., Knemidocoptes spp., Cytodites spp., Laminosioptes spp.

5 The compounds of the invention of structure (I) are also suitable for the control of arthropods that affect agricultural animals such as cattle, sheep, goats, horses, pigs, donkeys, camels, buffalo, rabbits, chickens, turkeys, ducks, geese, bees, other domestic animals such as dogs, cats, cage birds, aquarium fish as well as so-called experimental animals such as hamsters, guinea pigs, rats and mice. By control of these arthropods death rates and performance loss (in meat, milk, wool, hides, eggs, honey, etc.) will be reduced so that a more economic and simpler animal husbandry is possible by the use of the compounds of the invention.

10 The use of the active compounds in veterinary sector and animal husbandry is carried out by known means by enteric administration in the form of, for example, tablets, capsules, drinks, drenches, granulates, pastes, boli, the feed-through process, suppositories, by parenteral administration by, for example, injection (intramuscular, subcutaneous, intravenous, interperitoneal, among others), implants, by nasal application, by dermal administration in the form of, for example, dipping,
15 spraying, pour-on and spot-on, washing, powdering and with the help of appliances containing the active compound such as collars, ear markers, tail markers, limb bands, halters, marking devices, etc.

20 During use in cattle, poultry, domestic animals, etc., the active compounds of structure (I) can be used as formulations (for example, powder, emulsions, flowable agents) that contain the active compounds in an amount of 1 to 80 wt.%, directly or after 100 to 10,000 times dilution or as a chemical bath.

In a further aspect of the invention the compounds of formula (I) or salts or compositions thereof are used for the preparation of a veterinary medicament.

The above named pests include for example:

25 the order Anoplura (Phthiraptera) e.g. Damalinia spp., Haematopinus spp., Linognathus spp., Pediculus spp., Trichodectes spp.

30 The class of Arachnida e.g. Acarus siro, Aceria sheldoni, Aculops spp., Aculus spp., Amblyomma spp., Argas spp., Boophilus spp., Brevipalpus spp., Bryobia praetiosa, Chorioptes spp., Dermayssus gallinae, Eotetranychus spp., Epitrimerus pyri, Eutetranychus spp., Eriophyes spp., Hemitarsonemus spp., Hyalomma spp., Ixodes spp., Latrodectus mactans, Metatetranychus spp., Oligonychus spp., Ornithodoros spp., Panonychus spp., Phyllocoptruta oleivora, Polyphagotarsonemus latus, Psoroptes spp., Rhipicephalus spp., Rhizoglyphus spp., Sarcoptes spp., Scorpio maurus, Stenotarsonemus spp., Tarsonemus spp., Tetranychus spp., Vasates lycopersici.

The class of Bivalva e.g. *Dreissena* spp.

The order Chilopoda e.g. *Geophilus* spp., *Scutigera* spp.

5 The order Coleoptera e.g. *Acanthoscelides obtectus*, *Adoretus* spp., *Agelastica alni*, *Agriotes* spp.,
Amphimallon solstitialis, *Anobium punctatum*, *Anoplophora* spp., *Anthonomus* spp., *Anthrenus*
 spp., *Apogonia* spp., *Atomaria* spp., *Attagenus* spp., *Bruchidius obtectus*, *Bruchus* spp., *Ceutho-*
 10 *rhynchus* spp., *Cleonus mendicus*, *Conoderus* spp., *Cosmopolites* spp., *Costelytra zealandica*, *Cur-*
culio spp., *Cryptorhynchus lapathi*, *Dermestes* spp., *Diabrotica* spp., *Epilachna* spp., *Faustinus cu-*
bae, *Gibbium psylloides*, *Heteronychus arator*, *Hylamorphia elegans*, *Hylotrupes bajulus*, *Hypera*
postica, *Hypothenemus* spp., *Lachnosterna consanguinea*, *Leptinotarsa decemlineata*, *Lissorhoptrus*
 15 *oryzophilus*, *Lixus* spp., *Lyctus* spp., *Meligethes aeneus*, *Melolontha melolontha*, *Migdolus* spp.,
Monochamus spp., *Naupactus xanthographus*, *Niptus hololeucus*, *Oryctes rhinoceros*, *Oryzaephilus*
surinamensis, *Otiorrhynchus sulcatus*, *Oxycetonia jucunda*, *Phaedon cochleariae*, *Phyllophaga* spp.,
Popillia japonica, *Premnotrypes* spp., *Psylliodes chrysocephala*, *Ptinus* spp., *Rhizobius ventralis*,
 20 *Rhizopertha dominica*, *Sitophilus* spp., *Sphenophorus* spp., *Sternechus* spp., *Symphyletes* spp.,
 15 *Tenebrio molitor*, *Tribolium* spp., *Trogoderma* spp., *Tychius* spp., *Xylotrechus* spp., *Zabrus* spp.

The order Collembola e.g. *Onychiurus armatus*.

The order Dermaptera e.g. *Forficula auricularia*.

The order Diplopoda e.g. *Blaniulus guttulatus*.

20 The order Diptera e.g. *Aedes* spp., *Anopheles* spp., *Bibio hortulanus*, *Calliphora erythrocephala*,
Ceratitis capitata, *Chrysomyia* spp., *Cochliomyia* spp., *Cordylobia anthropophaga*, *Culex* spp.,
Cuterebra spp., *Dacus oleae*, *Dermatobia hominis*, *Drosophila* spp., *Fannia* spp., *Gastrophilus* spp.,
Hylemyia spp., *Hyppobosca* spp., *Hypoderma* spp., *Liriomyza* spp., *Lucilia* spp., *Musca* spp.,
Nezara spp., *Oestrus* spp., *Oscinella frit*, *Pegomyia hyoscyami*, *Phorbia* spp., *Stomoxys* spp.,
Tabanus spp., *Tannia* spp., *Tipula paludosa*, *Wohlfahrtia* spp.

25 The class Gastropoda e.g. *Arion* spp., *Biomphalaria* spp., *Bulinus* spp., *Deroceras* spp., *Galba* spp.,
Lymnaea spp., *Oncomelania* spp., *Succinea* spp.

30 The class of Helminths e.g. *Ancylostoma duodenale*, *Ancylostoma ceylanicum*, *Ancylostoma bra-*
ziliensis, *Ancylostoma* spp., *Ascaris lubricoides*, *Ascaris* spp., *Brugia malayi*, *Brugia timori*,
Bunostomum spp., *Chabertia* spp., *Clonorchis* spp., *Cooperia* spp., *Dicrocoelium* spp., *Dictyocaulus*
filaria, *Diphyllbothrium latum*, *Dracunculus medinensis*, *Echinococcus granulosus*, *Echinococcus*
multilocularis, *Enterobius vermicularis*, *Faciola* spp., *Haemonchus* spp., *Heterakis* spp., *Hymeno-*
lepis nana, *Hyostrogylus* spp., *Loa Loa*, *Nematodirus* spp., *Oesophagostomum* spp., *Opisthorchis*

spp., *Onchocerca volvulus*, *Ostertagia* spp., *Paragonimus* spp., *Schistosomen* spp, *Strongyloides fuelleborni*, *Strongyloides stercoralis*, *Strongyloides* spp., *Taenia saginata*, *Taenia solium*, *Trichinella spiralis*, *Trichinella nativa*, *Trichinella britovi*, *Trichinella nelsoni*, *Trichinella pseudopsiralis*, *Trichostrongylus* spp., *Trichuris trichuria*, *Wuchereria bancrofti*.

5 In addition protozoa such as *Eimeria* may be controlled.

The order Heteroptera e.g. *Anasa tristis*, *Antestiopsis* spp., *Blissus* spp., *Calocoris* spp., *Campylomma livida*, *Cavelerius* spp., *Cimex* spp., *Creontiades dilutus*, *Dasynus piperis*, *Dichelops furcatus*, *Diconocoris hewetti*, *Dysdercus* spp., *Euschistus* spp., *Eurygaster* spp., *Heliopeltis* spp., *Horcias nobilellus*, *Leptocorisa* spp., *Leptoglossus phyllopus*, *Lygus* spp., *Macropes excavatus*, *Miridae*, *Nezara* spp., *Oebalus* spp., *Pentomidae*, *Piesma quadrata*, *Piezodorus* spp., *Psallus seriatus*,
10 *Pseudacysta persea*, *Rhodnius* spp., *Sahlbergella singularis*, *Scotinophora* spp., *Stephanitis nashi*, *Tibraca* spp., *Triatoma* spp.

The order Homoptera e.g. *Acyrtosipon* spp., *Aeneolamia* spp., *Agonoscena* spp., *Aleurodes* spp., *Aleurolobus barodensis*, *Aleurothrixus* spp., *Amrasca* spp., *Anuraphis cardui*, *Aonidiella* spp.,
15 *Aphanostigma piri*, *Aphis* spp., *Arboridia apicalis*, *Aspidiella* spp., *Aspidiotus* spp., *Atanus* spp., *Aulacorthum solani*, *Bemisia* spp., *Brachycaudus helichrysi*, *Brachycolus* spp., *Brevicoryne brassicae*, *Calligypona marginata*, *Carneocephala fulgida*, *Ceratovacuna lanigera*, *Cercopidae*, *Ceroplastes* spp., *Chaetosiphon fragaefolii*, *Chionaspis tegalensis*, *Chlorita onukii*, *Chromaphis juglandicola*, *Chrysomphalus ficus*, *Cicadulina mbila*, *Cocomytilus halli*, *Coccus* spp., *Cryptomyzus*
20 *ribis*, *Dalbulus* spp., *Dialeurodes* spp., *Diaphorina* spp., *Diaspis* spp., *Doralis* spp., *Drosicha* spp., *Dysaphis* spp., *Dysmicoccus* spp., *Empoasca* spp., *Eriosoma* spp., *Erythroneura* spp., *Euscelis bilobatus*, *Geococcus coffeae*, *Homalodisca coagulata*, *Hyalopterus arundinis*, *Icerya* spp., *Idiocerus* spp., *Idioscopus* spp., *Laodelphax striatellus*, *Lecanium* spp., *Lepidosaphes* spp., *Lipaphis erysimi*, *Macrosiphum* spp., *Mahanarva fimbriolata*, *Melanaphis sacchari*, *Metcalfiella* spp., *Metopolophium dirhodum*, *Monellia costalis*, *Monelliopsis pecanis*, *Myzus* spp., *Nasonovia ribisnigri*,
25 *Nephotettix* spp., *Nilaparvata lugens*, *Oncometopia* spp., *Orthezia praelonga*, *Parabemisia myricae*, *Paratrioza* spp., *Parlatoria* spp., *Pemphigus* spp., *Peregrinus maidis*, *Phenacoccus* spp., *Phloeomyzus passerinii*, *Phorodon humuli*, *Phylloxera* spp., *Pinnaspis aspidistrae*, *Planococcus* spp., *Protopulvinaria pyriformis*, *Pseudaulacaspis pentagona*, *Pseudococcus* spp., *Psylla* spp.,
30 *Pteromalus* spp., *Pyrilla* spp., *Quadraspidiotus* spp., *Quesada gigas*, *Rastrococcus* spp., *Rhopalosiphum* spp., *Saissetia* spp., *Scaphoides titanus*, *Schizaphis graminum*, *Selenaspidus articulatus*, *Sogata* spp., *Sogatella furcifera*, *Sogatodes* spp., *Stictocephala festina*, *Tenalaphara malayensis*, *Tinocallis caryaefoliae*, *Tomaspis* spp., *Toxoptera* spp., *Trialeurodes vaporariorum*, *Triozza* spp., *Typhlocyba* spp., *Unaspis* spp., *Viteus vitifolii*.

The order Hymenoptera e.g. *Diprion* spp., *Hoplocampa* spp., *Lasius* spp., *Monomorium pharaonis*, *Vespa* spp.

The order Isopoda e.g. *Armadillidium vulgare*, *Oniscus asellus*, *Porcellio scaber*.

The order Isoptera e.g. *Reticulitermes* spp., *Odontotermes* spp..

- 5 The order Lepidoptera e.g. *Acronicta major*, *Aedia leucomelas*, *Agrotis* spp., *Alabama argillacea*,
Anticarsia spp., *Barathra brassicae*, *Bucculatrix thurberiella*, *Bupalus piniarius*, *Cacoecia podana*,
Capua reticulana, *Carpocapsa pomonella*, *Cheimatobia brumata*, *Chilo* spp., *Choristoneura fumi-*
ferana, *Clysia ambiguella*, *Cnaphalocerus* spp., *Earias insulana*, *Ephestia kuehniella*, *Euproctis*
10 *chrysorrhoea*, *Euxoa* spp., *Feltia* spp., *Galleria mellonella*, *Helicoverpa* spp., *Heliothis* spp., *Hof-*
mannophila pseudospretella, *Homona magnanima*, *Hyponomeuta padella*, *Laphygma* spp., *Litho-*
colletis blancardella, *Lithophane antennata*, *Loxagrotis albicosta*, *Lymantria* spp., *Malacosoma*
neustria, *Mamestra brassicae*, *Mocis repanda*, *Mythimna separata*, *Oria* spp., *Oulema oryzae*, *Pano-*
lis flammea, *Pectinophora gossypiella*, *Phyllocnistis citrella*, *Pieris* spp., *Plutella xylostella*, *Prode-*
15 *nia* spp., *Pseudaletia* spp., *Pseudoplusia includens*, *Pyrausta nubilalis*, *Spodoptera* spp., *Thermesia*
gemmatilis, *Tinea pellionella*, *Tineola bisselliella*, *Tortrix viridana*, *Trichoplusia* spp.

The order Orthoptera e.g. *Acheta domesticus*, *Blatta orientalis*, *Blattella germanica*, *Gryllotalpa* spp., *Leucophaea maderae*, *Locusta* spp., *Melanoplus* spp., *Periplaneta americana*, *Schistocerca gregaria*.

The order Siphonaptera e.g. *Ceratophyllus* spp., *Xenopsylla cheopis*.

- 20 The order Symphyla e.g. *Scutigera* immaculata.

The order Thysanoptera e.g. *Baliothrips biformis*, *Enneothrips flavens*, *Frankliniella* spp., *Heliothrips* spp., *Hercinothrips femoralis*, *Kakothrips* spp., *Rhipiphorothrips cruentatus*, *Scirtothrips* spp., *Taeniothrips cardamoni*, *Thrips* spp.

The order Thysanura e.g. *Lepisma saccharina*.

- 25 The plant parasitic nematodes include, for example, *Anguina* spp., *Aphelenchoides* spp., *Bellonoaimus* spp., *Bursaphelenchus* spp., *Ditylenchus dipsaci*, *Globodera* spp., *Heliocotylenchus* spp., *Heterodera* spp., *Longidorus* spp., *Meloidogyne* spp., *Pratylenchus* spp., *Radopholus similis*, *Rotylenchus* spp., *Trichodorus* spp., *Tylenchorhynchus* spp., *Tylenchulus* spp., *Tylenchulus semipenetrans*, *Xiphinema* spp.

The compounds of structure (I) of the invention are characterised particularly by strong action against aphids (e.g. *Aphis gossypii* and *Myzus persicae*), beetle larvae (e.g. *Phaedon cochleariae*), butterfly caterpillars (e.g. *Plutella xylostella*, *Spodoptera exigua* and *Spodoptera frugiperda*).

5 The compounds of the invention can optionally also be used in certain concentrations or application amounts as herbicides, safeners, growth regulators, or as agents for improving plant properties or as microbiocides, for example as fungicides, antimycotics, bactericides, viricides (including agents against viroids) or as agents against MLO (*Mycoplasma*-like organism) and RLO (*Rickettsia*-like organism). They may also be optionally used as intermediates or precursors for the synthesis of further active compounds.

10 According to the invention all plants and plant parts can be treated. Plants are hereby understood to mean all plants and plant populations such as desirable and undesirable wild plants or cultigens (including naturally occurring cultigens). Cultigens can be plants that can be obtained by conventional breeding and optimisation methods or by biotechnology or genetic engineering methods or combina-
15 tions of these methods, including transgenic plants and including plant varieties that are protectable or not protectable by plant varieties protection rights. Plant parts are understood to be all above ground and below ground parts and organs of the plants such as scion, leaf, blossom and root, including, for example, leaves, needles, stalks, stems, blossoms, fruiting bodies, fruits and seed as well as roots, bulbs, rhizomes. Harvest crops as well as vegetative and generative reproduction material, for example cuttings, bulbs, rhizomes, shoots and seed also belong to plant parts.

20 A further feature of the invention thus relates to the use of a compound of formula (I) or a salt thereof, or of a composition thereof, for the control of pests.

In practical use for the control of arthropods, especially insects or mites, or helminths, especially nematode pests of plants, a method, for example, comprises applying to the plants or to the medium in which they grow an effective amount of a compound of the invention. For such a method, the
25 compound of the invention is generally applied to the locus in which the arthropod or nematode infestation is to be controlled at an effective rate in the range of about 2g to about 1kg of the active compound per hectare of locus treated. Under ideal conditions, depending on the pest to be controlled, a lower rate may offer adequate protection. On the other hand, adverse weather conditions, resistance of the pest or other factors may require that the active ingredient be used at higher rates.

30 The optimum rate depends usually upon a number of factors, for example, the type of pest being controlled, the type or the growth stage of the infested plant, the row spacing or also the method of application. Preferably an effective rate range of the active compound is from about 10g/ha to about 400g/ha, more preferably from about 50g/ha to about 200 g/ha.

When a pest is soil-borne, the active compound generally in a formulated composition, is distributed evenly over the area to be treated (ie, for example broadcast or band treatment) in any convenient manner and is applied at rates from about 10g/ha to about 400g ai/ha, preferably from about 50g/ha to about 200 g ai/ha. When applied as a root dip to seedlings or drip irrigation to plants the liquid solution or suspension contains from about 0.075 to about 1000 mg ai/l, preferably from about 25 to about 200 mg ai/l. Application may be made, if desired, to the field or crop-growing area generally or in close proximity to the seed or plant to be protected from attack. The compound of the invention can be washed into the soil by spraying with water over the area or can be left to the natural action of rainfall.

During or after application, the formulated compound can, if desired, be distributed mechanically in the soil, for example by ploughing, disking, or use of drag chains. Application can be prior to planting, at planting, after planting but before sprouting has taken place, or after sprouting.

The compound of the invention and methods of control of pests therewith are of particular value in the protection of field, forage, plantation, glasshouse, orchard or vineyard crops, of ornamentals, or of plantation or forest trees, for example: cereals (such as wheat or rice), cotton, vegetables (such as peppers), field crops (such as sugar beets, soybeans or oil seed rape), grassland or forage crops (such as maize or sorghum), orchards or groves (such as of stone or pit fruit or citrus), ornamental plants, flowers or vegetables or shrubs under glass or in gardens or parks, or forest trees (both deciduous and evergreen) in forests, plantations or nurseries.

They are also valuable in the protection of timber (standing, felled, converted, stored or structural) from attack, for example, by sawflies or beetles or termites.

They have applications in the protection of stored products such as grains, fruits, nuts, spices or tobacco, whether whole, milled or compounded into products, from moth, beetle, mite or grain weevil attack. Also protected are stored animal products such as skins, hair, wool or feathers in natural or converted form (e.g. as carpets or textiles) from moth or beetle attack as well as stored meat, fish or grains from beetle, mite or fly attack.

Additionally, the compound of the invention and methods of use thereof are of particular value in the control of arthropods or helminths which are injurious to, or spread or act as vectors of diseases domestic animals, for example those hereinbefore mentioned, and more especially in the control of ticks, mites, lice, fleas, midges, or biting, nuisance or myiasis flies. The compounds of the invention are particularly useful in controlling arthropods or helminths which are present inside domestic host animals or which feed in or on the skin or suck the blood of the animal, for which purpose they may be administered orally, parenterally, percutaneously or topically.

The compositions hereinafter described for application to growing crops or crop growing loci or as a seed dressing may, in general, alternatively be employed in the protection of stored products, household goods, property or areas of the general environment. Suitable means of applying the compounds of the invention include:

5 to growing crops as foliar sprays (for example as an in-furrow spray), dusts, granules, fogs or foams or also as suspensions of finely divided or encapsulated compositions as soil or root treatments by liquid drenches, dusts, granules, smokes or foams; to seeds of crops via application as seed dressings, e.g. by liquid slurries or dusts;

10 to animals infested by or exposed to infestation by arthropods or helminths, by parenteral, oral or topical application of compositions in which the active ingredient exhibits an immediate and/or prolonged action over a period of time against the arthropods or helminths, for example by incorporation in feed or suitable orally-ingestible pharmaceutical formulations, edible baits, salt licks, dietary supplements, pour-on formulations, sprays, baths, dips, showers, jets, dusts, greases, shampoos, creams, wax smears or livestock self-treatment systems;

15 to the environment in general or to specific locations where pests may lurk, including stored products, timber, household goods, or domestic or industrial premises, as sprays, fogs, dusts, smokes, wax-smears, lacquers, granules or baits, or in tricklefeeds to waterways, wells, reservoirs or other running or standing water.

20 The compounds of formula (I) are particularly useful for the control of parasites of animals when applied orally, and in a further preferred aspect of the invention the compounds of formula (I) are used for the control of parasites of animals by oral application. The compounds of the formula (I) or salts thereof may be administered before, during or after meals. The compounds of the formula (I) or salts thereof may be mixed with a carrier and/or foodstuff.

25 The compound of the formula (I) or salt thereof is administered orally in a dose to the animal in a dose range generally from 0.1 to 500 mg/kg of the compound of the formula (I) or salt thereof per kilogram of animal body weight (mg/kg).

The frequency of treatment of the animal, preferably the domestic animal to be treated by the compound of the formula (I) or salt thereof is generally from about once per week to about once per year, preferably from about once every two weeks to once every three months.

30 The compounds of the invention may be administered most advantageously with another parasitically effective material, such as an endoparasiticide, and/or an ectoparasiticide, and/or an endectoparasiticide. For example, such compounds include macrocyclic lactones such as avermectins or

milbemycins e.g., ivermectin, pyratel or an insect growth regulator such as lufenuron or methoprene.

The compounds of the formula (I) can also be employed for controlling harmful organisms in crops of known genetically engineered plants or genetically engineered plants yet to be developed. As a rule, the transgenic plants are distinguished by especially advantageous properties, for example by resistances to particular crop protection agents, resistances to plant diseases or pathogens of plant diseases, such as particular insects or microorganisms such as fungi, bacteria or viruses. Other particular properties concern, for example, the harvested material with regard to quantity, quality, storage properties, composition and specific constituents. Thus, transgenic plants are known where the starch content is increased, or the starch quality is altered, or where the harvested material has a different fatty acid composition.

All plants that have received by genetic engineering modification genetic material that imparts particularly advantageous valuable properties ("traits") to these plants belong to the transgenic (obtained by genetic engineering) plants or plant varieties to be preferably treated in accordance with the invention. Examples of such properties are improved plant growth, increased tolerance toward high or low temperatures, increased tolerance toward drought or toward water or soil salt content, improved blossoming performance, simplified harvesting, accelerated ripening, increased harvest yields, improved quality and/or nutritional value of the crop, better storage life and/or processing of the crop. Further and particularly emphasised examples of such properties are increased resistance of the plants toward zoopests and microbial pests, such as toward insects, mites, pathogenic plant fungi, bacteria and/or viruses as well as an increased tolerance of the plants toward certain herbicides. Examples of such transgenic plants are the important cultigens such as cereals (wheat, rice), maize, soy, potato, sugar beet, tomato, peas, and other vegetable varieties, cotton, tobacco, rape as well as fruit plants (with the fruits apple, pear, citrus fruits and grapes), whereby maize, soy, potato, cotton, tobacco and rape are especially emphasised. Properties ("traits") especially emphasised are the increased tolerance of the plants toward insects, arachnids, nematodes and gastropods through the toxins formed in the plants, especially those that are produced in the plants (hereinafter known as "Bt plants") by the genetic material from *Bacillus thuringiensis* (e.g. from the genes CryIA(a), CryIA(b), CryIA(c), CryIIA, CryIIIA, CryIIIB2, Cry9c Cry2Ab, Cry3Bb and CryIF as well as their combinations). Also particularly emphasised as properties ("traits") is the increased resistance of plants toward fungi, bacteria and viruses through systemically acquired resistance (SAR), systemin, phytoalexine, elicitors and resistance genes and correspondingly expressed proteins and toxins. Further particularly emphasised properties ("traits") are the increased tolerance of the plants to certain active herbicidal compounds, for example imidazolinones, sulphonylureas, glyphosate or phosphinotricin (e.g. "PAT"-gene). The respective genes imparting the desired properties ("traits") can also occur in the transgenic plants in combination with each other.

Examples of such "Bt plants" are maize varieties, cotton varieties, soy varieties and potato varieties that are marketed under the trade marks YIELD GARD® (e.g. maize, cotton, soy), KnockOut® (e.g. maize), StarLink® (e.g. maize), Bollgard® (cotton), Nucotn® (cotton) and NewLeaf® (potato). Examples of herbicide tolerant plants are maize varieties, cotton varieties and soy varieties that are marketed under the trade marks Roundup Ready® (tolerance toward glyphosate, e.g. maize, cotton, soy), Liberty Link® (tolerance toward phosphinotricin, e.g. rape), IMI® (tolerance toward imidazolinones) and STS® (tolerance toward sulphonyl ureas, e.g. maize). Also mentioned as herbicide resistant (conventionally bred for herbicide tolerance) plants are those varieties marketed under the name Clearfield® (e.g. maize). Naturally these statements also apply to plant varieties developed or marketed in the future with these genetic properties ("traits") or those developed in the future.

The use in economically important transgenic crops of useful plants and ornamentals is preferred, for example of cereals such as wheat, barley, rye, oats, millet, rice, cassava and maize or else crops of sugar beet, cotton, soya, oilseed rape, potatoes, tomatoes, peas and other types of vegetables.

When used in transgenic crops, in particular those which have resistances to insects, effects are frequently observed, in addition to the effects against harmful organisms to be observed in other crops, which are specific for application in the transgenic crop in question, for example an altered or specifically widened spectrum of pests which can be controlled, or altered application rates which may be employed for application.

The invention therefore also relates to the use of compounds of the formula (I) for controlling harmful organisms in transgenic crop plants.

According to a further feature of the present invention there is provided a pesticidal composition comprising one or more compounds of the invention as defined above, in association with, and preferably homogeneously dispersed in one or more compatible pesticidally acceptable diluents or carriers and/or surface active agents [i.e. diluents or carriers and/or surface active agents of the type generally accepted in the art as being suitable for use in pesticidal compositions and which are compatible with compounds of the invention].

In practice, the compounds of the invention most frequently form parts of compositions. These compositions can be employed to control arthropods, especially insects, or plant nematodes or mites. The compositions may be of any type known in the art suitable for application to the desired pest in any premises or indoor or outdoor area. These compositions contain at least one compound of the invention as the active ingredient in combination or association with one or more other compatible components which are for example, solid or liquid carriers or

diluents, adjuvants, surface-active-agents, or the like appropriate for the intended use and which are agronomically or medicinally acceptable. These compositions, which may be prepared by any manner known in the art, likewise form a part of this invention.

5 The compounds of the invention, in their commercially available formulations and in the use forms prepared from these formulations may be present in mixtures with other active substances such as insecticides, attractants, sterilants, acaricides, nematocides, fungicides, growth regulatory substances or herbicides.

The pesticides include, for example, phosphoric esters, carbamates, carboxylic esters, formamidi-
nes, tin compounds and materials produced by microorganisms.

10 Preferred components in mixtures are:

Fungicides:

Nucleic acid synthesis inhibitors

benalaxyl, benalaxyl-M, bupirimate, chiralaxyl, clozylacon, dimethirimol, ethirimol, furalaxyl,
hymexazol, metalaxyl, metalaxyl-M, ofurace, oxadixyl, oxolinic acid

15 Inhibitors of mitosis and cell division

benomyl, carbendazim, diethofencarb, fuberidazole, pencycuron, thiabendazole, thiophanate-
methyl, zoxamis

Inhibitor of respiratory complex I

diflumetorim

20 Inhibitors of respiratory complex II

boscalid, carboxin, fenfuram, flutolanil, furametpyr, mepronil, oxycarboxin, penthiopyrad,
thiifluzamide

Inhibitor of respiratory complex III

25 azoxystrobin, cyazofamide, dimoxystrobin, enestrobin, famoxadone, fenamidone, fluox-
astrobin, kresoximmethyl, metominostrobin, orysastrobin, pyraclostrobin, picoxystrobin

Decouplers

dinocap, fluazinam

Inhibitors of ATP production

fentin acetate, fentin chloride, fentin hydroxide, silthiofam

5 Inhibitor of amino acid and protein biosynthesis

andoprim, blasticidin-S, cyprodinil, kasugamycin, kasugamycin hydrochloride hydrate, mepanipyrin, pyrimethanil

Inhibitors of signal transduction

fenpiclonil, fludioxonil, quinoxifen

10 Inhibitors of fat and membrane synthesis

chlozolate, iprodione, procymidone, vinclozolin

ampropylfos, potassium ampropylfos, edifenphos, iprobenfos (IBP), isoprothiolane, pyrazophos

tolclofos-methyl, biphenyl

15 iodocarb, propamocarb, propamocarb hydrochloride

Inhibitors of ergosterol biosynthesis

fenhexamide,

20 azaconazole, bitertanol, bromuconazole, cyproconazole, diclobutrazole, difenoconazole, diniconazole, diniconazole-M, epoxiconazole, etaconazole, fenbuconazole, fluquinconazole, flusilazole, flutriafol, furconazole, furconazole-cis, hexaconazole, imibenconazole, ipconazole, metconazole, myclobutanil, paclobutrazole, penconazole, propiconazole, prothioconazole, simeconazole, tebuconazole, tetraconazole, triadimefon, triadimenol, triticonazole, uniconazole, voriconazole, imazalil, imazalil sulphate, oxpoconazole, fenarimol, flurprimidol, nuarimol, pyrifenoxy, triforin, pefurazoate, prochloraz, triflumizole, viniconazole,

- 31 -

aldimorph, dodemorph, dodemorph acetate, fenpropimorph, tridemorph, fenpropidin, spirox-
amine,

naftifin, pyributicarb, terbinafin

Inhibitors of cell wall synthesis

5 benthiavalicarb, bialaphos, dimethomorph, flumorph, iprovalicarb, polyoxins, polyoxorim,
validamycin A

Inhibitors of melanin biosynthesis

capropamide, diclocymet, fenoxanil, phtalide, pyroquilon, tricyclazole

Resistance induction

10 acibenzolar-S-methyl, probenazole, tiadinil

Multisite

15 captafol, captan, chlorothalonil, copper salts: copper hydroxide, copper naphthenate, copper
oxychloride, copper sulphate, copper oxide, oxine-copper and Bordeaux mixture, dichloflua-
nid, dithianon, dodin, dodin freie base, ferbam, fluorofolpet, guazatin, guazatin acetate, imi-
noctadin, iminoctadine albesilate, iminoctadine triacetate, mancopper, mancozeb, maneb,
metiram, metiram zinc, propineb, sulphur and sulphur preparations containing calcium poly-
sulphide, thiram, tolylfluanid, zineb, ziram

Unknown mechanism

20 amibromdol, benthiazole, bethoxazin, capsimycin, carvone, quinoline methionate, chloropic-
rin, cufraneb, cyflufenamide, cymoxanil, dazomet, debacarb, diclomezine, dichlorophen, di-
cloran, difenzoquat, difenzoquat methyl sulphate, diphenylamine, ethaboxam, ferimzone, flu-
metover, flusulfamide, fluopicolide, fluoroimide, hexachlorobenzene, 8-hydroxyquinoline
sulphate, irumamycin, methasulphocarb, metrafenone, methyl isothiocyanate, mildiomyacin,
natamycin, nickel dimethyldithiocarbamate, nitrothal-isopropyl, octhilinone, oxamocarb, oxy-
fenthiin, pentachlorophenol and salts, 2-phenylphenol and salts, piperalin, propanosin –
25 sodium, proquinazid, pyrrolnitrin, quintozen, tecloftalam, tecnazen, triazoxido, trichlamide,
zarilamide and 2,3,5,6-tetrachloro-4-(methylsulphonyl)pyridine, N-(4-chloro-2-nitrophenyl)-

N-ethyl-4-methylbenzenesulphonamide, 2-amino-4-methyl-N-phenyl-5-thiazole carboxamide,
 2-chloro-N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-pyridine carboxamide, 3-[5-(4-
 chlorophenyl)-2,3-dimethylisoxazolidin-3-yl]pyridine, cis-1-(4-chlorophenyl)-2-(1H-1,2,4-
 triazol-1-yl)cycloheptanol, 2,4-dihydro-5-methoxy-2-methyl-4-[[[1-[3-(trifluoromethyl)-
 5 phenyl]ethyliden]amino]oxy]methyl]phenyl]-3H-1,2,3-triazol-3-one (185336-79-2), methyl 1-
 (2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-1H-imidazole-5-carboxylate, 3,4,5-trichloro-2,6-
 pyridine dicarbonitriol, methyl 2-[[[cyclopropyl[(4-methoxyphenyl) imino]methyl]-
 10 thio]methyl]-.alpha.-(methoxymethylen)-benzacetate, 4-chloro-alpha-propinyloxy-N-[2-[3-
 methoxy-4-(2-propinyloxy)phenyl]ethyl]-benzacetamide, (2S)-N-[2-[4-[[3-(4-chlorophenyl)-
 2-propinyl]oxy]-3-methoxyphenyl]ethyl]- 3-methyl-2-[(methanesulphonyl)amino]-butanamide,
 5-chloro-7-(4-methylpiperidin-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine,
 5-chloro-6-(2,4,6-trifluorophenyl)-N-[(1R)-1,2,2-trimethylpropyl][1,2,4]triazolo[1,5-a]pyrimi-
 dine-7-amine, 5-chloro-N-[(1R)-1,2-dimethylpropyl]-6-(2,4,6-trifluorophenyl) [1,2,4]triazolo-
 15 amide, N-[1-(5-bromo-3-chloropyridin-2-yl)ethyl]-2,4-dichloronicotin-
 amide, N-(5-bromo-3-chloropyridin-2-yl)methyl-2,4-dichloronicotinamide, 2-butoxy-6-iodo-
 3-propylbenzopyranon-4-one, N-{(Z)-[(cyclopropylmethoxy) imino][6-(difluoromethoxy)-
 2,3-difluorophenyl]methyl}-2-benzacetamide, N-(3-ethyl-3,5,5-trimethylcyclohexyl)-3-
 formylamino-2-hydroxybenzamide, 2-[[[1-[3(1 fluoro-2-phenylethyl)oxy]phenyl ethylidene]-
 amino]oxy]methyl]-alpha-(methoxyimino)-N-methyl-alphaE-benzacetamide, N-{2-[3-chloro-
 20 5-(trifluoromethyl)pyridin-2-yl]ethyl}-2-(trifluoromethyl)benzamide, N-(3',4'-dichloro-5-flu-
 orobiphenyl-2-yl)-3-(difluoromethyl)-1-methyl-1H-pyrazole-4-carboxamide, N-(6-Methoxy-
 3-pyridinyl)-cyclopropane carboxamide, 1-[(4-methoxyphenoxy)methyl]-2,2-dimethylpropyl-
 1H-imidazole-1- carboxylic acid, O-[1-[(4-methoxyphenoxy)methyl]-2,2-dimethylpropyl]-
 1H-imidazole- 1- carbothioic acid, 2-(2-{[6-(3-chlor-2-methylphenoxy)-5-fluoropyrimidin-4-
 25 yl]oxy} phenyl)-2-(methoxyimino)-N-methylacetamide

Bactericides:

bronopol, dichlorophen, nitrapyrin, nickel dimethyldithiocarbamate, kasugamycin, octhilinon, fu-
 ran carboxylic acid, oxytetracyclin, probenazol, streptomycin, tecloftalam, copper sulphate and
 other copper preparations.

30 Insecticide / Acaricide / Nematicide:

Acetylcholinesterase (AChE) inhibitors

carbamates,

for example alanycarb, aldicarb, aldoxycarb, allyxycarb, aminocarb, bendiocarb, benfura-
 carb, bufencarb, butacarb, butocarboxim, butoxycarboxim, carbaryl, carbofuran, carbosul-

fan, cloethocarb, dimetilan, ethiofencarb, fenobucarb, fenothiocarb, formetanate, furathio-
carb, isoprocarb, metam-sodium, methiocarb, methomyl, metolcarb, oxamyl, pirimicarb,
promecarb, propoxur, thiodicarb, thiofanox, trimethacarb, XMC, xylylcarb, triazamate

organophosphates,

5 for example acephate, azamethiphos, azinphos (-methyl, -ethyl), aromophos-ethyl, arom-
fenvinfos (-methyl), autathiofos, cadusafos, carbophenothion, chlorethoxyfos, chlorfenvin-
phos, chlormephos, chlorpyrifos (-methyl/-ethyl), coumaphos, cyanofenphos, cyanophos,
10 chlorfenvinphos, demeton-S-methyl, demeton-S-methylsulphone, dialifos, diazinone, di-
chlofenthione, dichlorvos/DDVP, dicrotophos, dimethoate, dimethylvinphos, diox-
benzofos, disulfoton, EPN, ethion, ethoprophos, etrimfos, famphur, fenamiphos, feni-
trothion, fensulfothion, fenthion, flupyrzofos, fonofos, formothion, fosmethilan, fos-
thiazate, heptenophos, iodofenphos, iprobenfos, isazofos, isofenphos, isopropyl O-
salicylate, isoxathion, malathion, mecarbam, methacrifos, methamidophos, methidathion,
15 mevinphos, monocrotophos, naled, omethoate, oxydemeton-methyl, parathion (-methyl/
-ethyl), phenthoate, phorate, phosalone, phosmet, phosphamidone, phosphocarb, Phoxim,
pirimiphos (-methyl/-ethyl), profenofos, propaphos, propetamphos, prothiofos, prothoate,
pyraclofos, pyridaphenthion, pyridathion, quinalphos, sebufos, sulfotep, sulprofos, te-
bupirimfos, temephos, terbufos, tetrachlorvinphos, thiometon, triazophos, triclofon, vami-
dothion

20 Sodium channel modulators / voltage-dependent sodium channel blockers

pyrethroids,

for example acrinathrin, allethrin (d-cis-trans, d-trans), beta-cyfluthrin, bifenthrin, bioal-
lethrin, bioallethrin-S-cyclopentyl-isomer, bioethanomethrin, biopermethrin, bioresme-
thrin, chlovaporthrin, cis-cypermethrin, cis-resmethrin, cis-permethrin, clocythrins, cyclo-
25 prothrin, cyfluthrin, cyhalothrin, cypermethrin (alpha-, beta-, theta-, zeta), cyphenothrin,
deltamethrin, empenthrin (1R-isomer), esfenvaterate, etofenprox, fenfluthrin, fen-
propathrin, fenpyrithrin, fenvalerate, flubrocycythrinate, flucythrinate, flufenprox, flumethrin,
fluvalinate, fubfenprox, gamma-cyhalothrin, imiprothrin, kadethrin, lambda-cyhalothrin,
metofluthrin, permethrin (cis-, trans-), phenothrin (1R-trans isomer), prallethrin, proflu-
30 thrin, protrifenbute, pyresmethrin, resmethrin, RU 15525, silafluofen, tau-fluvalinate, teflu-
thrin, terallethrin, tetramethrin (-1R- isomer), tralomethrin, transfluthrin, ZXI 8901, pyre-
thrins (pyrethrum)

DDT

oxadiazines, for example indoxacarb

Acetylcholine receptor agonists/antagonists

chloronicotinylns,for example acetamiprid, clothianidin, dinotefuran, imidacloprid, nitenpyram, nithiazine, thiacloprid, thiamethoxam

nicotine, bensultap, cartap

5 Acetylcholine receptor modulators

Spinosyns, for example spinosad

GABA controlled chloride channel antagonists

Organochlorines, for example camphechlor, chlordane, endosulfan, gamma-HCH, HCH, heptachlor, lindane, methoxychlor

10 Fiproles, for example acetoprole, ethiprole, fipronil, pyrafluprole, pyriprole, vaniliprole

Chloride channel activators

Mectins, for example avermectin, emamectin, emamectin benzoate, ivermectin, milbemycin

15 Juvenile hormone mimetics, for example diofenolan, epofenonane, fenoxycarb, hydroprene, kinoprene, methoprene, pyriproxifen, triprene

Ecdysone agonists/disruptors

diacylhydrazines, for example chromafenozide, halofenozide, methoxyfenozide, tebufenozide

Inhibitors of chitin biosynthesis

20 Benzoylureas, for example bistrifluron, chlofluzuron, diflubenzuron, fluazuron, flucycloxuron, flufenoxuron, hexaflumuron, lufenuron, novaluron, noviflumuron, penfluron, teflubenzuron, triflumuron

buprofezin

cyromazine

25 Inhibitors of oxidative phosphorylation, ATP disruptors

diafenthiuron

- 35 -

organotin compounds, for example azocyclotin, cyhexatin, fenbutatin-oxide

Decouplers of oxidative phosphorylation by interruption of H-proton gradients

pyrrole,
for example chlorfenapyr

5 dinitrophenols,
 for example binapacyrl, dinobuton, dinocap, DNOC

Site I electron transport inhibitors

METI's, for example fenazaquin, fenpyroximate, pyrimidifen, pyridaben, tebufenpyrad,
tolfenpyrad

10 hydramethylnon

 dicofol

Site II electron transport inhibitors

rotenones

Site III electron transport inhibitors

15 acequinocyl, fluacrypyrim

microbial disruptors of insect intestinal membrane

Bacillus thuringiensis strains

Inhibitors of fat synthesis

tetronic acids,

20 for example spirodiclofen, spiromesifen

tetramic acids,

for example spirotetramat (CAS-Reg.-No.: 203313-25-1) and 3-(2,5-dimethylphenyl)-8-methoxy-2-oxo-1-azaspiro[4.5]dec-3-en-4-yl ethyl carbonate (alias: carbonic acid, 3-(2,5-dimethylphenyl)-8-methoxy-2-oxo-1-azaspiro[4.5]dec-3-en-4-yl ethyl ester, CAS-Reg.-
25 No.: 382608-10-8)

- 36 -

carboxamides,

for example flonicamid

octopaminergic agonists,

for example amitraz

5 Inhibitor of magnesium-stimulated ATPase,

propargite

benzoic acid dicarboxamides,

for example flubendiamide

Nereistoxin analogous,

10 for example thiocyclam hydrogen oxalate, thiosultap-sodium

Agonists of the ryanodin receptor,

benzoic acid dicarboxamides,

for example flubendiamide

Biologicals, hormones or pheromones

15 azadirachtin, *Bacillus* spec., *Beauveria* spec., codlemone, *Metarrhizium* spec., *Paecilomyces* spec., thuringiensin, *Verticillium* spec.

Active compounds with unknown or non-specific mode of action

fumigants, for example aluminium phosphide, methyl bromide, sulphuryl fluoride

feeding inhibitors, for example cryolite, flonicamid, pymetrozine

20 mite growth inhibitors, for example clofentezine, etoxazole, hexythiazox

amidoflumet, benclothiaz, benzoximate, bifenazate, bromopropylate, buprofezin, quinomethionate, chlordimeform, chlorobenzilate, chloropicrin, clothiazoben, cycloprene, cyflumetofen, dicyclanil, fenoxacrim, fentrifanil, flubenzimine, flufenerim, flutenzin, gossyplure, hydramethylnone, japonilure, metoxadiazox, petroleum, piperonyl butoxide, potassium oleate, pyridalyl, sulfluramid, tetradifon, tetrasul, triarathene, verbutin

25

A mixture with other known active compounds such as herbicides, fertilisers, growth regulators, safeners, semiochemicals or also with agents for improving plant properties is also possible.

5 The active compounds of the invention can also be present in their normal commercial formulations when used as insecticides as well as in the application forms prepared from these formulations in admixture with synergists. Synergists are compounds through which the activity of the active compound can be increased without the added synergist itself having to be active.

10 The active compounds of the invention can also be present in their normal commercial formulations when used as insecticides as well as in the application forms prepared from these formulations in admixture with inhibitors that reduce degradation of the active compound after use in the environment of the plants, on the surface of the plants or in plant tissues.

The abovementioned components for combinations are known active substances, many of which are described in Ch.R. Worthing, S.B. Walker, The Pesticide Manual, 12th Edition, British Crop Protection Council, Farnham 2000.

15 The effective use doses of the compounds employed in the invention can vary within wide limits, particularly depending on the nature of the pest to be eliminated or degree of infestation, for example, of crops with these pests. In general, the compositions according to the invention usually contain about 0.05 to about 95% (by weight) of one or more active ingredients according to the invention, about 1 to about 95% of one or more solid or liquid carriers and, optionally, about 0.1 to about 50% of one or more other compatible components, such as surface-active agents or the like.

20 In the present account, the term "carrier" denotes an organic or inorganic ingredient, natural or synthetic, with which the active ingredient is combined to facilitate its application, for example, to the plant, to seeds or to the soil. This carrier is therefore generally inert and it must be acceptable (for example, agronomically acceptable, particularly to the treated plant).

25 The carrier may be a solid, for example, clays, natural or synthetic silicates, silica, resins, waxes, solid fertilizers (for example ammonium salts), ground natural minerals, such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite, bentonite or diatomaceous earth, or ground synthetic minerals, such as silica, alumina, or silicates especially aluminium or magnesium silicates. As solid carriers for granules the following are suitable: crushed or fractionated natural rocks such as calcite, marble, pumice, sepiolite and dolomite; synthetic granules of inorganic or organic meals; 30 granules of organic material such as sawdust, coconut shells, corn cobs, corn husks or tobacco stalks; kieselguhr, tricalcium phosphate, powdered cork, or absorbent carbon black; water soluble polymers, resins, waxes; or solid fertilizers. Such solid compositions may, if desired, contain one

or more compatible wetting, dispersing, emulsifying or colouring agents which, when solid, may also serve as a diluent.

Suitable as solid carriers are:

5 for example, ammonium salts and natural mineral powders such as kaolin, clays, talc, chalk, quartz attapulgite, montmorillonite or diatomaceous earth, and synthetic mineral powders such as highly dispersed silica, aluminium oxide and silicates, suitable as carriers for granulates are: for example crushed and fractionated natural minerals such as calcite, marble, pumice, sepiolite, dolomite as well as synthetic granulates of inorganic and organic flours as well as granulates from organic materials such as paper, sawdust, coconut shells, maize ears and tobacco stalks; suitable as emulsifiers and foaming agents are; for example non-ionogenic and anionic emulsifiers such as polyoxyethylene fatty acid esters, polyoxyethylene fatty alcohol ethers, for example alkylaryl polyglycol ethers, alkylsulphonates, alkylsulphates, arylsulphonates and protein hydrolysates; suitable as dispersant are non-ionic and/or ionic materials, for example from the class of alcohol-POE and/or POP ethers, acid- and/or POP or POE esters, alkyl-aryl- and/or POP or POE ethers, fat- and/or POP or POE adducts, POE- and/or POP-polyol derivatives, POE- and/or POP-sorbitan or sugar adducts, alkyl or aryl sulphates, sulphonates and phosphates or the respective PO ether adducts. In addition suitable oligo- or polymers, for example starting from vinylic monomers, of acrylic acid, from EO and/or PO alone or in combination with, for example (poly)alcohols or (poly)amines. In addition lignin and its sulphonic acid derivatives, simple and modified celluloses, aromatic and/or aliphatic sul-
15 phonic acids as well as their adducts with formaldehyde can be used .
20

Deposit builders such as carboxymethylcellulose, natural and synthetic powdery, granular or latex-like polymers can be used in the formulations, such as gum arabic, polyvinyl alcohol, polyvinyl acetate as well as natural phospholipids such as cephalins and lecithins and synthetic phospholipids.

The carrier may also be liquid, for example: water; alcohols, particularly butanol or glycol, as well as their ethers or esters, particularly methylglycol acetate; ketones, particularly acetone, cyclohexanone, methylethyl ketone, methylisobutylketone, or isophorone; petroleum fractions such as paraffinic or aromatic hydrocarbons, particularly xylenes or alkyl naphthalenes; mineral or vegetable oils; aliphatic chlorinated hydrocarbons, particularly trichloroethane or methylene chloride; aromatic chlorinated hydrocarbons, particularly chlorobenzenes; water-soluble or strongly polar sol-
25 vents such as dimethylformamide, dimethyl sulphoxide, or N-methylpyrrolidone; liquefied gases; or the like or a mixture thereof.
30

The surface-active agent may be an emulsifying agent, dispersing agent or wetting agent of the ionic or non-ionic type or a mixture of such surface-active agents.

Amongst these are e.g., salts of polyacrylic acids, salts of lignosulphonic acids, salts of phenolsulphonic or naphthalenesulphonic acids, polycondensates of ethylene oxide with fatty alcohols or fatty acids or fatty esters or fatty amines, substituted phenols (particularly alkylphenols or arylphenols), salts of sulphosuccinic acid esters, taurine derivatives (particularly alkyltaurates), phosphoric esters of alcohols or of polycondensates of ethylene oxide with phenols, esters of fatty acids with polyols, or sulphate, sulphonate or phosphate functional derivatives of the above compounds. The presence of at least one surface-active agent is generally essential when the active ingredient and/or the inert carrier are only slightly water soluble or are not water soluble and the carrier agent of the composition for application is water.

Compositions of the invention may further contain other additives such as adhesives or colorants. Adhesives such as carboxymethylcellulose or natural or synthetic polymers in the form of powders, granules or lattices, such as arabic gum, polyvinyl alcohol or polyvinyl acetate, natural phospholipids, such as cephalins or lecithins, or synthetic phospholipids can be used in the formulations. It is possible to use colorants such as inorganic pigments, for example: iron oxides, titanium oxides or Prussian Blue; organic dyestuffs, such as alizarin dyestuffs, azo dyestuffs or metal phthalocyanine dyestuffs; or trace nutrients such as salts of iron, manganese, boron, copper, cobalt, molybdenum or zinc.

For their agricultural application, the compounds of the invention are therefore generally in the form of compositions, which are in various solid or liquid forms.

Solid forms of compositions which can be used are dusting powders (with a content of the compound of the invention, ranging up to 80%), wettable powders or granules (including water dispersible granules), particularly those obtained by extrusion, compacting, impregnation of a granular carrier, or granulation starting from a powder (the content of the compound of the invention, in these wettable powders or granules being between about 0.5 and about 80%). Solid homogenous or heterogenous compositions containing one or more compounds of the invention, for example granules, pellets, briquettes or capsules, may be used to treat standing or running water over a period of time. A similar effect may be achieved using trickle or intermittent feeds of water dispersible concentrates as described herein.

Liquid compositions, for example, include aqueous or non-aqueous solutions or suspensions (such as emulsifiable concentrates, emulsions, flowables, dispersions, or solutions) or aerosols. Liquid compositions also include, in particular, emulsifiable concentrates, dispersions, emulsions, flowables, aerosols, wettable powders (or powder for spraying), dry flowables or pastes as forms of compositions which are liquid or intended to form liquid compositions when applied, for example as aqueous sprays (including low and ultra-low volume) or as fogs or aerosols.

Liquid compositions, for example, in the form of emulsifiable or soluble concentrates most frequently comprise about 5 to about 80% by weight of the active ingredient, while the emulsions or solutions which are ready for application contain, in their case, about 0.01 to about 20% of the active ingredient. Besides the solvent, the emulsifiable or soluble concentrates may contain, when
5 required, about 2 to about 50% of suitable additives, such as stabilizers, surface-active agents, penetrating agents, corrosion inhibitors, colorants or adhesives. Emulsions of any required concentration, which are particularly suitable for application, for example, to plants, may be obtained from these concentrates by dilution with water. These compositions are included within the scope of the compositions which may be employed in the present invention. The emulsions may be in the form
10 of water-in-oil or oil-in-water type and they may have a thick consistency.

The liquid compositions of this invention may, in addition to normal agricultural use applications be used for example to treat substrates or sites infested or liable to infestation by arthropods (or other pests controlled by compounds of this invention) including premises, outdoor or indoor storage or processing areas, containers or equipment or standing or running water.

All these aqueous dispersions or emulsions or spraying mixtures can be applied, for example, to
15 crops by any suitable means, chiefly by spraying, at rates which are generally of the order of about 100 to about 1,200 liters of spraying mixture per hectare, but may be higher or lower (eg. low or ultra-low volume) depending upon the need or application technique. The compound or compositions according to the invention are conveniently applied to vegetation and in particular to roots or
20 leaves having pests to be eliminated. Another method of application of the compounds or compositions according to the invention is by chemigation, that is to say, the addition of a formulation containing the active ingredient to irrigation water. This irrigation may be sprinkler irrigation for foliar pesticides or it can be ground irrigation or underground irrigation for soil or for systemic pesticides.

The concentrated suspensions, which can be applied by spraying, are prepared so as to produce a
25 stable fluid product which does not settle (fine grinding) and usually contain from about 10 to about 75% by weight of active ingredient, from about 0.5 to about 30% of surface-active agents, from about 0.1 to about 10% of thixotropic agents, from about 0 to about 30% of suitable additives, such as anti-foaming agents, corrosion inhibitors, stabilizers, penetrating agents, adhesives and, as the carrier, water or an organic liquid in which the active ingredient is poorly soluble or insoluble
30 Some organic solids or inorganic salts may be dissolved in the carrier to help prevent settling or as antifreezes for water.

The wettable powers (or powder for spraying) are usually prepared so that they contain from about 10 to about 80% by weight of active ingredient, from about 20 to about 90% of a solid carrier, from about 0 to about 5% of a wetting agent, from about 3 to about 10% of a dispersing agent and, when
35 necessary, from about 0 to about 80% of one or more stabilizers and/or other additives, such as

penetrating agents, adhesives, anti-caking agents, colorants, or the like. To obtain these wettable powders, the active ingredient is thoroughly mixed in a suitable blender with additional substances which may be impregnated on the porous filler and is ground using a mill or other suitable grinder. This produces wettable powders, the wettability and the suspendability of which are advantageous. They may be suspended in water to give any desired concentration and this suspension can be employed very advantageously in particular for application to plant foliage.

The "water dispersible granules (WG)" (granules which are readily dispersible in water) have compositions which are substantially close to that of the wettable powders.

They may be prepared by granulation of formulations described for the wettable powders, either by a wet route (contacting finely divided active ingredient with the inert filler and a little water, e.g. 1 to 20% by weight, or with an aqueous solution of a dispersing agent or binder, followed by drying and screening), or by a dry route (compacting followed by grinding and screening).

The rates and concentrations of the formulated compositions may vary according to the method of application or the nature of the compositions or use thereof. Generally speaking, the compositions for application to control arthropod or plant nematode pests usually contain from about 0.00001% to about 95%, more particularly from about 0.0005% to about 50% by weight of one or more compounds of the invention, or of total active ingredients (that is to say the compounds of the invention, together with other substances toxic to arthropods or plant nematodes, synergists, trace elements or stabilizers). The actual compositions employed and their rate of application will be selected to achieve the desired effect(s) by the farmer, livestock producer, medical or veterinary practitioner, pest control operator or other person skilled in the art.

Solid or liquid compositions for application topically to animals, timber, stored products or household goods usually contain from about 0.00005% to about 90%, more particularly from about 0.001% to about 10%, by weight of one or more compounds of the invention. For administration to animals orally or parenterally, including percutaneously solid or liquid compositions, these normally contain from about 0.1% to about 90% by weight of one or more compounds of the invention. Medicated feedstuffs normally contain from about 0.001% to about 3% by weight of one or more compounds of the invention. Concentrates or supplements for mixing with feedstuffs normally contain from about 5% to about 90%, preferably from about 5% to about 50%, by weight of one or more compounds of the invention. Mineral salt licks normally contain from about 0.1% to about 10% by weight of one or more compounds of formula (I) or pesticidally acceptable salts thereof.

Dusts or liquid compositions for application to livestock, goods, premises or outdoor areas may contain from about 0.0001% to about 15%, more especially from about 0.005% to about 2.0%, by

51440-77

- 42 -

weight, of one or more compounds of the invention. Suitable concentrations in treated waters are between about 0.0001 ppm and about 20 ppm, more particularly about 0.001 ppm to about 5.0 ppm, of one or more compounds of the invention, and may be used therapeutically in fish farming with appropriate exposure times. Edible baits may contain from about 0.01% to about 5%, preferably from about 0.01% to about 1.0%, by weight, of one or more compounds of the invention.

When administered to vertebrates parenterally, orally or by percutaneous or other means, the dosage of compounds of the invention, will depend upon the species, age, or health of the vertebrate and upon the nature and degree of its actual or potential infestation by arthropod or helminth pests. A single dose of about 0.1 to about 100 mg, preferably about 2.0 to about 20.0 mg, per kg body weight of the animal or doses of about 0.01 to about 20.0 mg, preferably about 0.1 to about 5.0 mg, per kg body weight of the animal per day, for sustained medication, are generally suitable by oral or parenteral administration. By use of sustained release formulations or devices, the daily doses required over a period of months may be combined and administered to animals on a single occasion.

The following composition EXAMPLES 2A - 2M illustrate compositions for use against arthropods, especially mites or insects, or plant nematodes, which comprise, as active ingredient, compounds of the invention, such as those described in preparative examples. The compositions described in EXAMPLES 2A - 2M can each be diluted to give a sprayable composition at concentrations suitable for use in the field. Generic chemical descriptions of the ingredients (for which all of the following percentages are in weight percent), used in the composition EXAMPLES 2A - 2M exemplified below, are as follows:

	Trade-mark	Chemical Description
	Ethylan BCP	Nonylphenol ethylene oxide condensate
	Soprophor BSU	Tristyrylphenol ethylene oxide condensate
25	Arylan CA	A 70% w/v solution of calcium dodecylbenzenesulfonate
	Solvesso 150	Light C ₁₀ aromatic solvent
	Arylan S	Sodium dodecylbenzenesulfonate
	Darvan NO ₂	Sodium lignosulphonate
	Celite PF	Synthetic magnesium silicate carrier
30	Sopropon T36	Sodium salts of polycarboxylic acids
	Rhodigel 23	Polysaccharide xanthan gum
	Bentone 38	Organic derivative of magnesium montmorillonite
	Aerosil	Microfine silicon dioxide

EXAMPLE 2A

A water soluble concentrate is prepared with the composition as follows:

Active ingredient	7%
Ethylan BCP	10%
N-methylpyrrolidone	83%

5 To a solution of Ethylan BCP dissolved in a portion of N-methylpyrrolidone is added the active ingredient with heating and stirring until dissolved. The resulting solution is made up to volume with the remainder of the solvent.

EXAMPLE 2B

An emulsifiable concentrate (EC) is prepared with the composition as follows:

Active ingredient	25%(max)
Soprophor BSU	10%
10 Arylan CA	5%
N-methylpyrrolidone	50%
Solvesso 150	10%

The first three components are dissolved in N-methylpyrrolidone and to this is then added the Solvesso 150 to give the final volume.

15 EXAMPLE 2C

A wettable powder (WP) is prepared with the composition as follows:

Active ingredient	40%
Arylan S	2%
Darvan NO ₂	5%
Celite PF	53%

The ingredients are mixed and ground in a hammer-mill to a powder with a particle size of less than 50 microns.

EXAMPLE 2D

20 An aqueous-flowable formulation is prepared with the composition as follows:

- 44 -

Active ingredient	40.00%
Ethylan BCP	1.00%
Sopropon T360.	0.20%
Ethylene glycol	5.00%
Rhodigel 230.	0.15%
Water	53.65%

The ingredients are intimately mixed and are ground in a bead mill until a mean particle size of less than 3 microns is obtained.

EXAMPLE 2E

An emulsifiable suspension concentrate is prepared with the composition as follows:

Active ingredient	30.0%
Ethylan BCP	10.0%
Bentone 38	0.5%
Solvesso 150	59.5%

- 5 The ingredients are intimately mixed and ground in a beadmill until a mean particle size of less than 3 microns is obtained.

EXAMPLE 2F

A water dispersible granule is prepared with the composition as follows:

Active ingredient	30%
Darvan No 2	15%
Arylan S	8%
Celite PF	47%

- 10 The ingredients are mixed, micronized in a fluid-energy mill and then granulated in a rotating pelletizer by spraying with water (up to 10%). The resulting granules are dried in a fluid-bed drier to remove excess water.

EXAMPLE 2G

A dusting powder is prepared with the composition as follows:

Active ingredient	1 to 10%
Talc powder-superfine	99 to 90%

- 45 -

The ingredients are intimately mixed and further ground as necessary to achieve a fine powder. This powder may be applied to a locus of arthropod infestation, for example refuse dumps, stored products or household goods or animals infested by, or at risk of infestation by, arthropods to control the arthropods by oral ingestion. Suitable means for distributing the dusting powder to the locus of arthropod infestation include mechanical blowers, handshakers or livestock self treatment devices.

EXAMPLE 2H

An edible bait is prepared with the composition as follows:

Active ingredient	0.1 to 1.0%
Wheat flour	80%
Molasses	19.9 to 19%

The ingredients are intimately mixed and formed as required into a bait form. This edible bait may be distributed at a locus, for example domestic or industrial premises, e.g. kitchens, hospitals or stores, or outdoor areas, infested by arthropods, for example ants, locusts, cockroaches or flies, to control the arthropods by oral ingestion.

EXAMPLE 2I

A solution formulation is prepared with a composition as follows:

Active ingredient	15%
Dimethyl sulfoxide	85%

The active ingredient is dissolved in dimethyl sulfoxide with mixing and or heating as required. This solution may be applied percutaneously as a pour-on application to domestic animals infested by arthropods or, after sterilization by filtration through a polytetrafluoroethylene membrane (0.22 micrometer pore size), by parenteral injection, at a rate of application of from 1.2 to 12 ml of solution per 100 kg of animal body weight.

EXAMPLE 2J

A wettable powder is prepared with the composition as follows:

51440-77

- 46 -

Active ingredient	50%
Ethylan BCP	5%
Aerosil [*]	5%
Celite PF	40%

5 The Ethylan BCP is absorbed onto the Aerosil^{*} which is then mixed with the other ingredients and ground in a hammer-mill to give a wettable powder, which may be diluted with water to a concentration of from 0.001% to 2% by weight of the active compound and applied to a locus of infestation by arthropods, for example, dipterous larvae or plant nematodes, by spraying, or to domestic animals infested by, or at risk of infection by arthropods, by spraying or dipping, or by oral administration in drinking water, to control the arthropods.

EXAMPLE 2K

10 A slow release bolus composition is formed from granules containing the following components in varying percentages(similar to those described for the previous compositions) depending upon need:

Active ingredient
Density agent
Slow-release agent
Binder

15 The intimately mixed ingredients are formed into granules which are compressed into a bolus with a specific gravity of 2 or more. This can be administered orally to ruminant domestic animals for retention within the reticulo-rumen to give a continual slow release of active compound over an extended period of time to control infestation of the ruminant domestic animals by arthropods.

EXAMPLE 2L

A slow release composition in the form of granules, pellets, brickettes or the like can be prepared with compositions as follows:

Active ingredient 0.5 to 25%
Polyvinyl chloride 75 to 99.5%
Dioctyl phthalate (plasticizer)

*Trade-mark

- 47 -

The components are blended and then formed into suitable shapes by melt-extrusion or molding. These composition are useful, for example, for addition to standing water or for fabrication into collars or eartags for attachment to domestic animals to control pests by slow release.

EXAMPLE 2M

5 A water dispersible granule is prepared with the composition as follows:

Active ingredient	85%(max)
Polyvinylpyrrolidone	5%
Attapulgate clay	6%
Sodium lauryl sulfate	2%
Glycerine	2%

The ingredients are mixed as a 45% slurry with water and wet milled to a particle size of 4 microns, then spray-dried to remove water.

The following non-limiting Examples illustrate the preparation of new compounds of formula (I).

10 Chemical Examples:

NMR spectra were run in deuteriochloroform unless stated otherwise, and shifts are given in ppm. In the Examples which follow, quantities (also percentages) are weight based, unless stated otherwise.

Example 1

15 8-(4-Trifluoromethyl-benzyl)-1,4-dioxo-8-aza-spiro[4.5]decane (compound 11-22)

A mixture of 1,4-dioxo-8-aza-spiro[4.5]decane (0,5g 3,5 mmol), 4-bromomethyl-benzotrifluoride (0,76g 3,2 mmol) and diisopropylethylamine (0,53g 4,1 mmol) in dioxane (5 mL) were heated to reflux for 6h. After extractive workup with heptane-ethylacetate 1:1, water and sodiumhydroxide (7 mmol) a light coloured oil was obtained, 0,90g, purity >95%, compound 11-22.

20 ¹H-NMR (ppm): 1,74, 4H, CH₂C; 2,51, 4H, NCH₂; 3,56, 2H, NCH₂Ph; 3,94, 4H, OCH₂; 7,44, 2H, and 7,55, 2H + 2H, PhH;

Example 2

1-(4-Trifluoromethyl-benzyl)-piperidin-4-one (compound 01-82)

A mixture of 8-(4-trifluoromethyl-benzyl)-1,4-dioxo-8-aza-spiro[4.5]decane (0,90g 3,0 mmol) and hydrochloric acid (0,89g 9,0 mmol HCl) in water (10 mL) were heated to reflux for 8h. After extractive workup with heptane-ethylacetate 1:1 and sodiumhydroxide (12 mmol as 6N NaOH, 2 mL) a light coloured oil was obtained, 0,63g, purity 95%, compound 01-82.

- 5 ¹H-NMR (ppm): 2,46, 4H, CH₂CO; 2,75, 4H, NCH₂; 3,67, 2H, NCH₂Ph; 7,50 and 7,59, 2H + 2H, PhH;

Example 3

1-(4-Trifluoromethyl-benzyl)-piperidin-4-ol (compound 14-82)

- 10 A mixture of 1-(4-Trifluoromethyl-benzyl)-piperidin-4-one (1,50g 5,8 mmol) and sodium borohydride (0,14g 3,5 mmol) in ethanol (20 mL) were heated to reflux for 5h. After extractive workup with heptane-ethylacetate 1:1 and water a light coloured solid was obtained, 1,17g, purity 91%, compound 14-82.

¹H-NMR (ppm): 1,60, 2H; 1,76, 1H, OH; 1,89, 2H; 2,16, 2H; 2,74, 2H; 3,53, 2H, NCH₂Ph; 3,71, 1H, CHOH; 7,44 and 7,56, 4H, PhH;

- 15 Example 4

Propionic acid 1-(4-Trifluoromethyl-benzyl)-piperidin-4-yl ester (compound 16-82)

- 20 A mixture of 1-(4-Trifluoromethyl-benzyl)-piperidin-4-ol (0,25g 1,0 mmol), DMAP (12mg) and propionic acid anhydride (0,19g 1,4 mmol) in dioxane (5 mL) were heated to reflux for 6 h. After extractive workup with heptane-ethylacetate 1:1 and water an oil was obtained, 0,27g, purity 90%, compound 16-82.

¹H-NMR (ppm): 1,13, 3H; 1,71, 2H; 1,89, 2H; 2,28, 2H; 2,31, 2H; 2,66, 2H; 3,53, 2H; 4,81, 1H; 7,44 and 7,56, 4H;

Example 5

1-(4-Chloro-benzyl)-4-methoxy-piperidin (compound 12-11)

- 25 A mixture of 1-(4-chlorobenzyl)-piperidin-4-ol (0,5g 2,2 mmol), sodium hydride (0,13g, 60%, 3,3 mmol) and methyl iodide (0,38g 2,6 mmol) in DMF (5 mL) were stirred at 35°C for 20 h. After extractive workup with heptane-ethylacetate 1:1 and water and column chromatography (ethylacetate) an oil was obtained, 0,05g, purity 96%, compound 12-11.

- 49 -

¹H-NMR (ppm): 1,57, 2H; 1,87, 2H; 2,12, 2H; 2,68, 2H; 3,20, 1H, CH-OMe; 3,32, 3H, OCH₃; 3,43, 2H, NCH₂Ph; 7,24, 4H, PhH;

Example 6

8-(4-Trifluoromethyl-benzyl)-8-aza-bicyclo[3.2.1]octan-3-one (compound 07-82)

- 5 A mixture of 2,5-dimethoxytetrahydrofuran (1,36g 10,3 mmol) and hydrochloric acid (2,25g, 37%, 22,8 mmol) in water 20 mL were stirred at 20°C for 1 h. Then 3-oxo-pentanedioic acid (1,50g 10,3 mmol), 4-trifluoromethyl-benzylamine (2,00g 11,4 mmol) and sodium acetate (2,81g 34,3 mmol) were added and heated to 60°C for 2 h. After extractive workup with heptane-ethylacetate 1:1 and water and column chromatography (heptane-ethylacetate 1:1) an oil was obtained, 1,53, purity
- 10 >96%, compound 07-82.

¹H-NMR (ppm): 1,66, 2H; 2,13, 2H; 2,23, 2H; 2,68, 2H; 3,47, 2H; 3,80, 2H, NCH₂Ph; 7,55 and 7,60, 4H, PhH;

Example 7

2-Benzyl-1,2,3,4-decahydro-isoquinoline (compound 19-01)

- 15 A mixture of Decahydroisochinoline (0,60g 4,3 mmol), benzylbromide (0,74g 4,3 mmol) and diisopropylethylamine (0,66g 5,1 mmol) in dioxane (5 mL) was heated to reflux for 7 h. After extractive workup an oil was obtained, 0,84 g, compound 19-01, 2 isomers (3,5:1) .

¹H-NMR (ppm): 0,92 to 2,87, 16H; 3,43, 2H; 7,28, 5H;

Example 8

- 20 2-(4-Trifluoromethyl-benzyl)-1,2,3,4-tetrahydro-isoquinoline (compound 21-82)

A mixture of 1,2,3,4-Tetrahydroisochinoline (0,27g 2,0 mmol), 4-bromomethyl-benzotrifluoride (0,48g 2,0 mmol) and diisopropylethylamine (0,31g 2,4 mmol) in dioxane (5 mL) was heated to reflux for 7 h. After extractive workup and column chromatography an oil was obtained, 0,37 g, compound 21-82 .

- 25 ¹H-NMR (ppm): 2,74, 2H; 2,89, 2H; 3,63, 2H; 3,71, 2H; 6,97, 1H; 7,10, 3H; 7,52, 2H; 7,58, 2H;

Example 9

2-(6-Fluoropyridin-2-yl)-1,2,3,4-tetrahydro-isoquinoline (compound 23-05)

- 50 -

A mixture of 1,2,3,4-Tetrahydroisochinoline (0,30g 2,25 mmol), 2,6-difluoropyridine (0,26g 2,25 mmol) and diisopropylethylamine (0,38g 2,9 mmol) in dioxane (10 mL) was heated to reflux for 12 h. After extractive workup a solid was obtained, 0,26 g, compound 23-05 .

5 ¹H-NMR (ppm): 2,95, 2H; 3,80, 2H; 4,66, 2H, NCH₂; 6,14, 1H, 6,43, 1H and 7,53, 1H, Py-H; 7,18, 4H, PhH;

Tables:

The following preferred compounds shown in Tables 1 to 24 also form part of the present invention, and were or may be prepared in accordance with, or analogously to, the above-mentioned Examples 1 to 9 or the above-described general methods.

5 Where subscripts are omitted they are intended, for example CH₂ means CH₂.

In the Tables Me means methyl, Et means ethyl, Pr means propyl, Bu means butyl, C₅H₁₁ means n-pentyl, C₆H₁₃ means n-hexyl, C₂H₄ means ethylene (-CH₂CH₂-), cC₃H₅ means cyclopropyl-moiety.

¹H-NMR spectra shift values are given in ppm.

10 "Cpd No" means Compound Number. Compound numbers are given for reference purposes only.
"CA REG No" means Chemical Abstract Registration Number.

Table 1: Compounds of Formula (Ia) in which the substituents have the following meanings:

R¹ is Phenyl substituted by R; (A)_n is CH₂; R² and R³ are each H; X is O;

Compound number		R	CA REG No	NMR
01-	01	H	3612-20-2	
01-	02	2-F	374926-47-3	
01-	03	2-Cl	135576-51-1	
01-	04	2-Br		
01-	05	2-I		
01-	06	3-F	155868-53-4	
01-	07	3-Cl	247206-81-1	
01-	08	3-Br		
01-	09	3-I		
01-	10	4-F	134348-51-9	
01-	11	4-Cl	21937-61-1	
01-	12	4-Br		1H: 2,44; 2,72; 3,55; 7,24; 7,45;
01-	13	4-I		
01-	14	2-Me	76167-41-4	
01-	15	2-Et		
01-	16	2-nPr		

01-	17	2-iPr		
01-	18	2-nBu		
01-	19	2-sec-Bu		
01-	20	2-iBu		
01-	21	2-tBu		
01-	22	2-CF3		
01-	23	2-MeO	562840-43-1	
01-	24	2-EtO		
01-	25	2-nPrO		
01-	26	2-nBuO		
01-	27	2-OCHF2		
01-	28	2-OCF3		
01-	29	2-OCH2CF3		
01-	30	2-OC2F4H		
01-	31	2-OC3F6H		
01-	32	2-SMe		
01-	33	2-SOMe		
01-	34	2-SO2Me		
01-	35	2-SEt		
01-	36	2-SOEt		
01-	37	2-SO2Et		
01-	38	2-SCF3		
01-	39	2-SOCF3		
01-	40	2-SO2CF3		
01-	41	2-CN		
01-	42	2-NO2		
01-	43	2-NMe2		
01-	44	3-Me	343778-60-9	
01-	45	3-Et		
01-	46	3-nPr		
01-	47	3-iPr		
01-	48	3-nBu		
01-	49	3-sec-Bu		
01-	50	3-iBu		
01-	51	3-tBu		
01-	52	3-CF3	321601-36-9	

- 53 -

01-	53	3-MeO	163341-33-1	
01-	54	3-EtO		
01-	55	3-nPrO		
01-	56	3-nBuO		
01-	57	3-OCHF ₂		
01-	58	3-OCF ₃	662110-99-8	
01-	59	3-OCH ₂ CF ₃		
01-	60	3-OC ₂ F ₄ H		
01-	61	3-OC ₃ F ₆ H		
01-	62	3-SMe		
01-	63	3-SOMe		
01-	64	3-SO ₂ Me		
01-	65	3-SEt		
01-	66	3-SOEt		
01-	67	3-SO ₂ Et		
01-	68	3-SCF ₃		
01-	69	3-SOCF ₃		
01-	70	3-SO ₂ CF ₃		
01-	71	3-CN		
01-	72	3-NO ₂		
01-	73	3-NMe ₂		
01-	74	4-Me	241495-46-5	
01-	75	4-Et		
01-	76	4-nPr		
01-	77	4-iPr		
01-	78	4-nBu		
01-	79	4-sec-Bu		
01-	80	4-iBu		
01-	81	4-tBu		
01-	82	4-CF ₃		¹ H: 2,46, 2,75, 3,67, 7,50, 7,59
01-	83	4-MeO		
01-	84	4-EtO		
01-	85	4-nPrO		
01-	86	4-nBuO		
01-	87	4-OCHF ₂		
01-	88	4-OCF ₃		

01-	89	4-OCH ₂ CF ₃		
01-	90	4-OC ₂ F ₄ H		
01-	91	4-OC ₃ F ₆ H		
01-	92	4-SMe		
01-	93	4-SOMe		
01-	94	4-SO ₂ Me		
01-	95	4-SEt		
01-	96	4-SOEt		
01-	97	4-SO ₂ Et		
01-	98	4-SCF ₃		
01-	99	4-SOCF ₃		
01-	100	4-SO ₂ CF ₃		
01-	101	4-CN		
01-	102	4-NO ₂		
01-	103	4-NMe ₂		
01-	104	2,3-F ₂		
01-	105	2,4-F ₂		1H: 2,43; 2,74; 3,64; 6,79; 6,84; 7,37;
01-	106	2,5-F ₂		
01-	107	2,6-F ₂		
01-	108	3,4-F ₂		
01-	109	3,5-F ₂		
01-	110	2,3-Cl ₂		
01-	111	2,4-Cl ₂		1H: 2,46; 2,80; 3,68; 7,25; 7,38; 7,47;
01-	112	2,5-Cl ₂		
01-	113	2,6-Cl ₂	439096-19-2	
01-	114	3,4-Cl ₂	220772-52-1	
01-	115	3,5-Cl ₂		
01-	116	2-Cl-4-CF ₃		
01-	117	2-Cl-4-F		
01-	118	2,3-Me ₂		
01-	119	2,4-Me ₂		
01-	120	2,5-Me ₂		
01-	121	2,6-Me ₂		
01-	122	3,4-Me ₂	617714-65-5	
01-	123	3,5-Me ₂		
01-	124	2,4,6-F ₃		

01-	125	3,4,5-F3		
01-	126	2,4,6-Cl3		
01-	127	2,3,4-Cl3		
01-	128	2,4,5-Cl3		
01-	129	3,4,5-Cl3		
01-	130	2,6-Cl2-4-CF3		
01-	131	2,4,6-Me3		
01-	132	2,4-Br2		
01-	133	3,4-Br2		
01-	134	2-Cl-4-Br		
01-	135	2-Br-4-F		

Table 2: Compounds of Formula (Ia) in which the substituents have the following meanings:

R^1 is Phenyl substituted by R; (A)_n is C₂H₄ or CH(CH₃); R^2 and R^3 are each H;
X is O;

Compound number	(A) _n	R	CA REG No	NMR
02- 01	C ₂ H ₄	H	39742-60-4	
02- 02	C ₂ H ₄	2-F		
02- 03	C ₂ H ₄	2-Cl	39742-61-5	
02- 04	C ₂ H ₄	2-Br		
02- 05	C ₂ H ₄	2-I		
02- 06	C ₂ H ₄	3-F		
02- 07	C ₂ H ₄	3-Cl		
02- 08	C ₂ H ₄	3-Br		
02- 09	C ₂ H ₄	3-I		
02- 10	C ₂ H ₄	4-F	23808-43-7	
02- 11	C ₂ H ₄	4-Cl	39742-62-6	
02- 12	C ₂ H ₄	4-Br		
02- 13	C ₂ H ₄	4-I		
02- 14	C ₂ H ₄	4-Me		
02- 15	C ₂ H ₄	4-Et		
02- 16	C ₂ H ₄	4-nPr		
02- 17	C ₂ H ₄	4-iPr		

02-	18	C2H4	4-nBu		
02-	19	C2H4	4-sec-Bu		
02-	20	C2H4	4-iBu		
02-	21	C2H4	4-tBu		
02-	22	C2H4	4-CF3		
02-	23	C2H4	4-MeO	39742-63-7	
02-	24	C2H4	4-EtO		
02-	25	C2H4	4-nPrO		
02-	26	C2H4	4-nBuO		
02-	27	C2H4	4-OCHF2		
02-	28	C2H4	4-OCF3		
02-	29	C2H4	4-OCH2CF3		
02-	30	C2H4	4-OC2F4H		
02-	31	C2H4	4-OC3F6H		
02-	32	C2H4	4-SMe		
02-	33	C2H4	4-SOMe		
02-	34	C2H4	4-SO2Me		
02-	35	C2H4	4-SEt		
02-	36	C2H4	4-SOEt		
02-	37	C2H4	4-SO2Et		
02-	38	C2H4	4-SCF3		
02-	39	C2H4	4-SOCF3		
02-	40	C2H4	4-SO2CF3		
02-	41	C2H4	4-CN		
02-	42	C2H4	4-NO2		
02-	43	C2H4	4-NMe2		
02-	44	C2H4	2,3-F2		
02-	45	C2H4	2,4-F2		
02-	46	C2H4	2,5-F2		
02-	47	C2H4	2,6-F2		
02-	48	C2H4	3,4-F2		
02-	49	C2H4	3,5-F2		
02-	50	C2H4	2,3-Cl2		
02-	51	C2H4	2,4-Cl2		
02-	52	C2H4	2,5-Cl2		

02-	53	C2H4	2,6-Cl2		
02-	54	C2H4	3,4-Cl2		
02-	55	C2H4	3,5-Cl2		
02-	56	C2H4	2-Cl-4-CF3		
02-	57	C2H4	2-Cl-4-F		
02-	58	CH(CH3)	H	36482-37-8	
02-	59	CH(CH3)	2-F		
02-	60	CH(CH3)	2-Cl		
02-	61	CH(CH3)	2-Br		
02-	62	CH(CH3)	2-I		
02-	63	CH(CH3)	3-F		
02-	64	CH(CH3)	3-Cl		
02-	65	CH(CH3)	3-Br		
02-	66	CH(CH3)	3-I		
02-	67	CH(CH3)	4-F		
02-	68	CH(CH3)	4-Cl		
02-	69	CH(CH3)	4-Br		
02-	70	CH(CH3)	4-I		
02-	71	CH(CH3)	4-Me		
02-	72	CH(CH3)	4-Et		
02-	73	CH(CH3)	4-nPr		
02-	74	CH(CH3)	4-iPr		
02-	75	CH(CH3)	4-nBu		
02-	76	CH(CH3)	4-sec-Bu		
02-	77	CH(CH3)	4-iBu		
02-	78	CH(CH3)	4-tBu		
02-	79	CH(CH3)	4-CF3		
02-	80	CH(CH3)	4-MeO		
02-	81	CH(CH3)	4-EtO		
02-	82	CH(CH3)	4-nPrO		
02-	83	CH(CH3)	4-nBuO		
02-	84	CH(CH3)	4-OCHF2		
02-	85	CH(CH3)	4-OCF3		
02-	86	CH(CH3)	4-OCH2CF3		
02-	87	CH(CH3)	4-OC2F4H		

02-	88	CH(CH ₃)	4-OC ₃ F ₆ H		
02-	89	CH(CH ₃)	4-SMe		
02-	90	CH(CH ₃)	4-SOMe		
02-	91	CH(CH ₃)	4-SO ₂ Me		
02-	92	CH(CH ₃)	4-SEt		
02-	93	CH(CH ₃)	4-SOEt		
02-	94	CH(CH ₃)	4-SO ₂ Et		
02-	95	CH(CH ₃)	4-SCF ₃		
02-	96	CH(CH ₃)	4-SOCF ₃		
02-	97	CH(CH ₃)	4-SO ₂ CF ₃		
02-	98	CH(CH ₃)	4-CN		
02-	99	CH(CH ₃)	4-NO ₂		
02-	100	CH(CH ₃)	4-NMe ₂		
02-	101	CH(CH ₃)	2,3-F ₂		
02-	102	CH(CH ₃)	2,4-F ₂		
02-	103	CH(CH ₃)	2,5-F ₂		
02-	104	CH(CH ₃)	2,6-F ₂		
02-	105	CH(CH ₃)	3,4-F ₂		
02-	106	CH(CH ₃)	3,5-F ₂		
02-	107	CH(CH ₃)	2,3-Cl ₂		
02-	108	CH(CH ₃)	2,4-Cl ₂		
02-	109	CH(CH ₃)	2,5-Cl ₂		
02-	110	CH(CH ₃)	2,6-Cl ₂		
02-	111	CH(CH ₃)	3,4-Cl ₂		
02-	112	CH(CH ₃)	3,5-Cl ₂		
02-	113	CH(CH ₃)	2-Cl-4-CF ₃		
02-	114	CH(CH ₃)	2-Cl-4-F		
02-	115	CH(C ₂ H ₅)	H		
02-	116	CH(C ₂ H ₅)	2-F		
02-	117	CH(C ₂ H ₅)	2-Cl		
02-	118	CH(C ₂ H ₅)	2-Br		
02-	119	CH(C ₂ H ₅)	2-I		
02-	120	CH(C ₂ H ₅)	3-F		
02-	121	CH(C ₂ H ₅)	3-Cl		
02-	122	CH(C ₂ H ₅)	3-Br		
02-	123	CH(C ₂ H ₅)	3-I		

02-	124	CH(C ₂ H ₅)	4-F		
02-	125	CH(C ₂ H ₅)	4-Cl		
02-	126	CH(C ₂ H ₅)	4-Br		
02-	127	CH(C ₂ H ₅)	4-I		

Table 3: Compounds of Formula (Ia) in which the substituents have the following meanings:

R¹ is Phenyl substituted by R; (A)_n is C₃H₆ or CH(CH₃)CH₂; R² and R³ are each H;
X is O;

Compound number		(A) _n	R	CA REG No	NMR
03-	01	C ₃ H ₆	H	107100-64-1	
03-	02	C ₃ H ₆	2-F		
03-	03	C ₃ H ₆	2-Cl		
03-	04	C ₃ H ₆	2-Br		
03-	05	C ₃ H ₆	2-I		
03-	06	C ₃ H ₆	3-F		
03-	07	C ₃ H ₆	3-Cl		
03-	08	C ₃ H ₆	3-Br		
03-	09	C ₃ H ₆	3-I		
03-	10	C ₃ H ₆	4-F		
03-	11	C ₃ H ₆	4-Cl		
03-	12	C ₃ H ₆	4-Br		
03-	13	C ₃ H ₆	4-I		
03-	14	C ₃ H ₆	4-Me		
03-	15	C ₃ H ₆	4-Et		
03-	16	C ₃ H ₆	4-nPr		
03-	17	C ₃ H ₆	4-iPr		
03-	18	C ₃ H ₆	4-nBu		
03-	19	C ₃ H ₆	4-sec-Bu		
03-	20	C ₃ H ₆	4-iBu		
03-	21	C ₃ H ₆	4-tBu		
03-	22	C ₃ H ₆	4-CF ₃		
03-	23	C ₃ H ₆	4-MeO		
03-	24	C ₃ H ₆	4-EtO		

03-	25	C3H6	4-nPrO		
03-	26	C3H6	4-nBuO		
03-	27	C3H6	4-OCHF2		
03-	28	C3H6	4-OCF3		
03-	29	C3H6	4-OCH2CF3		
03-	30	C3H6	4-OC2F4H		
03-	31	C3H6	4-OC3F6H		
03-	32	C3H6	4-SMe		
03-	33	C3H6	4-SOMe		
03-	34	C3H6	4-SO2Me		
03-	35	C3H6	4-SEt		
03-	36	C3H6	4-SOEt		
03-	37	C3H6	4-SO2Et		
03-	38	C3H6	4-SCF3		
03-	39	C3H6	4-SOCF3		
03-	40	C3H6	4-SO2CF3		
03-	41	C3H6	4-CN		
03-	42	C3H6	4-NO2		
03-	43	C3H6	4-NMe2		
03-	44	C3H6	2,3-F2		
03-	45	C3H6	2,4-F2		
03-	46	C3H6	2,5-F2		
03-	47	C3H6	2,6-F2		
03-	48	C3H6	3,4-F2		
03-	49	C3H6	3,5-F2		
03-	50	C3H6	2,3-Cl2		
03-	51	C3H6	2,4-Cl2		
03-	52	C3H6	2,5-Cl2		
03-	53	C3H6	2,6-Cl2		
03-	54	C3H6	3,4-Cl2		
03-	55	C3H6	3,5-Cl2		
03-	56	C3H6	2-Cl-4-CF3		
03-	57	C3H6	2-Cl-4-F		
03-	58	CH(CH3)CH2	H		
03-	59	CH(CH3)CH2	2-F		
03-	60	CH(CH3)CH2	2-Cl		

03-	61	CH(CH ₃)CH ₂	2-Br		
03-	62	CH(CH ₃)CH ₂	2-I		
03-	63	CH(CH ₃)CH ₂	3-F		
03-	64	CH(CH ₃)CH ₂	3-Cl		
03-	65	CH(CH ₃)CH ₂	3-Br		
03-	66	CH(CH ₃)CH ₂	3-I		
03-	67	CH(CH ₃)CH ₂	4-F		
03-	68	CH(CH ₃)CH ₂	4-Cl		
03-	69	CH(CH ₃)CH ₂	4-Br		
03-	70	CH(CH ₃)CH ₂	4-I		
03-	71	CH(CH ₃)CH ₂	4-Me		
03-	72	CH(CH ₃)CH ₂	4-Et		
03-	73	CH(CH ₃)CH ₂	4-nPr		
03-	74	CH(CH ₃)CH ₂	4-iPr		
03-	75	CH(CH ₃)CH ₂	4-nBu		
03-	76	CH(CH ₃)CH ₂	4-sec-Bu		
03-	77	CH(CH ₃)CH ₂	4-iBu		
03-	78	CH(CH ₃)CH ₂	4-tBu		
03-	79	CH(CH ₃)CH ₂	4-CF ₃		
03-	80	CH(CH ₃)CH ₂	4-MeO		
03-	81	CH(CH ₃)CH ₂	4-EtO		
03-	82	CH(CH ₃)CH ₂	4-nPrO		
03-	83	CH(CH ₃)CH ₂	4-nBuO		
03-	84	CH(CH ₃)CH ₂	4-OCHF ₂		
03-	85	CH(CH ₃)CH ₂	4-OCF ₃		
03-	86	CH(CH ₃)CH ₂	4-OCH ₂ CF ₃		
03-	87	CH(CH ₃)CH ₂	4-OC ₂ F ₄ H		
03-	88	CH(CH ₃)CH ₂	4-OC ₃ F ₆ H		
03-	89	CH(CH ₃)CH ₂	4-SMe		
03-	90	CH(CH ₃)CH ₂	4-SOMe		
03-	91	CH(CH ₃)CH ₂	4-SO ₂ Me		
03-	92	CH(CH ₃)CH ₂	4-SEt		
03-	93	CH(CH ₃)CH ₂	4-SOEt		
03-	94	CH(CH ₃)CH ₂	4-SO ₂ Et		
03-	95	CH(CH ₃)CH ₂	4-SCF ₃		
03-	96	CH(CH ₃)CH ₂	4-SOCF ₃		

03-	97	CH(CH ₃)CH ₂	4-SO ₂ CF ₃		
03-	98	CH(CH ₃)CH ₂	4-CN		
03-	99	CH(CH ₃)CH ₂	4-NO ₂		
03-	100	CH(CH ₃)CH ₂	4-NMe ₂		
03-	101	CH(CH ₃)CH ₂	2,3-F ₂		
03-	102	CH(CH ₃)CH ₂	2,4-F ₂		
03-	103	CH(CH ₃)CH ₂	2,5-F ₂		
03-	104	CH(CH ₃)CH ₂	2,6-F ₂		
03-	105	CH(CH ₃)CH ₂	3,4-F ₂		
03-	106	CH(CH ₃)CH ₂	3,5-F ₂		
03-	107	CH(CH ₃)CH ₂	2,3-Cl ₂		
03-	108	CH(CH ₃)CH ₂	2,4-Cl ₂		
03-	109	CH(CH ₃)CH ₂	2,5-Cl ₂		
03-	110	CH(CH ₃)CH ₂	2,6-Cl ₂		
03-	111	CH(CH ₃)CH ₂	3,4-Cl ₂		
03-	112	CH(CH ₃)CH ₂	3,5-Cl ₂		
03-	113	CH(CH ₃)CH ₂	2-Cl-4-CF ₃		
03-	114	CH(CH ₃)CH ₂	2-Cl-4-F		
03-	115	CH ₂ CH(CH ₃)	H		
03-	116	CH ₂ CH(CH ₃)	2-F		
03-	117	CH ₂ CH(CH ₃)	2-Cl		
03-	118	CH ₂ CH(CH ₃)	2-Br		
03-	119	CH ₂ CH(CH ₃)	2-I		
03-	120	CH ₂ CH(CH ₃)	3-F		
03-	121	CH ₂ CH(CH ₃)	3-Cl		
03-	122	CH ₂ CH(CH ₃)	3-Br		
03-	123	CH ₂ CH(CH ₃)	3-I		
03-	124	CH ₂ CH(CH ₃)	4-F		
03-	125	CH ₂ CH(CH ₃)	4-Cl		
03-	126	CH ₂ CH(CH ₃)	4-Br		
03-	127	CH ₂ CH(CH ₃)	4-I		

Table 4: Compounds of Formula (Ia) in which the substituents have the following meanings:

R¹ is substituted pyridine; (A)_n is CH₂; R² and R³ are each H; X is O;

Compound number		R1	CA REG No	NMR
04-	01	2-pyridyl		
04-	02	3-F-2-pyridyl		
04-	03	4-F-2-pyridyl		
04-	04	5-F-2-pyridyl		
04-	05	6-F-2-pyridyl		
04-	06	3-Cl-2-pyridyl		
04-	07	4-Cl-2-pyridyl		
04-	08	5-Cl-2-pyridyl		
04-	09	6-Cl-2-pyridyl		
04-	10	3-Br-2-pyridyl		
04-	11	4-Br-2-pyridyl		
04-	12	5-Br-2-pyridyl		
04-	13	6-Br-2-pyridyl		
04-	14	3-I-2-pyridyl		
04-	15	4-I-2-pyridyl		
04-	16	5-I-2-pyridyl		
04-	17	6-I-2-pyridyl		
04-	18	3-CF ₃ -2-pyridyl		
04-	19	4-CF ₃ -2-pyridyl		
04-	20	5-CF ₃ -2-pyridyl		
04-	21	6-CF ₃ -2-pyridyl		
04-	22	3-Me-2-pyridyl		
04-	23	4-Me-2-pyridyl		
04-	24	5-Me-2-pyridyl		
04-	25	6-Me-2-pyridyl		
04-	26	3,5-Cl ₂ -2-pyridyl		
04-	27	3,5-Br ₂ -2-pyridyl		
04-	28	3-Cl-5-CF ₃ -2-pyridyl		
04-	29	3,5-Me-2-pyridyl		
04-	30	3-pyridyl		
04-	31	2-Cl-3-pyridyl		
04-	32	4-Cl-3-pyridyl		
04-	33	5-Cl-3-pyridyl		
04-	34	6-Cl-3-pyridyl		1H: 2,46; 2,74;3,60; 7,32; 7,70; 8,34;

04-	35	2,5-Cl ₂ -3-pyridyl		
04-	36	4-pyridyl		
04-	37	2-Cl-4-pyridyl		
04-	38	3-Cl-4-pyridyl		
04-	39	3,5-Cl ₂ -4-pyridyl		
04-	40	6-MeO-2-pyridyl		
04-	41	6-EtO-2-pyridyl		
04-	42	6-CF ₃ CH ₂ O-2-pyridyl		
04-	43	6-CHF ₂ O-2-pyridyl		
04-	44	6-MeO-3-pyridyl		
04-	45	3-EtO-3-pyridyl		
04-	46	6-CF ₃ CH ₂ O-3-pyridyl		
04-	47	6-CHF ₂ O-3-pyridyl		
04-	48	2-pyrimidinyl		
04-	49	4-pyrimidinyl		
04-	50	4,6-Me ₂ -2-pyrimidinyl		
04-	51	2,6-Me ₂ -4-pyrimidinyl		
04-	52	2-pyrazinyl		
04-	53	3-pyridazinyl		

Table 5: Compounds of Formula (Ia) in which the substituents have the following meanings:

R¹ is Phenyl substituted by R; n=0 in (A)_n; R² and R³ are each H; X is O;

Compound		R	CA REG No	NMR
number				
05-	01	H	19125-34-9	
05-	02	2-F	115012-46-9	
05-	03	2-Cl		
05-	04	2-Br		
05-	05	2-I		
05-	06	3-F		
05-	07	3-Cl		
05-	08	3-Br		
05-	09	3-I		
05-	10	4-F		

05-	11	4-Cl	113759-96-9	
05-	12	4-Br		
05-	13	4-I		
05-	14	2-Me		
05-	15	2-Et		
05-	16	2-nPr		
05-	17	2-iPr		
05-	18	2-nBu		
05-	19	2-sec-Bu		
05-	20	2-iBu		
05-	21	2-tBu		
05-	22	2-CF ₃		
05-	23	2-MeO		
05-	24	2-EtO		
05-	25	2-nPrO		
05-	26	2-nBuO		
05-	27	2-OCHF ₂		
05-	28	2-OCF ₃		
05-	29	2-OCH ₂ CF ₃		
05-	30	2-OC ₂ F ₄ H		
05-	31	2-OC ₃ F ₆ H		
05-	32	2-SMe		
05-	33	2-SOMe		
05-	34	2-SO ₂ Me		
05-	35	2-SEt		
05-	36	2-SOEt		
05-	37	2-SO ₂ Et		
05-	38	2-SCF ₃		
05-	39	2-SOCF ₃		
05-	40	2-SO ₂ CF ₃		
05-	41	2-CN		
05-	42	2-NO ₂		
05-	43	2-NMe ₂		
05-	44	3-Me		
05-	45	3-Et		
05-	46	3-nPr		

05-	47	3-iPr		
05-	48	3-nBu		
05-	49	3-sec-Bu		
05-	50	3-iBu		
05-	51	3-tBu		
05-	52	3-CF3		
05-	53	3-MeO		
05-	54	3-EtO		
05-	55	3-nPrO		
05-	56	3-nBuO		
05-	57	3-OCHF2		
05-	58	3-OCF3		
05-	59	3-OCH2CF3		
05-	60	3-OC2F4H		
05-	61	3-OC3F6H		
05-	62	3-SMe		
05-	63	3-SOMe		
05-	64	3-SO2Me		
05-	65	3-SEt		
05-	66	3-SOEt		
05-	67	3-SO2Et		
05-	68	3-SCF3		
05-	69	3-SOCF3		
05-	70	3-SO2CF3		
05-	71	3-CN		
05-	72	3-NO2		
05-	73	3-NMe2		
05-	74	4-Me	105123-89-5	
05-	75	4-Et		
05-	76	4-nPr		
05-	77	4-iPr		
05-	78	4-nBu		
05-	79	4-sec-Bu		
05-	80	4-iBu		
05-	81	4-tBu		
05-	82	4-CF3		

05-	83	4-MeO	94635-24-2	
05-	84	4-EtO		
05-	85	4-nPrO		
05-	86	4-nBuO		
05-	87	4-OCHF ₂		
05-	88	4-OCF ₃		
05-	89	4-OCH ₂ CF ₃		
05-	90	4-OC ₂ F ₄ H		
05-	91	4-OC ₃ F ₆ H		
05-	92	4-SMe		
05-	93	4-SOMe		
05-	94	4-SO ₂ Me		
05-	95	4-SEt		
05-	96	4-SOEt		
05-	97	4-SO ₂ Et		
05-	98	4-SCF ₃		
05-	99	4-SOCF ₃		
05-	100	4-SO ₂ CF ₃		
05-	101	4-CN		
05-	102	4-NO ₂		
05-	103	4-NMe ₂		
05-	104	2,3-F ₂		
05-	105	2,4-F ₂		
05-	106	2,5-F ₂		
05-	107	2,6-F ₂		
05-	108	3,4-F ₂		
05-	109	3,5-F ₂		
05-	110	2,3-Cl ₂		
05-	111	2,4-Cl ₂		
05-	112	2,5-Cl ₂		
05-	113	2,6-Cl ₂		
05-	114	3,4-Cl ₂		
05-	115	3,5-Cl ₂		
05-	116	2-Cl-4-CF ₃		
05-	117	2-Cl-4-F		
05-	118	2,3-Me ₂		

05-	119	2,4-Me2		
05-	120	2,5-Me2		
05-	121	2,6-Me2		
05-	122	3,4-Me2		
05-	123	3,5-Me2		
05-	124	2,4,6-F3		
05-	125	3,4,5-F3		
05-	126	2,4,6-Cl3		
05-	127	2,3,4-Cl3		
05-	128	2,4,5-Cl3		
05-	129	3,4,5-Cl3		
05-	130	2,6-Cl2-4-CF3		
05-	131	2,4,6-Me3		
05-	132	2,4-Br2		
05-	133	3,4-Br2		
05-	134	2-Cl-4-Br		
05-	135	2-Br-4-F		

Table 6: Compounds of Formula (Ia) in which the substituents have the following meanings:

R^1 is optionally substituted pyridine, pyrimidine, pyrazine and pyridazine; $n=0$ in (A) $_n$; R^2 and R^3 are each H; X is O;

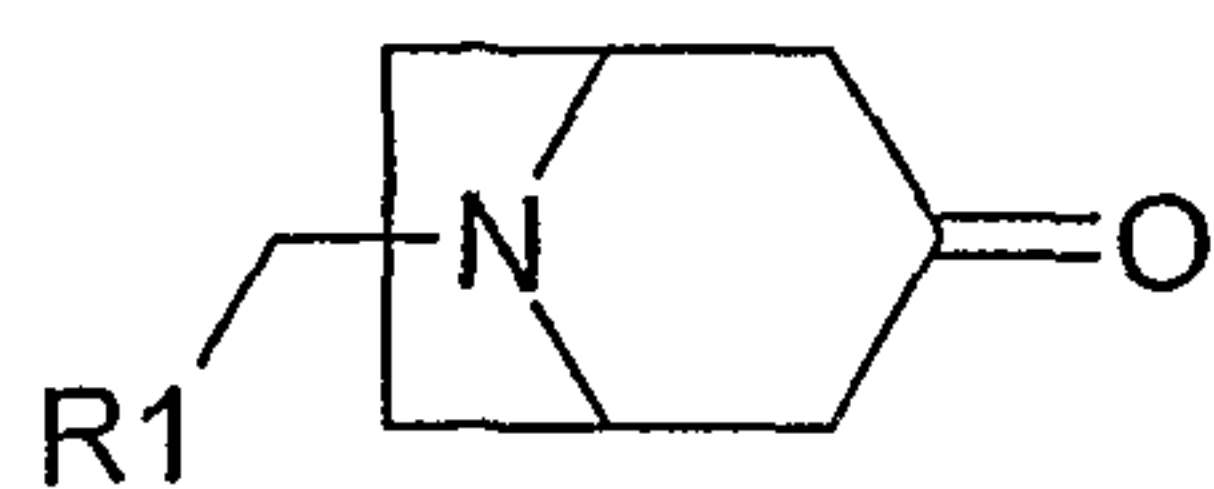
Compound number	R1	CA REG No	NMR
06- 01	2-pyridyl		
06- 02	3-F-2-pyridyl		
06- 03	4-F-2-pyridyl		
06- 04	5-F-2-pyridyl		
06- 05	6-F-2-pyridyl		
06- 06	3-Cl-2-pyridyl		
06- 07	4-Cl-2-pyridyl		
06- 08	5-Cl-2-pyridyl		
06- 09	6-Cl-2-pyridyl		
06- 10	3-Br-2-pyridyl		
06- 11	4-Br-2-pyridyl		

06-	12	5-Br-2-pyridyl		
06-	13	6-Br-2-pyridyl		
06-	14	3-I-2-pyridyl		
06-	15	4-I-2-pyridyl		
06-	16	5-I-2-pyridyl		
06-	17	6-I-2-pyridyl		
06-	18	3-CF ₃ -2-pyridyl		
06-	19	4-CF ₃ -2-pyridyl		
06-	20	5-CF ₃ -2-pyridyl		1H: 2,48; 3,92; 6,68; 7,62; 8,37;
06-	21	6-CF ₃ -2-pyridyl		
06-	22	3-Me-2-pyridyl		
06-	23	4-Me-2-pyridyl		
06-	24	5-Me-2-pyridyl		
06-	25	6-Me-2-pyridyl		
06-	26	3,5-Cl ₂ -2-pyridyl		
06-	27	3,5-Br ₂ -2-pyridyl		
06-	28	3-Cl-5-CF ₃ -2-pyridyl		
06-	29	3,5-Me-2-pyridyl		
06-	30	3-pyridyl		
06-	31	2-Cl-3-pyridyl		
06-	32	4-Cl-3-pyridyl		
06-	33	5-Cl-3-pyridyl		
06-	34	6-Cl-3-pyridyl		
06-	35	2,5-Cl ₂ -3-pyridyl		
06-	36	4-pyridyl		
06-	37	2-Cl-4-pyridyl		
06-	38	3-Cl-4-pyridyl		
06-	39	3,5-Cl ₂ -4-pyridyl		
06-	40	6-MeO-2-pyridyl		
06-	41	6-EtO-2-pyridyl		
06-	42	6-CF ₃ CH ₂ O-2-pyridyl		
06-	43	6-CHF ₂ O-2-pyridyl		
06-	44	6-MeO-3-pyridyl		
06-	45	3-EtO-3-pyridyl		
06-	46	6-CF ₃ CH ₂ O-3-pyridyl		
06-	47	6-CHF ₂ O-3-pyridyl		

06-	48	6-CF ₃ CH ₂ O-2-pyridyl		
06-	49	6-CHF ₂ O-2-pyridyl		
06-	50	2-pyrimidinyl		
06-	51	4-pyrimidinyl		
06-	52	4,6-Me ₂ -2-pyrimidinyl		
06-	53	2,6-Me ₂ -4-pyrimidinyl		
06-	54	2-pyrazinyl		
06-	55	3-pyridazinyl		

Table 7: Compounds of Formula (Ia) in which the substituents have the following meanings:

R¹ is Phenyl substituted by R; (A)_n is CH₂; R² and R³ form together a C₂H₄ group; X is O;



Compound number	R	CA REG No	NMR
07- 01	H	28957-72-4	
07- 02	2-F		
07- 03	2-Cl	109690-05-3	
07- 04	2-Br		
07- 05	2-I		
07- 06	3-F		
07- 07	3-Cl		
07- 08	3-Br		
07- 09	3-I		
07- 10	4-F		
07- 11	4-Cl	60206-03-3	
07- 12	4-Br		
07- 13	4-I		
07- 14	2-Me		
07- 15	2-Et		
07- 16	2-nPr		
07- 17	2-iPr		
07- 18	2-nBu		

07-	19	2-sec-Bu		
07-	20	2-iBu		
07-	21	2-tBu		
07-	22	2-CF3		
07-	23	2-MeO		
07-	24	2-EtO		
07-	25	2-nPrO		
07-	26	2-nBuO		
07-	27	2-OCHF2		
07-	28	2-OCF3		
07-	29	2-OCH2CF3		
07-	30	2-OC2F4H		
07-	31	2-OC3F6H		
07-	32	2-SMe		
07-	33	2-SOMe		
07-	34	2-SO2Me		
07-	35	2-SEt		
07-	36	2-SOEt		
07-	37	2-SO2Et		
07-	38	2-SCF3		
07-	39	2-SOCF3		
07-	40	2-SO2CF3		
07-	41	2-CN		
07-	42	2-NO2		
07-	43	2-NMe2		
07-	44	3-Me		
07-	45	3-Et		
07-	46	3-nPr		
07-	47	3-iPr		
07-	48	3-nBu		
07-	49	3-sec-Bu		
07-	50	3-iBu		
07-	51	3-tBu		
07-	52	3-CF3		
07-	53	3-MeO		
07-	54	3-EtO		

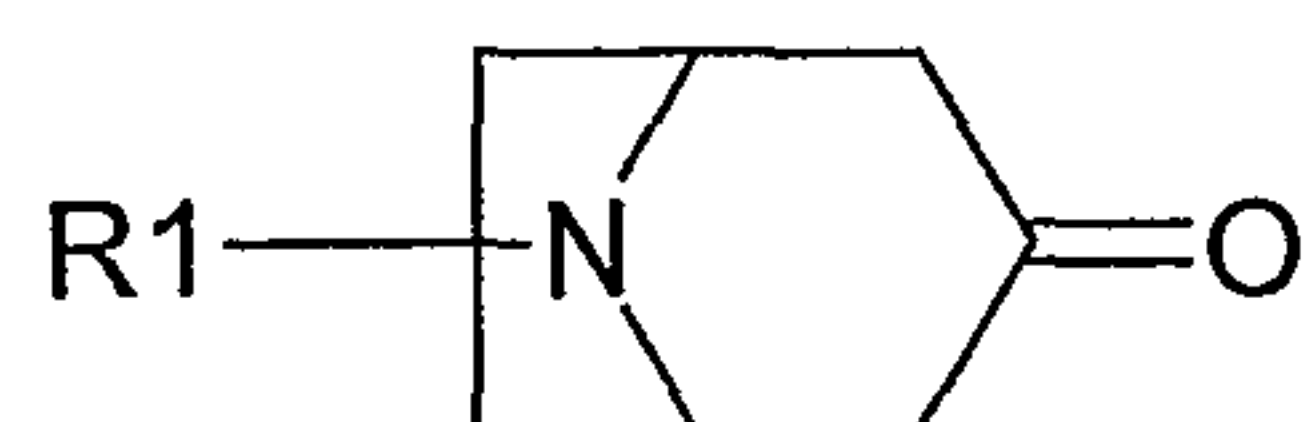
07-	55	3-nPrO		
07-	56	3-nBuO		
07-	57	3-OCHF2		
07-	58	3-OCF3		
07-	59	3-OCH2CF3		
07-	60	3-OC2F4H		
07-	61	3-OC3F6H		
07-	62	3-SMe		
07-	63	3-SOMe		
07-	64	3-SO2Me		
07-	65	3-SEt		
07-	66	3-SOEt		
07-	67	3-SO2Et		
07-	68	3-SCF3		
07-	69	3-SOCF3		
07-	70	3-SO2CF3		
07-	71	3-CN		
07-	72	3-NO2		
07-	73	3-NMe2		
07-	74	4-Me		
07-	75	4-Et		
07-	76	4-nPr		
07-	77	4-iPr		
07-	78	4-nBu		
07-	79	4-sec-Bu		
07-	80	4-iBu		
07-	81	4-tBu		
07-	82	4-CF3		1H: 1,66; 2,13; 2,23; 2,68; 3,47; 3,80; 7,55; 7,60;
07-	83	4-MeO	108842-33-7	
07-	84	4-EtO		
07-	85	4-nPrO		
07-	86	4-nBuO		
07-	87	4-OCHF2		
07-	88	4-OCF3		
07-	89	4-OCH2CF3		

07-	90	4-OC2F4H		
07-	91	4-OC3F6H		
07-	92	4-SMe		
07-	93	4-SOMe		
07-	94	4-SO2Me		
07-	95	4-SEt		
07-	96	4-SOEt		
07-	97	4-SO2Et		
07-	98	4-SCF3		
07-	99	4-SOCF3		
07-	100	4-SO2CF3		
07-	101	4-CN		
07-	102	4-NO2		
07-	103	4-NMe2		
07-	104	2,3-F2		
07-	105	2,4-F2		
07-	106	2,5-F2		
07-	107	2,6-F2		
07-	108	3,4-F2		
07-	109	3,5-F2		
07-	110	2,3-Cl2		
07-	111	2,4-Cl2	100710-11-0	
07-	112	2,5-Cl2		
07-	113	2,6-Cl2		
07-	114	3,4-Cl2		
07-	115	3,5-Cl2		
07-	116	2-Cl-4-CF3		
07-	117	2-Cl-4-F		
07-	118	2,3-Me2		
07-	119	2,4-Me2		
07-	120	2,5-Me2		
07-	121	2,6-Me2		
07-	122	3,4-Me2		
07-	123	3,5-Me2		
07-	124	2,4,6-F3		
07-	125	3,4,5-F3		

07-	126	2,4,6-Cl ₃		
07-	127	2,3,4-Cl ₃		
07-	128	2,4,5-Cl ₃		
07-	129	3,4,5-Cl ₃		
07-	130	2,6-Cl ₂ -4-CF ₃		
07-	131	2,4,6-Me ₃		
07-	132	2,4-Br ₂		
07-	133	3,4-Br ₂		
07-	134	2-Cl-4-Br		
07-	135	2-Br-4-F		

Table 8: Compounds of Formula (Ia) in which the substituents have the following meanings:

R¹ is Phenyl substituted by R; n=0 in (A)_n; R² and R³ form together a C₂H₄ group; X is O;



Compound number	R	CA REG No	NMR
08- 01	H	35193-93-2	
08- 02	2-F		
08- 03	2-Cl		
08- 04	2-Br		
08- 05	2-I		
08- 06	3-F		
08- 07	3-Cl		
08- 08	3-Br		
08- 09	3-I		
08- 10	4-F		
08- 11	4-Cl	33205-18-4	
08- 12	4-Br		
08- 13	4-I		
08- 14	2-Me		
08- 15	2-Et		
08- 16	2-nPr		

08-	17	2-iPr		
08-	18	2-nBu		
08-	19	2-sec-Bu		
08-	20	2-iBu		
08-	21	2-tBu		
08-	22	2-CF ₃		
08-	23	2-MeO		
08-	24	2-EtO		
08-	25	2-nPrO		
08-	26	2-nBuO		
08-	27	2-OCHF ₂		
08-	28	2-OCF ₃		
08-	29	2-OCH ₂ CF ₃		
08-	30	2-OC ₂ F ₄ H		
08-	31	2-OC ₃ F ₆ H		
08-	32	2-SMe		
08-	33	2-SOMe		
08-	34	2-SO ₂ Me		
08-	35	2-SEt		
08-	36	2-SOEt		
08-	37	2-SO ₂ Et		
08-	38	2-SCF ₃		
08-	39	2-SOCF ₃		
08-	40	2-SO ₂ CF ₃		
08-	41	2-CN		
08-	42	2-NO ₂		
08-	43	2-NMe ₂		
08-	44	3-Me		
08-	45	3-Et		
08-	46	3-nPr		
08-	47	3-iPr		
08-	48	3-nBu		
08-	49	3-sec-Bu		
08-	50	3-iBu		
08-	51	3-tBu		
08-	52	3-CF ₃		

- 76 -

08-	53	3-MeO		
08-	54	3-EtO		
08-	55	3-nPrO		
08-	56	3-nBuO		
08-	57	3-OCHF ₂		
08-	58	3-OCF ₃		
08-	59	3-OCH ₂ CF ₃		
08-	60	3-OC ₂ F ₄ H		
08-	61	3-OC ₃ F ₆ H		
08-	62	3-SMe		
08-	63	3-SOMe		
08-	64	3-SO ₂ Me		
08-	65	3-SEt		
08-	66	3-SOEt		
08-	67	3-SO ₂ Et		
08-	68	3-SCF ₃		
08-	69	3-SOCF ₃		
08-	70	3-SO ₂ CF ₃		
08-	71	3-CN		
08-	72	3-NO ₂		
08-	73	3-NMe ₂		
08-	74	4-Me	33205-17-3	
08-	75	4-Et		
08-	76	4-nPr		
08-	77	4-iPr		
08-	78	4-nBu		
08-	79	4-sec-Bu		
08-	80	4-iBu		
08-	81	4-tBu		
08-	82	4-CF ₃		
08-	83	4-MeO	33205-16-2	
08-	84	4-EtO		
08-	85	4-nPrO		
08-	86	4-nBuO		
08-	87	4-OCHF ₂		
08-	88	4-OCF ₃		

08-	89	4-OCH ₂ CF ₃		
08-	90	4-OC ₂ F ₄ H		
08-	91	4-OC ₃ F ₆ H		
08-	92	4-SMe		
08-	93	4-SOMe		
08-	94	4-SO ₂ Me		
08-	95	4-SEt		
08-	96	4-SOEt		
08-	97	4-SO ₂ Et		
08-	98	4-SCF ₃		
08-	99	4-SOCF ₃		
08-	100	4-SO ₂ CF ₃		
08-	101	4-CN		
08-	102	4-NO ₂		
08-	103	4-NMe ₂		
08-	104	2,3-F ₂		
08-	105	2,4-F ₂		
08-	106	2,5-F ₂		
08-	107	2,6-F ₂		
08-	108	3,4-F ₂		
08-	109	3,5-F ₂		
08-	110	2,3-Cl ₂		
08-	111	2,4-Cl ₂		
08-	112	2,5-Cl ₂		
08-	113	2,6-Cl ₂		
08-	114	3,4-Cl ₂		
08-	115	3,5-Cl ₂		
08-	116	2-Cl-4-CF ₃		
08-	117	2-Cl-4-F		
08-	118	2,3-Me ₂		
08-	119	2,4-Me ₂		
08-	120	2,5-Me ₂		
08-	121	2,6-Me ₂		
08-	122	3,4-Me ₂		
08-	123	3,5-Me ₂		
08-	124	2,4,6-F ₃		

08-	125	3,4,5-F3		
08-	126	2,4,6-Cl3		
08-	127	2,3,4-Cl3		
08-	128	2,4,5-Cl3		
08-	129	3,4,5-Cl3		
08-	130	2,6-Cl2-4-CF3		
08-	131	2,4,6-Me3		
08-	132	2,4-Br2		
08-	133	3,4-Br2		
08-	134	2-Cl-4-Br		
08-	135	2-Br-4-F		

Table 9: Compounds of Formula (Ia) in which the substituents have the following meanings:

$R^1-(A)_n-$ is (C_4-C_9) -alkyl, (C_3-C_7) -cycloalkyl, (C_3-C_7) -cycloalkylenyl; R^2 and R^3 are each H; X is O;

Compound number		R1-A	CA REG No	NMR
09-	01	nC4H9	23081-86-9	
09-	02	nC5H11	72544-07-1	
09-	03	nC6H13	71072-22-5	
09-	04	nC7H15		1H: 0,81; 1,22; 1,45; 2,39; 2,66;
09-	05	nC8H17		
09-	06	nC9H19		
09-	07	c-C3H5		
09-	08	c-C4H7		
09-	09	c-C5H9		
09-	10	c-C6H11		
09-	11	c-C7H13		
09-	12	cyclopent-2-enyl		
09-	13	cyclopent-3-enyl		
09-	14	cyclohex-2-enyl		
09-	15	cyclohex-3-enyl		
09-	16	c-C3H5-CH2	49682-96-4	
09-	17	c-C4H7-CH2		

09-	18	c-C ₅ H ₉ -CH ₂		
09-	19	c-C ₆ H ₁₁ -CH ₂	64306-76-9	
09-	20	c-C ₇ H ₁₃ -CH ₂		

Table 10: Compounds of Formula (Ia) in which the substituents have the following meanings:

R¹ is Phenyl substituted by R; (A)_n is CH₂; R² and R³ are each H; X is N-OR;

Compound number		X	R	CA REG No	NMR
10-	01	N-OH	H		
10-	02	N-OH	2-F		
10-	03	N-OH	2-Cl		
10-	04	N-OH	2-Br		
10-	05	N-OH	2-I		
10-	06	N-OH	3-F		
10-	07	N-OH	3-Cl		
10-	08	N-OH	3-Br		
10-	09	N-OH	3-I		
10-	10	N-OH	4-F		
10-	11	N-OH	4-Cl		
10-	12	N-OH	4-Br		
10-	13	N-OH	4-I		
10-	14	N-OH	4-Me		
10-	15	N-OH	4-Et		
10-	16	N-OH	4-nPr		
10-	17	N-OH	4-iPr		
10-	18	N-OH	4-nBu		
10-	19	N-OH	4-sec-Bu		
10-	20	N-OH	4-iBu		
10-	21	N-OH	4-tBu		
10-	22	N-OH	4-CF ₃		
10-	23	N-OH	4-MeO		
10-	24	N-OH	4-EtO		
10-	25	N-OH	4-nPrO		
10-	26	N-OH	4-nBuO		

10-	27	N-OH	4-OCHF2		
10-	28	N-OH	4-OCF3		
10-	29	N-OH	4-OCH2CF3		
10-	30	N-OH	4-OC2F4H		
10-	31	N-OH	4-OC3F6H		
10-	32	N-OH	4-SMe		
10-	33	N-OH	4-SOMe		
10-	34	N-OH	4-SO2Me		
10-	35	N-OH	4-SEt		
10-	36	N-OH	4-SOEt		
10-	37	N-OH	4-SO2Et		
10-	38	N-OH	4-SCF3		
10-	39	N-OH	4-SOCF3		
10-	40	N-OH	4-SO2CF3		
10-	41	N-OH	4-CN		
10-	42	N-OH	4-NO2		
10-	43	N-OH	4-NMe2		
10-	44	N-OMe	H		
10-	45	N-OMe	2-F		
10-	46	N-OMe	2-Cl		
10-	47	N-OMe	2-Br		
10-	48	N-OMe	2-I		
10-	49	N-OMe	3-F		
10-	50	N-OMe	3-Cl		
10-	51	N-OMe	3-Br		
10-	52	N-OMe	3-I		
10-	53	N-OMe	4-F		
10-	54	N-OMe	4-Cl		
10-	55	N-OMe	4-Br		
10-	56	N-OMe	4-I		
10-	57	N-OMe	4-Me		
10-	58	N-OMe	4-Et		
10-	59	N-OMe	4-nPr		
10-	60	N-OMe	4-iPr		
10-	61	N-OMe	4-nBu		
10-	62	N-OMe	4-sec-Bu		

10-	63	N-OMe	4-iBu		
10-	64	N-OMe	4-tBu		
10-	65	N-OMe	4-CF3		
10-	66	N-OMe	4-MeO		
10-	67	N-OMe	4-EtO		
10-	68	N-OMe	4-nPrO		
10-	69	N-OMe	4-nBuO		
10-	70	N-OMe	4-OCHF2		
10-	71	N-OMe	4-OCF3		
10-	72	N-OMe	4-OCH2CF3		
10-	73	N-OMe	4-OC2F4H		
10-	74	N-OMe	4-OC3F6H		
10-	75	N-OMe	4-SMe		
10-	76	N-OMe	4-SOMe		
10-	77	N-OMe	4-SO2Me		
10-	78	N-OMe	4-SEt		
10-	79	N-OMe	4-SOEt		
10-	80	N-OMe	4-SO2Et		
10-	81	N-OMe	4-SCF3		
10-	82	N-OMe	4-SOCF3		
10-	83	N-OMe	4-SO2CF3		
10-	84	N-OMe	4-CN		
10-	85	N-OMe	4-NO2		
10-	86	N-OMe	4-NMe2		
10-	87	N-OEt	H		
10-	88	N-OEt	2-F		
10-	89	N-OEt	2-Cl		
10-	90	N-OEt	2-Br		
10-	91	N-OEt	2-I		
10-	92	N-OEt	3-F		
10-	93	N-OEt	3-Cl		
10-	94	N-OEt	3-Br		
10-	95	N-OEt	3-I		
10-	96	N-OEt	4-F		
10-	97	N-OEt	4-Cl		
10-	98	N-OEt	4-Br		

10-	99	N-OEt	4-I		
10-	100	N-OEt	4-Me		
10-	101	N-OEt	4-Et		
10-	102	N-OEt	4-nPr		
10-	103	N-OEt	4-iPr		
10-	104	N-OEt	4-nBu		
10-	105	N-OEt	4-sec-Bu		
10-	106	N-OEt	4-iBu		
10-	107	N-OEt	4-tBu		
10-	108	N-OEt	4-CF ₃		
10-	109	N-OEt	4-MeO		
10-	110	N-OEt	4-EtO		
10-	111	N-OEt	4-nPrO		
10-	112	N-OEt	4-nBuO		
10-	113	N-OEt	4-OCHF ₂		
10-	114	N-OEt	4-OCF ₃		
10-	115	N-OEt	4-OCH ₂ CF ₃		
10-	116	N-OEt	4-OC ₂ F ₄ H		
10-	117	N-OEt	4-OC ₃ F ₆ H		
10-	118	N-OEt	4-SMe		
10-	119	N-OEt	4-SOMe		
10-	120	N-OEt	4-SO ₂ Me		
10-	121	N-OEt	4-SEt		
10-	122	N-OEt	4-SOEt		
10-	123	N-OEt	4-SO ₂ Et		
10-	124	N-OEt	4-SCF ₃		
10-	125	N-OEt	4-SOCF ₃		
10-	126	N-OEt	4-SO ₂ CF ₃		
10-	127	N-OEt	4-CN		
10-	128	N-OEt	4-NO ₂		
10-	129	N-OEt	4-NMe ₂		

Table 11: Compounds of Formula (Ib) in which the substituents have the following meanings:

R¹ is Phenyl substituted by R; (A)_n is CH₂; R² and R³ are each H; R⁴ and R⁵ together with C4 of the piperidine ring form a 5-6 membered ring;

Compound number		R	R4-R5	CA REG No	NMR
11-	01	H	O-C2H4-O	37943-54-7	
11-	02	2-F	O-C2H4-O		
11-	03	2-Cl	O-C2H4-O		
11-	04	2-Br	O-C2H4-O		
11-	05	2-I	O-C2H4-O		
11-	06	3-F	O-C2H4-O		
11-	07	3-Cl	O-C2H4-O		
11-	08	3-Br	O-C2H4-O		
11-	09	3-I	O-C2H4-O		
11-	10	4-F	O-C2H4-O		
11-	11	4-Cl	O-C2H4-O		
11-	12	4-Br	O-C2H4-O		
11-	13	4-I	O-C2H4-O		
11-	14	4-Me	O-C2H4-O		
11-	15	4-Et	O-C2H4-O		
11-	16	4-nPr	O-C2H4-O		
11-	17	4-iPr	O-C2H4-O		
11-	18	4-nBu	O-C2H4-O		
11-	19	4-sec-Bu	O-C2H4-O		
11-	20	4-iBu	O-C2H4-O		
11-	21	4-tBu	O-C2H4-O		
11-	22	4-CF3	O-C2H4-O		1H: 1,74, 2,51, 3,56, 3,94, 7,44, 7,55
11-	23	4-MeO	O-C2H4-O		
11-	24	4-EtO	O-C2H4-O		
11-	25	4-nPrO	O-C2H4-O		
11-	26	4-nBuO	O-C2H4-O		
11-	27	4-OCHF2	O-C2H4-O		
11-	28	4-OCF3	O-C2H4-O		
11-	29	4-OCH2CF3	O-C2H4-O		
11-	30	4-OC2F4H	O-C2H4-O		
11-	31	4-OC3F6H	O-C2H4-O		
11-	32	4-SMe	O-C2H4-O		
11-	33	4-SOMe	O-C2H4-O		

11-	34	4-SO ₂ Me	O-C ₂ H ₄ -O		
11-	35	4-SEt	O-C ₂ H ₄ -O		
11-	36	4-SOEt	O-C ₂ H ₄ -O		
11-	37	4-SO ₂ Et	O-C ₂ H ₄ -O		
11-	38	4-SCF ₃	O-C ₂ H ₄ -O		
11-	39	4-SOCF ₃	O-C ₂ H ₄ -O		
11-	40	4-SO ₂ CF ₃	O-C ₂ H ₄ -O		
11-	41	4-CN	O-C ₂ H ₄ -O		
11-	42	4-NO ₂	O-C ₂ H ₄ -O		
11-	43	4-NMe ₂	O-C ₂ H ₄ -O		
11-	44	2,3-F ₂	O-C ₂ H ₄ -O		
11-	45	2,4-F ₂	O-C ₂ H ₄ -O		
11-	46	2,5-F ₂	O-C ₂ H ₄ -O		
11-	47	2,6-F ₂	O-C ₂ H ₄ -O		
11-	48	3,4-F ₂	O-C ₂ H ₄ -O		
11-	49	3,5-F ₂	O-C ₂ H ₄ -O		
11-	50	2,3-Cl ₂	O-C ₂ H ₄ -O		
11-	51	2,4-Cl ₂	O-C ₂ H ₄ -O		
11-	52	2,5-Cl ₂	O-C ₂ H ₄ -O		
11-	53	2,6-Cl ₂	O-C ₂ H ₄ -O		
11-	54	3,4-Cl ₂	O-C ₂ H ₄ -O		
11-	55	3,5-Cl ₂	O-C ₂ H ₄ -O		
11-	56	2-Cl-4-CF ₃	O-C ₂ H ₄ -O		
11-	57	2-Cl-4-F	O-C ₂ H ₄ -O		
11-	58	H	O-C ₃ H ₆ -O		
11-	59	2-F	O-C ₃ H ₆ -O		
11-	60	2-Cl	O-C ₃ H ₆ -O		
11-	61	2-Br	O-C ₃ H ₆ -O		
11-	62	2-I	O-C ₃ H ₆ -O		
11-	63	3-F	O-C ₃ H ₆ -O		
11-	64	3-Cl	O-C ₃ H ₆ -O		
11-	65	3-Br	O-C ₃ H ₆ -O		
11-	66	3-I	O-C ₃ H ₆ -O		
11-	67	4-F	O-C ₃ H ₆ -O		
11-	68	4-Cl	O-C ₃ H ₆ -O		
11-	69	4-Br	O-C ₃ H ₆ -O		

11-	70	4-I	O-C3H6-O		
11-	71	4-Me	O-C3H6-O		
11-	72	4-Et	O-C3H6-O		
11-	73	4-nPr	O-C3H6-O		
11-	74	4-iPr	O-C3H6-O		
11-	75	4-nBu	O-C3H6-O		
11-	76	4-sec-Bu	O-C3H6-O		
11-	77	4-iBu	O-C3H6-O		
11-	78	4-tBu	O-C3H6-O		
11-	79	4-CF3	O-C3H6-O		
11-	80	4-MeO	O-C3H6-O		
11-	81	4-EtO	O-C3H6-O		
11-	82	4-nPrO	O-C3H6-O		
11-	83	4-nBuO	O-C3H6-O		
11-	84	4-OCHF2	O-C3H6-O		
11-	85	4-OCF3	O-C3H6-O		
11-	86	4-OCH2CF3	O-C3H6-O		
11-	87	4-OC2F4H	O-C3H6-O		
11-	88	4-OC3F6H	O-C3H6-O		
11-	89	4-SMe	O-C3H6-O		
11-	90	4-SOMe	O-C3H6-O		
11-	91	4-SO2Me	O-C3H6-O		
11-	92	4-SEt	O-C3H6-O		
11-	93	4-SOEt	O-C3H6-O		
11-	94	4-SO2Et	O-C3H6-O		
11-	95	4-SCF3	O-C3H6-O		
11-	96	4-SOCF3	O-C3H6-O		
11-	97	4-SO2CF3	O-C3H6-O		
11-	98	4-CN	O-C3H6-O		
11-	99	4-NO2	O-C3H6-O		
11-	100	4-NMe2	O-C3H6-O		
11-	101	2,3-F2	O-C3H6-O		
11-	102	2,4-F2	O-C3H6-O		
11-	103	2,5-F2	O-C3H6-O		
11-	104	2,6-F2	O-C3H6-O		
11-	105	3,4-F2	O-C3H6-O		

11-	106	3,5-F2	O-C3H6-O		
11-	107	2,3-Cl2	O-C3H6-O		
11-	108	2,4-Cl2	O-C3H6-O		
11-	109	2,5-Cl2	O-C3H6-O		
11-	110	2,6-Cl2	O-C3H6-O		
11-	111	3,4-Cl2	O-C3H6-O		
11-	112	2,5-Cl2	O-C3H6-O		
11-	113	2-Cl-4-CF3	O-C3H6-O		
11-	114	2-Cl-4-F	O-C3H6-O		

Table 12: Compounds of Formula (Ib) in which the substituents have the following meanings:

R^1 is Phenyl substituted by R; (A)_n is CH₂; R^2 and R^3 are each H; R^4 is (C₁-C₃)-alkoxy and R^5 is H;

Compound number		R	R ₄	CA REG No	NMR
12-	01	H	OMe		
12-	02	2-F	OMe		
12-	03	2-Cl	OMe		
12-	04	2-Br	OMe		
12-	05	2-I	OMe		
12-	06	3-F	OMe		
12-	07	3-Cl	OMe		
12-	08	3-Br	OMe		
12-	09	3-I	OMe		
12-	10	4-F	OMe		
12-	11	4-Cl	OMe		1H: 1,57; 1,87; 2,12; 2,68; 3,20; 3,32; 3,43; 7,24;
12-	12	4-Br	OMe		
12-	13	4-I	OMe		
12-	14	4-Me	OMe		
12-	15	4-Et	OMe		
12-	16	4-nPr	OMe		
12-	17	4-iPr	OMe		
12-	18	4-nBu	OMe		
12-	19	4-sec-Bu	OMe		
12-	20	4-iBu	OMe		
12-	21	4-tBu	OMe		
12-	22	4-CF ₃	OMe		
12-	23	4-MeO	OMe		
12-	24	4-EtO	OMe		
12-	25	4-nPrO	OMe		
12-	26	4-nBuO	OMe		
12-	27	4-OCHF ₂	OMe		
12-	28	4-OCF ₃	OMe		
12-	29	4-OCH ₂ CF ₃	OMe		

12-	30	4-OC2F4H	OMe		
12-	31	4-OC3F6H	OMe		
12-	32	4-SMe	OMe		
12-	33	4-SOMe	OMe		
12-	34	4-SO2Me	OMe		
12-	35	4-SEt	OMe		
12-	36	4-SOEt	OMe		
12-	37	4-SO2Et	OMe		
12-	38	4-SCF3	OMe		
12-	39	4-SOCF3	OMe		
12-	40	4-SO2CF3	OMe		
12-	41	4-CN	OMe		
12-	42	4-NO2	OMe		
12-	43	4-NMe2	OMe		
12-	44	2,3-F2	OMe		
12-	45	2,4-F2	OMe		
12-	46	2,5-F2	OMe		
12-	47	2,6-F2	OMe		
12-	48	3,4-F2	OMe		
12-	49	3,5-F2	OMe		
12-	50	2,3-Cl2	OMe		
12-	51	2,4-Cl2	OMe		
12-	52	2,5-Cl2	OMe		
12-	53	2,6-Cl2	OMe		
12-	54	3,4-Cl2	OMe		
12-	55	3,5-Cl2	OMe		
12-	56	2-Cl-4-CF3	OMe		
12-	57	2-Cl-4-F	OMe		
12-	58	H	OEt	1142-04-7	
12-	59	2-F	OEt		
12-	60	2-Cl	OEt		
12-	61	2-Br	OEt		
12-	62	2-I	OEt		
12-	63	3-F	OEt		
12-	64	3-Cl	OEt		
12-	65	3-Br	OEt		

12-	66	3-I	OEt		
12-	67	4-F	OEt		
12-	68	4-Cl	OEt		
12-	69	4-Br	OEt		
12-	70	4-I	OEt		
12-	71	4-Me	OEt		
12-	72	4-Et	OEt		
12-	73	4-nPr	OEt		
12-	74	4-iPr	OEt		
12-	75	4-nBu	OEt		
12-	76	4-sec-Bu	OEt		
12-	77	4-iBu	OEt		
12-	78	4-tBu	OEt		
12-	79	4-CF3	OEt		
12-	80	4-MeO	OEt		
12-	81	4-EtO	OEt		
12-	82	4-nPrO	OEt		
12-	83	4-nBuO	OEt		
12-	84	4-OCHF2	OEt		
12-	85	4-OCF3	OEt		
12-	86	4-OCH2CF3	OEt		
12-	87	4-OC2F4H	OEt		
12-	88	4-OC3F6H	OEt		
12-	89	4-SMe	OEt		
12-	90	4-SOMe	OEt		
12-	91	4-SO2Me	OEt		
12-	92	4-SEt	OEt		
12-	93	4-SOEt	OEt		
12-	94	4-SO2Et	OEt		
12-	95	4-SCF3	OEt		
12-	96	4-SOCF3	OEt		
12-	97	4-SO2CF3	OEt		
12-	98	4-CN	OEt		
12-	99	4-NO2	OEt		
12-	100	4-NMe2	OEt		
12-	101	2,3-F2	OEt		

12-	102	2,4-F2	OEt		
12-	103	2,5-F2	OEt		
12-	104	2,6-F2	OEt		
12-	105	3,4-F2	OEt		
12-	106	3,5-F2	OEt		
12-	107	2,3-Cl2	OEt		
12-	108	2,4-Cl2	OEt		
12-	109	2,5-Cl2	OEt		
12-	110	2,6-Cl2	OEt		
12-	111	3,4-Cl2	OEt		
12-	112	2,5-Cl2	OEt		
12-	113	2-Cl-4-CF3	OEt		
12-	114	2-Cl-4-F	OEt		
12-	115	H	OnPr		
12-	116	2-F	OnPr		
12-	117	2-Cl	OnPr		
12-	118	2-Br	OnPr		
12-	119	2-I	OnPr		
12-	120	3-F	OnPr		
12-	121	3-Cl	OnPr		
12-	122	3-Br	OnPr		
12-	123	3-I	OnPr		
12-	124	4-F	OnPr		
12-	125	4-Cl	OnPr		
12-	126	4-Br	OnPr		
12-	127	4-I	OnPr		

Table 13: Compounds of Formula (Ib) in which the substituents have the following meanings:

R^1 is Phenyl substituted by R; (A)_n is CH₂; R^2 and R^3 are each H; R^4 is H or

(C₁-C₂)-alkyl and R^5 is H;

Compound number	R	R ₄	CA REG No	NMR
13- 01	H	H		
13- 02	2-F	H		

13-	03	2-Cl	H		
13-	04	2-Br	H		
13-	05	2-I	H		
13-	06	3-F	H		
13-	07	3-Cl	H		
13-	08	3-Br	H		
13-	09	3-I	H		
13-	10	4-F	H		
13-	11	4-Cl	H		
13-	12	4-Br	H		
13-	13	4-I	H		
13-	14	H	Me		
13-	15	2-F	Me		
13-	16	2-Cl	Me		
13-	17	2-Br	Me		
13-	18	2-I	Me		
13-	19	3-F	Me		
13-	20	3-Cl	Me		
13-	21	3-Br	Me		
13-	22	3-I	Me		
13-	23	4-F	Me		
13-	24	4-Cl	Me		
13-	25	4-Br	Me		
13-	26	4-I	Me		
13-	27	4-Me	Me		
13-	28	4-Et	Me		
13-	29	4-nPr	Me		
13-	30	4-iPr	Me		
13-	31	4-nBu	Me		
13-	32	4-sec-Bu	Me		
13-	33	4-iBu	Me		
13-	34	4-tBu	Me		
13-	35	4-CF ₃	Me		
13-	36	4-MeO	Me		
13-	37	4-EtO	Me		
13-	38	4-nPrO	Me		

13-	39	4-nBuO	Me		
13-	40	4-OCHF2	Me		
13-	41	4-OCF3	Me		
13-	42	4-OCH2CF3	Me		
13-	43	4-OC2F4H	Me		
13-	44	4-OC3F6H	Me		
13-	45	4-SMe	Me		
13-	46	4-SOMe	Me		
13-	47	4-SO2Me	Me		
13-	48	4-SEt	Me		
13-	49	4-SOEt	Me		
13-	50	4-SO2Et	Me		
13-	51	4-SCF3	Me		
13-	52	4-SOCF3	Me		
13-	53	4-SO2CF3	Me		
13-	54	4-CN	Me		
13-	55	4-NO2	Me		
13-	56	4-NMe2	Me		
13-	57	2,3-F2	Me		
13-	58	2,4-F2	Me		
13-	59	2,5-F2	Me		
13-	60	2,6-F2	Me		
13-	61	3,4-F2	Me		
13-	62	3,5-F2	Me		
13-	63	2,3-Cl2	Me		
13-	64	2,4-Cl2	Me		
13-	65	2,5-Cl2	Me		
13-	66	2,6-Cl2	Me		
13-	67	3,4-Cl2	Me		
13-	68	3,5-Cl2	Me		
13-	69	2-Cl-4-CF3	Me		
13-	70	2-Cl-4-F	Me		
13-	71	H	Et		
13-	72	2-F	Et		
13-	73	2-Cl	Et		
13-	74	2-Br	Et		

13-	75	2-I	Et		
13-	76	3-F	Et		
13-	77	3-Cl	Et		
13-	78	3-Br	Et		
13-	79	3-I	Et		
13-	80	4-F	Et		
13-	81	4-Cl	Et		
13-	82	4-Br	Et		
13-	83	4-I	Et		
13-	84	4-Me	Et		
13-	85	4-Et	Et		
13-	86	4-nPr	Et		
13-	87	4-iPr	Et		
13-	88	4-nBu	Et		
13-	89	4-sec-Bu	Et		
13-	90	4-iBu	Et		
13-	91	4-tBu	Et		
13-	92	4-CF ₃	Et		
13-	93	4-MeO	Et		
13-	94	4-EtO	Et		
13-	95	4-nPrO	Et		
13-	96	4-nBuO	Et		
13-	97	4-OCHF ₂	Et		
13-	98	4-OCF ₃	Et		
13-	99	4-OCH ₂ CF ₃	Et		
13-	100	4-OC ₂ F ₄ H	Et		
13-	101	4-OC ₃ F ₆ H	Et		
13-	102	4-SMe	Et		
13-	103	4-SOMe	Et		
13-	104	4-SO ₂ Me	Et		
13-	105	4-SEt	Et		
13-	106	4-SOEt	Et		
13-	107	4-SO ₂ Et	Et		
13-	108	4-SCF ₃	Et		
13-	109	4-SOCF ₃	Et		
13-	110	4-SO ₂ CF ₃	Et		

13-	111	4-CN	Et		
13-	112	4-NO ₂	Et		
13-	113	4-NMe ₂	Et		
13-	114	2,3-F ₂	Et		
13-	115	2,4-F ₂	Et		
13-	116	2,5-F ₂	Et		
13-	117	2,6-F ₂	Et		
13-	118	3,4-F ₂	Et		
13-	119	3,5-F ₂	Et		
13-	120	2,3-Cl ₂	Et		
13-	121	2,4-Cl ₂	Et		
13-	122	2,5-Cl ₂	Et		
13-	123	2,6-Cl ₂	Et		
13-	124	3,4-Cl ₂	Et		
13-	125	2,5-Cl ₂	Et		
13-	126	2-Cl-4-CF ₃	Et		
13-	127	2-Cl-4-F	Et		

Table 14: Compounds of Formula (Ic) in which the substituents have the following meanings:

R¹ is Phenyl substituted by R; (A)_n is CH₂; R² and R³ are each H; R⁶ is OH and R⁷ is H;

Compound number		R	CA REG No	NMR
14-	01	H	4727-72-4	
14-	02	2-F		
14-	03	2-Cl		
14-	04	2-Br		
14-	05	2-I		
14-	06	3-F		
14-	07	3-Cl		
14-	08	3-Br		
14-	09	3-I		
14-	10	4-F		
14-	11	4-Cl		
14-	12	4-Br		

14-	13	4-I		
14-	14	2-Me		
14-	15	2-Et		
14-	16	2-nPr		
14-	17	2-iPr		
14-	18	2-nBu		
14-	19	2-sec-Bu		
14-	20	2-iBu		
14-	21	2-tBu		
14-	22	2-CF ₃		
14-	23	2-MeO		
14-	24	2-EtO		
14-	25	2-nPrO		
14-	26	2-nBuO		
14-	27	2-OCHF ₂		
14-	28	2-OCF ₃		
14-	29	2-OCH ₂ CF ₃		
14-	30	2-OC ₂ F ₄ H		
14-	31	2-OC ₃ F ₆ H		
14-	32	2-SMe		
14-	33	2-SOMe		
14-	34	2-SO ₂ Me		
14-	35	2-SEt		
14-	36	2-SOEt		
14-	37	2-SO ₂ Et		
14-	38	2-SCF ₃		
14-	39	2-SOCF ₃		
14-	40	2-SO ₂ CF ₃		
14-	41	2-CN		
14-	42	2-NO ₂		
14-	43	2-NMe ₂		
14-	44	3-Me		
14-	45	3-Et		
14-	46	3-nPr		
14-	47	3-iPr		
14-	48	3-nBu		

- 96 -

14-	49	3-sec-Bu		
14-	50	3-iBu		
14-	51	3-tBu		
14-	52	3-CF ₃		
14-	53	3-MeO		
14-	54	3-EtO		
14-	55	3-nPrO		
14-	56	3-nBuO		
14-	57	3-OCHF ₂		
14-	58	3-OCF ₃		
14-	59	3-OCH ₂ CF ₃		
14-	60	3-OC ₂ F ₄ H		
14-	61	3-OC ₃ F ₆ H		
14-	62	3-SMe		
14-	63	3-SOMe		
14-	64	3-SO ₂ Me		
14-	65	3-SEt		
14-	66	3-SOEt		
14-	67	3-SO ₂ Et		
14-	68	3-SCF ₃		
14-	69	3-SOCF ₃		
14-	70	3-SO ₂ CF ₃		
14-	71	3-CN		
14-	72	3-NO ₂		
14-	73	3-NMe ₂		
14-	74	4-Me		
14-	75	4-Et		
14-	76	4-nPr		
14-	77	4-iPr		
14-	78	4-nBu		
14-	79	4-sec-Bu		
14-	80	4-iBu		
14-	81	4-tBu		
14-	82	4-CF ₃		1H: 1,60; 1,76; 1,89; 2,16; 2,74; 3,53; 3,71; 7,44; 7,56;
14-	83	4-MeO		

14-	84	4-EtO		
14-	85	4-nPrO		
14-	86	4-nBuO		
14-	87	4-OCHF ₂		
14-	88	4-OCF ₃		
14-	89	4-OCH ₂ CF ₃		
14-	90	4-OC ₂ F ₄ H		
14-	91	4-OC ₃ F ₆ H		
14-	92	4-SMe		
14-	93	4-SOMe		
14-	94	4-SO ₂ Me		
14-	95	4-SEt		
14-	96	4-SOEt		
14-	97	4-SO ₂ Et		
14-	98	4-SCF ₃		
14-	99	4-SOCF ₃		
14-	100	4-SO ₂ CF ₃		
14-	101	4-CN		
14-	102	4-NO ₂		
14-	103	4-NMe ₂		
14-	104	2,3-F ₂		
14-	105	2,4-F ₂		
14-	106	2,5-F ₂		
14-	107	2,6-F ₂		
14-	108	3,4-F ₂		
14-	109	3,5-F ₂		
14-	110	2,3-Cl ₂		
14-	111	2,4-Cl ₂		
14-	112	2,5-Cl ₂		
14-	113	2,6-Cl ₂		
14-	114	3,4-Cl ₂		
14-	115	3,5-Cl ₂		
14-	116	2-Cl-4-CF ₃		
14-	117	2-Cl-4-F		
14-	118	2,3-Me ₂		
14-	119	2,4-Me ₂		

14-	120	2,5-Me2		
14-	121	2,6-Me2		
14-	122	3,4-Me2		
14-	123	3,5-Me2		
14-	124	2,4,6-F3		
14-	125	3,4,5-F3		
14-	126	2,4,6-Cl3		
14-	127	2,3,4-Cl3		
14-	128	2,4,5-Cl3		
14-	129	3,4,5-Cl3		
14-	130	2,6-Cl2-4-CF3		
14-	131	2,4,6-Me3		
14-	132	2,4-Br2		
14-	133	3,4-Br2		
14-	134	2-Cl-4-Br		
14-	135	2-Br-4-F		

Table 15: Compounds of Formula (Ic) in which the substituents have the following meanings:

R^1 is Phenyl substituted by R; (A)_n is CH₂; R^2 and R^3 are each H; R^6 is O-COCH₃ and R^7 is H;

Compound number	R	CA REG No	NMR
15- 01	H		
15- 02	2-F		
15- 03	2-Cl		
15- 04	2-Br		
15- 05	2-I		
15- 06	3-F		
15- 07	3-Cl		
15- 08	3-Br		
15- 09	3-I		
15- 10	4-F		
15- 11	4-Cl		
15- 12	4-Br		
15- 13	4-I		

15-	14	2-Me		
15-	15	2-Et		
15-	16	2-nPr		
15-	17	2-iPr		
15-	18	2-nBu		
15-	19	2-sec-Bu		
15-	20	2-iBu		
15-	21	2-tBu		
15-	22	2-CF ₃		
15-	23	2-MeO		
15-	24	2-EtO		
15-	25	2-nPrO		
15-	26	2-nBuO		
15-	27	2-OCHF ₂		
15-	28	2-OCF ₃		
15-	29	2-OCH ₂ CF ₃		
15-	30	2-OC ₂ F ₄ H		
15-	31	2-OC ₃ F ₆ H		
15-	32	2-SMe		
15-	33	2-SOMe		
15-	34	2-SO ₂ Me		
15-	35	2-SEt		
15-	36	2-SOEt		
15-	37	2-SO ₂ Et		
15-	38	2-SCF ₃		
15-	39	2-SOCF ₃		
15-	40	2-SO ₂ CF ₃		
15-	41	2-CN		
15-	42	2-NO ₂		
15-	43	2-NMe ₂		
15-	44	3-Me		
15-	45	3-Et		
15-	46	3-nPr		
15-	47	3-iPr		
15-	48	3-nBu		
15-	49	3-sec-Bu		

15-	50	3-iBu		
15-	51	3-tBu		
15-	52	3-CF ₃		
15-	53	3-MeO		
15-	54	3-EtO		
15-	55	3-nPrO		
15-	56	3-nBuO		
15-	57	3-OCHF ₂		
15-	58	3-OCF ₃		
15-	59	3-OCH ₂ CF ₃		
15-	60	3-OC ₂ F ₄ H		
15-	61	3-OC ₃ F ₆ H		
15-	62	3-SMe		
15-	63	3-SOMe		
15-	64	3-SO ₂ Me		
15-	65	3-SEt		
15-	66	3-SOEt		
15-	67	3-SO ₂ Et		
15-	68	3-SCF ₃		
15-	69	3-SOCF ₃		
15-	70	3-SO ₂ CF ₃		
15-	71	3-CN		
15-	72	3-NO ₂		
15-	73	3-NMe ₂		
15-	74	4-Me		
15-	75	4-Et		
15-	76	4-nPr		
15-	77	4-iPr		
15-	78	4-nBu		
15-	79	4-sec-Bu		
15-	80	4-iBu		
15-	81	4-tBu		
15-	82	4-CF ₃		
15-	83	4-MeO		
15-	84	4-EtO		
15-	85	4-nPrO		

15-	86	4-nBuO		
15-	87	4-OCHF2		
15-	88	4-OCF3		
15-	89	4-OCH2CF3		
15-	90	4-OC2F4H		
15-	91	4-OC3F6H		
15-	92	4-SMe		
15-	93	4-SOMe		
15-	94	4-SO2Me		
15-	95	4-SEt		
15-	96	4-SOEt		
15-	97	4-SO2Et		
15-	98	4-SCF3		
15-	99	4-SOCF3		
15-	100	4-SO2CF3		
15-	101	4-CN		
15-	102	4-NO2		
15-	103	4-NMe2		
15-	104	2,3-F2		
15-	105	2,4-F2		
15-	106	2,5-F2		
15-	107	2,6-F2		
15-	108	3,4-F2		
15-	109	3,5-F2		
15-	110	2,3-Cl2		
15-	111	2,4-Cl2		
15-	112	2,5-Cl2		
15-	113	2,6-Cl2		
15-	114	3,4-Cl2		
15-	115	3,5-Cl2		
15-	116	2-Cl-4-CF3		
15-	117	2-Cl-4-F		
15-	118	2,3-Me2		
15-	119	2,4-Me2		
15-	120	2,5-Me2		
15-	121	2,6-Me2		

15-	122	3,4-Me ₂		
15-	123	3,5-Me ₂		
15-	124	2,4,6-F ₃		
15-	125	3,4,5-F ₃		
15-	126	2,4,6-Cl ₃		
15-	127	2,3,4-Cl ₃		
15-	128	2,4,5-Cl ₃		
15-	129	3,4,5-Cl ₃		
15-	130	2,6-Cl ₂ -4-CF ₃		
15-	131	2,4,6-Me ₃		
15-	132	2,4-Br ₂		
15-	133	3,4-Br ₂		
15-	134	2-Cl-4-Br		
15-	135	2-Br-4-F		

Table 16: Compounds of Formula (Ic) in which the substituents have the following meanings:

R¹ is Phenyl substituted by R; (A)_n is CH₂; R² and R³ are each H; R⁶ is O-COC₂H₅ and R⁷ is H;

Compound number	R	CA REG No	NMR
16- 01	H		
16- 02	2-F		
16- 03	2-Cl		
16- 04	2-Br		
16- 05	2-I		
16- 06	3-F		
16- 07	3-Cl		
16- 08	3-Br		
16- 09	3-I		
16- 10	4-F		
16- 11	4-Cl		
16- 12	4-Br		
16- 13	4-I		
16- 14	2-Me		
16- 15	2-Et		

16-	16	2-nPr		
16-	17	2-iPr		
16-	18	2-nBu		
16-	19	2-sec-Bu		
16-	20	2-iBu		
16-	21	2-tBu		
16-	22	2-CF ₃		
16-	23	2-MeO		
16-	24	2-EtO		
16-	25	2-nPrO		
16-	26	2-nBuO		
16-	27	2-OCHF ₂		
16-	28	2-OCF ₃		
16-	29	2-OCH ₂ CF ₃		
16-	30	2-OC ₂ F ₄ H		
16-	31	2-OC ₃ F ₆ H		
16-	32	2-SMe		
16-	33	2-SOMe		
16-	34	2-SO ₂ Me		
16-	35	2-SEt		
16-	36	2-SOEt		
16-	37	2-SO ₂ Et		
16-	38	2-SCF ₃		
16-	39	2-SOCF ₃		
16-	40	2-SO ₂ CF ₃		
16-	41	2-CN		
16-	42	2-NO ₂		
16-	43	2-NMe ₂		
16-	44	3-Me		
16-	45	3-Et		
16-	46	3-nPr		
16-	47	3-iPr		
16-	48	3-nBu		
16-	49	3-sec-Bu		
16-	50	3-iBu		
16-	51	3-tBu		

16-	52	3-CF3		
16-	53	3-MeO		
16-	54	3-EtO		
16-	55	3-nPrO		
16-	56	3-nBuO		
16-	57	3-OCHF2		
16-	58	3-OCF3		
16-	59	3-OCH2CF3		
16-	60	3-OC2F4H		
16-	61	3-OC3F6H		
16-	62	3-SMe		
16-	63	3-SOMe		
16-	64	3-SO2Me		
16-	65	3-SEt		
16-	66	3-SOEt		
16-	67	3-SO2Et		
16-	68	3-SCF3		
16-	69	3-SOCF3		
16-	70	3-SO2CF3		
16-	71	3-CN		
16-	72	3-NO2		
16-	73	3-NMe2		
16-	74	4-Me		
16-	75	4-Et		
16-	76	4-nPr		
16-	77	4-iPr		
16-	78	4-nBu		
16-	79	4-sec-Bu		
16-	80	4-iBu		
16-	81	4-tBu		
16-	82	4-CF3		1H: 4,81, CHO-CO;
16-	83	4-MeO		
16-	84	4-EtO		
16-	85	4-nPrO		
16-	86	4-nBuO		
16-	87	4-OCHF2		

16-	88	4-OCF ₃		
16-	89	4-OCH ₂ CF ₃		
16-	90	4-OC ₂ F ₄ H		
16-	91	4-OC ₃ F ₆ H		
16-	92	4-SMe		
16-	93	4-SOMe		
16-	94	4-SO ₂ Me		
16-	95	4-SEt		
16-	96	4-SOEt		
16-	97	4-SO ₂ Et		
16-	98	4-SCF ₃		
16-	99	4-SOCF ₃		
16-	100	4-SO ₂ CF ₃		
16-	101	4-CN		
16-	102	4-NO ₂		
16-	103	4-NMe ₂		
16-	104	2,3-F ₂		
16-	105	2,4-F ₂		
16-	106	2,5-F ₂		
16-	107	2,6-F ₂		
16-	108	3,4-F ₂		
16-	109	3,5-F ₂		
16-	110	2,3-Cl ₂		
16-	111	2,4-Cl ₂		
16-	112	2,5-Cl ₂		
16-	113	2,6-Cl ₂		
16-	114	3,4-Cl ₂		
16-	115	3,5-Cl ₂		
16-	116	2-Cl-4-CF ₃		
16-	117	2-Cl-4-F		
16-	118	2,3-Me ₂		
16-	119	2,4-Me ₂		
16-	120	2,5-Me ₂		
16-	121	2,6-Me ₂		
16-	122	3,4-Me ₂		
16-	123	3,5-Me ₂		

16-	124	2,4,6-F3		
16-	125	3,4,5-F3		
16-	126	2,4,6-Cl3		
16-	127	2,3,4-Cl3		
16-	128	2,4,5-Cl3		
16-	129	3,4,5-Cl3		
16-	130	2,6-Cl2-4-CF3		
16-	131	2,4,6-Me3		
16-	132	2,4-Br2		
16-	133	3,4-Br2		
16-	134	2-Cl-4-Br		
16-	135	2-Br-4-F		

Table 17: Compounds of Formula (Ic) in which the substituents have the following meanings:

R^1 is Phenyl substituted by R; (A)_n is CH₂; R^2 and R^3 are each H;
 R^6 is COO(C₁-C₄)-alkyl and R^7 is H;

Compound number	R	R ₆	CA REG No	NMR
17- 01	H	COOMe	10315-06-7	
17- 02	2-F	COOMe		
17- 03	2-Cl	COOMe		
17- 04	2-Br	COOMe		
17- 05	2-I	COOMe		
17- 06	3-F	COOMe		
17- 07	3-Cl	COOMe		
17- 08	3-Br	COOMe		
17- 09	3-I	COOMe		
17- 10	4-F	COOMe		
17- 11	4-Cl	COOMe		
17- 12	4-Br	COOMe		
17- 13	4-I	COOMe		
17- 14	4-Me	COOMe		
17- 15	4-Et	COOMe		
17- 16	4-nPr	COOMe		

17-	17	4-iPr	COOMe		
17-	18	4-nBu	COOMe		
17-	19	4-sec-Bu	COOMe		
17-	20	4-iBu	COOMe		
17-	21	4-tBu	COOMe		
17-	22	4-CF ₃	COOMe		
17-	23	4-MeO	COOMe		
17-	24	4-EtO	COOMe		
17-	25	4-nPrO	COOMe		
17-	26	4-nBuO	COOMe		
17-	27	4-OCHF ₂	COOMe		
17-	28	4-OCF ₃	COOMe		
17-	29	4-OCH ₂ CF ₃	COOMe		
17-	30	4-OC ₂ F ₄ H	COOMe		
17-	31	4-OC ₃ F ₆ H	COOMe		
17-	32	4-SMe	COOMe		
17-	33	4-SOMe	COOMe		
17-	34	4-SO ₂ Me	COOMe		
17-	35	4-SEt	COOMe		
17-	36	4-SOEt	COOMe		
17-	37	4-SO ₂ Et	COOMe		
17-	38	4-SCF ₃	COOMe		
17-	39	4-SOCF ₃	COOMe		
17-	40	4-SO ₂ CF ₃	COOMe		
17-	41	4-CN	COOMe		
17-	42	4-NO ₂	COOMe		
17-	43	4-NMe ₂	COOMe		
17-	44	2,3-F ₂	COOMe		
17-	45	2,4-F ₂	COOMe		
17-	46	2,5-F ₂	COOMe		
17-	47	2,6-F ₂	COOMe		
17-	48	3,4-F ₂	COOMe		
17-	49	3,5-F ₂	COOMe		
17-	50	2,3-Cl ₂	COOMe		
17-	51	2,4-Cl ₂	COOMe		
17-	52	2,5-Cl ₂	COOMe		

17-	53	2,6-Cl ₂	COOMe		
17-	54	3,4-Cl ₂	COOMe		
17-	55	2,5-Cl ₂	COOMe		
17-	56	2-Cl-4-CF ₃	COOMe		
17-	57	2-Cl-4-F	COOMe		
17-	58	H	COOEt	24228-40-8	
17-	59	2-F	COOEt		
17-	60	2-Cl	COOEt		
17-	61	2-Br	COOEt		
17-	62	2-I	COOEt		
17-	63	3-F	COOEt		
17-	64	3-Cl	COOEt		
17-	65	3-Br	COOEt		
17-	66	3-I	COOEt		
17-	67	4-F	COOEt		
17-	68	4-Cl	COOEt		
17-	69	4-Br	COOEt		
17-	70	4-I	COOEt		
17-	71	4-Me	COOEt		
17-	72	4-Et	COOEt		
17-	73	4-nPr	COOEt		
17-	74	4-iPr	COOEt		
17-	75	4-nBu	COOEt		
17-	76	4-sec-Bu	COOEt		
17-	77	4-iBu	COOEt		
17-	78	4-tBu	COOEt		
17-	79	4-CF ₃	COOEt		
17-	80	4-MeO	COOEt		
17-	81	4-EtO	COOEt		
17-	82	4-nPrO	COOEt		
17-	83	4-nBuO	COOEt		
17-	84	4-OCHF ₂	COOEt		
17-	85	4-OCF ₃	COOEt		
17-	86	4-OCH ₂ CF ₃	COOEt		
17-	87	4-OC ₂ F ₄ H	COOEt		
17-	88	4-OC ₃ F ₆ H	COOEt		

17-	89	4-SMe	COOEt		
17-	90	4-SOMe	COOEt		
17-	91	4-SO ₂ Me	COOEt		
17-	92	4-SEt	COOEt		
17-	93	4-SOEt	COOEt		
17-	94	4-SO ₂ Et	COOEt		
17-	95	4-SCF ₃	COOEt		
17-	96	4-SOCF ₃	COOEt		
17-	97	4-SO ₂ CF ₃	COOEt		
17-	98	4-CN	COOEt		
17-	99	4-NO ₂	COOEt		
17-	100	4-NMe ₂	COOEt		
17-	101	2,3-F ₂	COOEt		
17-	102	2,4-F ₂	COOEt		
17-	103	2,5-F ₂	COOEt		
17-	104	2,6-F ₂	COOEt		
17-	105	3,4-F ₂	COOEt		
17-	106	3,5-F ₂	COOEt		
17-	107	2,3-Cl ₂	COOEt		
17-	108	2,4-Cl ₂	COOEt		1H: 1,24; 1,81; 2,13; 2,29; 2,83; 3,53; 4,13; 7,21; 7,34; 7,42;
17-	109	2,5-Cl ₂	COOEt		
17-	110	2,6-Cl ₂	COOEt		
17-	111	3,4-Cl ₂	COOEt		
17-	112	2,5-Cl ₂	COOEt		
17-	113	2-Cl-4-CF ₃	COOEt		
17-	114	2-Cl-4-F	COOEt		
17-	115	H	COOnPr		
17-	116	2-F	COOnPr		
17-	117	2-Cl	COOnPr		
17-	118	2-Br	COOnPr		
17-	119	2-I	COOnPr		
17-	120	3-F	COOnPr		
17-	121	3-Cl	COOnPr		
17-	122	3-Br	COOnPr		
17-	123	3-I	COOnPr		

17-	124	4-F	COOnPr		
17-	125	4-Cl	COOnPr		
17-	126	4-Br	COOnPr		
17-	127	4-I	COOnPr		

Table 18: Compounds of Formula (Ic) in which the substituents have the following meanings:

R¹ is Phenyl substituted by R; (A)_n is CH₂; R² and R³ are each H; R⁶ is optionally substituted CH₂Phenyl and R⁷ is H;

Compound number		R	R ₆	CA REG No	NMR
18-	01	H	CH ₂ C ₆ H ₅		
18-	02	2-F	CH ₂ C ₆ H ₅		
18-	03	2-Cl	CH ₂ C ₆ H ₅		
18-	04	2-Br	CH ₂ C ₆ H ₅		
18-	05	2-I	CH ₂ C ₆ H ₅		
18-	06	3-F	CH ₂ C ₆ H ₅		
18-	07	3-Cl	CH ₂ C ₆ H ₅		
18-	08	3-Br	CH ₂ C ₆ H ₅		
18-	09	3-I	CH ₂ C ₆ H ₅		
18-	10	4-F	CH ₂ C ₆ H ₅		
18-	11	4-Cl	CH ₂ C ₆ H ₅		
18-	12	4-Br	CH ₂ C ₆ H ₅		
18-	13	4-I	CH ₂ C ₆ H ₅		
18-	14	4-Me	CH ₂ C ₆ H ₅		
18-	15	4-Et	CH ₂ C ₆ H ₅		
18-	16	4-nPr	CH ₂ C ₆ H ₅		
18-	17	4-iPr	CH ₂ C ₆ H ₅		
18-	18	4-nBu	CH ₂ C ₆ H ₅		
18-	19	4-sec-Bu	CH ₂ C ₆ H ₅		
18-	20	4-iBu	CH ₂ C ₆ H ₅		
18-	21	4-tBu	CH ₂ C ₆ H ₅		
18-	22	4-CF ₃	CH ₂ C ₆ H ₅		
18-	23	4-MeO	CH ₂ C ₆ H ₅		
18-	24	4-EtO	CH ₂ C ₆ H ₅		

18-	25	4-nPrO	CH ₂ C ₆ H ₅		
18-	26	4-nBuO	CH ₂ C ₆ H ₅		
18-	27	4-OCHF ₂	CH ₂ C ₆ H ₅		
18-	28	4-OCF ₃	CH ₂ C ₆ H ₅		
18-	29	4-OCH ₂ CF ₃	CH ₂ C ₆ H ₅		
18-	30	4-OC ₂ F ₄ H	CH ₂ C ₆ H ₅		
18-	31	4-OC ₃ F ₆ H	CH ₂ C ₆ H ₅		
18-	32	4-SMe	CH ₂ C ₆ H ₅		
18-	33	4-SOMe	CH ₂ C ₆ H ₅		
18-	34	4-SO ₂ Me	CH ₂ C ₆ H ₅		
18-	35	4-SEt	CH ₂ C ₆ H ₅		
18-	36	4-SOEt	CH ₂ C ₆ H ₅		
18-	37	4-SO ₂ Et	CH ₂ C ₆ H ₅		
18-	38	4-SCF ₃	CH ₂ C ₆ H ₅		
18-	39	4-SOCF ₃	CH ₂ C ₆ H ₅		
18-	40	4-SO ₂ CF ₃	CH ₂ C ₆ H ₅		
18-	41	4-CN	CH ₂ C ₆ H ₅		
18-	42	4-NO ₂	CH ₂ C ₆ H ₅		
18-	43	4-NMe ₂	CH ₂ C ₆ H ₅		
18-	44	2,3-F ₂	CH ₂ C ₆ H ₅		
18-	45	2,4-F ₂	CH ₂ C ₆ H ₅		
18-	46	2,5-F ₂	CH ₂ C ₆ H ₅		
18-	47	2,6-F ₂	CH ₂ C ₆ H ₅		
18-	48	3,4-F ₂	CH ₂ C ₆ H ₅		
18-	49	3,5-F ₂	CH ₂ C ₆ H ₅		
18-	50	2,3-Cl ₂	CH ₂ C ₆ H ₅		
18-	51	2,4-Cl ₂	CH ₂ C ₆ H ₅		
18-	52	2,5-Cl ₂	CH ₂ C ₆ H ₅		
18-	53	2,6-Cl ₂	CH ₂ C ₆ H ₅		
18-	54	3,4-Cl ₂	CH ₂ C ₆ H ₅		
18-	55	3,5-Cl ₂	CH ₂ C ₆ H ₅		
18-	56	2-Cl-4-CF ₃	CH ₂ C ₆ H ₅		
18-	57	2-Cl-4-F	CH ₂ C ₆ H ₅		
18-	58	H	CH ₂ -4-ClC ₆ H ₄		
18-	59	2-F	CH ₂ -4-ClC ₆ H ₄		
18-	60	2-Cl	CH ₂ -4-ClC ₆ H ₄		

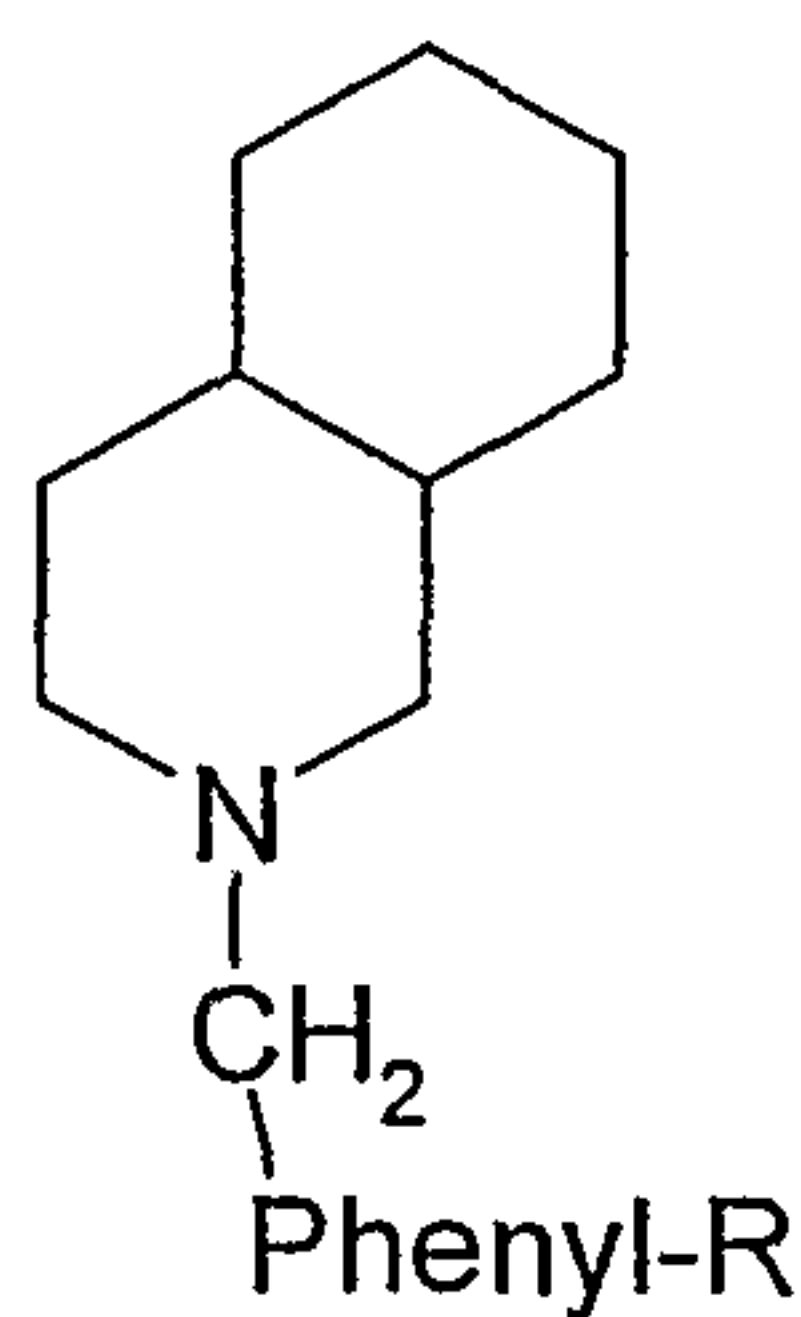
18-	61	2-Br	CH2-4-ClC6H4		
18-	62	2-I	CH2-4-ClC6H4		
18-	63	3-F	CH2-4-ClC6H4		
18-	64	3-Cl	CH2-4-ClC6H4		
18-	65	3-Br	CH2-4-ClC6H4		
18-	66	3-I	CH2-4-ClC6H4		
18-	67	4-F	CH2-4-ClC6H4		
18-	68	4-Cl	CH2-4-ClC6H4		
18-	69	4-Br	CH2-4-ClC6H4		
18-	70	4-I	CH2-4-ClC6H4		
18-	71	4-Me	CH2-4-ClC6H4		
18-	72	4-Et	CH2-4-ClC6H4		
18-	73	4-nPr	CH2-4-ClC6H4		
18-	74	4-iPr	CH2-4-ClC6H4		
18-	75	4-nBu	CH2-4-ClC6H4		
18-	76	4-sec-Bu	CH2-4-ClC6H4		
18-	77	4-iBu	CH2-4-ClC6H4		
18-	78	4-tBu	CH2-4-ClC6H4		
18-	79	4-CF3	CH2-4-ClC6H4		
18-	80	4-MeO	CH2-4-ClC6H4		
18-	81	4-EtO	CH2-4-ClC6H4		
18-	82	4-nPrO	CH2-4-ClC6H4		
18-	83	4-nBuO	CH2-4-ClC6H4		
18-	84	4-OCHF2	CH2-4-ClC6H4		
18-	85	4-OCF3	CH2-4-ClC6H4		
18-	86	4-OCH2CF3	CH2-4-ClC6H4		
18-	87	4-OC2F4H	CH2-4-ClC6H4		
18-	88	4-OC3F6H	CH2-4-ClC6H4		
18-	89	4-SMe	CH2-4-ClC6H4		
18-	90	4-SOMe	CH2-4-ClC6H4		
18-	91	4-SO2Me	CH2-4-ClC6H4		
18-	92	4-SEt	CH2-4-ClC6H4		
18-	93	4-SOEt	CH2-4-ClC6H4		
18-	94	4-SO2Et	CH2-4-ClC6H4		
18-	95	4-SCF3	CH2-4-ClC6H4		
18-	96	4-SOCF3	CH2-4-ClC6H4		

- 113 -

18-	97	4-SO ₂ CF ₃	CH ₂ -4-ClC ₆ H ₄		
18-	98	4-CN	CH ₂ -4-ClC ₆ H ₄		
18-	99	4-NO ₂	CH ₂ -4-ClC ₆ H ₄		
18-	100	4-NMe ₂	CH ₂ -4-ClC ₆ H ₄		
18-	101	2,3-F ₂	CH ₂ -4-ClC ₆ H ₄		
18-	102	2,4-F ₂	CH ₂ -4-ClC ₆ H ₄		
18-	103	2,5-F ₂	CH ₂ -4-ClC ₆ H ₄		
18-	104	2,6-F ₂	CH ₂ -4-ClC ₆ H ₄		
18-	105	3,4-F ₂	CH ₂ -4-ClC ₆ H ₄		
18-	106	3,5-F ₂	CH ₂ -4-ClC ₆ H ₄		
18-	107	2,3-Cl ₂	CH ₂ -4-ClC ₆ H ₄		
18-	108	2,4-Cl ₂	CH ₂ -4-ClC ₆ H ₄		
18-	109	2,5-Cl ₂	CH ₂ -4-ClC ₆ H ₄		
18-	110	2,6-Cl ₂	CH ₂ -4-ClC ₆ H ₄		
18-	111	3,4-Cl ₂	CH ₂ -4-ClC ₆ H ₄		
18-	112	2,5-Cl ₂	CH ₂ -4-ClC ₆ H ₄		
18-	113	2-Cl-4-CF ₃	CH ₂ -4-ClC ₆ H ₄		
18-	114	2-Cl-4-F	CH ₂ -4-ClC ₆ H ₄		

Table 19: Compounds of Formula (IIa) in which the substituents have the following meanings:

R¹ is Phenyl substituted by R; (A)_n is CH₂; R² and R³ are each H; R₇ together with R₆ and C-3 and C-4 of the piperidin ring forms a saturated 6-membered ring



(IIa)

Compound number	R	CA REG No	NMR
19- 01	H		¹ H: 0,92 to 2,87; 3,43; 7,28;
19- 02	2-F		
19- 03	2-Cl		

19-	04	2-Br		
19-	05	2-I		
19-	06	3-F		
19-	07	3-Cl		
19-	08	3-Br		
19-	09	3-I		
19-	10	4-F		
19-	11	4-Cl		
19-	12	4-Br		
19-	13	4-I		
19-	14	2-Me		
19-	15	2-Et		
19-	16	2-nPr		
19-	17	2-iPr		
19-	18	2-nBu		
19-	19	2-sec-Bu		
19-	20	2-iBu		
19-	21	2-tBu		
19-	22	2-CF ₃		
19-	23	2-MeO		
19-	24	2-EtO		
19-	25	2-nPrO		
19-	26	2-nBuO		
19-	27	2-OCHF ₂		
19-	28	2-OCF ₃		
19-	29	2-OCH ₂ CF ₃		
19-	30	2-OC ₂ F ₄ H		
19-	31	2-OC ₃ F ₆ H		
19-	32	2-SMe		
19-	33	2-SOMe		
19-	34	2-SO ₂ Me		
19-	35	2-SEt		
19-	36	2-SOEt		
19-	37	2-SO ₂ Et		
19-	38	2-SCF ₃		
19-	39	2-SOCF ₃		

- 115 -

19-	40	2-SO ₂ CF ₃		
19-	41	2-CN		
19-	42	2-NO ₂		
19-	43	2-NMe ₂		
19-	44	3-Me		
19-	45	3-Et		
19-	46	3-nPr		
19-	47	3-iPr		
19-	48	3-nBu		
19-	49	3-sec-Bu		
19-	50	3-iBu		
19-	51	3-tBu		
19-	52	3-CF ₃		
19-	53	3-MeO		
19-	54	3-EtO		
19-	55	3-nPrO		
19-	56	3-nBuO		
19-	57	3-OCHF ₂		
19-	58	3-OCF ₃		
19-	59	3-OCH ₂ CF ₃		
19-	60	3-OC ₂ F ₄ H		
19-	61	3-OC ₃ F ₆ H		
19-	62	3-SMe		
19-	63	3-SOMe		
19-	64	3-SO ₂ Me		
19-	65	3-SEt		
19-	66	3-SOEt		
19-	67	3-SO ₂ Et		
19-	68	3-SCF ₃		
19-	69	3-SOCF ₃		
19-	70	3-SO ₂ CF ₃		
19-	71	3-CN		
19-	72	3-NO ₂		
19-	73	3-NMe ₂		
19-	74	4-Me		
19-	75	4-Et		

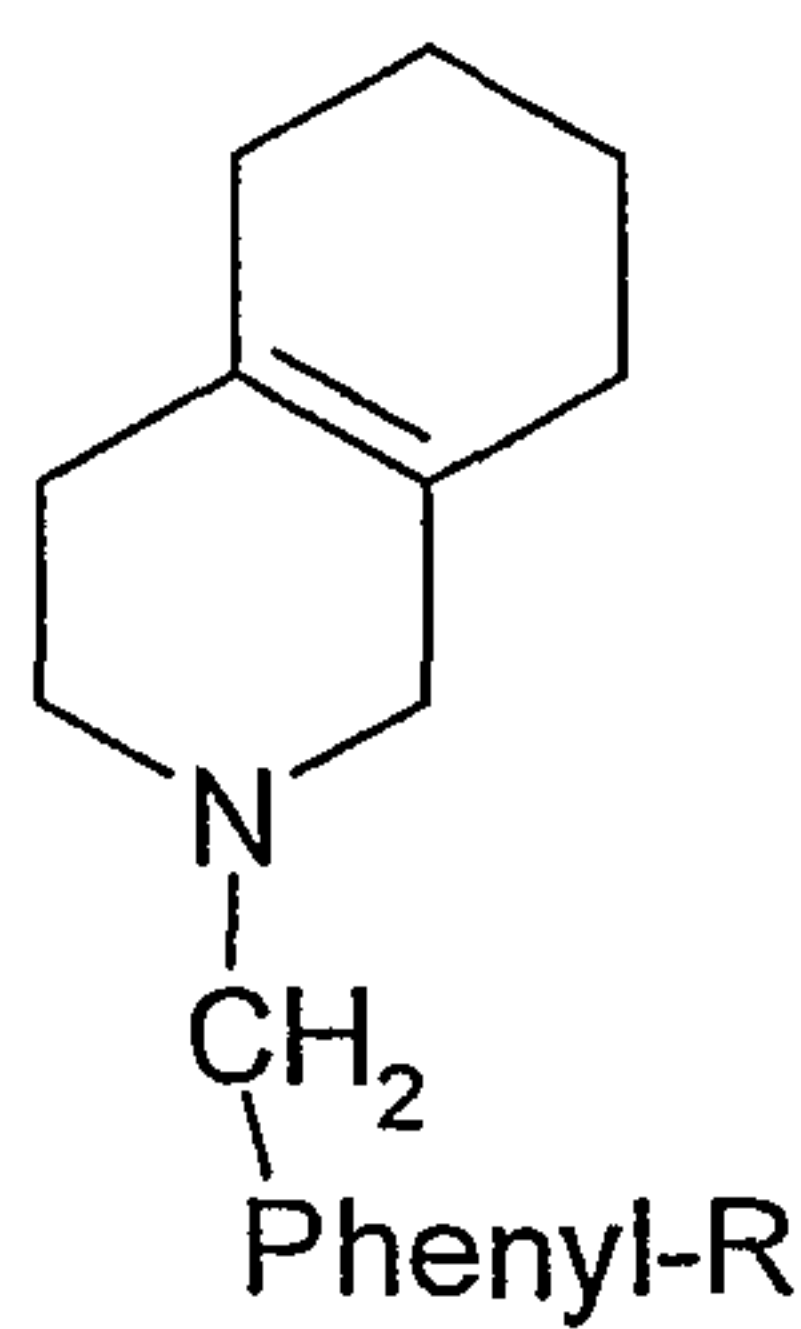
19-	76	4-nPr		
19-	77	4-iPr		
19-	78	4-nBu		
19-	79	4-sec-Bu		
19-	80	4-iBu		
19-	81	4-tBu		
19-	82	4-CF ₃		
19-	83	4-MeO		
19-	84	4-EtO		
19-	85	4-nPrO		
19-	86	4-nBuO		
19-	87	4-OCHF ₂		
19-	88	4-OCF ₃		
19-	89	4-OCH ₂ CF ₃		
19-	90	4-OC ₂ F ₄ H		
19-	91	4-OC ₃ F ₆ H		
19-	92	4-SMe		
19-	93	4-SOMe		
19-	94	4-SO ₂ Me		
19-	95	4-SEt		
19-	96	4-SOEt		
19-	97	4-SO ₂ Et		
19-	98	4-SCF ₃		
19-	99	4-SOCF ₃		
19-	100	4-SO ₂ CF ₃		
19-	101	4-CN		
19-	102	4-NO ₂		
19-	103	4-NMe ₂		
19-	104	2,3-F ₂		
19-	105	2,4-F ₂		
19-	106	2,5-F ₂		
19-	107	2,6-F ₂		
19-	108	3,4-F ₂		
19-	109	3,5-F ₂		
19-	110	2,3-Cl ₂		
19-	111	2,4-Cl ₂		

- 117 -

19-	112	2,5-Cl ₂		
19-	113	2,6-Cl ₂		
19-	114	3,4-Cl ₂		
19-	115	3,5-Cl ₂		
19-	116	2-Cl-4-CF ₃		
19-	117	2-Cl-4-F		
19-	118	2,3-Me ₂		
19-	119	2,4-Me ₂		
19-	120	2,5-Me ₂		
19-	121	2,6-Me ₂		
19-	122	3,4-Me ₂		
19-	123	3,5-Me ₂		
19-	124	2,4,6-F ₃		
19-	125	3,4,5-F ₃		
19-	126	2,4,6-Cl ₃		
19-	127	2,3,4-Cl ₃		
19-	128	2,4,5-Cl ₃		
19-	129	3,4,5-Cl ₃		
19-	130	2,6-Cl ₂ -4-CF ₃		
19-	131	2,4,6-Me ₃		
19-	132	2,4-Br ₂		
19-	133	3,4-Br ₂		
19-	134	2-Cl-4-Br		
19-	135	2-Br-4-F		

Table 20: Compounds of Formula (IIb) in which the substituents have the following meanings:

R¹ is Phenyl substituted by R; (A)_n is CH₂; R² and R³ are each H; R₇ together with R₆ and C-3 and C-4 of the piperidin ring forms an unsaturated 6-membered ring



(IIb)

Compound number		R	CA REG No	NMR
20-	01	H	100466-47-5	
20-	02	2-F		
20-	03	2-Cl		
20-	04	2-Br		
20-	05	2-I		
20-	06	3-F		
20-	07	3-Cl		
20-	08	3-Br		
20-	09	3-I		
20-	10	4-F		
20-	11	4-Cl		
20-	12	4-Br		
20-	13	4-I		
20-	14	2-Me		
20-	15	2-Et		
20-	16	2-nPr		
20-	17	2-iPr		
20-	18	2-nBu		
20-	19	2-sec-Bu		
20-	20	2-iBu		
20-	21	2-tBu		
20-	22	2-CF ₃		
20-	23	2-MeO		
20-	24	2-EtO		
20-	25	2-nPrO		
20-	26	2-nBuO		
20-	27	2-OCHF ₂		
20-	28	2-OCF ₃		
20-	29	2-OCH ₂ CF ₃		
20-	30	2-OC ₂ F ₄ H		
20-	31	2-OC ₃ F ₆ H		
20-	32	2-SMe		
20-	33	2-SOMe		
20-	34	2-SO ₂ Me		

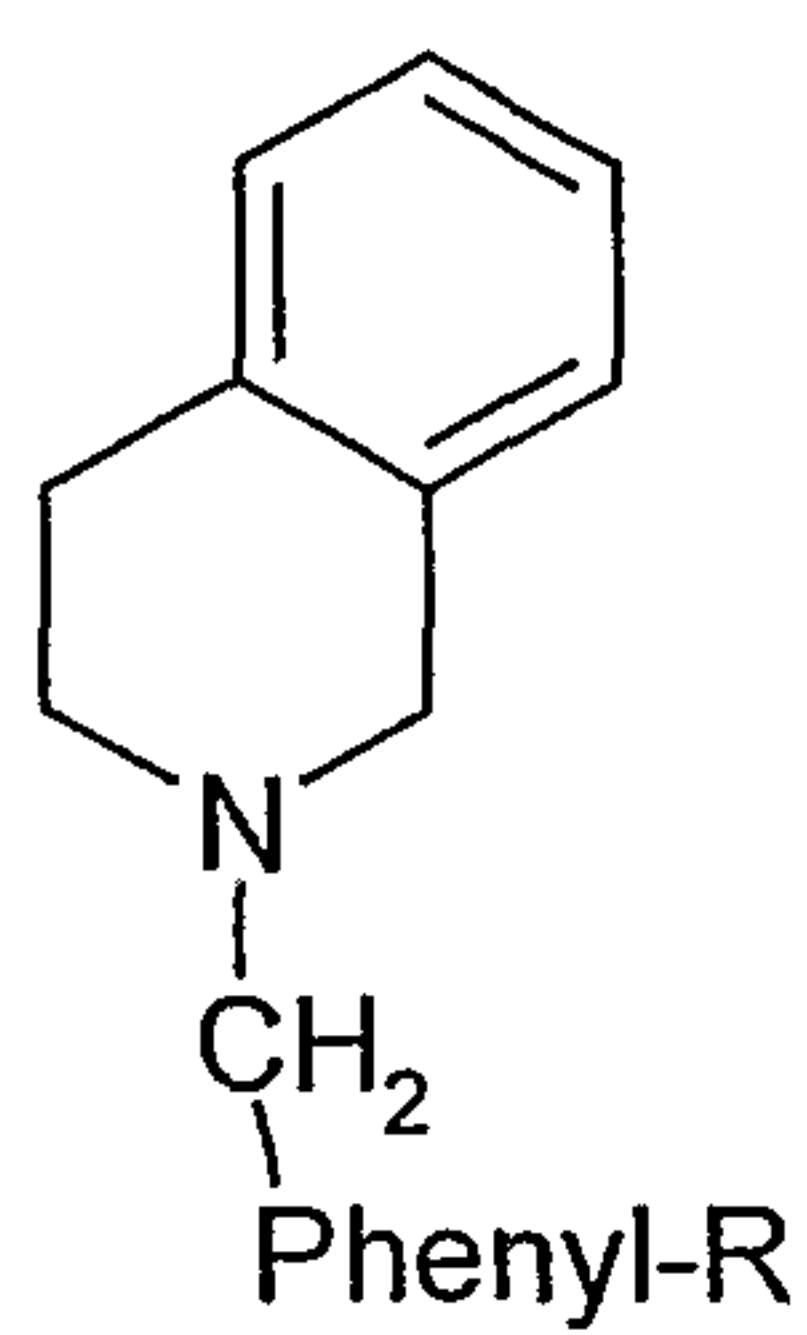
20-	35	2-SEt		
20-	36	2-SOEt		
20-	37	2-SO ₂ Et		
20-	38	2-SCF ₃		
20-	39	2-SOCF ₃		
20-	40	2-SO ₂ CF ₃		
20-	41	2-CN		
20-	42	2-NO ₂		
20-	43	2-NMe ₂		
20-	44	3-Me		
20-	45	3-Et		
20-	46	3-nPr		
20-	47	3-iPr		
20-	48	3-nBu		
20-	49	3-sec-Bu		
20-	50	3-iBu		
20-	51	3-tBu		
20-	52	3-CF ₃		
20-	53	3-MeO		
20-	54	3-EtO		
20-	55	3-nPrO		
20-	56	3-nBuO		
20-	57	3-OCHF ₂		
20-	58	3-OCF ₃		
20-	59	3-OCH ₂ CF ₃		
20-	60	3-OC ₂ F ₄ H		
20-	61	3-OC ₃ F ₆ H		
20-	62	3-SMe		
20-	63	3-SOMe		
20-	64	3-SO ₂ Me		
20-	65	3-SEt		
20-	66	3-SOEt		
20-	67	3-SO ₂ Et		
20-	68	3-SCF ₃		
20-	69	3-SOCF ₃		
20-	70	3-SO ₂ CF ₃		

20-	71	3-CN		
20-	72	3-NO2		
20-	73	3-NMe2		
20-	74	4-Me		
20-	75	4-Et		
20-	76	4-nPr		
20-	77	4-iPr		
20-	78	4-nBu		
20-	79	4-sec-Bu		
20-	80	4-iBu		
20-	81	4-tBu		
20-	82	4-CF3		
20-	83	4-MeO		
20-	84	4-EtO		
20-	85	4-nPrO		
20-	86	4-nBuO		
20-	87	4-OCHF2		
20-	88	4-OCF3		
20-	89	4-OCH2CF3		
20-	90	4-OC2F4H		
20-	91	4-OC3F6H		
20-	92	4-SMe		
20-	93	4-SOMe		
20-	94	4-SO2Me		
20-	95	4-SEt		
20-	96	4-SOEt		
20-	97	4-SO2Et		
20-	98	4-SCF3		
20-	99	4-SOCF3		
20-	100	4-SO2CF3		
20-	101	4-CN		
20-	102	4-NO2		
20-	103	4-NMe2		
20-	104	2,3-F2		
20-	105	2,4-F2		
20-	106	2,5-F2		

20-	107	2,6-F2		
20-	108	3,4-F2		
20-	109	3,5-F2		
20-	110	2,3-Cl2		
20-	111	2,4-Cl2		
20-	112	2,5-Cl2		
20-	113	2,6-Cl2		
20-	114	3,4-Cl2		
20-	115	3,5-Cl2		
20-	116	2-Cl-4-CF3		
20-	117	2-Cl-4-F		
20-	118	2,3-Me2		
20-	119	2,4-Me2		
20-	120	2,5-Me2		
20-	121	2,6-Me2		
20-	122	3,4-Me2		
20-	123	3,5-Me2		
20-	124	2,4,6-F3		
20-	125	3,4,5-F3		
20-	126	2,4,6-Cl3		
20-	127	2,3,4-Cl3		
20-	128	2,4,5-Cl3		
20-	129	3,4,5-Cl3		
20-	130	2,6-Cl2-4-CF3		
20-	131	2,4,6-Me3		
20-	132	2,4-Br2		
20-	133	3,4-Br2		
20-	134	2-Cl-4-Br		
20-	135	2-Br-4-F		

Table 21: Compounds of Formula (I!c) in which the substituents have the following meanings:

R^1 is Phenyl substituted by R; $(A)_n$ is CH_2 ; R^2 and R^3 are each H; R7 together with R6 and C-3 and C-4 of the piperidin ring forms a benzene ring



(IIc)

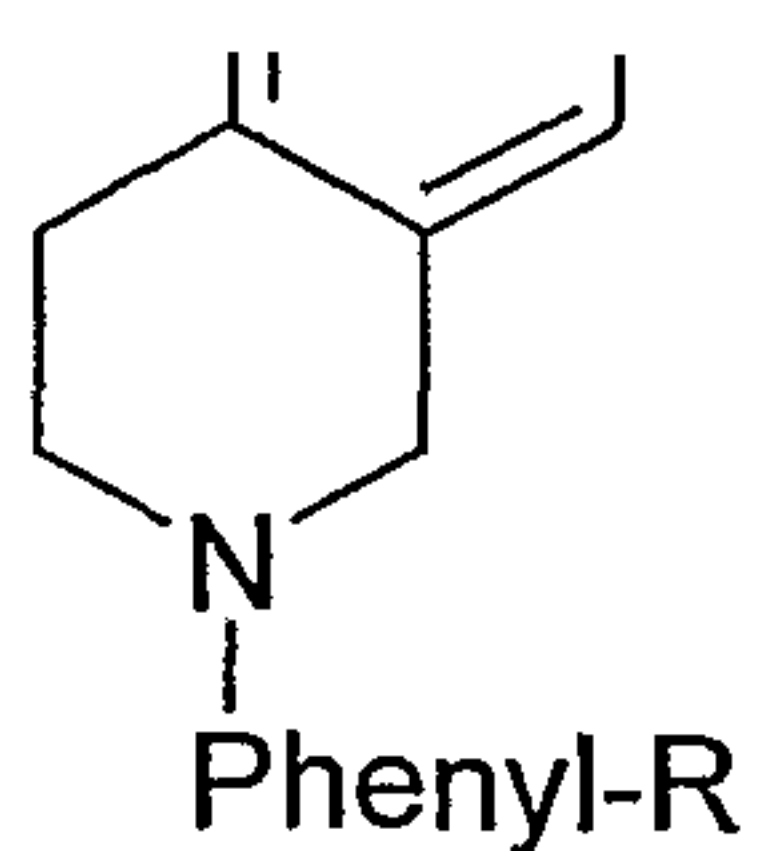
Compound number		R	CA REG No	NMR
21-	01	H	13605-95-3	
21-	02	2-F		
21-	03	2-Cl	72809-43-9	
21-	04	2-Br		
21-	05	2-I		
21-	06	3-F		
21-	07	3-Cl		
21-	08	3-Br		
21-	09	3-I		
21-	10	4-F		
21-	11	4-Cl		
21-	12	4-Br		
21-	13	4-I		
21-	14	2-Me		
21-	15	2-Et		
21-	16	2-nPr		
21-	17	2-iPr		
21-	18	2-nBu		
21-	19	2-sec-Bu		
21-	20	2-iBu		
21-	21	2-tBu		
21-	22	2-CF ₃		
21-	23	2-MeO		
21-	24	2-EtO		
21-	25	2-nPrO		
21-	26	2-nBuO		
21-	27	2-OCHF ₂		

21-	28	2-OCF ₃		
21-	29	2-OCH ₂ CF ₃		
21-	30	2-OC ₂ F ₄ H		
21-	31	2-OC ₃ F ₆ H		
21-	32	2-SMe		
21-	33	2-SOMe		
21-	34	2-SO ₂ Me		
21-	35	2-SEt		
21-	36	2-SOEt		
21-	37	2-SO ₂ Et		
21-	38	2-SCF ₃		
21-	39	2-SOCF ₃		
21-	40	2-SO ₂ CF ₃		
21-	41	2-CN		
21-	42	2-NO ₂		
21-	43	2-NMe ₂		
21-	44	3-Me		
21-	45	3-Et		
21-	46	3-nPr		
21-	47	3-iPr		
21-	48	3-nBu		
21-	49	3-sec-Bu		
21-	50	3-iBu		
21-	51	3-tBu		
21-	52	3-CF ₃		
21-	53	3-MeO		
21-	54	3-EtO		
21-	55	3-nPrO		
21-	56	3-nBuO		
21-	57	3-OCHF ₂		
21-	58	3-OCF ₃		
21-	59	3-OCH ₂ CF ₃		
21-	60	3-OC ₂ F ₄ H		
21-	61	3-OC ₃ F ₆ H		
21-	62	3-SMe		
21-	63	3-SOMe		

21-	64	3-SO ₂ Me		
21-	65	3-SEt		
21-	66	3-SOEt		
21-	67	3-SO ₂ Et		
21-	68	3-SCF ₃		
21-	69	3-SOCF ₃		
21-	70	3-SO ₂ CF ₃		
21-	71	3-CN		
21-	72	3-NO ₂		
21-	73	3-NMe ₂		
21-	74	4-Me		
21-	75	4-Et		
21-	76	4-nPr		
21-	77	4-iPr		
21-	78	4-nBu		
21-	79	4-sec-Bu		
21-	80	4-iBu		
21-	81	4-tBu		
21-	82	4-CF ₃		¹ H: 2,74; 2,89; 3,63; 3,71; 6,97; 7,10; 7,52; 7,58;
21-	83	4-MeO		
21-	84	4-EtO		
21-	85	4-nPrO		
21-	86	4-nBuO		
21-	87	4-OCHF ₂		
21-	88	4-OCF ₃		
21-	89	4-OCH ₂ CF ₃		
21-	90	4-OC ₂ F ₄ H		
21-	91	4-OC ₃ F ₆ H		
21-	92	4-SMe		
21-	93	4-SOMe		
21-	94	4-SO ₂ Me		
21-	95	4-SEt		
21-	96	4-SOEt		
21-	97	4-SO ₂ Et		
21-	98	4-SCF ₃		

21-	99	4-SOCF3		
21-	100	4-SO2CF3		
21-	101	4-CN		
21-	102	4-NO2		
21-	103	4-NMe2		
21-	104	2,3-F2		
21-	105	2,4-F2		
21-	106	2,5-F2		
21-	107	2,6-F2		
21-	108	3,4-F2		
21-	109	3,5-F2		
21-	110	2,3-Cl2		
21-	111	2,4-Cl2	101282-71-7	
21-	112	2,5-Cl2		
21-	113	2,6-Cl2		
21-	114	3,4-Cl2		
21-	115	3,5-Cl2		
21-	116	2-Cl-4-CF3		
21-	117	2-Cl-4-F		
21-	118	2,3-Me2		
21-	119	2,4-Me2		
21-	120	2,5-Me2		
21-	121	2,6-Me2		
21-	122	3,4-Me2		
21-	123	3,5-Me2		
21-	124	2,4,6-F3		
21-	125	3,4,5-F3		
21-	126	2,4,6-Cl3		
21-	127	2,3,4-Cl3		
21-	128	2,4,5-Cl3		
21-	129	3,4,5-Cl3		
21-	130	2,6-Cl2-4-CF3		
21-	131	2,4,6-Me3		
21-	132	2,4-Br2		
21-	133	3,4-Br2		
21-	134	2-Cl-4-Br		

- 126 -



21-	135	2-Br-4-F		
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Table 22: Compounds of Formula (I!c) in which the substituents have the following meanings:

R^1 is Phenyl substituted by R; $n=0$ in (A) $_n$; R^2 and R^3 are each H; R^7 together with R^6 and C-3 and C-4 of the piperidin ring forms a benzene ring

Compound number	R	CA REG No	NMR
22- 01	H	3340-78-1	
22- 02	2-F		
22- 03	2-Cl		
22- 04	2-Br		
22- 05	2-I		
22- 06	3-F		
22- 07	3-Cl		
22- 08	3-Br		
22- 09	3-I		
22- 10	4-F		
22- 11	4-Cl	78317-78-9	
22- 12	4-Br		
22- 13	4-I		
22- 14	2-Me		
22- 15	2-Et		
22- 16	2-nPr		
22- 17	2-iPr		
22- 18	2-nBu		
22- 19	2-sec-Bu		
22- 20	2-iBu		
22- 21	2-tBu		
22- 22	2-CF ₃		
22- 23	2-MeO		
22- 24	2-EtO		
22- 25	2-nPrO		

22-	26	2-nBuO		
22-	27	2-OCHF2		
22-	28	2-OCF3		
22-	29	2-OCH2CF3		
22-	30	2-OC2F4H		
22-	31	2-OC3F6H		
22-	32	2-SMe		
22-	33	2-SOMe		
22-	34	2-SO2Me		
22-	35	2-SEt		
22-	36	2-SOEt		
22-	37	2-SO2Et		
22-	38	2-SCF3		
22-	39	2-SOCF3		
22-	40	2-SO2CF3		
22-	41	2-CN		
22-	42	2-NO2		
22-	43	2-NMe2		
22-	44	3-Me		
22-	45	3-Et		
22-	46	3-nPr		
22-	47	3-iPr		
22-	48	3-nBu		
22-	49	3-sec-Bu		
22-	50	3-iBu		
22-	51	3-tBu		
22-	52	3-CF3		
22-	53	3-MeO		
22-	54	3-EtO		
22-	55	3-nPrO		
22-	56	3-nBuO		
22-	57	3-OCHF2		
22-	58	3-OCF3		
22-	59	3-OCH2CF3		
22-	60	3-OC2F4H		
22-	61	3-OC3F6H		

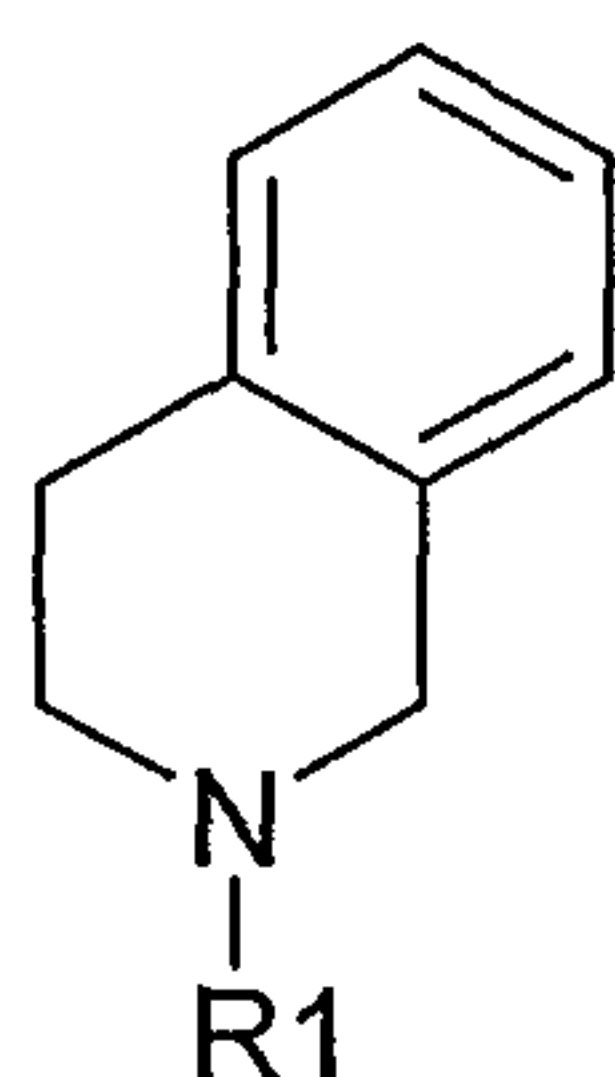
22-	62	3-SMe		
22-	63	3-SOMe		
22-	64	3-SO ₂ Me		
22-	65	3-SEt		
22-	66	3-SOEt		
22-	67	3-SO ₂ Et		
22-	68	3-SCF ₃		
22-	69	3-SOCF ₃		
22-	70	3-SO ₂ CF ₃		
22-	71	3-CN		
22-	72	3-NO ₂		
22-	73	3-NMe ₂		
22-	74	4-Me	78317-82-5	
22-	75	4-Et		
22-	76	4-nPr		
22-	77	4-iPr		
22-	78	4-nBu		
22-	79	4-sec-Bu		
22-	80	4-iBu		
22-	81	4-tBu		
22-	82	4-CF ₃		
22-	83	4-MeO		
22-	84	4-EtO		
22-	85	4-nPrO		
22-	86	4-nBuO		
22-	87	4-OCHF ₂		
22-	88	4-OCF ₃		
22-	89	4-OCH ₂ CF ₃		
22-	90	4-OC ₂ F ₄ H		
22-	91	4-OC ₃ F ₆ H		
22-	92	4-SMe		
22-	93	4-SOMe		
22-	94	4-SO ₂ Me		
22-	95	4-SEt		
22-	96	4-SOEt		
22-	97	4-SO ₂ Et		

22-	98	4-SCF3		
22-	99	4-SOCF3		
22-	100	4-SO2CF3		
22-	101	4-CN		
22-	102	4-NO2		
22-	103	4-NMe2		
22-	104	2,3-F2		
22-	105	2,4-F2		
22-	106	2,5-F2		
22-	107	2,6-F2		
22-	108	3,4-F2		
22-	109	3,5-F2		
22-	110	2,3-Cl2		
22-	111	2,4-Cl2		
22-	112	2,5-Cl2		
22-	113	2,6-Cl2		
22-	114	3,4-Cl2		
22-	115	3,5-Cl2		
22-	116	2-Cl-4-CF3		
22-	117	2-Cl-4-F		
22-	118	2,3-Me2		
22-	119	2,4-Me2		
22-	120	2,5-Me2		
22-	121	2,6-Me2		
22-	122	3,4-Me2		
22-	123	3,5-Me2		
22-	124	2,4,6-F3		
22-	125	3,4,5-F3		
22-	126	2,4,6-Cl3		
22-	127	2,3,4-Cl3		
22-	128	2,4,5-Cl3		
22-	129	3,4,5-Cl3		
22-	130	2,6-Cl2-4-CF3		
22-	131	2,4,6-Me3		
22-	132	2,4-Br2		
22-	133	3,4-Br2		

22-	134	2-Cl-4-Br		
22-	135	2-Br-4-F		

Table 23: Compounds of Formula (I)c) in which the substituents have the following meanings:

R^1 is optionally substituted pyridine, pyrimidine, pyrazine and pyridazine; $n=0$ in (A) $_n$; R^2 and R^3 are each H; R^7 together with R^6 and C-3 and C-4 of the piperidin ring forms a benzene ring



5

Compound number	R1	CA Reg. No. or Beilstein	NMR
23- 01	2-pyridyl	BRN 8978759	
23- 02	3-F-2-pyridyl		
23- 03	4-F-2-pyridyl		
23- 04	5-F-2-pyridyl		
23- 05	6-F-2-pyridyl		¹ H: 2,95; 3,80; 4,66; 6,14; 6,43; 7,18; 7,53;
23- 06	3-Cl-2-pyridyl		
23- 07	4-Cl-2-pyridyl		
23- 08	5-Cl-2-pyridyl		
23- 09	6-Cl-2-pyridyl		
23- 10	3-Br-2-pyridyl		
23- 11	4-Br-2-pyridyl		
23- 12	5-Br-2-pyridyl		
23- 13	6-Br-2-pyridyl		
23- 14	3-I-2-pyridyl		
23- 15	4-I-2-pyridyl		
23- 16	5-I-2-pyridyl		
23- 17	6-I-2-pyridyl		
23- 18	3-CF ₃ -2-pyridyl		
23- 19	4-CF ₃ -2-pyridyl		

23-	20	5-CF3-2-pyridyl		
23-	21	6-CF3-2-pyridyl		
23-	22	3-Me-2-pyridyl		
23-	23	4-Me-2-pyridyl		
23-	24	5-Me-2-pyridyl		
23-	25	6-Me-2-pyridyl		
23-	26	3,5-Cl2-2-pyridyl		
23-	27	3,5-Br2-2-pyridyl		
23-	28	3-Cl-5-CF3-2-pyridyl		
23-	29	3,5-Me-2-pyridyl		
23-	30	3-pyridyl		
23-	31	2-Cl-3-pyridyl		
23-	32	4-Cl-3-pyridyl		
23-	33	5-Cl-3-pyridyl		
23-	34	6-Cl-3-pyridyl		
23-	35	2,5-Cl2-3-pyridyl		
23-	36	4-pyridyl		
23-	37	2-Cl-4-pyridyl		
23-	38	3-Cl-4-pyridyl		
23-	39	3,5-Cl2-4-pyridyl		
23-	40	6-MeO-2-pyridyl		
23-	41	6-EtO-2-pyridyl		
23-	42	6-CF3CH2O-2-pyridyl		
23-	43	6-CHF2O-2-pyridyl		
23-	44	6-MeO-3-pyridyl		
23-	45	3-EtO-3-pyridyl		
23-	46	6-CF3CH2O-3-pyridyl		
23-	47	6-CHF2O-3-pyridyl		
23-	48	6-CF3CH2O-2-pyridyl		
23-	49	6-CHF2O-2-pyridyl		
23-	50	2-pyrimidinyl		
23-	51	4-pyrimidinyl		
23-	52	4,6-Me2-2-pyrimidinyl		
23-	53	2,6-Me2-4-pyrimidinyl		
23-	54	2-pyrazinyl		
23-	55	3-pyridazinyl		

Table 24: Compounds of Formula (Ib) in which the substituents have the following meanings:

R^1 is Phenyl substituted by R; $n=0$ in (A)_n; R^2 and R^3 are each H; R^4 and R^5 together with C-4 of the piperidine ring form a 5-6 membered ring;

Compound number		R	R4-R5	CA REG No	NMR
24-	01	H	O-C2H4-O		
24-	02	2-F	O-C2H4-O		
24-	03	2-Cl	O-C2H4-O		
24-	04	2-Br	O-C2H4-O		
24-	05	2-I	O-C2H4-O		
24-	06	3-F	O-C2H4-O		
24-	07	3-Cl	O-C2H4-O		
24-	08	3-Br	O-C2H4-O		
24-	09	3-I	O-C2H4-O		
24-	10	4-F	O-C2H4-O		
24-	11	4-Cl	O-C2H4-O		
24-	12	4-Br	O-C2H4-O		
24-	13	4-I	O-C2H4-O		
24-	14	4-Me	O-C2H4-O		
24-	15	4-Et	O-C2H4-O		
24-	16	4-nPr	O-C2H4-O		
24-	17	4-iPr	O-C2H4-O		
24-	18	4-nBu	O-C2H4-O		
24-	19	4-sec-Bu	O-C2H4-O		
24-	20	4-iBu	O-C2H4-O		
24-	21	4-tBu	O-C2H4-O		
24-	22	4-CF ₃	O-C2H4-O		
24-	23	4-MeO	O-C2H4-O		
24-	24	4-EtO	O-C2H4-O		
24-	25	4-nPrO	O-C2H4-O		
24-	26	4-nBuO	O-C2H4-O		
24-	27	4-OCHF ₂	O-C2H4-O		
24-	28	4-OCF ₃	O-C2H4-O		

24-	29	4-OCH ₂ CF ₃	O-C ₂ H ₄ -O		
24-	30	4-OC ₂ F ₄ H	O-C ₂ H ₄ -O		
24-	31	4-OC ₃ F ₆ H	O-C ₂ H ₄ -O		
24-	32	4-SMe	O-C ₂ H ₄ -O		
24-	33	4-SOMe	O-C ₂ H ₄ -O		
24-	34	4-SO ₂ Me	O-C ₂ H ₄ -O		
24-	35	4-SEt	O-C ₂ H ₄ -O		
24-	36	4-SOEt	O-C ₂ H ₄ -O		
24-	37	4-SO ₂ Et	O-C ₂ H ₄ -O		
24-	38	4-SCF ₃	O-C ₂ H ₄ -O		
24-	39	4-SOCF ₃	O-C ₂ H ₄ -O		
24-	40	4-SO ₂ CF ₃	O-C ₂ H ₄ -O		
24-	41	4-CN	O-C ₂ H ₄ -O		
24-	42	4-NO ₂	O-C ₂ H ₄ -O		
24-	43	4-NMe ₂	O-C ₂ H ₄ -O		
24-	44	2,3-F ₂	O-C ₂ H ₄ -O		
24-	45	2,4-F ₂	O-C ₂ H ₄ -O		
24-	46	2,5-F ₂	O-C ₂ H ₄ -O		
24-	47	2,6-F ₂	O-C ₂ H ₄ -O		
24-	48	3,4-F ₂	O-C ₂ H ₄ -O		
24-	49	3,5-F ₂	O-C ₂ H ₄ -O		
24-	50	2,3-Cl ₂	O-C ₂ H ₄ -O		
24-	51	2,4-Cl ₂	O-C ₂ H ₄ -O		
24-	52	2,5-Cl ₂	O-C ₂ H ₄ -O		
24-	53	2,6-Cl ₂	O-C ₂ H ₄ -O		
24-	54	3,4-Cl ₂	O-C ₂ H ₄ -O		
24-	55	3,5-Cl ₂	O-C ₂ H ₄ -O		
24-	56	2-Cl-4-CF ₃	O-C ₂ H ₄ -O		1H: 1,91; 3,17; 4,01; 7,09; 7,45; 7,60;
24-	57	2-Cl-4-F	O-C ₂ H ₄ -O		
24-	58	H	O-C ₃ H ₆ -O		
24-	59	2-F	O-C ₃ H ₆ -O		
24-	60	2-Cl	O-C ₃ H ₆ -O		
24-	61	2-Br	O-C ₃ H ₆ -O		
24-	62	2-I	O-C ₃ H ₆ -O		
24-	63	3-F	O-C ₃ H ₆ -O		

24-	64	3-Cl	O-C3H6-O		
24-	65	3-Br	O-C3H6-O		
24-	66	3-I	O-C3H6-O		
24-	67	4-F	O-C3H6-O		
24-	68	4-Cl	O-C3H6-O		
24-	69	4-Br	O-C3H6-O		
24-	70	4-I	O-C3H6-O		
24-	71	4-Me	O-C3H6-O		
24-	72	4-Et	O-C3H6-O		
24-	73	4-nPr	O-C3H6-O		
24-	74	4-iPr	O-C3H6-O		
24-	75	4-nBu	O-C3H6-O		
24-	76	4-sec-Bu	O-C3H6-O		
24-	77	4-iBu	O-C3H6-O		
24-	78	4-tBu	O-C3H6-O		
24-	79	4-CF3	O-C3H6-O		
24-	80	4-MeO	O-C3H6-O		
24-	81	4-EtO	O-C3H6-O		
24-	82	4-nPrO	O-C3H6-O		
24-	83	4-nBuO	O-C3H6-O		
24-	84	4-OCHF2	O-C3H6-O		
24-	85	4-OCF3	O-C3H6-O		
24-	86	4-OCH2CF3	O-C3H6-O		
24-	87	4-OC2F4H	O-C3H6-O		
24-	88	4-OC3F6H	O-C3H6-O		
24-	89	4-SMe	O-C3H6-O		
24-	90	4-SOMe	O-C3H6-O		
24-	91	4-SO2Me	O-C3H6-O		
24-	92	4-SEt	O-C3H6-O		
24-	93	4-SOEt	O-C3H6-O		
24-	94	4-SO2Et	O-C3H6-O		
24-	95	4-SCF3	O-C3H6-O		
24-	96	4-SOCF3	O-C3H6-O		
24-	97	4-SO2CF3	O-C3H6-O		
24-	98	4-CN	O-C3H6-O		
24-	99	4-NO2	O-C3H6-O		

24-	100	4-NMe ₂	O-C ₃ H ₆ -O		
24-	101	2,3-F ₂	O-C ₃ H ₆ -O		
24-	102	2,4-F ₂	O-C ₃ H ₆ -O		
24-	103	2,5-F ₂	O-C ₃ H ₆ -O		
24-	104	2,6-F ₂	O-C ₃ H ₆ -O		
24-	105	3,4-F ₂	O-C ₃ H ₆ -O		
24-	106	3,5-F ₂	O-C ₃ H ₆ -O		
24-	107	2,3-Cl ₂	O-C ₃ H ₆ -O		
24-	108	2,4-Cl ₂	O-C ₃ H ₆ -O		
24-	109	2,5-Cl ₂	O-C ₃ H ₆ -O		
24-	110	2,6-Cl ₂	O-C ₃ H ₆ -O		
24-	111	3,4-Cl ₂	O-C ₃ H ₆ -O		
24-	112	2,5-Cl ₂	O-C ₃ H ₆ -O		
24-	113	2-Cl-4-CF ₃	O-C ₃ H ₆ -O		
24-	114	2-Cl-4-F	O-C ₃ H ₆ -O		

Methods for pesticidal use:

The following representative test procedure, using compounds of the invention, was conducted to determine the parasiticidal activity of compounds of the invention.

5 Biological Examples:

Method A:

Screening method to test contact activity against *Rhipicephalus sanguineus* (Brown dog tick)

10 Solutions of the test compounds were dropped onto filter paper, dried and the filter paper placed into test tubes and infested with 20-30 larvae (L1) of *Rhipicephalus sanguineus* and the tubes closed with a clip. The treated *Rhipicephalus sanguineus* were held in a climate chamber (25°C, 90% RH) and the percentage efficacy assessed 24 hours after application in comparison with the untreated control.

15 Compound numbers 1-01, 1-03, 1-07, 1-08, 1-10, 1-11, 1-12, 1-13, 1-14, 1-22, 1-23, 1-44, 1-52, 1-53, 1-74, 1-75, 1-81, 1-82, 1-83, 1-92, 1-105, 1-107, 1-110, 1-111, 1-112, 1-113, 1-114, 1-115, 1-119, 1-122, 2-01, 2-11, 2-58, 2-68, 2-125, 3-01, 3-115, 4-34, 6-20, 7-01, 7-11, 9-04, 9-19, 10-44, 11-22, 13-11, 14-11, 14-82, 15-01, 16-01, 16-11, 16-82, 17-58, 17-79, 17-108, 18-01, 18-22, 19-01,

- 136 -

19-82, 19-111, 21-01, 21-82, 21-111, 21-123, 23-05, 23-20, 24-56 gave at least 80% contact control of *Rhipicephalus sanguineus* at a test concentration of 1000 ppm.

Method B:

Screening method to test contact activity of compounds against *Ctenocephalides felis* (Cat flea)

- 5 Solutions of the test compounds were dropped onto filter paper, dried and the filter paper placed into test tubes and infested with 10 adults of *Ctenocephalides felis*. The treated *Ctenocephalides felis* were held in a climate chamber (26°C, 80% RH) and the percentage efficacy assessed 24 hours and 48 hours after application in comparison with the untreated control.

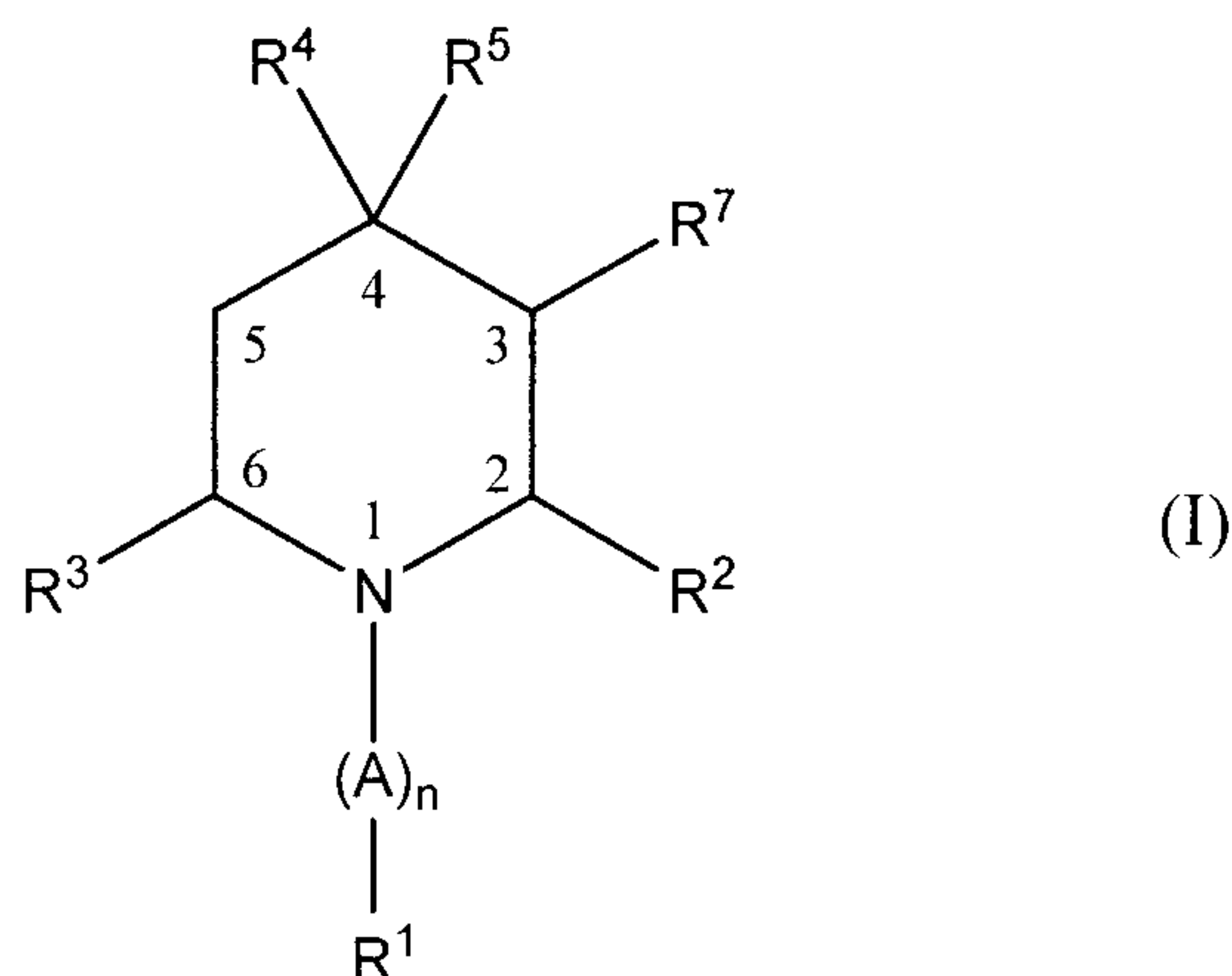
- 10 Compound numbers 1-01, 1-07, 1-08, 1-10, 1-11, 1-12, 1-14, 1-44, 1-52, 1-82, 1-105, 1-111, 1-119, 2-11, 9-04, 9-19 gave at least 70% contact control of *Ctenocephalides felis* at a test concentration of 1000 ppm.

51440-77

- 137 -

CLAIMS:

1. Use of a substituted piperidine derivatives of formula (I) or a pesticidally acceptable salt thereof, in the preparation of a medicament for the control of pests



5 wherein:

A is $-\text{CH}_2-$, $-\text{CH}_2\text{CH}_2-$ or $-\text{CH}(\text{CH}_3)-$;

R^1 is $(\text{C}_6-\text{C}_{14})$ -aryl, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6) -alkyl, (C_1-C_6) -haloalkyl, (C_1-C_6) -alkoxy, (C_1-C_6) -haloalkoxy, CN , NO_2 , $\text{S}(\text{O})_p\text{R}^{12}$ and $\text{NR}^{13}\text{R}^{14}$;

- 10 or is (C_3-C_7) -cycloalkyl or (C_3-C_7) -cycloalkenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6) -alkoxy, (C_1-C_6) -haloalkoxy, CN , NO_2 , $\text{S}(\text{O})_p\text{R}^{12}$, $\text{NR}^{13}\text{R}^{14}$, OH and oxo;

or a heterocyclyl or heteroaryl, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6) -alkyl, (C_1-C_6) haloalkyl, (C_1-C_6) -alkoxy,

- 15 (C_1-C_6) -haloalkoxy, CN , NO_2 , $\text{S}(\text{O})_p\text{R}^{12}$, OH and oxo; wherein said heteroaryl is pyridine, pyrimidine, pyrazine or pyridazine; and said heterocyclyl contains 3 to 7 ring atoms and 1, 2 or 3 heteroatoms selected from N, O, S or P;

R^2 and R^3 are each independently H or (C_1-C_3) -alkyl;

51440-77

- 138 -

or wherein R^2 and R^3 may form together a (C₁-C₆)-alkylene bridge;

R^4 and R^5 are each independently H, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl or (C₁-C₆)-alkoxy;

5 wherein in case R^4 and R^5 are each independently (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl or (C₁-C₆)-alkoxy both groups together may form a 4-7 membered ring with the carbon atom in position 4 (C-4) of the piperidine ring; and

wherein the residues may be unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴;

10 or in case R^4 is H or (C₁-C₆)-alkyl, R^5 may be also OH, OCOR⁸, OCOOR⁹, OCOCOO(C₁-C₄)-alkyl, COO(C₁-C₄)-alkyl, COOH, CH₂Phenyl, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴;

15 or in case R^5 is a binding electron pair, R^4 may form together with R^5 a residue X selected from the group consisting of O, S, N-OH, N-O-(C₁-C₆)-alkyl, N-O-CO-R⁸, and N-O-COOR⁹;

20 R^7 is H, (C₁-C₃)-alkyl, (C₂-C₄)-alkenyl; unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴; or wherein the residues R^7 and R^5 and the carbon atoms in position 3 (C-3) and in position 4 (C-4) of the piperidine ring form a (C₃-C₇)-cycloalkyl, (C₃-C₇)-cycloalkenyl or a (C₆-C₁₄)-aryl residue,

either unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴;

25 R^8 is H, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₃-C₇)-cycloalkyl or (C₁-C₆)-alkyl, unsubstituted or substituted by one or more radicals selected from the group consisting of

51440-77

- 139 -

halogen, (C₃-C₇)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkylthio, OH, CN, NO₂, R¹⁰, R¹¹, S(O)_pR¹² and NR¹³R¹⁴;

R⁹ is H, (C₃-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₇)-cycloalkyl or (C₁-C₆)-alkyl, unsubstituted or substituted by one or more radicals selected from the group consisting of
 5 halogen, (C₃-C₇)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkylthio, OH, CN, NO₂, R¹⁰, R¹¹, S(O)_pR¹² and NR¹³R¹⁴;

R¹⁰ is (C₆-C₁₄)-aryl, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴;

10 R¹¹ is a saturated or unsaturated heteroaromatic or heterocyclyl radical, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹², OH and oxo;

R¹² is (C₁-C₆)-alkyl or (C₁-C₆)-haloalkyl;

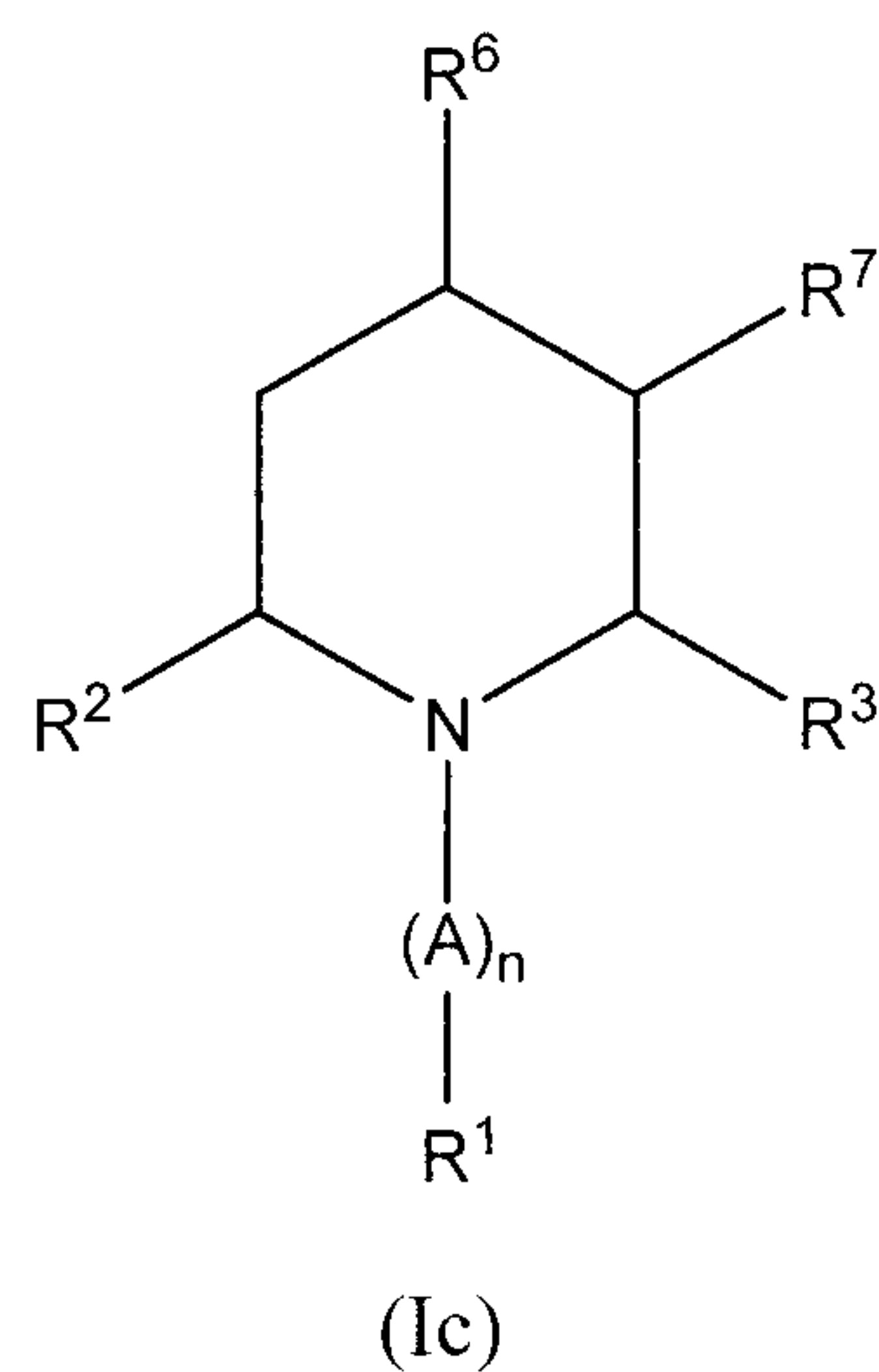
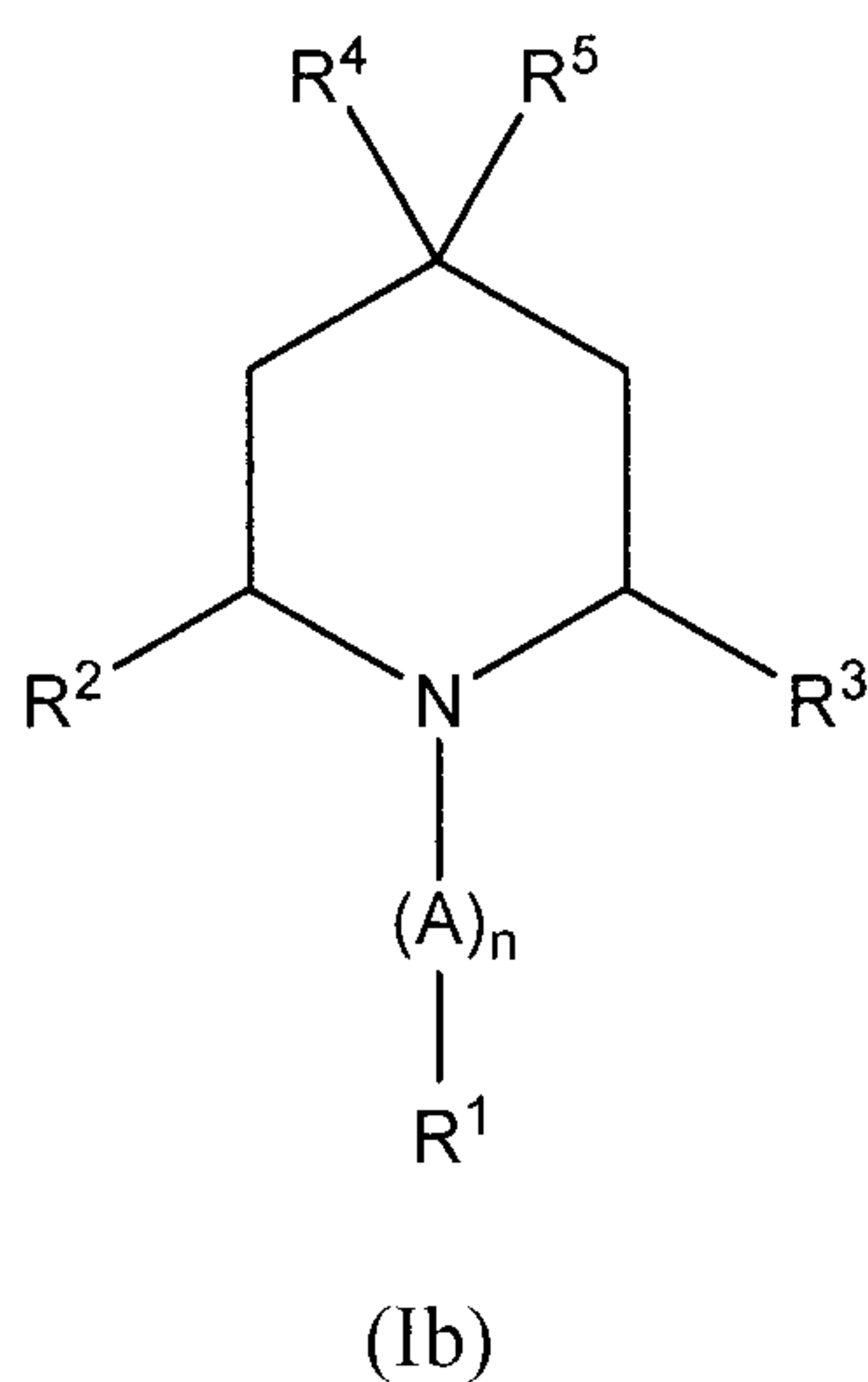
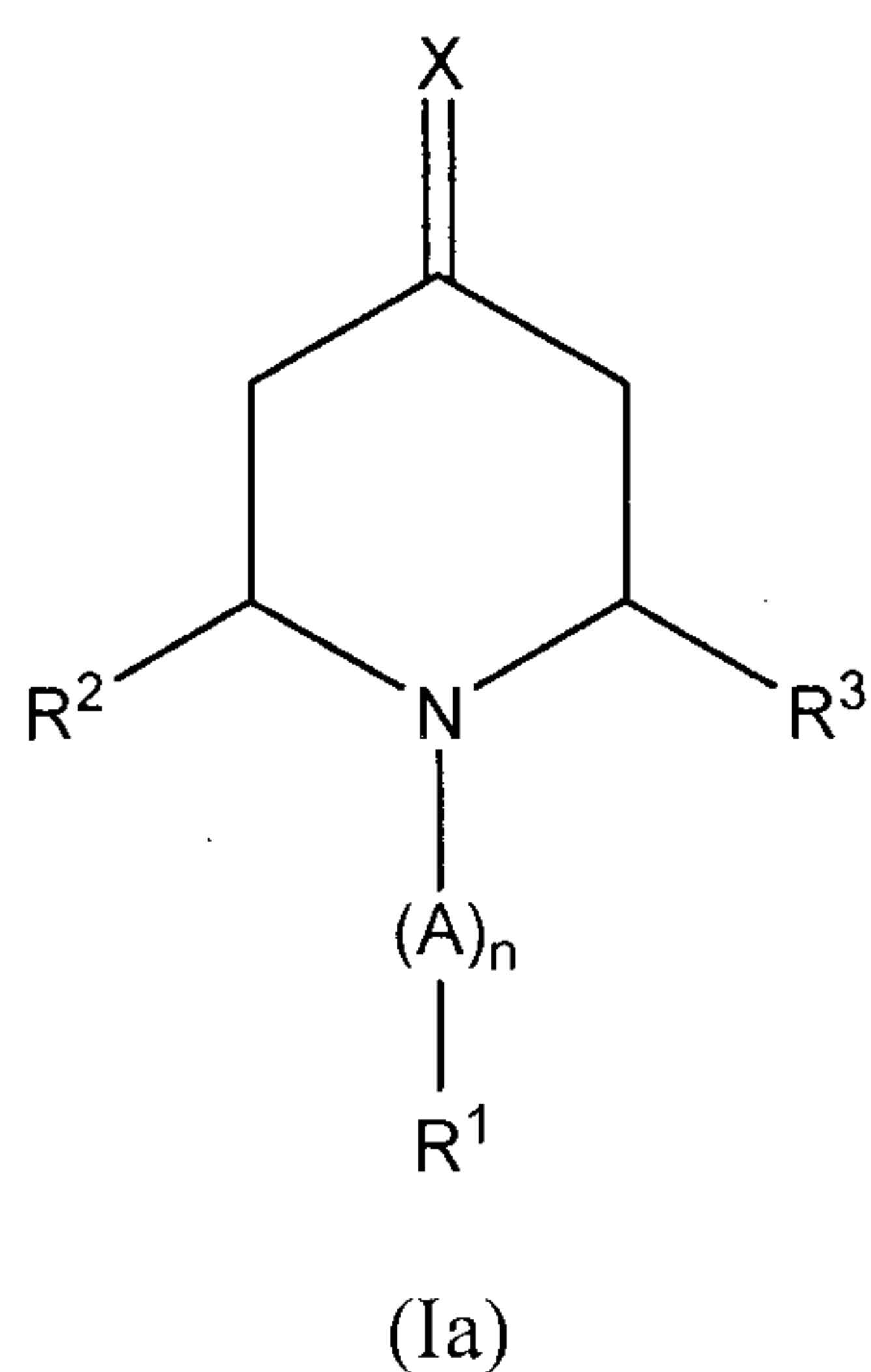
15 R¹³ and R¹⁴ are each independently H, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₃-C₇)-cycloalkyl or (C₃-C₇)-cycloalkyl-(C₁-C₆)-alkyl; or a group wherein R¹³ and R¹⁴ together with the N form a 4 to 8-membered heteroaryl or heterocyclyl ring that may contain one or two further hetroatoms; and wherein

n is 0 or 1 and p is 0, 1 or 2.

20 2. Use of a piperidine derivative of claim 1 selected from formula (Ia), (Ib) and (Ic) or a pesticidally acceptable salt thereof in the preparation of a medicament for the control of pests

51440-77

- 140 -



wherein:

A is $-\text{CH}_2-$, $-\text{CH}_2\text{CH}_2-$ or $\text{CH}(\text{CH}_3)-$;

R^1 is phenyl, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, $(\text{C}_1\text{-C}_6)\text{-alkyl}$, $(\text{C}_1\text{-C}_6)\text{-haloalkyl}$, $(\text{C}_1\text{-C}_6)\text{-alkoxy}$, $(\text{C}_1\text{-C}_6)\text{-haloalkoxy}$, CN , NO_2 , $\text{S}(\text{O})_p\text{R}^{12}$ and $\text{NR}^{13}\text{R}^{14}$;

or is $(\text{C}_3\text{-C}_7)\text{-cycloalkyl}$ or $(\text{C}_3\text{-C}_7)\text{-cycloalkylenyl}$;

or is a heteroaryl selected from pyridine, pyrimidine, pyrazine and pyridazine, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, $(\text{C}_1\text{-C}_6)\text{-alkyl}$, $(\text{C}_1\text{-C}_6)\text{-haloalkyl}$, $(\text{C}_1\text{-C}_6)\text{-alkoxy}$, $(\text{C}_1\text{-C}_6)\text{-haloalkoxy}$, CN , NO_2 , $\text{S}(\text{O})_p\text{R}^{12}$, OH and oxo ; and/or

R^2 , R^3 are each independently H , $(\text{C}_1\text{-C}_3)\text{-alkyl}$; or R^2 and R^3 may form together a $(\text{C}_1\text{-C}_3)\text{-alkylene}$ bridge;

and/or in formula (Ib)

R^4 , R^5 are each independently H , $(\text{C}_1\text{-C}_6)\text{-alkyl}$, $(\text{C}_1\text{-C}_6)\text{-alkoxy}$;

51440-77

- 141 -

or in case R^4 and R^5 are (C_1-C_6) -alkyl or (C_1-C_6) -alkoxy both groups together with C-4 of the piperidine ring may form a 4-7 membered ring;

and/or in formula (Ic)

5 R^6 is OH, $OCOR^8$, $OCOOR^9$, $OCO-COO(C_1-C_4)$ -alkyl, $COO(C_1-C_4)$ -alkyl, $COOH$ or

CH_2 Phenyl, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6) -alkyl, (C_1-C_6) -haloalkyl, (C_1-C_6) -alkoxy, (C_1-C_6) -haloalkoxy, CN, NO_2 , $S(O)_pR^{12}$ and $NR^{13}R^{14}$

and/or

10 R^7 is H, (C_1-C_3) -alkyl,

or wherein

15 R^7 and R^6 and the carbon atoms in position 3 (C-3) and 4 (C-4) of the piperidine ring form together a 5 to 7-membered cycloalkyl or cycloalkenyl ring or a 6 to 14 membered aromatic ring, either unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6) -alkyl, (C_1-C_6) -haloalkyl, (C_1-C_6) -alkoxy, (C_1-C_6) -haloalkoxy, CN, NO_2 , $S(O)_pR^{12}$ and $NR^{13}R^{14}$;

and/or in formula (Ia)

X is O, S, N-OH, N-O- (C_1-C_6) -alkyl, N-O-CO- R^8 , N-O-COOR⁹

and wherein

20 R^8 is H, (C_2-C_6) -alkenyl, (C_2-C_6) -haloalkenyl, (C_2-C_6) -alkynyl, (C_2-C_6) -haloalkynyl, (C_3-C_7) -cycloalkyl or (C_1-C_6) -alkyl which last mentioned group is unsubstituted or substituted by one or more radicals selected from the group consisting of

51440-77

- 142 -

halogen, (C₃-C₇)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkylthio, OH, CN, NO₂, R¹⁰, R¹¹, S(O)_pR¹² and NR¹³R¹⁴;

R⁹ is H, (C₃-C₆)-alkenyl, (C₃-C₆)-haloalkenyl, (C₃-C₆)-alkynyl, (C₃-C₆)-haloalkynyl, (C₃-C₇)-cycloalkyl, or (C₁-C₆)-alkyl which last mentioned group is
 5 unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₃-C₇)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkylthio, OH, CN, NO₂, R¹⁰, R¹¹, S(O)_pR¹² and NR¹³R¹⁴;

R¹⁰ is phenyl, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy,
 10 (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴;

R¹¹ is a saturated, unsaturated or heteroaromatic heterocyclyl radical unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹², OH and oxo;

15 R¹² is (C₁-C₆)-alkyl or (C₁-C₆)-haloalkyl;

R¹³ and R¹⁴ are each independently H, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₃-C₇)-cycloalkyl or (C₃-C₇)-cycloalkyl-(C₁-C₆)-alkyl;

or R¹³ and R¹⁴ together with the N form a 4 to 8-membered heteroaryl or heterocyclyl ring that may contain one or two further hetroatoms selected from the group consisting of N, O, and S;

20 and wherein

n is 0 or 1, and

p is 0, 1 or 2.

3. The use of claim 2, wherein R² and R³ form together a -C₂H₄- group so that a tropane ring system is generated.

51440-77

- 143 -

4. The use of any one of claims 1 to 3 wherein

A is $-\text{CH}_2-$, $-\text{CH}_2\text{CH}_2-$ or $-\text{CH}(\text{CH}_3)-$;

R^1 is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, $(\text{C}_1\text{-C}_6)\text{-alkyl}$, $(\text{C}_1\text{-C}_6)\text{-haloalkyl}$, $(\text{C}_1\text{-C}_6)\text{-alkoxy}$,

5 $(\text{C}_1\text{-C}_6)\text{-haloalkoxy}$, CN , NO_2 , $\text{S}(\text{O})_p\text{R}^{12}$ and $\text{NR}^{13}\text{R}^{14}$;

or is $(\text{C}_3\text{-C}_7)\text{-cycloalkyl}$ or $(\text{C}_3\text{-C}_7)\text{-cycloalkylenyl}$;

or is pyridine, pyrimidine, pyrazine or pyridazine, unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, $(\text{C}_1\text{-C}_6)\text{-alkyl}$, $(\text{C}_1\text{-C}_6)\text{-haloalkyl}$, $(\text{C}_1\text{-C}_6)\text{-alkoxy}$, $(\text{C}_1\text{-C}_6)\text{-haloalkoxy}$, CN , NO_2 , $\text{S}(\text{O})_p\text{R}^{12}$, OH and oxo ; and

10 R^2 and R^3 are each independently H or CH_3 ;

or in case R^2 and R^3 are both CH_3 the methyl groups may be connected to form a $-\text{C}_2\text{H}_4-$ group;

and wherein R^{12} , R^{13} and R^{14} are as defined in claim 1,

and wherein n is 0 or 1 and p is 0, 1 or 2.

15 5. The use of any one of claims 2 to 4 wherein the substituted piperidine derivative is of formula (Ib) and

R^4 , R^5 are each independently H , $(\text{C}_1\text{-C}_6)\text{-alkyl}$, $(\text{C}_1\text{-C}_6)\text{-alkoxy}$;

or in case R^4 and R^5 are $(\text{C}_1\text{-C}_6)\text{-alkyl}$ or $(\text{C}_1\text{-C}_6)\text{-alkoxy}$ both groups together with C-4 of the piperidine ring may form a 4-7 membered ring.

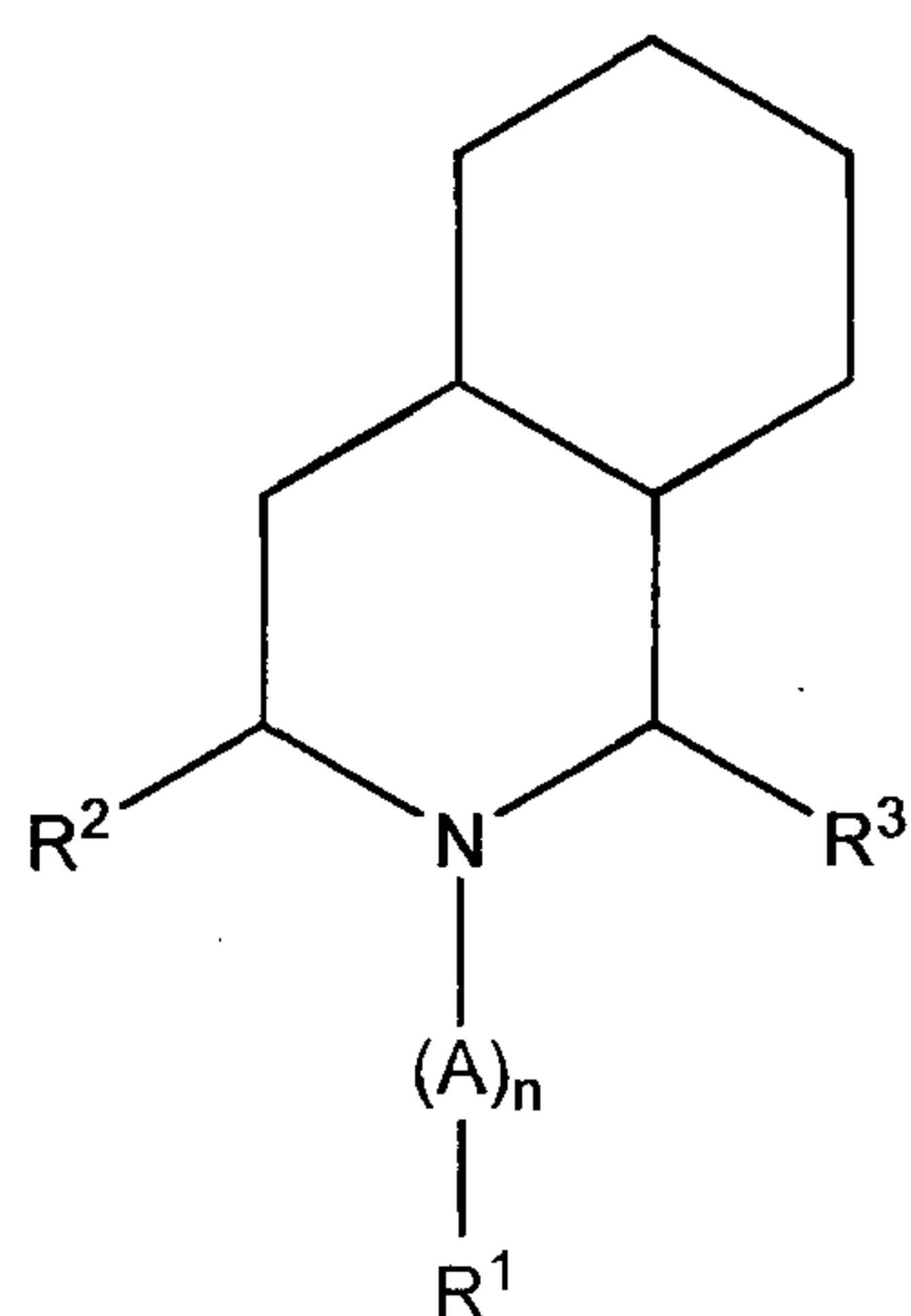
20 6. The use of any one of claims 2 to 4 wherein the substituted piperidine derivative is of formula (Ic) and

R^6 is OH , OCOR^8 , OCOOR^9 , $\text{COO}(\text{C}_1\text{-C}_4)\text{-alkyl}$; and

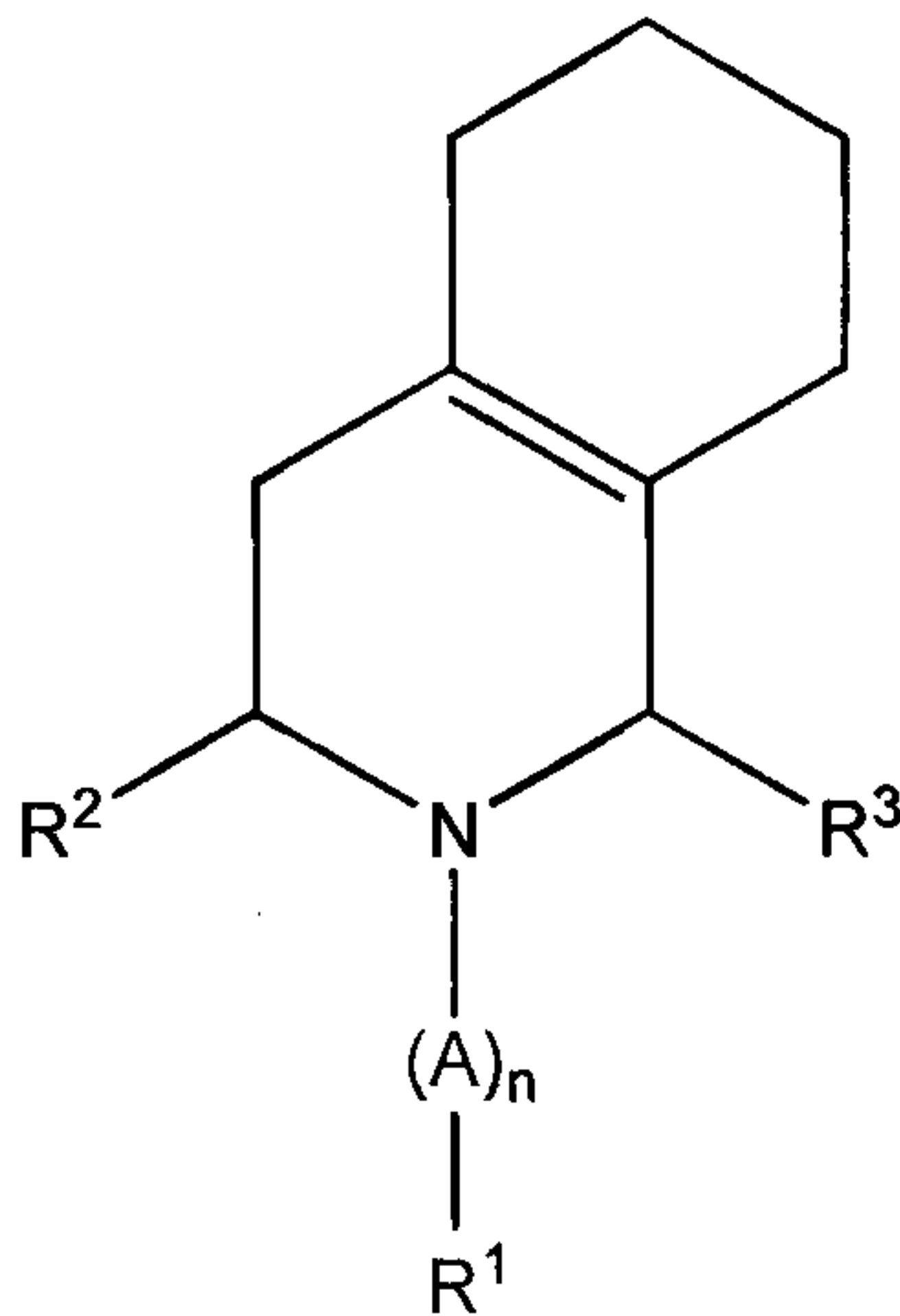
51440-77

- 144 -

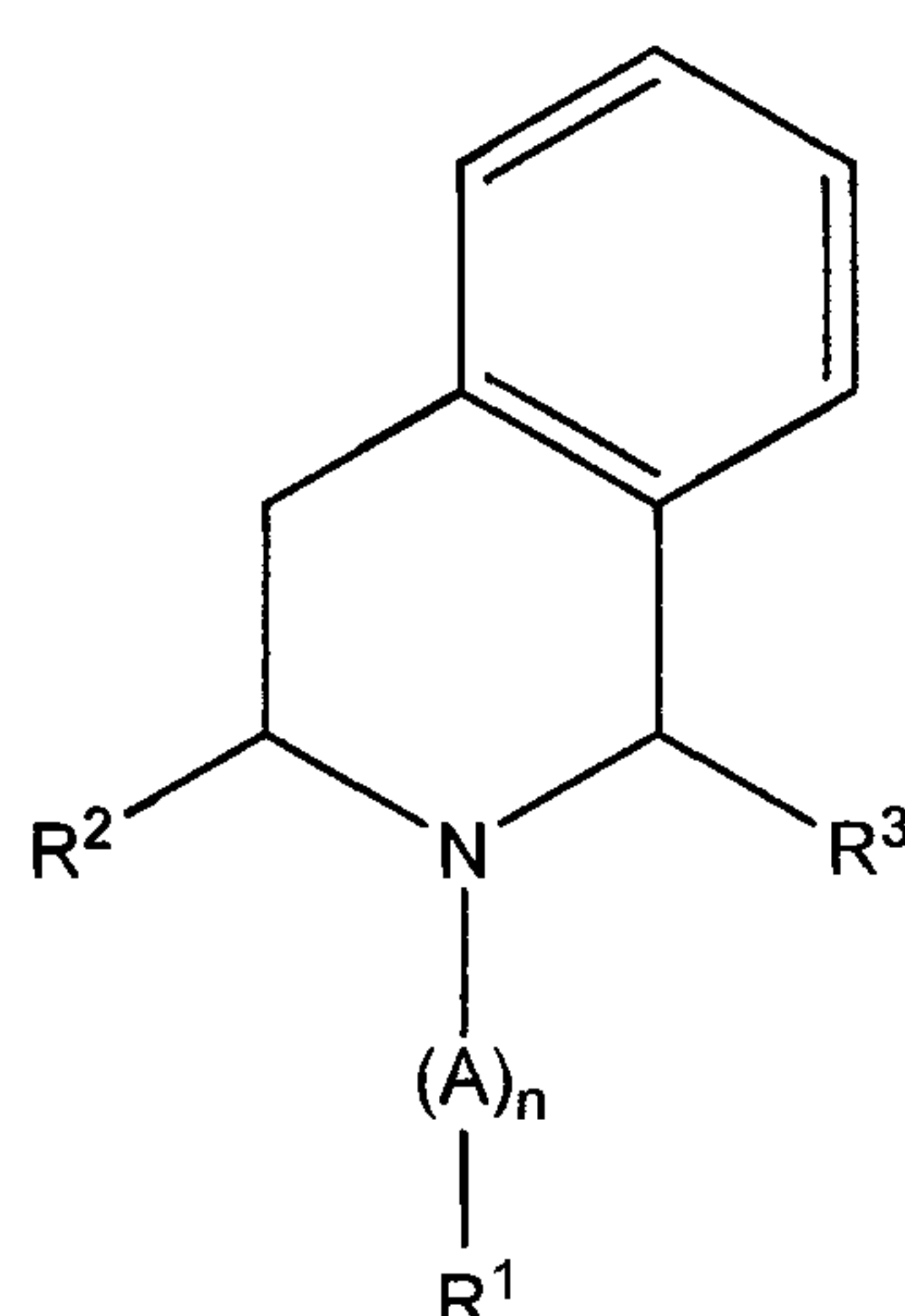
R^7 is H or R^7 together with R^6 and C-3 and C-4 of the piperidine ring forms a saturated or unsaturated 6-membered ring to generate a formula selected from (IIa), (IIb) and (IIc);



(IIa)



(IIb)



(IIc)

- 5 wherein said 6-membered ring is either unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C₁-C₆)-alkyl, (C₁-C₆)-haloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-haloalkoxy, CN, NO₂, S(O)_pR¹² and NR¹³R¹⁴;

and wherein R¹, R², R³ and A are as defined in claim 2,

and wherein R⁸, R⁹, R¹², R¹³ and R¹⁴ are as defined in claim 1,

- 10 and wherein n is 0 or 1 and p is 0, 1 or 2.

7. The use of any one of claims 2 to 4 wherein the substituted piperidine derivative is of formula (Ia) and X is O.

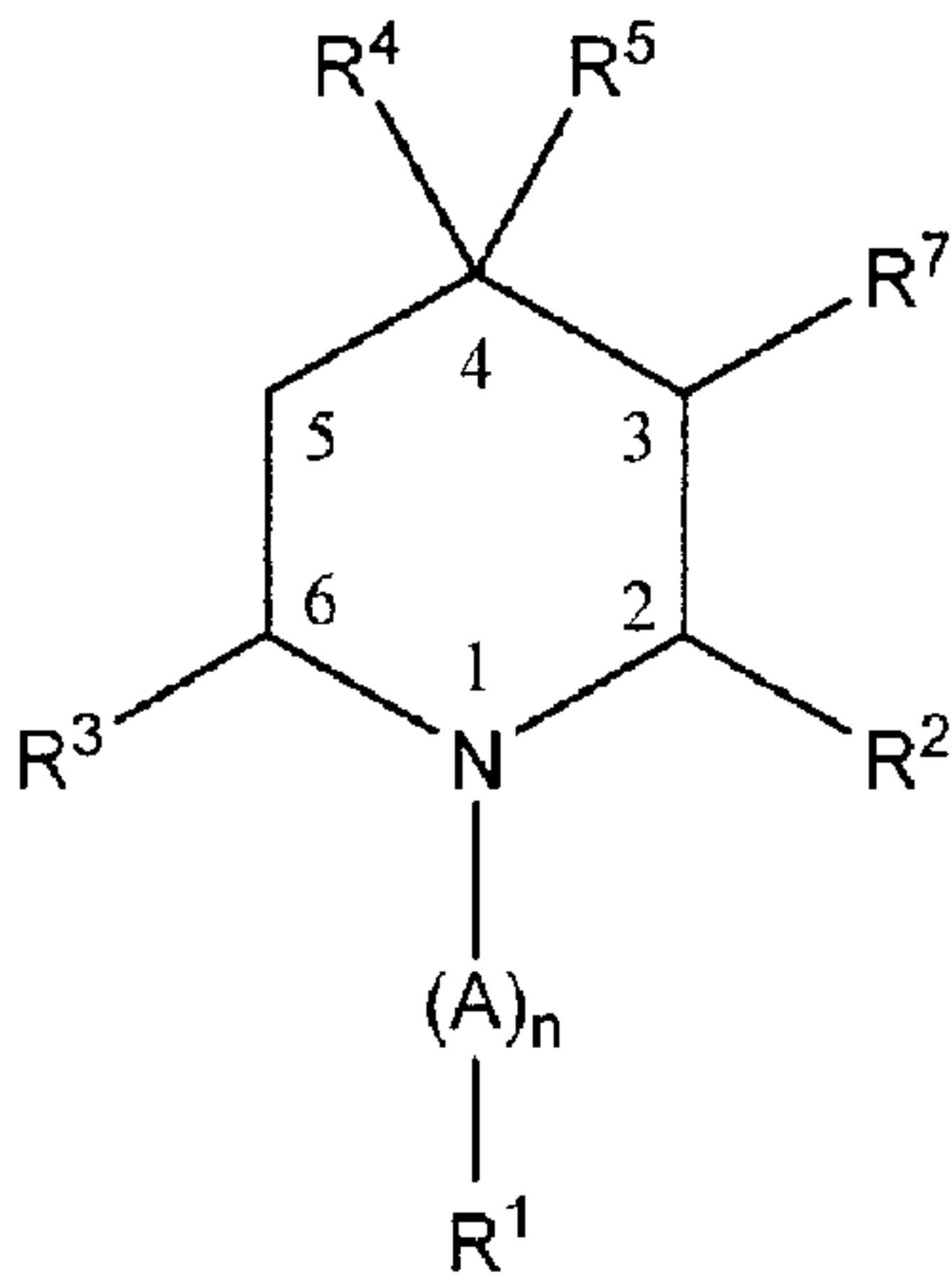
8. A substituted piperidine derivative, or pesticidally acceptable salt thereof as defined in any one of claims 1 to 7 for use in controlling arthropod pests and/or helminths

- 15 pests.

51440-77

- 145 -

9. A substituted piperidine derivative, or pesticidally acceptable salt thereof as defined in any one of claims 1 to 7 for use in controlling insects, arachnids and/or nematodes.
10. A substituted piperidine derivative, or pesticidally acceptable salt thereof as defined in any one of claims 1 to 7 for use as pesticides or as an ectoparasiticide in stock
5 animals or in domestic companion animals.
11. A pesticidal composition comprising a substituted piperidine derivative or a pesticidally acceptable salt thereof as defined in any one of claims 1 to 7, in association with a pesticidally acceptable diluent or carrier and/or surface active agent for use in controlling pests.
- 10 12. The use as claimed in any one of claims 1 to 7 wherein the medicament is a veterinary medicament.
13. A substituted piperidine derivative, or pesticidally acceptable salt thereof as defined in any one of claims 1 to 7 for use in controlling pests.



(I)