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(54) BENZOPYRONE COMPOUNDS, PREPARATION METHOD AND USE THEREOF

BENZOPYRONVERBINDUNGEN, HERSTELLUNGSVERFAHREN UND VERWENDUNG DAVON

COMPOSÉS DE BENZOPYRONE ET LEURS PROCÉDÉ DE PRÉPARATION ET D'UTILISATION

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(Cont. next page)

- **DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; HAYASE, YOSHIO ET AL: "Preparation of (alkoxyimino)benzeneacetamide derivatives as agrochemical fungicides" XP002494465 retrieved from STN Database accession no. 1993:59429 & JP 04 182461 A (SHIONOGI AND CO., LTD., JAPAN) 30 June 1992 (1992-06-30)**

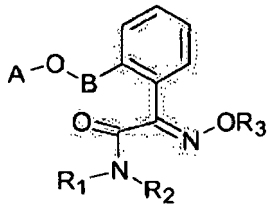
Description

FIELD OF THE INVENTION

[0001] The invention relates to insecticides and fungicides, specifically to benzopyrone compounds and its preparation method and use thereof.

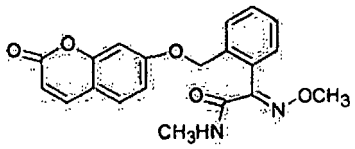
BACKGROUND OF THE INVENTION

[0002] Biologically active natural products include benzopyrone and strobilurin (methoxyacrylate) compounds. Compounds of the following general formula have ever been published in JP04-182461:



;

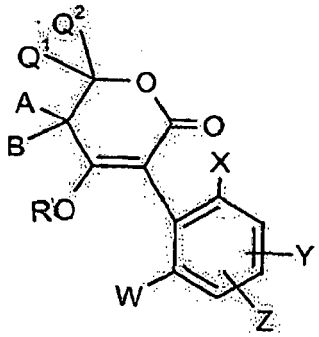
[0003] The structure of compound **JP51** in JP04-182461 is as follows:



(JP51);

[0004] Biological active data of the compound in JP04-182461 have not been disclosed. After synthesis and the biological evaluation, it was found that compound **JP51** has low biological activity.

[0005] WO 01/98288 discloses phenyl-substituted 5,6-dihydropyrone derivatives for use as pesticides (especially insecticides) and herbicides. Typical compounds have the formula:



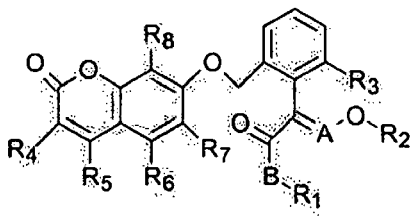
Where RO may be an ester residue.

SUMMARY OF THE INVENTION

[0006] The aim of the present invention is to provide benzopyrone compounds with biological activity against all sorts of plant diseases and insects at very low dosage, and the compounds can be applied in agriculture to control diseases and insects in plant.

Detailed description of the invention is as follows:

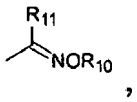
[0007] The present invention provides benzopyrone compounds having general formula (I):



(I);

and stereoisomers thereof,

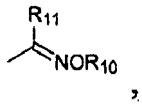
wherein: A is CH or N;
B is O or S;
R_1 and R_2 are respectively selected from H, C_1-C_{12}alkyl or C_1-C_{12} haloalkyl;
R_3 is selected from H, C_1-C_{12}alkyl, C_1-C_{12} haloalkyl or C_1-C_{12} alkoxy;
R_4, R_5, R_6, R_7, and R_8 may be the same or different, and are selected from H, halo, CN; NO_2; C_1-C_{12}alkyl; C_2-C_{12}alkenyl; C_2-C_{12}alkynyl; C_1-C_{12}haloalkyl; C_1-C_{12}alkoxy; C_1-C_{12}alkylthio; C_1-C_{12}alkylsulfonyl; C_1-C_{12}alkylcarbonyl; C_1-C_{12}alkoxyC_1-C_{12}alkyl; C_1-C_{12}alkoxycarbonyl; C_1-C_{12}alkoxycarbonyl C_1-C_{12}alkyl; C_1-C_{12}haloalkoxyC_1-C_{12}alkyl; amino C_1-C_{12}alkyl in which amino is substituted with 0-2 C_1-C_{12} alkyl; optionally substituted aryl, aryloxyl, arylC_1-C_{12}alkyl, arylC_1-C_{12}alkoxy, aryloxyC_1-C_{12}zalkyl, arylC_1-C_{12}alkoxylC_1-C_{12}alkyl, heteroaryl, heteroarylC_1-C_{12}alkyl, or heteroarylC_1-C_{12}alkoxyl groups, said optional substituents being up to 3 groups selected from (a) halo, (b) NO_2, (c) C_1-C_6alkyl, (d) C_1-C_6haloalkyl, (e) C_1-C_6alkoxy and (f) C_1-C_6alkoxyC_1-C_6alkyl; and groups having general formula:



wherein: R_{10} and R_{11} are selected from (a) H, (b) C_1-C_{12} alkyl, (c) aryl and (d) aryl C_1-C_{12}alkyl.

[0008] The preferred compounds of general formula **(I)** of this invention are:

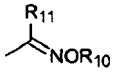
A is CH or N;
Bis O or S,
R_1 and R_2 are respectively selected from H, C_1-C_6alkyl or C_1-C_6 haloalkyl;
R_3 is selected from H, C_1-C_6alkyl, C_1-C_6 haloalkyl or C_1-C_6alkoxy;
R_4, R_5, R_6, R_7, and R_8 may be the same or different, selected from H, halo; CN; NO_2; C_1-C_6alkyl; C_2-C_6alkenyl; C_2-C_6alkynyl; C_1-C_6haloalkyl; C_1-C_6alkoxy; C_1-C_6 alkylthio; C_1-C_6alkylsulfonyl; C_1-C_6alkylcarbonyl; C_1-C_6 alkoxyC_1-C_6alkyl; C_1-C_6alkoxycarbonyl; C_1-C_6alkoxycarbonylC_1-C_6alkyl; C_1-C_6haloalkoxyC_1-C_6alkyl; amino C_1-C_6alkyl in which amino is optionally substituted with up to 2 C_1-C_{12} alkyl; optionally substituted aryl, aryloxyl, arylC_1-C_6alkyl, arylC_1-C_6alkoxy, aryloxyC_1-C_6alkyl, arylC_1-C_6alkoxylC_1-C_6alkyl, heteroaryl, heteroarylC_1-C_6alkyl, or heteroarylC_1-C_6alkoxyl groups, said optional substituents being up to 3 groups selected from halo, NO_2, C_1-C_2alkyl, C_1-C_2haloalkyl, C_1-C_2alkoxy or C_1-C_2alkoxyC_1-C_2alkyl, and groups having formula are as follows:



wherein: R_{10} and R_{11} are respectively selected from H, C_1-C_{12}alkyl, aryl or arylC_1-C_6alkyl.

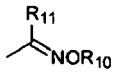
[0009] Still more preferred are such compounds wherein:

B is O;
R_1 and R_2 are both methyl;
R_3 is H or methyl;
R_4, R_5, R_6, R_7, and R_8 may be the same or different, and are selected from H, halo, CN, NO_2, C_1-C_6alkyl, C_2-C_6 alkenyl, C_1-C_6haloalkyl, C_1-C_6alkoxy, C_1-C_6alkylcarbonyl, C_1-C_6 alkoxyC_1-C_6alkyl, C_1-C_6alkoxycarbonyl, C_1-C_6alkoxycarbonylC_1-C_3alkyl, C_1-C_3haloalkoxyC_1-C_3alkyl, or amino C_1-C_3alkyl in which amino is optionally substituted with up to 2 C_1-C_3 alkyl; optionally substituted phenyl, phenoxy, phenylC_1-C_2alkyl, phenylC_1-C_2alkoxy, phenoxyC_1-C_2alkyl, phenylmethyl, phenylmethoxyl, or phenylmethoxyC_1-C_2alkyl groups, said substituents being up to 2 groups selected from halo, NO_2, C_1-C_2alkyl, C_1-C_2haloalkyl, C_1-C_2alkoxy or C_1-C_2alkoxyC_1-C_2alkyl, and groups having general formula as follows:



wherein: R_{10} and R_{11} are respectively selected from H and C_1-C_6alkyl.

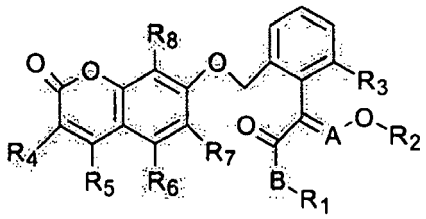
[0010] Still more preferably,
R_4, R_5, R_6, R_7, and R_8 may be the same or different, respectively selected from H, Cl, Br, F, CN, C_1-C_6alkyl, C_1-C_6haloalkyl, C_1-C_6alkylcarbonyl, C_1-C_6alkoxy, C_1-C_6alkoxyC_1-C_3alkyl, C_1-C_3haloalkoxyC_1-C_3alkyl, amino C_1-C_3alkyl in which amino is optionally substituted with up to 2 C_1-C_3 alkyl; optionally substituted phenyl, phenoxy, phenylmethyl, phenylmethoxyl groups, said substituents being up to 2 groups selected from: halo, NO_2, C_1-C_2alkyl, C_1-C_2haloalkyl, C_1-C_2alkoxy or C_1-C_2alkoxyC_1-C_2alkyl, and groups having general formula as follows:



wherein: R_{10} and R_{11} are both methyl.
[0011] The following is the meaning of terms in the general formula (I):

Halogen or halo is meant to include fluoro, chloro, bromo or iodo.
The term alkyl includes both straight and branched chain alkyl such as methyl, ethyl, propyl, isopropyl and tert-butyl.
The term haloalkyl refers to straight or branched chain alkyl , in which hydrogen atom may be all or partly substituted with halogen, such as chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, or trifluoromethyl.
The term alkoxy refers to straight or branched chain alkyl, which is linked to the structure by oxygen atom.
The term haloalkoxy refers to straight or branched chain alkoxy, in which hydrogen atom may be all or partly substituted with halogen-, such as chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, chlorofluoromethoxy, or trifluoroethoxy.
The term alkenyl refers to an straight or branched, having double bonds at any position such as vinyl or allyl. Substituted alkenyl includes arylvinyl substituted at any position with any group.
The term alkynyl refers to straight or branched groups having triple bonds at any position, such as ethynyl or propynyl. Substituted alkynyl includes arylethynyl substituted at any position with any group.
The terms aryl and aryl in arylalkyl, arylalkenyl arylalkynyl, aryloxy and aryloxyalkyl include phenyl and naphthyl.
The substituent groups in phenyl, phenoxy, phenylmethyl and phenylmethoxy are such groups as alkyl, alkoxy, haloalkyl, haloalkoxy, halo, NO_2 and CN. The number of the substituent groups can be from one to five.
The term heteroaryl in this invention refers to five member ring or six member ring containing one or many N, O, S hetero atom such as furan, thiophene, pyrrole, pyrazole, imidazole, thiazole, triazole, pyridine, pyrimidine, pyrazine, pyridazine, triazine, quinoline, benzofuran.

[0012] Because of the C=C and C=N link to different substituted group, the compounds of the invention may form geometrical isomer (the different isomers are respectively expressed with Z and E). Z isomer and E isomer and their mixture in any proportion are included in the invention.
[0013] The present invention is explained by the compounds of the following table I, but without being restricted thereby.



(I)

Wherein R_1, R_2=CH_3;E is $C(CH_3)=NOCH_3$; M is C_6H_3-3, 4-$(OCH_3)_2$

Table 1

No.	A	B	R_3	R_4	R_5	R_6	R_7	R_8	Physical-property *
1	CH	O	H	H	H	H	H	H	oil
2	CH	O	H	H	CH_3	H	H	H	140-143
3	CH	O	H	H	CH_3	H	H	CH_3	188-190
4	CH	O	H	H	C_6H_5	H	H	CH_3	146-148
5	CH	O	H	CH_3	CH_3	H	H	H	120-122
6	CH	O	H	CH_3	CH_3	H	H	CH_3	174-176
7	CH	O	H	H	CF_3	H	H	H	164-166
8	CH	O	H	H	CH_3	H	H	E	oil
9	CH	O	H	H	CH_3	H	E	H	183-185
10	CH	O	H	H	CH_3	H	$COCH_3$	H	169-172
11	CH	O	H	H	CH_3	H	H	$COCH_3$	165-167
12	CH	O	H	Cl	CH_3	H	H	H	162-164
13	CH	O	H	H	CH_2Cl	H	H	H	
14	CH	O	H	Cl	CH_2Cl	H	H	H	
15	CH	O	H	Cl	CH_2OCH_3	H	H	H	
16	CH	O	H	Cl	CH_2CH_3	H	H	H	
17	CH	O	H	H	CH_2CH_3	H	H	CH_3	154-156
18	CH	O	H	C_2H_5	CH_3	H	H	H	132-135
19	CH	O	H	H	CH_2OCH_3	H	H	H	140-142
20	CH	O	H	H	$CH_2OC_2H_5$	H	H	H	
21	CH	O	H	Cl	$CH_2OC_2H_5$	H	H	H	
22	CH	O	H	OCH_3	CH_2OCH_3	H	H	H	
23	CM	O	H	$N(CH_3)_2$	CH_3	H	H	H	
24	CH	O	H	CN	H	H	H	H	166-168
25	CH	O	H	Cl	CH_3	H	H	CH_3	202-204
26	CH	O	H	H	$CH(CH_3)_2$	H	H	H	128-130
27	CH	O	H	C_3H_7	CH_3	H	H	H	142-144
28	CH	O	H	H	t C_4H_9	H	H	H	
29	CH	O	H	H	4-Cl-C_6H_4	H	H	H	149-152
30	CH	O	H	Cl	4-Cl-C_6H_4	H	H	H	

(continued)

No.	A	B	R_3	R_4	R_5	R_6	R_7	R_8	Physical-property *
31	CH	O	H	H	4-Cl-C_6H_4	H	H	CH_3	
32	CH	O	H	Cl	C_6H_5	H	H	H	142-144
33	CH	O	H	H	CH_2CH_3	H	H	H	134-136
34	CH	O	H	H	$CH_2C_2H_5$	H	H	H	118-120
35	CH	O	H	H	$CH_2C_2H_5$	H	H	CH_3	146-148
36	CH	O	H	Cl	$CH_2C_2H_5$	H	H	H	118-120
37	CH	O	H	CH_3	CH_2C_2Hs	H	H	H	112-115
38	CH	O	H	H	4-F-C_6H_4	H	H	H	132-134
39	CH	O	H	Cl	4-F-C_6H_4	H	H	H	
40	CH	O	H	H	4-F-C_6H_4	H	H	CH_3	
41	CH	O	H	H	4-CF_3-C_6H_4	H	H	H	161-162
42	CH	O	H	Cl	4-CF_3-C_6H_4	H	H	H	
43	CH	O	H	Cl	$CH_2N(CH_3)_2$	H	H	H	
44	CH	O	H	OCH_3	C_2H_5	H	H	H	
45	CH	O	H	OCH_3	CH_3	H	H	H	
46	CH	O	H	OC_2H_5	CH_3	H	H	H	
47	CH	O	H	H	$CH_2OCH_2CF_3$	H	H	H	
48	CH	O	H	Cl	$CH_2OCH_2CF_3$	H	H	H	
49	CH	O	H	F	CF_3	H	H	H	
50	CH	O	H	F	CH_3	H	H	H	163-164
51	CH	O	H	H	$CH_2N(CH_3)_2$	H	H	H	
52	CH	O	H	H	C_6H_5	H	H	H	130-133
53	CH	O	H	Cl	Cl	H	H	H	
54	CH	O	H	F	Cl	H	H	H	
55	CH	O	H	H	$CH_2OCH_2C_6H_5$	H	E	H	
56	CH	O	H	OCH3	4-Cl-C_6H_5	H	H	H	
57	CH	O	H	F	4-Cl-C_6H_5	H	H	H	
58	CH	O	H	H	M	H	H	H	81-83
59	CH	O	H	Cl	M	H	H	H	
60	CH	O	H	Cl	M	H	H	CH_3	
61	CH	O	H	CH_3S	CH_3	H	H	H	
62	CH	O	H	CH_3SO_2	CH_3	H	H	H	
63	CH	O	H	F	F	H	H	H	
64	CH	O	H	CH_3SO_2	Cl	H	H	H	
65	CH	O	H	H	4-NO_2-C_6H_5	H	H	H	
66	CH	O	H	Cl	4-NO_2-C_6H_5	H	H	H	
67	CH	O	H	H	4-NO_2-C_6H_5	H	H	CH_3	
68	CH	O	H	$PhCH_2$	CH_3	H	H	H	159-162

(continued)

No.	A	B	R_3	R_4	R_5	R_6	R_7	R_8	Physical-property *
69	CH	O	H	$PhCH_2$	CH_3	H	H	CH_3	
70	CH	O	H	CF_3CH_2O	C_3H_7	H	H	H	
71	N	O	H	Cl	CH_3	H	H	H	172-174
72	N	O	H	H	CH_3	H	H	H	150-152
73	N	O	H	H	CH_3	H	H	CH_3	178-180
74	N	O	H	CH_3	CH_3	H	H	H	112-118
75	N	O	H	F	CH_3	H	H	H	
76	N	O	H	H	CF_3	H	H	Cl	
77	N	O	H	CH_3	CH_3	H	H	CH_3	184-186
78	N	O	H	H	CH_3	H	E	CO_2CH_3	
79	N	O	H	H	CH_3	H	$COCH_3$	CO_2CH_3	
80	N	O	H	Cl	CH_3	H	H	CH_3	198-200
81	N	O	H	H	CH_2Cl	H	H	CO_2CH_3	
82	N	O	H	H	H	H	H	H	106-110
83	N	O	H	H	CH_2Cl	H	H	CF_3	
84	N	O	H	H	3-CF_3-C_6H_4	H	H	CF_3	
85	N	O	H	CH_3	3-CH_3-C_6H_4	H	H	CF_3	
86	N	O	H	CH_3	4-CH_3-C_6H_4	H	H	CF_3	
87	N	O	H	H	CH_2Cl	H	H	H	
88	N	O	H	Cl	CH_2Cl	H	H	H	
89	N	O	H	Cl	CH_2F	H	H	H	
90	N	O	H	H	CH_2F	H	H	H	
91	N	O	H	H	CH_2Br	H	H	H	
92	N	O	H	H	CH_2OCH_3	H	H	$CH_2N(CH_3)_2$	
93	N	O	H	Cl	CH_2OCH_3	H	H	$CH_2N(CH_3)_2$	
94	N	O	H	CH_3	CH_2OCH_3	H	H	$CH_2N(CH_3)_2$	
95	N	O	H	H	CH_2OCH_3	H	H	F	
96	N	O	H	CH_3	CH_2OCH_3	H	H	F	
97	N	O	H	CH_3	CH_2OCH_3	H	CO_2CH_3	$CH_2N(CH_3)_2$	
98	N	O	H	H	CH_2OCH_3	H	H	H	
99	N	O	H	H	CH_2OCH_3	H	H	E	
100	N	O	H	H	3-CF_3-C_6H_4	H	E	H	
101	N	O	H	H	3-CH_3-C_6H_4	H	$COCH_3$	H	
102	N	O	H	H	4-CH_3-C_6H_4	H	H	$COCH_3$	
103	N	O	H	Cl	$CH_2OC_2H_5$	H	H	H	
104	N	O	H	H	$CH_2OC_2H_5$	H	H	H	
105	N	O	H	H	CH_2OC_2Hs	H	H	CH_3	
106	N	O	H	H	3-OCH_3-C_6H_4	H	H	CH_3	

(continued)

No.	A	B	R_3	R_4	R_5	R_6	R_7	R_8	Physical-property *
107	N	O	H	CH_3	4-OCH_3-C_6H_4	H	H	H	
108	N	O	H	CH3	2-OCH_3-C_6H_4	H	H	CH_3	
109	N	O	H	H	$CH_2OC_2H_5$	H	H	Cl	
110	N	O	H	H	$CH_2OC_2H_5$	H	H	E	
111	N	O	H	H	M	H	E	H	
112	N	O	H	H	3-CF_3-C_6H_4	H	$COCH_3$	H	
113	N	O	H	H	3-CH_3-C_6H_4	H	H	$COCH_3$	
114	N	O	H	H	4-CH_3-C_6H_4	H	H	H	
115	N	O	H	H	2-Cl-C_6H_4	H	H	H	
116	N	O	H	H	3-Cl-C_6H_4	H	H	CH_3	
117	N	O	H	H	$CH_2OCH_2CF_3$	H	H	CH_3	
118	N	O	H	CH_3	$CH_2OCH_2CF_3$	H	H	H	
119	N	O	H	CH_3	-$CH_2OC_6H_5$	H	H	CH_3	
120	N	O	H	H	-$CH_2OC_6H_5$	H	H	H	
121	N	O	H	H	$CH_2OCH_2C_6H_5$	H	H	E	
122	N	O	H	H	$CH_2OCH_2C_6H_5$	H	E	H	
123	N	O	H	H	4-Cl-C_6H_4	H	$COCH_3$	H	
124	CH	O	CH_3	H	H	H	H	H	
125	CH	O	CH_3	H	CH_3	H	H	H	
126	CH	O	CH_3	H	CH_3	H	H	CH_3	
127	CH	O	CH_3	H	C_6H_5	H	H	CH_3	
128	CH	O	CH_3	CH_3	CH_3	H	H	H	
129	CH	O	CH_3	CH_3	CH_3	H	H	CH_3	
130	CH	O	CH_3	H	CF_3	H	H	H	
131	CH	O	CH_3	H	CH_3	H	H	E	
132	CH	O	CH_3	H	CH_3	H	E	H	
133	CH	O	CH_3	H	CH_3	H	$COCH_3$	H	
134	CH	O	CH_3	H	CH_3	H	H	$COCH_3$	
135	CH	O	CH_3	H	CH_2Cl	H	H	H	
136	CH	O	CH_3	Cl	CH_2Cl	H	H	H	
137	CH	O	CH_3	H	CH_2Cl	H	H	CF_3	
138	CH	O	CH_3	H	CH_2Cl	H	H	CH_3	
139	CH	O	CH_3	CH_3	CH_2OCH_3	H	H	H	
140	CH	O	CH_3	CH_3	CH_2OCH_3	H	H	CH_3	
141	CH	O	CH_3	OCH_3	CH_2Cl	H	H	H	
142	CH	O	CH_3	H	CH_2Cl	H	H	E	
143	CH	O	CH_3	H	CH_2Cl	H	E	H	
144	CH	O	CH_3	H	CH_2Cl	H	$COCH_3$	H	

(continued)

No.	A	B	R_3	R_4	R_5	R_6	R_7	R_8	Physical-property *
145	CH	O	CH_3	H	CH_2Cl	H	H	COCH3	
146	CH	O	CH_3	H	$CH_2OCH_2CF_3$	H	H	H	
147	CH	O	H3	Cl	$CH_2OC_2H_5$	H	H	H	
148	CH	O	CH_3	Cl	CH_2OCH_3	H	H	CH_3	
149	CH	O	CH_3	H	CH_2OCH_3	H	H	CH_3	
150	CH	O	CH_3	CH_3	3-CF_3-C_6H_4	H	H	H	
151	CH	O	CH_3	CH_3	3-CH_3-C_6H_4	H	H	CH_3	
152	CH	O	CH_3	H	4-CH_3-C_6H_4	H	H	H	
153	CH	O	CH_3	H	2-Cl-C_6H_4	H	H	E	
154	CH	O	CH_3	H	3-Cl-C_6H_4	H	E	H	
155	CH	O	CH_3	H	CF_3	H	$COCH_3$	H	
156	CH	O	CH_3	Cl	CH_2OCH_3	H	H	$COCH_3$	
157	CH	O	CH_3	OCH_3	$CH_2OC_2H_5$	H	H	H	
158	CH	O	CH_3	C_2H_5	$CH_2OC_2H_5$	H	CH_3	H	
159	CH	O	CH_3	H	$CH_2OC_2H_5$	H	H	CH_3	
160	CH	O	CH_3	Cl	$CH_2OC_2H_5$	H	$CO_2C_2H_5$	CH_3	
161	CH	O	CH_3	CH_3	2-F-C_6H_4	H	H	H	
162	CH	O	CH_3	CH_3	3-F-C_6H_4	H	H	CH_3	
163	CH	O	CH_3	H	4-F-C_6H_4	H	H	H	
164	CH	O	CH_3	H	$CH_2OC_2H_5$	H	H	E	
165	CH	O	CH_3	H	$CH_2OC_2H_5$	H	E	H	
166	CH	O	CH_3	H	$CH_2OC_2H_5$	H	$COCH_3$	H	
167	CH	O	CH_3	H	$CH_2OC_2H_5$	H	H	$COCH_3$	
168	CH	O	CH_3	H	$CH_2OCH_2CF_3$	H	H	H	
169	CH	O	CH_3	Cl	CH_2OCH_2CF3	H	H	H	
170	CH	O	CH_3	H	CF_3	H	H	CH_3	
171	CH	O	CH_3	H	$CH_2OCH_2CF_3$	H	H	CH_3	
172	CH	O	CH_3	CH_3	$CH_2OCH_2CF_3$	H	H	H	
173	CH	O	CH_3	CH_3	-CH_2OPh	H	H	CH_3	
174	CH	O	CH_3	H	-CH_2OPh	H	H	H	
175	CH	O	CH_3	H	CH_2OCH_2Ph	H	H	E	
176	CH	O	CH_3	H	CH_2OCH_2Ph	H	E	H	
177	CH	O	CH_3	H	4-Cl-C_6H_5	H	$COCH_3$	H	
178	CH	O	CH_3	H	4-Cl-C_6H_5	H	H	$COCH_3$	
179	CH	O	CH_3	H	M	H	$CO_2C_2H_5$	H	
180	CH	O	CH_3	H	M	H	H	H	
181	CH	O	CH_3	Cl	M	H	H	CH_3	
182	CH	O	CH_3	H	M	H	H	CH_3	

(continued)

No.	A	B	R_3	R_4	R_5	R_6	R_7	R_8	Physical-property *
183	CH	O	CH_3	CH_3	M	H	H	H	
184	N	O	CH_3	H	CH_3	H	H	H	
185	N	O	CH_3	H	C_6H_5	H	H	Cl	
186	N	O	CH_3	CH_3	CH_3	H	H	H	
187	N	O	CH_3	CH_3	CH_3	H	H	H	
188	N	O	CH_3	H	CF_3	H	H	Cl	
189	N	O	CH_3	CH_3	CH_3	H	H	CH_3	
190	N	O	CH_3	H	CH_3	H	E	CO_2CH_3	
191	N	O	CH_3	H	CH_3	H	$COCH_3$	CO_2CH_3	
192	N	O	CH_3	H	CH_3	H	H	CO_2CH_3	
193	N	O	CH_3	H	CH_2Cl	H	H	CO_2CH_3	
194	N	O	CH_3	H	H	H	H	H	
195	N	O	CH_3	H	CH_2Cl	H	H	CF_3	
196	N	O	CH_3	H	3-CF_3-C_6H_4	H	H	CF_3	
197	N	O	CH_3	CH_3	3-CH_3-C_6H_4	H	H	CF_3	
198	N	O	CH_3	CH_3	4-CH_3-C_6H_4	H	H	CF_3	
199	N	O	CH_3	H	CH_2Cl	H	H	H	
200	N	O	CH_3	H	CH_2Cl	H	H	E	
201	N	O	CH_3	H	CH_2Cl	H	E	H	
202	N	O	CH_3	H	CH_2Cl	H	$COCH_3$	H	
203	N	O	CH_3	H	CH_2Cl	H	H	COCH3	
204	N	O	CH_3	H	CH_2OCH_3	H	H	$CH_2N(CH_3)_2$	
205	N	O	CH_3	Cl	CH_2OCH_3	H	H	$CH_2N(CH_3)_2$	
206	N	O	CH_3	CH_3	CH_2OCH_3	H	H	$CH_2N(CH_3)_2$	
207	N	O	CH_3	H	CH_2OCH_3	H	H	F	
208	N	O	CH_3	CH_3	CH_2OCH_3	H	H	F	
209	N	O	CH_3	CH_3	CH_2OCH_3	H	CO_2CH_3	$CH_2N(CH_3)_2$	
210	N	O	CH_3	H	CH_2OCH_3	H	H	H	
211	N	O	CH_3	H	CH_2OCH_3	H	H	E	
212	N	O	CH_3	H	3-CF_3-C_6H_4	H	E	H	
213	N	O	CH_3	H	3-CH_3-C_6H_4	H	$COCH_3$	H	
214	N	O	CH_3	H	4-CH_3-C_6H_4	H	H	$COCH_3$	
215	N	O	CH_3	Cl	$CH_2OC_2H_5$	H	H	H	
216	N	O	CH_3	H	$CH_2OC_2H_5$	H	H	H	
217	N	O	CH_3	H	$CH_2OC_2H_5$	H	H	CH_3	
218	N	O	CH_3	H	3-OCH_3-C_6H_4	H	H	CH_3	
219	N	O	CH_3	CH_3	4-OCH_3-C_6H_4	H	H	H	
220	N	O	CH_3	CH_3	2-OCH_3-C_6H_4	H	H	CH_3	

(continued)

No.	A	B	R_3	R_4	R_5	R_6	R_7	R_8	Physical-property *
221	N	O	CH_3	H	$CH_2OC_2H_5$	H	H	Cl	
222	N	O	CH_3	H	$CH_2OC_2H_5$	H	H	E	
223	N	O	CH_3	H	M	H	E	H	
224	N	O	CH_3	H	3-CF_3-C_6H_4	H	$COCH_3$	H	
225	N	O	CH_3	H	3-CH_3-C_6H_4	H	H	$COCH_3$	
226	N	O	CH_3	H	4-CH_3-C_6H_4	H	H	H	
227	N	O	CH_3	H	2-Cl-C_6H_4	H	H	H	
228	N	O	CH_3	H	3-Cl-C_6H_4	H	H	CH_3	
229	N	O	CH_3	H	$CH_2OCH_2CF_3$	H	H	CH_3	
230	N	O	CH_3	CH_3	$CH_2OCH_2CF_3$	H	H	H	
231	N	O	CH_3	CH_3	-CH_2OPh	H	H	CH_3	
232	N	O	CH_3	H	-CH_2OPh	H	H	H	
233	N	O	CH_3	H	CH_2OCH_2Ph	H	H	E	
234	N	O	CH_3	H	CH_2OCH_2Ph	H	E	H	
235	N	O	CH_3	H	4-Cl-C_6H_4	H	$COCH_3$	H	
236	CH	O	H	C_3H_7i	CH_3	H	H	H	oil
237	CH	O	H	n-C_4H_9	CH_3	H	H	H	117-118
238	CH	O	H	n-C_5H_{11}	CH_3	H	H	H	
239	CH	O	H	C_2H_4Pr i	CH_3	H	H	H	oil
240	CH	O	H	n-C_6H_{13}	CH_3	H	H	H	113-115
241	CH	O	H	H	n-C_4H_9	H	H	H	
242	CH	O	H	H	n-C_5H_{11}	H	H	H	
243	CH	O	H	H	$CH(CH_3)_2$	H	H	CH_3	110-112
244	CH	O	H	n-C_3H_7	n-C_3H_7	H	H	H	112-114
245	N	O	H	Cl	n-C_3H_7	H	H	H	136-138
246	N	O	H	Cl	C_6H_5	H	H	H	166-168
247	N	O	H	n-C_3H_7	CH_3	H	H	H	121-122
248	N	O	H	n-C_4H_9	CH_3	H	H	H	100-102
249	N	O	H	n-C_6H_{13}	CH_3	H	H	H	75-78
250	CH	O	H	CH_3	n-C_4H_9	H	H	H	
251	CH	O	H	C_2H_5	n-C_4H_9	H	H	H	
252	CH	O	H	C_3H_7	n-C_4H_9	H	H	H	
253	CH	O	H	i-C_3H_7	n-C_4H_9	H	H	H	
254	CH	O	H	n-C_4H_9	n-C_4H_9	H	H	H	
255	CH	O	H	CH_3	n-C_5H_{11}	H	H	H	
256	CH	O	H	C_2H_5	n-C_5H_{11}	H	H	H	
257	CH	O	H	C_3H_7	n-C_5H_{11}	H	H	H	
258	CH	O	H	i-C_3H_7	n-C_5H_{11}	H	H	H	

(continued)

No.	A	B	R_3	R_4	R_5	R_6	R_7	R_8	Physical-property *
259	CH	O	H	n-C_4H_9	n-C_5H_{11}	H	H	H	
260	CH	O	H	H	n-C_6H_{13}	H	H	H	
261	CH	O	H	CH_3	n-C_6H_{13}	H	H	H	
262	CH	O	H	C_2H_5	n-C_6H_{13}	H	H	H	
263	CH	O	H	C_3H_7	n-C_6H_{13}	H	H	H	
264	CH	O	H	i-C_3H_7	n-C_6H_{13}	H	H	H	
265	CH	O	H	n-C_4H_9	n-C_6H_{13}	H	H	H	
266	N	O	H	CH_3	n-C_4H_9	H	H	H	
267	N	O	H	C_2H_5	n-C_4H_9	H	H	H	
268	N	O	H	C_3H_7	n-C_4H_9	H	H	H	
269	N	O	H	i-C_3H_7	n-C_4H_9	H	H	H	
270	N	O	H	n-C_4H_9	n-C_4H_9	H	H	H	
271	N	O	H	CH_3	n-C_5H_{11}	H	H	H	
272	N	O	H	C_2H_5	n-C_5H_{11}	H	H	H	
273	N	O	H	C_3H_7	n-C_5H_{11}	H	H	H	
274	N	O	H	i-C_3H_7	n-C_5H_{11}	H	H	H	
275	N	O	H	n-C_4H_9	n-C_5H_{11}	H	H	H	
276	N	O	H	H	n-C_6H_{13}	H	H	H	
277	N	O	H	CH_3	n-C_6H_{13}	H	H	H	
278	N	O	H	C_2H_5	n-C_6H_{13}	H	H	H	
279	N	O	H	C_3H_7	n-C_6H_{13}	H	H	H	
280	N	O	H	i-C_3H_7	n-C_6H_{13}	H	H	H	
281	N	O	H	n-C_4H_9	n-C_6H_{13}	H	H	H	
282	CH	O	H	H	CH_2-Ph-4-Cl	H	H	H	
283	CH	O	H	CH_3	CH_2-Ph-4-Cl	H	H	H	
284	CH	O	H	C_2H_5	CH_2-Ph-4-Cl	H	H	H	
285	CH	O	H	CH_2-Ph-4-Cl	CH_3	H	H	H	
286	CH	O	H	CH_2-Ph-4-Cl	C_2H_5	H	H	H	
287	CH	O	H	CH_2-Ph-4-Cl	C_3H_7	H	H	H	
288	CH	O	H	CH_3	CF_3	H	H	H	
289	CH	O	H	Cl	CF_3	H	H	H	
290	CH	O	H	C_2H_5	CF_3	H	H	H	
291	CH	O	H	n-C_3H_7	CF_3	H	H	H	
292	CH	O	H	n-C_4H_9	CF_3	H	H	H	
293	CH	O	H	H	CH_2CH_2-Ph-4-Cl	H	H	H	
294	CH	O	H	CH_3		H	H	H	
295	CH	O	H	H	CH_2Bu-t	H	H	H	
296	CH	O	H	CH_3	CH_2Bu-t	H	H	H	

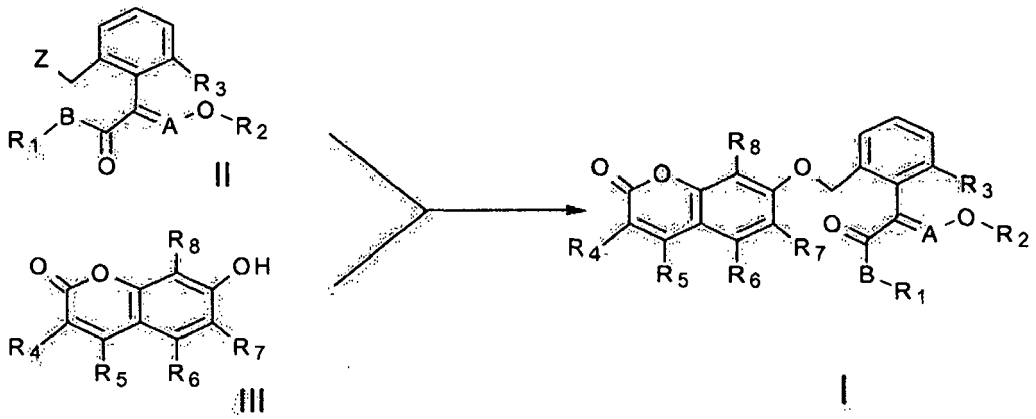
(continued)

No.	A	B	R_3	R_4	R_5	R_6	R_7	R_8	Physical-property *
297	CH	O	H	n-C_3H_7	CH_2Bu-t	H	H	H	
298	CH	O	H	CH_2Bu-t	CH_3	H	H	H	
299	CH	O	H		CH_3	H	H	H	
300	CH	O	H	CH_2CH_2-Ph-4-Cl	C_2H_5	H	H	H	
301	CH	O	H		C_3H_7	H	H	H	
302	CH	O	H	CO_2CH_3	CH_3	H	H	H	
303	CH	O	H	CO_2CH_3	CF_3	H	H	H	
304	CH	O	H	$CO_2C_2H_5$	C_2H_5	H	H	H	
305	CH	O	H	$CO_2C_2H_5$	n-C_3H_7	H	H	H	
306	CH	O	H	CH_3	CO_2CH_3	H	H	H	
* stands for melting point. °C is the unit.									

[0014] The present invention also includes preparation of benzopyrone compounds and their isomers having general formula (**I**).

[0015] The compounds of formula **I** can be easily prepared by reaction of the benzylhalide having general formula (II) with benzopyrone compounds containing hydroxy group having general formula (III) under base condition according to the scheme **I**.

Scheme **I**:



wherein: Z is leaving group, such as halogen (Cl, Br, or I).

R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, A, B, is as defined above.

[0016] Preparation condition of compounds having general formula **(I):** In proper solvent, hydroxylbenzopyrone compounds having general formula (III) are treated with proper base to become salts, then the compound having general formula (II) is added into the mixture, the reaction is carried out at proper temperature. After reaction is completed, the target compound **I** is obtained by normal way.

[0017] The proper solvent mentioned may be selected from the following ones, such as tetrahydrofuran, acetonitrile, toluene, xylene, benzene, DMF, DMSO, acetone or butanone and so on.

[0018] The proper base mentioned may be selected from the following ones, such as potassium hydroxide, sodium hydroxide, potassium carbonate, sodium carbonate, sodium bicarbonate, triethylamine, pyridine or sodium hydride and so on.

[0019] The proper temperature mentioned is from room temperature to boiling point of solvent. Normal temperature is from 20 to 100°C.

[0020] The reaction may be finished in the course of 30 minutes - 20 hours, generally 1-10 hours.

[0021] The reaction can be monitored by Thin-Layer Chromatography.

[0022] The intermediates of general formula (II) can be prepared according to the known methods , refer to US Pat. No.4723034 and US Pat. No.5554578.

[0023] Some of the hydroxylbenzopyrone compounds having general formula (III) are available from the chemical companies, and are also prepared according to the methods reported in Journal of Medicinal Chemistry, 2001, 44(5), 664-671, by the reaction $R_5COCHR_4CO_2CH_3(C_2H_5)$ with substituted resorcinol. Moreover, the compound may be straightly used to prepare the target compounds without further purification. Some of the hydroxylbnezopyrone compounds having general formula (III) synthesized are showed in table **2**.

Table 2

III	R_4	R_5	R_6	R_7	R_8	Physical property *
III-**1**	H	CH_3	H	H	$COCH_3$	158-160
III-**2**	H	CH_3	H	H	$C(=NOMe)CH_3$	129-140
III-**4**	H	CH_3	H	H	CH_3	256-258
III-**5**	Cl	CH_3	H	H	H	230-234
III-**6**	H	CF_3	H	H	H	180-183
III-**7**	$C_6H_5CH_2$	CH_3	H	H	H	208-212
III-**8**	H	4-F-C_6H_4	H	H	H	256-262
III-**9**	*H*	*3, 4-$(MeO)_2C_6H_4$*	H	H	*H*	*184-188*
III-**10**	F	CH_3	H	H	H	203-206
III-**11**	H	C_6H_5	H	H	H	240-242
III-**12**	H	C_6H_5	H	H	CH_3	260-262
III-**13**	Cl	C_6H_5	H	H	H	188-190
III-**14**	CH_3	CH_3	H	H	H	118-120
III-**15**	CH_3	CH_3	H	H	CH_3	218-222
III-**16**	H	n-C_3H_7	H	H	CH_3	176-178
III-**17**	Cl	n-C_3H_7	H	H	H	148-150
III-**18**	H	i-C_3H_7	H	H	H	160-162
III-**19**	n-C_6H_{13}	CH_3	H	H	H	170-172
III-**20**	i-$C_3H_7CH_2CH_2$	CH_3	H	H	H	101-102
III-**21**	n-C_4H_9	CH_3	H	H	H	134-136
III-**22**	n-C_3H_7	CH_3	H	H	H	142-144
III-**23**	H	CH_2OCH_3	H	H	H	186-190
* stands for melting point. °C is the unit.						

[0024] The present invention also provides compositions which are insecticides and fungicides. The active ingredients of the composition are the compounds having general formula (I), wherein the active ones being present in a total amount of 0.1 to 99% by weight, the rest being the acceptable carrier by agriculture.

[0025] The present invention, further more, provides preparation method of the said composition thereon. The compounds of general formula (I) and the carrier are mixed. The said composition may be a single component compound or mixture of compounds with several components.

[0026] The carrier in the invention accords to the requirements: it is easy to apply to the sites being to be treated for the carrier after it is confected with active component. For example, the sites could be plant, seed or soil convenient for store, transport or operation. The carrier could be solid or liquid, including the liquid which usually turns from gas condition under pressure. And the carriers which are used to confect insecticidal, bactericidal composition are applied.

[0027] Suitable solid carriers include natural and synthetic clays and silicates, for example diatomaceous earths, talcs,

magnesium aluminium silicates, aluminium silicates(kaolin), montmorillonites and micas; calcium carbonate; calcium sulphate; ammonium sulphate; synthetic silicon oxides and synthetic calcium silicates or aluminium silicates; elements, for example carbon and sulphur; natural and synthetic resins, for example coumarone resins, polyvinyl chloride, and styrene polymers or copolymers; solid polychlorophenols; bitumen; waxes, beeswax or paraffin wax for instance.

[0028] Suitable liquid carriers include water, alcohols such as isopropanol or alcohol; ketones such as acetone, methyl ethyl ketone, methyl isopropy ketone or cyclohexanone; ethers; aromatics such as benzene, xylene, toluene; petroleum fractions such as kerosene or mineral oils, chlorinated aliphatic hydrocarbons such as carbon tetrachloride, tetrachloride ethylene or trichloride ethylene. Mixtures of these different liquids generally are often suitable.

[0029] The compositions of insecticides and fungicides are often formulated and transported in a concentrated form which is subsequently diluted by the user before application. The presence of small amounts of surpfactant facilitates this process of dilution. Thus preferably at least one carrier in a composition according to the invention is a surfactant. For example the composition may contain at least two carriers, at least one of which is a surfactant.

[0030] A surfactant may be an emulsifier, a dispersant or a wetting agent; it may be nonionic or ionic. Examples of suitable surfactant include the sodium or calcium salts of polyacrylic acids and lignin sulphonic acids; the condensation products of fatty acids or aliphatic amines or amides containing at least 12 carbon atoms in the molecule with ethylene oxide and/or propylene oxide; fatty acid esters of glycol, sorbic alcohol, sucrose or pentaerythritol and condensations of these with ethylene oxide and/or propylene oxide; condensation products of fatty alcohol or alkyl phenols such as p-octylphenol or p-octylcresol, with ethylene-oxide and/or propylene oxide; sulphates or sulphonates of these condensation products; alkaline metal salts or alkaline earth metal salts, preferably sodium salts, of sulphuric or sulphonic acid esters containing at least 10 carbon atoms in the molecule, for example sodium lauryl sulphate, sodium secondary alkyl sulphates, sodium salts of sulphonated castor oil, and sodium alkylaryl sulphonates such as sodium dodecylbenzene sulphonate.

[0031] Examples of compositions and formulations according to the invention are wettable powder, Dustable powder, granule and aqueous solution; emulsifiable concentrate, emulsion, suspension concentrate, aerosol composition and fumigant. Wettable powder usually contains 25, 50 or 75% weight(ab.w) of active ingredient and usually contain in addition to solid inert carrier, 3-10% w of a dispersant and, where necessary, 0-10% w of stabiliser(s) and/or other additives such as penetrants or stickers. Dustable powder are usually formulated as a dust concentrate having a similar composition to that of a wettable powder but a dispersant, and are diluted with further solid carrier to give a composition usually containing 0.5-10% weight of active ingredient. Granules are usually prepared to have a size between 10 and 100 BS mesh (1.676-0.152 mm), and may be manufactured by agglomeration or impregnation techniques. Generally, granules contain 0.5-75% w active ingredient and 0-10% weight of additives such as stabilisers, surfactants, slow release modifiers. The so-called "dry flowable powders" consist of relatively small granules having a relatively high concentration of active ingredient. Emulsifiable concentrates usually contain, in addition to a solvent and, when necessary, co-solvent, 1-50% weight /volume(ab. w/v) active ingredient, 2-20% w/v emulsifiers and 0-20% w/v of other additives such as stabilisers, penetrants and corrosion inhibitors. Suspension concentrates are usually contain 10-75% w active ingredient, 0.5-15% w of dispersing agents,0.1-10% w of other additives such as defoamers, corrosion inhibitors, stabilisers, penetrants and stickers.

[0032] Aqueous dispersant and emulsions, for example compositions obtained by diluting a wettable powder or a concentrate according to the invention with water, also lie within the scope of the invention. The said emulsions may be of the water-in-oil or of the oil-in-water type.

[0033] The composition to which one or more other fungicides are added has wider spectrum activity than single compound having general formula (**I**). In addition, other fungicides may have synergistic effect on the fungicidal activity of the compound having general formula (**I**). The compound having general formula (**I**) can also be used with other insecticides, or with another fungicide and other insecticides simultaneously.

[0034] This invention has the following advantages:

[0035] The compounds of present invention have very good insecticide activity, and may be used to control insects such as armyworm, diamond backmoth, aphids, carmine spider mite, two-spotted spider mite, lady beetles, mites and culex mosquitoes, especially for lady beetles and culex mosquitoes. All these attributes are suitable for integrated insect management.

[0036] The compounds of present invention have wide spectrum fungicidal activity, and may be used to control diseases in all sorts of plants caused by by oomycete, basidiomycete, ascomycete pathogens, and it may also provide good control efficacy at very low rate because of the high activity. These compounds have penetration activity and can be used as soil and foliar fungicides. They can provide satisfied control of grape downy mildew, rice sheath blight, rice blast, tomato early blight, tomato late blight, wheat leaf rust, wheat leaf blotch, wheat powdery mildew, cucumber powdery mildew, cucumber downy mildew and cucumber grey mold

DESCRIPTION OF THE INVENTION IN DETAIL

[0037] The following examples are illustrative of the present invention.

Preparation Example

Example 1 the preparation of compound **1**

[0038] A reaction flask was charged a suspension of 60% sodium hydride 0.84 g (washed with petroleum ether), and then 30 ml of dry *N,N*-dimethylformamide (DMF) was added, the mixture was stirred at room temperature for 30 minutes. To this agitated suspension, 1.7 g of 7-hydroxycoumarin was added, the mixture was agitated continuously till to no gas emerging. 3.0g of methyl (*E*)-α-[2-(bromomethyl)phenyl]-β-methoxyacrylate was added to the reaction mixture and they were agitated continuously for 3 hours at room temperature. The reaction mixture was poured into ice water, extracted with ethyl acetate 3 times. The combined extracts were washed with brine 3 times, dried, filtered and concentrated under vacuum, to obtain the crude oil product 5g. This was subjected to column chromatography to obtain 2.8 g of compound **1** as a faint red-yellow oily substance in 76.5% yield.
^{1}HNMR(300MHz, internal standard=TMS, $CDCl_3$): δppm 3.69(3H, s), 3.88(3H, s), 5.04(2H, s), 6.19-6.23(1H, d), 6.77(1H, s), 6.83-6.87(1H, d), 7.18-7.20(1H, m), 7.26-7.34(4H, m), 7.48-7.64(2H, m).

Example 2 the preparation of compound 2

[0039] A reaction flask was charged a suspension of 60% sodium hydride 0.45 g (washed with petroleum ether) ,and then 20 ml of dry *N,N*-dimethylformamide (DMF) was added ,the mixture was stirred at room temperature for 30 minutes. To this agitated suspension, 1.0 g of 7-hydroxy-4-methylcoumarin was added, the mixture was agitated continuously till to no gas emerging. 1.66g of methyl (*E*)-α-[2-(chloromethyl)phenyl]-β-methoxyacrylate was added to the reaction mixture and they were agitated continuously for 3 hours at room temperature.The reaction mixture was poured into ice water, extracted with ethyl acetate 3 times. The combined extracts were washed with brine 3 times. dried, filtered and concentrated, to obtain the crude product, as a yellow solid substance. This was subjected to column chromatography, using a 1:2 mixture of ethyl acetate and petroleum ether as the eluting solution to obtain 1.73 g of compound 2, with melting point of 140-143°C in 80% yield.
^{1}HNMR(300MHz, internal standard=TMS, $CDCl_3$): δppm 2.38(3H, s), 3.74(3H, s), 3.89(3H, s), 5.04(2H, s), 6.11 (1H, s), 6.77(1H, s), 6.85-6.89(1H, d), 7.17-7.20(1H, m), 7.32-7.35(2H, m), 7.49-7.52(2H, m), 7.64(1H, s).

Example 3 the preparation of compound **72**

[0040] A reaction flask was added 1.2g of K_2CO_3, 1.0g of 7-hydroxy-4-methylcoumarin, 1.70g of methyl (E)-2-(bromomethyl)-α-(methoxyimino)benzeneacetate and 20ml Butanone, the reaction mixture was refluxed and agitated continuously for 5 hours. The reaction mixture was poured into ice water, extracted with ethyl acetate 3 times. The combined extracts were washed with brine 3 times, dried, filtered and concentrated under vacuum, to obtain the crude product, as a yellow solid substance. This was subjected to column chromatography, using a 1:2 mixture of ethyl acetate and petroleum ether as the eluting solution to obtain1.77 g of compound 72, with melting point of 150-152°C in 83% yield.
^{1}HNMR(300MHz, internal standard=TMS, $CDCl_3$): δppm 2.39(3H, s), 3.87(3H, s), 4.05(3H, s), 5.02(2H, s), 6.13(1H, s), 6. 80-6.86(2H, m), 7.23-7.26(1H, m), 7.43-7.49(4H, m).

[0041] The following compounds were prepared analogously. ^{1}HNMR of other compounds are provided as follows (300MHz, internal standard=TMS, $CDCl_3$):

Compound 3: δppm 2.36(3H, s), 2.37(3H, s), 3.72(3H, s), 3.84(3H, s), 5.09(2H, s), 6.13 (1H, s), 6.75-6.78(1H, d), 7.18-7.21(1H, m), 7.34-7.36(3H, m), 7.50-7.52(1H, m)7.61(1H, s).
Compound 4: δppm 2.41(3H, s), 3.69(3H, s), 3.81(3H, s), 5.08(2H, s), 6.20(1H, s), 6.68-6.71(1H, d), 7.18-7.21(4H, m), 7.32-7.50(5H, m), 7.59(1H, s), 7.92(1H, m).
Compound 5: δppm 2.17(3H, s), 2.35(3H, s), 3.73(3H, s), 3.88(3H, s), 5.02(2H, s), 6.78(1H, s), 6.83-6.85(1H, d), 7.31-7.34(3H, m), 7.45-7.47(2H, d), 7.62(1H, s).
Compound 6: δppm 2.32(3H, s), 2.31-2.36(6H, d), 3.69(3H, s), 3.84(3H, s), 5.07(2H, s), 6.74-6.77(1H, d), 7.17-7.20(1H, m), 7.31-7.36(3H, m), 7.51-7.54(1H, m), 7.61(1H, s).
Compound 12: δppm 2.53(3H, s), 3.74(3H, s), 3.89(3H, s), 5.04(2H, s), 6.78(1H, s), 6.83-6.85(1H, d), 7.18-7.21(1H, m), 7.32-7.35(2H, m), 7.47-7.50(2H, d), 7.64(1H, s).
Compound 17: δppm 1.25-1.32(3H, m), 2.36(3H, s), 2.74-2.76(2H, m), 3.71(3H, s), 3.84(3H, s), 5.08(2H, s), 6.15(1H, s), 6.75-6.78(1H, d), 7.18-7.21(1H, m), 7.33-7.38(3H, m), 7.50-7.54(1H, m), 7.61(1H, s).

Compound 18: δppm 1.10-1.15(3H, t), 2.37(3H, s), 2.60-2.68(2H, q), 3.74(3H, s), 3.89(3H, s), 5.03(2H, s), 6.76 (1H, d), 6.84-6.88(1H, dd), 7.18-7.21(1H, m), 7.32-7.35(2H, m), 7.45-7.53(2H, m), 7.63(1H, s).

Compound 19: δppm 3.48(3H, s), 3.74(3H, s), 3.89(3H, s), 4.56(2H, s), 5.04(2H, s), 6.34(1H, s), 6.79(1H, d), 6.84-6.88(1H, dd), 7.18-7.21(1H, m), 7.30-7.36(2H, m), 7.41-7.44(1H, d), 7.48-7.51 (1H, m), 7.64(1H, s).

Compound 24: δppm 3.72(3H, s), 3.92(3H, s), 5.10(2H, s), 6.78(1H, s), 6.94-7.21(1H, d), 7.22(1H, m), 7.33-7.35(2H, m), 7.36-7.45(2H, m), 7.66(1H, s), 8.13(1H, s).

Compound 25: δppm 2.36(3H, d), 2.62(3H, d), 3.71(3H, s), 3.84(3H, s), 5.09(2H, s), 6.82(1H, d), 7.19-7.21(1H, m), 7.33-7.35(3H, m), 7.36-7.37(1H, m), 7.61(1H, s).

Compound 26: δppm 1.25-1.30(6H, m), 3.20-3.23(1H, m), 3.74(3H, s), 3.91(3H, s), 5.04(2H, s), 6.15(1H, s), 6.790-6.799(1H, d), 6.80-6.90(1H, m), 7.18-7.23(1H, m), 7.32-7.37(2H, m), 7.48-7.57(2H, m), 7.64(1H, s).

Compound 27: δppm 0.95-1.00(3H, t), 1.58(2H, m), 2.36(3H, s), 2.58(2H, t), 3.73(3H, s), 3.89(3H, s), 5.02(2H, s), 6.75(1H, d), 6.84-6.88(1H, dd), 7.18(1H, m), 7.31-7.34(1H, m), 7.47-7.51(2H, m), 7.63(1H, s).

Compound 29: δppm 3.74(3H, s), 3.90(3H, s), 5.06(2H, s,), 6.17(1H, s), 6.80-6.85 (2H, m), 7.24-7.26(1H, m), 7.28-7.35(5H, m), 7.38-7.51(3H, m), 7.66(1H, s).

Compound 32: δppm 3.73(3H, s), 3.90(3H, s), 5.05(2H, s), 6.75-6.78 (1H, dd), 6.84-6.85(1H, d), 6.94-6.98(1H, d), 7.19-7.21(1H, m), 7.30-7.35(4H, m), 7.53-7.55(4H, m), 7.65(1H, s).

Compound 33: δppm 1.27-1.32(3H, m), 2.74-2.77(2H, m), 3.74(3H, s), 3.89(3H, s), 5.04(2H, s), 6.13(1H, s), 6.78-6.79(1H, d), 6.85-6.89(1H, m), 7.18-7.21(1H, m), 7.32-7.35(2H, m), 7.48-7.52(2H, m), 7.64(1H, s).

Compound 34: δppm 0.90-1.03(3H, m), 1.67-1.72(2H, m), 2.65-2.70(2H, m), 3.73(3H, s), 3.89(3H, s), 5.04(2H, s), 6.10(1H, s), 6.78-6.79(1H, d), 6.85-6.89(1H, m), 7.19-7.21(1H, m), 7.33-7.35(2H, m), 7.47-7.51(2H, m), 7.64(1H, s).

Compound 35: δppm 1.00-1.25(3H, m), 1.69-1.72(2H, m), 2.36(3H, s), 2.65-2.70(2H, m), 3.71(3H, s), 3.84(3H, s), 5.08(2H, s), 6.12(1H, s), 6.75-6.78(1H, d), 7.21-7.26(1H, m), 7.33-7.38(3H, m), 7.50-7.53(1H, m), 7.61(1H, s).

Compound 36: δppm 0.97(3H, t), 1.66(2H, m), 2.67(3H, s), 3.74(3H, s), 3.89(3H, s), 5.04(2H, s), 6.78(1H, d), 6.85-6.88(1H, dd), 7.22(1H, m), 7.33-7.35(2H, m), 7.46-7.49(2H, m), 7.64(1H, s).

Compound 37: δppm 1.05(3H, m), 1.57-1.64(2H, m), 2.16(3H, s), 2.71-2.76(2H, t), 3.70(3H, s), 3.83(3H, s), 5.02(2H, s), 6.78(1H, d), 6.87 (1H, m), 7.20(1H, m), 7.32(2H, m), 7.45(2H, m), 7.64(1H, s).

Compound 38: δppm(DMSO-d_6) 3.65(3H, s), 3.88(3H, s), 5.03(2H, s), 6.15(1H, s), 6.83-6.87(1H, dd), 6.91(1H, d), 7.09-7.17(2H, m), 7.23-7.35(4H, m), 7.43-7.46(1H, m), 7.51-7.55(2H, m), 7.66(1H, s).

Compound 41: δppm 3.74(3H, s), 3.91(3H, s), 5.06(2H, s), 6.20(1H, s), 6.86(2H, m), 7.22(2H, m), 7.33- 7.36 (2H, m), 7.56(3H, m), 7.66(1H, s), 7.77(2H, d).

Compound 50: δppm 2.34(3H, s), 3.74(3H, s), 3.89(3H, s), 5.04(2H, s), 6.78-6.79(1H, d), 6.92-6.96(1H, dd), 7.18-7.21(1H, m), 7.32-7.35(2H, m), 7.41-7.44(1H, d), 7.48-7.51(1H, m), 7.65(1H, s).

Compound 52: δppm 3.74(3H, s), 3.90(3H, s), 5.06(2H, s), 6.20(1H, s), 6.80-6.86(1H, m), 7.18-7.22(1H, m), 7.32-7.37(4H, m), 7.41-7.44(2H, m), 7.50-7.52(4H, m), 7.65(1H, s).

Compound 58: δppm 3.74(3H, s), 3.91(6H, d), 3.96(3H, s), 5.06(2H, s), 6.19 (1H, s), 6.81-6.82(1H, m), 6.85 (1H, s), 6.93-7.04(3H, m), 7.19-7.22(1H, m), 7.33-7.36(2H, m), 7.44-7.52(2H, m), 7.66(1H, s).

Compound 68: δppm(DMSO-d_6) 2.49(3H, s), 3.66(3H, s), 3.89(3H, s), 3.92(2H, s), 5.00(2H, s), 6.78-6.79(1H, d), 6.85-6.89(1H, dd), 7.10-7.22(6H, m), 7.26-7.29(2H, m), 7.42(1H, m), 7.61-7.66(2H, m).

Compound 71: δppm 2.54(3H, s), 3.87(3H, s), 4.04(3H, s), 5.02(2H, s), 6.81-6.85(1H, s), 7.26(1H, d), 7.43-7.52(5H, m).

Compound 73: δppm 2.32(3H, s), 2.37(3H, s), 3.84(3H, s), 4.03(3H, s), 5.05(2H, s), 6.13(1H, s), 6.76-6.79(1H, d), 7.26(1H, d), 7.34-7.43(3H, m), 7.45-7.46(1H, d).

Compound 74: δppm 2.18(3H, s), 2.37(3H, s), 3.91(3H, s), 3.98(3H, s), 5.35(2H, s), 6.85(1H, s), 6. 86-6.88(1H, d), 7.26-7.40(3H, m), 7.49-7.52(1H, d), 7.62-7.65(1H, d).

Compound 75: δppm 2.17(3H, s), 2.35(3H, s), 3.86(3H, s), 4.04(3H, s), 5.00(2H, s), 6.78-6.85(2H, m), 7.20-7.25(1H, d), 7.40-7.61 (4H, m).

Compound 80: δppm 2.91-2.93(3H, d), 3.97(3H, s), 5.02(2H, s), 6.23-6.26(1H, d), 6.82-6.86(3H, m), 7.20-7.23(1H, m), 7.34-7.37(1H, d), 7.39-7.45(2H, m), 7.50-7.53(1H, m), 7.61-7.64(1H, d).

Compound 82: δppm 3.87(3H, s), 4.05(3H, s), 5.02(2H, s), 6.23-6.26(1H, d), 6.79-6.85(2H, m), 7.21(1H, d), 7.34-7.37(1H, d), 7.41-7.45(2H, m), 7.47-7.53(1H, m), 7.61-7.64(1H, d).

Compound 236: δppm 1.32-1.36(6H, m), 2.39(3H, s), 3.27(1H, m), 3.74(3H, s), 3.89(3H, s), 5.03(2H, s), 6.72-6.73(1H, d), 6.83-6.87(1H, dd), 7.17-7.20(1H, m), 7.31-7.34(2H, m), 7.46-7.52(2H, m), 7.63(1H, s).

Compound 237: δppm 0.93(3H, m), 1.45(4H, m), 2.35(3H, s), 2.60(2H, t), 3.74(3H, s), 3.89(3H, s), 5.04(2H, s), 6.78(1H, d), 6.84-6.85 (1H, m), 7.18-7.20(1H, m), 7.30-7.35(2H, m), 7.45-7.50(2H, d), 7.64(1H, s).

Compound 239: δppm1.25(6H, m), 1.39(2H, m), 1.63(1H, m), 2.39(3H, s), 2.62(2H, t), 3.72(3H, s), 3.86(3H, s), 5.01(2H, s), 6.78(1H, d), 6.84 (1H, m), 7.20(1H, m), 7.32(2H, m), 7.45(2H, d), 7.64(1H, s).

Compound 240: δppm 0.88(3H, t), 1.42-1.52(8H, m), 2.38(3H, s), 2.64(2H, t), 3.72(3H, s), 3.86(3H, s), 5.01(2H, s), 6.78(1H, d), 6.84 (1H, m), 7.20(1H, m), 7.32(2H, m), 7.45(2H, d), 7.64(1 H, s).

Compound 243: δppm 2.37(3H, s), 3.2-3.6(1H, m), 3.72(3H, s), 3.85(3H, s), 5.09(2H, s), 6.18(1H, s), 6.76-6.79(1H, d), 7.18-7.21(1H, m), 7.34-7.43(3H, m), 7.51-7.54(1H, m), 7.68(1H, 5).
Compound 244: δppm 0.96-1.03(6H, m), 1.58-1.63(4H, m), 2.71-2.79(4H, m), 3.72(3H, s), 3.85(3H, s), 5.00(2H, s), 6.79(1H, d), 6.87 (1H, m), 7.19(1H, m), 7.32(2H, m), 7.45(2H, m), 7.64(1H, s).
Compound 245: δppm 0.86-0.88(3H, m), 1.68-1.75(2H, m), 2.66-2.71(2H, m), 3.87(3H, s), 4.05(3H, s), 5.02(2H, s), 6.80-6.92(3H, m), 7.21-7.26(1H, d), 7.39-7.69(3H, m).
Compound 246: δppm 3.87(3H, s), 4.05(3H, s), 5.02(2H, s), 6.73-6.77(1H, m), 6.87-6.88(1H, d), 6.97-7.00(1H, d), 7.21-7.24(1H, m), 7.28-7.32(2H, m), 7.42-7.57(6H, m).
Compound 247: δppm 0.94(3H, t), 1.46(2H, m), 2.35(3H, s), 2.60(2H, t), 3.74(3H, s), 3.89(3H, s), 5.04(2H, s), 6.78(1H, d), 6.84 (1H, m), 7.20(1H, m), 7.32(2H, m), 7.42-7.45(2H, d), 7.64(1H, s).
Compound 248: δppm 0.94(3H, m), 1.45(4H, m), 2.36(3H, s), 2.60(2H, t), 3.86(3H, s), 4.05(3H, s), 5.00(2H, s), 6.78(1H, d), 6.84 (1H, m), 7.20(1H, m), 7.38-7.45(4H, m).
Compound 249: δppm 0.88(3H, m), 1.48-1.65(8H, m), 2.36(3H, s), 2.62(2H, t), 3.86(3H, s), 4.05(3H, s), 5.00(2H, s), 6.85(1H, m), 6.84 (1H, m), 7.20(1H, m), 7.39-7.45(4H, m).

Formulation example(weight/weight %)

Example 5 60% wettable powder

[0042]

Compound 6	60%
Sodium dodecylnaphthalenesulfate	2 %
Sodium lignosulphonate	9 %
Kaolin	complement to 100%

[0043] All the solid components are well mixed and shattered until the particle size of the active ingredient reaches the standard in order to obtain 60% wettable powder.

Example 6 35 % emulsion concentrate

[0044]

Compound 1	35%
Phosphorous acid	10 %
Ethylenoxy aliphatic acid glycerin ester	15 %
Cyclohexanone	complement to 100%

[0045] Phosphorous acid is dissolved in cyclohexanone, then the compound 1 and ethylenoxy aliphatic acid glycerin ester are added, a emulsifiable concentrate in transparent solution is obtained finally.

Example 7 30 % aqueous Suspension Concentrate

[0046]

Compound 25	30%
Sodium dodecylnaphthalenesulfate	4 %
Hemicellulose	2 %
Epoxypropane	8 %
Water	complement to 100%

[0047] The mixture of compound 25, 80% of the amount of water should being added and sodium dodecylnaphthalenesulfate are shattered in a mill (1mm ball). Other components are dissolved in the rest water, and are added under stirring.

Example 8 25 % suspension emulsifier

[0048]

Compound 12	25 %
Alkylsulphonates (emulsifier 1)	4%
Ethylenoxy aliphatic acid glycerin ester (emulsifier 2)	2%
Calcium dodecylbenzenesulfate (emulsifier 3)	1.5%
Polyethylenoxyalkyl propyl ether (dispersant)	2.5%
Cyclohexanone (solvent 1)	30%
Petroleum fractions (boiling point >200°C) (solvent 2)	complement to 100%

[0049] Compound 12 is dissolved in 80% of the amount of solvent should being added, and then emulsifiers and dispersant are added, the mixture is stirred completely and shattered in a mill(lmm ball). Other solvents are added.

Test of Biological Activity

Example 9 Fungicidal activity determination

[0050] Determination of fungicidal activities against plant diseases of selected compounds were carried out by following procedure:

[0051] Plants were prepared in pot. Technical samples were dissolved in DMF and diluted to required concentration by water. Test solution was sprayed onto potted plant. Pathogen inoculation was carried out after 24 hours then plants were held in growth chambers at constant temperature and moisture for effect. When untreated plant was manifesting a suitable level of disease (after 1 week approximately), assessment was carried out by visual observation.

Part of test results:

[0052]

At 200 ppm, compound 1, 2, 4, 5, 6,12,18,19, 25, 26, 33, 34, 35, 37, 50, 52, 58, 69, 80, 237, 240, 244, 245, 248 and 249 showed 100% control against cucumber downy mildew, while compounds 3, 24, 36, 38 and 246 showed >95% control.

At 200 ppm, compound 2, 6, 18, 50, 58, 71 and 237 showed 100% control against cucumber grey mold, while 6, 72, 73, 74, 77 and 247 showed >75% control.

At 200 ppm, compound 6, 7, 10 showed 100% against grape downy mildew, while 8 and 77 showed >85% control.

At 200 ppm, compound 3 and 72 showed >85% control against rice sheath blight.

At 200 ppm, compound 6, 8, 10 showed >85% control against rice blast.

At 200 ppm, compound 237, 247 and 248 showed 100% control against wheat powdery mildew, while 9, 72, 82 and 245 showed >70% control.

At 200 ppm, compound 6 showed 100% control against wheat leaf rust, while 7, 10 showed >95% control and 8 showed >75% control.

At 200 ppm, compound 6 >90% and compound 7, 8, 9, 10 and 11 showed >80% control against wheat leaf blotch.

At 200 ppm, compound 6, 7 showed >100% control against tomato early stage blight; 8 and 10 showed >90% control, while11 showed >75% control.

At 200 ppm, compound 6 showed >95% control against tomato late blight, while10 showed >75% control.

At 200ppm, compound 5, 6 showed >95% control against corn leaf blight.

[0053] Comparing with the compound JP51 in JP04-182461, test results of some compounds activity against cucumber downy mildew are shown in table 3.

Table 3 Comparision of fungicidal activity against cucumber downy mildew (50 ppm)

Compound	1	2	5	6	12	26	37	52	237	240	244	249	**JP51**
control(%)	100	100	100	100	100	100	100	100	100	100	100	100	**20**

Example 10

Determination of insecticidal /acaricidal activity

[0054] Numerous insect larvae were put into containers then were fed with treated corn leaves. Potter's spraying tower was used as the sprayer and spraying volume was 1 mL. The spraying pressure was 13.5 lb/in^2 (9.3 x 10^4Nm^{-2}).

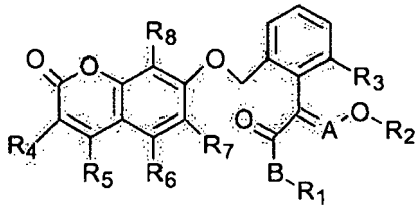
Test result:

[0055]

At 10 ppm, compound 2, 5, 6 showed 100% control of culex mosquitoes.
At 600 ppm, compound 5 and 6 showed >50% control of army worm, diamond backmoth and green peach aphid.
At 300 ppm, compound 7, 9, 10 showed 100% control of Mexican lady beetle, while compound 7 showed >50% control of two-spotted spider mite.

Claims

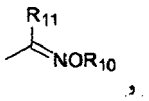
1. A benzopyrone compound of general formula (I):



(I)

or a stereoisomer thereof, wherein:

A is CH or N;
B is O or S;
R_1 andR_2 are respectively selected from H, C_1-C_{12} alkyl or C_1-C_{12} haloalkyl;
R_3 is selected from H, C_1-C_2 alkyl, C_1-C_2 haloalkyl orC_1-C_2 alkoxy;
R_4, R_5, R_6, R_7, and R_8 may be the same or different, and are selected from: H; halo; CN; NO_2; C_1-C_2 alkyl; C_1-C_2 alkenyl; C_1-C_2 alkynyl; C_1-C_2 haloalkyl; C_1-C_2 alkoxy; C_1-C_2 alkylthio; C_1-C_2 alkylsulfonyl; C_1-C_2 alkylcarbonyl; C_1-C_2 alkoxyC_1-C_2alkyl; C_1-C_2 alkoxycarbonyl; C_1-C_2 alkoxycarbonyl C_1-C_2 alkyl; C_1-C_{12} haloalkoxyC_1-C_{12} alkyl; amino C_1-C_{12}alkyl in which amino is optionally substituted with up to 2 C_1-C_{12} alkyl; optionally substituted aryl, aryloxyl, arylC_1-C_{12} alkyl, arylC_1-C_{12} alkoxy, aryloxyC_1-C_{12} alkyl, arylC_1-C_{12} alkoxylC_1-C_{12} alkyl, heteroaryl, heteroarylC_1-C_{12} alkyl, or heteroarylC_1-C_{12} alkoxyl groups, said optional substituents being up to 3 groups selected from (a) halo, (b) NO_2, (c) C_1-C_6 alkyl, (d) C_1-C_6 haloalkyl, (e) C_1-C_6 alkoxy and (f) C_1-C_6 alkoxyC_1-C_6 alkyl; and groups of the formula:

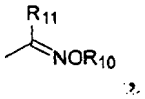


wherein:R_{10} and R_{11} are selected from (a) H, (b) C_1-C_{12} alkyl, (c) aryl and (d) aryl C_1-C_{12} alkyl.

2. The benzopyrone compound according to the claim 1, wherein:

R_1 and R_2 are respectively selected from H, C_1-C_6 alkyl or C_1-C_6 haloalkyl;
R_3 is selected from H, C_1-C_6 alkyl, C_1-C_6 haloalkyl or C_1-C_6 alkoxy;
R_4, R_5, R_6, R_7, and R_8 may be the same or different, and are selected from H; halo; CN; NO_2, alkyl; C_2-C_6 alkenyl; C_2-C_6 alkynyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6 alkylthio; C_1-C_6 alkylsulfonyl; C_1-C_6 alkylcarbonyl;

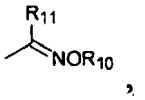
C_1-C_6 alkoxyC_1-C_6 alkyl; C_1-C_6 alkoxycarbonyl; C_1-C_6 alkoxycarbonylC_1-C_6 alkyl; C_1-C_6 haloalkoxyC_1-C_6 alkyl; amino C_1-C_6alkyl in which amino is substituted with up to 2 C_1-C_{12} alkyl; optionally substituted aryl, aryloxyl, arylC_1-C_6 alkyl, arylC_1-C_6 alkoxy, aryloxyC_1-C_6 alkyl, arylC_1-C_6 alkoxylC_1-C_6 alkyl, heteroaryl, heteroarylC_1-C_6 alkyl, or heteroarylC_1-C_6 alkoxyl groups, said optional substituents being up to 3 groups selected from (a) halo, (b) NO_2, (c) C_1-C_2 alkyl, (d) C_1-C_2 haloalkyl, (e) C_1-C_2 alkoxy and (f) C_1-C_2 alkoxyC_1-C_2 alkyl; and groups of the formula:



wherein:R_{10} and R_{11} are respectively selected from (a) H, (b) C_1-C_6 alkyl, (c) aryl and (d) arylC_1-C_6 alkyl.

3. The benzopyrone compound according to the claim 2, wherein:

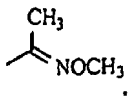
B is O;
R_1 and R_2 are both methyl;
R_3 is H or methyl;
R_4, R_5, R_6, R_7, and R_8 may be the same or different, and are selected from H, halo, CN, NO_2, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, C_1-C_6 alkylcarbonyl, C_1-C_6 alkoxyC_1-C_6 alkyl, C_1-C_6alkoxycarbonyl, C_1-C_6 alkoxycarbonylC_1-C_3alkyl, C_1-C_3 haloalkoxyC_1-C_3 alkyl, amino C_1-C_3alkyl in which amino is optionally substituted with up to 2 C_1-C_3 alkyl; optionally substituted phenyl, phenoxy, phenyl C_1-C_2 alkyl, phenylC_1-C_2 alkoxy, phenoxy C_1-C_2 alkyl, phenylmethyl, phenylmethoxyl, or phenylmethoxy C_1-C_2 alkyl groups, said substitutents being up to 2 groups selected from: (a) halo, (b) NO_2, (c) C_1-C_2 alkyl, (d) C_1-C_2 haloalkyl, (e) C_1-C_2 alkoxy and (f) C_1-C_2 alkoxyC_1-C_2 alkyl; and groups of the formula:



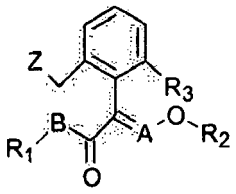
wherein:R_{10} and R_{11} are respectively selected from H and C_1-C_6 alkyl.

4. The benzopyrone compound according to the claim 3, wherein:

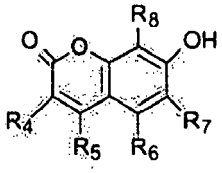
R_4, R_5, R_6, R_7, and R_8 may be the same or different, respectively selected from H; Cl; Br; F; CN; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkylcarbonyl; C_1-C_6 alkoxy; C_1-C_6 alkoxyC_1-C_3 alkyl; C_1-C_3 haloalkoxyC_1-C_3 alkyl; amino C_1-C_3alkyl in which amino is optionally substituted with up to 2 C_1-C_3 alkyl; optionally substituted phenyl, phenoxy, phenylmethyl, or phenylmethoxyl, groups, said substitutents being up to 2 groups selected from: (a) halo; (b) NO_2; (c) C_1-C_2 alkyl; (d) C_1-C_2 haloalkyl; (e) C_1-C_2 alkoxy and (f) C_1-C_2 alkoxyC_1-C_2 alkyl; and the groups of formula:



5. A preparation method of a benzopyrone compound according to any preceding claim, wherein the compound of general formula (I) is prepared by reaction of Benzylhalide having general formula (II) with a 7-OH-benzopyrone compound having general formula (III) in the presence of base:



II



III

wherein:

Z is a leaving group selected from Cl or Br; and A, B and R_1 to R_8 are as defined in a preceding claim.

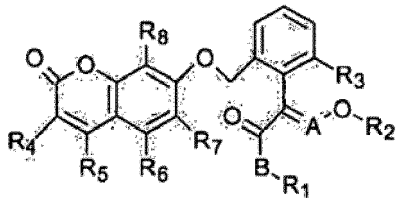
6. Use of a benzopyrone compound according to any of claims 1-4 for controlling insects in plants.

7. Use of a benzopyrone compound according to any of claims 1-4 for controlling fungi in plants.

8. A fungicidal and insectidal composition which comprises the compound of claim 1 as an active ingredient, wherein the weight percentage of the active ingredient in the composition is from 0.1 % to 99%.

Patentansprüche

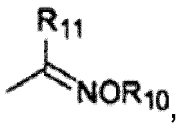
1. Benzopyron-Verbindung der allgemeinen Formel (I):



(I)

oder ein Stereoisomer davon, worin:

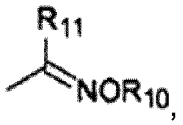
A CH oder N ist;
B O oder S ist;
R_1 und R_2 jeweils unabhängig voneinander aus H, C_1-C_{12}-Alkyl und C_1-C_{12}-Halogenalkyl ausgewählt sind;
R_3 aus H, C_1-C_{12}-Alkyl, C_1-C_{12}-Halogenalkyl oder C_1-C_{12}-Alkoxy ausgewählt ist;
R_4, R_5, R_6, R_7 und R_8 gleich oder unterschiedlich sein können und aus H; Halogen; CN; NO_2; C_1-C_{12}-Alkyl; C_2-C_{12}-Alkenyl; C_2-C_{12}-Alkinyl, C_1-C_{12}-Halogenalkyl, C_1-C_{12}-Alkoxy, C_1-C_{12}-Alkylthio; C_1-C_{12}-Alkylsulfonyl, C_1-C_{12}-Alkylcarbonyl, C_1-C_{12}Alkoxy-C_1-C_{12}-alkyl; C_1-C_{12}-Alkoxycarbonyl; C_1-C_{12}-Alkoxycarbonyl-C_1-C_{12}-alkyl; C_1-C_{12}-Halogenalkoxy-C_1-C_{12}-alkyl; Amino-C_1-C_{12}-alkyl, worin Amino gegebenenfalls mit 2 C_1-C_{12}-Alkylgruppen substituiert ist; gegebenenfalls substituierten Aryl-, Aryl-oxyl-, Aryl-C_1-C_{12}-alkyl-, Aryl-C_1-C_{12}-alkoxy-, Aryloxy-C_1-C_{12}-alkyl-, Aryl-C_1-C_{12}-alkoxy-C_1-C_{12}-alkyl-, Heteroaryl-, Heteroaryl-C_1-C_{12}-alkyl- und Heteroaryl-C_1-C_{12}-alkoxygruppen, wobei die optionalen Substituenten bis zu 3 Gruppen sind, die aus (a) Halogen, (b) NO_2, (c) C_1-C_6-Alkyl, (d) C_1-C_6-Halogenalkyl, (e) C_1-C_6-Alkoxy und (f) C_1-C_6-Alkoxy-C_1-C_6-alkyl ausgewählt sind; und Gruppen der Formel:



ausgewählt sind, worin R_{10} und R_{11} aus (a) H, (b) C_1-C_{12}-Alkyl, (c) Aryl und (d) Aryl-C_1-C_{12}-alkyl ausgewählt sind.

2. Benzopyron-Verbindung nach Anspruch 1, worin:

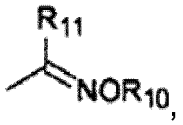
R_1 und R_2 jeweils unabhängig voneinander aus H, C_1-C_6-Alkyl und C_1-C_6-Halo-genalkyl ausgewählt sind;
R_3 aus H, C_1-C_6-Alkyl, C_1-C_6-Halogenalkyl und C_1-C_6-Alkoxy ausgewählt ist;
R_4, R_5, R_6, R_7 und R_8 gleich oder unterschiedlich sein können und aus H; Halogen; CN; NO_2; C_1-C_6-Alkyl; C_2-C_6-Alkenyl; C_2-C_6-Alkinyl, C_1-C_6-Halogenalkyl, C_1-C_6-Alkoxy; C_1-C_6-Alkylthio; C_1-C_6-Alkylsulfonyl; C_1-C_6-Alkylcarbonyl; C_1-C_6-Alkoxy-C_1-C_6-alkyl; C_1-C_6-Alkoxycarbonyl; C_1-C_6-Alkoxycarbonyl-C_1-C_6-alkyl; C_1-C_6-Halogen-alkoxy-C_1-C_6-alkyl; Amino-C_1-C_6-alkyl, worin Amino gegebenenfalls mit 2 C_1-C_{12}-Alkylgruppen substituiert ist; gegebenenfalls substituierten Aryl-, Aryloxyl-, Aryl-C_1-C_6-alkyl-, Aryl-C_1-C_6-alkoxy-, Aryloxy-C_1-C_6-alkyl-, Aryl-C_1-C_6-alkoxy-C_1-C_6-alkyl-, Heteroaryl-, Heteroaryl-C_1-C_6-alkyl- und Heteroaryl-C_1-C_6-alkoxygruppen, wobei die optionalen Substituenten bis zu 3 Gruppen sind, die aus (a) Halogen, (b) NO_2, (c) C_1-C_2-Alkyl, (d) C_1-C_2-Halogenalkyl, (e) C_1-C_2-Alkoxy und (f) C_1-C_2-Alkoxy-C_1-C_2-alkyl ausgewählt sind; und Gruppen der Formel:



ausgewählt sind, worin R_{10} und R_{11} aus (a) H, (b) C_1-C_6-Alkyl, (c) Aryl und (d) Aryl-C_1-C_6-alkyl ausgewählt sind.

3. Benzopyron-Verbindung nach Anspruch 2, worin:

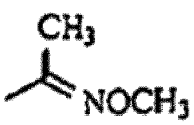
B O ist;
R_1 und R_2 beide Methyl sind;
R_3 H oder Methyl ist;
R_4, R_5, R_6, R_7 und R_8 gleich oder unterschiedlich sein können und aus H; Halogen; CN; NO_2; C_1-C_6-Alkyl; C_2-C_6-Alkenyl; C_1-C_6-Halogenalkyl, C_1-C_6-Alkoxy; C_1-C_6-Alkylcarbonyl; C_1-C_6-Alkoxy-C_1-C_6-alkyl; C_1-C_6-Alkoxycarbonyl; C_1-C_6-Alkoxycarbonyl-C_1-C_6-alkyl; C_1-C_3-Halogenalkoxy-C_1-C_3-alkyl; Amino-C_1-C_3-alkyl, worin Amino gegebenenfalls mit 2 C_1-C_3-Alkylgruppen substituiert ist; gegebenenfalls substituierten Phenyl-, Phenoxy-, Phenyl-C_1-C_2-alkyl-, Phenyl-C_1-C_2-alkoxy, Phenoxy-C_1-C_2-alkyl-, Phenylmethyl-, Phenylmethoxyl- und Phenylmethoxy-C_1-C_2-alkylgruppen, wobei die Substituenten bis zu 2 Gruppen sind, die aus (a) Halogen, (b) NO_2, (c) C_1-C_2-Alkyl, (d) C_1-C_2-Halogenalkyl, (e) C_1-C_2-Alkoxy und (f) C_1-C_2-Alkoxy-C_1-C_2-alkyl ausgewählt sind; und Gruppen der Formel:



ausgewählt sind, worin R_{10} und R_{11} jeweils aus H und C_1-C_6-Alkyl ausgewählt sind.

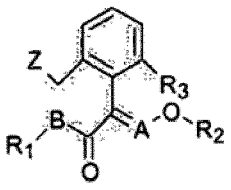
4. Benzoypron-Verbindung nach Anspruch 3, worin:

R_4, R_5, R_6, R_7 und R_8 gleich oder unterschiedlich sein können und aus H; Cl; Br; F; CN; C_1-C_6-Alkyl; C_1-C_6-Halogenalkyl; C_1-C_6-Alkylcarbonyl; C_1-C_6-Alkoxy; C_1-C_6-Alkoxy-C_1-C_3-alkyl; C_1-C_3-Halogenalkoxy-C_1-C_3-alkyl; Amino-C_1-C_3-alkyl, worin Amino gegebenenfalls mit bis zu 2 C_1-C_3-Alkylgruppen substituiert ist; gegebenenfalls substituierten Phenyl-, Phenoxy-, Phenylmethyl- und Phenylmethoxylgruppen, wobei die Substituenten bis zu 2 Gruppen sind, die aus (a) Halogen, (b) NO_2, (c) C_1-C_2-Alkyl, (d) C_1-C_2-Halogenalkyl, (e) C_1-C_2-Alkoxy und (f) C_1-C_2-Alkoxy-C_1-C_2-alkyl ausgewählt sind; und Gruppen der Formel:

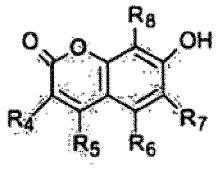


ausgewählt sind.

5. Herstellungsverfahren für eine Benzopyronverbindung nach einem der vorangegangenen Ansprüche, wobei die Verbindung der allgemeinen Formel (I) durch Umsetzen von Benzylhalogenid der allgemeinen Formel (II) mit einer 7-OH-Benzopyron-Verbindung der allgemeinen Formel (III) in Gegenwart einer Base hergestellt wird:



II



III

worin:

Z eine Abgangsgruppe ist, die aus Cl oder Br ausgewählt ist; und A, B und R_1 bis R_8 wie in einem vorangegangenen Anspruch definiert sind.

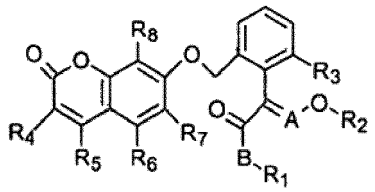
6. Verwendung einer Benzopyron-Verbindung nach einem der Ansprüche 1 bis 4 zur Bekämpfung von Insekten in Pflanzen.

7. Verwendung einer Benzopyron-Verbindung nach einem der Ansprüche 1 bis 4 zur Bekämpfung von Pilzen in Pflanzen.

8. Fungizid- oder Insektizidzusammensetzung, die eine Verbindung nach Anspruch 1 als Wirkbestandteil umfasst, wobei der gewichtsprozentuelle Gehalt des Wirkbestandteils in der Zusammensetzung 0,1 % bis 99 % beträgt.

Revendications

1. Composé benzopyrone de formule générale (I) :

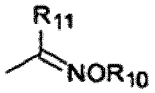


(I)

ou un stéréoisomère de celui-ci, dans lequel :

A est CH ou N ;
B est 0 ou S ;
R_1 et R_2 sont respectivement choisis parmi H, un groupe alkyle en C_1 à C_{12} ou un groupe halogénoalkyle en C_1 à C_{12} ;
R_3 est choisiparmi H, un groupe alkyle en C_1 à C_{12}, un groupe halogénoalkyle en C_1 à C_{12} ou un groupe alcoxy en C_1 à C_{12} ;
R_4, R_5, R_6, R_7 et R_8 peuvent être identiques ou différents et sont choisis parmi : H, un atome d'halogène ; CN ; NO_2 ; un groupe alkyle en C_1 à C_{12} ; un groupe alcényle en C_2 à C_{12} ; un groupe alcynyle en C_2 à C_{12} ; un groupe halogénoalkyle en C_1 à C_{12} ; un groupe alcoxy en C_1 à C_{12} ; un groupe alkylthio en C_1 à C_{12} ; un groupe alkylsulfonyle en C_1 à C_{12} ; un groupe alkylcarbonyle en C_1 à C_{12} ; un groupe (alcoxy en C_1 à C_{12}) alkyle en C_1 à C_{12} ; un groupe alcoxycarbonyle en C_1 à C_{12} ; ;un groupe (alcoxycarbonyle en C_1 à C_{12}) alkyle en C_1 à C_{12} ; un groupe (halogénoalcoxy en C_1 à C_{12}) alkyle en C_1 à C_{12} ; un groupe aminoalkyle en C_1 à C_{12} dans lequel le groupe amino est facultativement substitué par jusqu'à deux groupes alkyle en C_1 à C_{12} ; aryle facultativement substitué, aryloxyle, arylalkyle en C_1 à C_{12}, arylalcoxy en C_1 à C_{12}, aryloxyalkyle en C_1 à C_{12}, (arylalcoxy en C_1 à C_{12}) alkyle en C_1 à C_{12}, hétéroaryle, hétéroarylalkyle en C_1 à C_{12} ou hétéroarylalcoxy en C_1 à C_{12}, lesdits substituants facultatifs étant jusqu'à 3 groupes choisis parmi (a) un atome d'halogène, (b) NO_2, (c) un groupe alkyle en C_1 à C_6, (d) un groupe halogénoalkyle en C_1 à C_6, (e) un groupe alcoxy en C_1 à

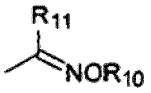
C_6 et (f) un groupe (alcoxy en C_1 à C_6) alkyle en C_1 à C_6 ; et les groupes de formule :



dans laquelle R_{10} et R_{11} sont choisis parmi (a) H, (b) un groupe alkyle en C_1 à C_{12}, (c) un groupe aryle et (d) un groupe arylalkyle en C_1 à C_{12}.

2. Composé benzopyrone selon la revendication 1, dans lequel :

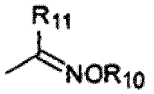
R_1 et R_2 sont respectivement choisis parmi H, un groupe alkyle en C_1 à C_6 ou un groupe halogénoalkyle en C_1 à C_6 ;
R_3 est choisiparmi H, un groupe alkyle en C_1 à C_6, un groupe halogénoalkyle en C_1 à C_6 ou un groupe alcoxy en C_1 à C_6 ;
R_4, R_5, R_6, R_7 et R_8 peuvent être identiques ou différents et sont choisis parmi : H, un atome d'halogène ; CN ; NO_2 ; un groupe alkyle en C_1 à C_6 ; un groupe alcényle en C_2 à C_6 ; un groupe alcynyle en C_2 à C_6 ; un groupe halogénoalkyle en C_1 à C_6 ; un groupe alcoxy en C_1 à C_6 ; un groupe alkylthio en C_1 à C_6 ; un groupe alkylsulfonyle en C_1 à C_6 ; un groupe alkylcarbonyle en C_1 à C_6 ; un groupe (alcoxy en C_1 à C_6 alkyle en C_1 à C_6 ; un groupe alcoxycarbonyle en C_1 à C_6 ;un groupe (alcoxycarbonyle en C_1 à C_6) alkyle en C_1 à C_6 ; un groupe (halogénoalcoxy en C_1 à C_6) alkyle en C_1 à C_6 ; un groupe aminoalkyle en C_1 à C_6 dans lequel le groupe amino est facultativement substitué par jusqu'à deux groupes alkyle en C_1 à C_{12} ; aryle facultativement substitué, aryloxyle, arylalkyle en C_1 à C_6, arylalcoxy en C_1 à C_6, aryloxyalkyle en C_1 à C_6, (arylalcoxy en C_1 à C_6) alkyle en C_1 à C_6, hétéroaryle, hétéroarylalkyle en C_1 à C_6 ou hétéroarylalcoxy en C_1 à C_6, lesdits substituants facultatifs étant jusqu'à 3 groupes choisis parmi (a) un atome d'halogène, (b) NO_2, (c) un groupe alkyle en C_1 à C_2, (d) un groupe halogénoalkyle en C_1 à C_2, (e) un groupe alcoxy en C_1 à C_2 et (f) un groupe (alcoxy en C_1 à C_2) alkyle en C_1 à C_2 ; et les groupes de formule :



dans laquelle R_{10} et R_{11} sont choisis parmi (a) H, (b) un groupe alkyle en C_1 à C_6, (c) un groupe aryle et (d) un groupe arylalkyle en C_1 à C_6.

3. Composé benzopyrone selon la revendication 2, dans lequel :

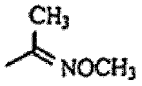
B est O ;
R_1 et R_2 sont tous deux des groupes méthyle ;
R_3 est H ou un groupe méthyle ;
R_4, R_5, R_6, R_7 et R_8 peuvent être identiques ou différents et sont choisis parmi H, un atome d'halogène, CN, NO_2, un groupe alkyle en C_1 à C_6, un groupe alcényle en C_2 à C_6, un groupe halogénoalkyle en C_1 à C_6, un groupe alcoxy en C_1 à C_6, un groupe alkylcarbonyle en C_1 à C_6, un groupe (alcoxy en C_1 à C_6) alkyle en C_1 à C_6, un groupe alcoxycarbonyle en C_1 à C_6, un groupe (alcoxycarbonyle en C_1 à C_6) alkyle en C_1 à C_3, un groupe (halogénoalcoxy en C_1 à C_3) alkyle en C_1 à C_3, un groupe aminoalkyle en C_1 à C_3 dans lequel le groupe amino est facultativement substitué par jusqu'à deux groupes alkyle en C_1 à C_3 ; phényle facultativement substitué, phénoxy, phénylalkyle en C_1 à C_2, phénylalcoxy en C_1 à C_2, phénoxyalkyle en C_1 à C_2, phénylméthyle, phénylméthoxy ou phénylméthoxyalkyle en C_1 à C_2, lesdits substituants étant jusqu'à 2 groupes choisis parmi : (a) un atome d'halogène, (b) NO_2, (c) un groupe alkyle en C_1 à C_2, (d) un groupe halogénoalkyle en C_1 à C_2, (e) un groupe alcoxy en C_1 à C_2 et (f) un groupe (alcoxy en C_1 à C_2) alkyle en C_1 à C_2 ; et les groupes de formule :



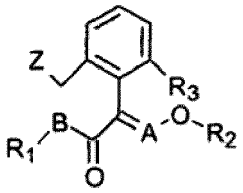
dans laquelle R_{10} et R_{11} sont choisis parmi H et un groupe alkyle en C_1 à C_6.

4. Composé benzopyrone selon la revendication 3, dans lequel :

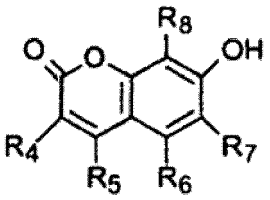
R_4, R_5, R_6, R_7 et R_8 peuvent être identiques ou différents et sont choisis parmi H ; Cl ; Br ; F ; CN ; un groupe alkyle en C_1 à C_6 ; un groupe halogénoalkyle en C_1 à C_6 ; un groupe alkylcarbonyle en C_1 à C_6 ; un groupe alcoxy en C_1 à C_6 ; un groupe (alcoxy en C_1 à C_6) alkyle en C_1 à C_3 ; un groupe (halogénoalcoxy en C_1 à C_3) alkyle en C_1 à C_3 ; un groupe aminoalkyle en C_1 à C_3 dans lequel le groupe amino est facultativement substitué par jusqu'à deux groupes alkyle en C_1 à C_3 ; phényle facultativement substitué, phénoxy, phénylméthyle ouphénylméthoxy, lesdits substituants étant jusqu'à 2 groupes choisis parmi : (a) un atome d'halogène, (b) NO_2, (c) un groupe alkyle en C_1 à C_2, (d) un groupe halogénoalkyle en C_1 à C_2, (e) un groupe alcoxy en C_1 à C_2 et (f) un groupe (alcoxy en C_1 à C_2) alkyle en C_1 à C_2 ; et les groupes de formule :



5. Procédé de préparation d'un composé benzopyrone selon l'une quelconque des revendications précédentes, dans lequel le composé de formule générale (I) est préparé en faisant réagir un halogénure de benzyle répondant à la formule générale (II) avec un composé 7-OH-benzopyrone répondant à la formule générale (III) en présence d'une base :



II



III

dans lesquelles :

Z est un groupe partant choisi parmi Cl ou Br ; et A, B et R_1 à R_8 sont tels que définis selon l'une quelconque des revendications précédentes.

6. Utilisation d'un composé benzpyrone selon l'une quelconque des revendications 1 à 4 pour lutter contre les insectes dans les plantes.

7. Utilisation d'un composé benzpyrone selon l'une quelconque des revendications 1 à 4 pour lutter contre les champignons dans les plantes.

8. Composition fongicide et insecticide qui comprend le composé selon la revendication 1 en tant que principe actif, dans laquelle le pourcentage en poids du principe actif dans la composition est de 0,1 % à 99 %.

REFERENCES CITED IN THE DESCRIPTION

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- US 4723034 A **[0022]**
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- *Journal of Medicinal Chemistry,* 2001, vol. 44 (5), 664-671 **[0023]**