SESSION 5

NEW COMPOUNDS, FORMULATIONS AND USES

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REPORTS 5A-1 to 5A-6

WL 108366 - A POTENT NEW RODENTICIDE

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ABSTRACT

WL 108366 is a new anticoagulant rodenticide from Shell Research Ltd. The compound has been shown to be extremely effective against strains of pest rodents resistant to conventional anticoagulants. It has excellent palatability and a very favourable spectrum of activity, being effective against a wide range of pest species although of lower acute toxicity to birds.

Results from laboratory bait-feeding tests show that a range of rodent species can be controlled following a single feed from a bait containing typically only 50 mg WL 108366/kg. A programme of field trials in the U.K. and elsewhere confirmed this efficacy.

The high potency of WL 108366 makes it an ideal candidate for "pulse baiting" techniques. This new rodenticide will significantly benefit rodent control in public health uses, grain storage and such crops as rice, sugar cane and oil palm where rodents can be severe pests.

INTRODUCTION

Since the first description of their use as rodenticides (O'Connor 1948), anticoagulants have rapidly superceded acute, fast-acting poisons and are now used worldwide. Their comparatively slow mode of action eliminates the need for pre-baiting to overcome bait shyness and, because the mode of action is well understood, a highly effective antidote, Vitamin K_1, is available in case of accidental ingestion. Warfarin was the first widely-used anticoagulant. Other compounds discovered subsequently including coumatetralyl, diphacinone, chlorophacinone and coumachlor are collectively known as chronic rodenticides, that is products which have to be consumed in significant quantities over several days to deliver a lethal effect.

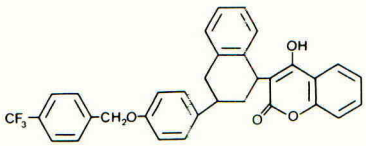
Rats resistant to the chronic anticoagulants were first discovered in Scotland in 1958. Subsequently, resistant strains have been encountered throughout Europe, the United States and parts of South East Asia. This led to the development of a series of novel anticoagulants described by Hadler and Shadbolt (1975), which were considerably more potent than the earlier first generation compounds and active against resistant strains of Rattus norvegicus. Two of these compounds, difenacoum and brodifacoum, have been developed commercially and these, together with a warfarin alcohol derivative, bromadiolone, are termed "second generation" anticoagulants. These products are effective against strains resistant to "first generation" compounds and sufficiently potent to deliver a lethal dose in a smaller quantity of bait than their predecessors.

5A—1

WL 108366 falls into this "second generation" category, being a new 4-hydroxycoumarin anticoagulant. Laboratory and preliminary field evaluation indicate that it is a highly promising new rodenticide, with high potency and excellent palatability. It is effective against resistant strains and has a reduced potential for undesirable acute effects on non-target species.

CHEMICAL AND PHYSICAL PROPERTIES

Structure:



Chemical Name:	4-hydroxy-3-{1,2,3,4-tetrahydro-3-[4-(4-trifluoromethylbenzyloxy)phenyl]-1-napthyl} coumarin.
Proposed Common Name:	Awaiting approval by BSI
Code Number:	WL 108366
Molecular Weight:	542
Appearance:	White powder
Solubility:	Water: 1.1 mg/litre In acetone, alcohols, chloroform, dichloromethane >10 g/litre.
Stability:	Four weeks storage at 50°C produced no detectable degeneration (as measured by HPLC). WL 108366 is hydrolytically stable under normal conditions.
Vapour Pressure:	At 25°C - c. 1×10^{-13} mm Hg.
Formulations:	Typically 50 mg WL 108366 per kg cereal based bait containing a warning dye.
Formulation Analysis:	By reverse phase HPLC.

TOXICOLOGY

Acute Oral

Species	LD 50 mg a.i./kg.
Rat	0.25
Mouse	0.8
Rabbit	0.2
Gerbil	0.18
Hamster	>50
Chicken	>100
Quail	>300
Mallard	c. 100

Mode of Action

WL 108366 is a classical indirect anticoagulant. Death of R. norvegicus occurs in a mean time of 5 - 6 days. Vitamin K_1 (phytomenadione) is a non-competitive inhibitor. The ultimate cause of death is massive non-specific haemorrhaging. No toxicity has been detected in invertebrates. The compound is strictly additive in effect, the sum of the daily sub-acute oral LD_{50} doses to rats being equivalent to the acute oral LD_{50}.

Antidote

Rats receiving an acute oral dose of 0.35 mg a.i./kg body weight showed no significant elevation of prothrombin time when given Vitamin K_1 by intraperitoneal injection daily at dosages of at least 5 mg/kg. At a daily Vitamin K_1 dosage less than 5 mg/kg, prothrombin times remained significantly elevated.

LABORATORY TRIALS

Resistance

Using a modification of the method described by Hadler and Shadbolt (1975) the direct anticoagulant potency in normal (Wistar) and homozygous Welsh resistant rats was determined. A resistance factor of 1.2 was found for WL 108366 compared with 1.9 for difenacoum, 1.1 for brodifacoum and 227 for warfarin.

The effectiveness of WL 108366 against resistant strains of rats and mice is illustrated in Table 1.

TABLE 1

Effectiveness of various concentrations of WL 108366 fed to resistant strains for 24 h with no alternative food source.

Species	Strain	WL108366 mg ai/kg	Kill/Group
R. norvegicus	Welsh	20	5/5
R. norvegicus	Difenacoum resistant ♂	50	10/10
	Difenacoum resistant ♀	50	10/10
M. musculus	Cambridge ♂	20	5/5
	Cream ♂♀	20	3/5
M. musculus	Wild ♂♀	50	5/5
	Wild ♀	50	5/5
R. rattus diardii	Wild* ♂	50	10/10
	Wild ♂	10	8/10

*Resistance not confirmed in all animals.

Palatability

However potent a rodenticide may be, its acceptability in a field bait in the presence of competing alternative food is of critical importance. Conventionally individually-caged rats were presented with a choice of formulated product and otherwise identical but unpoisoned food. Consumption was monitored daily over a four day period.

TABLE 2

Palatability, consumption of bait versus untreated grain by various male strains of rats and mice over four days.

Species	Weight of bait consumed (g)	
	WL 108366 50 mg a.i./kg	Untreated control
Wild R. rattus	47.9	85.9
Wild R. rattus	127.9	112.4
Wild R. norvegicus	151.7	236.7
Wild M. musculus	34.7	41.5
Lab. R. norvegicus	244.2	313.4
Lab. R. norvegicus	227.5	434.9

As can be seen in Table 2, WL 108366 was detected by the test animals but the difference in take between the test and control bait was indicative of very good acceptability.

Interpretation of laboratory acceptance tests is difficult. Probably the most effective comparison is to offer rodents a choice of either the compound under test or a commercial standard rodenticide whose field performance is known to be acceptable. Laboratory (Wistar) R. norvegicus were offered a choice of either commercially formulated difenacoum (50 mg/kg) or WL 108366 (50 mg/kg) in a similar base. Results are given in Table 3.

TABLE 3

Palatability, consumption of WL 108366 bait in comparison with difenacoum bait by R. norvegicus (Wistar).

	Weight of bait consumed (g)
WL 108366 - 50 mg a.i./kg bait	485.7
Difenacoum - 50 mg a.i./kg bait	56.7

Efficacy

The acute oral toxicity suggests that pest rodents consuming only a part of the daily food requirement from a bait in 1 day should absorb a lethal dose. To date, the following pest species have been tested.

TABLE 4

Results of 1 day, no choice feeding studies against various rodent species.

Species	WL 108366 in mg ai/kg	Kill/Group
Rattus norvegicus (susceptible)	20	5/5
Rattus norvegicus (resistant)	20	10/10
Mus musculus	10	4/5
" "	20	5/5
Arvicanthus niloticus	20	4/4
Rattus rattus	20	14/15
Rattus rattus diardii	50	10/10
" " "	10	8/10
Rattus tiomanicus	50	4/4
" "	20	4/4
" "	10	2/4

FIELD TRIALS

Materials and Methods

For the field trials WL 108366 was formulated as a 50 mg a.i./kg bait using good quality wheat, added flavouring and a warning dye. The efficacy of the compound was monitored using census techniques. These recorded rodent activity in two ways during the trial period: firstly by accurately weighing the amount of bait consumed and secondly by visual scoring of footprint activity using tracking boards.

Tracking boards

These consisted of 8 cm x 15 cm vinyl floor tiles onto which a covering of basic slag was dusted and smoothed flat. Footprint activity was scored on a 0 - 4 scale according to the number of prints found. After each assessment the basic slag covering was again smoothed flat and the tracking board replaced.

Baiting points

Accurately weighed quantities of bait were placed in plastic trays. The location of the trays at each trial site depended on the visible signs of rodent activity on the ground and on the results of pretreatment monitoring by means of tracking boards. The numbers of baiting points varied from site to site but was generally between 30 - 60 per trial.

Approximately 15 tracking boards were used for every 10 baiting points, with usually one tracking board placed next to a baiting point. Baiting points were protected from the weather and interference by a stiffened plastic cover.

The trials were assessed every second day. Assessments commenced with a pretreatment census using tracking boards prior to the start of baiting. During the trial the amount of bait consumed was determined by weighing and, following each assessment, the trays were replenished with fresh bait. Tracking board activity was also recorded at each assessment. This procedure continued until two successive assessments indicated little or no rodent activity. The bait was then replaced with ordinary wheat grain and if two further assessments showed minimal grain consumption and footprint activity the trial was terminated.

Results

Field Trial 1 - Mus musculus

An empty sealed grain store with a mouse (Mus musculus) infestation provided the ideal site for a trial.

The 6-day pretreatment census confirmed that a very large population of mice was present. Baiting commenced on day 6 using bait trays containing 25 g per tray of WL 108366 50 mg/kg cut cereal bait. Fig. 1 shows bait consumption falling away rapidly over the first 10 days after baiting, finally dropping to zero 16 days after bait was first laid, day 22 of the trial.

The tracking boards confirmed the rapidly-falling mouse activity. A small increase in activity noted on days 18 - 20 may have been due to a single mouse affecting several neighbouring tracking boards. Mouse activity finally ceased 24 days after bait was laid.

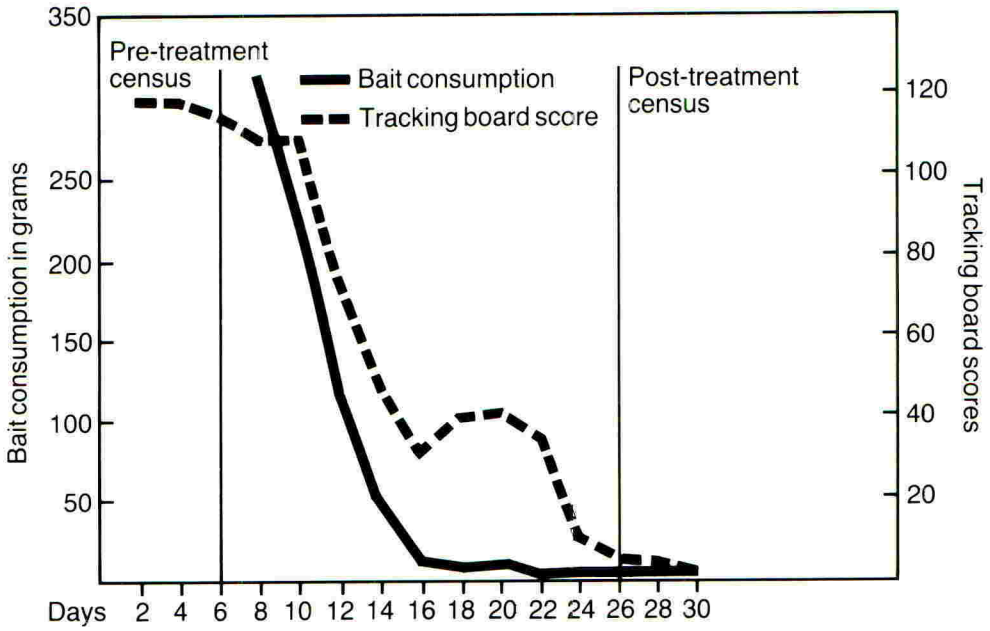


Fig. 1. Field trial using WL 108366 against Mus musculus - Kent, England grain store treatment using 50 mg a.i./kg bait, assessed by bait consumption and tracking board scores.

Field Trial 2 - Rattus norvegicus

This trial was carried out on a typical farmyard site around a chicken house. Considerable activity was noted in the adjacent areas with the rodents coming in to feed on grain put down for the chickens.

The pre-treatment census confirmed the rodent activity. Bait trays containing 200 g of the 50 mg a.i./kg cut cereal bait were placed strategically in positions of high activity as indicated by the tracking boards.

Fig. 2 shows that both bait consumption and tracking board activity quickly fell away over an 8 day period. Frosty weather between days 12 and 16 contributed to the rapid decline in activity early in the trial but complete control was then achieved 18 days after the start of baiting.

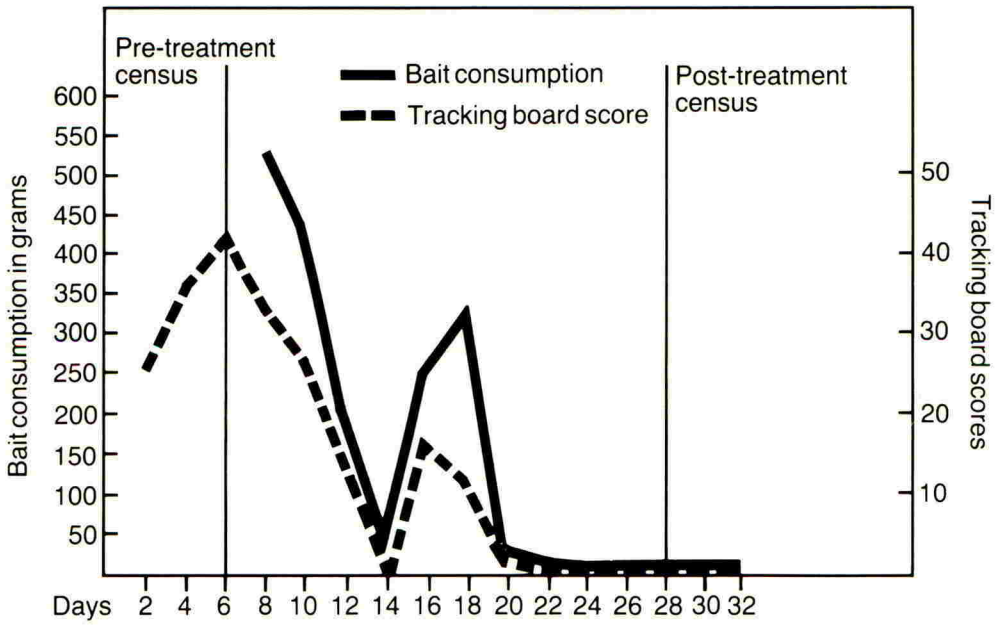


Fig. 2. Field trial using WL 108366 against Rattus norvegicus, Kent, England. Farm buildings treated using 50 mg a.i./kg bait, assessed by bait consumption and tracking board scores.

DISCUSSION

WL 108366 is a novel anticoagulant rodenticide active against strains of rodent resistant to conventional products. Available data indicates that it has good selectivity, particularly with respect to its acute avian toxicity. However, in common with most rodenticides, the field bait could present a hazard to birds if it became the major source of food over several days.

Of particular note is the extreme potency of the compound. The acute oral LD_{50} suggests that a rodent consuming only a part of its daily food requirement in a single day will absorb a lethal dose. This is confirmed by the single-day feeding tests reported above.

Conventional anticoagulant baiting techniques involve placing large quantities of bait in an infested area and maintaining at all times a quantity in excess of that consumed. This "Surplus" or "saturation" baiting is necessary when using first generation chronic anticoagulants where substantial multiple feeding is essential.

An alternative was suggested by Wood (1971) in which baits are laid only in sufficient quantities to satisfy the demand from the dominant members of the population on the first occasion. After a delay of several days, during which the dominants die or become moribund and replaced by subordinates, another "round" of baiting occurs with a similar gap between it and subsequent "rounds", until all of the population has gained access to the bait and died. Dubock (1982) refined this technique, now known as "pulse" or "minimal" baiting. Using the far more potent "second generation" products, he showed that field infestations can be controlled using smaller baits than those used by Wood whilst leaving a gap of 7-10 days between each baiting round or pulse.

The advantage of minimal baiting techniques is clear in that far less labour is required, the site being visited less frequently. The total quantity of bait laid is very much less than that previously employed, typically 20 - 25%. Because bait is exposed for only a short time and in smaller quantities, the opportunity for non-target animal contact is considerably reduced. The rodents are not given the opportunity to overfeed on substantial baiting points and thus do not absorb super lethal dosages which is both wasteful and presents a potential hazard to predators and scavengers.

The extreme potency of WL 108366, combined with its good acceptance and low acute hazard to birds, suggests that it is an ideal candidate for use in "pulse" baiting systems.

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BENZOPHENONE HYDRAZONES, A GROUP OF NOVEL INSECTICIDES

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ABSTRACT

Laboratory and field studies have shown that the benzophenone hydrazones are a new group of effective broad spectrum insecticides with good photostability. These compounds are active as stomach poisons with only poor contact activity, which limits potential in some areas but contributes to selectivity. Although insect death is usually slow to occur, affected insects frequently cease feeding shortly after ingestion and death occurs within 48 to 72 hours. The compounds are particularly effective against leaf feeding insects and will be of use in integrated pest management programmes.

INTRODUCTION

At the present time there are relatively few classes of broad spectrum insecticides. There is significant resistance to organochlorines, which are less used today, as well as organophosphorus and carbamate insecticides. With the current widespread usage of synthetic pyrethroids in agriculture there is a risk that resistance could become a serious constraint on their continued use and efficacy. There is, therefore, particular interest in the discovery of new classes of insecticidally active chemicals with novel modes of action.

CHEMISTRY

Chemical origins

Random empirical screening led to the discovery that the benzoylheterocycles (I, Fig. 1) possessed some low level insecticidal activity. Pursuit of this lead resulted in the synthesis of compounds with low levels of animal growth promoting effects (II), plant growth regulatory activity (III) and finally broad spectrum insecticidal properties (IV). Only the compounds of type (IV) were worthy of detailed biological evaluation.

Chemical synthesis

The substituent groups X, Y, R^1 and R^2 (IV, Fig. 1) can be widely varied to give different types of hydrazone derivatives with retention of high levels of activity. Fig. 2 illustrates how some of the main chemical types may be synthesised from a common intermediate.

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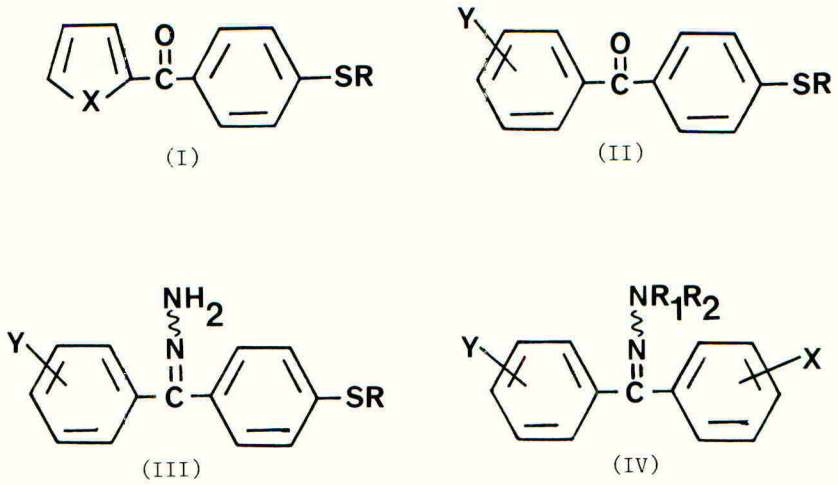


Fig. 1. Chemical origins of the benzophenone hydrazones

Chemical and physical properties

Compounds which give effective insect control are the ethoxycarbonylhydrazones (V & VIII, Fig. 3). As with most members of the series, the technical materials are mixtures of two geometric isomers, which may be separated by crystallisation or high pressure liquid chromatography (hplc). The isomer ratios of the technical materials are easily obtained either by hplc or by nuclear magnetic resonance (nmr) spectroscopy.

Separation and subsequent evaluation of the isomers of compound (V) (VI and VII, Fig. 3) showed that they were equivalent in biological effects (Table 1) but as expected had different physical properties.

Physical properties of compound (V)

Molecular formula and weight:	$C_{17}H_{17}ClN_2O_5S$, 396.84
Physical appearance:	Off-white powder (technical)
Melting point of technical material:	140-160°C
Melting points of isomers:	164-166°C (E-isomer);
	152-156°C (Z-isomer)
Solubility of technical material at 25°C:	Methylene dichloride 250 g/l
	Acetone 111 g/l
	Cyclohexanone 100 g/l
	Isophorone 77 g/l
	Water <10 mg/l

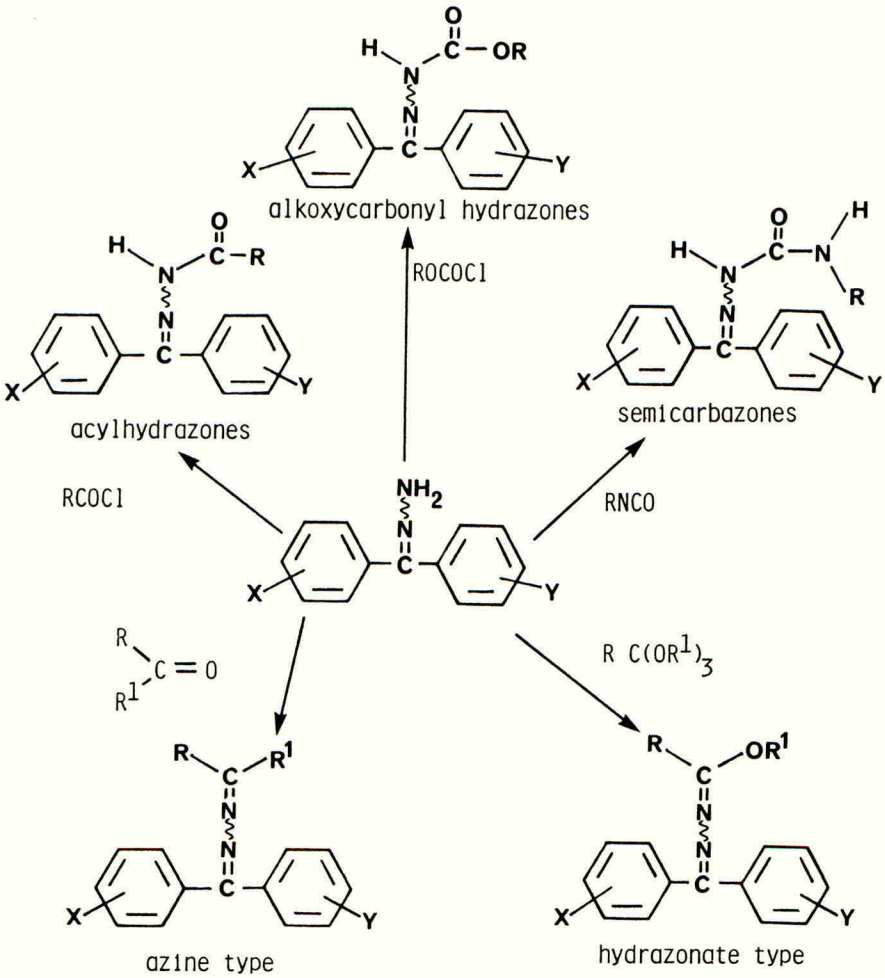


Fig. 2. Synthesis of various benzophenone hydrazone types

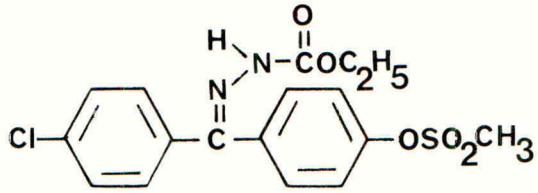
Photostability

A different class of hydrazones, the amidinohydrazones (Lovell 1979) was reported to be readily degraded by u.v. light and therefore the half life of compound (V) was compared with that of one of those compounds. When solutions of compound (V) and hydramethylnone ('Amdro') in 80% methanol:20% water were illuminated with u.v. light of wavelength 3500A the half lives were 840 and 0.8 lamp hours respectively.

Toxicological properties

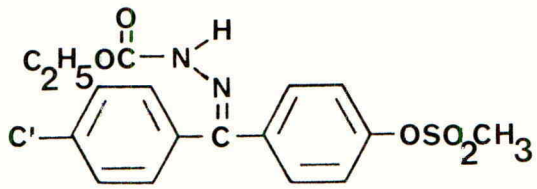
Compound (V) Acute oral toxicity : LD_{50} rat >250 mg/kg
: LD_{50} mouse >250 mg/kg
Acute dermal toxicity : LD_{50} rat >2000 mg/kg
A negative result was obtained in the Ames test

(V)



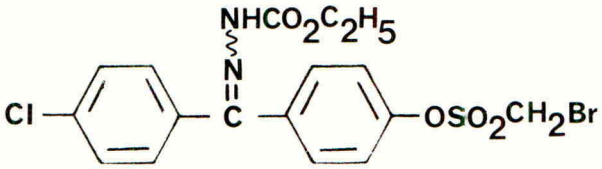
E-isomer

(VI)



Z-isomer

(VII)



(VIII)

Fig. 3. Structure of typical compounds.

BIOLOGY

Laboratory data

Compounds from each of the five sub-groups of hydrazone derivatives illustrated in Fig. 2 have good insecticidal activity. Table 1 shows laboratory LD_{50} values for the two alkoxycarbonylhydrazones [(V) and (VIII) Fig. 3] and illustrates typical activity.

The results of the standards, shown for comparison, were obtained using an identical test method, whereby the insects were fed plant material treated with the toxicant. Clearly the compounds (V) and (VIII) have particularly good effects against caterpillars. Further laboratory tests have shown that these hydrazones have only poor contact activity and that ingestion of the toxicant is required for good action. Leaf

TABLE 1

Approximate laboratory LD_{50} values (in ppm) of compound (V) and compound (VIII) and their isomers in comparison with standards

Compound	Plutella xylostella	Pieris brassicae	Spodoptera littoralis	Heliothis armigera	Megoura viciae	Phaedon cochleariae
Compound (V)	13	13	25	37	160	780
E-isomer	12	50	43	59	1000	500
Z-isomer	10	14	27	37	1000	1000
Compound (VIII)	9	5	10	270	100	100
E-isomer	10	-	10	-	-	300
Z-isomer	3	-	10	-	-	300
Fenvalerate	10	4	37	10	0.1	2.2
Methomyl	130	14	20	100	-	-
Parathion	5	2	33	42	-	-

TABLE 2

Effects of continuous exposure to compound (V) and some other insecticides on the rate of growth of Tenebrio molitor larvae

Treatment		average % weight increases compared to zero time weight*					Total Nos. cast skins (6 wks)
Active ingredient	Dose (µg/g)	Time (days) 7	14	21	28	42	
Compound (V)	100	4 (19)	1 (16)	1 (13)	4 (10)	3 (7)	7
Diflubenzuron	50	65 (19)	75 (7)	ALL DEAD	-	-	0
Fenvalerate	10	38 (15)	61 (14)	148 (12)	235 (12)	-	16
Diazinon	1	37 (20)	-	119 (20)	-	216 (20)	23
Juvenile hormone	10	32 (19)	114 (19)	138 (19)	-	335 (19)	39
Rotenone	500	29 (17)	65 (18)	64 (18)	-	194 (18)	8
DDT	100	22 (20)	-	102 (19)	-	178 (17)	12
Untreated	-	43 (17)	108 (17)	179 (17)	317 (17)	642 (17)	21

* Figures in brackets are numbers of surviving larvae. 20 larvae were used for each treatment.

feeding caterpillars are, therefore, susceptible whereas pod and flower feeding larvae are less well controlled. Insect strains that are resistant to carbamates, organophosphorus compounds and pyrethroids are susceptible to the benzophenone hydrazones. A further advantage is the good activity against even late instar larvae as demonstrated by compound (V) which had an LD_{50} value of 25 ppm against 3rd instar S. littoralis and of 45 ppm against 5th instar larvae. This is in comparison with fenvalerate which gave figures of 37 ppm and greater than 100 ppm respectively.

Symptoms of insect poisoning are unusual in that death is slow, usually taking 24 to 72 h depending upon the dose applied. Nevertheless, shortly after ingestion of the toxicant the insects become lethargic and slow moving and cease to feed. At low doses, feeding may recommence after some hours after which the pattern is repeated. Dead insects do not desiccate but remain fully turgid.

The compounds (V) and (VIII) are less active against plant sucking pests such as Megoura which is consistent with the poor contact activity and lack of systemicity.

In some situations even though direct insect kill may not be obtained there is reduction of insect damage. Table 2 shows data from an experiment in which compound (V) and various standards were added at low doses to the diet of Tenebrio molitor larvae for 42 days. Continuous exposure to compound (V) markedly reduced the larval growth rate and

TABLE 3

Synergism between the toxicity of compound (V) and amitraz to Spodoptera littoralis larvae

Concentration of Compound (V) (mg/l)	Concentration of amitraz (mg/l)	Expected % mortality	Observed % mortality
Compounds alone			
25	-	-	80
20	-	-	55
15	-	-	36
10	-	-	0
5	-	-	0
-	100	-	0
-	50	-	0
-	25	-	0
-	10	-	0
Mixtures in 1:2 ratio			
25	50	80	92
20	40	55	76
15	30	36	51
10	20	0	16
5	10	0	0
Mixtures in 1:10 ratio			
10	100	0	97
5	50	0	19

TABLE 4

Effects of compound (V) on Spodoptera frugiperda on seedling sweet corn

Treatment	Dose (g a.i./ha)	Insect Numbers* PS	Insect Numbers* T+3	% leaf damage T+5
Compound (V)	200	35	14	33.3
Compound (V)	400	25	13	30
Fenvalerate	150	19	17	36.6
Untreated		23	60	69.3

PS = pre spray; T+3 = 3 days post-treatment and sequence.
* Total number on 12 plants in 3 replicates.

weight gain but, despite only 3% increase in weight, 35% of the insects survived. This showed compound (V) to behave differently to standards of known mode of action and work is in progress to investigate further the activity of these compounds. However, it is clear that benzophenone hydrazones show promise for the control of stored product pests.

Mixtures with amidines

Work with mixtures has shown beneficial interactions between compound (V) and amidines such as amitraz (Table 3). This is particularly true of the 1:10 mixtures where inactive rates of both compounds led to good control of S. littoralis larvae. This result has been confirmed in small scale field trials.

Field data

Compounds effective as stomach poisons would be expected to give good control of gross leaf feeding species and this was observed with compound (V). Table 4 demonstrates its efficacy against S. frugiperda on sweet corn. As the kill is slow but the insects cease feeding before death, the effectiveness of the treatment is particularly clear if control is judged on the degree of leaf damage rather than insect mortality.

CONCLUSIONS

The benzophenone hydrazones, and compounds (V) and (VIII) in particular, have been shown to possess good insecticidal activity. The compounds are only active following ingestion and although slow to kill, low concentrations cause insect growth to slow and frequently prevent feeding. The compounds are photostable and are moderately persistent on crops. Field trials have demonstrated that rates between 250 and 400 g a.i./ha particularly in repeat spray programmes, are sufficient to provide effective control of all instars of leaf feeding caterpillars such as Spodoptera spp., including strains resistant to existing commercial products. In some trials mixtures of amitraz and compound (V) have given more than additive insect control.

Although compounds (V) and (VIII) have been used to demonstrate the activity within the benzophenone hydrazones some later members of the series have a significantly higher level of laboratory activity against caterpillars, beetles and stored product pests together with activity against an increased spectrum which includes flies, locusts, grass-hoppers, ants and termites. There is potential for the control of some of these pests by the use of bait formulations. The biological data on these compounds will be reported elsewhere.

These properties, together with the favourable toxicological profile of the benzophenone hydrazones, indicate significant potential for use in integrated pest management programmes.

ACKNOWLEDGEMENTS

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DPX H6573, A NEW BROAD-SPECTRUM FUNGICIDE CANDIDATE

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ABSTRACT

DPX H6573, bis(4-fluorophenyl)methyl(1H-1,2,4-triazol-1-ylmethyl)silane, a new ergosterol biosynthesis inhibiting fungicide, provided control of a broad spectrum of diseases in two years of testing. Field trials demonstrated control of cereal eyespot (*Pseudocercosporella herpitroides*), brown rust (*Puccinia recondita* f. sp. *tritici*) and yellow rust (*P. striiformis*) of wheat, cereal powdery mildew (*Erysiphe graminis*), glume blotch (*Septoria nodorum*) of wheat and leaf blotch (*Rhyncosporium secalis*) of barley. Apple scab (*Venturia inaequalis*) and powdery mildew (*Podosphaera leucotricha*), grape powdery mildew (*Uncinula necator*) and early and late leafspot of peanut (*Cercospora arachidicola*, *Cercosporidium personatum*) were also effectively controlled. Results of laboratory, greenhouse and field tests indicate that DPX H6573 is systemic and has pre- and post-infection activity up to seven days after application. Phytotoxic effects on treated plants were not present at effective dosages under widely variable geographic and climatic conditions.

INTRODUCTION

DPX H6573, bis(4-fluorophenyl)methyl(1H-1,2,4-triazol-1-ylmethyl) silane, is a new ergosterol biosynthesis inhibiting fungicide synthesized by Du Pont. It has been tested widely in Europe, North and South America and Japan on a broad range of crops and diseases.

The purpose of this paper is to describe the properties of DPX H6573 and its field performance on several economically-important crops and diseases.

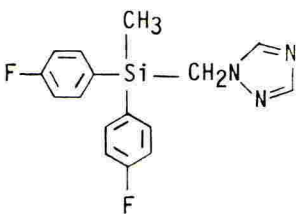
TECHNICAL DATA

Chemical and Physical Properties

Common name: Not yet established

Chemical name: Bis(4-fluorophenyl)methyl(1H-1,2,4-triazol-1-yl methyl)silane

Structural formula:



Molecular formula: $C_{16}H_{15}F_2N_3Si$
Appearance: White crystalline solid
Melting point: 55°C
Solubility: > 2 g/ml in many organic solvents
Formulation: 40 e.c. (400 g a.i./litre)

Mammalian Toxicity

Acute oral LD_{50}: Rat (male) 1110 mg a.i./kg
Rat (female) 674 mg a.i./kg
Acute dermal LD_{50}: Rabbit > 2000 mg a.i./kg
Sensitization: Not a sensitizer
Irritation: Mild skin and eye irritant
Mutagenicity: Negative
Embryotoxicity: NOEL 2 mg a.i./kg (gavage), dietary in progress

BIOLOGICAL ACTIVITY

DPX H6573 is a member of the ergosterol biosynthesis inhibiting family of fungicides. It is systemic, enters plants rapidly upon application and exhibits protective and curative activity. DPX H6573 is effective against a broad range of fungal plant pathogens in the ascomycetes, the basidomycetes and the deuteromycetes. No activity is exhibited against the oomycetes.

MATERIALS AND METHODS

Cereals

DPX H6573 was applied to plots usually 3m x 7m using a hand-held boom. All trials were replicated 3-4 times using randomized block designs. The usual spray volume was 300-400 l/ha. Applications were made both prior to and after disease occurrence. Disease assessments and cereal growth stage determinations were made according to locally-recognized guidelines.

Apples and Grapes

The plot size was 1-4 plants, replicated 3-6 times in randomized block designs. Spray volumes varied from 40 hl/ha to 100 hl/ha. Applications were made with a handgun. Application schedules were initiated either at onset of disease or based on plant development according to local recommendations. Effects on grape fermentation and wine taste were evaluated. Efficacy was assessed by counting the numbers of infected plant parts and the numbers of lesions on fruit or foliage and by estimating disease severity relative to untreated plants.

Peanuts and Sugarbeet

Plots were 4-6 rows wide and 6-15.2m long, arranged in randomized block designs and replicated 3-4 times. Applications were made with a hand-held boom or a tractor-mounted boom. Total spray volume was 93.5 - 187 l/ha. Peanut trials were limited to the peanut-growing area in the United States. Treatments were usually initiated and scheduled according to local practices. Peanut treatments began less than 30 days after plant emergence.

RESULTS AND DISCUSSION

Results presented below were selected from representative trials from a geographically-broad testing programme. Trials were selected on the basis of uniform and heavy disease occurrence.

Cereals

Disease control was widely evaluated on major cereal diseases in Western Europe and in North and South America. DPX H6573 provided reasonable control of eyespot (Pseudocercosporella herpitroides) at 160-240 g a.i./ha (Table 1) when applied at first or second node.

TABLE 1

Control of cereal eyespot in eight trials in France, 1983

Treatment	Dose (g a.i./ha)	Percent Control	Yield (x100 kg/ha)
DPX H6573	80	44	48.6
DPX H6573	160	68	49.5
DPX H6573	240	76	50.5
Carbendazim	200	82	47.2
Prochloraz	750	76	49.6
Untreated	-	(50% stems infected)	41.2

Control comparable to that given by competitive standards with foliar and ear diseases, brown rust (Puccinia hordei), Septoria nodorum and S. tritici, barley leaf blotch (Rhynchosporium secalis) and powdery mildew (Erysiphe graminis) was provided by DPX H6573 between 80 and 160 g a.i/ha as shown in Tables 2 and 3.

TABLE 2

Control of certain cereal leaf and ear diseases in France, 1983

Treatment	Dose (g a.i./ha)	Percent Control					
		Brown rust	Septoria			Rhyncosporium	
		T_1+ 15	T_1+21	T_1+43	T_2+18	T_1+15	T_2+30
DPX H6573	40	81	67	44	46	62	65
DPX H6573	80	91	74	45	55	74	82
DPX H6573	160	98	80	59	69	72	89
Propiconazol	125	96	81	57	66	67	88
Untreated	pustules/ leaf or % infected area	(106)	(38)	(35)	(43)	(13)	(59)
Nos. of trials		4	3	3	6	2	2

TABLE 3

Control of barley powdery mildew in England and France, 1983-84

Treatment	Dose (g a.i./ha)	Percent Control	
		England (T_1+30)	France (T_1+15)
DPX H6573	40	33	
DPX H6573	80	60	88
DPX H6573	160	83	92
Propiconazol	125	90	91
Untreated	% area infected	(24)	(20)
Nos. of trials		3	3

Apples

DPX H6573 provided excellent control of apple scab (Venturia inaequalis) and powdery mildew (Podosphaera leucotricha) on foliage and fruit at low doses on a variety of spray schedules, including 14-day intervals (Table 4). Greenhouse and field trials confirmed that DPX H6573 has protective activity and curative activity as much as 120 h post infection. The summer fruit rot diseases such as bitter rot (Glomerella cingulata) and sooty blotch (Gloeodes pomigena) were not controlled by DPX H6573. No evidence of phytotoxic effects on foliage or on fruit set, size or shape were noted.

TABLE 4

Control of apple scab and secondary infections of apple powdery mildew at the final evaluation following season-long application at 14-day intervals

Treatment	Dose (g a.i./hl)	Percent Control		
		Scab		Powdery mildew
		Foliage	Fruit	Foliage
DPX H6573	2	86	92	95
DPX H6573	4	96	95	97
Bitertanol	18.75	76	87	82
Fenarimol	4	75	61	96
Captan	150	72	62	54
Untreated	% infection	(84)	(86)	(15)
Nos. of trials		5	5	2

Grapes

Efficacy against powdery mildew (Uncinula necator) was evaluated widely. Results, as the example in Table 5 shows, indicate that

DPX H6573 controlled powdery mildew at very low dosages and on extended schedules compared to a sulphur standard. Phytotoxic effects were not noted at effective dosages nor was there any effect on fermentation or wine taste. Additional data also indicate that black rot (Guignardia bidwellii) was controlled at dosages effective on powdery mildew.

TABLE 5

Control of grape powdery mildew in 3 trials with 4-8 applications at 14-day intervals in France, 1983

Treatment	Dose (g a.i./hl)	Percent Control on Bunches
DPX H6573	1	78
DPX H6573	2	96
DPX H6573	4	98
Fenarimol	1.2	83
Sulphur	750-1000	58
Untreated	% bunches infected	(66)

Peanuts

DPX H6573 provided excellent control of both late leafspot (Cercosporidium personatum) and early leafspot (Cercospora arachidicola) in the Southeast United States, an area with very severe leafspot disease pressure. A 10-test average indicated that 70-140 g a.i./ha of DPX H6573 provided equal or better leafspot control than the standard (Table 6) under moderate to heavy disease.

TABLE 6

Control of early and late leafspot of peanuts in 10 tests with 14-day spray intervals and 6-8 applications in Southeastern United States in 1983

Treatment	Dose (g a.i./ha)	Percent leafspot control based on defoliation
DPX H6573	70	76
DPX H6573	140	86
Chlorothalonil	1233.5	75
Untreated	% defoliation	(68)

Sugarbeet

Cercospora beticola was controlled by DPX H6573 at 80 g a.i./ha and above on a 14-day application schedule, but control was unacceptable when applications were made only when new symptoms appeared. However, DPX H6573 at 80 g a.i./ha and above in combination with the protective

fungicide maneb provided excellent control of C. beticola when applied according to symptom appearance. As reported previously (Bongiovanni, 1984), combinations with fentin hydroxide also enhanced disease control at relatively low dosages of DPX H6573.

TABLE 7

Control of Cercospora beticola on sugarbeet from sprays applied biweekly (B) or when new symptoms appeared (S), Greece, 1983

Treatment	Dose (g a.i./ha)	Application Timing	Percent Control	Yield (t sugar/ha)
DPX H6573	40	B	62	11.85
DPX H6573	80	B	85	11.20
DPX H6573	120	B	93	12.77
DPX H6573	120	S	55	11.03
DPX H6573 + maneb	80 + 2000	S	94	11.26
Bitertanol + maneb	300 + 2000	S	94	12.19
Untreated	% infection	-	(94)	9.75

CONCLUSIONS

DPX H6573 provided excellent control of a broad spectrum of diseases on a wide range of economically-important crops. Effective disease control at low dosages under highly varied climatic conditions was achieved without phytotoxicity.

Control of major cereal diseases, including eyespot, glume blotch, powdery mildew, rusts and leaf blotch was achieved with 1-2 applications per season. Two applications against leaf and ear diseases usually gave better disease control. Eyespot seemed to be controlled adequately at 160-200 g a.i./ha while 160 g a.i./ha or less seemed adequate for the other diseases.

Utilizing both protective and curative activity, DPX H6573 provided control of apple scab and powdery mildew and grape powdery mildew at very low dosages and with extended spray schedules. Disease control was excellent when applications were made at 2-week intervals. Although results are shown for control of secondary infections of apple powdery mildew, other investigations indicated a reduction in primary infection development in DPX H6573-treated trees. These diseases may be effectively controlled by treating according to set intervals, plant development or infection periods, thus allowing flexibility of application timing. Grape black rot was controlled at dosages which controlled powdery mildew. However, DPX H6573 did not control Botrytis on grapes or the summer fruit rot complex on apples.

Cercospora leafspots on peanuts and sugarbeet were controlled to a commercially-acceptable level by 160 g a.i./ha or less of DPX H6573 when applications were made at biweekly intervals. Combinations with maneb and fentin hydroxide enhanced C. beticola control, especially when applications were timed as needed according to symptom appearance. Yields appeared to coincide with disease control.

REFERENCES

Bongiovanni, G. C., (1984) Prime prove con un nuovo anticrittogamico su Cercospora beticola sacc. Alti Giornate Fitopatologiche 1984 1, 399-408.

PP321 - A NOVEL PYRETHROID INSECTICIDE

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ABSTRACT

PP321 is a new photostable pyrethroid, active against a broad spectrum of pests, which can be used to give rapid knockdown or persistent protection. It is a contact, residual and stomach-acting insecticide with repellency properties, but it is neither fumigant nor systemic. PP321 has given excellent control of caterpillars, beetles, weevils, aphids and other sucking pests when used at 5-30 g a.i./ha, and is not phytotoxic to a wide range of crops under normal conditions of use. When used for the control of caterpillars, it can reduce or eliminate completely the need for specific miticidal sprays. These factors, combined with PP321's minimal hazard to beneficial organisms in practice, and safety to the user make the compound a significant discovery for combatting arthropod pests world-wide.

INTRODUCTION

PP321, IUPAC systematic chemical name α-cyano-3-phenoxybenzyl -3-(2-chloro-3,3,3-trifluoroprop-1-enyl)-2, 2-dimethylcyclopropane= carboxylate, as a 1:1 mixture of the (Z)-(1R,3R),S-ester and the (Z)-(1S, 3S),R ester was discovered at the Jealott's Hill Research Station of ICI Plant Protection Division, and is exclusively patented by ICI (Robson, 1984; Robson & Crosby, 1984). It is a new pyrethroid insecticide and will be sold under the trade name of "KARATE". This paper reports the technical properties of PP321 and the impressive efficacy of the compound against a wide range of pests in the field.

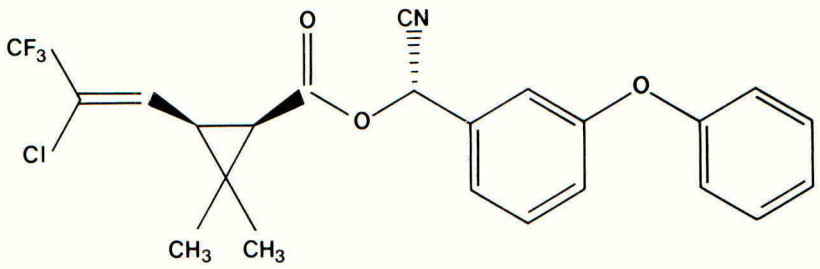
GENERAL PROPERTIES

Chemical and physical properties

Molecular Formula : $C_{23}H_{19}ClF_3NO_3$

Molecular Weight : 449.9

Structural Formula



and enantiomer (1 : 1 mixture)

Solubility : 5×10^{-3} mg/l (purified water)
4×10^{-3} mg/l (buffered water)
Soluble in a range of common solvents at 21°C

Melting Point : 49.2°C (322.4°K)

Physical State : White solid

Odour : No characteristic odour

Vapour Pressure : 2×10^{-10} kPa at 20°C

Stability : Stable for at least 6 months at 15-25°C

Details of the synthesis of PP321 are reported by Robson et al.(1984).

Toxicological Properties

PP321 is of moderate acute toxicity with oral and dermal LD50's as listed in Table 1.

TABLE 1

Acute Toxicity of PP321 (Rat)

Sex	Formulation or Solvent Vehicle	Route of Administration	LD_{50}
Male & Female	25 g/l EC	Oral	923-1930 mg of formulation/kg
Male & Female	50 g/l EC	Oral	467-955 mg of formulation/kg
Male	technical in corn oil	Oral	79 mg/kg
Female	technical in corn oil	Oral	56 mg/kg
Male & Female	25 g/l EC	Dermal	>1780 mg of formulation/kg
Male & Female	50 g/l EC	Dermal	>1800 mg of formulation/kg
Male	technical in propylene glycol paste	Dermal	632 mg/kg
Female	technical in propylene glycol paste	Dermal	696 mg/kg

In addition, technical PP321 is not a skin irritant and is only a mild eye irritant. In mutagenicity tests, the compound is Ames-negative.

BIOLOGICAL EVALUATION

PP321 is a photostable insecticide with contact, residual, stomach-acting and repellancy properties. It has no fumigant or systemic action. PP321 can be used to give rapid knockdown or persistent protection.

Generally, PP321 has been evaluated in emulsifiable concentrate (e.c.) and ultra-low volume (u.l.v.) formulations. These formulations are compatible with most insecticides and fungicides. They are, in addition, stable and rainfast once the compound has penetrated the waxy cuticle on the leaf surface and the spray deposits have dried. When used as a foliar spray at the recommended rates and dilutions, it is not phytotoxic to major crops, e.g. cotton, soybean, maize, rice, vegetables and top-fruit.

PP321 has been extensively evaluated in the field in more than 40 different crops throughout the world. In this paper, it is not possible to catalogue the results obtained on the 100 or so pest species encountered in these trials; consequently data from the 1983 field season have been selected as representative of the compound's performance against the major arthropod pest groups.

Lepidoptera

PP321 can be used to control a wide range of lepidopterous pests. For example, in 1982/3, PP321 applied at 7-10 day intervals gave excellent season-long control of a heavy infestation of the Old world cotton bollworm Heliothis armiger, and the native bollworm, H. punctiger in Australian cotton. The compound matched the performance of cypermethrin, although applied at much lower rates (Fig. 1).

Similar results have been obtained in the U.S.A. against H. virescens and H. zea. Other Lepidoptera on cotton controlled by PP321 at rates between 5-20 g a.i./ha, used generally as a prophylactic treatment, include Pectinophora gossypiella, Diparopsis spp., Bucculatrix thurberiella and Ostrinia nubilalis. Good results have also been obtained at similar rates against H. zea in soybean, Spodoptera frugiperda and O. nubilalis attacking maize, and S. exigua on alfalfa.

The compound has been shown to control the small fruit-borer, Neoleucinodes elegantalis; rates as low as 3.75 g a.i./ha, gave protection of tomatoes in Brazil on a seasonal programme of weekly sprays. PP321 is also active against Lepidoptera on vegetable including Plutella xylostella and S. exigua; generally rates between 5-20 g a.i./ha are effective.

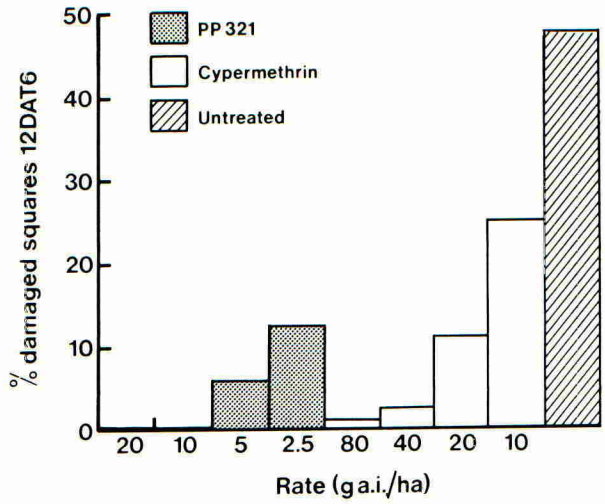


Figure 1: Control of Heliothis spp in cotton, Australia 1982/83

PP321 is particularly effective for the control of top fruit Lepidoptera, when used in a regular programme of preventative sprays. In Romania rates as low as 2.5 mg a.i./l sprayed every 2 weeks gave impressive control of both the Codling moth, Cydia pomonella (Table 2) and the European red mite, P. ulmi. Similar results were obtained against Laspeyresia funebrana on plums and Adoxophyes orana on apples. Control of leaf-miners eg. Cemiostoma sp. in top-fruit can also be achieved but higher rates (7.5-17.5 mg a.i./l) of PP321 are required.

TABLE 2

Control of Codling moth on apples, Romania 1983

Chemical	Rate (g a.i./ha)*	% Attacked fruit	Yield (kg/tree)
PP321	5	0	52.8
PP321	10	0	56.6
Cypermethrin	26	0	54.0
Untreated	-	26	8.6

*Spray vol. 2000 l/ha

Hemiptera

PP321 is generally effective in controlling aphids at rates between 5 and 15 g a.i./ha, but as the compound lacks mobility, the rate for an individual species will depend on the degree to which the pest is exposed. For instance, PP321 has given excellent control of the cereal aphids, Rhopalosiphum padi, Sitobion avenae and Metopolophium dirhodum. When PP321 is used for the control of R. padi at 5-10g a.i./ha, transmission of Barley Yellow Dwarf Virus (BYDV) is prevented (Fig. 2).

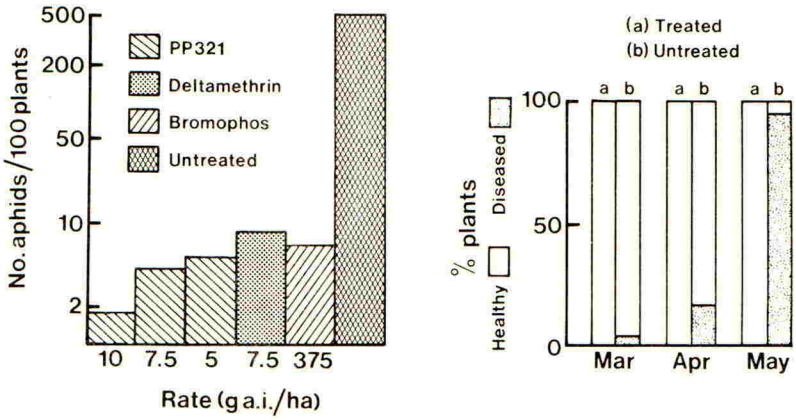


Figure 2: Control of cereal aphids & BYDV in winter barley, France 1983

Persistent control has also been achieved against Brevicoryne brassicae in oil seed rape using 6.25-12.5 g a.i./ha as a curative treatment and against Aphis gossypii in cotton at 10-20 g a.i./ha in Turkey and the Sudan. In addition, PP321 gives outstanding control of the hop aphid, Phorodon humuli at similar rates (Table 3).

TABLE 3

Control of the hop-damson aphid, Phorodon humuli, FRG 1983

Chemical	Rate (g a.i./ha)	No. aphids/leaf 8 DAT	16 DAT	23 DAT
PP321	12.5	0	8.7	20.7
PP321	6.25	0	16.2	42.5
Permethrin	150	1.5	17.7	216.4
Untreated		628.0	1179.0	-*

* No assessment; plots oversprayed with standard aphicide due to high pest presssure.

Aphids which infest vegetables, such as Myzus persicae on broccoli and Macrosiphum euphorbiae on potatoes, have been controlled with 6-15g a.i./ha of PP321 on a 7-10 day spray programme.

In top fruit, PP321 has been evaluated against Aphis pomi on apples, and Myzus persicae on peaches. Rates of 5-10 g a.i./ha are effective, and in temperate climates, may provide protection against combined attacks of aphids, caterpillars, beetles and mites.

Results against scale insects have been variable; success is dependent upon good crop coverage and contact with the susceptible motile stages. The compound is effective against the olive soft scale, Saissetia oleae, giving control on satsumas up to 7 days after treatment with 12.5mg a.i./l. Rates of 12.5-25 g a.i./ha of PP321 have been effective for the control of the whitefly Bemisia tabaci on Guatemalan cotton, sprayed once- or twice-

weekly season-long. Good results have also been obtained against Trialeurodes vaporariorum on beans in Dutch glasshouses following a single spray of PP321 at 12.5 mg a.i./l.

PP321 has good intrinsic activity against Cicadellidae, particularly against the green leafhopper, Nephotettix cincticeps where rates of 6.25-12.5 g a.i./ha every two weeks give effective control. An additional benefit when using PP321 is the excellent control of Tungro virus transmitted by this pest.

Good results have been obtained against the jassid, Empoasca fabae on potatoes following the application of PP321 at 10-15g a.i./ha. In field trials on alfalfa in the USA, PP321 was highly effective against the lygus bug (Lygus hesperus). In these trials, PP321 gave simultaneous control of the beet armyworm Spodoptera exigua when applied as a curative treatment, and persisted to give good control of later infestations of pea aphid (Acyrthosiphon pisum) and spotted alfalfa aphid (Therioaphis maculata).

Coleoptera

PP321 has proven efficacy against the larvae and/or adults of a wide range of important coleopterous pests, such as the cotton boll weevil (Anthonomus grandis) and Colorado potato beetle (Leptinotarsa decemlineata).

In Guatemala, PP321 at rates between 7.5-30 g a.i./ha reduced cotton square damage caused by boll weevil to a seasonal average of 5-9% whereas the standard treatment, methyl parathion at 720 g a.i./ha, kept damage at around 10%. Insect pressure was so high that it would not have been possible to leave any untreated plots for fear of infesting surrounding cotton. In these trials sprays were applied twice weekly, a schedule necessitated through rapid growth of the cotton diluting the chemical.

An example of the control of Colorado potato beetle is given in Table 4. In this trial, potatoes were artificially infested with larvae, and a curative treatment with PP321 was highly effective.

TABLE 4

Control of Colorado potato beetle on potatoes, USA 1983

Chemical	Rate (g a.i./ha)	No. larvae/2m row 3DAT
PP321	22.4	0.50
PP321	11.2	0.25
Cypermethrin	67.2	1.75
Cypermethrin	33.6	11.25
Untreated	-	70.25

Other Coleoptera controlled by PP321 at rates of 6.25-12.5 g a.i./ha include pests of oilseed rape, such as the blossom beetle Meligethes aeneus and the swede seed weevil Ceutorhynchus assimilis.

PP321 has given excellent control of the plum curculio, Conotrachelus nenuphar at rates of 10 g a.i./ha applied at 7-14 day intervals. Against the crucifer flea beetle, Phyllotreta cruciferae, PP321 gave good protection

of broccoli against heavy infestations when sprays were applied every three weeks (Table 5).

TABLE 5

Control of Phyllotreta cruciferae on broccoli, Canada 1983

Chemical	Rate (g a.i./ha)	No. beetles/5 plants		
		4DAT1*	3DAT2	2DAT3
PP321	5.6	1.5	6.3	2.0
PP321	11.2	2.8	4.5	1.3
Permethrin	78.4	2.5	4.5	1.3
Untreated	-	12.3	213.8	273.5

*Sprays applied on a three week schedule

Acarina

PP321 applied on a regular schedule e.g. for control of cotton and top fruit Lepidoptera (Table 6) will also suppress mite numbers, unlike many typical pyrethroids. Depending on the rate of application and the climatic conditions PP321 can eliminate or reduce the number of specific acaricide sprays required during a season.

TABLE 6

Suppression of Panonychus ulmi on apple following five sprays of PP321, Spain 1983

Chemical	Rate (mg a.i./l)	No. of motiles/leaf 9 DAT 5
PP321	7.5	16.5
PP321	17.5	12.7
Deltamethrin	7.5	28.7
Untreated	-	38.3

Spray vol = 2000 l/ha.

A single application of PP321 at 33.6g a.i./ha gave control (>90%) of a heavy infestation of the two-spotted spider mite Tetranychus urticae (55 motiles per leaf) on cotton in the USA, equivalent to the standard treatment, dicofol (1344g a.i./ha) 6 days after treatment. This pest was also controlled on vines in Germany with good persistence of effect at rates of 12.5-25g a.i./ha.

Activity also extends to pear and apple rust mites Epitrimerus pyri and Aculus schlechtendali, respectively.

Other insects

PP321 has proven activity in the field against many other insect pests including members of the Diptera, Orthoptera and Thysanoptera. PP321 has also been evaluated against non-agricultural pests and can be used for the control of cockroaches, nuisance flies, blowflies and ticks.

ENVIRONMENTAL ACCEPTABILITY

PP321 is of low toxicity to birds - the acute oral LD50 to the mallard duck is in excess of 3,950 mg/kg. PP321 is also readily excreted by birds (studies with quail and mallard) with no accumulation of residues in their eggs or tissues.

PP321 has no detrimental effect on earthworms as studies with field populations have demonstrated that worms were unaffected 6 and 12 months after a single spray application at the excessively high rate of 250g a.i./ha.

PP321 is less toxic to honeybees by laboratory oral and topical application than permethrin or cypermethrin, even though PP321 is more active than these pyrethroids on arthropod pest species. In the field, PP321 applied at a normal rate and timing, for example for rape pest control, was shown to have no detrimental effect on foraging bees (Gough and Wilkinson, 1984).

PP321 has a soil half-life of around 4-12 weeks and degradation products are rapidly mineralized to CO_2. Leaching of PP321 and its degradation products through a range of soil types is negligible. Although PP321 is toxic to aquatic organisms in laboratory tests its effect in the environment is greatly ameliorated by rapid adsorption, for example to bottom and suspended sediments, and by degradation (Bewick et al., 1984).

CONCLUSIONS

Global field trials have shown PP321 to be highly active, with a greater range of activity than conventional pyrethroids at low rates. This exciting new discovery will find major uses for the control of foliar, soil surface and public health pests. With such a comprehensive spectrum of activity, PP321 will be especially useful for the control of pest complexes attacking the same crop. This factor, combined with low use rates, safety to the user and minimal hazard to beneficial organisms in practice, make PP321 a significant addition to the armoury of insecticides used to combat arthropod pests world-wide.

ACKNOWLEDGEMENTS

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CONTROLLED RELEASE FORMULATIONS OF CHLORPYRIFOS IN A THERMOPLASTIC GRANULE MATRIX

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ABSTRACT

'suSCon' 140G (Consolidated Fertilizers Limited) is a controlled release soil insecticide containing 140 g chlorpyrifos/kg in a thermoplastic granule matrix. The product has been registered in Australia for 2 year control of five species of canegrub (white grub) in sugar cane at an application rate of 4 kg a.i./ha. Field evaluations are being undertaken with other products in Australia, S.E. Asia, Africa, the Caribbean and Europe. The commercial development of the technology provides an alternative to persistent organochlorine insecticides and can probably be used with some nematicides and fungicides.

INTRODUCTION

Pesticide regulatory authorities in many countries have either banned, or are committed to phasing out of use, the persistent organochlorine insecticides such as aldrin, HCH, dieldrin and heptachlor. When applied at, or soon after planting, these insecticides have provided control of many soil insect pests of sugar cane and pineapple in both the plant and subsequent ratoon crops. Producers relying on these insecticides for control of pests such as canegrub (white grub) and soldier fly (Inopus rubriceps, I. flavus) face serious production losses if they can no longer be used. Conventional formulations of acceptable soil insecticides are ineffective through lack of persistence.

As a result of a co-operative research and development programme by the Bureau of Sugar Experiment Stations (BSES) and Consolidated Fertilizers Limited (CFL), an Incitec Ltd Group company, 'suSCon' 140G (CFL), a product containing 140 g chlorpyrifos/kg in a thermoplastic granule matrix was registered for use in Australia during 1984 to provide an alternative means of control of canegrub (Lepidiota frenchi, L. consobrina, L. crinita, Antitrogus mussoni and Dermolepida albohirtum) in sugar cane. This paper provides information on the formulation technology on which this product is based and results of persistence tests and field trials with some experimental formulations. Implications of the technology in other pest control systems using chlorpyrifos and other insecticides are discussed.

MATERIALS AND METHODS

Formulation

Production and properties

Granules are produced by incorporating the active insecticidal ingredient and release-rate-modifying inert materials in a thermoplastic matrix which is compounded, extruded as a strand and pelletised. Commercial grade granules, having a specific gravity greater than one to ensure they remain in the soil under wet or flooded conditions, are produced with uniform dimensions which can be varied within a range of 1

to 3 mm. The active ingredient is released from the granules by a leaching process dependent on the creation of a micropore structure within the matrix.

Shelf life

Potential problems include instability of the insecticide in contact with the adjuvants modifying the release rate, loss of insecticide through blooming and granule agglomeration as a consequence of blooming. However, these problems have been successfully overcome, resulting in free-flowing stable formulations with at least two years shelf life.

Persistence tests

Pot trials

In BSES tests granules were mixed with soil at concentrations of 16 mg a.i./kg and 64 mg a.i./kg and held in pots which were buried in the field and retrieved at intervals over a 3 year period (Hitchcock, et al., 1984). The concentration of chlorpyrifos in the soil was measured and the soil was used in bioassay tests against third instar L. frenchi larvae. Bioassay testing was carried out at 27°C. Soil retrieved from each treatment was placed in twenty glass jars (120 g soil/jar) each containing one larva. Mortalities were monitored and untreated controls used so that treatment mortalities could be corrected. Granules were retrieved and the chlorpyrifos content was determined. The granule samples were dissolved in solvent, and after precipitation of the polymers with methanol, analysed by high performance liquid chromatography.

CFL tested the rate of release of chlorpyrifos into soil by placing replicated 2 g subsamples of formulated granules in 15 cm open-ended pots enveloped in gauze sleeves. The pots were buried in field plots maintained in a moist condition by irrigation. Granules were retrieved at various time intervals and the active ingredient content determined by chemical analysis, as described above.

Field Trials

Seventeen replicated field trials were started in 1981 to evaluate chlorpyrifos formulations against canegrub in sugar cane (Hitchcock et al., 1984). Randomized complete block designs were used. Plots were 0.01 ha and treatments consisted of 3 formulations, CFL 1101 (158 g chlorpyrifos/kg), CFL 1103 (129 g chlorpyrifos/kg) and CFL 1104 (147 g chlorpyrifos/kg) each applied at three rates (2, 4 and 6 kg a.i./ha). Granules were applied to the young plant cane after stooling was complete at the half-open drill, early cut-away or late cut-away stage. Canegrub larvae infestations, cane yields, sugar yields, crop residues and crop phytotoxicity were assessed on the plant and ratoon crops.

The formulation CFL 1104 with a registered analysis of 140 g chlorpyrifos/kg was chosen for commercial introduction and produced in pilot plant facilities for supervised commercial use on the 1984 sugar cane plant crop in Queensland. It was applied at a rate of 4 kg a.i./ha, principally through 'Microband' (Horstine Farmery Ltd.) applicators. Other applicators utilizing either a worm drive or a slotted feed cylinder were also used. Most farmers applied the product in the drill at planting. The earlier autumn-planted crops were generally treated at the half-open drill stage.

RESULTS

Persistence Tests

Figures 1 and 2 give the results obtained by BSES with one of the initial experimental controlled release chlorpyrifos formulations 6a (83 g chlorpyrifos/kg). The loss of active ingredient from the granules (Fig. 1) shows that the formulating technique is capable of extending the residual life of chlorpyrifos formulations in soil to three years. By comparison, applications of conventional formulations of chlorpyrifos at 4 kg a.i./ha were ineffective in controlling L. frenchi larvae hatching out 2 months after treatment (BSES 1976). The concentrations of chlorpyrifos in soil (Fig. 2) reflect the release rate characteristics of the formulation. The bioassay studies (Table 1) show that the two formulations, 6a and 3a (99 g chlorpyrifos/kg) applied at 64 mg a.i./ha were active against canegrub larvae 3 years after application (B.E. Hitchcock, BSES, unpublished data).

Table 1

Bioassay results obtained with third instar L. frenchi larvae at BSES Mackay Research Station.

Chlorpyrifos treatment			Final corrected larval mortalities				
Formulation No.	% a.i.	Dose Applied (mg a.i./kg)	10	28	52	104	156
			(weeks)				
3a	9.9	16	94	100	100	93	0
		64	100	100	100	100	67
6a	8.3	16	87	100	94	100	0
		64	100	100	100	100	33

Figure 3 shows the release rate characteristics in soil for three formulations CFL G01001 (100 g chlorpyrifos/kg) CFL G01003 (140 g chlorpyrifos/kg) and the commercial formulation (suSCon 140G) tested at CFL Strathpine, Queensland. CFL G01003 is being tested as an alternative to the commercial product. The reduced initial release of chlorpyrifos from the formulation and the subsequent release rate may result in a longer effective life.

Field Trials

Canegrub control and yield of sugar cane

Results for the commercial formulation of chlorpyrifos (suSCon 140G) are shown in Figures 4, 5 and 6. At the recommended dose of 28 kg product/ha (4 kg a.i./ha) canegrub infestations were reduced and crop yields increased substantially in the plant and first ratoon crops in the trials against L. frenchi, L. consobrina, (1 and 2 year races), and D. albohirtum. At a dose of 21 kg product/ha (3 kg a.i./ha) in trials against L. crinita and A. mussoni canegrub infestations in the second ratoon crop were reduced substantially.

Fig. 1

The release of chlorpyrifos from formulation 6a (83 g chlorpyrifos/kg) applied at 64 mg a.i./kg soil in a pot experiment at BSES Mackay Research Station.

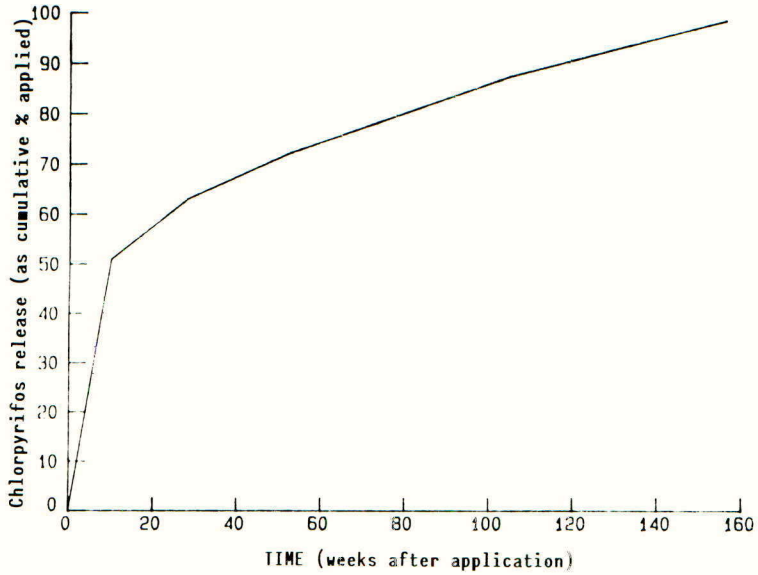


Fig. 2

Concentration of chlorpyrifos in soil in a pot experiment at BSES Mackay Research Station after application of formulation 6a (83 g chlorpyrifos/kg) at 64 mg a.i./kg soil.

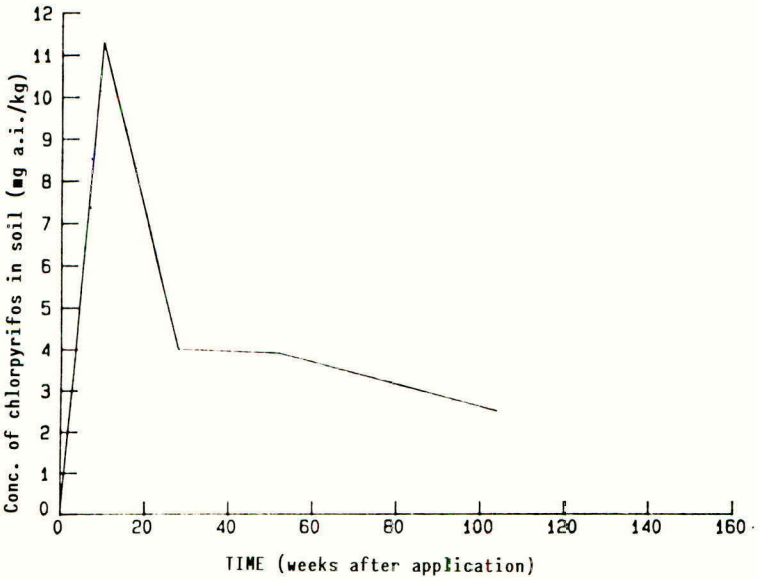


Fig. 3

The release of chlorpyrifos from CFL G01001 (100 g chlorpyrifos/kg), CFL G01003 (140 g chlorpyrifos/kg) and suSCon 140G.

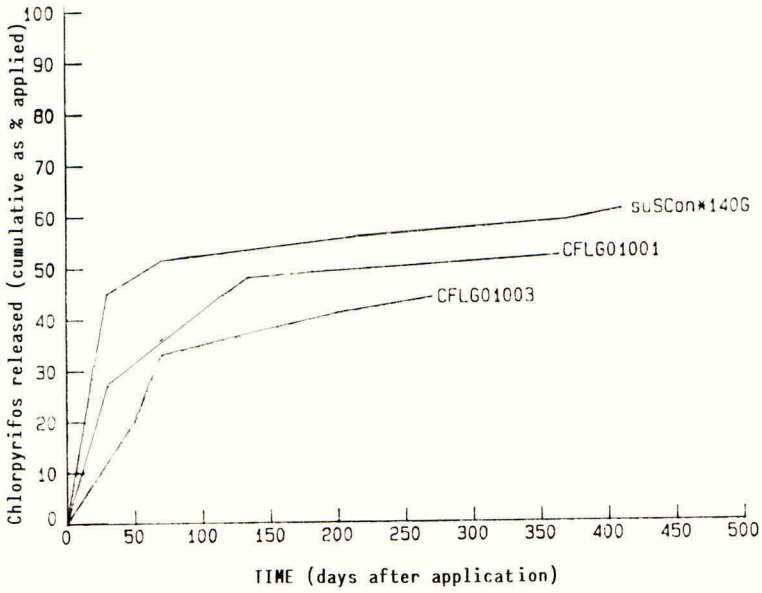


Fig. 4

Numbers of canegrub larvae in plant and ratoon sugar cane grown without insecticide or with chlorpyrifos (suSCon 140G) applied at 4 kg a.i./ha (L. frenchi, L. consobrina and D. albohirtum) and 3 kg a.i./ha (L. crinita and A. mussoni).

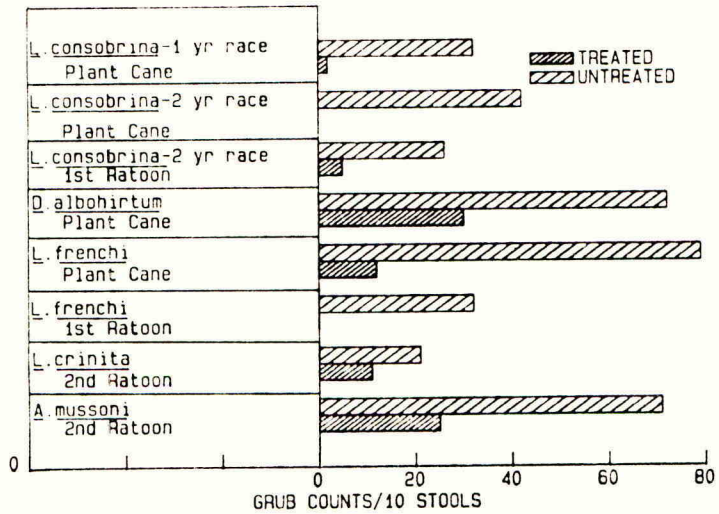


Fig. 5

Yield of cane from sugar cane grown without insecticide or treated with chlorpyrifos (suSCon 140G) at 4 kg a.i./ha.

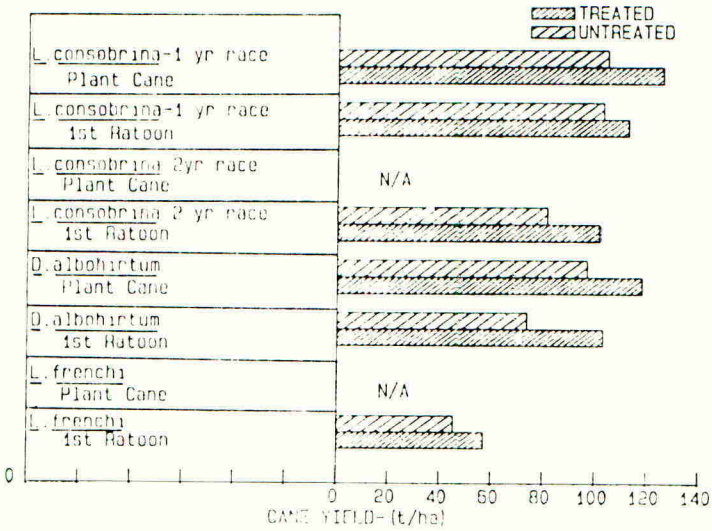
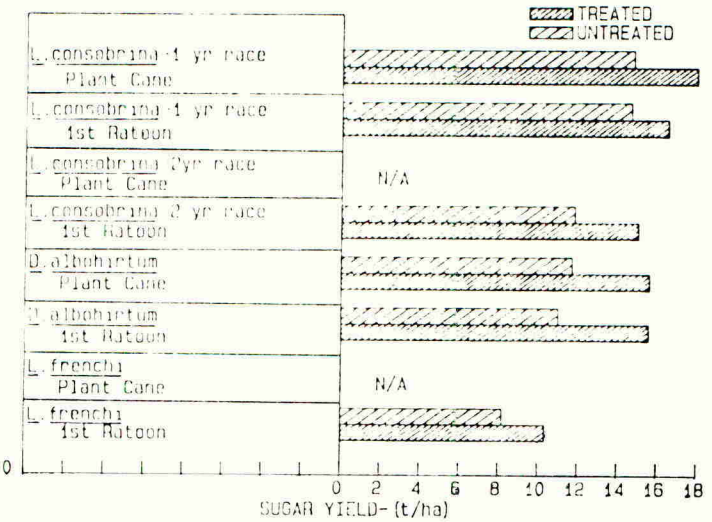


Fig. 6

Yield of sugar from sugar cane grown without insecticide or treated with chlorpyrifos (suSCon 140G) at 4 kg a.i./ha.



(N/A = Plant cane yield data from sites with canegrubs with a 2 year life cycle not applicable.)

Crop Residues

Chemical analyses of the juice of green sugar cane samples collected just prior to the plant and first ratoon crop harvests showed no detectable residues of chlorpyrifos (level of detection : 0.001 mg/l) when the crops were treated at up to 7.2 kg a.i./ha. Samples were extracted with hexane and analysed using electron capture gas chromatography.

Crop Safety

No phytotoxic effects were observed in trials with any of the chlorpyrifos formulations. In placement trials established in 1982, no phytotoxicity was observed when granules were applied at planting in direct contact with the sett (K.J. Chandler, BSES, unpublished data).

DISCUSSION

The commercial formulation has been well received by farmers. Some benefits identified are convenient application and handling, the elimination of dust and odour hazards experienced with HCH dust and the ability to treat at planting which eliminates one pass over the crop.

Commercialization of this formulation technology establishes a viable alternative to the persistent organochlorine soil insecticides. Release rate testing with a range of experimental formulations containing various active ingredients including chlorpyrifos, phorate, carbosulfan, terbufos, carbofuran, fonofos, quintozene, triadimefon and etridiazole shows that, by varying the make-up of the granule, the active ingredient can be released over periods varying from 150 days up to 3 years.

Release rate studies have demonstrated that formulations can be designed to achieve a complete loss of the active ingredient from the granules. The remaining inert polymer is relatively stable in soil but is not considered to be of environmental significance.

To date, only one toxicological study has been undertaken. A formulation containing 83 g phorate/kg was found to have a dermal LD50 of 840 mg/kg on rabbits when applied in moist conditions.

Due regard will need to be given to the question of residue levels in food crops to be treated with these formulations. Factors which will influence residues and their significance include the toxicology of the active ingredient, its uptake by the plant, its rate of degradation and the residual life of the formulation.

The Council of Agricultural Science and Technology (CAST) has sounded a general note of caution on the impact that continuous release formulations of pesticides may have on the development of resistance (CAST 1983). These concerns appear to be based on data generated in studies of conventional formulations of pesticides with varying degrees of persistence, all of which undergo exponential rates of decay once applied. The impact of this novel formulation technology on the potential for development of resistance is therefore not known but a number of observations can be made. Firstly, there is a need to take account of the potential for development of resistance in the target pest when considering formulation design, timing of application and intended use. Secondly, in situations where a persistent formulation is necessary, the development of this technology provides a wide selection of active

ingredients to replace one to which resistance may develop.

Field evaluations of various formulations with established release rate characteristics are underway or planned for initiation in 1984. These will be undertaken in Australia and a number of overseas countries in S.E. Asia, Africa, the Caribbean and Europe for the following crop/pest situations :

Crop	Pest
Sugar cane	– Leucopholis irrorata, Heteronychus arator, Diatraea saccharalis, I. rubriceps, Pratylenchus sp., Meloidogyne sp.
Pineapple	– L. irrorata, H. arator, Pratylenchus sp., Meloidogyne sp., Symphyla sp., Dysmicoccus brevipes
Banana	– Cosmopolites sordidus, Radopholus similis
Bulb & Root Crops	– Psila rosae
Cereals	– Delia coarctata
Ornamentals	– Otiorhynchus sulcatus
Potato	– Gonocephalum macleayi, Pterohelaeus sp., H. arator, Gryllotalpa sp.
Lucerne/Pastures	– Graphognathus leucoloma, Aphodius tasmaniae

The major thrust of current product development research is the testing of a new series of formulations designed to provide complete release of the active ingredient 40 – 100 days after application.

Emphasis in future research will be given to the development of suitable nematicide formulations in an attempt to provide acceptable alternatives to the brominated soil fumigants DBCP and EDB. A number of insecticides with nematicidal properties, e.g. carbosulfan, carbofuran and terbufos, have already been successfully produced as controlled release granules and compatibility testing is proceeding with further nematicidal compounds. Further work with fungicides and herbicides is planned.

CONCLUSION

This formulation technology provides considerable scope for improving the performance of existing pesticides. It should enable a number of relatively small but important market demands for environmentally-acceptable, persistent pesticides to be satisfied. This may lead to a more rapid phasing-out of the persistent organochlorine insecticides now used for control of some soil-inhabiting pests.

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BAS 270 00 I - A VERSATILE, BROAD-SPECTRUM DUAL-CONPONENT INSECTICIDE FORMULATION FOR USE ON POME FRUIT, GRAPES AND OTHER CROPS

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ABSTRACT

BAS 270 00 I (278 g chlorpyrifos/l + 222 g dimethoate/l e.c.; Salut) is a highly versatile insecticide combination with outstanding performance on many pests of fruit crops and grapes. It combines the activity of chlorpyrifos on Lepidoptera and woolly aphids with the high efficacy of dimethoate on Homoptera and Diptera by means of an additive and, in some cases, synergistic action. Exhibiting contact, ingestion and vapour action as well as systemic activity, BAS 270 00 I covers a broad range of insect pest situations. Even on otherwise hardly-controlled insects such as hidden aphids (e.g. Dysaphis) and leafrollers which have already started to roll into leaves and to form webbings, or which have already penetrated the fruits, BAS 270 00 I proved effective. In many field situations BAS 270 00 I has shown a significant secondary effect on spider mites. After several years of laboratory and field testing in Western Europe, BAS 270 00 I has been registered in Germany, France and Spain for use on pome fruit, grapes, and citrus, at recommended rates of 0.625 g a.i./l and 0.75 g a.i./l (woolly aphid), 0.625 g a.i./l being the standard use rate.

INTRODUCTION

In spite of the introduction of an increasing number of highly active pyrethroid insecticides during the past decade, there still remains in many crop situations the need for versatile, broad-acting and reliable insecticides. As such BAS 270 00 I (278 g chlorpyrifos/l + 222 g dimethoate/l; Trade Name Salut) combines the strong activity of chlorpyrifos on Lepidoptera and certain other insects such as woolly aphids, with the pronounced efficacy of dimethoate on Homoptera and other types of piercing and sucking insects as well as dipteran insects. On certain targets, the product produced a systemic action on larval noctuids, which is not produced by the single components.

Besides the contact and ingestion action produced by many insecticides, BAS 270 00 I also has a vapour action and systemic activity which are pronounced enough to give protection to untreated crop surfaces. Even against less exposed insects such as the rosy apple aphid (Dysaphis plantaginea) on curled leaves, or leafrollers rolled into leaves or already having penetrated into fruits, BAS 270 00 I has demonstrated reliable performance. Besides its excellent activity against pests, BAS 270 00 I is characterized as an insecticide product of only moderate toxicity (acute rat oral LD_{50}: 344 mg/kg) and short persistence.

MATERIALS AND METHODS

From 1978 to 1983 trials were done in Europe on a wide range of insect pests in fruit trees and grapes. The trial sites, which were all commercial orchards and vineyards, were situated mainly in Belgium (St. Truiden), Germany (upper Rhine valley) and Southern France.

The plot size depended on the crop; fruit: 1-5 trees according to the respective test conditions; grapes: 1 row of 10 to 15 vines. In grapes each treated plot was separated by an untreated row from the neighbouring treating plot. The trials were laid out in a randomized block design with 2-4 replicates of each treatment.

Insecticide treatments were applied with high-volume spraying equipment used for the treatment of fruit and grapes; the quantity of water used was 1 000-1 500 l/ha. The time of application varied depending on the crop and pest and is indicated in Results section. Each trial included untreated control plots and plots treated with standard products at the recommended rates, for comparison.

RESULTS

Results are presented separately for biting and sucking insects.

Biting insects

BAS 270 00 I showed an excellent effect against insects living in hidden places, probably following the action of chlorpyrifos on the respiratory system of the insects. This effect was demonstrated by a trial against summerfruit tortrix (Adoxophyes orana) in which the larvae had attained the third and fourth larval stages, and were thus difficult to control (Table 1). The results show the difference between BAS 270 00 I and azinphos-methyl and methidathion, two standard products with good activity against leafrollers. BAS 270 00 I was effective even at these developmental larval stages, not easily affected by other compounds.

On the other hand, the residual effect of BAS 270 00 I is comparatively short-lasting; this can be observed primarily in cases where applications are made against eggs or hatching larvae of an insect that lays its eggs over a long period of time. In these cases also, later-hatching larvae must be controlled by contact action (Table 2).

TABLE 1

Activity of BAS 270 00 I on smaller tea tortrix (Adoxophyes orana) in apple, Belgium 1981: Contact effect on 3rd and 4th instar larvae rolled into the leaves

Insecticide	Formulation	Dose (g a.i./100 l)	Efficacy %
Chlorpyrifos + dimethoate	278 g + 222 g/l e.c.	34.75 + 27.75	48.5
Azinphos-methyl + demeton-methyl	25,0% + 7,5% w.p.	50.00 + 15.00	17.1
Methidathion	400 g/l e.c.	40.00	11.0

TABLE 2

Activity of BAS 270 00 I on smaller tea tortrix (Adoxophyes orana) in apple, Belgium 1981: Ovolarvicide effect

Insecticide	Formulation	Dose (g a.i./100 l)	Efficacy %	
			Days after treatment	
			25	72
Chlorpyrifos + dimethoate	278 g + 222 g/l e.c.	34.75 + 27.75	92.2	72.2
Azinphos-methyl	25 % w.p.	50.00	89.2	94.7
Methidathion	400 g/l e.c.	40.00	86.4	68.5

After 25 days the efficacy of BAS 270 00 I was as good as that of azinphos-methyl, but 72 days after treatment azinphos-methyl performed better on account of its longer-lasting residual effect against even-later hatching larvae.

BAS 270 00 I is superior in the control of moth larvae living in hidden places; this was shown by a trial against winter moth (Operophthera brumata). The very young larvae were still hidden inside the unfolding blossom and leaf buds (Table 3). Freely-feeding larvae at a later stage were controlled equally well by BAS 270 00 I and azinphos-methyl (Table 4).

TABLE 3

Activity of BAS 270 00 I on winter moth (Operophthera brumata) in apple, Belgium 1981: Effect on larvae living in hidden places (larvae hatched from 29 March 1981 - 6 April 1981 and insecticides were applied on 9 April 1981)

Insecticide	Formulation	Dose (g a.i./100 l)	Efficacy %
Chlorpyrifos + dimethoate	278 g + 222 g/l e.c.	27.8 + 22.2	97.9
Azinphos-methyl + demeton-methyl	25.0% + 7.5% w.p.	50.0 15.0	87.5

TABLE 4

Activity of BAS 270 00 I on winter moth (Operophthera brumata) in apple, Germany 1981: Contact effect on freely-feeding 1st and 2nd instar larvae

Insecticide	Formulation	Dose (g a.i./100 l)	Efficacy %
Chlorpyrifos + dimethoate	278 g + 222 g/l e.c.	34.75 + 27.75	99.7
Azinphos-methyl + demeton-methyl	25% + 7.5% w.p.	50.00 + 15.00	99.4

The good penetration effect of BAS 270 00 I was demonstrated by a trial against codling moth (Cydia pomonella). The treatments were applied against larvae that had been put on apples artificially and had penetrated into the fruits for 10 days. Table 5 shows that the control provided by BAS 270 00 I was complete.

TABLE 5

Activity of BAS 270 00 I on codling moth (Cydia pomonella) in apple, Belgium 1981: Penetration effect against larvae which had penetrated into the fruits for 10 days

Insecticide	Formulation	Dose (g a.i./100 l)	Efficacy %
Chlorpyrifos + dimethoate	278 g/l + 222 g/l e.c.	27.8 + 22.2	100

BAS 270 00 I showed an excellent and reliable effect also in trials conducted in France against both grape berry moth species (Eupoecilia ambiguella and Lobesia botrana) and against each of the three successive generations which attack at three different growth stages. In these trials BAS 270 00 I showed a preventive as well as a curative effect (Table 6).

TABLE 6

Activity of BAS 270 00 I grape berry moths (Eupoecilia ambiguella and Lobesia botrana) in grapes, France 1980-1983

Insecticide	Formulation	Dose (g a.i./100 l)	Larval generation			
			First	Second	Third	
			Nos larvae/100 grapes	Nos holes/100 grapes	Nos holes/100 grapes	Nos larvae/100 grapes
Chlorpyrifos + dimethoate	278 g + 222 g/l e.c.	+ 27.8 + 22.2	0.6	9.4	4.3	1.8
Parathion-ethyl	500 g/l e.c.	20.0	0.6	15.8	35.0	3.0
Untreated			75.0	52.6	56.0	94.3

Sucking insects

Table 7 shows that the woolly apple aphid (Eriosoma lanigerum) is controlled excellently by BAS 270 00 I; as a rule the degree of efficacy of vamidothion is reached. Care must be taken, however, that the aphid colonies are thoroughly wetted.

BAS 270 00 I also showed a very good effect against the two major aphid species in pome fruit: green apple aphid (Aphis pomi) and mealy apple aphid (Dysaphis plantaginea) (Table 8). Although both components of the product control aphids, the effect against aphids is due primarily to the systemic activity of dimethoate.

Although some other sucking insects including pear psylla (Psylla piri) are also well controlled, the very high degrees of efficacy demanded are not reached by BAS 270 00 I.

TABLE 7

Activity of BAS 270 00 I on woolly apple aphid (Eriosoma lanigerum) in apple, Belgium 1981

Insecticide	Formulation	Dose (g a.i./100 l)	Efficacy %
Chlorpyrifos + dimethoate	278 g/l + 222 g/l e.c.	27.8 + 22.2	100
Vamidothion	400 g/l e.c.	50.0	100

TABLE 8

Activity of BAS 270 00 I on aphids (Aphis pomi and Dysaphis plantaginea) in apple, France 1981

Insecticide	Formulation	Dose (g a.i./100 l)	Efficacy %		
			Days after treatment		
			2	6	14
Chlorpyrifos + dimethoate	278 g/l + 222 g/l e.c.	27.8 + 22.2	98.9	98.7	96.3
Pirimicarb	500 g/l e.c.	37.5	98.6	99.5	95.5

Red spider mites

Numerous trials have been conducted with BAS 270 00 I against red spider mite (Panonychus ulmi). An excellent secondary effect was observed against red spider mite when BAS 270 00 I was applied in a spray sequence (Table 9). Apple rust mite (Vasates schlechtendali) was also well controlled.

TABLE 9

Activity of BAS 270 00 I on red spider mite (Panonychus ulmi) in apple, Belgium 1981 (First treatment applied on 19 May 1981; second treatment on 3 June 1981)

Insecticide	Formulation	Dose (g a.i./100 l)	Efficacy %		
			Days after treatment		
			16	53	88
Chlorpyrifos + dimethoate	278 g + 222 g/l e.c.	34.75 + 27.75	99.8	99.5	91.9
Cyhexatin	25 % w.p.	25.00	100	99.7	84.4

In the laboratory a very interesting activity on noctuids (Prodenia litura) in maize was observed, showing a better and systemic effect, which is not reached by chlorpyrifos or dimethoate (Table 10).

TABLE 10

Systemic activity of BAS 270 00 I on 3rd larval instar noctuids (Prodenia litura) in maize, Germany 1981

Insecticide	Formulation	Dose (g a.i./100 l)	Mortality % (48 h after treatment)
Chlorpyrifos	480 g/l e.c.	100	0
Dimethoate	400 g/l e.c.	100	0
Chlorpyrifos + dimethoate	278 g + 222 g/l e.c.	5	80

Conclusion

The combination of the active ingredients chlorpyrifos and dimethoate was successful. BAS 270 00 I is thus a product that can help the farmer or fruit grower in many insect pest situations, particularly if a timely treatment was not possible because of rainfall etc. and applications must be made at a later date. However, the efficacy of BAS 270 00 I is due not only to an additive effect but also to a synergistic and systemic effect as is shown by the example of the laboratory trial against noctuids (Prodenia litura). The observed good results led in the meantime to the registration of BAS 270 00 I in Germany, France and Spain for use on pome fruit, grapes, and citrus, at recommended rates of 0,625 g a.i./l and 0,75 g a.i./l (woolly ahid), 0,625 g a.i./l being the standard use rate.

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