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(71) Applicant *(for all designated States except US)*: E.I. DU PONT DE NEMOURS AND COMPANY [US/US]; 1007 Market Street, Wilmington, DE 19898 (US).

(72) Inventor; and
(75) Inventor/Applicant *(for US only)* : MOORE, Earl, Phillip [US/US]; 2908 Cobbs Way, Anderson, SC 29621 (US).

(74) Agent: COSTELLO, James, A.; E.I. du Pont de Nemours and Company, 1007 Market Street, Wilmington, DE 19898 (US).

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(54) Title: TABLET FORMULATIONS OF PESTICIDES

(57) Abstract

A tablet formulation comprising (i) a pesticide characterized by low or no water solubility, and (ii) a complementary delivery system containing an organic acid, an inorganic base, a dispersant, a disintegrant, and a wetting agent.

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TITLE

TABLET FORMULATIONS OF PESTICIDES

BACKGROUND OF THE INVENTION

This invention concerns specific pesticide formulations especially suited for commercial use in tablet form. Several types of tablet formulations are known in the art. See, for instance, G.B. 2,139,893; G.B. 2,184,946; U.S. 4,182,620 and Kokai 51088641. However, these publications do not disclose or suggest the specific combinations of active ingredient(s) and delivery system(s) of this invention. The formulations of this invention afford rapid disintegration and dispersion, even in cold water, of pesticidally active compounds that are water insoluble or of very low water solubility.

SUMMARY OF THE INVENTION

This invention concerns a tablet formulation consisting essentially of, by total weight of the formulated composition:

(i) about 20% to 75% of a pesticide characterized by a melting point of at least about 100°C and solubility in neutral water at 20°C of no more than about 5% by weight, and

(ii) about 25% to 80% of a delivery system characterized by a panel of components complementary to the pesticide of (i).

Typically, the delivery system (ii) will contain the following components in these amounts by weight of the total composition:

(a) about 5% to 20% of a dibasic or tribasic organic carboxylic acid or a mixture thereof;

(b) about 7% to 50% of an ammonium or alkali metal carbonate or bicarbonate or a mixture thereof;

(c) about 0.5% to 20% of a dispersant;

(d) about 0.1% to 5% of water-insoluble cross-linked polyvinylpyrrolidone; and

(e) about 0.1% to 5% of an anionic or nonionic wetting agent.

The delivery system is characterized by the interrelationship of components (a) to (e) in the recited ranges to effect rapid disintegration of finely dispersed pesticide particles (i).

By "tablet formulation" is meant the tablet made from the composition described herein, as well as the composition formulated in accordance with this disclosure but not in tablet form.

Contemplated pesticides include those selected from the following classes, including mixtures thereof: herbicides, fungicides, bactericides, insecticides, nematocides, acaricides, and growth regulants.

Preferred dibasic and tribasic organic carboxylic acids include citric, fumaric, phthalic, maleic, malic, oxalic, adipic, glutaric, 2-methyl glutaric, succinic and tartaric, or mixtures of any of them. Preferred carbonates and bicarbonates include the lithium, sodium, and potassium salts or mixtures of any of them.

The term "dispersants" includes sodium salts of naphthalene formaldehyde condensates; sodium, potassium and calcium salts of naphthalene sulfonic acid condensates; lithium, sodium, potassium, calcium, and ammonium salts of lignosulfonates such as Polyfon H® and Lignosol TSF®; sodium, potassium

and ammonium salts of polyacrylates and carboxylates, e.g., Tamol 731 SD; sodium salts of maleic anhydride-isobutylene copolymers; and water soluble nonionic polymers such as polyvinylpyrrolidone, polyethylene oxides and cellulose derivatives. Preferred dispersants include the sodium, potassium, ammonium and calcium salts of naphthalene sulfonic acid condensates, with the ammonium salts, specifically Lomar PWA, more preferred.

Water-insoluble, cross-linked polyvinylpyrrolidone disintegrant refers to any of the generic crospovidone disintegrating agents. Specifically preferred is Polyplasdone® XL 10.

The term "anionic wetting agent" includes alkylbenzene sulfonates, alkyl and dialkylnaphthalene sulfonates, alkyl and alcohol sulfates, sulfoalkylamides, carboxylates, alpha-olefin sulfonates and dialkyl sulfosuccinates. The term "nonionic wetting agent" includes acetylenic diols, ethylene oxide-propylene oxide copolymers, alkylphenol ethoxylates, fatty acid ethoxylates, alcohol ethoxylates, sorbitan fatty acid ester ethoxylates and castor oil ethoxylates. The preferred wetting agents are sodium dialkyl sulfosuccinates of which sodium diisobutyl sulfosuccinate (Monawet MB-100), sodium diamyl sulfosuccinate and sodium dicyclohexyl sulfosuccinate are more preferred.

DETAILS OF THE INVENTION

Preferred pesticides are those listed in Table 1.

TABLE 1

HERBICIDES

Cmpd. No.	Common Name	m.p.(°C)	Chemical Name
1	acifluorfen	142-160	5-[2-chloro-4-(trifluoro methyl)phenoxy]-2-nitro-benzoic acid
2	asulam	142-144	methyl [(4-aminophenyl)-sulfonyl]carbamate
3	atrazine	175-177	6-chloro-N-ethyl-N'-(1-methylethyl)-1,3,5-triazine-2,4-diamine
4	bensulfuron methyl	185-188	2-[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]-carbonyl]amino]sulfonyl]-methyl]benzoic acid, methyl ester
5	bentazon	137-139	3-(1-methylethyl)-(1H)-2,1,3-benzothiadiazin-4(3H)-one, 2,2-dioxide
6	bromacil	158-159	5-bromo-6-methyl-3-(1-methyl-propyl)-2,4(1H,3H)pyrimi-dinedione
7	bromoxynil	194-195	3,5-dibromo-4-hydroxybenzo-nitrile

Cmpd. No.	Common Name	m.p.(°C)	Chemical Name
8	chloramben	200-201	3-amino-2,5-dichlorobenzoic acid
9	chlorimuron ethyl	>100	2-[[[[(4-chloro-6-methoxy-2-pyrimidinyl)amino]carbonyl]-amino]sulfonyl]benzoic acid, ethyl ester
10	chloroxuron	151-152	N'-[4-(4-chlorophenoxy)-phenyl]N,N-dimethylurea
11	chlorsulfuron	174-178	2-chloro-N-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-amino]carbonyl]benzene-sulfonamide
12	chlortoluron	147-148	N'-(3-chloro-4-methylphenyl)-N,N-dimethylurea
13	clomazone	151-152	2-[(2-chlorophenyl)methyl]-4,4-dimethyl-3-isoxazoli-dinone
14	cyanazine	166-167	2-[[4-chloro-6-(ethylamino)-1,3,5-triazin-2-yl]amino]-2-methylpropanenitrile
15	dazomet	104-105	tetrahydro-3,5-dimethyl-2H-1,3,5-thiadiazine-2-thione

Cmpd. No.	Common Name	m.p.(°C)	Chemical Name
16	desmediphan	120	ethyl [3-[[(phenylamino)-carbonyl]oxy]phenyl]-carbamate
17	dicamba	114-116	3,6-dichloro-2-methoxybenzoic acid
18	dichlobenil	139-145	2,6-dichlorobenzonitrile
19	dichlorprop	117-118	(±)-2-(2,4-dichlorophenoxy)-propanoic acid
20	diphenamid	134-135	N,N-dimethyl-α-phenylbenzene-acetamide
21	dipropetryn	104-106	6-(ethylthio)-N,N'-bis(1-methylethyl)-1,3,5-triazine-2,4-diamine
22	diuron	158-159	N'-(3,4-dichlorophenyl)-N,N-dimethylurea
23	thiameturon	>100	3-[[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]-carbonyl]amino]sulfonyl]-2-thiophenecarboxylic acid, methyl ester

Cmpd. No.	Common Name	m.p.(°C)	Chemical Name
24	----	>100	2-[[[[N-(4-methoxy-6-methyl-1,3,5-triazine-2-yl)-N-methylamino]carbonyl]-amino]sulfonyl]benzoic acid, methyl ester
25	fenac	156	2,3,6-trichlorobenzeneacetic acid
26	fenuron	133-134	N,N-dimethyl-N'-phenylurea
27	fluometuron	163-164	N,N-dimethyl-N'-[3-(trifluoro-methyl)phenyl]urea
28	fluridone	151-154	1-methyl-3-phenyl-5-[3-(tri-fluoromethyl)phenyl]-4(1H)-pyridinone
29	fomesafen	220-221	5-[2-chloro-4-(trifluoro-methyl)phenoxy]-N-(methyl-sulfonyl)-2-nitrobenzamide
30	glyphosate	200	N-(phosphonomethyl)glycine
31	hexazinone	115-117	3-cyclohexyl-6-(dimethyl-amino)-1-methyl-1,3,5-triazine-2,4(1H,3H)-dione

Cmpd. No.	Common Name	m.p.(°C)	Chemical Name
32	imazamethabenz	>100	6-(4-isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)-m-toluic acid, methyl ester and 6-(4-isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)-p-toluic acid, methyl ester
33	imazaquin	219-222	2-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-3-quinolinecarboxylic acid
34	imazethapyr	172-175	(±)-2-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-5-ethyl-3-pyridinecarboxylic acid
35	ioxynil	209	4-hydroxy-3,5-diiodobenzonitrile
36	isoproturon	155-156	N-(4-isopropylphenyl)-N',N'-dimethylurea
37	isouron	119-120	N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N,N-dimethylurea
38	isoxaben	176-179	N-[3-(1-ethyl-1-methylpropyl)-5-isoxazolyl]-2,6-dimethoxybenzamide

Cmpd. No.	Common Name	m.p.(°C)	Chemical Name
39	karbutilate	176-178	3-[[(dimethylamino)carbonyl]-amino]phenyl-(1,1-dimethyl-ethyl)carbamate
40	lenacil	316-317	3-cyclohexyl-6,7-dihydro-1H-cyclopentapyrimidine-2,4-(3H,5H)dione
41	MCPA	100-115	(4-chloro-2-methylphenoxy)-acetic acid
42	MCPB	100	4-(4-chloro-2-methylphenoxy)-butanoic acid
43	mefluidide	183-185	N-[2,4-dimethyl-5-[[(tri-fluoromethyl)sulfonyl]-amino]phenyl]acetamide
44	methabenz-thiazuron	119-120	1,3-dimethyl-3-(2-benzothia-zolyl)urea
45	methazole	123-124	2-(3,4-dichlorophenyl)-4-methyl-1,2,4-oxadiazol-idine-3,5-dione
46	metribuzin	125-126	4-amino-6-(1,1-dimethylethyl)-3-(methylthio)-1,2,4-triazin-5(4H)-one

Cmpd. No.	Common Name	m.p.(°C)	Chemical Name
47	metsulfuron methyl	163-166	2-[[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]-carbonyl]amino]sulfonyl]-benzoic acid, methyl ester
48	monuron	174-175	N'-(4-chlorophenyl)-N,N-dimethylurea
49	naptalam	185	2-[(1-naphthalenylamino)-carbonyl]benzoic acid
50	neburon	102-103	1-butyl-3-(3,4-dichloro-phenyl)-1-methylurea
51	nitralin	151-152	4-(methylsulfonyl)-2,6-dinitro-N,N-dipropyl-aniline
52	norflurazon	174-180	4-chloro-5-(methylamino)-2-[3-(trifluoromethyl)phenyl]-3(2H)-pyridazinone
53	oryzalin	141-142	4-(dipropylamino)-3,5-dinitro-benzenesulfonamide
54	perfluidone	142-144	1,1,1-trifluoro-N-[2-methyl-4-(phenylsulfonyl)phenyl]-methanesulfonamide
55	phenmedipham	143-144	3-[(methoxycarbonyl)amino]-phenyl (3-methylphenyl)-carbamate

Cmpd. No.	Common Name	m.p.(°C)	Chemical Name
56	picloram	>215 (DEC)	4-amino-3,5,6-trichloro-2-pyridinecarboxylic acid
57	prometryn	118-120	N,N'-bis(1-methylethyl)-6-(methylthio)-1,3,5-triazine-2,4-diamine
58	pronamide	155-156	3,5-dichloro-N-(1,1-dimethyl-2-propynyl)benzamide
59	propazine	212-214	6-chloro-N,N'-bis(1-methylethyl)-1,3,5-triazine-2,4-diamine
60	pyrazon	205-206	5-amino-4-chloro-2-phenyl-3(2H)pyridazinone
61	siduron	133-138	N-(2-methylcyclohexyl)-N'-phenylurea
62	simazine	225-227	6-chloro-N,N'-diethyl-1,3,5-triazine-2,4-diamine
63	sulfometuron methyl	182-189	2-[[[[(4,6-dimethyl-2-pyrimidinyl)amino]carbonyl]-amino]sulfonyl]benzoic acid, methyl ester
64	tebuthiuron	161-164	N-[5-(1,1-dimethylethyl)-1,3,4-thiadiazol-2-yl]-N,N'-dimethylurea

Cmpd. No.	Common Name	m.p.(°C)	Chemical Name
65	terbacil	175-177	5-chloro-3-(1,1-dimethyl-ethyl)-6-methyl-2,4(1H,3H)-pyrimidinedione
66	terbuthyl-azine	177-179	2-(tert-butylamino)-4-chloro-6-(ethyl-amino)-s-triazine
67	terbutryn	104-105	N-(1,1-dimethylethyl)-N'-ethyl-6-(methylthio)-1,3,5-triazine-2,4-diamine
68	triclopyr	148-150	[(3,5,6-trichloro-2-pyri-dinyl)oxy]acetic acid
69	2,4-D	140	(2,4-dichlorophenoxy)acetic acid
70	2,4-DB	119-120	4-(2,4-dichlorophenoxy)-butanoic acid
71	triasulfuron	>100	(3-(6-methoxy-4-methyl-1,3,5-triazin-2-yl)-1-[2-(2-chloroethoxy)phenylsulfonyl] urea
72	primisulfuron	>100	[2-/3-(4,6-bis(difluoro-methoxypyrimidin-2-yl-ureidosulfonyl)benzoic acid methylester]

Cmpd. No.	Common Name	m.p.(°C)	Chemical Name
73	----	>100	[2-/3-(4,6-bis(difluoro-methoxy)-pyrimidin-2-yl)-ureidosulfonyl)-benzoic acid methylester]
74	NC-311	170-172	[5-pyrazolesulfonamide, N-[(4-methoxy-6-methyl-pyrimidine-2-yl)-amino-carbonyl]-4-methoxy-carbonyl-1-methyl-]
75	----	160-162	N-[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]-3-(ethylsulfonyl)-2-pyridinesulfonamide
76	----	152-159	2-[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]-amino]sulfonyl]-N,N-dimethyl-3-pyridine-carboxamide
77	----	204-206	Methyl 2-[[[[[4-ethoxy-6-(methylamino)-1,3,5-triazin-2-yl]amino]carbonyl]amino]-sulfonyl]benzoate

FUNGICIDES

78	carbendazim	302-307	methyl 2-benzimidazole-carbamate

Cmpd. No.	Common Name	m.p.(°C)	Chemical Name
79	thiuram	146	tetramethylthiuram disulfide
80	dodine	136	n-dodecylguanidine acetate
81	chloroneb	133-135	1,4-dichloro-2,5-dimethoxy-benzene
82	cymoxanil	160-161	2-cyano-N-ethylcarbamoyl-2-methoxyiminoacetamide
83	captan	178	N-trichloromethylthiotetra-hydrophthalamide
84	folpet	177	N-trichloromethylthio-phthalimide
85	thiophanate-methyl	195	dimethyl 4,4'-(o-phenylene)-bis(3-thioallophanate)
86	thiabendazole	304-305	2-(thiazol-4-yl)benzimida-zole
87	chlorothalonil	240-241	tetrachloroisophthalo-nitrile
88	dichloran	195	2,6-dichloro-4-nitroaniline
89	captafol	160-161	cis-N-[1,1,2,2-tetrachloro-ethyl)thio]cyclohex-4-ene-1,2- dicarbioximide

Cmpd. No.	Common Name	m.p.(°C)	Chemical Name
90	iprodione	133-136	3-(3,5-dichlorophenyl)-N-(1-methylethyl)-2,4-dioxo-1-imidazolidine carboxamide
91	vinclozolin	108	3-(3,5-dichlorophenyl)-5-ethenyl-5-methyl-2,4-oxazolidinedione
92	kasugamycin	202-204 (DEC)	kasugamycin
93	triadimenol	121-127	beta-(4-chlorophenoxy)-α-(1,1-dimethylethyl)-1-H-1,2,4-triazol-1-ethanol
94	flutriafol	130	+-α-(2-fluorophenyl-α-(4-fluorophenyl)-1H-1,2,4-triazole-1-ethanol
95	flusilazol	52-53 HCl 201-203	1-[[bis(4-fluorophenyl)-methylsilyl)methyl]-1H-1,2,4-triazole
96	hexaconazole	111	(+/-)-α-butyl-α-(2,4-dichlorophenyl)-1H-1,2,4-triazole-1-ethanol
97	fenarimol	117-119	α-(2-chlorophenyl)-α(4-chlorophenyl)-5-pyridinemethanol

Cmpd. No.	Common Name	m.p.(°C)	Chemical Name
	BACTERICIDES		
98	oxytetracycline dihydrate	181-182 (DEC)	oxytetracycline dihydrate
	ACARICIDES		
99	hexathiazox	108-109	trans-5-(4-chlorophenyl)-N-cyclohexyl-4-methyl-2-oxo-3-thiazolidinecarboxamide
100	oxythioquinox	169-170	6-methyl-1,3-dithiolo-[2,3-B]quinonolin-2-one
101	dienochlor	122-123	bis(pentachloro-2,4-cyclo-pentadien-1-yl)
102	cyhexatin	245	tricyclohexyltin hydroxide
	INSECTICIDES		
103	carbofuran	150-152	methylcarbamic acid, ester with 2,3-dihydro-2,2-di-methyl-7-benzofuranol
104	carbaryl	142	methylcarbamic acid, ester with a-naphthol

Cmpd. No.	Common Name	m.p.(°C)	Chemical Name
105	thiodicarb	173-174	dimethyl N,N'-[thiobis-(N-methylimmo)carbonyl-oxy]]-bis[ethanimido-thioate]
106	deltamethrin	98-101	α-cyano-3-phenoxybenzyl-cis-3-(2,2-dibromovinyl)-2,2-dimethylcyclopropane carboxylate

The most common method for applying water insoluble pesticides is as fine aqueous dispersions which are sprayed onto the field or crop using ground or aerial spray rigs. The tablets of this invention combine a high level of physical integrity with rapid break-up in cold, hard water using minimal or no agitation while providing fine dispersions of active ingredient. Since the spray nozzles are typically protected against clogging by 50 mesh screens (U.S. mesh size), the dispersions must be fine enough to pass through this size screen without plugging it. This ability is characteristic of pesticide dispersions (i) delivered by the delivery system (ii) of this invention.

High physical integrity of the tablets is desirable so that the tablets themselves can withstand the tabletting operation and survive handling, packaging and shipping without breaking. An axial breaking strength of greater than about 2.0 kiloponds is generally necessary for a tablet to survive such treatment.

Rapid break-up in cold, hard water (greater than about 300 ppm as calcium carbonate) is desirable for the convenience of the growers who require quick turnaround times for the preparation of the dispersions. Generally the tablets of the invention disperse completely in less than 10 minutes, most in less than 5 minutes using even the very cold water drawn from wells in the early spring.

The tablets of the invention with these characteristics are obtained by the combination of the active agent with five inert ingredients: acid, base, dispersant, disintegrant and wetting agent.

It is substantially impossible to obtain rapid break-up of a tablet of substantially water-insoluble active ingredient in aqueous media without the use of effervescence. The reaction of the organic acid and carbonate or bicarbonate base affords carbon dioxide gas which aids in this respect.

A dispersant is required so that the particles of the active ingredient formed during the disintegration of the tablet remain separated in the cold, hard water.

The disintegrant allows the penetration of the water into the interior of the tablet through a wicking or swelling action. Common starch or cellulose-based disintegrants are unsuitable in agricultural applications as they typically form gels on the 50 mesh spray nozzle screens. Hence, the use of a water insoluble cross-linked polyvinylpyrrolidone.

A wetting agent is required to control the size of the carbon dioxide bubbles formed during the reaction of the acid and base. The wetting agent reduces the surface tension between the bubbles and the solid tablet resulting in the formation of smaller bubbles which readily detach from the tablet surface. As a consequence, the tablet remains submerged in the water for a longer period of time, thus improving contact of the entire tablet surface with water.

If a tablet floats immediately after being dropped in the water its top rapidly dries out and the reaction slows down there. This increases the time required for complete dispersion of active ingredient. When a tablet sinks, water wets the entire exterior of the tablet. Then, when the tablet floats to the surface (as a result of the buoyancy of the attached carbon dioxide bubbles when the tablet

has partially dispersed and become lighter) the top remains wet so that effervescent reaction continues. Dispersion times for active ingredients formulated as described herein are very much more rapid than in formulations that produce tablets designed for flotation. To ensure that the tablet will sink initially, inert ingredients are employed that produce a tablet with a density greater than that of water (specific gravity greater than 1.00).

Inert ingredients up to 55% of the total weight of the composition can be employed. Inert fillers such as sugar or clay can be added as long as they do not affect the chemical stability of the active ingredient(s). Materials such as glidants, anti-adherents, and lubricants can also be employed to facilitate production in the tablet press. The amounts and types of such ingredients will be readily determinable by one skilled in the tabletting art given the disclosure herein.

The formulation ingredients (all solids) should be dry before being blended, milled and compacted. Drying at 45° to 60°C for 16 hours in a vacuum oven is sufficient to reduce the water content of the premix to below about 0.5%. This is important so that residual moisture does not initiate the effervescence reaction during storage.

The ingredients are typically ground and mixed in a mill, e.g., an air or hammermill. The ground premix is brushed through a 50 or 100 mesh (U.S.A. Standard Sieve Series) screen.

The average particle size of the ground premix should be in the range of 5 to 15 microns. If it is much smaller, the tablet will be strong, but will not break up very fast. If the premix is much larger,

the dispersion will not be fine enough to pass a wet screen test used to indicate whether the dispersion will clog the spray nozzle and protective screen discussed previously.

The tablets can be prepared using conventional tablet-making equipment. Their diameter can vary from about 1/2 inch or less, to 3 inches, depending on the tablet weight desired. Flat-faced, beveled-edge punches, with or without a breakline, produce attractive tablets.

To keep the tablet from sticking to the die or punch faces, a lubricant such as magnesium stearate or boric acid can be used. Such lubricants and anti-adherants can be brushed onto the die surface or incorporated into the formulation.

Tablets have been formed in a hydraulic press with a capacity of 40,000 pounds of force. Pressures between about 5,000 and 10,000 psi will produce strong tablets that break up rapidly. Break-up times are determined by dropping a tablet, typically 7 to 14 g, into about 800 to 1000 mL of water. The "end point" of final dispersion is easy to determine because the tablet floats to the surface as it loses weight shortly before it finally disperses.

The resultant dispersion is then poured through a nest of 50/100/200 mesh wet screens. A qualitative judgment is then made about the amount of material that is retained on each screen. A good tablet will leave just a "trace" on the 200 mesh screen, and the larger screens will be free of residue.

The strength of the tablet can be measured by a tester such as the Erweka Model TBH 28. The tablet is stood on end and the machine tip moves to the tablet along an axial path. The force to break the

tablet in two is normally recorded in kiloponds (kp). Other units such as newtons (N) or Strong-cobbs (Sc) can also be used. Good tablets normally have strengths in the range of 2 to 10 kp.

The invention is illustrated by the following Examples.

Example 1

The following ingredients were milled for 1 min in a Tekmar A 10 analytical laboratory mill. The premix was then passed through a 50 mesh screen and blended well. A 7 g tablet, 1 3/8 in (3.49 cm) diameter, was made with a hand-operated precision hydraulic press.

Ingredients	Concentration Weight Percent
trans-5-(4-chlorophenyl)-N-cyclohexyl-4-methyl-2-oxothiazolidine-3-carboxamide (insecticide)	50
Citric Acid	12
Sodium Bicarbonate	25
Lomar PWA	10
Polyplasdone XL-10	2
Monawet MB-100	1

The tablet broke up completely in 25°C, hard water (420 ppm as $CaCO_3$) in 4 min, 11 sec. There was only a trace of residue on the 50 mesh wet screen and a trace on the 100 and 200 mesh screens.

Example 2

A tablet was prepared from the following ingredients in the same manner as described in Example 1.

Ingredients	Concentration Weight Percent
MBC (fungicide)	52.1
Citric Acid	10.0
Sodium Bicarbonate	25.6
Lomar PWA	5.0
Polyplasdone XL-10	1.0
Monawet MB-100	1.0
Boric Acid	5.0
Magnesium Stearate	0.3

The tablet dispersed completely in 25°C tap water in 3 min, 23 sec. There was no residue on the 50 mesh wet screen and only a trace on the 100 and 200 mesh screens. Its density was 1.25 g/cc.

Example 3

The following ingredients were blended and hammermilled one time through a 0.032 in round-hole screen. A 7 g tablet, 1 3/8 in diameter, was prepared on a hand-operated hydraulic press.

Ingredients	Concentration Weight Percent
3[[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]-carbonyl]amino]sulfonyl]-2-thiophene carboxylic acid, methyl ester	52.1
Citric Acid	10.0
Sodium Bicarbonate	25.6
Lomar PWA	5.0
Polyplasdone XL-10	1.0
Monawet MP-100	1.0
Boric Acid	5.0
Magnesium Stearate	0.3

The tablet dispersed complete in 25°C tap water in 2 min, 24 sec. There was no residue on the 50 mesh wet screen and only a trace on the 100 and 200 mesh screens. It remained submerged for 16 sec.

Examples 4 to 11

The following formulations were each milled for 1 min in a Tekmar A-10 analytical laboratory mill. A 7 g tablet, 1 3/8 in (3.49 cm) diameter, was made from each premix with a hand-operated hydraulic press. The formulation used is shown below:

Ingredients	Concentration Weight Percent
Active Ingredient	52.1
Citric Acid	10.0
Sodium Bicarbonate	25.6
Lomar PWA	5.0
Polyplasdone XL-10	1.0
Monawet MP-100	1.0
Boric Acid	5.0
Magnesium Stearate	0.3

The density, strength, submerged and break up times are shown in Table 2 for eight active ingredients given by Compound number in Table 1. The times are shown as min:sec.

Table 2

Ex.	Active Compound	Density g/cc	Strength kp	Time Sub-merged	Break-up Time
4	23	1.29	3.56	:34	2:32
5	75	1.28	3.26	:30	2:17
6	76	1.23	3.16	:27	3:31
7	24	1.27	4.58	:52	2:17
8	4	1.30	3.97	1:10	3:09
9	11	1.28	3.16	:26	2:13
10	77	1.31	4.68	1:32	3:20
11	63	1.28	3.56	:38	2:23

Example 12

The following ingredients were milled for 1 min and a 7 g tablet, 1 3/8 in (3.49 cm) diameter, was prepared as described in Example 1.

Ingredients	Concentration Weight percent
methyl 2-[[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-amino]carbonyl]amino]-sulfonyl]benzoate	50
Citric Acid	11
Sodium Bicarbonate	32
Lomar PWA	5
Polyplasdone XL-10	1
Monawet MB-100	1

The tablet dispersed completely in 0°C, hard water (420 ppm as $CaCO_3$) in 3 min, 15 sec. The resultant dispersion passed through a wet 200 mesh screen with only a trace of residue.

Example 13

A tablet from the following formulation was prepared as in Example 12.

Ingredients	Concentration Weight percent
methyl 2-[[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-amino]carbonyl]amino]-sulfonyl]benzoate	50

Phthalic Acid	14
Sodium Bicarbonate	22
Lomar PWA	10
Polyplasdone XL-10	2
Monawet MB-100	2

The tablet broke up completely in 25°C tap water in 2 min, 35 sec. Its strength was 5.70 kiloponds and it left only a trace of material on a wet 200 mesh screen.

Example 14

A tablet was prepared from the following formulation using the same procedure as in Example 12.

Ingredients	Concentration Weight percent
methyl 2-[[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-amino]carbonyl]amino]-sulfonyl]benzoate	50
Succinic Acid	12
Sodium Carbonate	24
Lomar PWA	10
Polyplasdone XL-10	2
Monawet MB-100	2

The 7 g tablet broke up in 25°C tap water in 1 min, 26 sec. It left no residue on a wet 200 mesh screen.

Example 15

The following ingredients were blended and hammermilled twice through a 0.032 in round hole screen. A 7.2 g tablet, 1 3/8 in (3.49 cm) diameter was prepared in a hand-operated Preco hydraulic press.

Ingredients	Concentration Weight percent
ethyl 2-[[[[(4-chloro-6-methoxy-2-pyrimidinyl)amino]-carbonyl]amino]sulfonyl]-benzoate	51.0
Fumaric Acid	7.0
Sodium Bicarbonate	12.5
Polyfon H	7.5
Polyplasdone XL-10	2.0
Monawet MB-100	1.75
Sipernat 50-S (precipitated silica)	1.25
Diluex FG (attapulgite clay)	12.0
Avicel PH 101 (microcrystalline cellulose)	5.0

The tablet broke up in 50 sec in room temperature water when stirred gently with a spatula. It gave no residue on a wet 60 mesh screen and only a trace on a 200 mesh screen.

Examples 16 to 33

By the general procedure of Example 1, tablet formulations can be made whereby the active ingredient pesticide is as described hereafter and the complementary delivery system components are within the following ranges:

(a) about 5% to 20% of a dibasic or tribasic organic carboxylic acid or a mixture thereof;

(b) about 7% to 50% of an ammonium or alkali metal carbonate or bicarbonate or a mixture thereof;

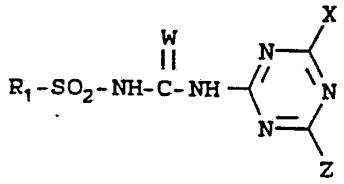
(c) about 0.5% to 20% of a dispersant;

(d) about 0.1% to 5% of water insoluble cross-linked polyvinylpyrrolidone; and

(e) about 0.1% to 5% of an anionic or nonionic wetting agent.

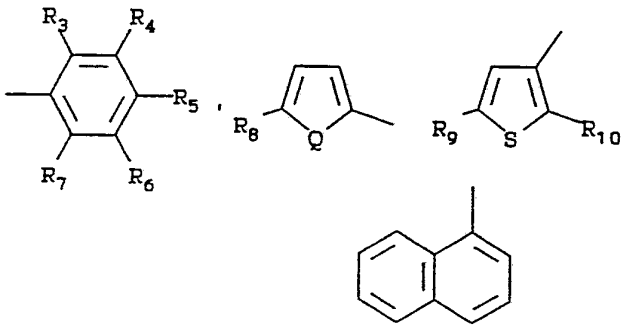
Example 16

The pesticide, described in more detail in U.S. Patent 4,127,405, is a compound of the formula:



wherein

R_1 is



R_3 and R_6 are independently hydrogen, fluorine, chlorine, bromine, iodine, alkyl of 1-4 carbon atoms, alkoxy of 1-4 carbon atoms, nitro, trifluoromethyl, cyano, $CH_3S(O)_n$- or $CH_3CH_2S(O)_n$-;

R_4 is hydrogen, fluorine, chlorine, bromine or methyl;

R_5 is hydrogen, fluorine, chlorine, bromine, methyl or methoxy;

R_7 is hydrogen, fluorine, chlorine, bromine, alkyl of 1-2 carbon atoms or alkoxy of 1-2 carbon atoms;

R_8 is hydrogen, methyl, chlorine or bromine;

R_9 and R_{10} are independently hydrogen, methyl, chlorine or bromine;

W and Q are independently oxygen or sulfur;

n is 0, 1 or 2;

X is hydrogen, chlorine, bromine, methyl, ethyl, alkoxy of 1-3 carbon atoms, trifluoromethyl, CH_3S- or CH_3OCH_2-; and

Z is methyl or methoxy, or their agriculturally suitable salts.

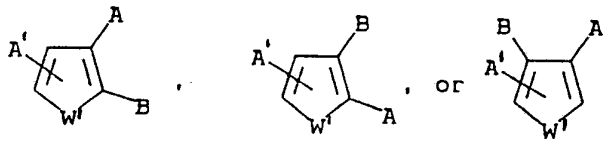
Example 17

The pesticide, described in more detail in U.S. Patent 4,394,506, is a compound of the formula:

N-(heterocyclicaminocarbonyl)arylsulfonamides in which the aryl radical is substituted in the 2-position by a carboxy radical, ester, thioester, or amide thereof; e.g., N-[(4,6-dimethylpyrimidin-2yl)aminocarbonyl]-methoxycarbonyl]benzenesulfonamide or N-[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-2-methoxycarbonylbenzenesulfonamide.

Example 18

The pesticide, described in more detail in U.S. Patent 4,481,029, is a compound of the formula:



wherein

W' is O or S;

A' is H, Cl, Br, C_1-C_4 alkyl, OCH_3, NO_2 or CF_3;

A is $-\overset{O}{\overset{\|}{C}}-Q-R^I$ or $-\overset{T}{\overset{\|}{C}}-R^{II}$ where

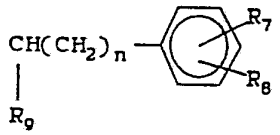
Q is O, S or $-N(R_4)-$;

T is O or $=N-OR^{III}$

where

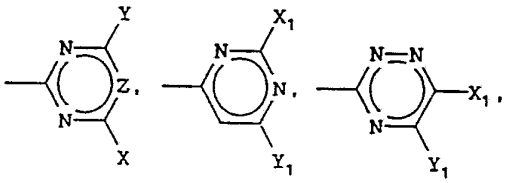
R^{III} is H, C_1-C_4 alkyl or C_3-C_4 alkenyl; when Q is O or S then

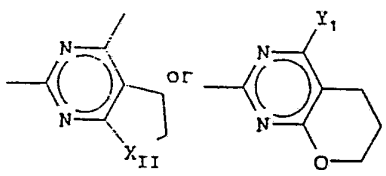
R^I is C_1-C_6 alkyl C_3-C_6 alkenyl; C_3-C_6 alkynyl; C_2-C_6 alkyl substituted with 1-3 Cl, F or Br, or one of CN or OCH_3; C_3-C_6 alkenyl substituted with 1-3 Cl; C_3-C_6 alkynyl substituted with Cl; C_5-C_6 cycloalkyl; cyclohexenyl; cyclohexyl substituted with 1-3 CH_3; C_4-C_7 cycloalkylalkyl or



where

R_7 and R_8 are independently H, Cl, CH_3 or OCH_3;
n is 0 or 1; and
R_9 is H or CH_3;

R_1 is 



where

Z is N, CH or C-F;

X=H, Cl, $-CH_3$, $-OCH_3$ or $-OCH_2CH_3$;

Y=H, Cl, C_1-C_4 substituted alkyl;

with the proviso that when X and Y are both H, then

R^I and R^{II} are less than 5 carbons;

X_1=H, Cl, OCH_3, OCH_2CH_3 or CH_3;

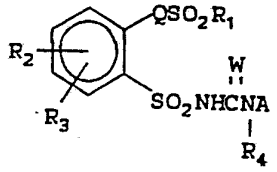
Y_1=H, OCH_3 or CH_3; and

X_{II}=O or CH_2 and further provided that when A contains greater than 5 carbon atoms, then Y contains ≦4 carbon atoms, and their agriculturally suitable salts;

all other substituents being as defined in U.S. 4,481,029.

Example 19

The pesticide, described in more detail in U.S. Patent 4,435,205, is a compound of the formula:

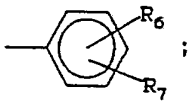


where

W is O or S;

Q is O or NR_5;

R_1 is C_1-C_4 alkyl, C_1-C_4 alkyl substituted with 1-3 atoms of F, Cl or Br, $CH_2CH_2OCH_3$, $CH_2CH_2CH_2OCH_3$ or



;

R_2 is H, F, Cl, Br, OCH_3, NO_2, CF_3 or C_1-C_2 alkyl;

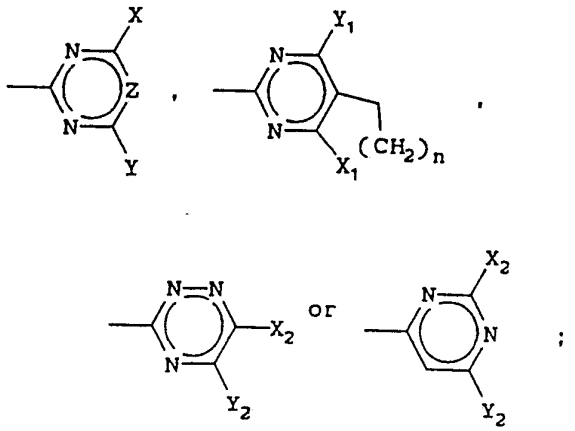
R_3 is H, F, Cl, Br or CH_3;

R_4 is H, CH_3 or OCH_3;

R_5 is C_1-C_4 alkyl;

R_6 and R_7 are independently H, F, Cl, Br, CH_3, CF_3, NO_2 or OCH_3;

A is



X is NH_2, $N(CH_3)_2$, $NHCH_3$, C_1-C_4 alkyl, C_1-C_4 alkyl substituted with 1-3 atoms of F, Cl or Br, CH_2OCH_3, $CH_2OCH_2CH_3$, C_1-C_4 alkoxy, C_1-C_2 alkylthio, C_3-C_4 alkenyloxy, C_3-C_4 alkynyloxy, $OCH_2CH_2OCH_3$ or C_2-C_4 alkoxy substituted with 1-3 atoms of F, Cl or Br;

n is 1 or 2;

Y is H, CH_3, OCH_3 or Cl;

X_1 is O or CH_2;

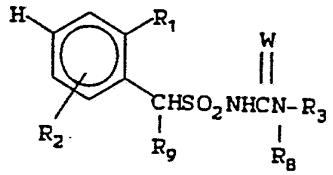
Y_1 is H, CH_3, OCH_3 or Cl;

X_2 and Y_2 are independently CH_3 or OCH_3; and

Z is CH, N, CCH_3, CBr, CCl, CF, CI, CC_2H_5, CCH_2CH_2Cl or $CCH_2CH=CH_2$.

Example 20

The pesticide, described in more detail in U.S. Patent 4,420,325, is a compound of the formula:



wherein

R_1 is F, Cl, Br, CF_3, C_1-C_3 alkoxy, C_1-C_3 alkyl, NO_2, CO_2R_4, SO_2R_5, $SO_2NR_6R_7$, $SO_2N(OCH_3)CH_3$, $SO_2OCH_2CF_3$, OSO_2R_5 or CH_2L;

L is $SO_2NR_6R_7$, OCH_3, OC_2H_5, CO_2CH_3 or $CO_2C_2H_5$;

R_2 is H, Cl, Br, F, CF_3 or OCH_3;

R_4 is C_1-C_3 alkyl, $CH_2CH{=}CH_2$, CH_2CH_2Cl, or $CH_2CH_2OCH_3$;

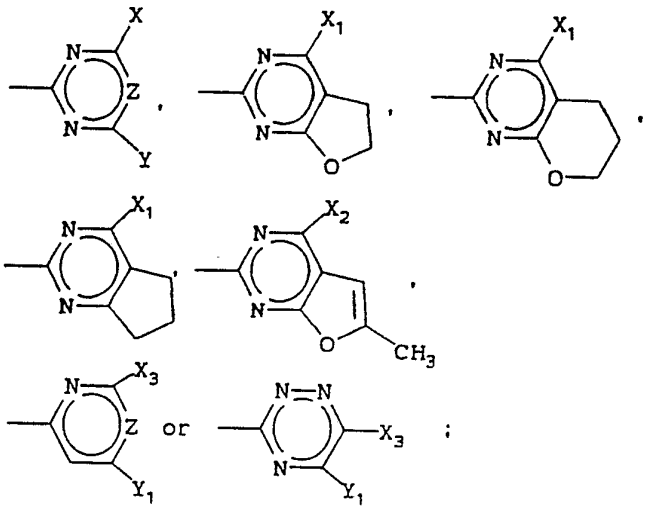
R_5 is C_1-C_3 alkyl or CF_3;

R_6 and R_7 are independently C_1-C_3 alkyl;

R_8 is H or CH_3;

R_9 is H or C_1-C_3 alkyl;

R_3 is



W is O or S;

X is CH_3, OCH_3 or Cl;

Y is CH_3, C_2H_5, OCH_3, OC_2H_5, CH_2OCH_3, NH_2, $NHCH_3$ or $N(CH_3)_2$;

Z is CH or N;

X_1 is H, Cl, CH_3, OCH_3 or OC_2H_5;

X_2 is CH_3, C_2H_5, OCH_3 or OC_2H_5;

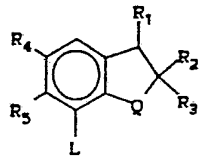
X_3 is CH_3 or OCH_3; and

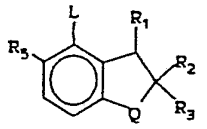
Y_1 is CH_3 or OCH_3;

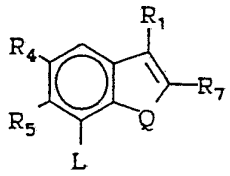
and their agriculturally suitable salts;

Example 21

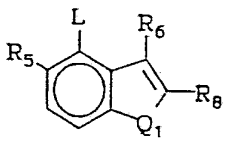
The pesticide, described in more detail in U.S. Patent 4,514,211, is a compound of the formula:







, or



wherein

Q is O, S, SO or SO_2;

Q_1 is O, S or SO_2;

L is $SO_2NHC(W)NA$ (with R_{12} on the carbon);

R_1 is H or C_1-C_4 alkyl;

R_2 is H or C_1-C_4 alkyl;

R_3 is H or CH_3;

R_4 is H, Cl, CH_3, CF_3, OCH_3, Br, F, SCH_3 or OCF_2H;

R_5 is H, CH_3, OCH_3, Cl, Br, NO_2, CO_2R_7, SO_2R_8, OSO_2R_9, $SO_2NR_{10}R_{11}$, F, CF_3, SCH_3, OCF_2H or $SO_2N(OCH_3)CH_3$;

R_6 is H, Cl, Br or C_1-C_4 alkyl;

R_6' is H, CH_3, Cl or Br;

R_7 is C_1-C_3 alkyl, $CH_2CH=CH_2$, $CH_2CH_2OCH_3$ or CH_2CH_2Cl;

R_8 is C_1-C_3 alkyl;

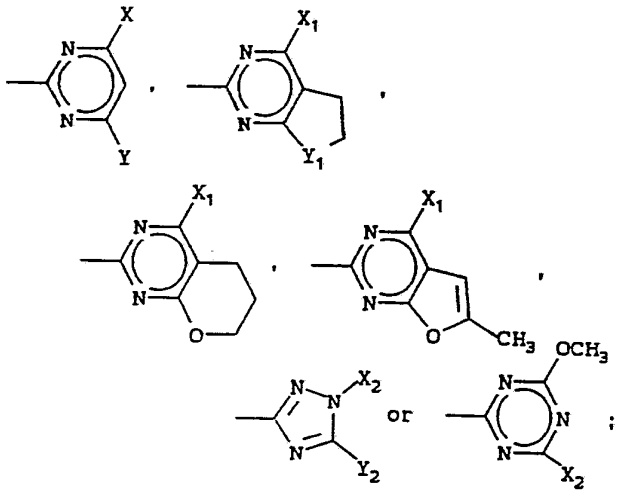
R_9 is C_1-C_3 alkyl or CF_3;

R_{10} and R_{11} are independently C_1-C_2 alkyl;

R_{12} is H or CH_3;

W is O or S;

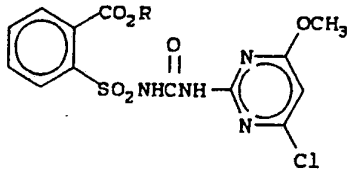
A is



X is H, CH_3, OCH_3, Cl, F, OCF_2H or SCF_2H;
Y is CH_3, OCH_3, OC_2H_5, CH_2OCH_3, NH_2, $NHCH_3$, $N(CH_3)_2$, $CH(OCH_3)_2$, $CH(OCH_2CH_3)_2$, C_2H_5, CF_3, $CH_2{=}CHCH_2O$, $CH{\equiv}CCH_2O$, CF_3CH_2O, OCH_2CH_2Cl, OCH_2CH_2Br, OCH_2CH_2F, CN, $CH_2OCH_2CH_3$, $OCH_2CH_2OCH_3$ or GCF_2T wherein G is O or S and T is H, CHClF, CHBrF, CF_2H or $CHFCF_3$;
Z is CH, N, CCH_3, CC_2H_5, CCl or CBr;
Y_1 is O or CH_2;
X_1 is CH_3, OCH_3, OC_2H_5 or OCF_2H;
X_2 is CH_3, C_2H_5 or CH_2CF_3;
Y_2 is C_2H_5, CH_3, OCH_3, OC_2H_5, SCH_3 or SC_2H_5; and
X_3 is CH_3 or OCH_3;

Example 22

The pesticide, described in more detail in U.S. Patent 4,547,215, is a compound of the formula:



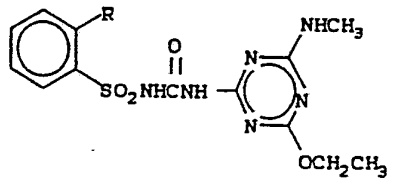
wherein

R is C_2H_5 or $CH(CH_3)_2$;

and their agriculturally suitable salts.

Example 23

The pesticide, described in more detail in U.S. Patent 4,548,638, is a compound of the formula:

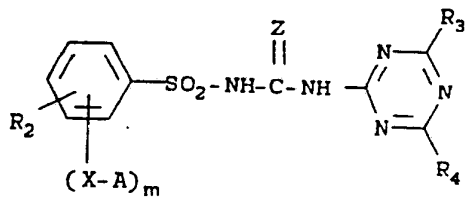


wherein

R is CO_2CH_3, $CO_2CH_2CH_3$, $CO_2CH_2CH_2CH_3$, $CO_2CH_2CH{=}CH_2$, $CO_2CH(CH_3)$, $CO_2CH_2CH_2Cl$, $SO_2N(CH_3)_2$ or OSO_2CH_3.

Example 24

The pesticide, described in more detail in U.S. Patent 4,479,821, is a compound of the formula:



wherein

A is a C_1-C_6 alkyl radical which is substituted by C_1-C_4 alkoxy, C_1-C_4 alkylthio, C_1-C_4 alkylsulfinyl or C_1-C_4 alkylsulfonyl;

X is oxygen, sulfur, a sulfinyl or sulfonyl bridge;

Z is oxygen or sulfur;

m is 1 or 2;

R_2 is hydrogen, halogen, C_1-C_5 alkyl, C_2-C_5 alkenyl, C_1-C_4 haloalkyl, or a radical $-Y-R_5$, $-COOR_6$, $-NO_2$ or $-CO-NR_7R_8$;

R_3 and R_4, each independently of the other, are hydrogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkyl, halogen or alkoxyalkyl of at most 4 carbon atoms;

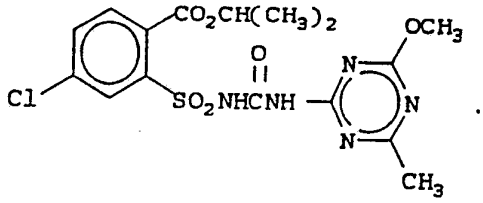
R_5 and R_6, each independently of the other, are C_1-C_5 alkyl, C_2-C_5 alkenyl or C_2-C_6 alkynyl;

R_7 and R_8, each independently of the other, are hydrogen, C_1-C_5 alkyl, C_2-C_5 alkenyl or C_2-C_6 alkynyl; and

Y is oxygen, sulfur, a sulfinyl or sulfonyl bridge, and salts of these compounds.

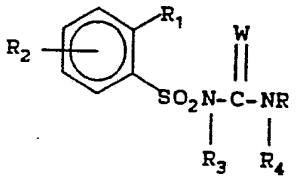
Example 25

The pesticide, described in more detail in U.S. Patent 4,566,898, is a compound of the formula:



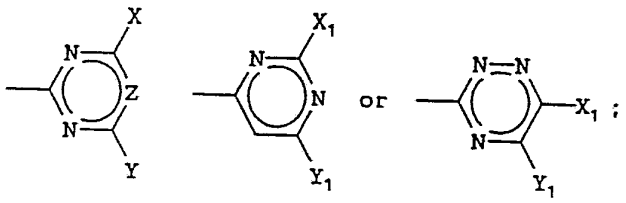
Example 26

The pesticide, described in more detail in U.S. Patent 4,435,206, is a compound of the formula:



wherein

R is



R_1 is H, Cl, Br, F, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 alkylthio, NO_2, CF_3, $COOR_5$ or $SO_2NR_6R_7$;

R_2 is H, Cl, Br or CH_3;

R_3 and R_4 are independently H or CH_3;

R_5 is C_1-C_6 alkyl, C_3-C_6 alkenyl, $CH_2CH_2OCH_3$, $CH_2CH_2OCH_2CH_3$, $CH_2CH_2CH_2OCH_3$ or CH_2CH_2Cl;

R_6 and R_7 are independently CH_3 or CH_3CH_2;

W is oxygen or sulfur;

X is CH_3, $-OCH_3$ or $-OCH_2CH_3$;

Y is H, Cl, CH_3, CF_3, $-NHCH_3$, $-N(CH_3)_2-$, $-CH_2OR_8$, $-CH_2CH_2OR_8$, $-OCH_2CF_3$ or VR_6;

Z is CH or N;

V is oxygen or sulfur;

R_8 is CH_3, CH_3CH_2-, $CH_2CO_2R_8$, $-CH_2CH_2OR^6$, $C(CH_3)HCO_2R_8$ or $CH_2CH_2CO_2R_8$;

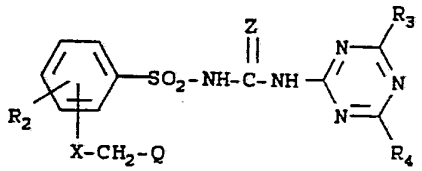
Y_1 is H, CH_3 or OCH_3; and

X_1 is H, Cl, $-OCH_3$, $-OCH_2CH_3$ or CH_3;

and agricultural salts thereof.

Example 27

The pesticide, described in more detail in U.S. Patent 4,514,212, is a compound of the formula:



and the salts thereof with amines, alkali metal or alkaline earth metal bases or with quaternary ammonium bases wherein:

Q is fluorine, fluoromethyl, chloromethyl, trichloromethyl, 1,2-dichloroethyl, 1,2-dibromoethyl, 1,2-dichloropropyl, 1,2-dibromopropyl, 1,2-dibromoisobutyl, 1,2-dichloro-1-methyl-ethyl or 1,2-dibromo-1-methylethyl;

X is oxygen, sulfur, a sulfinyl or sulfonyl bridge;

Z is oxygen or sulfur;

R_2 is hydrogen, halogen, C_1-C_5 alkyl, C_2-C_5 alkenyl, C_1-C_4 haloalkyl, or a radical $-Y-R_5$, $-COOR_6$, $-NO_2$ or $-CO-NR_7-R_8$;

R_3 and R_4, each independently of the other, are hydrogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkyl, halogen or alkoxyalkyl of at most 4 carbon atoms;

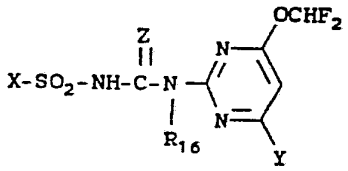
R_5 and R_6, each independently of the other, are C_1-C_5 alkyl, C_2-C_5 alkenyl or C_2-C_6 alkynyl;

R_7 and R_8, each independently of the other, are hydrogen, C_1-C_5 alkyl, C_2-C_5 alkenyl or C_2-C_6 alkynyl; and

Y is oxygen, sulfur, a sulfinyl or sulfonyl bridge.

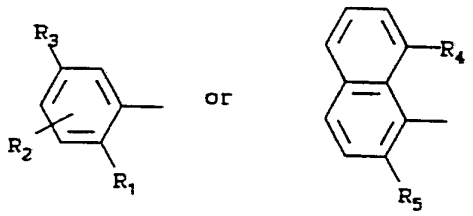
Example 28

The pesticide, described in more detail in U.S. Patent 4,478,635, is a compound of the formula:



wherein

X is a radical of the formula:



Y is C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_2-C_3 alkoxyalkyl, C_1-C_3 alkylthio, halogen or $-NR_{16}R_{17}$;

Z is oxygen or sulfur;

R_1 is hydrogen, halogen, cyano, nitro, C_1-C_4 haloalkyl, C_1-C_4 alkyl, C_1-C_4 alkoxy, $-CO-R_6$, $-NR_7R_8$, $-S(O)_m-C_1-C_4$ alkyl or $-SO_2R_9$;

R_2 is hydrogen, fluorine, chlorine, bromine, nitro, trifluoromethyl, $-NR_{20}R_{21}$, methyl, ethyl, methoxy, ethoxy or $-S(O)_m-C_1-C_4$ alkyl;

R_3 is hydrogen, fluorine, chlorine, bromine, amino, nitro or methoxy;

R_6 is hydrogen, C_1-C_4 alkyl, C_1-C_3 alkenyloxy, C_3-C_5 alkynyloxy, C_1-C_4 haloalkyl, C_1-C_5 alkylthio, phenoxy, benzyloxy, $-NR_{10}R_{11}$ or C_1-C_5 alkoxy which is unsubstituted or substituted by 1 to 3 halogen atoms or C_1-C_3 alkoxy;

R_7 is hydrogen, methoxy, ethoxy, C_1-C_4 alkyl or $-CO-R_{12}$;

R_8 is hydrogen or $-CO-R_{12}$;

R_9 is an $-O-R_{13}$ or $-NR_{14}R_{15}$ group;

R_{11} is C_1-C_4 alkyl which is unsubstituted or substituted by 1 to 3 halogen atoms, or is phenyl or benzyl;

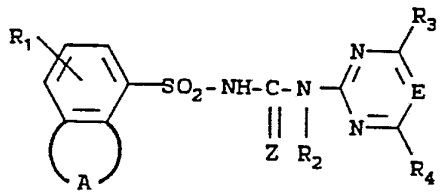
R_{12} is hydrogen, C_1-C_4 alkyl or C_1-C_4 alkoxy; and

m is 0, 1 or 2;

and R_4 has the same meaning as R_2; R_5 has the same meaning as R_1; R_{10}, R_{11}, R_{14} and R_{20} each have the same meaning as R_7; and R_{12}, R_{15}, R_{16}, R_{17} and R_{21} each have the same meaning as R_8.

Example 29

The pesticide, described in more detail in U.S. Patent 4,634,465, is a compound of the formula:



wherein

Z is oxygen or sulfur;

E is nitrogen or =C-;

R_1 is hydrogen, halogen, nitro, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkoxycarbonyl, C_1-C_4 alkylthio, C_1-C_4 alkylsulfinyl, Cl-C_4 alkylsulfonyl or C_2-C_5 alkoxyalkoxy;

R_2 is hydrogen, C_1-C_4 alkyl or C_1-C_3 alkoxy;

R_3 and R_4, each independently of the other, are hydrogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 haloalkylthio, C_1-C_4 alkylthio, halogen, C_2-C_5 alkoxyalkyl, C_2-C_5 alkoxyalkocy or $-NR_5R_6$, wherein R_5 and R_4 are hydrogen or C_1-C_4 alkyl; and

A is an unsubstituted or substituted bridge of 3 or 4 atoms which contains 1 or 2 oxygen, sulfur or nitrogen atoms and, together with the linking carbon atom, forms a non-aromatic 5- or 6-membered heterocyclic

ring system, with the proviso that two oxygen atoms are separated by at least one carbon atom and that oxygen and sulfur atoms are only linked to each other if the sulfur atom takes the form of the -SO- or $-SO_2-$ group.

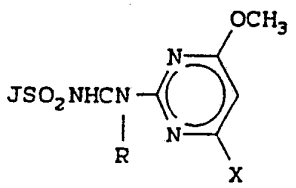
Example 30

The pesticide, described in more detail in EPA-202,830, is:

2-[[N-(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-N-methylaminocarbonyl]aminosulfonyl]-benzoic acid, methyl ester.

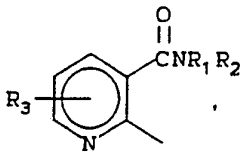
Example 31

The pesticide, described in more detail in EPA-237,292, is a compound of the formula:

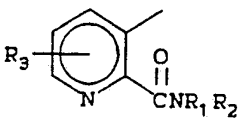


wherein

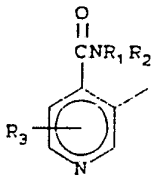
J is



J-1

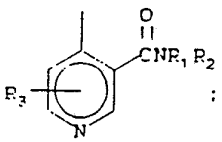


J-2



J-3

or



J-4

R is H or CH_3;

R_1 is H or C_1-C_3 alkyl;

R_2 is C_1-C_3 alkyl or C_1-C_2 alkoxy; or

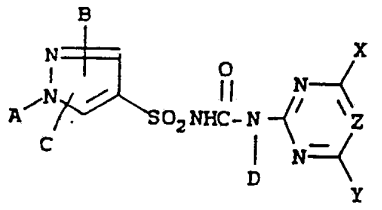
R_1 and R_2 may be taken together to form $-(CH_2)_n-$, wherein n is 2, 3 or 4;

R_3 is H, Cl, F, Br, CH_3, CF_3, OCH_3 or OCF_2H; and

X is CH_3, CH_2F, CH_2CH_3, OCH_3, OCH_2CH_3, Cl, OCF_2H or CH_2OCH_3.

Example 32

The pesticide, described in more detail in EPA-87,780, is a compound of the formula:



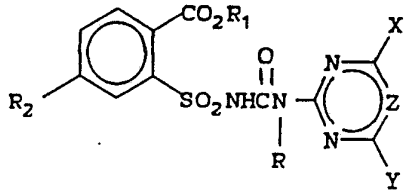
wherein

A represents a hydrogen atom, a C_1-C_8 alkyl group or a phenyl group which may be substituted with C_1-C_8 alkyl groups, halogen atoms or nitro groups; B and C represent independently hydrogen atoms, halogen atoms, nitro groups, C_1-C_8 alkyl groups, arylalkyl groups, C_1-C_8 alkoxy groups, haloalkyl groups, $-CO_2R$ [where R is a hydrogen atom, a C_1-C_8 alkyl group, an allyl group or a propargyl group), $-CONR_1R_2$ (where R_1 is a hydrogen atoms, a C_1-C_8 alkyl group or a phenyl group, R_2 is a hydrogen atom or a C_1-C_8 alkyl group, or R_1 and R_2 taken together may represent $-(CH_2)_m-$ (m is 4, 5 or 6), $-CH_2CH_2OCH_2CH_2-$ or $-CH_2CH_2N(CH_3)CH_2CH_2-$], $-S(O)_nR_3$ (where R_3 is a C_1-C_8 alkyl group, a phenyl group or an arylalkyl group and n is 0, 1 or 2), $-SO_2NR_4R_5$ [where R_4 is a C_1-C_8 alkyl group, R_5 is a hydrogen atom or a C_1-C_8 alkyl group, or R_4

and R_5 taken together may represent $-(CH_2)_p-$ (p is 4, 5 or 6), $-CH_2CH_2OCH_2CH_2-$ or $-CH_2CH_2N(CH_3)CH_2CH_2-$] or a phenyl group which may be substituted with C_1-C_8 alkyl groups, halogen atoms or nitro groups; D represents a hydrogen atom or a C_1-C_8 alkyl group; X and Y represent independently hydrogen atoms, halogen atoms, C_1-C_8 alkyl groups, C_1-C_8 alkoxy groups, C_1-C_8 alkoxyalkyl groups, $-CF_3$ groups, C_1-C_8 haloalkoxy groups, alkylamino groups, dialkylamino groups, $-OCHCO_2R_7$ (where R_6 and R_7 each represent hydrogen atoms or C_1-C_8 alkyl groups) or either X or Y may form a five-membered ring containing an oxygen atom together with X; and X represents a nitrogen atom or $C-R_8$ (where R_8 represents a hydrogen atom, a haloalkyl group or may form a five-membered ring containing an oxygen atom together with X or Y).

Example 33

The pesticide, described in more detail in U.S. Patent 4,710,221, is a compound of the formula:



wherein

R is H or CH_3;

R_1 is C_1-C_3 alkyl, C_3-C_4 alkoxyalkyl, C_2-C_4 haloalkyl, C_3-C_4 alkenyl or C_3-C_4 alkynyl;

R_2 is C_2-C_6 alkoxy, C_3-C_6 cycloalkoxy, C_4-C_6 cycloalkylalkoxy, C_1-C_6 haloalkoxy, C_2-C_6 alkenyloxy, C_2-C_6 haloalkenyloxy, C_3-C_6 alkynyloxy, C_3-C_6 haloalkynyloxy, C_2-C_4 alkoxyalkoxy, C_2-C_4 haloalkoxyalkoxy, C_2-C_4 alkylthioalkoxy, C_2-C_4 haloalkylthioalkoxy, C_2-C_4 alkylsulfinylalkoxy, C_2-C_4 haloalkylsulfinylalkoxy, C_2-C_4 alkylsulfonylalkoxy, C_2-C_4 haloalkylsulfonylalkoxy, C_2-C_4 cyanoalkoxy, $OCH_2C(O)CH_3$, $OCH_2CH_2C(O)CH_3$, C_2-C_4 aminoalkoxy, C_1-C_8 alkylthio, C_3-C_6 cycloalkylthio, C_4-C_6 cycloalkylalkylthio, C_1-C_8 haloalkylthio, C_2-C_6 alkenylthio, C_2-C_6 haloalkenylthio, C_3-C_6 alkynylthio, C_3-C_6 haloalkynylthio, C_2-C_4 alkoxyalkylthio, C_2-C_4 haloalkoxyalkylthio, C_2-C_4 alkylthioalkylthio, C_2-C_4 haloalkylthio-

alkylthio, C_2-C_4 cyanoalkylthio, $SCH_2C(O)CH_3$, $SCH_2CH_2C(O)CH_3$, C_2-C_4 aminoalkylthio, SC_6H_5, $SCH_2C_6H_5$, C_1-C_8 alkylsulfinyl, C_3-C_6 cycloalkylsulfinyl, C_4-C_6 cycloalkylalkylsulfinyl, C_1-C_8 haloalkylsulfinyl, C_2-C_6 alkenylsulfinyl, C_2-C_6 haloalkenylsulfinyl, C_3-C_6 alkynylsulfinyl, C_3-C_6 haloalkynylsulfinyl, C_2-C_4 alkoxyalkylsulfinyl, C_2-C_4 haloalkoxyalkylsulfinyl, C_2-C_4 cyanoalkylsulfinyl, $S(O)CH_2C(O)CH_3$, $S(O)CH_2CH_2C(O)CH_3$, C_2-C_4 aminoalkylsulfinyl, C_2-C_8 alkylsulfonyl, C_3-C_6 cycloalkylsulfonyl, C_4-C_6 cycloalkylalkylsulfonyl, C_1-C_8 haloalkylsulfonyl, C_2-C_6 alkenylsulfonyl, C_2-C_6 haloalkenylsulfonyl, C_3-C_6 alkynylsulfonyl, C_3-C_6 haloalkynylsulfonyl, C_2-C_4 alkoxyalkylsulfonyl, C_2-C_4 haloalkoxyalkylsulfonyl, C_2-C_4 cyanoalkylsulfonyl, $SO_2CH_2C(O)CH_3$, $SO_2CH_2CH_2C(O)CH_3$, C_2-C_4 aminoalkylsulfonyl, CH_2F, CHF_2, CH_2Cl, $CHCl_2$, CH_2Br, $CHBr_2$, C_2-C_6 alkyl substituted with 1-3 atoms of F, Cl or Br, C_2-C_6 alkenyl, C_2-C_6 haloalkenyl, $C{\equiv}CH$, C_2-C_6 haloalkynyl, $OC(O)C_1$-C_4 alkyl, $CH_2C(O)NR_aR_b$, $NHCH_3$, NR_bR_c or C_1-C_4 alkyl substituted with C_1-C_4 alkoxy, C_3-C_4 cycloalkoxy, cyclopropylmethoxy, C_1-C_4 haloalkoxy, C_2-C_4 alkenyloxy, C_2-C_4 haloalkenyloxy, C_3-C_4 alkynyloxy, C_3-C_4 haloalkynyloxy, C_2-C_4 alkoxyalkoxy, C_2-C_4 aminoalkoxy, C_1-C_4 alkylcarbonyloxy, C_1-C_4 haloalkylcarbonyloxy, C_1-C_4 carbamoyloxy, C_1-C_4 alkoxycarbonyloxy, OH, $OP(O)(OC_1$-C_2

alkyl)$_2$, C_1-C_4 alkylsulfonyloxy, C_1-C_2 haloalkylsulfonyloxy, $OSi(CH_3)_3$, $OSi(CH_3)_2C(CH_3)_3$, C_1-C_4 alkylthio, C_3-C_4 cycloalkylthio, cyclopropylmethylthio, C_1-C_4 haloalkylthio, C_2-C_4 alkenylthio, C_2-C_4 haloalkenylthio, C_3-C_4 alkynylthio, C_3-C_4 haloalkynylthio, C_2-C_4 alkoxyalkylthio, C_2-C_4 aminoalkylthio, SH, SP(O)(OC_1-C_2 alkyl)$_2$, C_1-C_4 alkylsulfinyl, C_3-C_4 cycloalkylsulfonyl, cyclopropylmethylsulfinyl, C_1-C_4 haloalkylsulfinyl, C_2-C_4 alkenylsulfinyl, C_2-C_4 haloalkenylsulfinyl, C_3-C_4 alkynylsulfinyl, C_3-C_4 haloalkynylsulfinyl, C_2-C_4 alkoxyalkylsulfinyl, C_2-C_4 aminoalkylsulfinyl, C_1-C_4 alkylsulfonyl, C_3-C_4 cycloalkylsulfonyl, cyclopropylmethylsulfonyl, C_1-C_4 haloalkylsulfonyl, C_2-C_4 alkenylsulfonyl, C_2-C_4 haloalkenylsulfonyl, C_3-C_4 alkynylsulfonyl, C_3-C_4 haloalkynylsulfonyl, C_2-C_4 alkoxyalkylsulfonyl or C_2-C_4 aminoalkylsulfonyl;

R_a and R_b are independently H or C_1-C_3 alkyl;

R_c is C_2-C_4 alkyl, cyclopropylmethyl, C_2-C_4 cyanoalkyl, $CH_2C(O)CH_3$, $CH_2CH_2C(O)CH_3$, C_1-C_4 haloalkyl, C_3-C_4 alkenyl, C_3-C_4 haloalkenyl, C_3-C_4 alkynyl, C_3-C_4 haloalkynyl, C_1-C_4 alkyl substituted with C_1-C_4 alkoxy, C_1-C_4 alkylthio, C_1-C_4 alkylsulfinyl, C_1-C_4 alkylsulfonyl, OH, NH_2, $NHCH_3$ or $N(CH_3)_2$;

X is CH_3, OCH_3, OC_2H_5, Cl or Br;

Y is C_1-C_2 alkyl, C_1-C_2 alkoxy, OCH_2CH_2F, OCH_2CHF_2, OCH_2CF_3, $NHCH_3$ or $N(CH_3)_2$; and

Z is CH or N; and

their agriculturally suitable salts.

CLAIMS

What is claimed is:

1. A tablet formulation consisting essentially of, by total weight of the formulated composition:

(i) about 20% to 75% of a pesticide having a melting point of at least about 100°C and a water solubility at 20°C of no more than about 5% by weight, and

(ii) about 25% to 80% of a delivery system characterized by a panel of components complementary to the pesticide of (i) that consists essentially of the following components:

(a) about 5% to 20% of a dibasic or tribasic organic carboxylic acid or a mixture thereof;

(b) about 7% to 50% of an ammonium or alkali metal carbonate or bicarbonate or a mixture thereof;

(c) about 0.5% to 20% of a dispersant,

(d) about 0.1% to 5% of water-insoluble cross-linked polyvinylpyrrolidone, and

(e) about 0.1% to 5% of an anionic or nonionic wetting agent;

the formulation characterized in that dispersion of the pesticide in water is fine enough to pass a 50 mesh screen without clogging it.

2. A tablet formulation according to Claim 1 wherein the pesticide is a herbicide.

3. A tablet formulation according to Claim 1 wherein the pesticide is a fungicide.

4. A tablet formulation according to Claim 1 wherein the pesticide is an insecticide.

5. A tablet formulation according to Claim 1 wherein the pesticide is a nematocide.

6. A tablet formulation according to Claim 1 wherein the pesticide is an acaricide.

7. A tablet formulation according to Claim 1 wherein the pesticide is a bactericide.

8. A tablet formulation according to Claim 1 wherein the pesticide is a growth regulant.

9. A tablet formulation according to Claim 2 wherein the herbicide is 3-cyclohexyl-6-dimethylamino-1-methyl-1,3,5-triazine-2,4(1H, 3H)-dione.

10. A tablet formulation according to Claim 2 wherein the herbicide is (2,4-dichlorophenoxy) acetic acid.

11. A tablet formulation according to Claim 2 wherein the herbicide is a sulfonamide.

12. A tablet formulation according to Claim 11 wherein the sulfonamide is 3-[[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]carbonyl]amino]-sulfonyl]-2-thiophene carboxylic acid, methyl ester.

13. A tablet formulation according to Claim 11 wherein the sulfonamide is methyl 2-[[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-amino]carbonyl]-amino]sulfonyl]benzoate.

14. A tablet formulation according to Claim 11 wherein the sulfonamide is ethyl 2-[[[[(4-chloro-6-methoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-benzoate.

15. A tablet formulation according to Claim 12 comprising about 52% of said sulfonamide, about 10% of citric acid, about 26% of sodium bicarbonate, about 5% of a condensate of naphthalene sulfonic acid salt and ammonium salt, about 1% of cross-linked polyvinylpyrrolidone, about 1% of sodium dialkyl sulfosuccinates, and about 5% of boric acid.

16. A tablet formulation according to Claim 15 comprising 52.1% of said sulfonamide, 25.6% of sodium bicarbonate and about 0.3% of magnesium stearate.

17. A tablet formulation according to Claim 3 wherein the fungicide is MBC.

18. A tablet formulation according to Claim 4 wherein the insecticide is trans-5-(4-chlorophenyl)-N-cyclohexyl-4-methyl-2-oxothiazolidine-3-carboxamide.

19. A tablet formulation according to Claim 6 wherein the acaricide is (4RS, 5RS)-5-(4-chloro-phenyl)-N-cyclohexyl-4-methyl-2-oxo-1,3-thiazolidine-3-carboxamide.

20. A tablet formulation according to any one of Claims 1 to 19 in the form of a tablet.

INTERNATIONAL SEARCH REPORT

International Application No PCT/US 89/02072

I. CLASSIFICATION OF SUBJECT MATTER (if several classification symbols apply, indicate all) [6]

According to International Patent Classification (IPC) or to both National Classification and IPC

IPC [5]: A 01 N 25/34, 25/08,//(A 01 N 25/34, 47:38, 47:36, 47:18, 43:64, 41:06, 39:04)(A 01 N 25/08, 47:38, 47:36 47:18, ./.

II. FIELDS SEARCHED

Minimum Documentation Searched [7]

Classification System	Classification Symbols
IPC 5	A 01 N

Documentation Searched other than Minimum Documentation to the Extent that such Documents are included in the Fields Searched [8]

III. DOCUMENTS CONSIDERED TO BE RELEVANT [9]

Category [10]	Citation of Document, [11] with indication, where appropriate, of the relevant passages [12]	Relevant to Claim No. [13]
A	GB, A, 2139893 (WELLCOME FOUNDATION LTD) 21 November 1984, see the whole document (cited in the application)	1-20
A	GB, A, 2184946 (NOVO INDUSTRI A/S) 8 July 1987, see page 1, lines 98-129; examples 1,8; claims (cited in the application)	1-20
A	DE, A, 3017639 (NORDDEUTSCHE AFFINERIE) 12 November 1981, see claims; page 3, line 29 - page 5, line 37; examples	1-20
A	EP, A, 0228164 (BOOTS CO. PLC) 8 July 1987, see page 2, line 10 - page 3, line 4; page 4, lines 15-20; examples; claims	1-20

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* Special categories of cited documents: [10]

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

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

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

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

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

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

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

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

"&" document member of the same patent family

IV. CERTIFICATION

Date of the Actual Completion of the International Search	Date of Mailing of this International Search Report
24th August 1989	21 SEP 1989
International Searching Authority	**Signature of Authorized Officer**
EUROPEAN PATENT OFFICE	T.K. WILLIS

INTERNATIONAL SEARCH REPORT

International Application No PCT/US 89/02072 -2-

I. CLASSIFICATION OF SUBJECT MATTER (if several classification symbols apply, indicate all) [6]

According to International Patent Classification (IPC) or to both National Classification and IPC

IPC[5]: 43:64, 41:06, 39:04)

II. FIELDS SEARCHED

Minimum Documentation Searched [7]

Classification System	Classification Symbols
IPC[5]	

Documentation Searched other than Minimum Documentation to the Extent that such Documents are included in the Fields Searched [8]

III. DOCUMENTS CONSIDERED TO BE RELEVANT [9]

Category [9]	Citation of Document, [11] with indication, where appropriate, of the relevant passages [12]	Relevant to Claim No. [13]

[9] Special categories of cited documents: [10]

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

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

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

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

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

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

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

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ANNEX TO THE INTERNATIONAL SEARCH REPORT ON INTERNATIONAL PATENT APPLICATION NO. US 8902072 SA 28930

This annex lists the patent family members relating to the patent documents cited in the above-mentioned international search report. The members are as contained in the European Patent Office EDP file on 15/09/89
The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
GB-A- 2139893	21-11-84	AU-A- 2714284	08-11-84
		EP-A- 0127773	12-12-84
		JP-A- 59206301	22-11-84
GB-A- 2184946	08-07-87	AU-A- 6714587	09-07-87
		EP-A- 0235875	09-09-87
		OA-A- 8457	30-06-88
DE-A- 3017639	12-11-81	None	
EP-A- 0228164	08-07-87	AU-A- 6509186	21-05-87
		JP-A- 62135418	18-06-87
		US-A- 4806358	21-02-89