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(74) Agent: BECKER, Konrad; Novartis AG, Corporate In-
tellectual Property, Patent & Trademark Dept., CH-4002
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2115/99 19 November 1999 (19.11.1999) CH(71) Applicant (for all designated States except AT, US): NO-
VARTIS AG [CH/CH]; Schwarzwaldallee 215, CH-4058
Basel (CH).(71) Applicant (for AT only): NOVARTIS-ERFINDUNGEN
VERWALTUNGSGESELLSCHAFT MBH [AT/AT];
Brunner Strasse 59, A-1230 Vienna (AT).

(72) Inventors; and

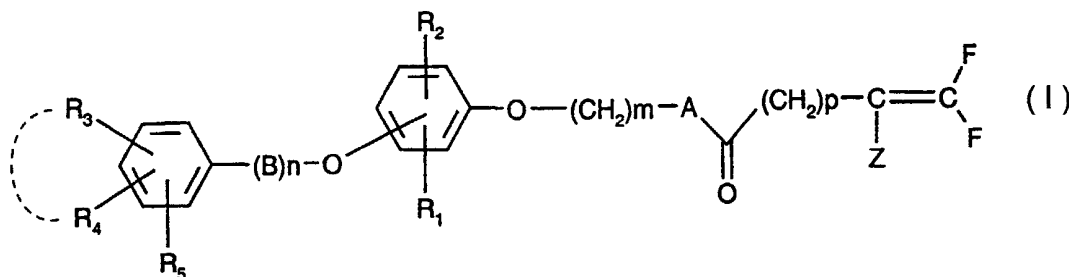
(75) Inventors/Applicants (for US only): DUCRAY, Pierre
[FR/FR]; 15A, rue de Huningue, F-68300 Saint-Louis
(FR). BOUVIER, Jacques [CH/CH]; 2, rue des Parcs,
CH-2000 Neuchâtel (CH). KAMINSKY, Roland
[DE/CH]; 1, Vau de Cour, CH-1789 Lugnorre (CH).(81) Designated States (national): AE, AG, AL, AM, AT, AU,
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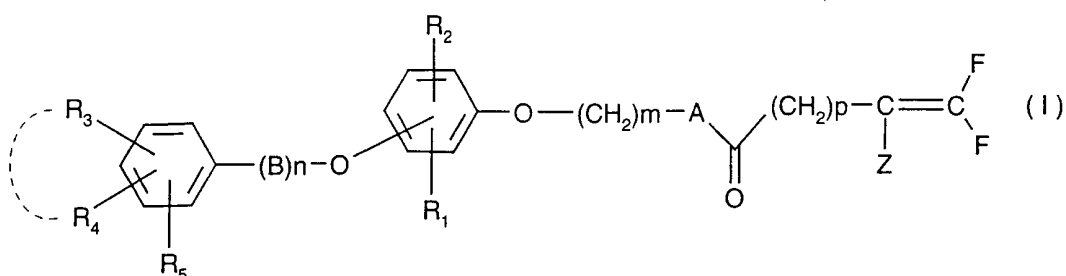


(57) Abstract: There are described new compounds of formula (I) wherein, when n is 0, at least one of the two phenyl rings is substituted; R₁ and R₂ are each independently of the other hydrogen, halogen, cyano, nitro, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄haloalkoxy or benzyl; A is oxygen, sulfur or -N(R₆)-, wherein R₆ is C₁₋₄alkyl, phenylthio or tolylthio; B is -C(O)-, -O-C(O)- or -C(N-R₆)-, wherein n is 0 or 1 and R₆ is hydrogen of C₁₋₄alkyl; p is an integer from 1 to 10; R₃, R₄ and R₅ are each independently of the others hydrogen, halogen, cyano, nitro, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy or C₁₋₄haloalkoxy; or R₃ and R₄ are adjacent to one another and together with the phenyl ring to which they are bonded form a naphthyl group; m is 0, 1, 2 or 3; and Z is C₁₋₆ alkyl, phenyl or halogen; in free form or in the form of physiologically tolerable salts. Also described is the preparation of those substances and their use in controlling ectoparasites on domestic animals, productive livestock and pets, as well as ectoparasiticide compositions for use on such animals.

CARBONIC ACID DERIVATIVES AND THEIR USE AS ECTOPARASITICIDAL COMPOSITION

The present invention relates to new compounds of formula I, to their preparation and to their use in controlling ectoparasites on domestic animals, productive livestock and pets, also to ectoparasitidal compositions for use on such animals, the compositions comprising at least one such compound as active ingredient, and to the use of such substances in the preparation of the said compositions.

The substances according to the invention are compounds of formula I



wherein, when n is 0, at least one of the two phenyl rings is substituted;

R₁ and R₂ are each independently of the other hydrogen, halogen, cyano, nitro, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄haloalkoxy or benzyl;

A is oxygen, sulfur or -N(R₆)-, wherein R₆ is C₁₋₄alkyl, phenylthio or tolylthio;

B is -C(O)-, -O-C(O)- or -C(N-R₆)-, wherein n is 0 or 1 and R₆ is hydrogen or C₁₋₄alkyl;

p is an integer from 1 to 10;

R₃, R₄ and R₅ are each independently of the others hydrogen, halogen, cyano, nitro, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy or C₁₋₄haloalkoxy; or R₃ and R₄ are adjacent to one another and together with the phenyl ring to which they are bonded form a naphthyl group;

m is 0, 1, 2 or 3;

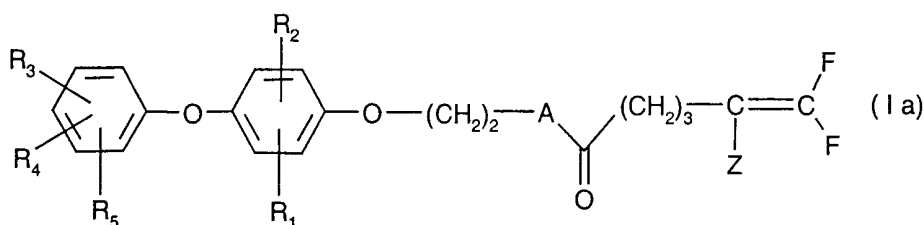
and Z is C₁₋₆alkyl, phenyl or halogen;

in free form or in the form of physiologically tolerable salts. Preference is given to compounds wherein R₁ and R₂ are hydrogen; A is oxygen; n is 1, m is 2; p is 3; and Z is CH₃ or F. In cases in which n is 1, the bridge -(B)n-O- preferably forms the group -C(O)-O-, -O-C(O)-O- or -C(N-R₆)-O-.

"Alkyl" on its own or as a constituent of a haloalkyl, alkoxy or haloalkoxy radical is to be understood as meaning a saturated, unbranched or branched hydrocarbon radical having

from one to four carbon atoms, for example a substituent such as methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl or tert-butyl. The prefix "halo" indicates that the substituent in question is partially or completely halogenated. Examples of haloalkyl - as a group *per se* or as a structural element of other groups and compounds, such as of haloalkoxy - are methyl substituted from one to three times by fluorine, chlorine and/or bromine, such as CHF_2 or CF_3 ; ethyl substituted from one to five times by fluorine, chlorine and/or bromine, such as CH_2CF_3 , CF_2CF_3 , CF_2CCl_3 , CF_2CHCl_2 , CF_2CHF_2 , CF_2CFCl_2 , CF_2CHBr_2 , CF_2CHClF , CF_2CHBrF or CClFCHClF ; propyl or isopropyl substituted from one to seven times by fluorine, chlorine and/or bromine, such as $\text{CH}_2\text{CHBrCH}_2\text{Br}$, $\text{CF}_2\text{CHFCH}_2\text{F}$, $\text{CH}_2\text{CF}_2\text{CF}_3$ or $\text{CH}(\text{CF}_3)_2$; and butyl or an isomer thereof substituted from one to nine times by fluorine, chlorine and/or bromine, such as $\text{CF}(\text{CF}_3)\text{CH}_2\text{CF}_3$ or $\text{CH}_2(\text{CF}_2)_2\text{CF}_3$. The terms "halo" and "halogen" denote halogen atoms and are generally fluorine, chlorine, bromine or iodine, preferably fluorine or chlorine; as a substituent of an alkyl group they denote especially fluorine, and as a substituent of a phenyl ring they denote especially chlorine.

Owing to their especially pronounced activity, within the context of formula I there are especially preferred sub-groups, such as, for example, the group of compounds of formula Ia



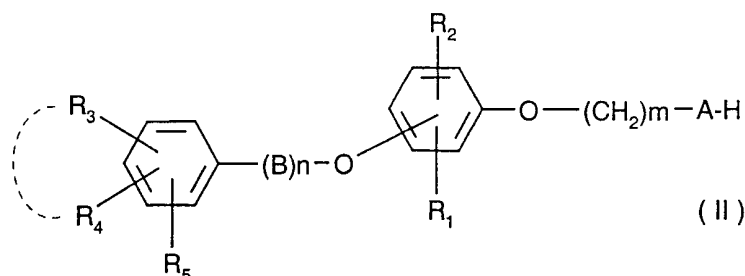
wherein R_1 and R_2 are each independently of the other hydrogen, halogen or C_{1-4} alkyl; A is oxygen, sulfur or $-\text{N}(\text{R}_6)-$, wherein R_6 is C_{1-4} alkyl; R_3 , R_4 and R_5 are each independently of the others hydrogen, halogen, cyano, nitro, C_{1-4} alkyl or C_{1-4} alkoxy; and Z is C_{1-6} alkyl, phenyl or halogen; in free form or in the form of physiologically tolerable salts. Within the context of formula Ia, special preference is given to those compounds wherein R_1 and R_2 are each independently of the other hydrogen, fluorine, chlorine or C_{1-4} alkyl; with the proviso that both are not simultaneously hydrogen; A is oxygen; R_3 , R_4 and R_5 are each independently of the others hydrogen, fluorine, chlorine, CF_3 , cyano or nitro; and Z is CH_3 , phenyl, fluorine or chlorine; in free form or in the form of physiologically tolerable salts. Those compounds wherein Z is CH_3 are more especially preferred.

Within the context of the present invention, special preference is given to each of the individual compounds mentioned hereinbelow:

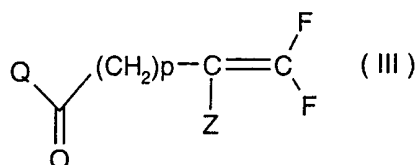
4-methyl-5,5-difluoropent-4-enoic acid {2-[4-(3-n-propylphenoxy)phenoxy]ethyl} ester;
 4-methyl-5,5-difluoropent-4-enoic acid {2-[4-(3-chlorophenoxy)phenoxy]ethyl} ester;
 4-methyl-5,5-difluoropent-4-enoic acid {2-[4-(4-trifluoromethylphenoxy)phenoxy]ethyl} ester;
 4-methyl-5,5-difluoropent-4-enoic acid {2-[4-(3,5-dichlorophenoxy)phenoxy]ethyl} ester; and
 4-methyl-5,5-difluoropent-4-enoic acid {2-[4-(4-phenoxycarbonyloxy)phenoxy]ethyl} ester.

Compounds of that structural type are included in EP-0 577 555 but are not specifically disclosed therein. The substances described therein are presented as active ingredients in the field of pest control, especially for use against insects and arachnids, such as occur on crops of useful plants and ornamentals in agriculture and in horticulture, especially in crops of rice, cotton, vegetables and fruits, and in forestry. As further fields of application mention is made of their use in the field of hygiene, in the protection of raw materials and in the protection of domestic animals and productive livestock, but those uses are not described.

The present invention relates also to the preparation of compounds of formula I, which is carried out by reacting a compound of formula II



wherein R, R₁, R₂, B, A, n and m are as defined for formula I, in the presence or absence of an inert solvent or solvent mixture, with a compound of formula III



wherein Q is hydroxy or halogen and p is as defined for formula I, preferably chlorine or bromine; and Z and p are as defined for formula I; the reaction preferably being carried out in the presence of a hydrophilic agent or of a catalyst when Q is hydroxy, and preferably being carried out in the presence of an acid-binding agent when Q is halogen.

The reaction of II with a compound of formula III wherein Q is halogen is preferably carried out in an inert, hydroxyl-group-free solvent in the presence of an organic base, for example pyridine, 4-dimethylaminopyridine, lutidine, collidine, trialkylamine or N,N-dialkylaniline, or of a bicyclic, non-nucleophilic base, such as 1,4-diazabicyclo[2.2.2]octane (DABCO), 1,5-diazabicyclo[4.3.0]non-5-ene (DBN) or 1,8-diazabicyclo[5.4.0]undec-7-ene (1,5-5) (DBU). The reaction is generally carried out at temperatures of from -30°C to +70°C, preferably from -10°C to +50°C. The reaction is advantageously carried out in the presence of a solvent or solvent mixture that is inert to the reaction. Suitable solvents include, for example, aliphatic and aromatic hydrocarbons, such as benzene, toluene, xylenes, petroleum ether and hexane; halogenated hydrocarbons, such as chlorobenzene, methylene chloride, ethylene chloride, chloroform, carbon tetrachloride and tetrachloroethylene; ethers and ether-type compounds, such as dialkyl ethers (diethyl ether, diisopropyl ether, tert-butyl methyl ether, etc.), anisole, dioxane and tetrahydrofuran; nitriles, such as acetonitrile and propionitrile; esters, such as ethyl acetate (acetic acid ethyl ester), propyl acetate and butyl acetate; ketones, such as acetone, diethyl ketone and methyl ethyl ketone; and mixtures of such solvents with one another. The reaction is normally carried out at ambient pressure, but can also be carried out at elevated or reduced pressure.

The reaction of II with a compound of formula III wherein Q is hydroxy is advantageously carried out in the presence of water-removing reagents customary for esterification, for example in the presence of a carbodiimide [dicyclohexylcarbodiimide (DCC)] or of a 1-alkyl-2-halopyridinium salt, such as 1-methyl-2-chloropyridinium iodide. The reaction is in that case advantageously carried out at temperatures of from -30°C to +70°C, preferably from -10°C to +50°C, in the presence of a solvent or solvent mixture that is inert to the reaction. The reaction is preferably carried out in the presence of a base, for example in the presence of an organic amine, such as a trialkylamine (trimethylamine, triethylamine, tripropylamine or diisopropylethylamine), a pyridine (pyridine itself, 4-dimethylaminopyridine or 4-pyrrolidinopyridine), a morpholine (N-methylmorpholine) or an N,N-dialkylaniline (N,N-dimethylaniline or N-methyl-N-ethylaniline). Suitable solvents include, for example, aliphatic and aromatic hydrocarbons, such as benzene, toluene, xylenes, petroleum ether and hexane; halogenated hydrocarbons, such as chlorobenzene, methylene chloride, ethylene chloride, chloroform, carbon tetrachloride and tetrachloroethylene; ether and ether-type

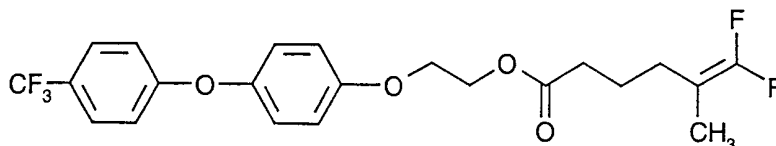
compounds, such as dialkyl ethers (diethyl ether, diisopropyl ether, tert-butyl methyl ether, etc.), anisole, dioxane and tetrahydrofuran; nitriles, such as acetonitrile and propionitrile; esters, such as ethyl acetate (acetic acid ethyl ester), propyl acetate and butyl acetate; and mixtures of such solvents with one another.

The conversion of free compounds I into salts and of salts into free compounds I or into other salts is effected in customary manner, e.g. by treatment of a free compound I with an acid, or of a salt with a base.

Compounds of the type of formulae II and III and their preparation are known from the literature or they can be prepared analogously to known examples. Compounds III can also be prepared according to the processes described in US-4 950 666 and EP-A-0 432 861. It is also possible to work in accordance with the procedures described in EP-0 577 555, pages 5 - 8.

Preparation Examples

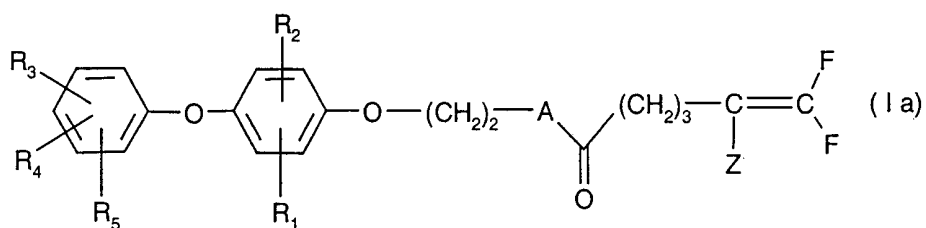
Example 1: Preparation of 4-methyl-5,5-difluoropent-4-enoic acid {2-[4-(4-trifluoromethylphenoxy)phenoxy]ethyl} ester of the formula



4 g of 5,5-difluoropent-4-enoic acid chloride are added in portions, with ice-cooling, to a solution of 5.8 g of 2-[4-(4-trifluoromethylphenoxy)phenoxy]ethanol and 2.5 ml of pyridine in 60 ml of dichloroethene. The mixture is stirred for 12 hours under normal pressure and at room temperature. The mixture is then concentrated *in vacuo* and the residue is taken up in ethyl ether/2M HCl. The organic phase is separated off and then washed once with 2M HCl, twice with aqueous sodium hydrogen carbonate solution and once with sodium chloride solution, dried over sodium sulphate, filtered and concentrated *in vacuo* to yield 10 g of a viscous oil, which is purified by chromatography on silica gel (1:2 ethyl ether/n-hexane). After removal of the solvent, 3 g of the title substance are obtained in the form of a light-yellow oil, $n_D^{23} = 1.491$.

The examples of formula I given below can be prepared in an analogous manner. The compounds of formula I in those examples are generally obtained in the form of colourless to yellowish oils, and a few are obtained in the form of wax-like products. Ph denotes phenyl.

Table 1: Preferred sub-group of compounds of formula Ia



No.	R ₃	R ₄	R ₅	Z	R ₁	R ₂	A	physical constant
1.01	H	H	H	CH ₃	H	2-C ₃ H ₇ n	O	$n_D^{23} = 1.531$
1.02	H	H	2-Cl	CH ₃	H	H	O	
1.03	6-Cl	H	2-Cl	CH ₃	H	H	O	
1.04	H	H	2-F	CH ₃	H	H	O	$n_D^{23} = 1.514$
1.05	H	H	2-F	CH ₃	H	H	O	
1.06	H	H	3-Cl	CH ₃	H	H	O	
1.07	6-Cl	4-Cl	2-Cl	CH ₃	H	H	O	
1.08	H	H	H	CH ₃	H	3-Cl	O	
1.09	H	H	2-Cl	CH ₃	H	3-Cl	O	
1.10	6-Cl	H	2-Cl	CH ₃	5-Cl	3-Cl	O	
1.11	H	H	2-F	CH ₃	H	3-F	O	
1.12	H	H	2-F	CH ₃	5-F	3-F	O	
1.13	5-Cl	H	3-Cl	CH ₃	6-Cl	3-Cl	O	

1.14	6-Cl	4-Cl	2-Cl	CH ₃	6-Cl	2-Cl	O	$n_D^{23} = 1.491$
1.15	H	4-CH ₃	H	CH ₃	H	H	O	
1.16	H	H	4-CF ₃	CH ₃	H	H	O	
1.17	H	3-NO ₂	H	CH ₃	H	H	O	
1.18	4-CH ₃	3-CH ₃	H	CH ₃	H	H	O	
1.19	H	2-NO ₂	H	CH ₃	H	H	O	$n_D^{23} = 1.530$
1.20	H	4-OCH ₃	H	CH ₃	H	H	O	
1.21	H	4-CN	H	CH ₃	H	H	O	
1.22	5-Cl	H	3-Cl	CH ₃	H	H	O	
1.23	H	4-t-butyl	H	CH ₃	H	H	O	
1.24	H	4-CH ₃	H	CH ₃	H	3-Cl	O	
1.25	H	4-CF ₃	H	CH ₃	H	3-F	O	
1.26	H	3-NO ₂	H	CH ₃	5-F	3-F	O	
1.27	4-CH ₃	3-CH ₃	H	CH ₃	H	2-Cl	O	
1.28	H	2-NO ₂	H	CH ₃	H	2-F	O	
1.29	H	4-OCH ₃	H	CH ₃	H	2-CH ₃	O	
1.30	H	4-CN	H	CH ₃	6-Cl	2-Cl	O	
1.31	H	4-F	H	CH ₃	5-F	2-F	O	
1.32	H	4-t-butyl	H	CH ₃	H	3-CH ₃	O	
1.33	H	H	H	C ₂ H ₅	H	H	O	
1.34	H	H	2-Cl	C ₃ H _{7-n}	H	H	O	
1.35	6-Cl	H	2-Cl	C ₂ H ₅	H	H	O	
1.36	H	H	2-F	C ₂ H ₅	H	H	O	
1.37	H	H	2-F	C ₃ H _{7-i}	H	H	O	
1.38	5-Cl	H	3-Cl	C ₄ H _{9-n}	H	H	O	

1.39	6-Cl	4-Cl	2-Cl	C ₄ H ₉ -s	H	H	O
1.40	H	H	H	C ₂ H ₅	H	3-Cl	O
1.41	H	H	2-Cl	C ₃ H ₇ -n	H	3-Cl	O
1.42	6-Cl	H	2-Cl	C ₅ H ₁₀ -n	5-Cl	3-Cl	O
1.43	H	H	2-F	C ₆ H ₁₁ -n	H	3-F	O
1.44	H	H	2-F	C ₂ H ₅	5-F	3-F	O
1.45	5-Cl	H	3-Cl	C ₄ H ₉ -n	6-Cl	3-Cl	O
1.46	6-Cl	4-Cl	2-Cl	C ₆ H ₁₁ -n	6-Cl	2-Cl	O
1.47	H	4-CH ₃	H	C ₃ H ₇ -n	H	H	O
1.48	H	4-CF ₃	H	C ₂ H ₅	H	H	O
1.49	H	3-NO ₂	H	C ₂ H ₅	H	H	O
1.50	4-CH ₃	3-CH ₃	H	C ₂ H ₅	H	H	O
1.51	H	2-NO ₂	H	C ₃ H ₇ -i	H	H	O
1.52	H	4-OCH ₃	H	C ₃ H ₇ -n	H	H	O
1.53	H	4-CN	H	C ₄ H ₉ -n	H	H	O
1.54	H	4-F	H	C ₄ H ₉ -s	H	H	O
1.55	H	4-t-butyl	H	C ₆ H ₁₁ -n	H	H	O
1.56	H	4-CH ₃	H	C ₂ H ₅	H	3-Cl	O
1.57	H	4-CF ₃	H	C ₂ H ₅	H	3-F	O
1.58	H	3-NO ₂	H	C ₅ H ₁₀ -n	5-F	3-F	O
1.59	4-CH ₃	3-CH ₃	H	C ₃ H ₇ -n	H	2-Cl	O
1.60	H	2-NO ₂	H	C ₄ H ₉ -n	H	2-F	O
1.61	H	4-OCH ₃	H	C ₂ H ₅	H	2-CH ₃	O
1.62	H	4-CN	H	C ₄ H ₉ -t	6-Cl	2-Cl	O
1.63	H	4-F	H	C ₄ H ₉ -s	5-F	2-F	O

1.64	H	4-t-butyl	H	C ₃ H ₇ -i	H	3-CH ₃	O
1.65	H	H	H	C ₂ H ₅	H	H	S
1.66	H	H	2-Cl	C ₃ H ₇ -n	H	H	S
1.67	6-Cl	H	2-Cl	C ₂ H ₅	H	H	S
1.68	H	H	2-F	C ₂ H ₅	H	H	S
1.69	H	H	2-F	C ₃ H ₇ -i	H	H	S
1.70	5-Cl	H	3-Cl	C ₄ H ₉ -n	H	H	S
1.71	H	H	H	C ₂ H ₅	H	3-Cl	S
1.72	H	H	2-Cl	C ₃ H ₇ -n	H	3-Cl	S
1.73	6-Cl	H	2-Cl	C ₅ H ₁₀ -n	5-Cl	3-Cl	S
1.74	H	H	2-F	C ₆ H ₁₁ -n	H	3-F	S
1.75	H	H	2-F	C ₂ H ₅	5-F	3-F	S
1.76	5-Cl	H	3-Cl	C ₄ H ₉ -n	6-Cl	3-Cl	S
1.77	6-Cl	4-Cl	2-Cl	C ₆ H ₁₁ -n	6-Cl	2-Cl	S
1.78	H	4-CH ₃	H	C ₃ H ₇ -n	H	H	S
1.79	H	4-CF ₃	H	C ₂ H ₅	H	H	S
1.80	H	3-NO ₂	H	C ₂ H ₅	H	H	S
1.81	4-CH ₃	3-CH ₃	H	C ₂ H ₅	H	H	S
1.82	H	2-NO ₂	H	C ₃ H ₇ -i	H	H	S
1.83	H	4-OCH ₃	H	C ₃ H ₇ -n	H	H	S
1.84	H	4-CN	H	C ₄ H ₉ -n	H	H	S
1.85	H	4-F	H	C ₄ H ₉ -s	H	H	S
1.86	H	4-t-butyl	H	C ₆ H ₁₁ -n	H	H	S
1.87	H	4-CH ₃	H	C ₂ H ₅	H	3-Cl	S
1.88	H	4-CF ₃	H	C ₂ H ₅	H	3-F	S

1.89	H	3-NO ₂	H	C ₅ H _{10-n}	5-F	3-F	S
1.90	4-CH ₃	3-CH ₃	H	C ₃ H _{7-n}	H	2-Cl	S
1.91	H	2-NO ₂	H	C ₄ H _{9-n}	H	2-F	S
1.92	H	4-OCH ₃	H	C ₂ H ₅	H	2-CH ₃	S
1.93	H	4-CN	H	C ₄ H _{9-t}	6-Cl	2-Cl	S
1.94	H	4-F	H	C ₄ H _{9-s}	5-F	2-F	S
1.95	H	4-t-butyl	H	C ₃ H _{7-i}	H	3-CH ₃	S
1.96	H	H	H	CH ₃	H	H	S
1.97	H	H	2-Cl	CH ₃	H	H	S
1.98	6-Cl	H	2-Cl	CH ₃	H	H	S
1.99	H	H	2-F	CH ₃	H	H	S
1.100	H	H	2-F	CH ₃	H	H	S
1.101	5-Cl	H	3-Cl	CH ₃	H	H	S
1.102	6-Cl	4-Cl	2-Cl	CH ₃	H	H	S
1.103	H	H	H	CH ₃	H	3-Cl	S
1.104	H	H	2-Cl	CH ₃	H	3-Cl	S
1.105	6-Cl	H	2-Cl	CH ₃	5-Cl	3-Cl	S
1.106	H	H	2-F	CH ₃	H	3-F	S
1.107	H	H	2-F	CH ₃	5-F	3-F	S
1.108	5-Cl	H	3-Cl	CH ₃	6-Cl	3-Cl	S
1.109	6-Cl	4-Cl	2-Cl	CH ₃	6-Cl	2-Cl	S
1.110	H	4-CH ₃	H	CH ₃	H	H	S
1.111	H	4-CF ₃	H	CH ₃	H	H	S
1.112	H	3-NO ₂	H	CH ₃	H	H	S
1.113	4-CH ₃	3-CH ₃	H	CH ₃	H	H	S

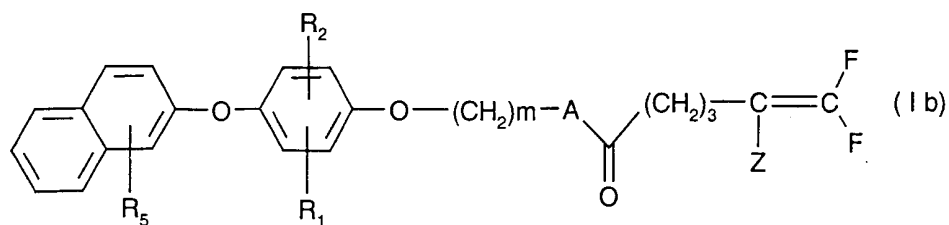
1.114	H	2-NO ₂	H	CH ₃	H	H	S
1.115	H	4-OCH ₃	H	CH ₃	H	H	S
1.116	H	4-CN	H	CH ₃	H	H	S
1.117	H	4-F	H	CH ₃	H	H	S
1.118	H	4-t-butyl	H	CH ₃	H	H	S
1.119	H	4-CH ₃	H	CH ₃	H	3-Cl	S
1.120	H	4-CF ₃	H	CH ₃	H	3-F	S
1.121	H	3-NO ₂	H	CH ₃	5-F	3-F	S
1.122	4-CH ₃	3-CH ₃	H	CH ₃	H	2-Cl	S
1.123	H	2-NO ₂	H	CH ₃	H	2-F	S
1.124	H	4-OCH ₃	H	CH ₃	H	2-CH ₃	S
1.125	H	4-CN	H	CH ₃	6-Cl	2-Cl	S
1.126	H	4-F	H	CH ₃	5-F	2-F	S
1.127	H	4-t-butyl	H	CH ₃	H	3-CH ₃	S
1.128	H	H	H	F	H	H	O
1.129	H	H	2-Cl	F	H	H	O
1.130	6-Cl	H	2-Cl	F	H	H	O
1.131	H	H	2-F	F	H	H	O
1.132	H	H	2-F	F	H	H	O
1.133	5-Cl	H	3-Cl	F	H	H	O
1.134	6-Cl	4-Cl	2-Cl	F	H	H	O
1.135	H	H	H	F	H	3-Cl	O
1.136	H	H	2-Cl	F	H	3-Cl	O
1.137	6-Cl	H	2-Cl	F	5-Cl	3-Cl	O
1.138	H	H	2-F	F	H	3-F	O

1.139	H	H	2-F	F	5-F	3-F	O
1.140	5-Cl	H	3-Cl	F	6-Cl	3-Cl	O
1.141	6-Cl	4-Cl	2-Cl	F	6-Cl	2-Cl	O
1.142	H	4-CH ₃	H	F	H	H	O
1.143	H	4-CF ₃	H	F	H	H	O
1.144	H	3-NO ₂	H	F	H	H	O
1.145	4-CH ₃	3-CH ₃	H	F	H	H	O
1.146	H	2-NO ₂	H	F	H	H	O
1.147	H	4-OCH ₃	H	F	H	H	O
1.148	H	4-CN	H	F	H	H	O
1.149	H	4-F	H	F	H	H	O
1.150	H	4-t-butyl	H	F	H	H	O
1.151	H	4-CH ₃	H	F	H	3-Cl	O
1.152	H	4-CF ₃	H	F	H	3-F	O
1.153	H	3-NO ₂	H	F	5-F	3-F	O
1.154	4-CH ₃	3-CH ₃	H	F	H	2-Cl	O
1.155	H	2-NO ₂	H	F	H	2-F	O
1.156	H	4-OCH ₃	H	F	H	2-CH ₃	O
1.157	H	4-CN	H	F	6-Cl	2-Cl	O
1.158	H	4-F	H	F	5-F	2-F	O
1.159	H	4-t-butyl	H	F	H	3-CH ₃	O
1.160	H	H	H	Cl	H	H	O
1.161	H	H	2-Cl	Cl	H	H	O
1.162	6-Cl	H	2-Cl	Cl	H	H	O
1.163	H	H	2-F	Br	H	H	O

1.164	H	H	2-F	Cl	H	H	O
1.165	5-Cl	H	3-Cl	Br	H	H	O
1.166	6-Cl	4-Cl	2-Cl	Cl	H	H	O
1.167	H	H	H	Cl	H	3-Cl	S
1.168	H	H	2-Cl	Cl	H	3-Cl	S
1.169	6-Cl	H	2-Cl	Cl	5-Cl	3-Cl	S
1.170	H	H	2-F	Br	H	3-F	S
1.171	H	H	2-F	Cl	5-F	3-F	S
1.172	5-Cl	H	3-Cl	Br	6-Cl	3-Cl	S
1.173	6-Cl	4-Cl	2-Cl	Cl	6-Cl	2-Cl	S
1.174	H	H	H	F	H	H	NH
1.175	H	H	2-Cl	F	H	H	NCH ₃
1.176	6-Cl	H	2-Cl	F	H	H	NC ₂ H ₅
1.177	H	H	2-F	F	H	H	NH
1.178	H	H	2-F	Cl	H	H	NC ₆ H _{13-n}
1.179	5-Cl	H	3-Cl	Cl	H	H	NH
1.180	6-Cl	4-Cl	2-Cl	Cl	H	H	NH
1.181	H	H	2-F	Cl	5-F	3-F	NCH ₃
1.182	5-Cl	H	3-Cl	F	6-Cl	3-Cl	NC ₂ H ₅
1.183	6-Cl	4-Cl	2-Cl	F	6-Cl	2-Cl	NC ₂ H ₅
1.184	H	4-CH ₃	H	F	H	H	NH
1.185	H	4-CF ₃	H	F	H	H	NC ₄ H _{9-n}
1.186	H	3-NO ₂	H	Cl	H	H	NCH ₃
1.187	4-CH ₃	3-CH ₃	H	Cl	H	H	NH
1.188	H	2-NO ₂	H	Cl	H	H	NH

1.189	H	4-OCH ₃	H	Br	H	H	NCH ₃
1.190	H	4-CN	H	Cl	H	H	NCH ₃
1.191	H	4-F	H	Br	H	H	NC ₂ H ₅
1.192	H	4-t-butyl	H	Cl	H	H	NH
1.193	H	4-CH ₃	H	Cl	H	3-Cl	NCH ₃
1.194	H	4-CF ₃	H	F	H	3-F	NH
1.195	H	3-NO ₂	H	F	5-F	3-F	NCH ₃
1.196	4-CH ₃	3-CH ₃	H	F	H	2-Cl	NH
1.197	H	2-NO ₂	H	Ph	H	2-F	NC ₂ H ₅
1.198	H	4-OCH ₃	H	Ph	H	2-CH ₃	NC ₃ H _{7-n}
1.199	H	4-CN	H	Ph	6-Cl	2-Cl	NCH ₃
1.200	H	4-F	H	Ph	5-F	2-F	NCH ₃
1.201	H	4-t-butyl	H	Ph	H	3-CH ₃	NH
1.202	H	H	6-Ph	CH ₃	H	H	O
1.203	H	H	6-Ph	CH ₃	H	H	S
1.204	3-Cl	5-Cl	H	CH ₃	H	H	O
1.205	3-Cl	5-Cl	H	CH ₃	H	H	S
1.206	H	4-C ₄ H ₉ -t	H	CH ₃	H	H	O
1.207	H	4-C ₄ H ₉ -t	H	CH ₃	H	H	S

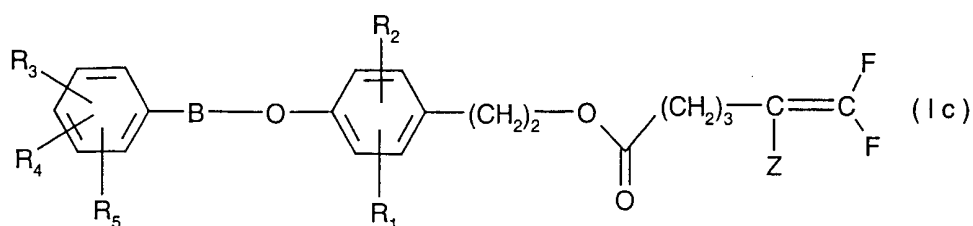
Table 2: Compounds of formula Ib:



No.	R ₅	Z	m	R ₁	R ₂	A	physical constant
2.01	H	CH ₃	2	H	H	O	
2.02	2-Cl	CH ₃	3	H	H	O	
2.03	2-Cl	CH ₃	1	H	H	O	
2.04	2-F	CH ₃	2	H	H	O	
2.05	2-F	CH ₃	1	H	H	O	
2.06	3-Cl	CH ₃	2	H	H	O	
2.07	2-Cl	CH ₃	3	H	H	O	
2.08	H	CH ₃	1	H	3-Cl	O	
2.09	2-Cl	CH ₃	1	H	3-Cl	O	
2.10	2-Cl	CH ₃	3	5-Cl	3-Cl	O	
2.11	2-F	CH ₃	3	H	3-F	O	
2.12	2-F	CH ₃	2	5-F	3-F	O	
2.13	3-Cl	CH ₃	3	6-Cl	3-Cl	O	
2.14	2-Cl	CH ₃	2	6-Cl	2-Cl	O	
2.15	H	C ₂ H ₅	2	H	H	O	
2.16	H	C ₂ H ₅	2	H	H	S	
2.17	H	CH ₃	2	H	H	NCH ₃	
2.18	H	CH ₃	2	H	3-Cl	NH	

2.19	H	CH ₃	2	H	3-F	S
2.20	H	CH ₃	2	5-F	3-F	S
2.21	H	C ₂ H ₅	2	H	2-Cl	S
2.22	H	C ₂ H ₅	2	H	H	O
2.23	2-Cl	C ₃ H _{7-n}	2	H	H	O

Table 3: Compounds of formula Ic



No.	R ₃	R ₄	R ₅	Z	R ₁	R ₂	-B-O-	physical constant
3.01	H	H	H	CH ₃	H	H	OC(O)O	
3.02	H	H	2-Cl	CH ₃	H	H	OC(O)O	
3.03	6-Cl	H	2-Cl	CH ₃	H	H	OC(O)O	
3.04	H	H	2-F	CH ₃	H	H	OC(O)O	
3.05	H	H	2-F	CH ₃	H	H	OC(O)O	
3.06	H	H	3-Cl	CH ₃	H	H	OC(O)O	
3.07	6-Cl	4-Cl	2-Cl	CH ₃	H	H	OC(O)O	
3.08	H	H	H	CH ₃	H	3-Cl	OC(O)O	
3.09	H	H	2-Cl	CH ₃	H	3-Cl	OC(O)O	
3.10	6-Cl	H	2-Cl	CH ₃	5-Cl	3-Cl	OC(O)O	
3.11	H	H	2-F	CH ₃	H	3-F	OC(O)O	
3.12	H	H	2-F	CH ₃	5-F	3-F	OC(O)O	
3.13	5-Cl	H	3-Cl	CH ₃	6-Cl	3-Cl	OC(O)O	

3.14	6-Cl	4-Cl	2-Cl	CH ₃	6-Cl	2-Cl	OC(O)O
3.15	H	4-CH ₃	H	CH ₃	H	H	OC(O)O
3.16	H	H	3-CF ₃	CH ₃	H	H	OC(O)O
3.17	H	3-NO ₂	H	CH ₃	H	H	OC(O)O
3.18	4-CH ₃	3-CH ₃	H	CH ₃	H	H	OC(O)O
3.19	H	2-NO ₂	H	CH ₃	H	H	OC(O)O
3.20	H	4-OCH ₃	H	CH ₃	H	H	OC(O)O
3.21	H	4-CN	H	CH ₃	H	H	OC(O)O
3.22	5-Cl	H	3-Cl	CH ₃	H	H	OC(O)O
3.23	H	4-t-butyl	H	CH ₃	H	H	OC(O)O
3.24	H	4-CH ₃	H	CH ₃	H	3-Cl	OC(O)O
3.25	H	4-CF ₃	H	CH ₃	H	3-F	OC(O)O
3.26	H	3-NO ₂	H	CH ₃	5-F	3-F	OC(O)O
3.27	4-CH ₃	3-CH ₃	H	CH ₃	H	2-Cl	OC(O)O
3.28	H	2-NO ₂	H	CH ₃	H	2-F	OC(O)O
3.29	H	4-OCH ₃	H	CH ₃	H	2-CH ₃	OC(O)O
3.30	H	4-CN	H	CH ₃	6-Cl	2-Cl	OC(O)O
3.31	H	4-F	H	CH ₃	5-F	2-F	OC(O)O
3.32	H	4-t-butyl	H	CH ₃	H	3-CH ₃	OC(O)O
3.33	H	H	H	C ₂ H ₅	H	H	OC(O)O
3.34	H	H	2-Cl	C ₃ H _{7-n}	H	H	OC(O)O
3.35	6-Cl	H	2-Cl	C ₂ H ₅	H	H	OC(O)O
3.36	H	H	2-F	C ₂ H ₅	H	H	OC(O)O
3.37	H	H	2-F	C ₃ H _{7-i}	H	H	OC(O)O
3.38	5-Cl	H	3-Cl	C ₄ H _{9-n}	H	H	OC(O)O

3.39	6-Cl	4-Cl	2-Cl	C ₄ H ₉ -s	H	H	OC(O)O
3.40	H	H	H	C ₂ H ₅	H	3-Cl	OC(O)O
3.41	H	H	2-Cl	C ₃ H ₇ -n	H	3-Cl	OC(O)O
3.42	6-Cl	H	2-Cl	C ₅ H ₁₀ -n	5-Cl	3-Cl	OC(O)O
3.43	H	H	2-F	C ₆ H ₁₁ -n	H	3-F	OC(O)O
3.44	H	H	2-F	C ₂ H ₅	5-F	3-F	OC(O)O
3.45	5-Cl	H	3-Cl	C ₄ H ₉ -n	6-Cl	3-Cl	OC(O)O
3.46	6-Cl	4-Cl	2-Cl	C ₆ H ₁₁ -n	6-Cl	2-Cl	OC(O)O
3.47	H	4-CH ₃	H	C ₃ H ₇ -n	H	H	OC(O)O
3.48	H	4-CF ₃	H	C ₂ H ₅	H	H	OC(O)O
3.49	H	3-NO ₂	H	C ₂ H ₅	H	H	OC(O)O
3.50	4-CH ₃	3-CH ₃	H	C ₂ H ₅	H	H	OC(O)O
3.51	H	2-NO ₂	H	C ₃ H ₇ -i	H	H	OC(O)O
3.52	H	4-OCH ₃	H	C ₃ H ₇ -n	H	H	OC(O)O
3.53	H	4-CN	H	C ₄ H ₉ -n	H	H	OC(O)O
3.54	H	4-F	H	C ₄ H ₉ -s	H	H	OC(O)O
3.55	H	4-t-butyl	H	C ₆ H ₁₁ -n	H	H	OC(O)O
3.56	H	4-CH ₃	H	C ₂ H ₅	H	3-Cl	OC(O)O
3.57	H	4-CF ₃	H	C ₂ H ₅	H	3-F	OC(O)O
3.58	H	3-NO ₂	H	C ₅ H ₁₀ -n	5-F	3-F	OC(O)O
3.59	4-CH ₃	3-CH ₃	H	C ₃ H ₇ -n	H	2-Cl	OC(O)O
3.60	H	2-NO ₂	H	C ₄ H ₉ -n	H	2-F	OC(O)O
3.61	H	4-OCH ₃	H	C ₂ H ₅	H	2-CH ₃	OC(O)O
3.62	H	4-CN	H	C ₄ H ₉ -t	6-Cl	2-Cl	OC(O)O
3.63	H	4-F	H	C ₄ H ₉ -s	5-F	2-F	OC(O)O

3.64	H	4-t-butyl	H	C ₃ H ₇ -i	H	3-CH ₃	OC(O)O
3.65	H	H	H	C ₂ H ₅	H	H	C(NH)O-
3.66	H	H	2-Cl	C ₃ H ₇ -n	H	H	C(NH)O-
3.67	6-Cl	H	2-Cl	C ₂ H ₅	H	H	C(NH)O-
3.68	H	H	2-F	C ₂ H ₅	H	H	C(NH)O-
3.69	H	H	2-F	C ₃ H ₇ -i	H	H	C(NH)O-
3.70	5-Cl	H	3-Cl	C ₄ H ₉ -n	H	H	C(NH)O-
3.71	H	H	H	C ₂ H ₅	H	3-Cl	C(NH)O-
3.72	H	H	2-Cl	C ₃ H ₇ -n	H	3-Cl	C(NH)O-
3.73	6-Cl	H	2-Cl	C ₅ H ₁₀ -n	5-Cl	3-Cl	C(NH)O-
3.74	H	H	2-F	C ₆ H ₁₁ -n	H	3-F	C(NH)O-
3.75	H	H	2-F	C ₂ H ₅	5-F	3-F	C(NH)O-
3.76	5-Cl	H	3-Cl	C ₄ H ₉ -n	6-Cl	3-Cl	C(NH)O-
3.77	6-Cl	4-Cl	2-Cl	C ₆ H ₁₁ -n	6-Cl	2-Cl	C(NH)O-
3.78	H	4-CH ₃	H	C ₃ H ₇ -n	H	H	C(NH)O-
3.79	H	4-CF ₃	H	C ₂ H ₅	H	H	C(NH)O-
3.80	H	3-NO ₂	H	C ₂ H ₅	H	H	C(NH)O-
3.81	4-CH ₃	3-CH ₃	H	C ₂ H ₅	H	H	C(NH)O-
3.82	H	2-NO ₂	H	C ₃ H ₇ -i	H	H	C(NH)O-
3.83	H	4-OCH ₃	H	C ₃ H ₇ -n	H	H	C(NH)O-
3.84	H	4-CN	H	C ₄ H ₉ -n	H	H	C(NH)O-
3.85	H	4-F	H	C ₄ H ₉ -s	H	H	C(NH)O-
3.86	H	4-t-butyl	H	C ₆ H ₁₁ -n	H	H	C(NH)O-
3.87	H	4-CH ₃	H	C ₂ H ₅	H	3-Cl	C(NH)O-
3.88	H	4-CF ₃	H	C ₂ H ₅	H	3-F	C(NH)O-

3.89	H	3-NO ₂	H	C ₅ H _{10-n}	5-F	3-F	C(NH)O-
3.90	4-CH ₃	3-CH ₃	H	C ₃ H _{7-n}	H	2-Cl	C(NH)O-
3.91	H	2-NO ₂	H	C ₄ H _{9-n}	H	2-F	C(NH)O-
3.92	H	4-OCH ₃	H	C ₂ H ₅	H	2-CH ₃	C(NH)O-
3.93	H	4-CN	H	C ₄ H _{9-t}	6-Cl	2-Cl	C(NH)O-
3.94	H	4-F	H	C ₄ H _{9-s}	5-F	2-F	C(NH)O-
3.95	H	4-t-butyl	H	C ₃ H _{7-i}	H	3-CH ₃	C(NH)O-
3.96	H	H	H	CH ₃	H	H	C(NH)O-
3.97	H	H	2-Cl	CH ₃	H	H	C(NH)O-
3.98	6-Cl	H	2-Cl	CH ₃	H	H	C(NH)O-
3.99	H	H	2-F	CH ₃	H	H	C(NH)O-
3.100	H	H	2-F	CH ₃	H	H	C(NH)O-
3.101	5-Cl	H	3-Cl	CH ₃	H	H	C(NH)O-
3.102	6-Cl	4-Cl	2-Cl	CH ₃	H	H	C(NH)O-
3.103	H	H	H	CH ₃	H	3-Cl	C(NH)O-
3.104	H	H	2-Cl	CH ₃	H	3-Cl	C(NH)O-
3.105	6-Cl	H	2-Cl	CH ₃	5-Cl	3-Cl	C(NH)O-
3.106	H	H	2-F	CH ₃	H	3-F	C(NH)O-
3.107	H	H	2-F	CH ₃	5-F	3-F	C(NH)O-
3.108	5-Cl	H	3-Cl	CH ₃	6-Cl	3-Cl	C(NH)O-
3.109	6-Cl	4-Cl	2-Cl	CH ₃	6-Cl	2-Cl	C(NH)O-
3.110	H	4-CH ₃	H	CH ₃	H	H	C(NH)O-
3.111	H	4-CF ₃	H	CH ₃	H	H	C(NH)O-
3.112	H	3-NO ₂	H	CH ₃	H	H	C(NH)O-
3.113	4-CH ₃	3-CH ₃	H	CH ₃	H	H	C(NH)O-

3.114	H	2-NO ₂	H	CH ₃	H	H	C(NH)O-
3.115	H	4-OCH ₃	H	CH ₃	H	H	C(NH)O-
3.116	H	4-CN	H	CH ₃	H	H	C(NH)O-
3.117	H	4-F	H	CH ₃	H	H	C(NH)O-
3.118	H	4-t-butyl	H	CH ₃	H	H	C(NH)O-
3.119	H	4-CH ₃	H	CH ₃	H	3-Cl	C(NH)O-
3.120	H	4-CF ₃	H	CH ₃	H	3-F	C(NH)O-
3.121	H	3-NO ₂	H	CH ₃	5-F	3-F	C(NH)O-
3.122	4-CH ₃	3-CH ₃	H	CH ₃	H	2-Cl	C(NH)O-
3.123	H	2-NO ₂	H	CH ₃	H	2-F	C(NH)O-
3.124	H	4-OCH ₃	H	CH ₃	H	2-CH ₃	C(NH)O-
3.125	H	4-CN	H	CH ₃	6-Cl	2-Cl	C(NH)O-
3.126	H	4-F	H	CH ₃	5-F	2-F	C(NH)O-
3.127	H	4-t-butyl	H	CH ₃	H	3-CH ₃	C(NH)O-
3.128	H	H	H	F	H	H	OC(O)O
3.129	H	H	2-Cl	F	H	H	OC(O)O
3.130	6-Cl	H	2-Cl	F	H	H	OC(O)O
3.131	H	H	2-F	F	H	H	OC(O)O
3.132	H	H	2-F	F	H	H	OC(O)O
3.133	5-Cl	H	3-Cl	F	H	H	OC(O)O
3.134	6-Cl	4-Cl	2-Cl	F	H	H	OC(O)O
3.135	H	H	H	F	H	3-Cl	OC(O)O
3.136	H	H	2-Cl	F	H	3-Cl	OC(O)O
3.137	6-Cl	H	2-Cl	F	5-Cl	3-Cl	OC(O)O
3.138	H	H	2-F	F	H	3-F	OC(O)O

3.139	H	H	2-F	F	5-F	3-F	OC(O)O
3.140	5-Cl	H	3-Cl	F	6-Cl	3-Cl	OC(O)O
3.141	6-Cl	4-Cl	2-Cl	F	6-Cl	2-Cl	OC(O)O
3.142	H	4-CH ₃	H	F	H	H	OC(O)O
3.143	H	4-CF ₃	H	F	H	H	OC(O)O
3.144	H	3-NO ₂	H	F	H	H	OC(O)O
3.145	4-CH ₃	3-CH ₃	H	F	H	H	OC(O)O
3.146	H	2-NO ₂	H	F	H	H	OC(O)O
3.147	H	4-OCH ₃	H	F	H	H	OC(O)O
3.148	H	4-CN	H	F	H	H	OC(O)O
3.148	H	4-F	H	F	H	H	OC(O)O
3.150	H	4-t-butyl	H	F	H	H	OC(O)O
3.151	H	4-CH ₃	H	F	H	3-Cl	OC(O)O
3.152	H	4-CF ₃	H	F	H	3-F	OC(O)O
3.153	H	3-NO ₂	H	F	5-F	3-F	OC(O)O
3.154	4-CH ₃	3-CH ₃	H	F	H	2-Cl	OC(O)O
3.155	H	2-NO ₂	H	F	H	2-F	OC(O)O
3.156	H	4-OCH ₃	H	F	H	2-CH ₃	OC(O)O
3.157	H	4-CN	H	F	6-Cl	2-Cl	OC(O)O
3.158	H	4-F	H	F	5-F	2-F	OC(O)O
3.159	H	4-t-butyl	H	F	H	3-CH ₃	OC(O)O
3.160	H	H	H	Cl	H	H	OC(O)O
3.161	H	H	2-Cl	Cl	H	H	OC(O)O
3.162	6-Cl	H	2-Cl	Cl	H	H	OC(O)O
3.163	H	H	2-F	Br	H	H	OC(O)O

3.164	H	H	2-F	Cl	H	H	OC(O)O
3.165	5-Cl	H	3-Cl	Br	H	H	OC(O)O
3.166	6-Cl	4-Cl	2-Cl	Cl	H	H	OC(O)O
3.167	H	H	H	Cl	H	3-Cl	C(NH)O-
3.168	H	H	2-Cl	Cl	H	3-Cl	C(NH)O-
3.169	6-Cl	H	2-Cl	Cl	5-Cl	3-Cl	C(NH)O-
3.170	H	H	2-F	Br	H	3-F	C(NH)O-
3.171	H	H	2-F	Cl	5-F	3-F	C(NH)O-
3.172	5-Cl	H	3-Cl	Br	6-Cl	3-Cl	C(NH)O-
3.173	6-Cl	4-Cl	2-Cl	Cl	6-Cl	2-Cl	C(NH)O-

It has since been possible to confirm the excellent insecticidal and acaricidal action of the substances disclosed in EP-0 577 555 in *in vitro* tests and *in vivo* also in the field of plant protection. By contrast, however, the use, suggested speculatively in EP-0 577 555, of the substances described therein for the protection of domestic animals and productive livestock has proved to be substantially inappropriate because the compounds trigger unacceptable side-effects when administered to productive livestock and domestic animals. The side-effects range from serious skin irritations and necroses to the death of the animals treated. That class of substance therefore appears to be completely unsuitable for use in the field of animal health.

Surprisingly, however, there has been found within that huge class of substances a relatively small specific group of structurally closely related new compounds, namely the compounds of formula I defined at the outset, which does not cause those negative side-effects but, on the contrary, is excellently tolerated by productive livestock and domestic animals even in relatively large amounts and still has excellent activity against insects and representatives of the family of Acarina (arachnids, especially mites and ticks). These unforeseeable advantageous effects on warm-blooded animals could not have been foreseen in any way and, when applied to the field of animal health, can be exploited

excellently in the control of ectoparasites. The advantageous effect is clearly associated with the specific chemical structure.

In the context of the present invention, ectoparasites are understood to mean in particular insects, mites and ticks. Included are insects of the following orders: *Lepidoptera*, *Coleoptera*, *Homoptera*, *Heteroptera*, *Diptera*, *Thysanoptera*, *Orthoptera*, *Psoroptes*, *Anoplura*, *Siphonaptera*, *Mallophaga*, *Thysanura*, *Isoptera*, *Psocoptera* and *Hymenoptera*. Special mention may be made, however, of ectoparasites that trouble humans and animals and transmit pathogens, for example flies, such as *Musca domestica*, *Musca vetustissima*, *Musca autumnalis*, *Fannia canicularis*, *Sarcophaga carnaria*, *Lucilia cuprina*, *Hypoderma bovis*, *Hypoderma lineatum*, *Chrysomya chloropyga*, *Dermatobia hominis*, *Cochliomyia hominivorax*, *Gasterophilus intestinalis*, *Oestrus ovis*, *Stomoxys calcitrans*, *Haematobia irritans* and midges (*Nematocera*), such as *Culicidae*, *Simuliidae*, *Psychodidae*, and also bloodsucking parasites, for example fleas, such as *Ctenocephalides felis* and *Ctenocephalides canis* (cat and dog fleas), *Xenopsylla cheopis*, *Pulex irritans*, *Dermatophilus penetrans*, lice, such as *Damalina ovis*, *Pediculus humanis*, stable flies and horse flies (*Tabanidae*), *Haematopota* spp., such as *Haematopota pluvialis*, *Tabanidea* spp., such as *Tabanus nigrovittatus*, *Chrysopsinae* spp., such as *Chrysops caecutiens*, tsetse flies, such as *Glossinia* species, biting insects, especially cockroaches, such as *Blatella germanica*, *Blatta orientalis*, *Periplaneta americana*, mites, such as *Dermanyssus gallinae*, *Sarcoptes scabiei*, *Psoroptes ovis* and *Psorergates* spp. and finally ticks. The latter belong to the order *Acarina*. Known examples of ticks are, for example, *Boophilus*, *Amblyomma*, *Anocentor*, *Dermacentor*, *Haemaphysalis*, *Hyalomma*, *Ixodes*, *Rhipicentor*, *Margaropus*, *Rhipicephalus*, *Argas*, *Otobius* and *Ornithodoros* and the like that preferentially infest warm-blooded animals, including farm animals, such as cows, pigs, sheep and goats, poultry, such as hens, turkeys and geese, animals bred for their fur, such as mink, fox, chinchillas, rabbits and the like, and domestic animals, such as cats and dogs, but also humans.

Ticks may be subdivided into hard and soft ticks and are characterised by the fact that they infest one, two or three host animals. They attach themselves to a suitable host animal and suck blood or body fluid. Fully replete female ticks fall off the host animal and lay large amounts of eggs (2000 to 3000) in a suitable niche in the ground or in any other protected

site, where the larvae hatch out. These in turn look for a host animal from which to suck blood. Larvae of ticks that infest only one host animal moult twice and in so doing become nymphs and finally adult ticks without leaving the host animal initially selected. Larvae of ticks that infest two or three host animals fall off after the blood meal, moult in the surrounding area and, as nymphs or adult ticks, look for a second or third host on which to suck.

Ticks are responsible worldwide for carrying and transmitting many human and animal diseases. The most significant ticks, owing to their economic effect, are *Boophilus*, *Rhipicephalus*, *Ixodes*, *Hyalomma*, *Amblyomma* and *Dermacentor*. They are carriers of bacterial, viral, rickettsial and protozoal diseases and cause tick paralysis and tick toxicosis. Just a single tick can cause paralysis as a result of its saliva penetrating the host animal as the tick takes its nourishment. Diseases triggered by ticks are usually carried by ticks that infest a plurality of host animals. Such diseases, for example babesiosis, anaplasmosis and theileriosis, and pericardial fluid are responsible for the death of, or injury to, a large number of domestic and farm animals worldwide. In many countries having a temperate climate, ixodid ticks transmit the agent of chronically damaging Lyme disease from wild animals to humans. In addition to disease transmission, ticks are responsible for large-scale economic loss in livestock production. The losses are attributable not only to the death of the host animals but also to damage to the coats, growth loss, reduction in milk production and the reduced value of the meat. Although the damaging effects of tick infestation on animals have been known for years and enormous progress has been made with tick-control programmes, no completely satisfactory methods for the control or elimination of those parasites have hitherto been found and, furthermore, ticks have often developed resistance to chemical active substances.

The infestation of domestic animals and pets with fleas also still constitutes a problem for animal owners to which the solution is still only inadequate or very expensive. Like ticks, fleas are not only a nuisance but are also disease carriers that, especially in moist-warm climatic regions, for example in the Mediterranean region, the southern part of the USA, etc., transmit various fungal diseases from host animal to host animal and to the animal keeper. Especially at risk are people having a weakened immune system or children whose immune system has not yet fully developed. Owing to the flea's complex life cycle, none of

the known methods of controlling them is totally satisfactory, especially since most of the known methods are aimed principally at controlling the fully grown fleas in the coat, and take no account at all of the various juvenile stages of the fleas, which live not only in the animal's coat, but also on the floor, on carpets, on the animal's sleeping place, on chairs, in the garden and in all the other places with which the infested animal comes into contact. Flea treatment is generally expensive and must be continued for prolonged periods, success generally being achieved only when the treatment is applied not only to the affected animal, for example the dog or cat, but also simultaneously to all the places frequented by the affected animal. The compounds of formula I are suitable both for the direct treatment of the animal and for the disinfection of its environment and, owing to the fact that it is well tolerated, also for the treatment of the animal's sleeping place.

The present invention accordingly preferably relates to a method of controlling parasites on or in domestic animals, productive livestock and pets, which method comprises administering an effective amount of a composition that comprises at least one compound of formula I or a physiologically tolerable salt thereof, preferably topically, to an infested warm-blooded animal for curative treatment or to a parasite-free warm-blooded animal for preventative treatment.

Pour-on and spot-on formulations are especially preferred forms of topical administration, but administration in the form of sprays, ointments, solutions, baths or powders may also be expedient.

When used according to the invention, the compound of formula I according to the invention will normally be administered not in pure form but preferably in the form of a composition that comprises, in addition to the active ingredient, constituents that assist administration, suitable constituents being those which are tolerated by the host animal. The control according to the invention covers both the adult parasites and the juvenile stages of the parasites. Further active substances may of course be added to such compositions in order to broaden the spectrum of activity of the active ingredient.

Such compositions to be administered in accordance with the invention generally comprise from 0.1 to 99% by weight, especially from 0.1 to 95% by weight, of a compound of

formula I according to the invention and from 99.9 to 1% by weight, especially from 99.9 to 5% by weight, of a solid or liquid, physiologically tolerable carrier, including from 0 to 25% by weight, especially from 0.1 to 25% by weight, of a non-toxic dispersant. Whereas commercial products will preferably be formulated as concentrates, the end user will normally employ dilute formulations.

Such compositions may comprise further additives, such as stabilisers, antifoams, viscosity regulators, binders and tackifiers, as well as other active ingredients for obtaining special effects.

The physiologically tolerable carriers known from veterinary medicinal practice for oral, percutaneous and topical administration can be used as formulation auxiliaries. Some examples are given below.

Suitable carriers are especially fillers, such as sugars, for example lactose, saccharose, mannitol or sorbitol, cellulose preparations and/or calcium phosphates, for example tricalcium phosphate or calcium hydrogen phosphate, and binders, such as starch pastes using, for example, maize, wheat, rice or potato starch, gelatins, tragacanth, methyl cellulose and/or, if desired, disintegrators, such as the above-mentioned starches, also carboxymethyl starch, crosslinked polyvinylpyrrolidone, agar, alginic acid or a salt thereof, such as sodium alginate. Adjuvants are especially flow regulators and lubricants, for example silicic acid, talc, stearic acid or salts thereof, such as magnesium or calcium stearate, and/or polyethylene glycol. Dragée cores can be provided with suitable, optionally enteric, coatings, there being used, *inter alia*, concentrated sugar solutions which may comprise gum arabic, talc, polyvinylpyrrolidone, polyethylene glycol and/or titanium dioxide, or coating solutions in suitable organic solvents or solvent mixtures or, for the preparation of enteric coatings, solutions of suitable cellulose preparations, such as acetyl cellulose phthalate or hydroxypropylmethylcellulose phthalate. Dyes, flavourings or pigments may be added to the tablets or dragée coatings, for example for identification purposes or to indicate different doses of active ingredient.

The preferred pour-on or spot-on method comprises applying the compound of formula I to a locally defined area of the skin or coat, advantageously on the back of the neck or the

backbone of the animal. This is carried out, for example, by applying a swab or squirt of the pour-on or spot-on formulation to a relatively small area of the coat from where the active ingredient becomes distributed over a wide area of the coat almost automatically, as a result of the spreading constituents of the formulation and assisted by the movements of the animal.

Pour-on and spot-on formulations advantageously comprise carriers that promote rapid distribution over the surface of the skin or in the coat of the host animal and are generally termed spreading oils. There are suitable, for example, oily solutions; alcoholic and isopropanolic solutions, e.g. solutions of 2-octyldodecanol or oleyl alcohol; solutions in esters of monocarboxylic acids, such as isopropyl myristate, isopropyl palmitate, lauric acid oxalic ester, oleic acid oleyl ester, oleic acid decyl ester, hexyl laurate, oleyl oleate, decyl oleate, capric acid esters of saturated fatty alcohols of chain length C_{12} - C_{18} ; solutions of esters of dicarboxylic acids, such as dibutyl phthalate, diisopropyl isophthalate, adipic acid diisopropyl ester, di-n-butyl adipate or solutions of esters of aliphatic acids, e.g. glycols. It may be advantageous for a dispersant known from the pharmaceutical or cosmetic industry also to be present. Examples are pyrrolidin-2-one, N-alkylpyrrolidin-2-one, acetone, polyethylene glycol and its ethers and esters, propylene glycol and synthetic triglycerides.

The oily solutions include e.g. vegetable oils, such as olive oil, groundnut oil, sesame oil, pine oil, linseed oil and castor oil. The vegetable oils may also be in epoxidised form. It is also possible to use paraffins and silicone oils.

Generally a pour-on or spot-on formulation will contain from 1 to 20% by weight of a compound of formula (I), from 0.1 to 50% by weight of dispersant and from 45 to 98.9% by weight of solvent.

The pour-on or spot-on method can be used especially advantageously for herd animals, such as cattle, horses, sheep and pigs, where it is difficult or time-consuming to treat all the animals orally or *via* injection. By virtue of its simplicity, this method can of course also be used for all other animals, including individual domestic animals and pets, and is very popular with the keepers of animals because it can often be carried out without the expert assistance of a veterinary surgeon.

The preparations of the present invention can be prepared in a manner known *per se*, for example by means of conventional processes.

The following Examples and patent claims illustrate the invention described above but do not limit its scope in any way. Temperatures are given in degrees Celsius. In the following Formulation Examples, the expression "compound of formula I" denotes a compound from the Tables, especially 4-methyl-5,5-difluoropent-4-enoic acid 2-[[4-(4-trifluoromethyl-phenoxy)phenoxy}ethyl ester.

Formulation Examples

Example: Pour-on

A.

compound of formula I	10%
epoxidised soybean oil	5%
oleyl alcohol	85%

B.

compound of formula I	20%
pyrrolidin-2-one	15%
isopropyl myristate	65%

C.

compound of formula I	5 g
isopropyl myristate	10 g
isopropanol	ad 100 ml

D.

compound of formula I	2 g
hexyl laurate	5 g
medium-chain triglycerides	15 g
ethanol	ad 100 ml

E.

compound of formula I	2 g
oleyl oleate	5 g
N-methylpyrrolidone	40 g
isopropanol	ad 100 ml

The constituents are mixed and ground with one another until homogeneous.

Suspension concentrates:

compound of formula I :	from 5 to 75 %, preferably from 10 to 50 %
water:	from 94 to 24 %, preferably from 88 to 30 %
surfactant:	from 1 to 40 %, preferably from 2 to 30 %

Further biologically active substances or additives that behave neutrally towards the compounds of formula I and have no detrimental effect upon the host animal to be treated, as well as mineral salts or vitamins may be added to the compositions described.

The compositions may also comprise further additives, such as stabilisers, e.g. vegetable oils or epoxidised vegetable oils (epoxidised coconut oil, rape oil or soybean oil), antifoams, e.g. silicone oil, preservatives, viscosity regulators, binders and tackifiers, as well as fertilisers, or other active ingredients for obtaining special effects.

Further preparations comprising active ingredients of formula I can also be prepared analogously to the formulations described.

The compounds of formula I according to the invention can be used alone or in combination with other biocides. For example, in order to enhance their effect they can be combined with pesticides having the same direction of action or in order to broaden the spectrum of activity they can be combined with substances having a different direction of action. It may also be advantageous to add repellents. Where it is desired to broaden the spectrum of activity to endoparasites, e.g. worms, the compounds of formula I are advantageously combined with substances having endoparasitocidal properties. They can, of course, also be used in combination with anti-bacterial compositions. Since the compounds of formula I are

"adulticides", that is to say they are effective especially against the fully grown stages of the target parasites, it can be highly advantageous to add pesticides that attack the juvenile stages of the parasite as well. In that manner most of the parasites causing substantial economic damage are targeted. This also helps considerably in avoiding the development of resistance. Some combinations can also produce synergistic effects, which means that the total amount of active substance used can be reduced, which is desirable from the ecological standpoint. Preferred groups of combination partners and especially preferred combination partners are mentioned hereinbelow, it being possible for combinations to comprise, in addition to a compound of formula I, one or more such partners.

Suitable mixing partners are biocides, such as the insecticides and acaricides mentioned hereinbelow that are sufficiently well known to the person skilled in the art and that have various action mechanisms, e.g. chitin synthesis inhibitors, growth regulators; active ingredients that act like juvenile hormones; active ingredients that act as adulticides; broad-spectrum insecticides, broad-spectrum acaricides and nematocides; and also the sufficiently well known anthelmintics and substances that repel insects and/or acarina, so-called repellents and detachers.

Non-limiting examples of suitable insecticides and acaricides are:

(I) aldicarb;	(XVI) diflubenzuron;	(XXXI) parathion-methyl;
(II) azinphos-methyl;	(XVII) endosulfan;	(XXXII) phosalone;
(III) benfuracarb;	(XVIII) ethiofencarb;	(XXXIII) pirimicarb;
(IV) bifenthrin;	(XIX) fenitrothion;	(XXXIV) propoxur;
(V) buprofezin;	(XX) fenobucarb;	(XXXV) teflubenzuron;
(VI) carbofuran;	(XXI) fenvalerate;	(XXXVI) terbufos;
(VII) dibutylaminothio;	(XXII) formothion;	(XXXVII) triazamate;
(VIII) cartap;	(XXIII) methiocarb;	(XXXVIII) abamectin;
(IX) chlorfluazuron;	(XXIV) heptenophos;	(XXXIX) fenobucarb;
(X) chlorpyrifos;	(XXV) imidacloprid;	(XL) tebufenozide;
(XI) cyfluthrin;	(XXVI) isoprocarb;	(XLI) fipronil;
(XII) lambda-cyhalothrin;	(XXVII) methamidophos;	(XLII) beta-cyfluthrin;
(XIII) alpha-cypermethrin;	(XXVIII) methomyl;	(XLIII) silafluofen;
(XIV) zeta-cypermethrin;	(XXIX) mevinphos;	(XLIV) fenpyroximate;
(XV) deltamethrin;	(XXX) parathion;	(XLV) pyridaben;

- | | | |
|--|---------------------------|-------------------------|
| (XLVI) fenazaquin; | (XLVIII) pyrimidifen; | (L) NI-25, acetamiprid; |
| (XLVII) pyriproxyfen; | (XLIX) nitenpyram; | |
| (LI) avermectin B ₁ ; | | |
| (LII) an insect-active extract from a plant; | | |
| (LIII) a preparation comprising insect-active nematodes; | | |
| (LIV) a preparation obtainable from <i>Bacillus subtilis</i> ; | | |
| (LV) a preparation comprising insect-active fungi; | | |
| (LVI) a preparation comprising insect-active viruses; | | |
| (LVII) AC 303 630; | (LXXXI) chlormephos; | (CVI) fenothiocarb; |
| (LVIII) acephate; | (LXXXII) cis-res-methrin; | (CVII) fenpropathrin; |
| (LIX) acrinathrin; | (LXXXIII) clocythrins; | (CVIII) fenpyrad; |
| (LX) alanycarb; | (LXXXIV) clofentezine; | (CIX) fenthion; |
| (LXI) alphamethrin; | (LXXXV) cyanophos; | (CX) fluazinam; |
| (LXII) amitraz; | (LXXXVI) cycloprothrin; | (CXI) flucycloxuron; |
| (LXIII) AZ 60541; | (LXXXVII) cyhexatin; | (CXII) flucythrinate; |
| (LXIV) azinphos A; | (LXXXVIII) demeton-M; | (CXIII) flufenoxuron; |
| (LXV) azinphos M; | (LXXXIX) demeton-S; | (CXIV) flufenprox; |
| (LXVI) azocyclotin; | (XC) demeton-S-methyl; | (CXV) fonophos; |
| (LXVII) bendiocarb; | (XCI) dichlofenthion; | (CXVI) fosthiazate; |
| (LXVIII) bensultap; | (XCII) dicliphos; | (CXVII) fubfenprox; |
| (LXIX) beta-cyfluthrin; | (XCIII) diethion; | (CXVIII) HCH; |
| (LXX) BPMC; | (XCIV) dimethoate; | (CXIX) hexaflumuron; |
| (LXXI) brofenprox; | (XCV) dimethylvinphos; | (CXX) hexythiazox; |
| (LXXII) bromophos A; | (XCVI) dioxathion; | (CXXI) iprobenfos; |
| (LXXIII) bufencarbe; | (XCVII) edifenphos; | (CXXII) isofenphos; |
| (LXXIV) butocarboxin; | (XCVIII) emamectin; | (CXXIII) isoxathion; |
| (LXXV) butylpyridaben; | (XCIX) esfenvalerate; | (CXXIV) ivermectin; |
| (LXXVI) cadusafos; | (C) ethion; | (CXXV) lambda- |
| (LXXVII) carbaryl; | (CI) ethofenprox; | cyhalothrin; |
| (LXXVIII) carbophen- | (CII) ethoprophos; | (CXXVI) malathion; |
| thion; | (CIII) etrimphos; | (CXXVII) mecarbam; |
| (LXXIX) chloethocarb; | (CIV) fenamiphos; | (CXXVIII) mesulfenphos; |
| (LXXX) chlorethoxyfos; | (CV) fenbutatine oxide; | (CXXIX) metaldehyde; |

(CXXX) metolcarb;	(CLXII) temephos;
(CXXXI) milbemectin;	(CLXIII) terbam;
(CXXXII) moxidectin;	(CLXIV) tetrachlor-
(CXXXIII) naled;	vinphos;
(CXXXIV) NC 184;	(CLXV) thiafenox;
(CXXXV) omethoate;	(CLXVI) thiodicarb;
(CXXXVI) oxamyl;	(CLXVII) thiofanox;
(CXXXVII) oxydeme-	(CLXVIII) thionazin;
thon M;	(CLXIX) thuringiensin;
(CXXXVIII) oxydeprofos;	(CLXX) tralomethrin;
(CXXXIX) permethrin;	(CLXXI) triarthen;
(CXL) phenthoate;	(CLXXII) triazophos;
(CXLI) phorate;	(CLXXIII) triazuron;
(CXLII) phosmet;	(CLXXIV) trichlorfon;
(CXLIII) phoxim;	(CLXXV) triflumuron;
(CXLIV) pirimiphos M;	(CLXXVI) trimethacarb;
(CXLV) pirimiphos A;	(CLXXVII) vamidothion;
(CXLVI) promecarb;	(CLXXVIII) xylylcarb;
(CXLVII) propaphos;	(CLXXIX) YI 5301/5302;
(CXLVIII) prothiofos;	(CLXXX) zetamethrin;
(CXLIX) prothoate;	(CLXXXI) DPX-MP062;
(CL) pyrachlophos;	(CLXXXII) RH-2485;
(CLI) pyridaphenthion;	(CLXXXIII) D 2341;
(CLII) pyresmethrin;	(CLXXXIV) XMC (3,5-xy-
(CLIII) pyrethrum;	lyl methylcarbamate),
(CLIV) RH 5992;	(CLXXXV) lufenuron
(CLV) salithion;	(CLXXXVI) fluazuron
(CLVI) sebufos;	(CLXXXVII) methoprene
(CLVII) sulfotep;	(CLXXXVIII) hydroprene
(CLVIII) sulprofos;	(CLXXXIX) fenoxycarb
(CLIX) tebufenpyrad;	(CXC) chlorfenapyr or
(CLX) tebupirimphos;	(CXCI) spinosad
(CLXI) tefluthrin;	(CXCII) thiamethoxam

Non-limiting examples of suitable anthelmintics are mentioned hereinbelow, a number of the examples thereof having, in addition to anthelmintic activity, also an insecticidal and acaricidal activity, some of them already being mentioned in the list above:

- (A1) praziquantel = 2-cyclohexylcarbonyl-4-oxo-1,2,3,6,7,11b-hexahydro-4H-pyrazino[2,1- α]isoquinoline
- (A2) closantel = 3,5-diiodo-N-[5-chloro-2-methyl-4-(α -cyano-4-chlorobenzyl)phenyl]salicyl-amide
- (A3) triclabendazole = 5-chloro-6-(2,3-dichlorophenoxy)-2-methylthio-1H-benzimidazole
- (A4) levamisole = L-(-)-2,3,5,6-tetrahydro-6-phenylimidazo[2,1b]thiazole
- (A5) mebendazole = (5-benzoyl-1H-benzimidazol-2-yl)carbamic acid methyl ester
- (A6) omphalotin = a macrocyclic fermentation product of the fungus *Omphalotus olearius* described in WO 97/20857
- (A7) abamectin = avermectin B1
- (A8) ivermectin = 22,23-dihydroavermectin B1
- (A9) moxidectin = 5-O-demethyl-28-deoxy-25-(1,3-dimethyl-1-butenyl)-6,28-epoxy-23-(methoxyimino)-milbemycin B
- (A10) doramectin = 25-cyclohexyl-5-O-demethyl-25-de(1-methylpropyl)-avermectin A1a
- (A11) milbemectin = mixture of milbemycin A3 and milbemycin A4
- (A12) milbemycinoxim = 5-oxime of milbemectin

Non-limiting examples of suitable repelling substances (repellents and detachers) are:

- (R1) DEET (N,N-diethyl-m-toluamide)
- (R2) KBR 3023 N-butyl-2-oxycarbonyl-(2-hydroxy)-piperidine
- (R3) cymiazole = N,-2,3-dihydro-3-methyl-1,3-thiazol-2-ylidene-2,4-xylylidene

The mentioned mixing partners are well known to persons skilled in the art. Most of them are described in various editions of the Pesticide Manual, The British Crop Protection Council, London, while others are described in various editions of The Merck Index, Merck & Co., Inc., Rahway, New Jersey, USA or in the patent literature. The following list is therefore restricted to a few references given by way of example.

- (I) 2-methyl-2-(methylthio)propionaldehyde O-methylcarbamoyloxime (aldicarb), from The Pesticide Manual, 11th Ed. (1997), The British Crop Protection Council, London, page 26;

- (II) *S*-(3,4-dihydro-4-oxobenzo[*d*]-[1,2,3]-triazin-3-ylmethyl) *O,O*-dimethyl phosphorodithioate (azinphos-methyl), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 67;
- (III) ethyl *N*-[2,3-dihydro-2,2-dimethylbenzofuran-7-yloxycarbonyl-(methyl)aminothio]-*N*-isopropyl- β -alaninate (benfuracarb), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 96;
- (IV) 2-methylbiphenyl-3-ylmethyl (*Z*)-(1*RS*)-*cis*-3-(2-chloro-3,3,3-trifluoroprop-1-enyl)-2,2-dimethylcyclopropanecarboxylate (bifenthrin), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 118;
- (V) 2-*tert*-butylimino-3-isopropyl-5-phenyl-1,3,5-thiadiazian-4-one (buprofezin), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 157;
- (VI) 2,3-dihydro-2,2-dimethylbenzofuran-7-yl methylcarbamate (carbofuran), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 186;
- (VII) 2,3-dihydro-2,2-dimethylbenzofuran-7-yl (dibutylaminothio)methylcarbamate (carbosulfan), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 188;
- (VIII) *S,S'*-(2-dimethylaminotrimethylene) bis(thiocarbamate) (cartap), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 193;
- (IX) 1-[3,5-dichloro-4-(3-chloro-5-trifluoromethyl-2-pyridyloxy)phenyl]-3-(2,6-difluorobenzoyl)-urea (chlorfluazuron), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 213;
- (X) *O,O*-diethyl *O*-3,5,6-trichloro-2-pyridyl phosphorothioate (chlorpyrifos), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 235;
- (XI) (*RS*)- α -cyano-4-fluoro-3-phenoxybenzyl (1*RS*,3*RS*;1*RS*,3*RS*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate (cyfluthrin), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 293;
- (XII) mixture of (*S*)- α -cyano-3-phenoxybenzyl (*Z*)-(1*R*,3*R*)-3-(2-chloro-3,3,3-trifluoropropenyl)-2,2-dimethylcyclopropanecarboxylate and (*R*)- α -cyano-3-phenoxybenzyl (*Z*)-(1*R*,3*R*)-3-(2-chloro-3,3,3-trifluoropropenyl)-2,2-dimethylcyclopropanecarboxylate

- (lambda-cyhalothrin), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 300;
- (XIII) racemate consisting of (*S*)- α -cyano-3-phenoxybenzyl (1*R*,3*R*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate and (*R*)- α -cyano-3-phenoxybenzyl (1*S*,3*S*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate (alpha-cypermethrin), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 308;
- (XIV) a mixture of the stereoisomers of (*S*)- α -cyano-3-phenoxybenzyl (1*RS*,3*RS*,1*RS*,3*RS*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate (zeta-cypermethrin), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 314;
- (XV) (*S*)- α -cyano-3-phenoxybenzyl (1*R*,3*R*)-3-(2,2-dibromovinyl)-2,2-dimethylcyclopropanecarboxylate (deltamethrin), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 344;
- (XVI) (4-chlorophenyl)-3-(2,6-difluorobenzoyl)urea (diflubenzuron), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 395;
- (XVII) (1,4,5,6,7,7-hexachloro-8,9,10-trinorborn-5-en-2,3-ylenebismethylene) sulfite (endosulfan), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 459;
- (XVIII) α -ethylthio-*o*-tolyl methylcarbamate (ethiofencarb), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 479;
- (XIX) *O,O*-dimethyl *O*-4-nitro-*m*-tolyl phosphorothioate (fenitrothion), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 514;
- (XX) 2-*sec*-butylphenyl methylcarbamate (fenobucarb), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 516;
- (XXI) (*RS*)- α -cyano-3-phenoxybenzyl (*RS*)-2-(4-chlorophenyl)-3-methylbutyrate (fenvalerate), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 539;
- (XXII) *S*-[formyl(methyl)carbamoylmethyl] *O,O*-dimethyl phosphorodithioate (formothion), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 625;
- (XXIII) 4-methylthio-3,5-xylyl methylcarbamate (methiocarb), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 813;

- (XXIV) 7-chlorobicyclo[3.2.0]hepta-2,6-dien-6-yl dimethylphosphate (heptenophos), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 670;
- (XXV) 1-(6-chloro-3-pyridylmethyl)-*N*-nitroimidazolidin-2-ylidenamine (imidacloprid), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 706;
- (XXVI) 2-isopropylphenyl methylcarbamate (isoprocarb), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 729;
- (XXVII) *O,S*-dimethyl phosphoramidothioate (methamidophos), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 808;
- (XXVIII) *S*-methyl *N*-(methylcarbamoyloxy)thioacetimidate (methomyl), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 815;
- (XXIX) methyl 3-(dimethoxyphosphinoyloxy)but-2-enoate (mevinphos), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 844;
- (XXX) *O,O*-diethyl *O*-4-nitrophenyl phosphorothioate (parathion), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 926;
- (XXXI) *O,O*-dimethyl *O*-4-nitrophenyl phosphorothioate (parathion-methyl), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 928;
- (XXXII) *S*-6-chloro-2,3-dihydro-2-oxo-1,3-benzoxazol-3-ylmethyl *O,O*-diethyl phosphorodithioate (phosalone), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 963;
- (XXXIII) 2-dimethylamino-5,6-dimethylpyrimidin-4-yl dimethylcarbamate (pirimicarb), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 985;
- (XXXIV) 2-isopropoxyphenyl methylcarbamate (propoxur), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 1036;
- (XXXV) 1-(3,5-dichloro-2,4-difluorophenyl)-3-(2,6-difluorobenzoyl)urea (teflubenzuron), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 1158;
- (XXXVI) *S*-tert-butylthiomethyl *O,O*-dimethyl phosphorodithioate (terbufos), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 1165;

- (XXXVII) ethyl (3-*tert*-butyl-1-dimethylcarbamoyl-1*H*-1,2,4-triazol-5-yl-thio)-acetate, (triazamate), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 1224;
- (XXXVIII) abamectin, from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 3;
- (XXXIX) 2-*sec*-butylphenyl methylcarbamate (fenobucarb), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 516;
- (XL) *N-tert*-butyl-*N'*-(4-ethylbenzoyl)-3,5-dimethylbenzohydrazide (tebufenozide), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 1147;
- (XLI) (±)-5-amino-1-(2,6-dichloro- α,α,α -trifluoro-*p*-tolyl)-4-trifluoromethyl-sulfinylpyrazole-3-carbonitrile (fipronil), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 545;
- (XLII) (*RS*)- α -cyano-4-fluoro-3-phenoxybenzyl (1*RS*,3*RS*;1*RS*,3*RS*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate (beta-cyfluthrin), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 295;
- (XLIII) (4-ethoxyphenyl)-[3-(4-fluoro-3-phenoxyphenyl)propyl](dimethyl)silane (silafuofen), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 1105;
- (XLIV) *tert*-butyl (*E*)- α -(1,3-dimethyl-5-phenoxy-pyrazol-4-yl-methylenamino-oxy)-*p*-toluate (fenpyroximate), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 530;
- (XLV) 2-*tert*-butyl-5-(4-*tert*-butylbenzylthio)-4-chloropyridazin-3(2*H*)-one (pyridaben), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 1161;
- (XLVI) 4-[[4-(1,1-dimethylphenyl)phenyl]ethoxy]-quinazoline (fenazaquin), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 507;
- (XLVII) 4-phenoxyphenyl (*RS*)-2-(pyridyloxy)propyl ether (pyriproxyfen), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 1073;
- (XLVIII) 5-chloro-*N*-{2-[4-(2-ethoxyethyl)-2,3-dimethylphenoxy]ethyl}-6-ethylpyrimidin-4-amine (pyrimidifen), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 1070;

- (XLIX) (E)-N-(6-chloro-3-pyridylmethyl)-N-ethyl-N-methyl-2-nitrovinylidenediamine (nitenpyram), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 880;
- (L) (E)-N¹-[(6-chloro-3-pyridyl)methyl]-N²-cyano-N¹-methylacetamidine (NI-25, acetamiprid), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 9;
- (LI) avermectin B₁, from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 3;
- (LII) an insect-active extract from a plant, especially (2*R*,6*aS*,12*aS*)-1,2,6,6*a*,12,12*a*-hexahydro-2-isopropenyl-8,9-dimethoxy-chromeno[3,4-*b*]furo[2,3-*h*]chromen-6-one (rotenone), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 1097; and an extract from *Azadirachta indica*, especially azadirachtin, from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 59; and
- (LIII) a preparation comprising insect-active nematodes, preferably *Heterorhabditis bacteriophora* and *Heterorhabditis megidis*, from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 671; *Steinernema feltiae*, from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 1115, and *Steinernema scapterisci*, from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 1116;
- (LIV) a preparation obtainable from *Bacillus subtilis*, from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 72; or from a *Bacillus thuringiensis* strain with the exception of compounds isolated from GC91 or from NCTC11821; The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 73;
- (LV) a preparation comprising insect-active fungi, preferably *Verticillium lecanii*, from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 1266; *Beauveria brogniartii*, from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 85; and *Beauveria bassiana*, from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 83;
- (LVI) a preparation comprising insect-active viruses, preferably *Neodiprion Sertifer* NPV, from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 1342; *Mamestra brassicae* NPV, from The Pesticide Manual, 11thEd. (1997), The

- British Crop Protection Council, London, page 759; and *Cydia pomonella granulosus* virus, from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 291;
- (CLXXXI) 7-chloro-2,3,4a,5-tetrahydro-2-[methoxycarbonyl(4-trifluoromethoxyphenyl)-carbamoyl]indole[1,2e]oxazoline-4a-carboxylate (DPX-MP062, indoxycarb), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 453;
- (CLXXXII) *N*-tert-butyl-*N*-(3,5-dimethylbenzoyl)-3-methoxy-2-methylbenzohydrazide (RH-2485, methoxyfenozide), from The Pesticide Manual, 11thEd. (1997), The British Crop Protection Council, London, page 1094; and
- (CLXXXIII) (*N*'-[4-methoxy-biphenyl-3-yl]-hydrazinecarboxylic acid isopropyl ester (D 2341), from the Brighton Crop Protection Conference, 1996, 487- 493;
- (R2) Book of Abstracts, 212th ACS National Meeting Orlando, FL, August 25-29 (1996), AGRO-020. Publisher: American Chemical Society, Washington, D.C. CONEN: 63BFAF.

Given the above, a further substantial aspect of the present invention relates to combination preparations for the control of parasites on warm-blooded animals, which combination preparations comprise, in addition to a compound of formula I, at least one further active ingredient having the same direction of action or a different direction of action and at least one physiologically tolerable carrier. The present invention is not limited to combinations of two constituents.

Biological Examples

Example 1: Tolerability study on sheep after pour-on application

Completely healthy female sheep aged 4 to 5 months and having a body weight of approximately from 33 to 34 kg are shorn bald on the back and, for the purpose of clear identification, are provided with numbered eartags; for the entire duration of the test they are kept in separate pens with slatted floors. A small group remains untreated and serves as the control. All the sheep are given the customary standard feed apportioned according to their age, and water as desired. On day 0, from 33 to 34 ml of a pour-on formulation according to Example B (50 mg of test substance/kg of body weight) are applied to the back of each sheep. In order to detect any unusual behaviour or local side-effects, the sheep are observed continuously on the day of treatment. Blood samples are taken 6 hours after

treatment and once each morning on the days that follow and are evaluated according to the following parameters.

Blood values	Chemical values
erythrocytes (RBC)	aspartate aminotransferase (ASAT)
haemoglobin (HB)	alanine aminotransferase (ALAT)
mean cell volume (HCT)	creatine kinase (CK/NAC)
mean cell-haemoglobin (MCH)	γ -glutamate transpeptidase (G-GT)
mean cell-haemoglobin concentration (MCHC)	total bilirubin (TBILI)
leucocytes (WBC)	creatinine (CREA)
blood platelets (PLT)	glucose (GLUC)
blood platelets (PLT)	glutamate dehydrogenase (GLDH)
	blood-urea-nitrogen (BUN)
	total protein (TOT. PROTEIN)
	albumin (ALB)
	globulin (GLOB)
	sodium (NA)
	potassium (K)
	calcium (CA)
	magnesium (MG)

After pour-on application, the test substances do not at any time prove to cause local side-effects and the blood and chemical values measured show a range of variation absolutely identical to that for the untreated control animals. The compounds of formula I, e.g. compounds 1.01, 1.06, 1.16, 1.22 and 3.01, prove to be well tolerated up to a dose of 50 mg/kg under the test conditions.

Example 2: *In vivo* activity against mange mites on sheep after pour-on application

Healthy sheep having an average body weight of approximately 35 kg are artificially infested, between the shoulder blades and in the groin area, with mange (*Psoroptes ovis*) of all stages of development. After 3 weeks, approximately 90% of the sheep have infested areas of skin of about 25 - 50 cm². The infested sheep are selected for the remaining tests and, in order to be able to distinguish clearly between them, they are provided with

numbered eartags. For the entire duration of the test, the sheep are kept in individual pens (0.9 x 1.2 m) having a slatted grid floor. They are fed with approximately 0.7 kg of maize and approximately 0.2 kg of hay per day and have access to drinking water. 8 sheep are treated; 2 remain untreated and serve as the control group. An aqueous emulsion having a final concentration of test substance of 500 ppm is used for the treatment. The treatment is carried out by careful wetting of the infested sites, care being taken to ensure that the emulsion wets the wool and also the underlying areas of skin thoroughly. Approximately 1 litre of emulsion is used per sheep. The effectiveness of the test substances is assessed at 14-day intervals over a period of from 6 to 8 weeks by reference to the extent of the infested regions. The changes are assessed in relation to the situation at the outset, with the infestation directly before the start of treatment representing 100%. In parallel, the behaviour of the test animals is recorded. Completely analogous tests are carried out with dilute test emulsions (100 ppm).

The evaluation shows that, at a concentration of 500 ppm, compounds of formula I from Tables 1 and 2, e.g. compounds 1.01, 1.06, 1.16, 1.22 and 3.01, completely eliminate the infestation within a maximum of 48 days, i.e. no surviving mites can be found and the damaged areas of skin show the healing process to be progressing. With a number of examples, e.g. compound no. 1.16, this result is achieved even at a dilution of the test substance to 100 ppm. None of the treated animals exhibits undesirable side-effects; they behave absolutely normally throughout the entire duration of the test.

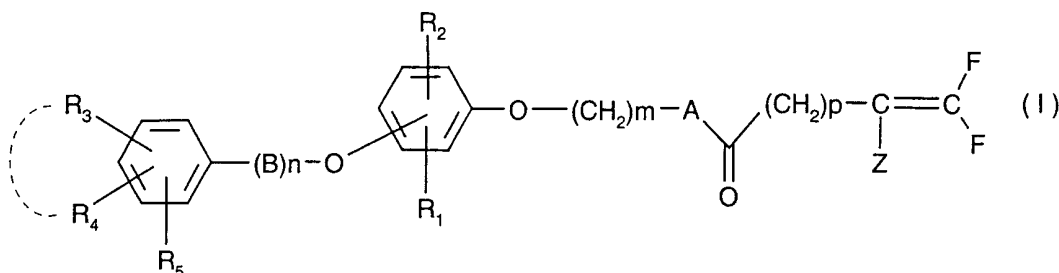
Example 3: *In vivo* action against mouse mites by topical treatment

Mice infested with mites (*Myocoptes musculus* and *Myobia muscili*) are anaesthetised and studied under a stereomicroscope to determine the density of the mite population. The mice are divided into groups having the same index of infestation, that is to say each having the same mite population, the index consisting of a scale from 1 (no mites) to 30 (greatest mite density). For the purposes of the test, only mice that score at least 25 on the said scale (high mite density) are used. The test substance is applied to the coat in the form of a solution, suspension or emulsion as a pour-on formulation, that is to say, topically. The dose is in the range from 32 to 0.1 mg/kg of body weight. 150 µl of the solution, suspension or emulsion are applied to each mouse along the line of the back. The effectiveness is evaluated 7, 28 and 56 days after application by comparing the infestation index after

treatment with that before treatment. The effectiveness result is given as a percentage reduction in the mite population. In this test, compounds of formula I from Tables 1 to 3, for example compounds 1.01, 1.06, 1.16, 1.22 and 3.01, at a dilution of up to 10 mg/kg of body weight, exhibit a more than 80% reduction in mite infestation and show no negative side-effects even at the highest active ingredient concentrations.

What is claimed is:

1. A compound of formula I



wherein, when n is 0, at least one of the two phenyl rings is substituted;

R₁ and R₂ are each independently of the other hydrogen, halogen, cyano, nitro, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄haloalkoxy or benzyl;

A is oxygen, sulfur or -N(R₆)-, wherein R₆ is C₁₋₄alkyl, phenylthio or tolylthio;

B is -C(O)-, -O-C(O)- or -C(N-R₆)-, wherein n is 0 or 1 and R₆ is hydrogen or C₁₋₄alkyl;

p is an integer from 1 to 10;

R₃, R₄ and R₅ are each independently of the others hydrogen, halogen, cyano, nitro, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy or C₁₋₄haloalkoxy; or R₃ and R₄ are adjacent to one another and together with the phenyl ring to which they are bonded form a naphthyl group;

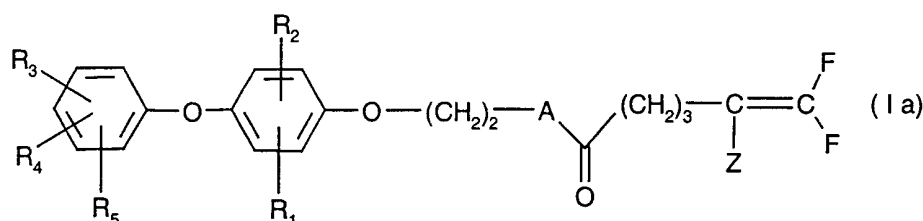
m is 0, 1, 2 or 3;

and Z is C₁₋₆alkyl, phenyl or halogen;

in free form or in the form of a physiologically tolerable salt.

2. A compound of formula I according to claim 1, wherein R₁ and R₂ are hydrogen; A is oxygen; n is 1; m is 2; p is 3; and Z is CH₃ or F.

3. A compound of formula I according to claim 1, derived from the sub-group of formula Ia



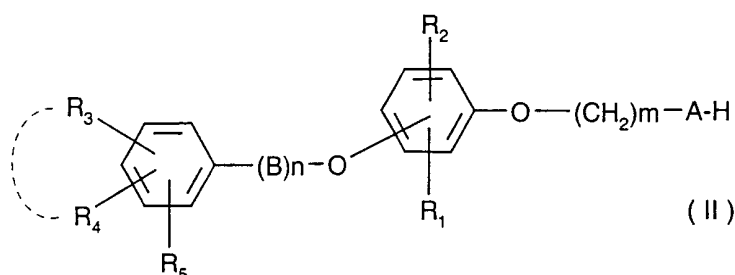
wherein R₁ and R₂ are each independently of the other hydrogen, halogen or C₁₋₄alkyl; A is oxygen, sulfur or -N(R₆)-, wherein R₆ is C₁₋₄alkyl; R₃, R₄ and R₅ are each independently of

the others hydrogen, halogen, cyano, nitro, C₁₋₄alkyl or C₁₋₄alkoxy; and Z is C₁₋₆alkyl, phenyl or halogen;

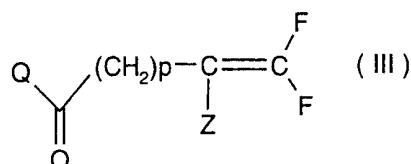
in free form or in the form of a physiologically tolerable salt.

4. A compound of formula I according to claim 3, wherein R₁ and R₂ are each independently of the other hydrogen, fluorine, chlorine or C₁₋₄alkyl; with the proviso that both are not simultaneously hydrogen; A is oxygen; R₃, R₄ and R₅ are each independently of the others hydrogen, fluorine, chlorine, CF₃, cyano or nitro; and Z is CH₃, phenyl, fluorine or chlorine; in free form or in the form of a physiologically tolerable salt.

5. A process for the preparation of a compound of formula I according to any one of claims 1 to 4, which comprises reacting a compound of formula II



wherein R, R₁, R₂, B, A, n and m are as defined for formula I, in the presence or absence of an inert solvent or solvent mixture, with a compound of formula III



wherein Q is hydroxy or halogen and p is as defined for formula I, preferably chlorine or bromine; and Z and p are as defined for formula I; the reaction preferably being carried out in the presence of a hydrophilic agent or of a catalyst when Q is hydroxy, and preferably being carried out in the presence of an acid-binding agent when Q is halogen.

6. A composition for controlling ectoparasites on a domestic animal, productive livestock or a pet, which comprises, in addition to inert auxiliaries and carriers, at least one compound of formula I according to claim 1 as active ingredient.

7. A process for the preparation of a composition according to claim 6, which comprises intimately mixing a compound of formula I according to claim 1 with inert auxiliaries and carriers.
8. A method of controlling ectoparasites on a domestic animal, productive livestock or pet, which comprises applying a compound according to any one of claims 1 to 4 or a composition according to claim 6 to the ectoparasites or to their habitat.
9. A method according to claim 8, which comprises applying a compound of formula I according to any one of claims 1 to 4 in the form of a pour-on formulation to the coat of a domestic animal, of productive livestock or of a pet.
10. Use of a compound of formula I according to any one of claims 1 to 4 in the preparation of an ectoparasiticide composition for domestic animals, productive livestock or pets.
11. A combination preparation for controlling parasites in or on warm-blooded animals, which comprises, in addition to a compound of formula I according to claim 1, at least one further active ingredient having the same direction of action or a different direction of action and at least one physiologically tolerable carrier.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 00/11470

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07C69/65 C07C233/20 A01N37/06 A01N33/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07C A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 0 577 555 A (CIBA GEIGY AG) 5 January 1994 (1994-01-05) cited in the application the whole document -----	1

☐ Further documents are listed in the continuation of box C.

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Date of the actual completion of the international search

8 March 2001

Date of mailing of the international search report

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European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Goetz, G

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 00/11470

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