# TOPIC 2C

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# FOMESAFEN - A NEW SELECTIVE HERBICIDE FOR POST-EMERGENCE BROADLEAF WEED CONTROL IN SOYBEAN

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## SUMMARY

In 1977, scientists at ICI's Jealott's Hill Research Station in England invented a new diphenylether herbicide, 5-[2-chloro-4-(trifluoromethyl)phenoxy]-N-(methyl-sulphonyl)-2-nitrobenzamide. This compound, tested under the code number PP021, has a common name "fomesafen" and a trade name, FLEX Herbicide. Fomesafen is being developed worldwide by the Plant Protection Division of ICI as a post-emergence herbicide for control of broadleaf weeds in soybean. Compared with acifluorfen, fomesafen has: (a) enhanced selectivity on soybean, (b) increased potency and spectrum of weed control and (c) markedly increased residual control following post-emergence application. Field test results are presented from the USA, Brazil, Argentina and Canada.

## INTRODUCTION

Broadleaf weeds are capable of inflicting drastic reductions in soybean yield and quality. The competitive effects of broadleaf weeds in soybeans have been intensively investigated. Studies reported up to 1978 were reviewed by Zimdahl, 1980. More recent studies substantiate the seriousness of broadleaf weed competition in soybeans (Bloomberg et al 1982, Coble et al 1981, Hagood et al 1980, Orwick and Schreiber 1979). Broadleaf weeds such as Xanthium pensylvanicum, several Ipomoea species and others are controlled poorly, if at all, by many pre-plant incorporated and pre-emergence soybean herbicides. The introduction of post-emergence herbicides such as bentazone, 3-isopropyl-2,1,3-benzothiadiazin-4-one 2,2-dioxide and acifluorfen, 5-(2-chloro-4-trifluoromethylphenoxy)-2-nitrobenzoic acid, has given the farmer an alternative to cultivation as a means of controlling broadleaf weeds that are tolerant to the soil-applied herbicides.

Fomesafen, 5-[2-chloro-4-(trifluoromethyl)phenoxy]-N-(methyl-sulphonyl)-2-nitrobenzamide, is a new experimental herbicide invented in 1977 at ICI's Plant Protection Division at Jealott's Hill, England. Field testing has shown fomesafen to be highly promising for post-emergence broadleaf weed control in soybeans. Excellent soil residual activity has been found capable of controlling weed flushes which emerge following post-emergence application. In many instances, this can be a major advantage over the existing herbicides, bentazone and acifluorfen. This is especially important when the farmer is looking for herbicides as an alternative to cultivation, such as in no-till (direct drilled) or narrow row soybeans. Another significant advantage over acifluorfen, which will be important to farmers, is the greater selectivity of fomesafen to soybeans.

The purpose of this paper is to review the current state of technical knowledge on fomesafen. Chemical, physical and toxicological properties as well as environmental information will be reviewed briefly. In addition, data from a limited number of field trials have been drawn together to illustrate the biological properties of fomesafen.

## NOMENCLATURE, CHEMICAL AND PHYSICAL PROPERTIES

The trade name of the formulated product is FLEX* Herbicide and the common name of the active ingredient is fomesafen. The common name has been approved by the British Standards Institute and the American National Standards Institute. Analytical grade fomesafen is a white crystalline solid with a melting point of 220-221°C. The compound is stable for over 14 months at 37°C. Fomesafen is an organic acid with a $pk_a$ of 2.9: hence, solubility in water is dependent on pH. Water solubility (in distilled water) is approximately 50 mg/l.

The fomesafen formulation is an aqueous liquid concentration containing 250 g ai/l of the sodium salt, except in the USA where the formulation contains 238 g/l (equivalent to 2.0 lb ai/US gal). The solubility of the sodium salt in distilled water is over 70% w/w.

## BEHAVIOUR IN SOILS

Extensive laboratory and field testing has been carried out by ICI over a five-year period to investigate the behaviour and fate of fomesafen in soils. Fomesafen is weakly adsorbed by soils and adsorption coefficients ($k_d$) are in the range of 0.5 to 3.0 for soils up to 7.0% organic matter. Adsorption is directly proportional to organic matter content and is virtually independent of pH in the range of 5.0 to 8.0. Adsorption/desorption is not completely reversible and binding strength appears to increase with time.

In laboratory studies conducted under saturated flow conditions, fomesafen's mobility in soils was found to be similar to, or slightly greater than, that of atrazine. However, in field studies, the majority of fomesafen soil residues have been found in the surface 0.0 to 15.2 cm with only trace amounts found in the 15.2 to 30.4 cm depth or lower. This conclusion was based on results from 31 field trials conducted under a wide range of soil and weather conditions in major soybean growing areas of the USA.

Laboratory studies have shown that fomesafen degrades slowly in soil under aerobic conditions with a half-life generally greater than six months, but under anaerobic conditions, it degrades more rapidly with a half-life generally less than one month. Photodegradation has been shown to occur when fomesafen was spread over thin-layer soil plates and exposed to relatively low intensities of natural sunlight. The half-life under these conditions was approximately 40 days.

* FLEX has been widely registered as a trade-mark by ICI.

TOXICOLOGY

A full toxicological evaluation of fomesafen is in progress. Tests completed so far indicate that fomesafen and its sodium salt are of low acute toxicity.

The following acute $LD_{50}$ values have been established.

| Species | Sex | Route of Administration | $LD_{50}$ (mg/kg) Fomesafen | Sodium Salt |
|---|---|---|---|---|
| Rat | male | oral | 1250-2000 | 1860 |
| Rat | female | oral | 1600 | 1500 |
| Mouse | male | oral | | 750 |
| Mouse | female | oral | | 770 |
| Guinea pig | female | oral | | 490-980 |
| Rabbit | male | oral | | 490 |
| Rat | male | dermal | | >780 |
| Rat | female | dermal | | >780 |
| Rabbit | male | dermal | >1000 | |
| Rabbit | female | dermal | >1000 | |
| Rat | male | intraperitoneal | | 220 |

Fomesafen is a mild irritant to rabbit skin and a mild to moderate irritant to rabbit eyes. It is a sensitiser to guinea pig skin when assessed in the maximisation test of Magnusson and Kligman but does not cause sensitisation when tested using the ear/flank technique of Stevens. An aqueous solution of the sodium salt of fomesafen is a slight to moderate irritant to rat skin and a mild irritant to rabbit skin. This material is a moderate irritant to rabbit eyes but it is not a sensitiser to guinea pig skin. 'No-effect' levels have been established over 90 days in the rat at 5.0 mg/kg in the diet, equivalent to 0.25 mg/kg body weight/day, and over 26 weeks in the dog at 1.0 mg/kg body weight/day, equivalent to approximately 30-40 mg/kg in the diet.

These results, combined with non-detectable residues of fomesafen in soybeans at harvest, suggest that fomesafen will be without consumder hazard.

ENVIRONMENTAL STUDIES

Birds

Fomesafen is of low acute and subacute oral toxicity to birds. The acute oral $LD_{50}$ to the mallard duck is greater than 5,000 mg/kg and the five day subacute dietary $LC_{50}$'s to mallard duck and bobwhite quail are both greater than 20,000 ppm.

Aquatic Invertebrates

Technical and formulated fomesafen have relatively low toxicity to first instar *Daphnia Magna*. After 48 hours the $EC_{50}$ values were 330 and 1960 mg/l respectively for the technical and formulated materials.

Fish

The fomesafen formulation has relatively low toxicity to fish. $LC_{50}$ values are shown below:

| | $LC_{50}$ (mg fomesafen/l) | | | | | NEL |
|---|---|---|---|---|---|---|
| | Temp °C | 24 hr | 48 hr | 72 hr | 96 hr | 96 hr (mg/l) |
| (*Salmo gairdneri*) | 15 | 1700 | 830 | 730 | 680 | 455 |
| (*Lepomis macrochirus*) | 22 | 8840 | 6740 | 6630 | 6030 | 1990 |

Other Species

The fomesafen formulation has a relatively low oral and contact activity to bees and does not have any measurable adverse effect on soil microorganisms when applied at rates of 0.5 and 5.0 kg ai/ha. Repeated applications of formulation fomesafen had no adverse effect on earthworm populations when applied at normal field use rates.

BIOLOGICAL ACTIVITY

Materials and Methods

Several hundred field trials have been conducted throughout the major soybean growing areas of the world from 1978 to the present.

Data presented here are from trials in the Americas that were randomized with a minimum of three replications, and with untreated controls and herbicide standards included in all trials. A hand-hoed control was included in one trial. Plot sizes varied considerably from one location to another and ranged from 2.0 to 4.0 m wide by 6.0 to 14.0 m long. Soybeans were grown in all test plots using cultural practices similar to those employed commercially in each area. In some tests, the indigenous weed population was supplemented by broadcasting or banding weed seed.

Spray applications were made using hand-held small plot sprayers in a volume of 200-400 l/ha at 138-413 kPa through flat fan nozzles. With fomesafen, a non-ionic surfactant was added to the spray solution at 0.1% v/v, unless otherwise indicated. In accordance with the product labels, surfactants were not added to bentazone or acifluorfen spray mixtures, except as otherwise indicated.

Weed control and crop injury were estimated by visual assessment on a percent scale. Observation dates are indicated as days after treatment (DAT). Soybean yields were recorded in one trial. Soil and environmental data were recorded for all trials but are not reported in this paper. Certain materials and methods which are specific to a particular trial are indicted under the section on results and conclusions.

## Results and Conclusions

Results for several locations in the USA will be shown first. The results of a trial conducted in Goldsboro, NC in 1979 are shown in Table 1. Annual grasses were controlled in the test plot area by application of a preplant incorporated dinitroaniline herbicide. The primary broadleaf weed in the test area was a dense and rather uniform infestation of X. pensylvanicum. At application time, the X. pensylvanicum plants ranged from 2.5 to 10.0 cm tall and had up to six true leaves, while soybeans (variety Forrest) ranged from 7.6 to 10.0 cm with one fully expanded trifoliate leaf. Within the first week after herbicide application, due to favourable soil moisture, many additional X. pensylvanicum plants emerged.

Though both acifluorfen and bentazon controlled X. pensylvanicum plants that had emerged at application time (unrecorded observation), this is not reflected in the data because of the rapid emergence of new seedlings. However, fomesafen at 0.56 kg/ha gave excellent full season control indicating that the herbicide has soil residual activity following post-emergence application.

Crop injury ratings at 2 DAT showed that fomesafen was slightly more injurious than bentazone (which gave no injury) and much less injurious than acifluorfen. However, by 20 DAT crop injury hadsubsided to insignificant levels with all treatments.

The yield data from this trial substantiate what has been reported by many others : that X. pensylvanicum can seriously reduce soybean yields. In this trial, the untreated control yielded 42% less than the hand-hoed control. Acifluorfen yielded significantly less than the 1.12 kg/ha treatment of fomesafen. Conceiveably, this could be due to the reduced X. pensylvanicum control or the increased soybean injury or a combination of both.

TABLE 1

Post-emergence cocklebur control in soybean in Goldsboro, North Carolina, USA, 1979.

| Herbicide | kg/ha | % cocklebur control at DAT indicated 13 | 45 | 139 | % soybean injury at 2 DAT | soybean yield g/plot |
|---|---|---|---|---|---|---|
| Fomesafen | 0.28 | 90 B | 61 BC | 52 C | 5 C | 773 BC |
| Fomesafen | 0.56 | 98 AB | 92 A | 88 AB | 8 BC | 816 AC |
| Fomesafen | 1.12 | 99 A | 100 A | 99 A | 10 B | 903 AB |
| Acifluorfen | 0.56 | 78 C | 45 D | 50 C | 20 A | 730 C |
| Bentazone | 0.84 | 58 D | 29 E | 52 C | 0 D | 780 BC |
| Untreated Control | | 0 | 0 | 20 | 0 | 448 D |
| Hand-hoed Control | | 91 AB | 98 A | 80 B | - | 776 BC |

Values with no letter in common are significantly different at the 5% level using the Duncans Multiple Range test.

Following the discovery that fomesafen induced significantly less soybean injury than is normally seen with acifluorfen, field trials were initiated to determine if the weed control activity of fomesafen could be enhanced with higher levels of non-ionic surfactant than the 0.1% v/v being used. In 1982, trials were carried out on ICI Americas research farm locations in Goldsboro, North Carolina; Champaign, Illinois; and Vicksburg, Mississippi. Weed heights varied at application time but were in the range of 2.0 to 10.0 cm.

The results (Table 2) demonstrate that fomesafen at 0.14 kg/ha, when applied with a 90% non-ionic surfactant at 0.5% v/v, was markedly more effective than acifluoren with built-in wetter at 0.28 kg/ha, while not causing greater crop injury. These results indicate that fomesafen will give the farmer new options for crop-weed management that will permit him to emphasise crop safety or weed control depending on his particular circumstances. For example, in a difficult weed situation he might choose to accept greater crop injury in order to achieve better weed control.

TABLE 2

Post-emergence control of broadleaf weeds in soybean - comparison of fomesafen and acifluorofen. Three USA locations, 1982.

| Crop or Weed | Trial Location | % crop injury or weed control with herbicides at rates (kg/ha) indicated | | |
|---|---|---|---|---|
| | | Fomesafen | | Acifluorfen |
| | | 0.14 | 0.28 | 0.28 |
| Soybean | Goldsboro, NC | 23 | 26 | 25 |
| Soybean | Champaign, IL | 23 | 33 | 28 |
| Soybean | Vicksburg, MS | 11 | 15 | 11 |
| *Ipomoea* spp. | Goldsboro, NC | 88 | 94 | 30 |
| *Sida spinosa* | Goldsboro, NC | 54 | 68 | 25 |
| *Sida spinosa* | Champaign, IL | 71 | 88 | 55 |
| *Xanthium pensylvanicum* | Goldsboro, NC | 94 | 95 | 49 |
| *Xanthium pensylvanicum* | Champaign, IL | 87 | 99 | 81 |
| *Xanthium pensylvanicum* | Vicksburg, MS | 66 | 76 | 43 |
| *Abutilon theophrasti* | Champaign, IL | 81 | 90 | 63 |
| *Datura stramonium* | Champaign, IL | 72 | 92 | 95 |

Fomesafen was applied with 0.5% of a 90% non-ionic surfactant added to the spray tank. The acifluorfen formulation contains built-in surfactant. Crop injury assessments were made 6 or 7 DAT, and weed control assessments were made 13 to 17 DAT.

In Argentina very effective control of the major broadleaf weed species has been achieved. For example, Datura ferox, Chenopodium album and Amaranthus species have been effectively controlled at 0.25 kg/ha. Control is as good as or better than, the same rate of acifluorfen with considerable less crop injury. Commercial introduction of fomesafen was achieved in the 1983/4 season, soon after registration was obtained in Argentina.

Trials have been conducted in the two main soybean growing regions of Brazil, Parana and Rio Grande do sul. Fomesafen generally gave better control than Acifluorfen on two major weed species. Euphorbia heterophylla and Bidens pilosa. Fomesafen at 0.25 kg/ha controlled Euphorbia with 4-6 leaves while 0.312 kg/ha was required at the 6-8 leaf stage. Bidens pilosa was very sensitive to fomesafen with 0.125 kg ha controlling weeds with 4 leaves. The third major weed species, Sida rhomifolia, is less sensitive to fomesafen and acifluorfen than to bentazon. Normal application rates of fomesafen combined with good soya crop competition will give reasonable suppression of Sida development. Fomesafen is consistently safer to the crop than acifluoren with equivalent rates causing 50% less foliar damage.

Results from a trial conducted in Canada, at Winona, Ontario, are shown in Table 3. The growth stage I treatments were applied on June 9 to soybeans (variety Hodgson) at the unifoliate leaf stage and to broadleaf weeds at the late cotyledonary to first true leaf stage (weeds 1.0 to 2.0 cm tall). The growth stage II treatments were applied on June 17 to soybeans at the first to second trifoliate leaf stage and to broadleaf weeds at the second true leaf stage (weeds 2.0 to 4.0 cm tall). The weed population was comparised of C. album, Amaranthus retroflexus. Ambrosia artemisiifolia and Polygonum convolvulus. Visual assessments of weed control were taken on July 23 and weed fresh weights were taken on August 21.

TABLE 3

Post-emergence control of broadleaf weeds in soybean in Winona, Ontario, Canada, 1982.

| Herbicide | kg/ha | % Weed Control | | Weed Fresh wt (gm/$^2$) | |
|---|---|---|---|---|---|
| | | GS I | GS II | GS I | GS II |
| Fomesafen | 0.125 | 91 | 78 | 98 | 7 |
| Fomesafen | 0.25 | 95 | 84 | 33 | 23 |
| Fomesafen | 0.375 | 100 | 93 | 28 | 8 |
| Fomesafen | 0.5 | 100 | 91 | 1 | 3 |
| Bentazone | 1.0 | 89 | 75 | 37 | 101 |
| Acifluorfen | 0.56 | 93 | 65 | 35 | 201 |
| Untreated control | | 0 | | 597 | |

A non-ionic surfactant was added to the spray tank with all treatments at 0.25% v/v. Weed growth stages (GS I and GS II) at application time are described in the text.

Fomesafen at both growth stages and at all three rates provided good to excellent season-long control of broadleaf weeds. Bentazone and acifluorfen were more effective at the earlier growth stage.

ACKNOWLEDGEMENTS

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# AC 252,214 - A NEW BROAD-SPECTRUM HERBICIDE FOR SOYBEANS: FIELD STUDIES

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## ABSTRACT

2-(4-isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)quinoline-3-carboxylic acid, being developed under the code number AC 252,214, is a new selective soybean herbicide which can be applied as a preplant incorporated, preemergence or early postemergence treatment. Broadleaved weeds are the major species controlled by AC 252,214, although some important grasses, such as foxtails (*Setaria* spp.) are also controlled. AC 252,214 is absorbed by the foliage and roots of plants and translocated via both the xylem and phloem. A non-ionic surfactant is necessary for optimal postemergence activity.

## INTRODUCTION

2-(4-isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)quinoline-3-carboxylic acid is a new broad-spectrum herbicide being developed under the code number AC 252,214 by American Cyanamid Company for use in soybeans (*Glycine max*). It is also being tested for use in a variety of other crops including tobacco (*Nicotiana tabacum*) and coffee (*Coffea arabica*) and for non-crop uses (American Cyanamid Company, 1982).

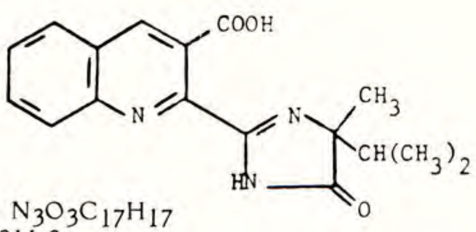
Results of laboratory studies have shown that AC 252,214 is absorbed by the foliage and roots of plants and is translocated via both the xylem and phloem (Orwick *et al*., 1983; Shaner *et al*., 1983; Umeda *et al*., 1983).

AC 252,214 has been field tested since 1981 in the United States and Brazil. Testing has been extended to numerous other countries including Canada, Japan, Argentina and the Philippines. This herbicide shows broadleaved, sedge and grass weed activity and will control many annuals and some perennial species (American Cyanamid Company, 1982; Martin, 1983).

## CHEMICAL AND PHYSICAL PROPERTIES

### Chemical Name and Structure

2-(4-isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)quinoline-3-carboxylic acid




Molecular formula: $N_3O_3C_{17}H_{17}$
Molecular weight: 311.3

AC 252,214 is a white, odorless solid with a melting point of 219-222 °C. Solubility in distilled water is 60 mg/l at 25 °C. The octanol/water partition coefficient is 2.

### Toxicology

The results of toxicity tests to date are summarized in Table 1.

TABLE 1

Mammalian toxicity of AC 252,214 technical

| Test | Animal | Value/Result |
|---|---|---|
| Acute oral $LD_{50}$ | Rat | >5,000 mg/kg body weight |
| Acute dermal $LD_{50}$ | Rabbit | >2,000 mg/kg body weight |
| Eye irritation | Rabbit | Nonirritating |
| Skin irritation | Rabbit | Mildly irritating |
| 28-day feeding: no-effect level | Rat | >10,000 mg/kg diet |

Mutagenicity

AC 252,214 is nonmutagenic as determined by the Ames test (Ames et al., 1975).

Rat metabolism

Parent compound was excreted rapidly from rats dosed with $^{14}C$-labeled AC 252,214. No significant residues were found in tissues.

## MATERIALS AND METHODS

In small-plot replicated field research trials herbicides were applied with knapsack or tractor mounted $CO_2$ sprayers. Spray volume ranged from 150 to 300 l/ha. Trials were conducted over a wide range of soil types and climatic conditions. All ratings are based on percent control of individual weed species as compared to untreated control plots.

Formulations of AC 252,214 tested included aqueous solutions and dry flowables.

Applications were made preplant incorporated, preemergence and early postemergence. Incorporation was made with several different types of equipment to depths ranging from 3 to 10 cm. AC 252,214 was applied postemergence from the 1st to 3rd trifoliate stage of the soybeans and treatments included a nonionic surfactant at the rate of 2.5 ml/l in the spray solution.

## RESULTS

Weed susceptibility

Preplant incorporated (PPI) and preemergence (PRE) applications of AC 252,214 consistently provided good to excellent (85-100%) control of a wide variety of broadleaved and grass weeds in the United States and Brazil (Table 2). Although AC 252,214 will control a number of important grass species, broadleaved weed species in general tend to be more susceptible.

In Brazil, preemergence treatments were slightly superior to preplant incorporated treatments for broadleaved weed control.

AC 252,214 has shown excellent season long residual control of susceptible weeds. In a Brazilian delayed planting trial, AC 252,214 provided excellent weed control until the latest delayed planting time of 28 days after treatment. Total rainfall during the delay period (28 days) was 294 mm.

Early postemergence application of AC 252,214 also controlled a wide variety of weeds (Table 3), with broadleaved weeds being more susceptible than grass weeds. Both

TABLE 2

Weeds susceptible to PPI and PRE applications of AC 252,214 at rates of 125 to 250 g a.i./ha

| | |
|---|---|
| **Broadleaved Weeds** | |
| Abutilon theophrasti | Helianthus annuus |
| Acanthospermum australe | Ipomoea spp. |
| Amaranthus spp. | Polygonum persicaria |
| Bidens pilosa | Portulaca oleracea |
| Borreria alata | Sida rhombifolia |
| Chenopodium album | Sida spinosa |
| Euphorbia heterophylla | Xanthium pensylvanicum |
| **Grass Weeds** | |
| Brachiaria platyphylla | Eriochloa villosa |
| Digitaria ciliaris | Setaria spp. |
| Digitaria ischaemum | Sorghum bicolor |
| Echinochloa crus-galli | Sorghum halepense |
| Eleusine indica | Zea mays |
| **Other Weeds** | |
| Commelina spp. | Cyperus esculentus |

TABLE 3

Weeds susceptible to early postemergence applications of AC 252,214 at rates of 125 to 250 g a.i./ha

| | |
|---|---|
| **Broadleaved Weeds** | |
| Acanthospermum australe | Hibiscus trionum |
| Acanthospermum hispidum | Ipomoea spp. |
| Amaranthsus spp. | Mollugo verticillata |
| Ambrosia artemisiifolia | Polygonum pensylvanicum |
| Bidens pilosa | Polygonum persicaria |
| Cassia obtusifolia | Sida rhombifolia |
| Chenopodium album | Sida spinosa |
| Euphorbia heterophylla | Suckleya suckleyana |
| Helianthus annuus | Xanthium pensylvanicum |
| **Grass Weeds** | |
| Digitaria ciliaris | Setaria faberi |
| Echinochloa crus-galli | Sorghum halepense |
| Oryza sativa | Zea mays |
| **Other Weeds** | |
| Commelina spp. | |

broadleaved and grass weeds are most susceptible to AC 252,214 from emergence through the two-leaf stage. In general, broadleaved weeds treated beyond the 3-leaf stage are stunted and offer little competition to the crop. A notable exception in the United States is Xanthium pensylvanicum, which can be controlled at heights up to at least 76 cm.

Crop Selectivity

Selectivity in Soybeans

In general, soybeans show good tolerance to preplant incorporated, preemergence and postemergence treatments of AC 252,214 at rates up to 500 g ai/ha. Some temporary dwarfing has been observed at rates of 250 to 500 g ai; however, there has been no adverse effect on yields.

Selectivity in Other Crops

In early testing, several crops other than soybeans have shown some tolerance to AC 252,214. These are: tobacco (Nicotiana tabacum) (POST), snapbeans (Phaseolus vulgaris) (PRE), English peas (Pisum sativum) (PRE), dormant alfalfa (Medicago sativa) (POST), coffee (Coffea arabica) (POST) and hardwood trees (POST).

## CONCLUSION

AC 252,214 is an effective herbicide which can be applied either preplant incorporated, preemergence or early postemergence in soybeans at rates of 125 to 250 g a.i./ha. It is generally, more effective on broadleaved weeds than grass weeds.

Soybeans show excellent tolerance at weed control rates. Several other crops including tobacco (Nicotiana tabacum) and hardwood trees have shown evidence of tolerance.

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# CME 127, A NEW PRE-EMERGENCE HERBICIDE

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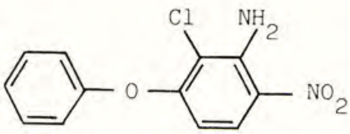
## INTRODUCTION

CME 127 (2-chloro-6-nitro-3-phenoxy-aniline) is a new herbicide in the phenoxy-aniline group which was synthesized in 1977 in the laboratories of CELAMERCK. It has been subjected to an extensive field testing programme under various climatic conditions and has proved effective as a pre-emergence herbicide for the control of grasses and broadleaved weeds in winter wheat, potatoes and sunflowers. This report deals with the results. Further trial work has been started in peas, carrots and *Vicia* beans with promising results.

## CHEMICAL, PHYSICAL AND TOXICOLOGICAL PROPERTIES

Chemical name: 2-chloro-6-nitro-3-phenoxy-aniline

Structural formula:




Molecular formula: $C_{12}H_9ClN_2O_3$

Water solubility: 2,5 mg/l at 20°C

Vapour pressure: $9 \times 10^{-8}$ mbar at 20°C

Common name: aclonifen (proposed)
formerly CME 127

Toxicity:

LD 50 acute oral

- rat > 5.000 mg/kg
- mouse > 5.000 mg/kg

LD 50 dermal

- rat > 5.000 mg/kg

Skin irritation rabbit: mild effects, reversible

Eye irritation rabbit: non-irritant

Subchronic toxicity: no effect levels for

- rat (13 weeks) 28 mg/kg/day
- dog (26 weeks) 3 mg/kg/day

Teratogenicity: no teratogenic effects

Mutagenicity: Ames test negative

## ENVIRONMENTAL BEHAVIOUR

CME 127 is metabolized by plants to numerous degradation products with a half life of about two weeks. Hydroxylation in different positions of both phenyl rings is the main metabolic pathway.

In rats the compound is metabolized rapidly and quickly eliminated after oral application. No accumulation has been found in fat tissue.

In the soil CME 127 is subject to microbial degradation. The half life in different soils is 7 - 12 weeks under laboratory conditions.

On the basis of the very low water solubility and the adsorption on soil minerals, mobility of this herbicide in the soil is so low that no contamination of ground water is likely to occur.

After application of CME 127 under practical conditions no residues have been found in either grain or straw of wheat. The detection limit is 0,02 mg/kg.

In most cases, potatoes treated with CME 127 are free of residues. Very few samples showed residues just above the detection limit of 0,02 mg/kg.

MATERIALS AND METHODS

Pot trials in greenhouses were carried out to obtain more detailed information about the uptake and activity of this herbicide.

The extensive field trials programme followed an experimental phase of work in greenhouses and logarithmic field tests. All field trials were laid down on plots of 10-25 $m^2$, with 3-4 replications in a randomized block design. Plot sprayers were equipped with flat fan nozzles 110 04 or 80 015 of Spraying Systems. Volume rates ranged from 300 to 500 l/ha.

Visual assessments and yield determinations were carried out to evaluate the herbicidal potential and the crop tolerance. The net yield figures of the winter wheat trials are based on 86 % dry matter content.

GREENHOUSE TRIALS

CME 127 is taken up by grasses and broadleaved weeds when they penetrate the surface of the soil on which the herbicide film is deposited. The herbicide is absorbed by the young shoots of the seedlings, hypocotyl or coleoptyl respectively. A few days after absorption the young plants turn chlorotic, their growth is retarded and finally they die.

A well prepared seed bed with crumbly soil structure enhances the herbicidal efficacy. Cultivation operations after application must be avoided because the herbicide film on the soil surface must stay intact for optimal herbicidal activity. Incorporation of the herbicide into the soil decreases the efficacy drastically. In fact, following cultivation, replanting becomes possible after a period of 4 - 6 weeks from application.

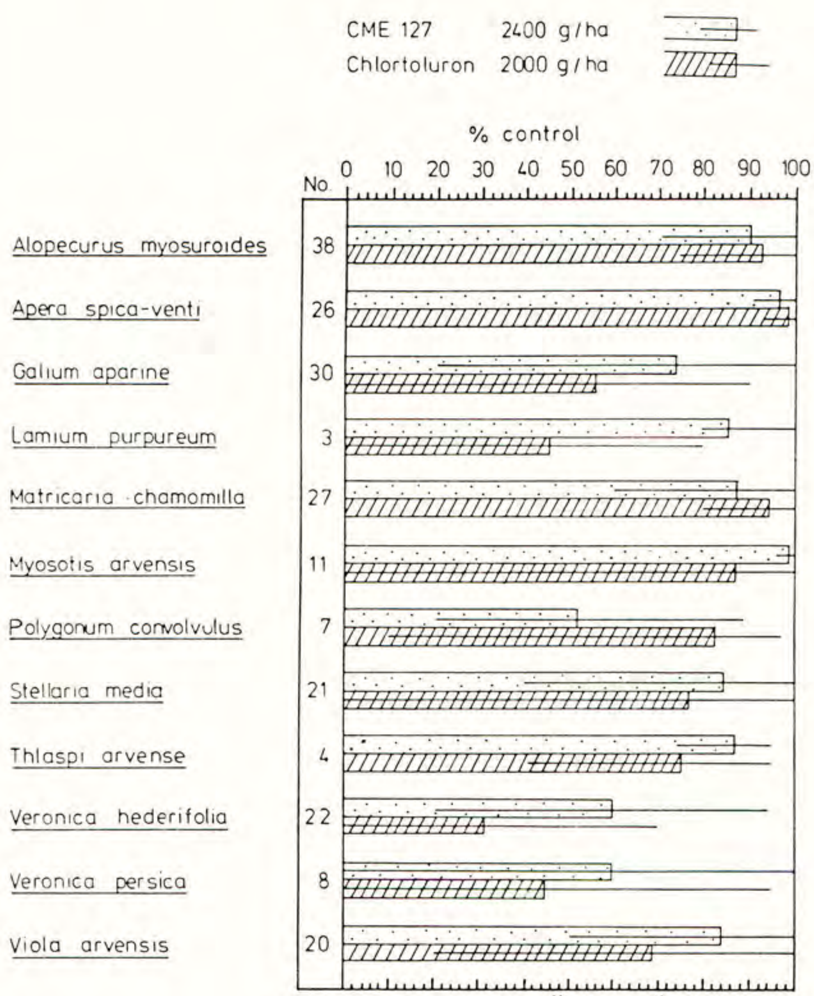
Preliminary results indicate that CME 127 is less dependent upon soil moisture than most other soil herbicides.

PERFORMANCE IN WINTER WHEAT

A total of 87 trials have been carried out from 1980 to 1982 in the Federal Republic of Germany.

38 trial results were available to assess the biological activity against Alopecurus myosuroides. CME 127 resulted in an average efficacy of 90 % at a dosage rate of 2400 g/ha a. i. CME 127 demonstrated the same activity level as the registered standard material chlortoluron (see table 1).

TABLE 1 Weed control by CME 127 in winter wheat
Mean values of the trials in 1980, 1981, 1982
with dispersion* (Fed Rep of Germany)




*Range between the 10th and 90th percentile

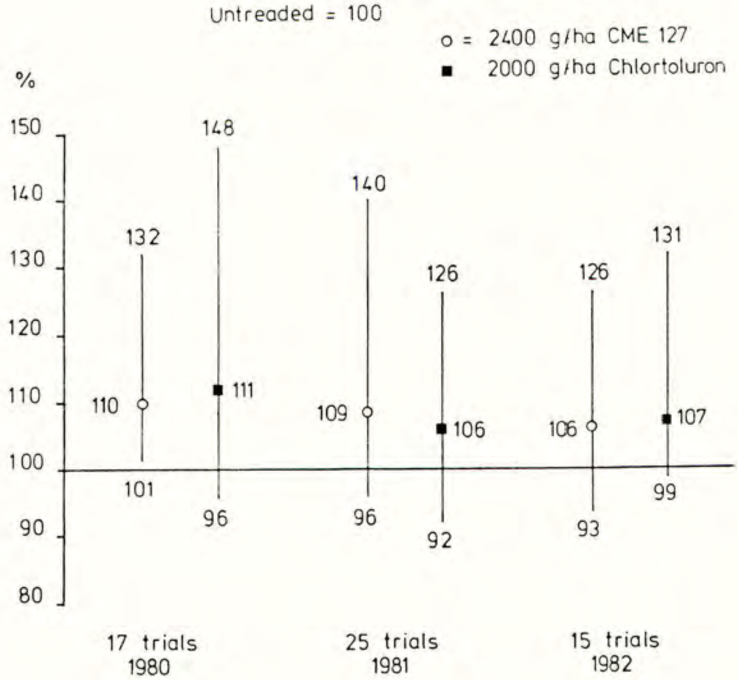
The other important grass weed, *Apera spica-venti*, was present in 26 trials. An average herbicidal activity of 97 % was obtained by CME 127 which was equivalent to the standard material chlortoluron. The dispersion range of the values is narrow which is considered to be an indication for good herbicidal reliability under varying conditions.

Although the efficacy on the most common broadleaved weeds is not in every case satisfactory, CME 127 demonstrates some advantages over the standard material which could be demonstrated for weeds such as *Galium aparine*, *Lamium purpureum*, *Myosotis arvensis*, *Stellaria media*, *Thlaspi arvense*, *Veronica hederifolia* and *Veronica persica* as well as for *Viola arvensis*. On the other hand CME 127 was not able to match the performance of the standard material for *Matricaria chamomilla* and *Polygonum convolvulus*.

Slight to moderate degrees of thinning were observed in several trials, average values being 2 % for CME 127 and 2.4 % for chlortoluron. As the dispersion is small, it is concluded that the phytotoxicity risk is minimal.

Over the testing period of 1980 to 1982 57 trials were carried to yield assessment. The results for each of the trial years are summarized in table 2. Yield increases for CME 127 ranged from 6 to 10 %. The figures for chlortoluron were similar.

TABLE 2 Relative yields of winter wheat
Mean values and range of max. and min. values
Untreaded = 100

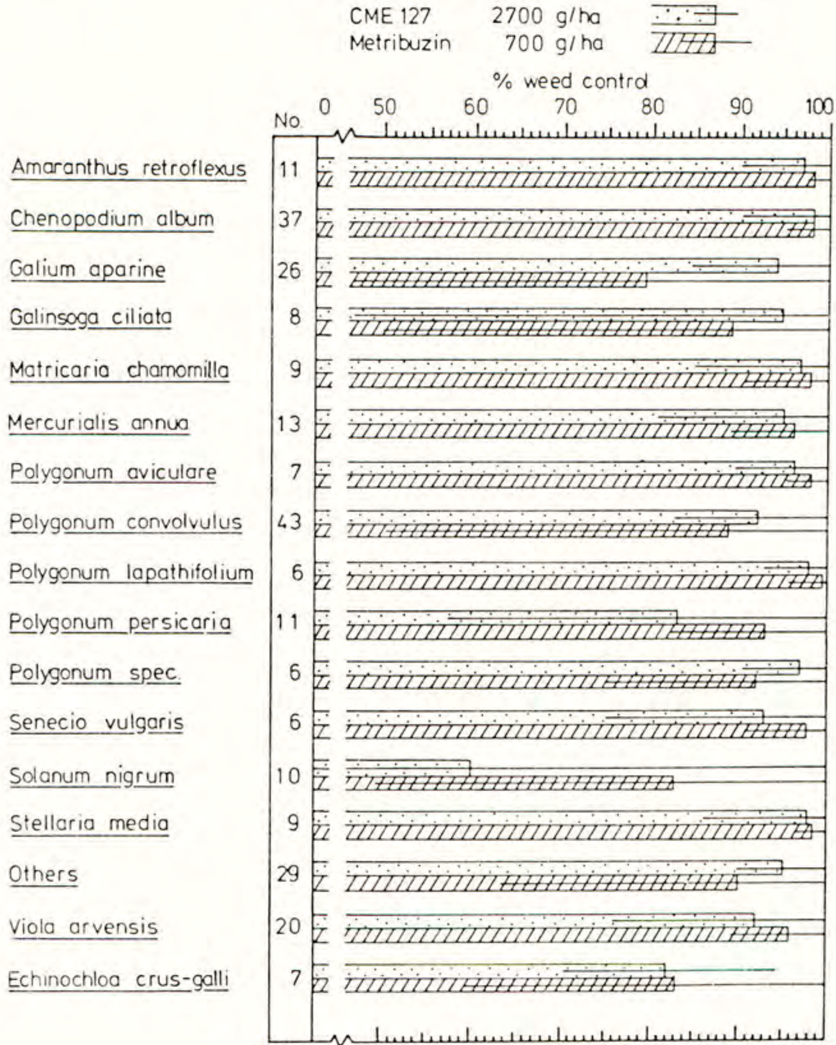


PERFORMANCE IN POTATOES

From 1979 to 1982 a total of 73 trials were conducted in the Federal Republic of Germany and France.

The performance figures for the most common weeds are compiled in table 3. It can be concluded that CME 127 at a dosage rate of 2700 g/ha a. i. is an excellent herbicides for potatoes and that its performance in comparison with the standard material metribuzin is either equivalent or superior for such important weed species as Galium aparine.

TABLE 3 Weed control by CME 127 in potatoes
Mean values of the trials in 1979, 1980, 1981, 1982
with dispersion (Fed. Rep. of Germany, France)




*Range between the 10th and 90th percentile

*Galium aparine* was present in 26 trials. It was controlled by CME 127 to an average of 94 % with a dispersion range of 85 to 100 while metribuzin gave 79 % control with a dispersion range of 30 to 100. These figures demonstrate the superiority as well as the high safety margin of CME 127 for the control of *Galium aparine.* Very high degrees of control by CME 127 were also obtained for *Polygonum convolvulus* and *Polygonum spec.*

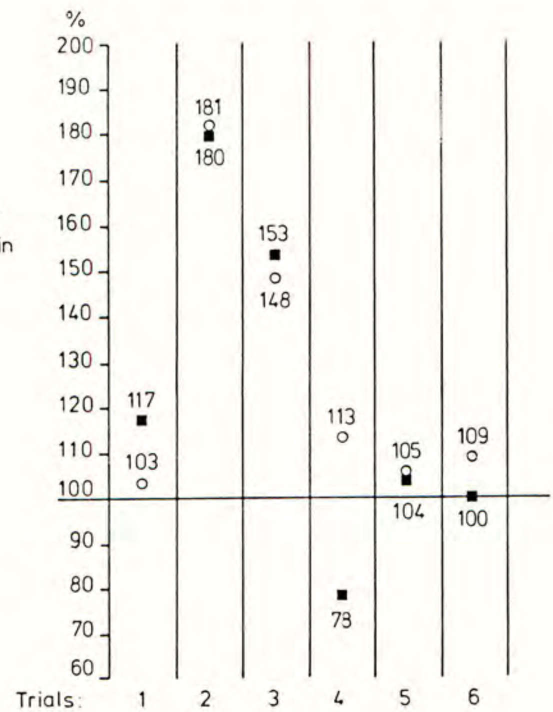
CME 127 was less effective than metribuzin for *Solanum nigrum, Viola arvensis, Senecio vulgaris* and *Polygonum persicaria*. Equivalent efficacy was recorded for other weed species.

Transient damage of a negligible degree was observed in a few trials for both CME 127 and metribuzin. These phytotoxicity symptoms had no influence on the further development of the crop. Yield data from 6 trials showed considerable yield increases (see table 4).

TABLE 4

Relative yields in potatoes
Untreated = 100

o = 2700 g/ha CME 127
■ = 700 g/ha Metribuzin

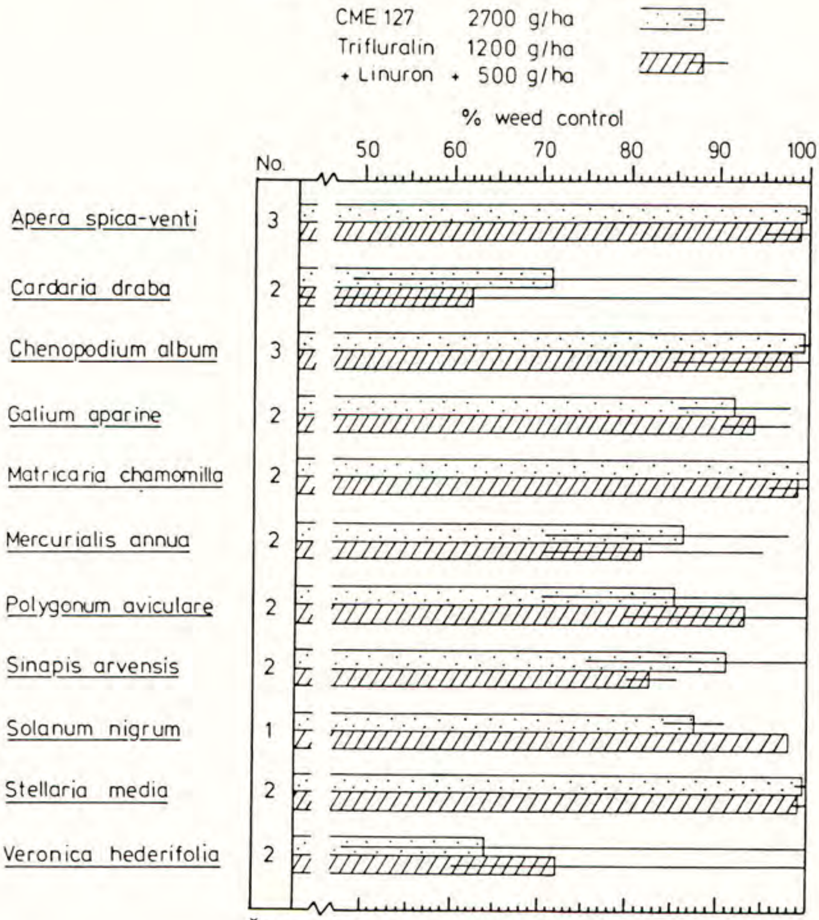


PERFORMANCE IN SUNFLOWERS

The performance of CME 127 has been evaluated from 9 trials in France and 6 trials in Yugoslavia in 1982.

CME 127 was applied at a dosage of 2700 g/ha a. i. as a pre-emergence herbicide. For comparison purpose following treatment sequence was included: trifluralin 1200 g/ha a. i. incorporated prior to planting plus linuron 500 g/ha a. i. as a pre-emergence application. The performance is summarized in table 5.

TABLE 5 Weed control by CME 127 in sunflowers
Mean values of the trials in 1982
with dispersion* (France, Yugoslavia)




*Range between max. and min. values

CME 127 shows advantages in the control of Cardaria draba, Chenopodium album, Matricaria chamomilla, Mercurialis annua and Sinapis arvensis. Its performance was found to be inferior for Solanum nigrum, Galium aparine, Polygonum aviculare and Veronica hederifolia. For all the other weeds equivalent efficacy was apparent.

The tolerance of CME 127 by sunflowers is excellent. A slight and transient chlorotic effect occurred in one trial only. This was attributed to very wet conditions during the period of emergence of the crop.

CONCLUSION

CME 127 can be considered as a soil herbicide with a broad spectrum of activity well tolerated by several important crops. Of special significance is the excellent efficacy against Galium aparine in potatoes which is so far unmatched by any of the other pre-emergence herbicides.

Further interesting properties of this herbicide are the low mammalian toxicity figures and favourable behaviour in the soil. CME 127 provides control of weeds which emerge late in the season due to its adequate residual activity; at the same time, with cultivation of the soil, replanting is possible after a period of only 4-6 weeks after application.

# NCI-96683, A NEW SELECTIVE HERBICIDE FOR ANNUAL AND PERENNIAL GRASS WEED CONTROL IN BROAD LEAF CROPS

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ABSTRACT

NCI-96683, quinofop-ethyl*, Ethyl-2-[4-(6-chloro-2-quinoxalinyloxy) phenoxy] propanoate, is a new post-emergence herbicide for the selective control of annual and perennial grass weeds primarily for use in broad-leaf crops. Extensive field trials covering a wide range of agricultural and climatic conditions have demonstrated its excellent activity against grass weeds in all climatic conditions. It is very safe to non-graminaceous crops. Annual grass and seedling perennial grasses are well controlled at rates 0.05-0.25 kg ai/ha and perennial grass weeds, Sorghum halepense, Cynodon dactylon, Elymus repens, are well controlled at 0.125-0.5 kg ai/ha. Chemical, and physical properties, toxicological and crop tolerance data are presented.

## INTRODUCTION

NCI-96683 is a new grass killer herbicide discovered in 1979, and currently being developed by Nissan Chemical Industries, Ltd. Greenhouse and field evaluation began in 1979 in Japan, and extensive field trials have been carried out in many countries since 1980.

Results obtained from field trials showed that NCI-96683 is highly active against a broad spectrum of annual and perennial grass weeds, and selective to a wide range of non-graminaceous crops by over the top application.

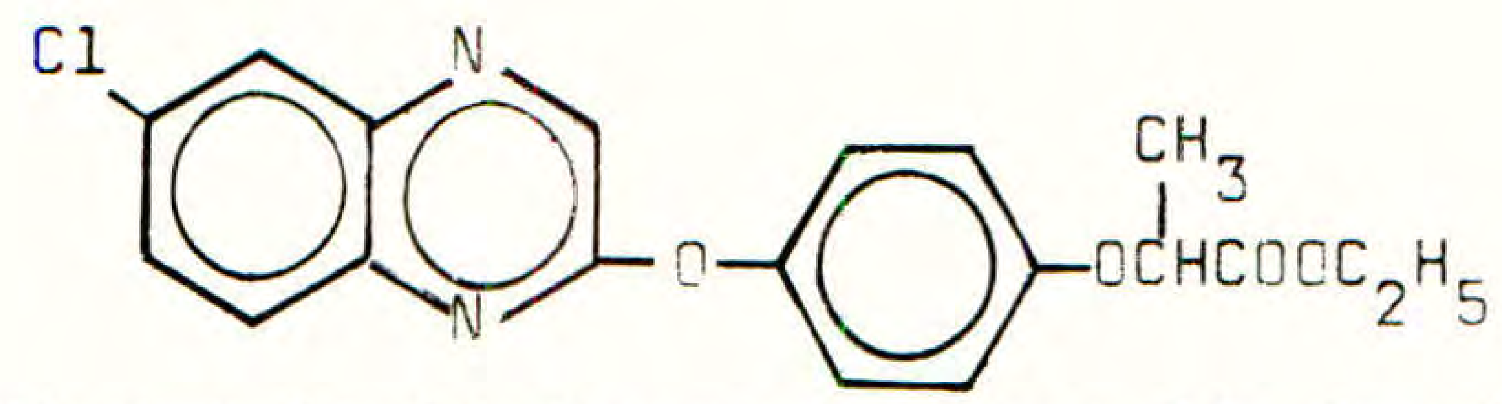
This paper gives general information on NCI-96683 and reports representative results from greenhouse and field trials carried out since 1979.

* ISO proposed common name

## 2C—S4

CHEMICAL AND PHYSICAL PROPERTIES

Structure :




| | | |
|---|---|---|
| Chemical name | : | Ethyl-2-[4-(6-chloro-2-quinoxalinyloxy) phenoxy] propanoate |
| Common name | : | quinofop-ethyl (ISO proposed) |
| Code number | : | NCI-96683, NC-302, FBC-32197, DPX-Y6202, Exp 3864 |
| Molecular weight | : | 372.8 |
| Appearance | : | White, crystalline solid |
| Melting point | : | 91.7-92.1°C |
| Boiling point | : | 220°C at 0.2 mm Hg |

Solubility :

| Solvent | g/ml at 20°C |
|---|---|
| Acetone | 0.11 |
| Ethanol | 0.009 |
| n-hexane | 0.0026 |
| Benzene | 0.29 |
| Xylene | 0.12 |
| Water | $0.3 \times 10^{-6}$ |

Vapour pressure : $3 \times 10^{-7}$ mm Hg/20°C

Formulations :

| | |
|---|---|
| Emulsifiable concentrate | 10% w/w |
| Suspension concentrate | 20% w/w |
| " | 25% w/w |
| " | 50% w/w |

TOXICOLOGY

Acute oral :

| Species | Sex | LD50 (mg/kg) |
|---|---|---|
| Rat | Male | 1,670 |
| Rat | Female | 1,480 |
| Mouse | Male | 2,350 |
| Mouse | Female | 2,360 |

Acute dermal :

| Species | Sex | LD50 (mg/kg) |
|---|---|---|
| Rat | Male/Female | 10,000 |
| Mouse | Male/Female | 10,000 |

| | | |
|---|---|---|
| Dermal effect | : | Not a skin irritant (rabbit) or sensitizer (guinea-pig) |
| Mutagenicity | : | Non-mutagenic in Ames test and Rec assay |
| Chronic toxicity | : | Studies are now in progress |
| Fish toxicity | : | Rainbow trout LC50 96h 10.7 mg/l |
| Invertebrate toxicity | : | Daphnia LC50 96h 2.1 mg/l |
| Bird toxicity | : | Mallard ducks 2,000 mg/kg |

MODE OF ACTION

After foliar application the first symptom is yellowing and a growth retardation of developing leaves within 2 days. About 5-7 days after application, young leaves and meristematic tissue in the nodes become necrotic. Death of the whole plant follows within 14 days.

From studies using 14C labelled material, NCI-96683 seems to move in both xylem and phloem, Although it can be absorbed from the surface of the treated leaf and translocated throughout the plant, the typical behaviour of this compound in susceptible grass species is to accumulate in the meristematic tissue.

METHODS AND MATERIALS

The formulation of NCI-96683 used was a 10% ec, unless stated otherwise. Leaf wetting surfactants were chosen from polyoxy-ethylene alkyl-aryl-ether type non-ionic surfactants at a rate of 0.1-1% of spray volume.

All field trials were of randomized block design using 3-4 replications. Plot size varied from 4-20 $m^2$. Treatments were applied with an air pressurized plot sprayer equipped with Teejet nozzles at a pressure of 138-276 K Pa. Herbicidal activity was determined by visual assessment.

Greenhouse evaluations were made using various sizes of plot with 3-4 replications. Herbicidal acitivity was evaluated visually and by fresh weight determination of plants.

RESULTS

Crop tolerance

A wide range of broad-leaf crops showed tolerance to NCI-96683 at rates at least twice those required for efficient grass weed control (Table 1).

Annual grass control

Results from greenhouse and field evaluation comparing pre- and post-emergence activity of NCI-96683 against annual grass weeds have shown that the compound is most effective when applied post-emergence.

Soil mobility of the compound is relatively low and therefore activity is about 10 times greater following pre-plant incorporated application than pre-emergence surface application. The list of weed species susceptible to NCI-96683 applied pre- and post-emergence (Table 2) demonstrates its excellent activity against grasses.

Very sensitive and sensitive species are controlled in the rate range of 0.05-0.5 kg ai/ha. Moderately resistant species require over 0.5 kg ai/ha for control.

TABLE 1

Crops shown to be tolerant to NCI-96683 by post-emergence applications

| | | |
|---|---|---|
| alfalfa | lettuce | strawberry |
| apples | melon | safflower |
| adzuki bean | mungbean | snap bean |
| broad bean | oil palm | sunflower |
| cabbage | oil seed rape | sugarbeet |
| carrot | onions | sweet potato |
| chinese cabbage | orange | spongegourd |
| chicory | peas | turnips |
| chinese quince | pear | tomato |
| cocoa | pineapple | tobacco |
| cotton | pepper | turnip |
| eggplant | potato | vine |
| fennel | pumpkin | watermelon |
| flax | radish | |
| fodderbeet | red pepper | |
| groundnuts | rubber | |
| green pepper | soybean | |
| garlic | spinach | |
| kale | | |
| kidney bean | | |

TABLE 2

List of grass species susceptible to post-emergence application of NCI-96683

Very sensitive and sensitive species

<u>Elymus repens</u>
<u>Agrostis alba</u>
<u>Alopecurus myosuroides</u>
<u>Avena fatua</u>
<u>Avena sativa</u>
<u>Brachiaria platyphylla</u>
<u>Brachiaria plantaginea</u>
<u>Bromus sterilis</u>
<u>Cynodon dactylon</u>
<u>Digitaria sanguinalis</u>
<u>Dactylis glomerata</u>
<u>Echinochloa crus-galli</u>
<u>Eleusine indica</u>
<u>Eragrostis curvula</u>
<u>Eragrostis pilosa</u>
<u>Hordeum vulgare</u>
<u>Lolium multiflorum</u>
<u>Lolium perenne</u>
<u>Oryza sativa</u>
<u>Ottochloa nodosa</u>
<u>Panicum dichotomiflorum</u>
<u>Paspalum notatum</u>
<u>Paspalum distichum</u>
<u>Pennisetum japonicum</u>
<u>Phleum pratensis</u>
<u>Poa pratensis</u>
<u>Poa trivialis</u>
<u>Sorghum bicolor</u>
<u>Sorghum halepense</u>
<u>Setaria viridis</u>
<u>Setaria glauca</u>
<u>Setaria faberii</u>
<u>Triticum aestivum</u>
<u>Zea mays</u>

Moderately resistant species

<u>Poa annua</u>
<u>Imperata cylindrica</u>

TABLE 3

Annual grass weeds controlled by NCI-96683 in Brazil (Sao Paulo, 1980-1981)

| Grass species | % control<br>0.15 | <br>0.30<br>Rate (kg ai/ha) | <br>0.45 |
|---|---|---|---|
| _Digitaria sanguinalis_ | 100 | 100 | 100 |
| _Eleusine indica_ | 90 | 90 | 90 |
| _Echinochloa crus-galli_ | 100 | 100 | 100 |
| _Eragrostis pilosa_ | 100 | 100 | 100 |
| _Brachiaria plantaginea_ | 100 | 100 | 100 |

Assessment : 20-25 days after application
Growth stage at application: 2-5 leaf stage

TABLE 4

Control of _Setaria glauca_ by NCI-96683 in USA (Urbana, Illinois, 1980)

| Treatment | Rate (kg ai/ha) | % control<br>Stage I | <br>Stage II |
|---|---|---|---|
| NCI-96683 | 0.06 | 100 | 80 |
| " | 0.125 | 100 | 88 |
| " | 0.25 | 100 | 98 |

Assessment : Stage I 20 days after application
Stage II 16 days after application

Growth : Stage I 6.3-8.9 cm, 3 leaf stage
Stage II 30-36 cm, 5 leaf stage

_Perennial grass control_

NCI-96683 shows excellent perennial grass weed control by foliar application.

Control of _Sorghum halepense_

NCI-96683 gave excellent control of _Sorghum halepense_ (rhizome) in field trials conducted in Japan and USA. The results in Table 5 show that the relatively low rates of 0.125-0.5 kg ai/ha gave good control.

TABLE 5

Sorghum halepense control by NCI-96683 in USA (Stoneville, Mississippi, 1981)

| Treatment | Time of evaluation | % control Rate (kg ai/ha) 4.5 | 2.2 | 1.1 | 0.56 | 0.28 | 0.14 | 0.07 |
|---|---|---|---|---|---|---|---|---|
| NCI-96683 | 13 dat | | 99 | 99 | 95 | 90 | 85 | 75 |
| | 28 | | 100 | 100 | 100 | 100 | 85 | 30 |
| | 42 | | 100 | 100 | 100 | 99 | 85 | 35 |
| | 55 | | 100 | 100 | 100 | 95 | 90 | 30 |
| | 69 | | 100 | 100 | 100 | 95 | 75 | 20 |
| | 95 | | 100 | 100 | 100 | 95 | 70 | 35 |
| glyphosate | 13 | 100 | 100 | 99 | 95 | 60 | 40 | |
| | 28 | 99 | 95 | 95 | 85 | 20 | 3 | |
| | 42 | 70 | 55 | 55 | 55 | 30 | 0 | |
| | 55 | 20 | 25 | 30 | 90 | 10 | 0 | |
| | 69 | 10 | 10 | 10 | 70 | 30 | 0 | |
| | 95 | 0 | 0 | 0 | 70 | 40 | 0 | |

Growth stage : 60-91 cm, 6-7 leaf stage (1-2 weeks pre-boot)

dat : days after treatment

Control of Elymus repens

Control of Elymus repens by NCI-96683 is also excellent. A representative result from field trials is shown in Table 6.

TABLE 6

Control of Elymus repens by NCI-96683 in USA (East Lansing, Michigan, 1981)

| Treatment | Time of evaluation | 2.0 | 1.0 | 0.5 | 0.25 |
|---|---|---|---|---|---|
| | | | Rate (kg ai/ha) | | |
| NCI-96683 | | | | | |
| Single application | 17 dat | | 5.5 | 6.6 | 7.0 |
| | 28 | | 9.0 | 8.8 | 8.5 |
| | | | 0.5* + 0.5 | 0.25* + 0.25 | |
| | | | Rate (kg ai/ha) | | |
| NCI-96683 | 1 | | 7.0 | 6.0 | |
| Split application | 17 | | 9.3 | 9.3 | |
| | 28 | | 9.3 | 9.2 | |
| glyphosate | 17 | 10 | | | |
| | 28 | 9.7 | | | |

Growth stage : 19-22 cm (late post)

* Early post application was made at the stage of 9-12 cm, 14 days before second application.

Assessment method : Visual rating 0 (no effect) - 10 (complete kill)

dat : days after treatment

Control of Cynodon dactylon

Cynodon dactylon is also very sensitive to NCI-96683 and the results obtained in field trials were excellent.

TABLE 7

Control of Cynodon dactylon by NCI-96683 in USA (Stoneville, Mississippi, 1981)

| Treatment | Time of evaluation | % Control Rate (kg ai/ha) 0.27 | 0.55 |
|---|---|---|---|
| NCI-96683 Single application | 27 dat | 84 | 89 |
| | 79 | 98 | 98 |
| | | 0.27* + 0.27 Rate (kg ai/ha) | 0.55* + 0.55 |
| NCI-96683 Split application | 2 | 96 | 98 |
| | 27 | 99 | 100 |
| | 79 | 100 | 100 |

Growth stage : Cynodon dactylon matts having 25-45 cm stolons

* Early post applications made at the stage of 10-25 cm stolons, 15 days before second application

dat : days after treatment

Combination use study

Table 8 shows that the performance of NCI-96683 is not adversely affected by tank mixes with acifluorfen and bentazon, examples of broad-leaf post-emergence herbicides used in soybean. NCI-96683 also shows good performance in combination with post-emergence broad-leaf herbicides such as fluometuron and MSMA used in cotton, and lenacil, chloridazon and phenmedipham used in sugarbeet.

TABLE 8

Annual grass control (*Setaria spp.*) by tank mix application with broad-leaf post-emergence herbicides in soybean in Canada (Guelph, Ontario, 1981)

| Treatment | Rate (kg ai/ha) | % control 24 | 60 (dat) | 113 |
|---|---|---|---|---|
| bentazon + NCI-96683 | 0.85 + 0.2 | 95 | 95 | 98 |
| | 1.1 + 0.4 | 94 | 98 | 99 |
| acifluorfen + NCI-96683 | 0.4 + 0.2 | 95 | 90 | 96 |
| | 0.6 + 0.4 | 96 | 98 | 99 |
| NCI-96683 | 0.4 | 100 | 100 | 100 |
| bentazon | 1.1 | 0 | 0 | 0 |
| acifluorfen | 0.6 | 50 | 59 | 56 |

Growth stage : 3 leaf stage

dat : days after treatment

## DISCUSSION

NCI-96683 is a new and highly effective, systemic post-emergence herbicide for the control of numerous troublesome annual and perennial grass weeds in all climatic conditions. The compound has very good tolerance on non-graminaceous crops.

Effective post-emergence control of annual and perennial grass weeds by NCI-96683 is achieved even at advanced growth stages allowing a flexibility of application timing, which is linked with good soil residual activity. Its high activity against cereals is also useful for the control of volunteer weeds in broad-leaf crops.

Rainfastness is fairly good from the limited results obtained from a simulated rainfall study. Drought conditions decrease the activity of NCI-96683 only slightly.

NCI-96683 is also very promising for the post-emergence control of the most troublesome grass weeds in plantation crops.

## ACKNOWLEDGEMENT

The authors thank Dr. McWhorter, USDA, Dr. Slife, Univ. of Illinois, Dr. Meggitt, Michigan State Univ., Dr. Hurst, Mississippi State Univ. and Dr. Dekker, Guelph Univ. who have conducted field trials on NCI-96683. Also the assistance of other members of Nissan Chemical Industries, Ltd. in the development work is acknowledged.

# DPX-T6376 - A NEW BROAD SPECTRUM CEREAL HERBICIDE

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ABSTRACT

Rates of 4 - 8 g a.i./ha of this highly active new herbicide control a broad spectrum of weeds in cereals. It is selective pre and post-emergence to wheat and post-emergence to barley. This non-volatile herbicide has foliar and root activity. DPX-T6376 has a different spectrum of activity from chlorsulfuron in that it is more active on *Viola*, *Polygonum spp* and *Veronica persica* while less active on *Galium aparine*. It has an effect on certain grass weeds, including *Apera spica-venti* and *Lolium spp*. Experience indicates that in NW Europe normal crop rotations are possible following these low use rates, while in other areas research continues to define rotational crop parameters. 4 - 30 g/ha are promising in reduced tillage fallow systems preceeding wheat or barley.

## INTRODUCTION

The sulfonylurea herbicide chlorsulfuron was introduced in 1980 by Palm et al, and since then a number of papers have reported on the use of the product in various cereal growing systems (Palm et al 1982, Upstone et al 1982, Rudfeldt 1983). Levitt (1982) has recently reviewed the mode of action and structure activity relationships of the sulfonylureas. Du Pont continues to develop sulfonylurea candidates with a complementary weed spectrum to chlorsulfuron and more flexibility in sensitive crop rotations.

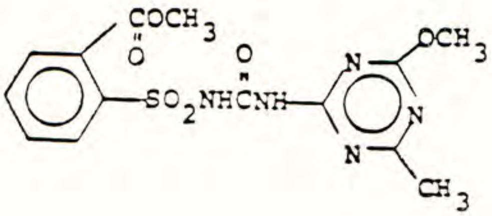
DPX-T6376 has been widely tested in the major cereal growing areas of the world during the past 3 years. After encouraging preliminary results in 1980 and 1981, an extensive programme was established in 1982 in France, UK, Federal Republic of Germany, Italy, Spain, Sweden, Denmark, USA and Canada. This paper presents some background information on the product, reports on the trials results obtained, and assesses its potential value to cereal growers.

## CHEMICAL AND PHYSICAL PROPERTIES

Chemical name

Methyl 2- [3-(4-methoxy-6-methyl-1,3,5-triazin-2-yl)ureidosulphonyl] benzoate.

Chemical structure



Physical properties

Molecular weight 381.37
Physical form : white crystalline solid
Melting point 163 - 166° C
Vapour pressure 5.8 x $10^{-5}$ mmHg at 25° C (extrapolated)
Acid dissociation constant : pKa = 3.3
Solubility in water - varies with pH

| pH | Solubility mg/l (at ionic strength 0.1) |
|---|---|
| 4.59 | 270 |
| 5.42 | 1,750 |
| 6.11 | 9,500 |

TOXICOLOGY

DPX-T6376 has a low level of acute mamalian toxicity. The oral LD50 to male rats is > 5000 mg/kg for technical product. The Dermal LD50 for rabbits is > 2000 mg/kg. It produces mild irritation to the skin of guinea pigs but it is not a skin sensitizer. The product is a moderate but reversible eye irritant. It is not mutagenic in the Ames test. Sub-acute oral studies have shown no mortality or histopathological effects. Long term feeding studies are in progress. The compound also shows very low toxicology to fish and wildlife.

MODE OF ACTION

The mode of action of DPX-T6376 is similar to that of chlorsulfuron (Ray, 1982). The product is absorbed both through the roots and the foliage. Translocation within the plant is fairly rapid, and both acropetal and basipetal translocation can occur. Within hours of uptake by the plant there is rapid inhibition of growing tips of the roots and shoots, followed by visible die-back in the growing tips, (usually between 3 to 14 days after application), a general yellowing, tissue necrosis and death. Plants which do not die are often severely stunted and are much less competitive to the cereal crop.

SELECTIVITY

As with chlorsulfuron, cereal selectivity is due to the rapid metabolism of the molecule by the cereal plant. The parent material cannot be detected within a few days of application at normal use rates.

ENVIRONMENTAL FATE

In the soil DPX-T6376 is broken down both by chemical hydrolysis and by microbial degradation. Time to 50% disappearance in soil has been measured from field experiments in the USA at between one week and one month. Breakdown is more rapid at lower soil pH, but the influence of pH is not so marked as with chlorsulfuron. Increasing levels of soil moisture and warmer temperatures accelerate the rate of disappearance.

Recropping experiments in Europe after spring applications of the anticipated use rates of DPX-T6376, show that normal rotational crops such as oil seed rape and sugar beet can be grown with a wide safety margin.

MATERIALS AND METHODS

Applications were all with hand-held plot sprayers using an inert gas propellant. Operating pressure was approximately 200 KPa and application rate varied between 200 and 450 l/ha in Europe and as low as 100 l/ha in the US and Canada. Plots for weed control evaluation were generally between 2 m x 5 m and 3 m x 8 m, replicated 3 times. Weed assessments for broadleaf weeds were made by a visual scoring of biomass versus untreated plots. For grass weeds, head counts were taken after emergence above the crop. Crop vigour scores were made in all trials on a 0 to 10 scale, as a visual assessment of damage, with 0 = no damage and 10 = 100% destroyed. Timing of application varied between growth stages 13 and 32. Yield trials were established using larger plots replicated 4 times, either 3 m x 15 m harvested with a mini-combine or 3 m x 30 m harvested with farmers equipment. The sites were chosen with low weed populations. Application rates in these crop safety trials included the anticipated use rates and 2 x and 4 x rates. Formulations used included an 80% WP, 70% and 20% dry flowables. Standard treatments varied slightly between countries but included an HBN + CMPP mixture and a hormone combination product.

RESULTS

In 1981 spring post-emergence trials in France, data showed *Lolium multiflorum* to be moderately susceptible, but control of *Poa spp* and *Alopecurus myosuroides* did not reach 50%. By contrast, broadleaf weed trials in Denmark and Sweden suggested that DPX-T6376 had a wide spectrum of activity at very low rates (Table 2).

During 1982 an expanded programme was carried out in Western Europe. In spring 1982 there was in many areas a dry period lasting up to 6 weeks after application. This may have reduced the activity of DPX-T6376, especially residual weed control from root uptake, but the results still confirmed the broadleaf activity of 1981 trials. Table 1 summarises the weed control obtained in worldwide trials in winter cereals at 8 g a.i./ha, and at 4 g a.i. in spring cereals. In general, the smaller weeds present in spring cereals at application allow control with lower use rates. Similarly certain weeds such as *V. hederifolia* showing moderate resistance in winter cereals when treated at an advance stage are susceptible at the cotyledon to 2 true leaf stage. Results from the Federal Republic of Germany and the UK are shown in Tables 3 and 4.

4 trials in France and Spain again confirmed that annual *Lolium* species are moderately susceptible to DPX-T6376. A mean of 59% was obtained with 8 g a.i./ha and 80% with 16 g a.i./ha, early post-emergence applications. In a trial in Germany, post-emergence to winter wheat, with duplicate treatments, 4 g a.i./ha gave 60% control of *Apera spica-venti* and 8 g a.i./ha gave 80% control. 1500 g a.i./ha chlortoluron gave 80% control, 3000 g a.i./ha gave 93% control.

TABLE 1

DPX-T6376 - spectrum of weed control in worldwide trials
4 to 8 g a.i./ha - post-emergence application

| > 80% Control | |
|---|---|
| *Ambrosia artemisiifolia* | *Myosotis arvensis* |
| *Amsinckia lycopsoides* | *Papaver rhoeas* |
| *Anagallis arvensis* | *Plantago media* |
| *Anthemis arvensis* | *Polygonum convolvulus* |
| *Anthriscus spp* | *Polygonum lapathifolium* |
| *Arabidopsis thaliana* | *Polygonum persicaria* |
| *Brassica napus* | *Potentilla anserina* |
| *Capsella bursa-pastoris* | *Ranunculus sardous* |
| *Caucalis spp* | *Raphanus raphanistrum* |
| *Chenopodium album* | *Rumex obtusifolius* |
| *Chrysanthemum segetum* | *Salsola kali* |
| *Cirsium arvense (seedlings)* | *Scandix pecten-veneris* |
| *Descurainia pinnata* | *Senecio vulgaris* |
| *Erysimum repandum* | *Sinapis arvense* |
| *Eupatorium capillifolium* | *Sisymbrium altissimum* |
| *Galeopsis tetrahit* | *Sonchus arvense (seedlings)* |
| *Kochia scoparia* | *Stellaria media* |
| *Lactuca serriola* | *Thlaspi arvense* |
| *Lamium purpureum* | *Urtica urens* |
| *Lamium amplexicaule* | *Veronica persica* |
| *Lapsana communis* | *Viola arvensis* |
| *Lithospermum arvense* | *Viola tricolor* |
| *Matricaria spp* | |

| 50 - 80% Control | < 50% Control |
|---|---|
| *Allium vineale* | *Alopecurus myosuroides* |
| *Apera spica-venti* | *Avena fatua* |
| *Atriplex patula* | *Bromus secalinus* |
| *Helianthus anuus (volunteer)* | *Bromus tectorum* |
| *Lolium multiflorum* | *Fumaria officianalis* |
| *Lolium rigidum* | *Galium aparine* |
| *Polygonum aviculare* | *Poa annua* |
| *Veronica hederifolia* | |

TABLE 2

% control of broadleaf weeds with post-emergence applications of DPX-T6376 in 1981 in Denmark and Sweden

| | % Weed control | |
|---|---|---|
| | Winter wheat 8 g a.i./ha<br>Mean of 4 trials | Spring barley 4 g a.i./ha<br>Mean of 4 trials |
| *Stellaria media* | 95 | 95 |
| *Viola spp* | 89 | 85 |
| *Galeopsis speciosa* | 100 | 92 |
| *Lamium purpureum* | 84 | 86 |
| *Myosotis arvensis* | 91 | 50 |
| *Brassica napus* | 100 | 85 |
| *Capsella bursa-pastoris* | 100 | -- |
| *Veronica spp* | 64 | -- |
| *Matricaria matricarioides* | 92 | -- |
| *Centaurea cyanus* | 82 | -- |
| *Papaver rhoeas* | 92 | -- |
| *Galium aparine* | $<$ 50 | -- |
| *Polygonum persicaria* | -- | 100 |
| *Chenopodium album* | -- | 84 |
| *Solanum nigrum* | -- | $<$ 50 |
| *Polygonum convolvulus* | -- | 83 |

-- weed not present

TABLE 3

% control of broadleaf weeds in winter cereals in 1982 in Federal Republic of Germany; mean of 10 trials with post-emergence applications

| Weed species | Number of trials | DPX-T6376 g a.i./ha | | | Ioxynil + Bromoxynil + Mecoprop esters 1313 g combined a.i./ha |
|---|---|---|---|---|---|
| | | 4 | 8 | 16 | |
| *S. media* | 4 | 100 | 100 | 100 | 97 |
| *L. purpureum* | 4 | 83 | 96 | 97 | 78 |
| *Matricaria spp* | 5 | 99 | 100 | 100 | 95 |
| *G. aparine* | 4 | 24 | 19 | 28 | 78 |
| *V. hederifolia* | 6 | 22 | 26 | 38 | 96 |
| *V. tricolor* | 6 | 84 | 94 | 97 | 85 |
| *F. officianalis* | 2 | 6 | 11 | 26 | 100 |

TABLE 4

% control of broadleaf weeds in spring barley in 1982 in UK; mean of 12 trials with post-emergence applications

| Weed species | No. of sites | DPX-T6376 g a.i./ha | | | Ioxynil + Bromoxynil + Mecoprop esters 1312 g combined a.i./ha | MCPA + 2,4-DP salts 1500 g + 2400 g a.i./ha |
|---|---|---|---|---|---|---|
| | | 4 | 8 | 16 | | |
| *V. persica* | 3 | 92 | 96 | 99 | 97 | 87 |
| *S. media* | 6 | 96 | 100 | 99 | 88 | 83 |
| *P. aviculare* | 6 | 49 | 57 | 80 | 88 | 80 |
| *P. convolvulus* | 4 | 71 | 72 | 87 | 95 | 89 |
| *P. persicaria* | 1 | 98 | 100 | 100 | 97 | 59 |
| *V. arvensis* | 4 | 75 | 83 | 94 | 83 | 76 |
| *C. album* | 2 | 73 | 80 | 87 | 100 | 100 |
| *Matricaria spp* | 3 | 91 | 95 | 97 | 88 | 63 |
| *F. officianalis* | 2 | 46 | 51 | 82 | 100 | 96 |
| *S. alba* | 3 | 61 | 83 | 94 | 100 | 100 |
| *G. tetrahit* | 1 | 95 | 96 | 100 | 80 | 86 |
| *L. purpureum* | 1 | 100 | 100 | 100 | 93 | 85 |
| Crop vigour (0-10) | 12 | 0 | 0 | 0 | 0 | 0 |

Crop safety trials

15 trials were taken to yield in Europe in 1982. Visual assessments showed winter wheat to be most tolerant, followed by winter and spring barley. At rates above 2 x the anticipated use rates of 4 to 8 g a.i./ha, slight yellowing and stunting sometimes occured, but this was not reflected in significant yield reductions, except in one trial at 32 g, 4 times the expected use rate in winter wheat. Application timing had little influence on crop safety. Summaries for France and the UK are presented in Tables 5 and 6.

TABLE 5

Effect of DPX-T6376 on crop yield in France in 1982; mean of 3 trials in winter wheat, with post-emergence applications

| Treatment | g a.i./ha | Yield t/ha |
|---|---|---|
| Untreated | | 5.67 |
| DPX-T6376 | 16 | 5.72 |
| DPX-T6376 | 32 | 5.62 |

TABLE 6

Effect of DPX-T6376 on crop yield in UK in 1982; post-emergence spring applications

| Treatment | g a.i./ha | Yield t/ha | | |
|---|---|---|---|---|
| | | W. Wheat 3 trials | W. Barley 3 trials | S. Barley 4 trials |
| DPX-T6376 | 16 | 4.76 | 4.81 | 5.99 |
| DPX-T6376 | 32 | 4.76 | 4.66 | 5.96 |
| Untreated | -- | 4.70 | 4.86 | 5.98 |
| Ioxynil + Bromoxynil + Mecoprop esters | 1837 combined a.i. | 4.61 | 4.78 | -- |
| Ioxynil + Bromoxynil + Mecoprop esters | 1313 combined a.i. | -- | -- | 5.89 |

In the USA and Canada, DPX-T6376 has been evaluated in over 50 field trials since 1980 in winter wheat, spring wheat and barley, mainly in post-emergence applications. DPX-T6376 was noted to have more contact activity on larger weeds than chlorsulfuron, in particular on *K. scoparia* and *P. convolvulus*. DPX-T6376 provided better control of *C. arvense* but was poorer on *S. viridis* than chlorsulfuron. Tolerance of winter wheat, spring wheat and barley was good at 8.75 g a.i./ha with some visual injury recorded at 17.5 g a.i./ha in isolated trials. Seven trials in the US and Canada evaluated April, May or June applications of DPX-T6376 for reduced tillage fallow preceding wheat (Table 7). Weed control was evaluated 30 to 88 days after application. Annual broadleaf weed control was excellent at 30 g a.i./ha indicating that lower use rates require further evaluation. A grass weed killer will be required to supplement DPX-T6376 activity for full spectrum fallow weed control.

DISCUSSION

DPX-T6376 promises to be a useful herbicide for cereals. The spectrum of broadleaf weeds controlled at very low rates covers most cereal situations, and includes some weeds resistant to most other herbicides such as *Viola spp*, *Galeopsis spp* and *Umbelliferae*, notably *Scandix pecten-veneris*, *Caucalis spp* and *Anthriscus spp*. To improve the control of *Galium aparine* and *Veronica hederifolia* in winter cereals, a tank mix with a suitable product will be needed to complement DPX-T6376. Control of the grass weeds *Apera spica-venti* and *Lolium spp* is encouraging. In fallow situations, the excellent broadleaf weed control and the selective residual effect of DPX-T6376 could play a major role in combination with a grass herbicide. The broad spectrum of activity and the convenience of very low use rates of a dry flowable formulation suggest that DPX-T6376 will be a valuable addition to the weed control programmes of cereal growers.

TABLE 7

% control of weeds in fallow in US and Canada by spring applied DPX-T6376

| Weed species | No. of Tests | DPX-T6376 g a.i./ha | | |
|---|---|---|---|---|
| | | 15 * | 30 | 48 |
| *Salsola kali var. tenuifolia* | 6 | 97 | 99 | 100 |
| *Sinapsis arvensis* | 1 | | 100 | 100 |
| *Kochia scoparia* | 4 | 100 | 100 | 100 |
| *Descaraina sophia* | 5 | | 100 | 100 |
| *Chenopodium album* | 4 | | 100 | 100 |
| *Amaranthus retroflexus* | 2 | 100 | 100 | 100 |
| *Lepidium spp* | 1 | | 100 | 100 |
| *Crepis tectorum* | 1 | | 100 | 100 |
| *Thlaspi spp* | 1 | | 100 | 100 |
| *Amaranthus blitoides* | 1 | | 100 | 100 |
| *Polygonum convolvulus* | 5 | | 97 | 100 |
| *Volunteer sorghum* | 1 | 98 | 98 | 98 |
| *Matricaria matricarioides* | 1 | | 80 | 92 |
| *Setaria viridis* | 4 | 58 | 65 | 70 |
| *Panicum dichotomifbrum* | 1 | 43 | 63 | 77 |
| *Cirsium arvense* | 1 | | 65 | 67 |
| *Echinochloa crus-galli* | 1 | | 58 | 75 |
| *Avena fatua* | 1 | 30 | 43 | 53 |

* evaluations at 15 g a.i./ha were made at one location only.

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# EARLY WEED CONTROL IN WET-SOWN RICE : THE ROLE OF THE SAFENER CGA 123'407

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ABSTRACT

Pretilachlor (2-chloro-2',6'-diethyl-N-(2-propoxyethyl)-acetanilide) is a highly active early-season herbicide being developed by CIBA-GEIGY Ltd for use in hand- or mechanically transplanted rice. The broad activity spectrum of pretilachlor includes control of most important rice weeds such as Echinochloa crus-galli, sedges and various broad-leaved weeds. Applied alone, this new herbicide is, however, not sufficiently selective in wet-sown rice.

The new safening agent CGA 123'407 (4,6-dichloro-2-phenyl--pyrimidine) has proved to protect wet-sown rice completely from pretilachlor damage throughout germination and development without interfering in any way with the herbicidal activity. Sofit®, a pre-mix formulation of pretilachlor and CGA 123'407, can safely be used in mud-sown rice under tropical/subtropical conditions as well as in water-sown rice in the temperate climate zones at rates required for effective weed control. The recommended application timing is between one day before sowing and four days after sowing.

## INTRODUCTION

Transplanting has been, and still is, the most important system of crop establishment in lowland rainfed and irrigated rice in tropical Asia. Because of the decreasing availability and increasing cost of labour, the importance of direct-seeded rice has however markedly increased in recent years (Mabbayad & Obordo 1971, De Datta & Herdt 1981). Savings in time and labour costs are the major advantages of direct seeding, but on the other hand, good management practices such as precise soil levelling and careful water management are much more critical if high yields are to be obtained in wet-sown rice. Another particular problem is weed control: Direct-seeded rice is generally much more susceptible to weed competition than transplanted rice, as the transplants, in an advanced development stage, are more competitive than germinating rice seedlings. Hand- and mechanical weeding, although possible in row seeded rice, are not appropriate in broadcast rice. Therefore direct seeding clearly requires effective herbicides.

Under most situations, the early competitive ability of grasses such as Echinochloa spp. has been recognised as the major threat to wet-sown rice. Hence early season control of such grasses is a very important target of chemical weed control. Generally however, wet-sown rice is more sensitive to herbicides than transplanted rice and most of the presently available herbicides for wet-sown rice lack adequate selectivity. The use of a crop safener in combination with a reliable early-season herbicide is therefore a most interesting approach.

Pretilachlor (Rifit®, Solnet®) is a novel herbicide, discovered and being developed by CIBA-GEIGY Ltd for use in transplanted rice. Applied pre- to post-transplanting and pre- to at-emergence of weeds at rates as low as 0.6 kg a.i./ha, pretilachlor has an excellent activity against most important grasses, sedges and broad-leaved weeds with good crop tolerance (Murakami & Ebner 1983). In wet-sown rice, selectivity of pretilachlor is however often insufficient.

It was recently discovered that Sofit®, a mixture of pretilachlor with the safening agent CGA 123'407 (4,6-dichloro-2-phenyl-pyrimidine), combines this excellent herbicidal activity with a remarkable selectivity to direct-seeded, wet-sown rice. This selectivity is a result of the unique action of CGA 123'407, which protects the rice seedling from damage throughout germination and development, without interfering in any way with the herbicidal activity.

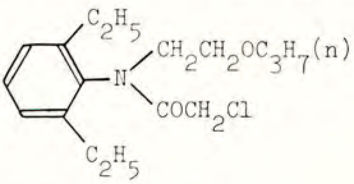
## MATERIALS AND METHODS

Data on pretilachlor

Trade names : Rifit®, Solnet®

Chemical name : 2-chloro-2',6'-diethyl-N-(2-propoxyethyl)-acetanilide

Structural formula :




Empirical formula : $C_{17}H_{26}ClNO_2$

Molecular weight : 311.85

Physico-chemical properties :

| | | |
|---|---|---|
| Appearance | : | colourless liquid |
| Boiling point | : | 135°C at 0,001 mm Hg |
| Vapour pressure | : | $1 \times 10^{-6}$ mm Hg at 20°C |
| Solubility | : | 50 mg/l in water at 20°C, soluble in most organic solvents. |

Acute toxicity of technical material :

| | | | |
|---|---|---|---|
| Mammals : | $LD_{50}$ oral (rat) | : | 6099 mg/kg |
| | $LD_{50}$ dermal (rat) | : | >3100 mg/kg |
| | $LC_{50}$ inhalation (rat, 4 h) | : | >2800 mg/m³ |
| | Skin irritation (rabbit) | : | moderate |
| | Eye irritation (rabbit) | : | minimal |

Wild life : Pretilachlor has a medium acute toxicity to fish.

Additional toxicology studies are in progress.

Formulation : Available formulations for use in transplanted rice are :

EC 500 (A-5565 A) containing 500 g/l pretilachlor
G 2 (A-5759 D) containing 2 % (w/w) pretilachlor (granules).

Weed control spectrum :

Susceptible
- Alisma canaliculatum
- Ammania senegalensis
- Cyperus difformis
- Cyperus iria
- Dinebra retroflexa
- Dopatrium junceum
- Echinochloa colonum
- Echinochloa crus-galli
- Eclipta alba
- Elatine triandra
- Eleocharis acicularis
- Eleusine indica
- Fimbristylis littoralis
- Galinsoga parviflora
- Leptochloa chinensis
- Lindernia pyxidaria
- Ludwigia spp.
- Monochoria vaginalis
- Rotala indica
- Scirpus juncoides
- Scirpus mucronatus
- Sphenoclea zeylanica

Moderately susceptible
- Borreria spp.
- Callitriche verna
- Digitaria spp.
- Scirpus hotarui

Moderately resistant
- Bidens pilosa
- Cyperus serotinus
- Scirpus maritimus

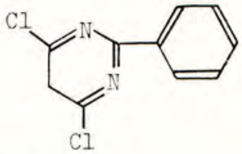
Totally resistant
- Green algae
- Paspalum spp.
- Potamogeton distinctus
- Sagittaria pygmaea

Data on the safening agent CGA 123'407

Common name : not yet confirmed

Chemical name : 4,6-dichloro-2-phenyl-pyrimidine

Structural formula :




Empirical formula : $C_{10}H_6Cl_2N_2$

Molecular weight : 225.08

Physico-chemical properties :

| | | |
|---|---|---|
| Appearance | : | colourless crystals |
| Melting point | : | 96.9°C |
| Vapour pressure | : | $9.0 \times 10^{-5}$ mm Hg at 20°C |
| Solubility | : | 2.5 mg/l in water at 20°C<br>slightly soluble in organic solvents. |

Acute toxicity of technical material :

| | | | |
|---|---|---|---|
| Mammals : | $LD_{50}$ oral (rat) | : | > 5000 mg/kg |
| | $LD_{50}$ dermal (rat) | : | > 2000 mg/kg |
| | $LC_{50}$ inhalation (rat, 4 h) | : | 2931 mg/$m^3$ |
| | Skin irritation (rabbit) | : | slight |
| | Eye irritation (rabbit) | : | minimal |

The compound has shown skin sensitizing potential in a guinea pig test.

Additional toxicology studies are in progress.

Sofit®, a mixture of pretilachlor and CGA 123'407 is available in following formulations :

- For mud-sown rice in tropical and subtropical climates : EC 300 (A-6728 A) containing 300 g/l pretilachlor and 100 g/l CGA 123'407
- For water-sown rice in temperate climates : G 1.5 (A-6746 A) containing 1.5 % (w/w) pretilachlor and 0.75 % (w/w) CGA 123'407 (granules)

Field trials

Performance of the combination pretilachlor and CGA 123'407 was tested in field trials over a period of 2 years under tropical/subtropical and temperate climate conditions. The experimental design was always a randomised complete block with 20-200 $m^2$ plots and 3 to 4 replicates. Granular formulations were spread by hand and liquid suspensions were applied with a knapsack sprayer mounted with a horizontal boom with 4 fan jets delivering 200 to 500 l/ha. Crop phytotoxicity and weed control were evaluated visually using the percent scale.

FIELD TRIAL RESULTS

TABLE 1

Comparison of pretilachlor activity in transplanted and wet-sown rice, Indonesia

| Treatment (Rates in g a.i./ha) | | Application timing | % Crop phytotoxicity at 60 DAA | | % Control of Echinochloa crus-galli at 60 DAA | |
|---|---|---|---|---|---|---|
| | | | Transplanted rice | Mud-sown rice | Transplanted rice | Mud-sown rice |
| Pretilachlor | 125 | 4 DAT/DAS | 0 | 20 | 80 | 70 |
| ↓ | 250 | ↓ | 0 | 50 | 80 | 85 |
| | 500 | | 0 | 70 | 90 | 95 |
| | 1000 | | 0 | 90 | 100 | 95 |
| Pretilachlor | 125 | 10 DAT/DAS | 0 | 0 | 0 | 0 |
| ↓ | 250 | ↓ | 0 | 0 | 0 | 60 |
| | 500 | | 0 | 50 | 90 | 95 |
| | 1000 | | 0 | 55 | 90 | 90 |

DAT = days after transplanting; DAS = days after sowing
DAA = days after application

TABLE 2

Effect of CGA 123'407 on pretilachlor activity in mud-sown rice, Sri-Lanka, Yala season

| Treatment (Rates in g a.i./ha) | Application timing | % Crop phytotoxicity at 8 DAS | % Crop phytotoxicity at 43 DAS | % Weed control at 43 DAA Cyperus difformis | % Weed control at 43 DAA Monochoria vagin. |
|---|---|---|---|---|---|
| Pretilachlor 600 | 0 DAS | 40 | 20 | 90 | 90 |
| ↓ 900 | ↓ | 55 | 20 | 98 | 98 |
| Pretilachlor 600 + CGA 123'407 300 | 0 DAS | 5 | 7 | 98 | 98 |
| ↓ 900 ↓ 450 | ↓ | 3 | 5 | 98 | 98 |
| Butachlor 800 | 8 DAS | - | 5 | 80 | 85 |

DAS = days after sowing; DAA = days after application

TABLE 3

Performance of the mixture pretilachlor and CGA 123'407 (EC formulation) in mud-sown rice, Indonesia

| Treatment (Rates in g a.i./ha) | Application timing | % Weed control: Scirpus spp. 11 DAS | Scirpus spp. 20 DAS | Fimbristylis littoralis 11 DAS | Fimbristylis littoralis 20 DAS | Monochoria vaginalis 11 DAS | Monochoria vaginalis 20 DAS | Yield in t/ha |
|---|---|---|---|---|---|---|---|---|
| Check, unweeded | | 0 | 0 | 0 | 0 | 0 | 0 | 0.4 |
| Pretilachlor 600 + CGA 123'407 200 | 0 DAS | 90 | 98 | 95 | 98 | 95 | 90 | 3.7 |
| Thiobencarb 800 + 2,4-D 400 | 6 DAS | 100 | 70 | 80 | 50 | 80 | 30 | 2.8 |

DAS = days after sowing

TABLE 4

Performance of the mixture pretilachlor and CGA 123'407 (EC formulation) in mud-sown rice, Thailand (average of 3 trials)

| Treatment (Rates in g a.i./ha) | Application timing | % Crop phytotoxicity 20 DAA | % Crop phytotoxicity 40-49 DAA | % Weed control at 56 to 65 DAA (all weeds present in all 3 trials) Cyperus difform. + C.iria | Fimbristylis littor. | Sphenoclea zeylan. | Leptochloa chin. |
|---|---|---|---|---|---|---|---|
| Pretilachlor 400 + CGA 123'407 133 | 1 DBS | 12 | 3 | 94 | 92 | 90 | 100 |
| Pretilachlor 600 + CGA 123'407 200 | ↓ | 13 | 2 | 92 | 96 | 90 | 100 |
| Pretilachlor 400 + CGA 123'407 133 | 4 DAS | 3 | 1 | 95 | 93 | 87 | 96 |
| Pretilachlor 600 + CGA 123'407 200 | ↓ | 3 | 1 | 94 | 95 | 94 | 98 |
| Butachlor 930 | 7 DAS | 14 | 2 | 75 | 55 | 37 | 88 |
| Thiobencarb 1160 + 2,4-D 580 | 7 DAS | 7 | 1 | 77 | 81 | 75 | 50 |

DBS = days before sowing; DAS = days after sowing; DAA = days after applic.

TABLE 5

Performance of the mixture pretilachlor and CGA 123'407 (granular formulation) in water-sown rice under temperate climate conditions, Italy (average of 4 trials)

| Treatment (Rates in g a.i./ha) | | Application timing | % Crop phytotoxicity at 25 to 35 DAS | % Weed control at 60 to 90 DAS Echinochloa crus-galli (3) | Scirpus mucronatus (1) | Scirpus maritimus annual (1) |
|---|---|---|---|---|---|---|
| Pretilachlor | 750 | 0 DAS | 61 | 90 | 80 | 60 |
| ↓ | 1000 | ↓ | 94 | 94 | 98 | -- |
| Pretilachlor + CGA 123'407 | 750 375 | 0 DAS | 6 | 93 | 80 | 60 |
| Pretilachlor + CGA 123'407 | 1000 500 | ↓ | 6 | 93 | 96 | 90 |
| Molinate | 2500 | 18 DAS | 1 | 93 | 20 | 25 |

DAS = days after sowing

Figures in brackets denote numbers of trials from which means are derived.

DISCUSSION

Pretilachlor, like most of the herbicides recommended for transplanted rice, is not sufficiently tolerated by wet-sown rice when applied at rates giving the required degree of weed control. The generally higher sensitivity of direct-seeded rice to herbicide injury is regarded as one of the major factors limiting the extension of this more economical crop establishment method (Chiang, Leu & Ku 1980).

The series of experiments reported here clearly indicates that crop injury is reduced to negligible proportions if pretilachlor is applied together with the safening agent CGA 123'407. The unique herbicidal properties of pretilachlor, primarily the early weed elimination, the broad spectrum of weeds controlled and the flexibility in application timing, are not affected at all by the addition of CGA 123'407. The pre-mix combination of pretilachlor and safener is therefore ideally suited for use in direct-seeded, wet-sown rice. Results obtained in water-seeded rice under temperate climate conditions have been as good as those in mud-sown rice under tropical and subtropical conditions.

In Southeast Asia, the acreage of wet-sown rice is expected to increase. It is already an important system of rice culture in Sri-Lanka, Thailand and the Philippines (De Datta 1981). The new herbicide-safener combination will allow improved weed control where wet sowing is already established and will be especially useful to farmers who wish to change from rice transplanting to the less labour intensive direct seeding.

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# AC 252,925 - A NEW BROAD-SPECTRUM HERBICIDE

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## ABSTRACT

A new herbicide, isopropylammonium 2-(4-isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)nicotinate, is being developed by American Cyanamid Company under the code number AC 252,925. At rates of 0.56 to 1.12 kg a.e./ha, it has provided long-term control of many major weed problems on the railways of the eastern and southeastern U.S.A. In Japan, results of field studies for industrial weed control indicate that approximately 0.75 kg a.e./ha also provides excellent long-term control.

AC 252,925 is nonselective to annual crops. With either pre- or postemergence application, it has demonstrated excellent activity against sedges, annual and perennial grasses and broadleaves and woody species. Postemergence application, however, has been the more effective method, particularly for control of perennial weeds.

The herbicide is readily absorbed through foliage and roots. Uptake of AC 252,925 after soil application is through both the shoot and root of the germinating weed, and the meristematic region of treated plants is affected first. In perennials, the herbicide is readily translocated to storage organs and thus regrowth is prevented. Although growth of plants is arrested shortly after application, kill is slow. Complete kill may not occur until one to several weeks after treatment, depending on the species.

## INTRODUCTION

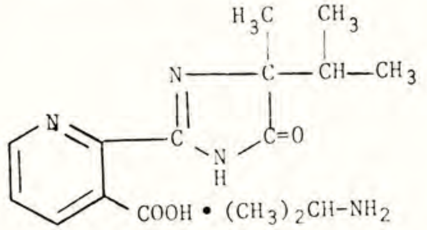
A new herbicide, isopropylammonium 2-(4-isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)nicotinate, was discovered at the Agricultural Research Center, American Cyanamid Company, Princeton, New Jersey, U.S.A., and is under field evaluation worldwide under the code number AC 252,925. In glasshouse and field tests, Orwick et al. (1983a, b, and c) demonstrated that AC 252,925 controls a wide range of annual and perennial weeds. No annual crop selectivity has been identified to date. Results of studies completed so far to investigate the toxicology, mutagenicity, metabolism and environmental behavior are favourable (American Cyanamid Company, 1983).

The objective of this paper is to describe briefly the chemical and physical properties of AC 252,925 and to summarise field trial results.

## MATERIALS AND METHODS

### Chemical description and properties

AC 252,925 represents a new chemical class of herbicides (Los et al. 1983). The chemical name for AC 252,925 is isopropylammonium 2-(4-isopropyl-4-methyl-5-oxo-2-imidazolin-2-yl)nicotinate. The structural formula is as follows:



The molecular formula for AC 252,925 is $C_{13}H_{15}N_3O_3 \cdot C_3H_9N$.

AC 252,925 is an odorless, white solid, with a molecular weight of 320.4, a melting point of 128 to 130 °C and a water solubility of approximately 62% to 65% at 25 °C.

Formulations tested

AC 252,925 has been tested as aqueous formulations containing either 2 lb a.e./U.S. gallon or 200 to 250 g a.e./liter.

Industrial Weed Control Trials

Railways

In the U.S.A., trials were established to compare AC 252,925 with appropriate standards. The trials were set out on 31 March 1982 at Tallahassee, Florida; on 14 May 1982 at Chadbourne, North Carolina; and on 10 June 1982 at Perrine, Florida. AC 252,925 was applied to most test areas at 0.56, 1.12, and 2.24 kg a.e./ha with 2.5 ml/l nonionic surfactant. Standards used were sulfometuron-methyl at 0.56 kg a.i./ha plus 1.25 ml/l of a recommended nonionic surfactant, diuron 80WP + sulfometuron-methyl (4.48 + 0.22 kg a.i./ha) or hexazinone 90SP at 2.52 kg a.i./ha. All treatments were applied with a commercial railroad spray truck equipped to deliver 280 l/ha. Each plot was 2.4 m wide and 0.5 km long. Most soils were sandy textured, low in organic matter (1.5%), with a pH of approximately 6.0. The weeds present and their stages of growth are listed in the results section under the respective tables. Visual ratings were taken at various times; a 0-100% rating scale was used where 0 = no effect and 100 = complete control (kill), with 85% equalling commercially acceptable control. Control ratings are presented as the means of three replications for each treatment.

Roadsides and industrial sites

In 1981 and 1982 field studies in Japan, AC 252,925 was applied at rates of 0.5 to 1.5 kg a.e./ha plus 2.5 ml/l nonionic surfactant. In 1981, AC 252,925 was applied at a spray volume of 1000 l/ha and, in 1982, at 600 l/ha. Weed control was recorded on a percentage basis compared to an untreated check. The control ratings are presented in the Results section as the means of three replications in each treatment group. Glyphosate at 3.6 or 4.8 kg a.i./ha was included as the standard in these studies.

Weed growth at the time of application varied from 30 to 40 cm in height at an industrial site in Tahara to full growth/flowering along a roadside in Oita. Treatments were applied with a small-plot sprayer.

RESULTS

Railways

During 1982, several trials were conducted in the eastern and southeastern sections of the U.S.A. Three representative trials, each of which included different standard herbicide treatments for comparison, are reported here. The results are summarised in Tables 1-3.

Perrine, Florida. At 42 days after treatment (DAT), AC 252,925 at 0.56 kg a.e./ha gave 90% or better control of perennial grasses (Table 1). The annual grasses *Sporobolus poiretii* and *Cenchrus tribuloides* were also effectively controlled at this dose. Control of dicot weeds, the annual *Ipomoea* spp., the woody perennial, *Morus* sp., and the woody perennial vine, *Cissus sicyoides*, was about 85% with AC 252,925 at the 0.56 kg a.e./ha rate. At 1.12 kg a.e./ha, AC 252,925 gave 90% or greater control of all species. In plots treated with sulfometuron-methyl at 0.56 kg a.e./ha, control of monocot weeds was similar to AC 252,925; however, sulfometuron-methyl was less effective on dicots.

At 63 DAT, AC 252,925 at 0.56 and 1.12 kg a.e./ha provided essentially the same degree of weed control. Similar results were recorded for sulfometuron-methyl.

TABLE 1

**AC 252,925 for railway weed control**
(Perrine, Florida, USA, 1982)

| Compound | Dosage (kg a.e. or a.i./ha) | Weed control (%), mean of 3 replications: Dicots* I.s. | M.s. | C.s. | Monocots* B.m. | S.h. | C.d. | S.p. | C.t. |
|---|---|---|---|---|---|---|---|---|---|
| **AT 42 DAT** | | | | | | | | | |
| AC 252,925 | 1.12 | 90 | 90 | 90 | 95 | 96 | 95 | 95 | 99 |
| | 0.56 | 85 | 85 | 83 | 95 | 93 | 90 | 95 | 95 |
| Sulfometuron-methyl | 0.56 | 48 | 3 | 43 | 93 | 90 | 90 | 95 | 95 |
| **AT 63 DAT** | | | | | | | | | |
| AC 252,925 | 1.12 | 87 | 88 | 85 | 100 | 100 | 100 | 98 | 100 |
| | 0.56 | 77 | 75 | 75 | 99 | 99 | 88 | 95 | 92 |
| Sulfometuron-methyl | 0.56 | 72 | 37 | 35 | 95 | 95 | 87 | 87 | 85 |
| **AT 104 DAT** | | | | | | | | | |
| AC 252,925 | 1.12 | 99 | - | 96 | 100 | 100 | 93 | - | 100 |
| | 0.56 | 72 | - | 57 | 95 | 98 | 82 | - | 95 |
| Sulfometuron-methyl | 0.56 | 72 | - | 57 | 95 | 98 | 93 | - | 93 |

*Stage of growth at application:

Not emerged
- I.s. = Ipomoea spp. (annual dicot)
- M.s. = Morus sp. (woody perennial)
- C.s. = Cissus sicyoides (woody perennial vine)
- C.d. = Cynodon dactylon (perennial grass)

Emerged height
- C.t. = Cenchrus tribuloides, 15-30 cm (annual grass)
- S.p. = Sporobolus poiretii, 30 cm (annual grass)
- S.h. = Sorghum halepense, 30-91 cm (perennial grass)
- B.m. = Brachiaria mutica, 91-122 cm (perennial grass)

- = No data

At 104 DAT, AC 252,925 at 0.56 kg a.e./ha gave good monocot weed control (95% or more), except for Cynodon dactylon where control was 82%. The dicot weeds showed greater tolerance than at 63 DAT. It is interesting to note that dicot weeds and C. dactylon were not present at the time of application and, although AC 252,925 pre-emergence provided good suppression of these weeds in this trial, more effective control has been seen, especially with postemergence applications. At 1.12 kg a.e./ha, AC 252,925 still provided excellent control of all weeds. Control of monocots with sulfometuron-methyl at 0.56 kg a.i./ha was good; dicot weed control was the same as with AC 252,925 at 0.56 kg a.e./ha.

Tallahassee, Florida. At 49 DAT, AC 252,925 at 0.56 kg a.e./ha provided 60% to 85% control of grass weeds (Table 2). The range of control of dicots was even wider, with 20% control of two perennial woody vines, Campsis radicans and Smilax rotundifolia, and 70% control of Sonchus spp. No significant difference in rate response was recorded between 0.56 and 2.24 kg a.e./ha. Although not included in Table 2, AC 252,925 at the 0.56 rate gave 90% or more vigour reduction of all weeds present.

TABLE 2

**AC 252,925 for railway weed control**
(Tallahassee, Florida, U.S.A., 1982)

| Compound | Dosage (kg a.e. or a.i./ha) | Weed control (%), mean of 3 replications | | | | | | | |
|---|---|---|---|---|---|---|---|---|---|
| | | Dicots* | | | | | Monocots* | | |
| | | C.r. | S.r. | S.s. | P.p. | R.s. | P.u. | S.h. | C.d. |
| **AT 49 DAT** | | | | | | | | | |
| AC 252,925 | 2.24 | 50 | 60 | 85 | 85 | - | 90 | 90 | 80 |
| | 1.12 | 40 | 40 | 80 | 75 | - | 80 | 85 | 75 |
| | 0.56 | 20 | 20 | 70 | 50 | - | 80 | 85 | 60 |
| Diuron 80W + Sulfometuron-methyl | 4.48 + 0.22 | 90 | 90 | 100 | 100 | - | 95 | 95 | 90 |
| **AT 112 DAT** | | | | | | | | | |
| AC 252,925 | 2.24 | 99 | 99 | - | 100 | 100 | 100 | 100 | 95 |
| | 1.12 | 95 | 95 | - | 95 | 99 | 100 | 100 | 95 |
| | 0.56 | 80 | 80 | - | 95 | 90 | 100 | 100 | 89 |
| Diuron 80W + Sulfometuron-methyl | 4.48 + 0.22 | 60 | 65 | - | 75 | 70 | 85 | 80 | 40 |
| **AT 140 DAT** | | | | | | | | | |
| AC 252,925 | 2.24 | 99 | 99 | - | 95 | 90 | 95 | 95 | 90 |
| | 1.12 | 99 | 99 | - | 95 | 90 | 95 | 95 | 85 |
| | 0.56 | 50 | 50 | - | 90 | 55 | 90 | 85 | 70 |
| Diuron 80W + Sulfometuron-methyl | 4.48 + 0.22 | 60 | 60 | - | 65 | 80 | 90 | 85 | 70 |

*Stage of growth at application:

Not emerged

R.s. = Rubus sp. (woody perennial shrub)

Emerged height

C.r. = Campsis radicans, 15-20 cm (woody perennial vine)

S.r. = Smilax rotundifolia, 91 cm (woody perennial vine)

S.s. = Sonchus sp., 15-20 cm (dicot)

P.p. = Polygonum pensylvanicum, 15-20 cm (herbaceous perennial)

P.u. = Paspalum urvellei, 5 cm (monocot)

S.h. = Sorghum halepense, 91 cm (perennial grass)

C.d. = Cynodon dactylon, 5-10 cm (perennial grass)

- = No data

The standard treatment, diuron 80 WP + sulfometuron-methyl (4.48 + 0.22 kg a.i./ha), gave very good control of all weeds.

At 112 DAT, however, good to excellent control of all but two weeds was recorded in plots treated with AC 252,925 at 0.56 kg a.e./ha. The exceptions were C. radicans and S. rotundifolia (80% control), which required 1.12 kg a.e./ha. In comparison, the standard treatment was not providing commercial control of any weed except Paspalum urvillei at 112 DAT.

Compared to the combination of diuron and sulfometuron-methyl at 140 DAT, AC 252,925 at 0.56 kg a.e./ha provided equivalent or better control of all weeds except Rubus trivialis (a perennial woody shrub). At 1.12 kg a.e./ha, AC 252,925 provided good to excellent control of all weeds.

Chadbourne, North Carolina, U.S.A. At 60 DAT, AC 252,925 at 0.56 to 1.12 kg a.e./ha gave 85% or greater control of all weed species present. The standard hexazinone at 2.52 kg a.i./ha gave similar results. At 118 DAT, AC 252,925 at 0.56 kg a.e./ha provided equivalent or slightly better weed control (85% or more) of all weed species compared to hexazinone at 2.52 kg a.i./ha. The 1.12 kg a.e./ha rate of AC 252,925 gave 90% or more control of both monocot and dicot weeds. Results are summarised in Table 3.

TABLE 3

**AC 252,925 railway weed control**
(Chadbourne, North Carolina, U.S.A., 1982)

| Compound | Dosage (kg a.e. or a.i./ha) | Weed control (%) Dicots Plantago aristata | Smilax rotundifolia | Campsis radicans | Monocot Sorghum halepense |
|---|---|---|---|---|---|
| **AT 60 DAT** | | | | | |
| AC 252,925 | 2.24 | 95 | 95 | 95 | 95 |
| | 1.12 | 90 | 90 | 95 | 85 |
| | 0.56 | 90 | 85 | 90 | 80 |
| Hexazinone 90SP | 2.52 | 90 | 85 | 85 | 85 |
| **AT 118 DAT** | | | | | |
| AC 252,925 | 2.24 | 98 | 98 | 98 | 95 |
| | 1.12 | 98 | 98 | 95 | 90 |
| | 0.56 | 95 | 90 | 90 | 85 |
| Hexazinone 90SP | 2.52 | 95 | 85 | 85 | 85 |

Roadsides

AC 252,925 is currently under development for industrial weed control uses. Presented in this section are 1981 results obtained from field trials conducted at two locations in Japan, one at Oita, a warm area, and the other at Tahara, a temperate climatic area. Tests were also conducted in the cool zone of Hokkaido. Although data from the latter trials are not reported here, the results indicated that, when temperatures are relatively cool, higher rates of AC 252,925 are needed to obtain good herbicidal activity and a longer period of time is required to reach the desired control level.

In Oita, four months after application along roadsides, AC 252,925 at 0.75 kg a.e./ha controlled the perennial grasses, Imperata cylindrica and Miscanthus sinensis, and the perennial broadleaf, Artemisia princeps, but gave only 75% control of the perennial vine, Pueraria lobata (Table 4). At 1.5 kg a.e./ha, AC 252,925 additionally provided control of P. lobata and was as effective as glyphosate at 4.8 kg a.i./ha.

TABLE 4

**AC 252,925 for roadside weed control four months after postemergence application**
(Warm zone, Oita, Japan, 1981)

| Compound | Dosage (kg/ha) | Weed control (%)* Imperata cylindrica | Miscanthus sinensis | Pueraria lobata | Artemisia princeps |
|---|---|---|---|---|---|
| AC 252,925 | 0.75 a.e. | 97 | 88 | 75 | 100 |
| | 1.5 a.e. | 98 | 92 | 96 | 100 |
| Glyphosate | 4.8 a.i. | 89 | 99 | 99 | 100 |

*All weeds were at the full growth or flowering stages when treatments were applied. Control ratings are the means of 3 replications for each treatment.

At an industrial site at Tahara, 8 months after treatment (MAT), AC 252,925 gave excellent control of the perennial grasses, I. cylindrica and Andropogon virginicus, and the perennial broadleaves, Solidago altissima and A. princeps, when applied at 0.75 kg a.e./ha. Glyphosate at 4.8 kg a.i./ha was equally effective, except on I. cylindrica (Table 5).

TABLE 5

**AC 252,925 weed control on an industrial site eight months after postemergence application**
(Temperate zone, Tahara, Japan, 1981)

| Compound | Dosage (kg/ha) | Weed control (%)* Imperata cylindrica | Andropogon virginicus | Solidago altissima | Artemisia princeps |
|---|---|---|---|---|---|
| AC 252,925 | 0.75 a.e. | 96 | 98 | 100 | 100 |
| | 1.5 a.e. | 100 | 100 | 100 | 100 |
| Glyphosate | 4.8 a.i. | 60 | 100 | 100 | - |

*Treatments were applied when weeds were 30 to 40 cm in height.

## CONCLUSIONS

Many of the major weed problems at railway test sites in the eastern and south-eastern sections of the U.S.A. were controlled for more than four months following application of AC 252,925 at rates of 0.56 to 1.12 kg a.e./ha. In field studies for industrial weed control in Japan, AC 252,925 also provided excellent long-term weed control on roadsides and at industrial sites. The test sites in Japan were located in three different temperature zones, and results indicated that optimum activity against perennial weeds follows postemergence application when weather is at least moderately warm.

The weed species in these tests comprised a diverse group of annual and perennial species (herbaceous and woody), including grasses, broadleaves, and sedges. AC 252,925 controlled a wide spectrum of these weeds, with results equivalent to and in most cases better than the standard treatments. Based on mode of action studies conducted earlier (American Cyanamid Company, 1983), this superior control appears to be due to the excellent translocation characteristics of AC 252,925, or more accurately of the acid, AC 243,997. In the trials reported here, as in previous work, signs of herbicidal activity appeared first in the meristematic tissue as an interveinal chlorosis. In addition, typical reddening of the main veins occurred, followed by necrosis of the apical meristematic tissue, and, finally, general necrosis of the plant. Although plant growth was arrested shortly after application of AC 252,925, plant death did not occur for one to several weeks, depending on the weed species and environmental conditions, particularly moisture, temperature, and light.

The potential of AC 252,925 for industrial weed control uses was demonstrated in tests in Japan along roadsides and at industrial sites. Rates of approximately 0.75 kg a.e./ha, gave excellent postemergence activity with residual control. Results of trials by investigators in South America and Japan have demonstrated that split applications of AC 252,925 are even more efficacious than single applications and permit at least a two-fold reduction in total dosage.

Favourable results have been reported from tests completed to date to investigate the toxicology, mutagenicity, metabolism and environmental behavior of AC 252,925. A technical information report on AC 252,925 summarises information on these subjects and also defines in greater detail the mode of action and additional weed species controlled by this herbicide (American Cyanamid Company, 1983).

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# TRIMEXACHLOR - A NEW HERBICIDE, SELECTIVE IN MAIZE

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## ABSTRACT

Trimexachlor (RST 20024) with the chemical name α-Chloroacetic-N-(3,5,5-trimethyl-cyclohexen-1-yl)-N-isopropylamide is a new soil herbicide. It has been developed since 1980 in West Germany and since 1982 in other European countries as well as overseas, mainly in maize. The normal application rate of trimexachlor is 2 kg a.i./ha. The optimum time of application is pre-emergence, but post-emergence application is also possible. It is active against numerous grass weeds, including *Echinochloa crus-galli, Digitaria sanguinalis, Digitaria ischaemum, Setaria viridis* and *Setaria glauca*. In addition broadleaved weeds like *Lamium spp., Matricaria spp.* and *Amaranthus retroflexus* are controlled adequately, but for complete control of broadleaved weeds a combination of trimexachlor and atrazine is recommended. With increasing weed population the use of trimexachlor resulted in increasing yields.

## INTRODUCTION

Because of their resistance to atrazine grasses like *Echinochloa crus-galli, Setaria spp.* and *Digitaria spp.* are particularly important annual weeds. Since the early seventies herbicides against these grasses have been discovered which primarily belong to the chloroacetamide group. Their essential chemical feature is a substitution with 2 alkylgroups in the 2,6-position of the aromatic ring (Würzer & Eicken 1979). In the new herbicide, developed by the Chemische Werke Hüls (CWH) and Ruhr-Stickstoff, under the proposed common name trimexachlor, the acetamide structure was modified by changing the aromatic ring to a cyclo-olefinic radical (Baltruschat & Bellut 1982). The chemical designation for trimexachlor is α-Chloroacetic-N-(3,5,5-trimethyl-cyclohexen-1-yl)-N-isopropylamide. The chemical, physical and toxicological features of the product were presented for the first-time during the 43rd German Meeting on Plant Protection at Hamburg (Baltruschat et al 1981).

The present work gives a survey of tests of trimexachlor in maize, which have been carried out over several years in the Federal Republic of Germany, France and the United States.

## MATERIAL AND METHODS

In West Germany trimexachlor was tested in extended field trials from 1980-83. In the United States, France, Italy and Spain trimexachlor has been tested since 1982. Field trials in Europe were carried out in maize and winter oilseed rape, and outside Europe trials included soybeans, cotton, peanuts and sunflowers as well.

Trials in maize were conducted with trimexachlor alone and in combination with atrazine. The combination was applied as a tank-mix or as an emulsion concentrate containing 333 g/l trimexachlor and 125 g/l atrazine (2000 g trimexachlor + 750 g atrazine/ha if applied at 6 l/ha) or with 357 g/l trimexachlor and 107 g/l atrazine (2500 g trimexachlor + 750 g atrazine/ha if applied at 7 l/ha). Application was done pre-emergence, or at early post-emergence or at the 3-4 leaf-stage of grasses. Metolachlor + atrazine was used as a standard.

Trials were carried out in accordance with BBA-Guidelines or the guidelines of the country concerned in randomized blocks with four replicates and a plot-size of 15-25 $m^2$. The application was done with mobile plotsprayers at a volume rate of 400 l/ha water.

The herbicidal effect and compatibility for crops were scored in % at the following dates:

1. Crop stage H-J (EC 30-32)
2. 5-7 weeks after application
3. after emergence of the inflorescence of grasses

Fresh weight and weight of cobs were used to determine the maize yield.

## RESULTS AND CONCLUSIONS

### Spectrum of efficacy

Table 1

Spectrum of efficiency of the combination trimexachlor (2 kg a.i./ha) and atrazine (0.75 kg a.i./ha) applied pre- or early post-emergence in maize. (field trials West Germany 1980-1982)

| | |
|---|---|
| Excellent control | |
| *Alopecurus myosuroides* | *Melandrium album* |
| *Amaranthus retroflexus* | *Myosotis arvensis* |
| *Anthemis arvensis* | *Poa annua* |
| *Aphanes arvensis* | *Polygonum spp.* |
| *Capsella bursa-pastoris* | *Raphanus raphanistrum* |
| *Chenopodium album* | *Setaria glauca* |
| *Digitaria ischaemum* | *Setaria viridis* |
| *Digitaria sanguinalis* | *Sinapis arvensis* |
| *Echinochloa crus-galli* | *Solanum nigrum* |
| *Galinsoga parviflora* | *Stellaria media* |
| *Galium aparine* | *Veronica spp.* |
| *Lamium spp.* | *Viola arvensis* |
| *Matricaria spp.* | |
| Sufficient control | |
| *Centaurea cyanus* | *Polygonum convolvulus* |
| *Mercurialis annua* | |
| Insufficient control | |
| *Elymus repens* | *Convolvulus arvensis* |

With trimexachlor annual grasses including *E. crus-galli, D. ischaemum, D. sanguinalis, S. glauca* und *S. viridis* can be controlled efficiently, regardless of the date of treatment. In addition a number of dicotyledonous weeds like *Lamium spp., Matricaria spp., Amaranthus retroflexus & Veronica persica* are controlled by this compound. The remaining gaps in efficiency against broadleaved weeds in maize are largely covered by the combination with atrazine (table 1). The effect against *Elymus repens* and *Convolvulus arvensis* is insufficient however.

The first 3 years of experience in field trials indicated that 1.5 - 2.5 kg a.i./ha are necessary but in the recent trials in West Germany and in France weeds, including problem grasses, were well controlled with 2000 g a.i./ha trimexachlor and 750 g a.i./ha atrazine (table 2). The average total control of weeds is about 94 %.

Table 2

Effect (% of control) of the combination trimexachlor/atrazine on weeds in maize after pre-emergence application. Results from West Germany (1981-82) and France (1982); Italy, Spain (1982)

| WEST GERMANY and FRANCE | | | | |
|---|---|---|---|---|
| Weed Species | n | trimexachlor<br>atrazine<br>a.i.g/ha | 2000<br>750 | 2500<br>750 |
| total control of weeds | 80 | | 94 | 94 |
| *Amaranthus retroflexus* | 1 | | 96 | 96 |
| *Capsella bursa-pastoris* | 2 | | 99 | 99 |
| *Chenopodium album* | 28 | | 94 | 92 |
| *Digitaria ischaemum* | 5 | | 92 | 98 |
| *Digitaria sanguinalis* | 13 | | 98 | 99 |
| *Echinochloa crus-galli* | 33 | | 93 | 94 |
| *Galinsoga parviflora* | 1 | | 100 | 100 |
| *Galium aparine* | 5 | | 93 | 96 |
| *Lamium spp.* | 2 | | 100 | 100 |
| *Melandrium album* | 1 | | 100 | 100 |
| *Mercurialis annua* | 3 | | 82 | 87 |
| *Polygonum convolvulus* | 17 | | 73 | 73 |
| *Polygonum lapathifolium* | 5 | | 92 | 90 |
| *Polygonum persicaria* | 7 | | 92 | 94 |
| *Polygonum aviculare* | 1 | | 100 | 100 |
| *Raphanus raphanistrum* | 2 | | 89 | 88 |
| *Setaria spp.* | 17 | | 91 | 93 |
| *Sinapis arvensis* | 2 | | 98 | 98 |
| *Solanum nigrum* | 11 | | 98 | 98 |
| *Viola arvensis* | 11 | | 98 | 98 |

n = number of trials

A survey of all results concerning grass weeds in West Germany, France and the United States shows that the pre-emergence application of the combination 2000 g trimexachlor and 750 g a.i./ha atrazine reliably controls *E. crus-galli* (93 %), *D. sanguinalis* (95 %), and *D. ischaemum* (93 %). The effect against grasses is fully comparable to metolachlor. The effect against *Setaria spp.* (84 %) is lower than against other grasses, but still better than the lower rates of metolachlor. Increasing the dosage leads to 88 % control with both trimexachlor and metolachlor.

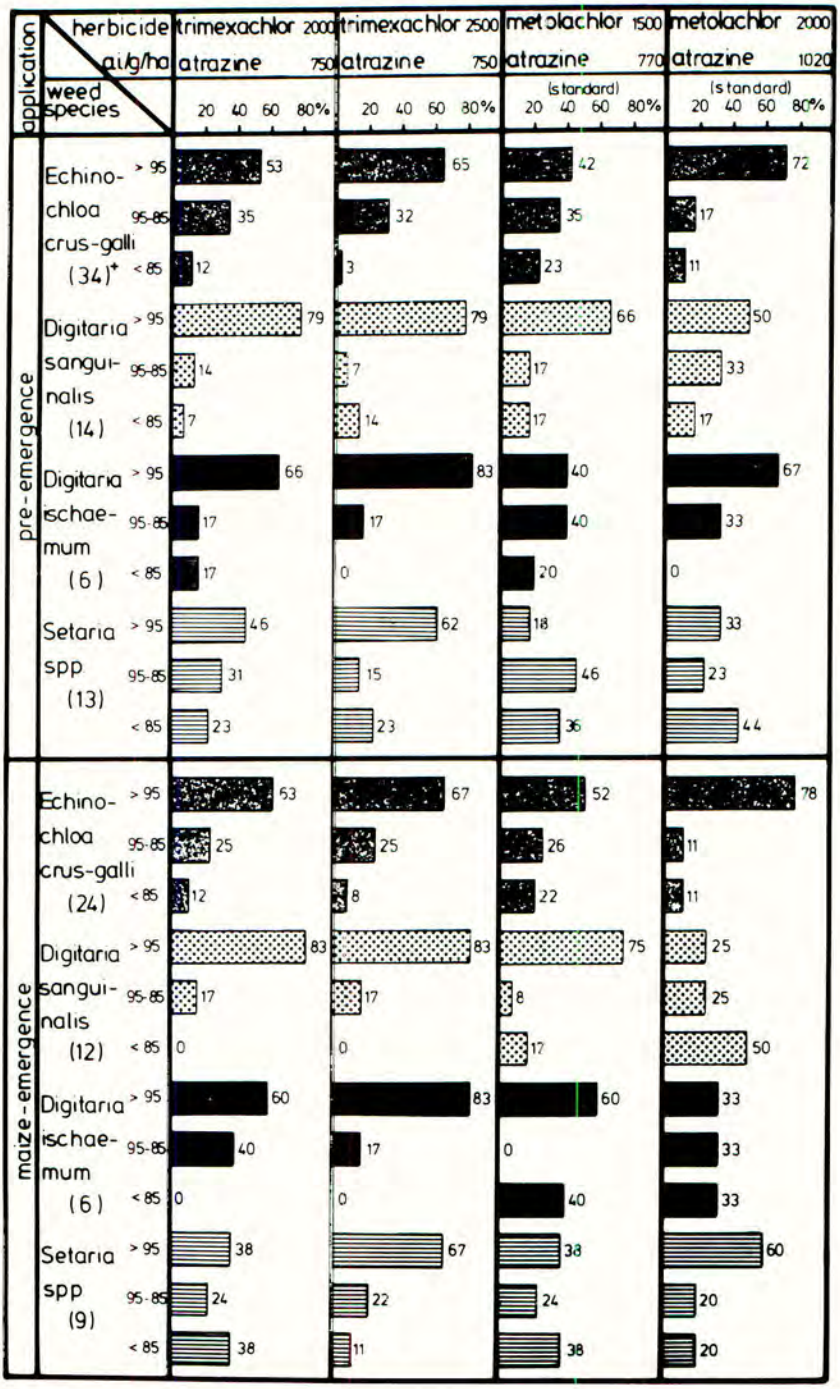


Fig. 1

Effect (% of control) of the combination trimexachlor/atrazine on grass weeds in maize (Graded into groups of frequency)

+ = number of trials

Fig. 1 shows that trimexachlor applied pre-emergence gave in 79 % of the trials over 95 % control of *Digitaria* species. The same effect was achieved against *Setaria spp*. in 46 % (2000 g a.i./ha trimexachlor) of all trials. The reason for this was that in some locations primarily *Setaria glauca* germinated after a prolonged dry period so that the normally high efficiency against grasses of trimexachlor was reduced due to the lack of soil moisture. Under those conditions the standard had a visibly reduced effect because it produced a 95 % effect in 33 % of all trials only.

An application of trimexachlor at the sprouting stage of maize is more reliable against *Setaria* and *Digitaria* species, which are usually germinating late especially under dry conditions. This is illustrated by the herbicidal effect against *D. sanguinalis* and *D. ischaemum*, witch did not fall below 85 % in any of the trials.

The initial effect of trimexachlor against germinating grasses is high. At the first evaluation after a pre-emergence application 95 % control of *E. crus-galli* was achieved by trimexachlor in 100 % of all trials compared with 70 % for metolachlor (Fig. 2). Similarly clear differences exist for the *Setaria* species as well (Fig. 3). This indicates that the restriction of the germination of grasses is more pronounced for trimexachlor than for metolachlor.

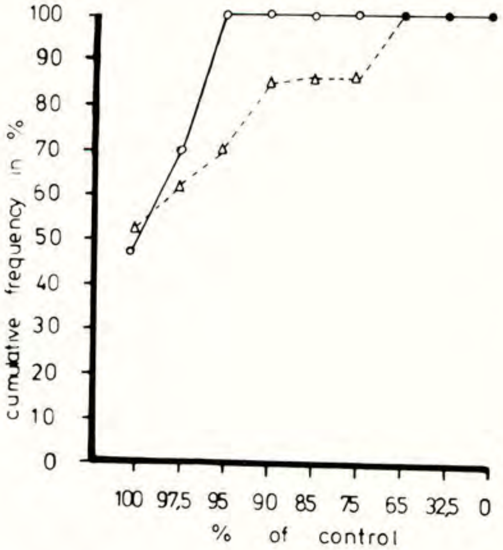


Fig. 2

Initial effect (1. assessment) of trimexachlor (o-o) in comparison to metolachlor (Δ - Δ) on *E. crus-galli* in pre-emergence

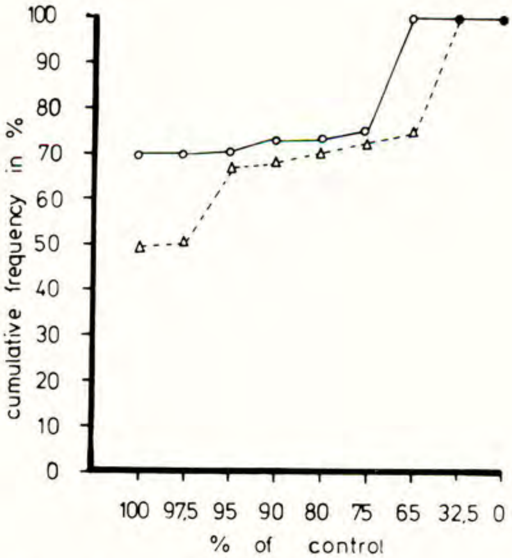


Fig. 3

Initial effect (1. assessment) of trimexachlor (o-o) in comparison to metolachlor (Δ - Δ) on *S. viridis* in pre-emergence

- graded into groups of frequency. Field trials W. Germany 1980-82

This was confirmed by the placement studies carried out using the charcoal barrier method (Gray & Weierich 1969, Gerber 1977). For metolachlor the shoots of susceptible grasses are considered to be the predominant site of uptake of the herbicide (Gerber et al 1974).

Influence of soil and climatic factors

The effect of trimexachlor is influenced like other soil herbicides with low vapour pressure by soil type and water content. Generally higher rates of application are necessary in soils of high clay content. Susprisingly soil organic matter contents of up to about 10 % are hardly influence the herbicidal effect of trimexachlor (Fig. 4). Dry conditions reduce effectiveness , thus the effect of trimexachlor against grasses was reduced to 70 % on 4 sites in Southern France and Southern Germany, after 4 weeks without rain.

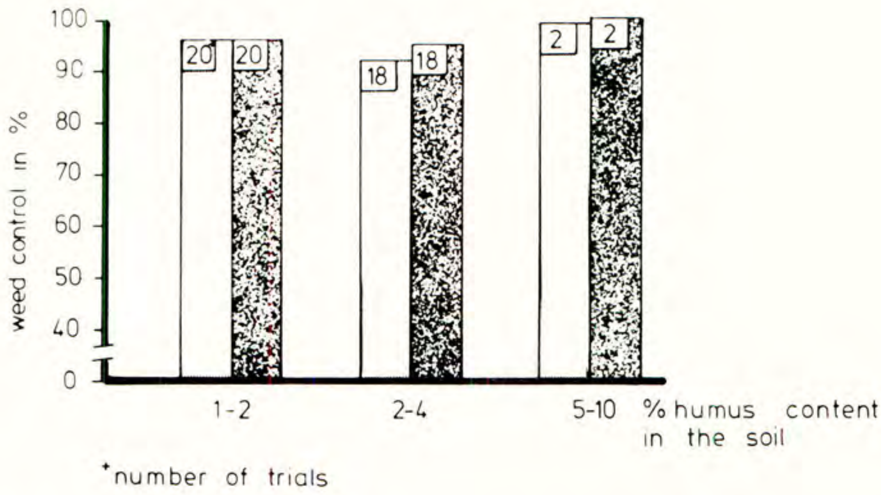


Fig. 4

Effect (% of control) of trimexachlor on grasses (*E. crus-galli, Setaria spp., Digitaria spp.*) in relation to humus content in the soil. Field trials W. Germany and France (1981-82)

2000 a.i./ha trimexachlor   2500 a.i./ha trimexachlor

Selectivity and yield

When applied pre-emergence or at the emergence of maize, the combination of trimexachlor/atrazine showed a very good selectivity (Tab. 3). Even on light soils and after heavy rain neither damage nor growth retardation occurred. No specific incompatibility with any variety occurred during the trials.

During late post-emergence light damage may occur under unfavourable conditions, similar to those produced by the standard. This is especially true for spraying after a period of wet and cool weather, followed by a strong rise in temperatures. Light damage may also occur under intense sunlight and daytime temperatures over 25 °C at the time of spraying.

Table 3:

Selectivity of trimexachlor in maize (plant injury in percent)

| Application | Assessment | a.i./g/ha n | trimexachlor 2000 atrazine 750 | n | trimexachlor 2500 atrazine 750 | n | metolachlor 2000 atrazine 1000 |
|---|---|---|---|---|---|---|---|
| VA | 1 | 67 | 0 | 66 | 0 | 38 | 0 |
| | 2 | 66 | 0 | 65 | 0 | 37 | 0 |
| | 3 | 20 | 0 | 19 | 0 | 18 | 0 |
| NA 1 | 1 | 46 | 0 | 46 | 0 | 16 | 0 |
| | 2 | 46 | 0 | 32 | 0 | 16 | 0 |
| | 3 | 4 | 0 | 4 | 0 | 2 | 0 |
| NA 2 | 1 | 31 | 4 | 30 | 6 | 18 | 7 |
| | 2 | 42 | 3 | 41 | 4 | 18 | 7 |
| | 3 | 26 | 7 | 26 | 8 | 3 | 8 |

n = number of trials
VA = pre-emergence
NA 1 = maize emergence (early post-emergence)
NA 2 = 3-4 leaf of grasses (late post-emergence)

On average of the trials, maize yields in trials treated with the combination trimexachlor/atrazine in pre-emergence were 55 % on fresh weight and up to 38 % of cobweight higher than control (Tab. 4). The same goes for the post-emergence application and shows that light damage to the crop had no effect on the yield. The addition of Oleo 11 E to the combination trimexachlor/atrazine at the early post-emergence application led to a further increase in yield of fresh- and cobweight. The combination trimexachlor/atrazine could be particularly useful for soils with humus contents above 10 % (peaty soils and bog soils).

Table 4:

Relative yields after application of trimexachlor in maize

| Application | Yield | n | a.i./g/ha control | trimexachlor 2500 atrazine 750 | trimexachlor 2500 atrazine 750 Oleo 11 E 5000 |
|---|---|---|---|---|---|
| VA | fresh weight | 6 | 100 | 155 | - |
| NA 1 | | 6 | (28,6 t/ha) | 155 | 162 |
| NA 2 | | 7 | | 155 | 145 |
| VA | cob weight | 6 | 100 | 138 | |
| NA 1 | (incl. cob | 6 | (10,4 t/ha) | 138 | 135 |
| VA 2 | leaves) | 7 | | 159 | 134 |

n = No of trials VA = pre-emergence
NA 1 = emergence of maize NA 2 = 3-5 leaf stage of millets

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# UBI-T930, A NEW FOLIAR ACARICIDE FOR CONTROL OF CITRUS RUST MITE

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## ABSTRACT

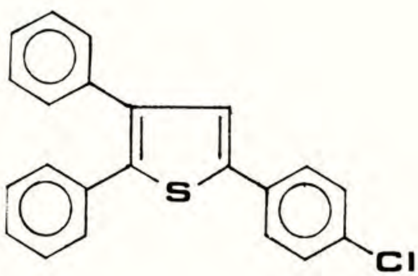
UBI-T930, 5-(4-chlorophenyl)-2,3-diphenylthiophene, is a new chemical type of foliar acaricide providing extended residual control of eriophyoid mites. Field tests in Florida (USA) have shown UBI-T930 gives 9-12 weeks control of citrus rust mite (Phyllocoptruta oleivora) on both leaves and fruit when applied at 0.84 kg a.i./ha. UBI-T930 is phytosafe and compatible with other sprays. Structure-activity relationships based on over 50 analogs have been developed.

## INTRODUCTION

The 2,3,5-triphenylthiophenes have been found to provide effective control of the economically-important citrus rust mite (Phyllocoptruta oleivora) (Relyea et al. 1979). The 5-(4-chlorophenyl) analog, code number UBI-T930, has been chosen for development from a consideration of cost and efficacy factors and is being formulated as 'Micromite', a 50% a.i.w.p. This paper summarizes the available information on its physicochemical properties, toxicology, absorption and mode of action, biological properties and structure-activity relationships.

## PHYSICOCHEMICAL PROPERTIES

The structural formula for UBI-T930 is:




Chemical name: 5-(4-chlorophenyl)-2,3-diphenylthiophene

The structure corresponds to an empirical formula of $C_{22}H_{15}ClS$ and a molecular weight of 346.88. UBI-T930 is a colourless, crystalline solid of m.p. 127°C. The methods of Lyman et al. (1982) furnish estimated values for some physical properties:

b.p. 462°C
vapour pressure 1 x $10^{-11}$ mm Hg at 25°C
octanol-water partition coefficient log P = 8

UBI-T930 is thermally stable at its melting point. Exposure to sunlight for several months causes a superficial yellowing.

TOXICOLOGY

The low mammalian toxicity of UBI-T930 is indicated by the results for the rat (Rattus norvegicus) and the rabbit (Oryctolagus cuniculus) shown in Table 1.

TABLE 1

Mammalian toxicity of UBI-T930

| UBI-T930 | Study | Species | Result |
|---|---|---|---|
| Technical | Acute Oral LD50 | R. norvegicus | >10 000 mg/kg |
| | Acute Dermal LD50 | O. cuniculus | >2 000 mg/kg |
| | Acute Inhalation LC50 | R. norvegicus | >5.1 mg/l |
| 50% w.p. | Eye irritation | O. cuniculus | Mild |
| | Skin irritation | O. cuniculus | None |

Safety to fish and wildlife as well has been demonstrated with the technical material (Table 2).

TABLE 2

Toxicity of UBI-T930 to fish and wildlife

| Common name | Species | Test Period (h) | LC50 (mg/litre) |
|---|---|---|---|
| Rainbow trout | Salmo giardneri | 96 | >100 |
| Bluegill sunfish | Lepomis macrochirus | 96 | >100 |
| Daphnia | Daphnia magna | 48 | 64 |
| Mallard duck | Anus platyrhynchos | 192 | >5 620 |
| Bobwhite quail | Colinus virginianus | 192 | >5 620 |

ABSORPTION AND MODE OF ACTION

Experimental

The activity of UBI-T930 against the two-spotted spider mite (Tetrancychus urticae) was measured under several sets of conditions.

Contact test

Cotton (Gossypium hirsutum) plants were trimmed to two leaves, loaded 24 h before treatment with mites confined by a barrier of petroleum grease, and sprayed 10 s with a 1000 mg/l suspension of UBI-T930. Mites were counted immediately after spraying and at the end of 24, 48 and 72 h periods.

Slide-dip test

Groups of 10 adult female mites were adhered by their dorsal surface to a glass slide by means of two-sided adhesive tape. Mites were treated by dipping in test suspensions for 15 s with gentle agitation. Treated slides were placed on wet cotton in covered Petri dishes and held at 27°C.

Ovicide test

Adult mites were placed on plants prior to treatment to allow oviposition. After spraying, motile mites were removed and eggs were counted. Nymphs and unhatched eggs were counted 6 d after treatment.

Fumigant test

Acetone solutions containing 1, 2 and 10 mg of UBI-T930 were pipetted onto 12 cm filter disks which were then placed in the bottom halves of Petri dishes. A cotton leaf disk bearing mites confined by a petroleum grease barrier was attached to the inside top of the Petri dish. Dishes were held at 27°C for 3 d.

Results

Evaluation of the above tests showed that UBI-T930 kills the two-spotted spider mite by contact action at 1000 mg/l. No ovicidal action at 1000 mg/l or fumigant action at 0.8 mg/ml could be detected.

Light activation tests conducted in the laboratory on 2,3,5-triphenylthiophene show that it provides good control of two-spotted spider mites under normal daylight but poor control in total darkness. The mode of action involving light activation is not known but may rest on one of the following possibilities:

(1) an allergic response to light induced by the chemical
(2) conversion of normal oxygen to singlet oxygen which may then destroy vital enzymes or form toxic products
(3) conversion of the chemical to a more active material.

Contributing factors are duration, wavelength, and intensity of the light.

BIOLOGICAL PROPERTIES

The citrus rust mite requires a warm, humid environment. Consequently it is found in most of the citrus-producing regions of the world. These mites infest leaves, green twigs, and fruit on all parts of the tree, but are generally more abundant at the periphery of the tree. Several types of injury are attributable to the citrus rust mite:

(1) a brownish leaf scorching
(2) leaf drop
(3) underdeveloped leaves
(4) small and underdeveloped fruit
(5) reduced amounts of photosynthate in fruit
(6) brownish to black russeting of the fruit.

The russeting of the fruit is detrimental since the discoloration decreases salability as fresh fruit.

UBI-T930 is highly active against the eriophyoid mites including the citrus rust mite (*Phyllocoptruta oleivora*), the peach silver mite (*Aculus cornutus*), the pear rust mite (*Epitrimerus pyri*), and the apple rust mite (*Aculus schlectendali*) when applied at rates of 0.28-0.56 kg a.i./ha.

Control of other mite species including the two-spotted spider mite, the European red mite (Panonychus ulmi), and the Texas citrus mite (Eutetranychus banksi) can be obtained at application rates of 2.26 kg a.i./ha.

The efficacy of UBI-T930 for citrus rust mite control has been consistently observed in repeated tests in major citrus production areas of Florida (USA). In a post-bloom application in 1979 at a Windermere, Florida grove, UBI-T930 showed significantly longer control than either dicofol or chlorobenzilate standards (Table 3).

TABLE 3

Efficacy of UBI-T930 in control of P. oleivora on citrus leaf surface

| Treatment | Formulation | Rate (kg a.i./ha) | Mites/cm$^2$ of leaf, DAT C | 45 | 59 | 73 |
|---|---|---|---|---|---|---|
| UBI-T930 | 50% w.p. | 0.84 | 1.0 | 0.0 | 0.1 | 0.3 |
| Chlorobenzilate | 50% e.c. | 1.40 | 1.2 | 0.0 | 0.5 | 1.7 |
| Dicofol | 20% e.c. | 2.24 | 0.3 | 0.3 | 0.8 | 2.6 |
| Untreated | - | - | 0.6 | 1.7 | 5.7 | 8.5 |

UBI-T930 is compatible with other types of spray treatments such as summer oil (fungicide) or nutritional sprays and causes no phytotoxicity in these combinations. A test at Fort Pierce, Florida (USA) in 1979 showed the efficacity of UBI-T930 in control of mites on the fruit surface together with compatibility with benlate and oil (Table 4).

TABLE 4

Efficacy of UBI-T930 in control of P. oleivora on citrus fruit surface

| Treatment* | Rate (kg a.i/ha) | Mites/cm$^2$ of fruit surface, DAT 10 | 35 | 55 | 70 |
|---|---|---|---|---|---|
| UBI-T930 | 0.84 | 0.0 | 0.0 | 0.0 | 0.2 |
| Dimilin | 0.34 | 0.0 | 0.0 | 0.0 | 0.3 |
| Acarol | 1.40 | 0.0 | 0.04 | 0.03 | 0.7 |
| Chlorobenzilate | 1.40 | 0.0 | 0.02 | 0.05 | 0.8 |
| Kelthane | 2.24 | 0.0 | 0.05 | 0.09 | 1.9 |
| - | - | 0.03 | 0.82 | 0.82 | 4.4 |

* All with benomyl and a 70 s viscosity petroleum oil containing 3% emulsifier

STRUCTURE-ACTIVITY RELATIONSHIPS

Monophenylthiophenes and tetrasubstituted thiophenes have limited miticidal activity. The diphenyl homologs show a range of activity from poor to good in the order $3,4 \ll 2,3 < 2,4 \sim 2,5$; the 2,5-diphenyl compound is phytotoxic.

To explore the effect of substitution in the phenyl rings on biological activity, over 40 analogs of UBI-T930 were prepared containing the following substituents singly or in combination (Table 5).

TABLE 5

Substituents on phenyl rings in UBI-T930 analogs

| 2-Ring | | 3-Ring | | 5-Ring | | |
|---|---|---|---|---|---|---|
| H | p-$OCH_3$ | H | p-$N(CH_3)_2$ | H | p-F | p-$OCH_3$ |
| m-F | p-OH | m-Cl | p-$OCH_3$ | o-Cl | p-Cl | p-$SCH_3$ |
| m-Cl | | m-$OCH_3$ | p-OH | o-$OCH_3$ | p-Br | p-OH |
| m-Br | | p-F | | m-F | p-$CH_3$ | p-$OCOCH_2Cl$ |
| p-F | | p-Cl | | m-Cl | p-t-$C_4H_9$ | p-$OCONHCH_3$ |
| p-Cl | | p-$CH_3$ | | m-$OCH_3$ | p-cyclo-$C_5H_9$ | |

LC50 values for these analogs were estimated for control of two-spotted spider mite on cotton plants in a 1-day residual test. Stepwise regression analysis gave the QSAR equation

$$\log \frac{1}{LC50} = 0.1 - 0.6\ I_2 + 1.3\ I_o - 0.5\ I_3$$

$$n = 40 \quad r = 0.49 \quad s = 0.8$$

where $I_2$ is an indicator variable = 1 for an electron-releasing group (-1 for an electron-withdrawing group) on the 2-phenyl ring,
$I_3$ is a similar indicator variable describing 3-phenyl substitution, and
$I_o$ is an indicator variable = 1 if an ortho substituent is present.

The error in the estimates of LC50 is large (50-100% relative) and contributes to the large value of s. The signs of the coefficients of $I_2$ and $I_3$ mean that electron-withdrawing substituents increase miticidal activity.

The three phenyl groups of UBI-T930 cannot all be coplanar with the central thiophene ring; the torsion angles may be estimated at about 30° by comparison with 1,3,5-triphenylbenzene (Busing 1982). Ortho-substitution, which enhances nonplanarity, also increases biological activity.

SUMMARY

UBI-T930 is a phytosafe, long-acting chemical for the control of eriophyoid mites, especially *Phyllocoptruta oleivora*. Based on the effectiveness of UBI-T930 on citrus foliage and fruit an experimental use permit has been applied for in the USA.

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## 2C—S10

# OK-174, A NEW BROAD-SPECTRUM CARBAMATE INSECTICIDE

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ABSTRACT

OK-174 [ethyl N-[2,3-dihydro-2,2-dimethylbenzofuran-7-yloxycarbonyl(methyl)aminothio]-N-isopropyl-β-alaninate]is a new carbamate insecticide which is currently undergoing development and is being widely tested. It is a new type of sulfenylated derivative of carbofuran, linking N-substituted β-alanine ethyl ester to carbofuran through a sulphur atom, and has outstanding insecticidal activity against a number of economically important insects and improved mammalian safety. In numerous field trials OK-174 has been successfully applied both as a soil and foliar insecticide. It exhibits excellent activity against a wide range of insects including corn root worms(*Diabrotica* spp.), flea beetles(*Phyllotreta* spp.), black maize beetle(*Heteronychus* spp.),codling moth (*Cydia pomonella*), colorado potato beetle(*Leptinotarsa decemlineata*), wireworms(*Agriotes* spp.), diamond-back moth(*Plutella xylostella*), rice water weevil(*Lissorhoptrus oryzophilus*), and aphids(e.g. *Aphis gossipii*) in different crops. The chemical, toxicological and biological properties of OK-174 also summarized.

## INTRODUCTION

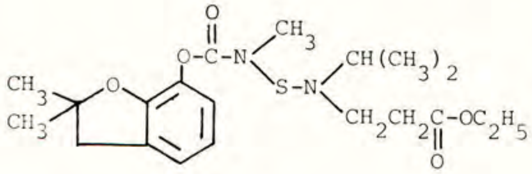
Among the various classes of organic insecticides in use today, the methylcarbamates rank at or near the top in acute mammalian toxicity. Recent investigations have demonstrated that toxic methylcarbamate insecticide may be converted into a derivative with less mammalian toxicity and other more favourable biological activities by appropriate substitution of the proton on the carbamyl nitrogen atom. OK-174[ethyl N-[2,3-dihydro-2,2-dimethylbenzofuran-7-yloxycarbonyl(methyl)aminothio]-N-isopropyl-β-alaninate] is a new carbamate insecticide which is currently undergoing development and is being widely tested by the Otsuka Chemical Company Ltd. It is a new type of sulfenylated derivative of carbofuran, linking N-substituted β-alanine ethyl ester to the carbamyl nitrogen atom of carbofuran through a sulphur atom, and has outstanding insecticidal activity against a number of economically important insects and improved mammalian safety. This paper summarizes the chemical and toxicological properties and biological activity of OK-174.

## TECHNICAL DATA

### Chemical and Physical Properties

| | |
|---|---|
| Common name : | Not yet approved |
| Chemical name : | Ethyl N-[2,3-dihydro-2,2-dimethylbenzofuran-7-yloxycarbonyl(methyl)aminothio]-N-isopropyl-β-alaninate |
| Trade name : | Oncol |
| Empirical formula : | $C_{20}H_{30}N_2O_5S$ |

Structural formula :



| | |
|---|---|
| Molecular weight : | 410 |
| Appearance : | Viscous, reddish-brown liquid |
| Solubility at 20°C : | Water 8 mg/litre, soluble in most organic solvents |
| specific gravity : | 1.171 |

Mammalian Toxicity

| | | |
|---|---|---|
| Acute oral $LD_{50}$ : | Mice (male) | 175 mg/kg |
| | Rats (male) | 138 mg/kg |
| | Dogs (male) | 300 mg/kg |
| Acute subcutaneous $LD_{50}$ : | Mice (male) | 288 mg/kg |
| Acute dermal $LD_{50}$ : | Rats (male) | >2,000 mg/kg |
| Primary irritation : | No dermal or eye irritation | |
| Mutagenicity : | Negative | |

Formulations

| | |
|---|---|
| Granules : | 3%, 5% and 10% a.i. |
| Emulsifiable concentrate : | 20% and 30% a.i. |

BIOLOGICAL ACTIVITY

Biological Properties

Greenhouse tests

The new insecticide, OK-174, thus has lower mammalian toxicity compared to other widely used methylcarbamates such as carbofuran and aldicarb, but it retains the basic insecticidal activity. Because of its lower mammalian toxicity, OK-174 has a potential to be more widely used either as a soil or a foliar insecticide.

OK-174 exhibited excellent insecticidal activity against a number of insects by pot tests in greenhouse or by field trials, although it showed relatively poor insecticidal activity by topical applications. These properties of OK-174 are exemplified in Table 1-4 which show the results of various applications to planthoppers. The data are means of three replicates throughout. Compared to other carbamate insecticides OK-174 showed poor insecticidal activity against the planthoppers when topically applied to the insects (Table 1).

TABLE 1

Comparison of topical $LD_{50}$ values of OK-174 for green rice leafhopper (Nephotettix cincticeps), brown rice planthopper and whitebacked rice planthopper(Sogatella furcifera) with those of other carbamate insecticides

| Insecticide | $LD_{50}$ (μg/g) | | |
|---|---|---|---|
| | Green rice leafhopper | Brown rice planthopper | Whitebacked rice planthopper |
| OK-174 | 9.9 | 8.5 | 5.4 |
| Carbofuran | 3.9 | 1.1 | 0.6 |
| Methomyl | 2.7 | - | - |
| Propoxur | 5.5 | 4.3 | 0.6 |

However, OK-174 was highly effective against brown rice planthopper (Nilaparvata lugens) by a plant foot application. Ten millilitres of emulsion of each insecticide (50 mg/litre) was applied onto the soil around the 20-day-old rice seedlings (about 12 cm height with three leaves) in 9 cm pots where ten insects were released. The data (Table 2) showed the excellent insecticidal activity of OK-174 to this pest.

TABLE 2

Mortality of the brown rice planthopper 24 h after release onto rice plants in pots 1, 5 and 14 days after treatment (DAT) by plant foot applications of emulsions of each insecticide

| Insecticide | Dose | DAT | | |
|---|---|---|---|---|
| | (g a.i./ha) | 1 | 5 | 14 |
| OK-174 | 250 | 87 | 100 | 93 |
| Carbofuran | 250 | 93 | 100 | 93 |
| Propoxur | 250 | 100 | 93 | 47 |
| BPMC | 250 | 47 | 33 | 27 |
| MIPC | 250 | 93 | 80 | 13 |
| Fenitrothion | 250 | 7 | 0 | 0 |

As shown in Table 3, OK-174 was also highly effective against whitebacked rice planthopper by submerged application of the 3% granular formulation to the 20-day-old rice seedlings in 12 cm pots where ten insects were released. In spite of higher molecular weight (1.8-fold than carbofuran),OK-174 was equal or, in some cases, superior to the parent methylcarbamate, carbofuran. The results also indicated the excellent residual effectiveness of OK-174.

TABLE 3

Mortality of the whitebacked rice planthopper 24 h after release onto rice plants in pots 1-24 DAT with granular formulations

| Insecticide | Dose (g a.i./ha) | DAT 1 | 7 | 10 | 14 | 24 |
|---|---|---|---|---|---|---|
| OK-174 (3G) | 900 | 61 | 88 | 94 | 81 | 13 |
| Carbofuran (3G) | 900 | 94 | 97 | 87 | 67 | 10 |
| Diazinon (3G) | 900 | 94 | 97 | 94 | 60 | 3 |

Table 4 shows the insecticidal activity of a foliar application of OK-174 against the brown rice planthopper. Twenty millilitres of emulsions of each insecticide were sprayed on each 28-day-old rice seedling (about 25 cm height with five leaves) in 12 cm pots. OK-174 was also highly effective against this pest when applied to the foliage,indicating that it is a systemic insecticide with contact and or stomach action.

TABLE 4

Mortality of brown rice planthopper 24 h after a foliage application to rice plants in pots

| Insecticide | Concentration (mg/litre) | Mortality (%) |
|---|---|---|
| OK-174 | 200 | 100 |
| | 100 | 60 |
| | 50 | 30 |
| BPMC | 500 | 27 |
| | 100 | 10 |
| Carbosulfan | 200 | 87 |
| | 100 | 70 |

<u>Cholinesterase inhibition studies</u>

The 50% inhibition-concentration ($I_{50}$) for the inhibition of acetyl-cholinesterase in whole-body preparations of brown rice planthopper or green rice leafhopper showed that OK-174 was a less effective anticholin-esterase than the parent methylcarbamate, the differences in activity ranging up to 121-fold as shown in Table 5.

TABLE 5

Antiacetylcholinesterase activity of OK-174

| Insecticide | $I_{50}$ (M) Brown rice planthopper [a] | $I_{50}$ (M) Green rice leafhopper [b] |
|---|---|---|
| OK-174 | $6.5 \times 10^{-5}$ | $1.7 \times 10^{-5}$ |
| Carbofuran | $5.6 \times 10^{-6}$ | $2.3 \times 10^{-7}$ |
| Propoxur | $2.5 \times 10^{-5}$ | $2.3 \times 10^{-5}$ |

[a] Busshozan-cho strain (resistance)

[b] Miyagi strain (susceptible)

## Field Trials

The list of species controlled with OK-174 is now so extensive that in the following reports only representative results for field trials can be shown.

Diamond-back moth : granular application

Diamond-back moth(*Plutella xylostella*) is a very common and widespread pest of many vegetables, especially cabbage, and development of resistance of this pest to a number of organophosphorus and carbamate insecticides has become serious world problem. Table 6 shows the control of diamond-back moth in a trial with cabbage at our experimental farm in Japan. The 5% OK-174 granular formulation was applied onto the soil around the cabbage plants on 5 April 1982. OK-174 was very effective against this pest and exhibited substantially greater residual activity than either carbofuran or acephate.

TABLE 6

Percent control of diamond-back moth with granular formulations (5% a.i.) applied to cabbage in Japan in 1982

| Insecticide | Dose (k a.i./ha) | DAT 17 | DAT 22 | DAT 29 | DAT 36 |
|---|---|---|---|---|---|
| OK-174 (5G) | 1.5 | 92 | 78 | 80 | 71 |
| | 1.0 | 92 | 70 | 73 | 40 |
| Carbofuran (5G) | 1.5 | 100 | 86 | 86 | 71 |
| | 1.0 | 96 | 86 | 63 | 32 |
| Acephate (5G) | 2.0 | 94 | 51 | 43 | 0 |
| | 1.5 | 76 | 14 | 15 | 0 |

Black maize beetle : granular application

In Central and Southern Africa the black maize beetle is a serious pest of maize and sugar cane. For the protection of the seedlings in a row, the application of a granular insecticide into the seed furrow has found widespread use. Table 7 shows the control of black maize beetle(*Heteronychus* spp.) in a trial with maize in 1981-1982 season in South Africa. The 5% OK-174 granular formulation was applied in November, 1981 on four rows of 10 m length (row spacing 2.3 m). The data show the outstanding activity of OK-174 against this pest and its excellent residual effectiveness.

TABLE 7

Control of black maize beetle on maize in South Africa in 1981-1982

| Insecticide | Dose | % of plants damaged indicated DAT | | |
|---|---|---|---|---|
| | (g a.i./100 m row) | 20 | 34 | 49 |
| OK-174 (5G) | 25 | 0.4 | 0 | 0 |
| | 20 | 2.3 | 2.2 | 2.0 |
| Carbofuran (10G) | 20 | 10.1 | 10.3 | 8.9 |
| Untreated | 0 | 12.6 | 28.3 | 14.1 |

Wireworms : granular application

In Central and Southern Europe, wireworms(*Agriotes* spp.) are a serious pest of potatoes, sugar beet and especially maize. For the protection of the germinating seed and the emerging seedlings of maize the 5% a.i. OK-174 granular formulation was applied into the seed furrow in April 1982 in Italy. Plot size was two rows of 25 m length. OK-174 proved very effective against this pest (Table 8).

TABLE 8

Control of wireworms on maize in Italy in 1982

| Insecticide | Dose (g a.i./ha) | % of plants damaged 34 DAT | Relative yield of maize |
|---|---|---|---|
| OK-174 (5G) | 600 | 10.9 | 127 |
| | 400 | 10.5 | 122 |
| Carbosulfan (5G) | 600 | 13.9 | 124 |
| | 400 | 19.1 | 121 |
| Phorate (5G) | 600 | 24.9 | 110 |
| Untreated (6.56 t/ha) | 0 | 51.4 | 100 |

Codling moth : foliar application

Codling moth(*Cydia pomonella*) is a very important pest of apple and other fruit in temperature and subtropical regions. Table 9 shows the control of codling moth on an apple in 1982 in Italy. The 40% a.i. OK-174 e.c. showed outstanding effectiveness against this pest. The application rate was 10 litres/3 trees,with 4 replicates treatment, applied on 15 April, 12 and 27 May, 10 June, 1 and 21 July and 9 August.

TABLE 9

Control of codling moth on apple in Italy 1982

| Insecticide | Concentration (g a.i./litre) | % of apple damaged |
|---|---|---|
| OK-174 (40% e.c.) | 500 | 0.22 |
| Acephate (30% e.c.) | 600 | 0.00 |
| Deltamethrin (2.5% e.c.) | 12.5 | 0.09 |
| Untreated | 0 | 4.54 |

Aphids : foliar application

Table 10 shows the control of aphids (mostly the cotton aphid, *Aphis gossypii*) on eggplant at our experimental farm in Japan. OK-174 was very effective against this pest when applied to the foliage at 1500 litres/ha on 7 July 1982.

TABLE 10

Control of aphids on eggplant in Japan 1982

| Insecticide | Concentration (mg a.i./litre) | % Control 6 DAT |
|---|---|---|
| OK-174 (20% e.c.) | 400 | 99 |
| | 200 | 99 |
| Carbosulfan (20% e.c.) | 400 | 86 |
| | 200 | 9 |
| ESP (45% e.c.) | 450 | 98 |
| Phenthoate (50% e.c.) | 500 | 31 |

CONCLUSION

OK-174 is a new carbamate insecticide which exhibits excellent insecticidal activity against a wide range of insects. Table 11 summarizes the biological spectrum of OK-174, comprising only species whose sensitivity has been tested in field trials. Because of its lower mammalian toxicity, OK-174 has a potential to be more widely used both as a soil and foliar insecticide.

TABLE 11

Biological spectrum of OK-174

| Order | Scientific name | Common name |
|---|---|---|
| THYSANOPTERA | Thrips Tabaci | Onion thrips |
| HEMIPTERA | Nilaparvata lugens | Brown rice planthopper |
| | Nephotettix cincticeps | Green rice leafhopper |
| | Sogatella furcifera | Whitebacked rice planthopper |
| | Aphis gossipii | Cotton aphid |
| | Myzus persicae | Green peach aphid |
| | Leptocorisa acuta | Paddy bug |
| | Pseudococcus comstocki | Comstock mealybug |
| COLEOPTERA | Lissorhoptrus oryzophilus | Rice water weevil |
| | Agriotes spp. | wireworms |
| | Diabrotica spp. | Corn rootworms |
| | Heteronychus spp. | Black maize beetle |
| | Phyllotreta spp. | Flea beetle |
| | Leptinotarsa decemlineata | Colorado potato beetle |
| LEPIDOPTERA | Chilo suppressalis | Rice stem borer |
| | Plutella xylostella | Diamond-back moth |
| | Mamestra brassicae | Cabbage armyworm |
| | Ostrinia nubilalis | European corn borer |
| | Cydia pomonella | Codling moth |
| DIPTERA | Psila rosae | Carrot fly |
| | Asphondylia spp. | Soybean pod gall midge |
| NEMATODA | Heterodera avenae | Cereal cyst nematode |
| | Ditylenchus dipsaci | Beet stem nematode |

## 2C—S11

# PP450 : A NEW BROAD-SPECTRUM FUNGICIDE FOR CEREALS

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ABSTRACT

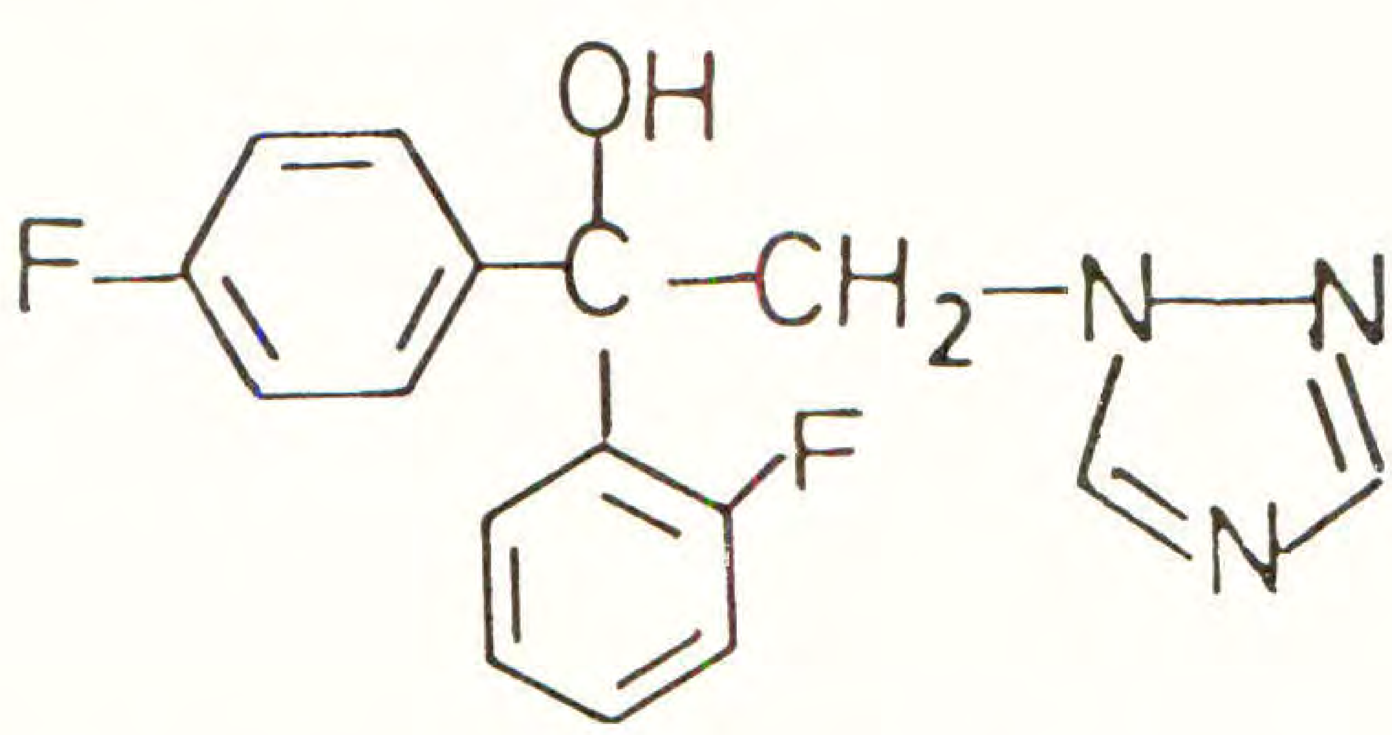
PP450 is a systemic fungicide of the triazole type which, when applied as a spray at 125g a.i./ha, controls all the major diseases including *Erysiphe graminis*, *Puccinia* spp., *Septoria* spp., *Helminthosporium* spp. and *Rhynchosporium secalis*. It can also be applied to cereals as a seed treatment and gives control of soil- and seed-borne diseases at 75ppm and of foliage diseases at 200-300ppm.

## INTRODUCTION

PP450, (*RS*)-2,4'-Difluoro-α-(1*H*-1,2,4-triazol-1-ylmethyl)benzhydryl alcohol, is a new fungicide synthesised by Dr P.A. Worthington at the Jealott's Hill Research Station of the Plant Protection Division of ICI (Parry *et. al.*, 1983). The proposed BSI and ISO common name is flutriafen. It is available in a range of formulations either alone or with other appropriate fungicides for use as a foliage spray or as a seed treatment for cereals.

## CHEMICAL AND PHYSICAL PROPERTIES

*Structural formula*




*Molecular formula and weight* $C_{16}H_{13}F_2N_3O$ ; 301.3

*Vapour pressure* 3.00 x $10^{-9}$mm Hg at 20°C
*Appearance* White crystalline solid
*Melting point* 130°C
*Solubility at 20°C* Acetone 190g $l^{-1}$, Dichloromethane 150g $l^{-1}$, Hexane 0.30g $l^{-1}$, Methanol 69g $l^{-1}$, Xylene 12g $l^{-1}$ and Water 0.13g $l^{-1}$ at pH 7.0.

## TOXICOLOGY AND RESIDUES

PP450 is of low toxicity to animals. The acute oral LD50 to male and female rats is 1140 and 1480 mg/kg respectively. The percutaneous LD50 to rats is >1000mg/kg and to rabbits >2000mg/kg. The active ingredient is not irritant to rat skin but is a mild irritant to rabbit eyes. The compound was inactive in the Ames test and was also without effect in an *in vivo* cytogenetic study. In rat and rabbit studies the compound was not teratogenic. In 90-day sub-chronic studies no effects which give any cause for concern have been observed. The rat possesses a high capacity to metabolise and eliminate PP450 and significant amounts have not been detected in any organ. In environmental studies PP450 is safe to fish, birds, earthworms, and *Daphnia* sp. PP450 has no effect upon nitrogen fixation. The mobility of the compound in soil is low and field studies have shown that PP450 dissipates at rates dependent upon soil type. Only low residues of PP450 have been found in crops following foliage or seed-treatment applications. In barley and wheat, residues in grain following a two spray programme of 125g a.i./ha at GS30 and GS78 (Zadoks *et.al.*, 1974) ranged from 0.01-0.09mg/kg. Residues in whole barley plants at harvest following seed treatment were in the range 0.01 to 0.07mg/kg.

## BIOLOGICAL ACTIVITY

PP450 is a broad-spectrum fungicide which can inhibit the growth of a wide range of fungi both *in vitro* and *in vivo*. It is active mainly against basidiomycetes and ascomycetes and is inactive against oomycetes and bacteria. *In vivo*, PP450 is active as a foliage spray, seed treatment or soil drench against a range of important groups of plant pathogens, including powdery mildews, rusts, *Septoria* spp., *Helminthosporium* spp. and *Rhynchosporium secalis*. PP450 is systemic and is transported acropetally in plants. It has eradicant and protectant properties and it is active in the vapour phase, particularly against cereal powdery mildews. In this paper, we summarise the activity of PP450 against all the major pathogens of wheat and barley in field trials in N. Europe: further details of the field experience with the compound applied as a foliage spray are given by Northwood *et.al.*, 1983.

## MATERIALS AND METHODS

PP450 was tested in a range of formulations (usually 125g a.i./l) appropriate to particular applications. All trials were fully replicated using randomised block designs. Plots were sprayed with machinery ranging from a small hand-held boom to a tractor-mounted sprayer (250l/ha). Most trials were sprayed when disease was present at a level of about 5%. For seed treatment trials, seed was treated in a laboratory-scale Rotostat machine (Harris, 1975), generally at a dose of 2ml formulation/kg seed. Assessments of disease levels and cereal growth stages were made according to recognised guidelines (eg. MAFF assessment guide in the UK) on 10 to 25 tiller, plant, leaf or ear samples per plot. The percent area of a specified leaf covered by disease was assessed except where indicated in the results. Trials were harvested with small plot combines for yield assessments.

Results from several trials have been combined in many Tables and mean results are given. Where results from a single trial are reported, they were generally analysed statistically using Duncan's multiple range test (Duncan, 1955); values followed by a common letter are not significantly different at *P*=0.05.

TABLE 1

PP450 Seed Treatment : Percent Control of Cereal Seed and Soil-Borne Diseases - United Kingdom (UK) and W. Germany (WG) 1981-82 (single trials)

| Crop | | Winter Wheat | | | Winter Barley | | | Spring Barley | | |
|---|---|---|---|---|---|---|---|---|---|---|
| Disease assessed | | *T. caries* | *F. nivale* | *U. nuda* | *P. graminea* | *P. teres* | *U. nuda* | *P. graminea* | *P. teres* | *U. nuda* |
| Country | | (UK) | (UK) | (WG) | (UK) | (UK) | (UK) | (UK) | (UK) | (UK) |
| Basis of assessment | | Infected heads | Emergence (plants per m) | Infected plants per $m^2$ | Infected tillers per $m^2$ | Infected plants | Infected tillers per $m^2$ | Infected tillers per $m^2$ | Infected plants | Infected tillers per $m^2$ |
| Actual disease level in untreated plots | | 72% | 63 | 2 | 20 | 14% | 30 | 256 | 4% | 8 |
| **Treatment** | **Dose ppm on Seed** | | | | | | | | | |
| PP450 | 50 | - | - | 100 | - | - | - | - | - | - |
| PP450 | 75 | 100b | 113 | 99 | 71b-f | 46a-d | 99b | 100b | - | 99b |
| PP450 | 100 | 100b | 102 | 100 | 64b-d | 63b-e | 99b | 100b | 82 | 97b |
| PP450 | 150 | 100b | 105 | 100 | 79c-g | 96fg | 100b | 100b | 72 | 100b |
| Mercury (+carboxin in *U. nuda* trials) | 24 + 1240 | 95b | - | - | 99e-g | 73c-g | 98b | 100b | 94 | 89b |
| Triadimenol+ fuberidazole | 375+ 45 | 100b | 100 | 98 | 37a-c | 22ab | 99b | 99b | 72 | 98b |
| Untreated | - | 0a | 100 | 0 | 0a | 0a | 0a | 0a | 0 | 0a |

TABLE 2

PP450 : Percent Control of Foliar Diseases and Yield (% of Control) on Winter and Spring Barley Following Seed Treatment Application - United Kingdom (UK) and W. Germany (WG) 1981-82

| Crop | | Winter Barley | | | | Spring Barley | | | | | | | |
|---|---|---|---|---|---|---|---|---|---|---|---|---|---|
| Disease assessed | | *E. graminis* | | *R. secalis* | *P. teres* | *E. graminis* | | | | *P. hordei* | | | |
| Country | | (WG) | | (UK) | (UK) | (UK) | | | | (UK) | | | |
| Assessment | | % control | | % control | % control | % control | | | yield | % control | | | yield |
| Days after sowing | | 53 | 233 | 175 | 73 | 49 | 63 | 85 | - | 65 | 78 | 91 | - |
| Actual disease level (%) or yield (t/ha) in untreated plots. | | 7 | 15 | 5 | 6 | 9 | 11 | 23 | 3.72 | 3 | 73 | 47 | |
| Number of trials assessed | | 7 | 7 | 1 | 1 | 1 | 1 | 1 | 1 | 1 | 1 | 1 | 1 |
| Treatment | Dose ppm on Seed | | | | | | | | | | | | |
| PP450 | 50 | - | - | - | - | 55b | 47b | 0a | 100 | 25ab | 7ab | 0a | 108 |
| PP450 | 100 | - | - | - | - | 66bc | 69b-d | 37b-e | 107 | 43b-e | 27b-e | 34b-d | 124 |
| PP450 | 150 | 76 | 46 | 79bc | 61bc | - | - | - | - | - | - | - | - |
| PP450 | 200 | 83 | 49 | - | - | 91de | 87b-d | 54c-f | 112 | 68de | 36de | 46cd | 114 |
| PP450 | 300 | 89 | 59 | 97bc | 65bc | - | - | - | - | - | - | - | - |
| PP450 | 400 | - | - | - | - | 94ef | 87d-f | 72ef | 110 | 91g | 60f-h | 70d | 115 |
| Triadimenol+ fuberidazole | 375+ 45 | 72 | 46 | 98c | 67bc | 90d-f | 97f | 76f | 113 | 86d-f | 41d-f | 55cd | 114 |
| Untreated | | 0 | 0 | 0a | 0a | 0a | 0a | 0a | 100 | 0a | 0a | 0a | 100 |

TABLE 3

PP450 : Percent Control of Diseases and Yield (% of Control) in Winter Barley, Following Foliage Sprays - United Kingdom (UK) and France (F), 1980-81

| Disease assessed | | *E. graminis* | | | *R. secalis* | | | | *P. teres* | | | *P. hordei* | | | *P. striiformis* | | |
|---|---|---|---|---|---|---|---|---|---|---|---|---|---|---|---|---|---|
| Country | | (UK) | | | (UK) | | | | (UK) | | | (UK) | | | (F) | | |
| Assessment | | % control | | | % control | | | Yield | % control | | | % control | | | % control | | Yield |
| Time after treatment (days) | | 30 | 45 | 60 | 21 | 35 | 63 | - | 42 | 56 | 70 | 42 | 56 | 70 | 14 | - | - |
| Actual level (%) or yield (t/ha) in untreated plots | | 24 | 11 | 10 | 10 | 13 | 31 | 4.1 | 2 | 2 | 9 | 25 | 28 | 28 | 6 | 3 | 4.9 |
| Number of trials assessed | | 5 | 3 | 3 | 11 | 11 | 11 | 11 | 2 | 2 | 2 | 2 | 2 | 2 | 1 | 1 | 1 |
| Treatment | Dose (g a.i./ha) | | | | | | | | | | | | | | | | |
| PP450 | 94 | 94 | 82 | 81 | 54 | 91 | 83 | 118 | 70 | 67 | 51 | 97 | 84 | 41 | - | - | - |
| PP450 | 125 | 96 | 89 | 85 | 58 | 93 | 85 | 118 | 66 | 63 | 56 | 98 | 89 | 71 | 83 | 80 | 113 |
| Propiconazole | 125 | 92 | 84 | 80 | 62 | 86 | 83 | 118 | 72 | 70 | 48 | 96 | 82 | 48 | - | - | - |
| Triadimefon | 125 | - | - | - | - | - | - | - | - | - | - | - | - | - | 84 | 76 | 106 |
| Untreated | - | 0 | 0 | 0 | 0 | 0 | 0 | 100 | 0 | 0 | 0 | 0 | 0 | 0 | 0 | 0 | 100 |

TABLE 4

PP450 : Percent Control of Diseases and Yield (% of Control) of Winter Wheat, Following Foliage sprays - W. Germany (WG), Holland (H), France (F) and United Kingdom (UK), 1980-82

| Disease assessed | | *E. graminis* | | | | *P. striiformis* | | | | *P. recondita* | | *S. nodorum* | | | | | *S. tritici* | |
|---|---|---|---|---|---|---|---|---|---|---|---|---|---|---|---|---|---|---|
| Country | | (WG) | | | | (H) | | | | (F) | | (F) | | | | | (UK) | |
| Assessment | | % control | | | yield | % control | | | yield | % control | | % control | | | | yield | % control | |
| Time after treatment (days) | | 12 | 21 | 35 | - | 15 | 21 | 28 | - | 14 | 21 | 15 | 30 | 15 | 30 | - | 28 | 53 |
| Leaf assessed | | - | - | - | - | 2 | 1 | 1 | - | 1 | 1 | 1 | 1 | ear | ear | - | 3 | 2 |
| Actual level (%) or yield (t/ha) in untreated plots | | 7 | 11 | 20 | 6.99 | 23 | 14 | 14 | 7.66 | 38 | 61 | 23 | 40 | 41 | 39 | 5.6 | 14 | 35 |
| Number of trials assessed | | 6 | 5 | 2 | 8 | 1 | 1 | 1 | 1 | 1 | 1 | 2 | 1 | 1 | 3 | 5 | 1 | 1 |
| Treatment | Dose (g a.i./ha) | | | | | | | | | | | | | | | | | |
| PP450 | 62.5 | 57 | 70 | 68 | 106 | 83b | 91b | 94bc | 112 | 60cd | 32cd | - | - | - | - | - | - | |
| PP450 | 94 | 64 | 72 | 68 | 108 | 94c | 99c | 98c | 114 | - | - | - | - | - | - | - | - | |
| PP450 | 125 | 68 | 74 | 78 | 110 | 98c | 99c | 99c | 115 | 74ef | 53fg | 73 | 78 | 73 | 70 | 110 | 52d | 64 |
| Triadimefon | 125 | 59 | 70 | 67 | 107 | 93c | 97bc | 95bc | 113 | - | - | - | - | - | - | - | 18ab | 51 |
| Propiconazole | 125 | - | - | - | - | - | - | - | | 40b | 29bc | 86 | 69 | 68 | 52 | 108 | 21a-c | 58 |
| Captafol | 1440 | - | - | - | - | - | - | - | | - | - | 68 | 85 | 83 | 78 | 110 | - | - |
| Carbendazim | 250 | - | - | - | - | - | - | - | | - | - | - | - | - | - | - | 39b-d | 38 |
| Untreated | - | 0 | 0 | 0 | 100 | 0a | 0a | 0a | 100 | 0a | 0a | 0 | 0 | 0 | 0 | 100 | 0a | 0 |

RESULTS AND DISCUSSION

Seed-treatment trials

PP450 is active against a number of seed- and soil-borne pathogens which attack cereals (Table 1). Excellent control of Tilletia caries on winter wheat and Ustilago nuda on spring and winter barley and winter wheat was achieved with 75ppm a.i. of PP450 on the seed. Pyrenophora graminea was controlled by all doses tested on spring barley, but only partially controlled on winter barley, even at high doses. Seed of spring and winter barley infected with Pyrenophora teres was treated with PP450 and some control of the disease on seedlings was achieved. However, the degree of control achieved with PP450 alone was inadequate. Some control of Fusarium nivale seedling blight was achieved with PP450. Slight delays in seedling emergence were noticed, on wheat only, at rates in excess of 100ppm. For the control of seed- and soil-borne diseases, a dose of 75ppm appears optimal and various co-fungicides will be added to increase the control of P. graminea on winter barley and of seed-borne Fusarium spp.

On winter barley control of Erysiphe graminis was achieved (Table 2) in the autumn (53 days after sowing) and in the following spring (223 days after sowing). The level of activity at 300ppm was greater than that of triadimenol at 375ppm. Similarly, good to excellent control of Rhynchosporium secalis and P. teres (Table 2) has been shown on winter barley and, on spring barley, control of E. graminis and Puccinia hordei was also observed. In spring barley, PP450 gave substantial yield benefits (Table 2) following control of E. graminis and P. hordei.

Foliage sprays

As a foliage spray PP450 has been evaluated at doses between 30 and 250g a.i./ha. On winter (and spring) barley it has given outstanding control of E. graminis, R. secalis and Puccinia spp. (Table 3). Only limited information has been obtained against P. teres (Table 3) but, in the trials where the disease has occurred, good disease control has been achieved. On winter wheat PP450 has given excellent control of E. graminis, Puccinia recondita, Puccinia striiformis and Septoria nodorum. In one trial where Septoria tritici occurred,PP450 gave good control (Table 4). A dose of 125g a.i./ha appears adequate for broad spectrum control of the foliage pathogens mentioned above. However, control of stem-base diseases of wheat with this dose of PP450 has generally been inadequate (Table 5).

PP450 is a versatile new fungicide for use on cereals either as a foliage spray or as a seed treatment. As a foliage spray it is active against all the major cereal pathogens, although it is likely to require the addition of carbendazim for complete control of Pseudocercosporella herpotrichoides. As a seed treatment it is active at low rates against a range of important cereal seed and soil-borne pathogens and at higher rates it is active against foliar pathogens.

TABLE 5

PP450 : Percent Control of Stem-Base Diseases at Harvest in Winter Wheat following Foliage Sprays Applied at GS30, W. Germany and France, 1981-82

| Treatment | Dose (g a.i./ha) | % Disease Control | | |
|---|---|---|---|---|
| | | P. herpotrichoides | | R. cerealis |
| | | W.Germany* | France** | France*** |
| Number of trials | | 4 | 1 | 1 |
| PP450 | 125 | 15 | 51 | 31 |
| Propiconazole | 250 | 22 | - | - |
| Prochloraz | 400 | 42 | - | 7 |
| Prochloraz | 750 | - | 61 | - |
| Carbendazim | 180 | 29 | - | - |
| Carbendazim | 200 | - | - | 4 |
| Maneb + carbendazim | 1750 + 200 | - | 77 | - |
| Untreated | - | 0 | 0 | 0 |
| Actual disease level (%) in untreated plots | | 70 | 47 | 55 |

Assessments:

* Based on BBA Index :
$\frac{\text{\% slightly infected stems}}{2}$ + % heavily infected stems.

** Based on % area of cross-section of stems showing necrosis.

*** Based on % stems infected.

ACKNOWLEDGEMENTS

The authors are grateful to colleagues within ICI for providing data for inclusion in this paper, and to Mrs Jane Knight for typing the manuscript.

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## 2C—S12

# CGA 71818, A NOVEL FUNGICIDE FOR THE CONTROL OF GRAPE AND POME FRUIT DISEASES

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ABSTRACT

CGA 71818, 1-[2-(2,4-dichlorophenyl)-pentyl]-1H-1,2,4-triazole, is a new fungicide with protective and curative properties. At low concentrations, the product is active in vitro and in vivo against plant pathogenic fungi in the ascomycetes, the basidiomycetes and the fungi imperfecti. CGA 71818 has been broadly evaluated in the field during several seasons, primarily on grapes and pome fruits. Its activity against powdery mildew pathogens is particularly striking. The product controls primary and secondary infections of apple powdery mildew (*Podosphaera leucotricha*) and powdery mildew of grapes (*Uncinula necator*). CGA 71818 also provides good control of black rot (*Guignardia bidwellii*) of grapes, scab (*Venturia* spp.) on pome fruits and a range of secondary pome fruit diseases.

All crops and cultivars tested, under various climatic conditions, have been outstandingly tolerant of CGA 71818.

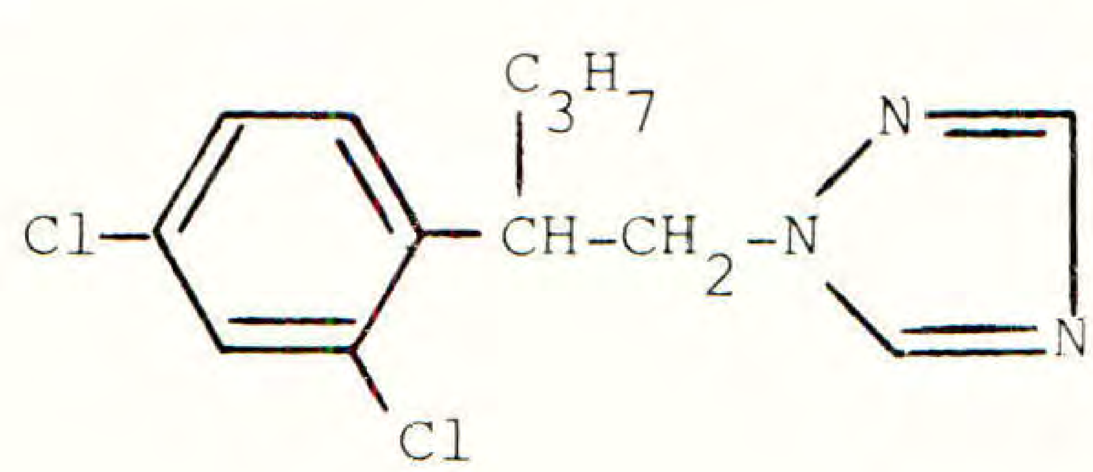
## INTRODUCTION

CGA 71818 is a new systemic triazole fungicide discovered and patented by Janssen Pharmaceutica, Belgium. The product is being developed and will be marketed world-wide by Ciba-Geigy Ltd., Switzerland.

This paper describes the properties of CGA 71818 and its performance on grapes and pome fruits against various diseases in the field.

## CHEMICAL DATA

Structural formula :




| | |
|---|---|
| Molecular formula | : $C_{13}H_{15}Cl_2N_3$ |
| Systematic chemical name | : (IUPAC/CA) 1-[2-(2,4-dichlorophenyl)-pentyl]-1H-1,2,4-triazole |
| Common name | : not yet released |
| Trade names and formulations | : TOPAS 100 e.c.; 100 g a.i./litre<br>TOPAS C 50 w.p.; 25 g a.i./kg + 475 g captan/kg |

Appearance : white crystals

Melting point : 60.0°C

Solubility : 70 mg/litre in water at 20°C

soluble in most organic solvents

Vapour pressure : $1.6 \times 10^{-6}$ mm Hg at 20°C

TOXICOLOGY

Acute toxicity:

CGA 71818 has a slight acute toxicity to the tested species

Rat acute oral : $LD_{50}$ 2125 mg/kg (slightly-toxic)

acute dermal: $LD_{50}$ 3000 mg/kg (not measurable)

Irritation, Sensitization

Rabbit : skin irritation - slight

eye irritation - slight

Guinea pig: sensitizing effects - none

Additional toxicological studies are in progress.

Toxicity to wildlife

Fish : toxic to rainbow trout, crucian carp and bluegill

slightly-toxic to catfish

Birds: slightly-toxic to japanese quails and peking ducklings

Bees : oral : slightly-toxic

contact: non-toxic

As the basic data on the product indicate, the application of CGA 71818 formulations at the recommended rates is not hazardous for wildlife.

BIOLOGICAL PROPERTIES

CGA 71818 belongs to the systemic type of triazole fungicides such as CGA 64250 (propiconazole) and CGA 64251 (etaconazole). Their general biological properties have been presented on various occasions (Staub et al. 1979; Schwinn and Urech 1981).

As described below, CGA 71818 at low rates has protective and curative activity against fungal plant pathogens in the ascomycetes, the basidiomycetes and the fungi imperfecti. The product penetrates rapidly into plant tissues and is translocated acropetally.

METHODS AND MATERIALS

CGA 71818 has been tested in the field, alone and in mixtures with several residual partners, against a wide range of pathogens on different crops. Crop tolerance trials were carried out on most of the important pome fruit and grape cultivars grown in various climatic regions. All trials reported were laid down as randomised complete-block trials with 3-4 replicates. The plot size was 10-15 plants in the grape trials and 3-4 trees in the apple trials. The plants were sprayed with a handgun at a volume of 400-800 litres/ha in grapes and 1500-2000 litres/ha in pome fruits. Ratings were usually done by estimating the disease severity on leaves and fruits or by counting the infected plant parts.

The effects on the grape fermentation process and on the taste of wine were evaluated.

The results described are representative of the overall picture which was obtained from a large series of trials during several seasons in important grape and pome fruit growing regions.

RESULTS AND DISCUSSION OF FIELD TRIALS

Grapes

The activity against powdery mildew (*Uncinula necator*) and black rot (*Guignardia bidwellii*) was evaluated in more than 10 important grape-growing countries. A selection of results is shown in Tables 1 and 2, respectively.

TABLE 1

Control of *Uncinula necator* on grapes, cv. Pinot Auxerrois, at Mittelbergheim, France, in 1982. Sprays applied from 22 May to 9 August.

| Product | Concn (g a.i./ 100 litres) | Interval (days) | Number of sprays | Severity (%) of infection on Leaves | Bunches |
|---|---|---|---|---|---|
| CGA 71818 | 2.5 | 14 | 6 | 8 | 0 |
| Triadimefon | *5 or 10 | 14 | 6 | 15 | 1 |
| Sulfur | 1000 | 7-10 | 11 | 10 | 5 |
| Untreated | | | | 48 | 53 |

*changed to the higher rate after 3 sprays

Under severe disease pressure, sulfur provided reasonable control of powdery mildew on leaves and bunches (Table 1). CGA 71818, which was applied at longer spray intervals and at much lower rates, controlled powdery mildew almost perfectly particularly on bunches. Since the spray intervals were extended with CGA 71818, the number of sprays was reduced to half of that of sulfur (6 compared with 11).

TABLE 2

Control of Guignardia bidwellii on grapes, cv. Bacco 22A, at Lagraulet, France, in 1982. 8 sprays were applied at 10-14-day intervals between 14 May and 8 August.

| Product | Concn (g a.i./ 100 litres) | Number of infected leaves/plot | Severity (%) of infected bunches |
|---|---|---|---|
| CGA 71818 | 5 | 17 | 4 |
| CGA 71818 + folpet | 3.75<br>127 | 6 | 1 |
| Mancozeb | 280 | 40 | 1 |
| Untreated | | 63 | 33 |

CGA 71818 alone gave adequate control of black rot on leaves and was superior to the control achieved with mancozeb. On bunches it was somewhat weaker than the standard. However, the mixture of CGA 71818 and folpet provided excellent black rot control on leaves and bunches.

Much emphasis has been given to the study of crop tolerance. In trials with more than 100 wine and table grape cultivars no adverse effects of CGA 71818 were detected, at even 3-4 times the recommended rate.

CGA 71818 did not inhibit the fermentation process and had no influence on the taste of wine.

Pome fruits

Results to demonstrate the effectiveness of CGA 71818 against apple powdery mildew (Podosphaera leucotricha) are presented in Tables 3 and 4.

TABLE 3

Curative control of primary shoot infections of Podosphaera leucotricha on apples, cv. Idared, at Stadel, Switzerland, in 1980.

| Product | Concn (g a.i./ 100 litres) | % development of mycelial growth on primary shoots after 4 sprays at 10-day intervals |
|---|---|---|
| CGA 71818 | 2.5 | 15 |
| Fenarimol | 2.4 | 26 |
| Sulfur | 480 | 81 |
| Untreated | | 100 |

TABLE 4

Protective control of secondary infection of Podosphaera leucotricha on apples, cv. Jonathan, at St. Triphon, Switzerland, in 1982 by 12 sprays at 10-14-day intervals between 7 April and 31 August.

| Product | Concn (g a.i./ 100 litres) | % infected | | |
|---|---|---|---|---|
| | | Leaves | Fruits | Terminal buds (end of season) |
| CGA 71818 | 2.5 | 9 | 8 | 5 |
| + captan | 47.5 | | | |
| Fenarimol | 3.6 | 39 | 15 | 25 |
| Sulfur | *480 or 240 | 79 | 20 | 52 |
| Untreated | | 100 | 47 | 97 |

*changed to lower rate after 3 sprays

CGA 71818 significantly inhibited mycelial growth of powdery mildew (Table 3). Shoots and leaves grew to normal length and size and only limited sporulation of the pathogen was observed. Thus the source of inoculum for secondary infections was reduced.

Table 4 shows a test with severe powdery mildew pressure. The efficacy of CGA 71818 in control of secondary infections on leaves and fruits was demonstrated. Captan, used as a mixing partner for CGA 71818 in this trial, is known to be ineffective against powdery mildew. The infection of terminal buds was almost completely prevented by the CGA 71818 spray schedule at 10-14-day intervals from April to August. Trials over several seasons have shown that treatments with the product significantly reduced the inoculum potential of powdery mildew.

In addition to powdery mildew, scab is also a major disease affecting pome fruits. The performance of CGA 71818 in the control of apple scab is shown in Tables 5 and 6.

TABLE 5

Protective control of Venturia inaequalis on apples, cv. Imperatore, at Albarea, Italy, in 1981 by 14 sprays applied at 7-14-day intervals.

| Product | Concn (g a.i./ 100 litres) | % infected | |
|---|---|---|---|
| | | Leaves | Fruits |
| CGA 71818 | 2.5 | 36 | 1 |
| CGA 71818 + captan | 2.5<br>47.5 | 0 | 1 |
| Captan | 100 | 14 | 3 |
| Captan | 47.5 | 28 | 9 |
| Untreated | | 100 | 100 |

A severe early attack of scab in this trial induced high infection levels on the foliage. Under these conditions CGA 71818 alone did not control the attack sufficiently on leaves. However, the mixture of CGA 71818 with a reduced amount of captan resulted in almost complete scab control on leaves and fruits. Similar results were obtained on pears.

Some of the sterol-inhibiting fungicides are known to have strong curative activity on Venturia inaequalis (Kelley and Jones 1981). CGA 71818 has the same properties and these were substantiated under field conditions (Table 6).

TABLE 6

Curative control of Venturia inaequalis on apples, cv. Golden Delicious, at Stadel, Switzerland, in 1982.

| Product | Concn (g a.i./ 100 litres) | Spray programme | Number of sprays | % infected | |
|---|---|---|---|---|---|
| | | | | Leaves | Fruits |
| CGA 71818 + captan | 2.5<br>47.5 | protective | 11 | 0.2 | 0 |
| Zineb + captan | 74<br>50 | protective | 11 | 0 | 0 |
| CGA 71818 + captan | 2.5<br>47.5 | curative (according to Mills) | 8 | 1 | 0.2 |
| Untreated | | | | 44 | 88 |

The mixture CGA 71818 + captan controlled scab almost completely when applied protectively and compared favourably to the residual standard zineb + captan.

CGA 71818 + captan was also applied in a curative schedule, application timing being made according to Mills infection periods. In this trial eight infection periods were recorded, six heavy and two light. Application of CGA 71818 + captan were carried out 3-4 days after the beginning of each infection period. Excellent scab control was achieved with this programme of 8 sprays, corresponding to the number of infection periods, that was comparable to the control obtained with the protective programme of 11 sprays.

On pome fruits it is also of special importance to determine the effects of a new fungicide on summer and storage diseases. The mixture of CGA 71818 + captan showed good activity against the following summer diseases: cedar apple rust (Gymnosporangium juniperi-virginianae), apple rust (G. yamadae), Japanese pear rust (G. hareanum), frogeye leaf spot (Physalospora obtusa), sooty blotch (Gloeodes pomigena).

In addition, CGA 71818 + captan applied at 2.5+47.5 g a.i./100 litres in 10-14-day intervals provided good control of most common storage pathogens such as Gloeosporium spp., Trichoseptoria fructigena, Monilia fructigena, Fusarium spp. and Penicillium spp..

The fruit-finish evaluations were made on many pome fruit cultivars. Table 7 shows results achieved on cv. Golden Delicious which is particularly prone to fruit russeting.

TABLE 7

Influence of fungicides on russeting of apples, cv. Golden Delicious, at Sisseln, Switzerland, in 1981. 13 sprays were applied in 10-14-day intervals.

| Product | Concn (g a.i./ 100 litres) | Severity (%) of russeting | % fruits with russeting |
|---|---|---|---|
| CGA 71818 | 2.5 | 9 | 53 |
| + captan | 47.5 | | |
| CGA 71818 | 2.5 | 19 | 82 |
| Zineb | 74 | | |
| + captan | 50 | 8 | 49 |
| + sulfur | 240 | | |
| Untreated | | 27 | 94 |

Significant reduction in fruit russeting, comparable to that of the standard treatment, was achieved with the mixture CGA 71818 + captan. CGA 71818 alone gave only slightly less russeting than the untreated.

Crop tolerance tests on more than 120 apple and 20 pear cultivars, under various climatic conditions, have shown that CGA 71818 has no adverse influence on leaf size nor on average fruit weight and shape, even when the product was applied at rates of 5-7.5 g a.i./100 litres, which are 2- to 3-times higher than those required to give excellent disease control.

## CONCLUSIONS

Due to its broad spectrum and high activity at low application rates the systemic triazole CGA 71818 and combinations with a residual partner are especially suited for application against important diseases of grapes and pome fruits.

CGA 71818 has not been phytotoxic in any trials. Thus it can be recommended without particular restrictions for use on common pome and grape cultivars and under various climatic conditions.

On grapes, CGA 71818 controls powdery mildew (*Uncinula necator*) on leaves and on bunches by application at extended intervals even during periods of high infection, and it thus gives good insurance against possible yield loss. The activity against black rot (*Guignardia bidwellii*) is an additional attraction.

In pome fruits, CGA 71818 controls primary infections of powdery mildew (*Podosphaera leucotricha*) due to its strong curative properties. The inoculum potential for secondary infections is thereby significantly reduced. The chemical control of primary infections by early applications of CGA 71818 enables the farmer to reduce hand pruning. The secondary infections are well controlled on leaves, fruits and terminal buds.

Because of some weakness in protective activity of CGA 71818 against scab (*Venturia* spp.), a mixture of CGA 71818 with a residual partner such as captan is recommended. The curative properties of CGA 71818 combined with the protective action of the residual partner, captan, provide an excellent fungicide that allows flexibility in application timing. This offers a real possibility for applications to be made according to an appropriate forecasting system, which will usually result in less sprays per season.

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## 2C—S13

# NE-79168, A PROMISING NEW INSECTICIDE

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ABSTRACT

NE-79168, S-[N-2-Chlorophenyl-butyramido]methyl 0, 0-dimethyl phosphorodithioate is a new insecticide now being developed primarily against a very wide range of phytophagous insects, including Physopa, Hemiptera, Coleoptera, Lepidoptera, Diptera and Hymenoptera.

It is being developed as an e.c. formulation for use on vegetables and other arable crops and on apple and stone fruits. NE-79168 is relatively safe to honey bees when used in the evening on crops in flower and being visited by bees. It is therefore compatible with local apiculture.

INTRODUCTION

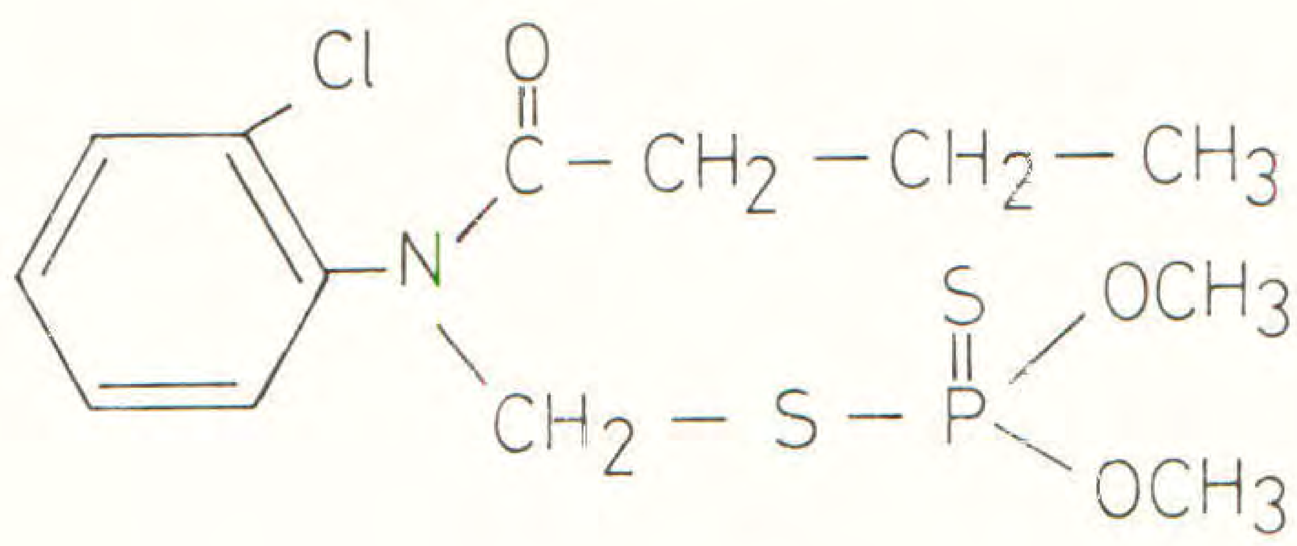
NE-79168 was the most effective of a number of similar compounds investigated.

CHEMICAL AND PHYSICAL PROPERTIES

Chemical name: S,[N-2-Chlorophenyl-butyramido]methyl 0, 0-dimethyl phosphorodithioate.

Structural formula:

Fig. 1. The structural formula of NE-79168 is:-



Molecular formula: $C_{13}H_{19}ClNO_3PS_2$

Molecular weight: 367.86

Physical state: white, crystalline material

Melting point: 42°C

Solubility in water: 2.3±0.4mg/litre at 20°C

Hydrolysis rates: Half-life in hours

| pH | 20°C | 37°C |
|---|---|---|
| 4.5 | 12.7 | 6.6 |
| 7 | 13.1 | 5.4 |
| 8.3 | 11.4 | 5.4 |

Vapour pressure: $9\pm0.6 \times 10^{-5}$ mm Hg at 20°C

Partition coefficient: 4-octanol:water P = 3.6±0.2

Formulation: e.c. 565 g a.i./litre

TOXICOLOGY

Some of the toxicological investigations necessary for the registration of NE-79168 have been done by the Institute and others are in progress.

Toxicity to birds

Tests were conducted to determine the acute oral $LD_{50}$ and the 8-day dietary $LC_{50}$ values for 4-wk-old pheasant chicks (Phasianus colchicus) and Japanese quail (Coturnix cortunix japonicus), the acute oral $LC_{50}$ values being 92 mg a.i./kg body wt. for pheasant chicks and 68-74 mg a.i./kg for quail. The $LC_{50}$ values in the diet were 1330 and 11 250 mg a.i./kg for pheasants and for adult female quail respectively.

Toxicity to fish

The $LC_{50}$ (96 h) for carp (Cyprinus carpio) was 6 mg a.i./litre and for goldfish (Carassius auratus) 12 mg a.i./litre.

TABLE 1

Summary of the acute toxicity of NE-79168 to the albino rat (Rattus norvegicus LATI:Wistar), the albino mouse (Mus musculus LATI:NMRI) and the albino rabbit (Oryctolagus cuniclus KORNYE:NZW)

| Method of administration | Animal | $LD_{50}$ active ingredient (mg/kg) | Formulation 50% e.c. (mg/kg) |
|---|---|---|---|
| Oral | rat, male | 110 | 187 |
| | female | 49 | 82 |
| | mouse, male | 129 | 81 |
| | female | 116 | 97 |
| Dermal | rat, male | >11 000 | 7800 |
| | female | 6000 | 5900 |
| Inhalation | rat, male | >15 000 $mg/m^3$ | >35 000 $mg/m^3$ |
| Intraperitoneal | rat, male | 95 mg/kg | |
| | female | 73 " | |
| Topical: skin | rabbit | slight irritation | |
| eye | rabbit | slight irritation | |

LABORATORY AND GLASSHOUSE TESTS

For arthropod species used in laboratory and glasshouse experiments compound sprays were applied to run-off and plants were artifically inoculated.

Our tests showed that NE-79168 was highly effective primarily against chewing insects under laboratory conditions. The comparison with different standards gave similarly good results (Table 2).

TABLE 2

Efficacy of NE-79168 against diamond-back moth (Plutella xylostella) and mustard beetle (Phaedon cochleariae) in laboratory experiments in Hungary, 1982

| Active ingredient or Product | Formulation | % mortality 24 h after spraying with 32 mg a.i./litres | | |
|---|---|---|---|---|
| | | Mustard beetle | | Diamond-back moth |
| | | adult | 3rd instar larvae | 3rd instar larvae |
| Heptenophos | e.c. | 80 | 100 | 100 |
| Methidathion | w.p. | 0 | 60 | 50 |
| Monocrotophos | w.s.c. | 45 | 80 | 15 |
| Parathion-methyl | e.c. | 100 | 100 | 100 |
| Phosphamidon | s. | 45 | 95 | 10 |
| Pirimiphos-methyl | e.c. | 95 | 100 | 95 |
| Thiocyclam | s.p. | 0 | 56 | 90 |
| Trichorphon | w.p. | 38 | 85 | 95 |
| NE-79168 | e.c. | 80 | 100 | 100 |
| Untreated | | 0 | 0 | 0 |

FIELD TRIALS

Results from representative field trials from 1979 to 1982 are summarized.

Winter oil seed rape (Brassica napus)
Tests have been conducted on plots of from 5 to 40 ha. The compound was applied by various types of grond equipment or by helicopter. The spray volume for ground machines was 150-400 litres/ha and for helicopter 50-90 litres/ha. NE-79168 50% e.c. was applied in all trials at rates of from 280 to 560 g a.i./ha.

The compound was effective against pollen beetle (Meligethes aeneus), cabbage seed weevil (Ceutorrhynchus assimilis), cabbage stem weevil (C. quadridens) and turnip sawfly (Athalia rosae) at 336 g a.i./ha (Table 3).

Alfalfa (Medicago sativa)
280-560 g a.i./ha NE-79168 50 e.c. was applied on plots of 1 to 25 ha, using various types of ground machine, at 120 to 600 litres/ha, and by helicopter at 50-90 litres/ha spray.

NE-79168 provided excellent control against several foliar (Table 4) and seed-damaging pests of alfalfa, including leaf beetle (Phytodecta fornicata), clover weevils (Sitona spp.), trefoil leaf weevil (Hypera punctata), Tychius flavus, plant bugs (Lygus rugulipennis, L. pratensis, Adelphocoris lineolatus), the chalcid Bruchophagus (Eurotoma) roddi, and Heliothis maritima.

TABLE 3

Effect on pollen beetle, cabbage seed weevil, cabbage stem weevil, turnip sawfly infestations 5 days after the first application on winter oil seed rape in Hungary 1982

| Treatments | Dose (g a.i./ha) | % control of | | | |
|---|---|---|---|---|---|
| | | Pollen beetle | Cabbage seed weevil | Cabbage stem weevil | Turnip sawfly |
| NE-79168 (e.c.) | 336 | 77 | 72 | 92 | 100 |
| NE-79168 (e.c.) | 392 | 95 | - | 94 | - |
| Parathion-methyl (e.c.) | 400 | 70 | 42 | 94 | 100 |
| Phosalone (e.c.) | 612 | 68 | - | - | - |
| Endosulfan (w.p.) | 428 | 57 | 46 | - | - |
| Untreated* | - | (37) | (7) | (15) | (227) |
| No. of trials | | 4 | 2 | 2 | 2 |

* = Mean number of the pest on the sampled area in the untreated plots

TABLE 4

Effect on clover weevil and Heliothis maritima infestations 6 days after application to alfalfa, Hungary 1982

| Treatments | Dose (g a.i./ha) | % control of | |
|---|---|---|---|
| | | clover weevil | H. maritima |
| NE-79168 (e.c.) | 452 | 82.8 | 92.8 |
| Quinalphos (e.c.) | 250 | 85.9 | 93.9 |
| Untreated* | - | (8.2) | (16.8) |

*Mean number of the pest on the sampled area in the untreated plots

Apple (Malus silvestris)

Extensive testing was carried out at various sites, to assess the effectiveness of NE-79168 against the complex of foliar pests in apple orchards. Tests were performed on plots of 0.5 to 1.5 ha with various types of field equipment applying 800 to 1500 litres/ha. Depending on the pests present on the experimental sites, five to nine applications were made. The rates applied, 560-1120g a.i./ha, gave excellent results against codling moth (Cydia pomonella) (Table 5) and also tortrix moths (Pandemis ribeana, P. heparana, Adoxophyes reticulana, Archips podana, Heydia nubiferana), bud moth (Spilonota ocelana), winter moth (Operopthera brumata), umber moths (Erannis spp., Boarmia spp.), blister moths (Phyllonorycter (Lithocoletis) blancardella, P. corylifoliella, Leucoptera scitella), and apple pigmy moth (Nepticula malella).

Other crops

Species successfully controlled on other crops treated with 280 to 1680 g a.i./ha NE-79168 50 e.c. are summarized in Table 6.

TABLE 5

Percentage reduction in fruit damage by codling moth (Cydia pomonella) on apple, Hungary 1982

| Treatment a.i. | Formulation | No. of trials | Dose (g a.i./ 100 litres) | % Reduction in fruit damaged |
|---|---|---|---|---|
| NE-79168 | e.c. | 6 | 56 | 89 |
| NE-79168 | e.c. | 6 | 84 | 94.6 |
| NE-79168 | e.c. | 6 | 112 | 99 |
| Methidathion | w.p. | 3 | 40 | 99 |
| Azinphos-methyl | w.p. | 5 | 50 | 97 |
| Trichlorphon | w.p. | 2 | 100 | 92 |
| Phosphamidon | s. | 1 | 50 | 76 |
| Quinalphos | e.c. | 1 | 50 | 95 |
| Mean % damaged fruit on untreated controls (range) | | | | 15.8 (4.3-39) |

Toxicity to the Honeybee (Apis mellifera)

Test on flowering crops were performed according to the standard methods in Hungary (Benedek, 1981). Large-scale field trials were conducted using 5-25 ha plots to which the treatments were applied in the evening after the daily flight time of bees.

395 to 565 g a.i./ha was applied as 0.7 litres NE-79168 50% e.c./ha. For comparison, thiocyclam was applied to another plot at 450 g a.i./ha (0.5 kg Evisect 90% s.p./ha), both treatments being sprayed onto the crops at 50 litres/ha with helicopter.

According to data collected from dead-bee traps in May 1982 (Fig. 2) 395 NE-79168/ha applied as 0.7 litre formulated product in 50 litres/ha did not significantly affect bee colonies placed on the site. There was no marked difference in brood assessment or in the behaviour of foraging bees between the colonies on this site and those placed on untreated areas or on those plots receiving the standard treatment.

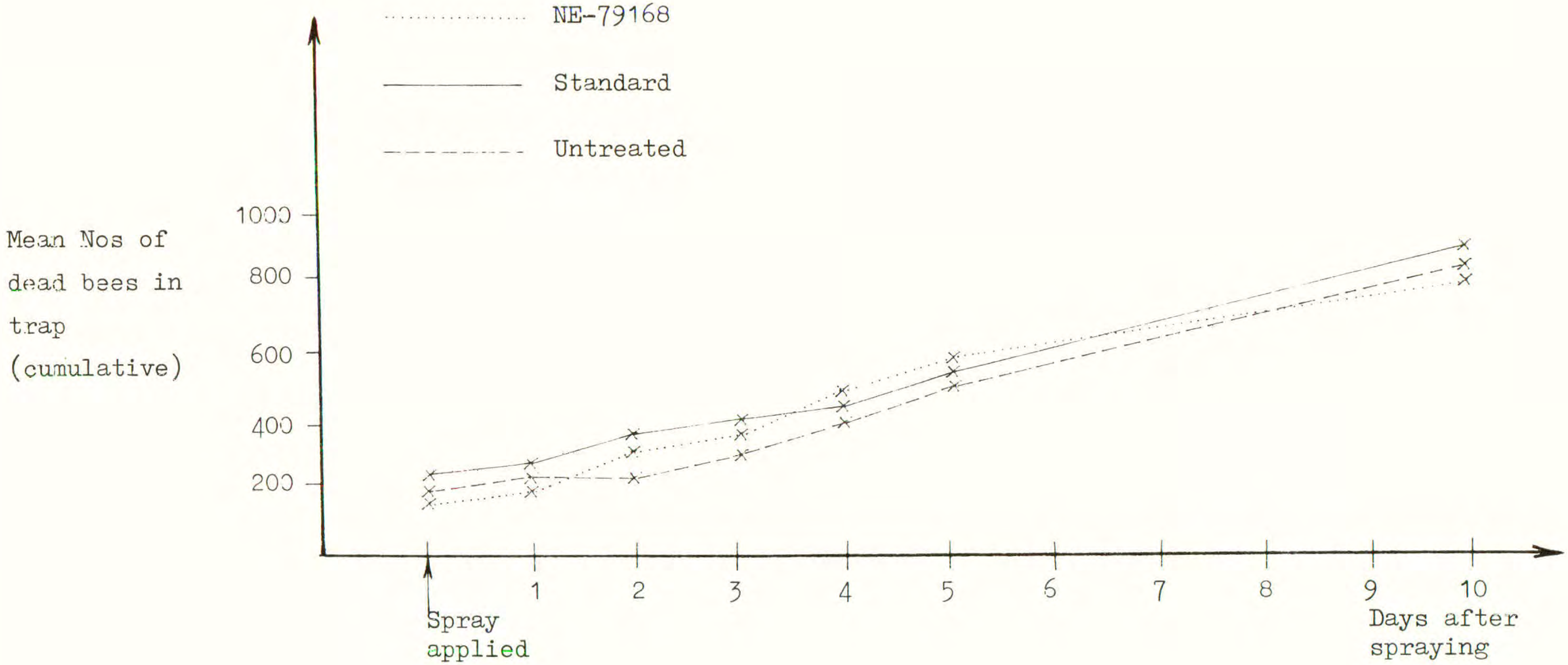
TABLE 6

Effectiveness of species controlled by NE-79168 on various crops

| Crop | Pest | Dose of NE-79168 (g a.i./ha) | % control obtained |
|---|---|---|---|
| Winter wheat (Triticum aestivum) | Corn ground beetle (Zabrus tenebrioides) | 560 | 80-90 |
| Sugar beet (Beta spp.) | Flea beetle (Chaetocnema tibialis)<br>Cabbage moth (Mamestra brassicae)<br>Silver y moth (Autographa gamma)<br>Cutworms (Agrotis spp.) | 560-840 | 85-100 |
| Flax (Linum usitatissimum) | Large flax flea beetle (Aphthona euphorbiae) | 280-560 | 90-100 |
| Hemp (Cannabis sativa) | Weevil (Ceutorrhynchus rapae) | 280-560 | 90-100 |
| Lupin (Lupinus spp.) | Clover (Sitona griseus) | 280-560 | 90-100 |
| Onion (Allium cepa) | Onion thrips (Thrips tabaci) | 280-560 | 90-100 |
| Pea (Pisum sativum) | Pea and bean weevil (Sitona spp.)<br>Cabbage moth (Mamestra brassicae)<br>Tomato moth (Lacanobia oleraceae)<br>Silver y moth (Autographa gamma)<br>Lima-bean pod borer (Etiella zinckenella) | 560-840 | 85-100 |
| Peach (Prunus persica) | Peach twig borer (Anarsia lineatella)<br>Oriental fruit moth (Cydia molesta)<br>Leaf weevils (Phyllobius spp.) | 560-1120 | 90-100 |
| Plum (Prunus domestica) | Plum fruit moth (Cydia funebrana) | 560-1120 | 90-100 |
| Grape (Vitis vinifera) | Grape berry moth (Clysia ambiguella)<br>European vine moth (Lobesia botrana) | 840-1680 | 85-98 |
| Cotton (Gossypium spp.) | Cotton leafworm (Alabama argillaceae) | 280-560 | 92-99 |

Figure 2.

Dead bees collected after NE-79168 and thiocyclam applied to winter oil seed rape. Hungary, May 1982.



## CONCLUSIONS

Promising results have been obtained with the insecticide NE-79168 50 EC, which is now under development having been effective against insect pests in 33 Families representing 7 Orders (Table 7). A main advantage of the product is the fact that it can be safely used in the evening during periods when crops such as winter soil seed rape are in flower and being visted by bees.

TABLE 7

Important insect groups, against which NE-79168 was effective in glasshouse, laboratory and field tests

| Order | Family |
|---|---|
| Physopoda | Thripidae |
| Heteroptera | Scutelleridae, Pentatomidae, Miridae |
| Homoptera | Cicadellidae, Cecopidae, Psyllidae, Aphididae Lecaniidae, Diaspididae |
| Coleoptera | Coccinellidae, Nitidulidae, Chrysomelidae, Bruchidae, Curculionidae, Apionidae |
| Lepidoptera | Tineidae, Plutellidae, Gelechiidae, Hyponomeutidae Tortricidae, Phycitidae, Phyralidae, Pyraustidae, Geometridae, Noctuidae, Lymantriidae, Arctiidae, Pieridae |
| Diptera | Cecidomyiidae |
| Hymenoptera | Pamphiliidae, Tenthredinidae, Eurytomidae |

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## 2C—S14

# SN 72129, A NEW INSECTICIDE

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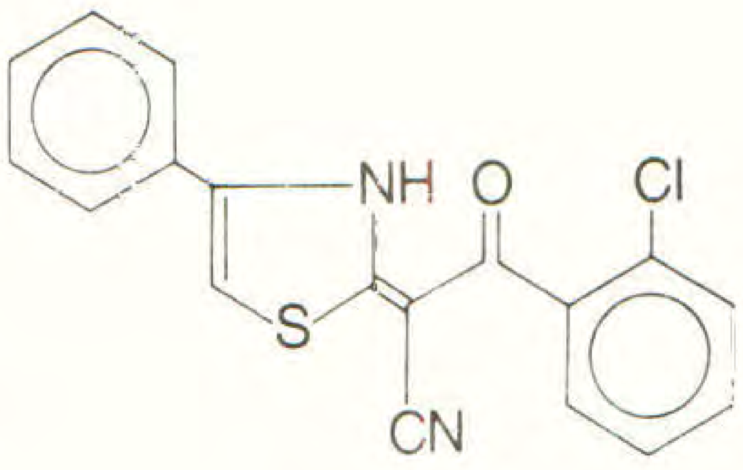
ABSTRACT

SN 72129, 3-(2-chlorophenyl)-2-(2,3-dihydro-4-phenylthiazol-2-ylidene)-3-oxopropionitrile, is an experimental insecticide being developed by Schering AG. The compound represents a new class of insecticides. Its main activity is based on ingestion with several species of Coleoptera, Lepidoptera, Hemiptera and Diptera. At economical rates the compound is relatively specific, and of relatively low toxicity to certain beneficial insects. Preliminary tests showed very low mammalian and fish toxicity. SN 72129 is non-systemic and proved selective even at the highest doses applied to each of the crops so far tested in repeated treatments.

## INTRODUCTION

In recent years, increasing attention has been paid to the development of insecticides of new chemical classes which will help prevent pest populations building up resistance towards current insecticides and also minimize the impact of insecticides on our environment. Currently the Schering group is developing a compound which belongs to a new insecticidal class of thiazoles.

## PHYSICAL AND CHEMICAL PROPERTIES

| | | |
|---|---|---|
| Chemical name | : | 3-(2-chlorophenyl)-2-(2,3-dihydro-4-phenylthiazol-2-ylidene)-3-oxo-propionitrile |
| Structural formula | : |  |
| Molecular formula | : | $C_{18}H_{11}ClN_2OS$ |
| Molecular weight | : | 338.84 |
| Melting point | : | 182-183 °C |
| Appearance | : | white crystals |
| Vapour pressure | : | vapour pressure at 25 °C $< 10^{-10}$ mmHg |
| Solubility | : | Soluble in organic solvents at room temperature |

| | mg/ml |
|---|---|
| acetone | 1.5/100 |
| isopropanol | 190/100 |
| methanol | 420/100 |
| water | 6.9/100 |

Stability to hydrolysis : stable in acid and alkaline solutions

TOXICOLOGY

Toxicological data so far obtained showed a very low acute mammalian toxicity (Wistar Rats Han 78, ♂ and ♀, LD50 oral > 5000 mg a.i./kg; New Zealand White Rabbits, ♂ and ♀, LD50 dermal > 2000 mg a.i./kg)and no mutagenicity in the Ames test. Fish toxicity was low in relation to the standards used (as seen in Table 1).

TABLE 1

Fish toxicity, as indicated by % mortality of guppy (Lebistes reticulatus) after 48 hours exposure

| Concentration (mg a.i./litre) | SN 72129 | Permethrin | Cypermethrin |
|---|---|---|---|
| 10 | 0 | 100 | 100 |
| 0.5 | 0 | 0 | 75 |

Cholinesterase inhibition in insects

Biochemical data obtained suggested that SN 72129 exerts its effect by mechanisms other than the inhibition of acetylcholine-esterase (Table 2). Further studies on this sector are under way.

TABLE 2

Laboratory *in vitro* assessment of the concentration for 50 % inhibition (I50) of acetylcholine-esterase

| Compound | Concentration for I50 |
|---|---|
| SN 72129 | I50 > $1.0 \times 10^{-4}$M |
| Promecarb | I50 = $5.7 \times 10^{-8}$M |

INSECTICIDAL PERFORMANCE

Laboratory tests

The effectiveness of SN 72129 has been evaluated against several species of Orthoptera, Hemiptera, Coleoptera, Lepidoptera, Diptera and Acarina in laboratory experiments. In first experiments with 1000 ppm a.i. (spray volume 400 litres/ha) there was no activity against nymphs of German cockroach (Blattella germanica), unwinged stages of black bean aphid (Aphis fabae), young larvae of the yellow mealworm (Tenebrio molitor), young larvae of the housefly (Musca domestica), eggs of the Egyptian cotton leafworm (Spodoptera littoralis) and mobile stages and eggs of the two-spotted spider mite (Tetranychus urticae). Good activity was found against adults of the grain weevil (Sitophilus granarius), 2nd instar larvae of the diamond back moth (Plutella xylostella) and adults of the Mediterranean fruitfly (Ceratitis capitata); the LC50-values were 20 ppm; 15 ppm; and 20 ppm respectively. These data indicated that SN 72129 could be a relatively narrow spectrum insecticide. This conclusion was verified worldwide by many field tests and further laboratory tests. The primary mode of action of SN 72129 may be by ingestion, as is shown in a test with houseflies.

Housefly (M. domestica)

The efficacy against adult houseflies in relation to the form of application is depicted in Table 3. Injection achieved 100 % efficacy, ingestion 60 %, but topical application only 5 % efficacy at 10 µg a.i./fly.

TABLE 3

Laboratory test to compare the modes of application of SN 72129 to the housefly: % efficacy after 24 hours.

| SN 72129 (µg a.i./fly) | Injection in 0.2 µl DMF[a] | Ingestion in 0.5 µl acetone | Topical application in 0.5 µl acetone |
|---|---|---|---|
| 10 | 100 | 60 | 5 |
| 1 | 95 | 35 | 0 |
| 0.1 | 55 | 0 | 0 |
| Control | 0 | 0 | 0 |

[a] dimethylformamide

Pests of stored grains

Results of laboratory tests on the effectiveness of SN 72129 on the grain weevil (S. granarius) in comparison to other compounds are shown in Table 4. After treatment, samples of 100 g wheat grain in glass jars (1.5 litres) were infested with 100 grain weevil adults and stored in a dark room at 60 % r.h. for 16 weeks. For control purposes an uninfested, untreated sample (a) was used and an infested, untreated one (b). After 16 weeks all the samples were weighed for evaluation of the efficacy of the compounds. The control sample (a) weighed only 90 g due to water loss, whereas the control sample (b) had been reduced to 46 g. In comparison to malathion and tetrachlorvinphos the efficacy of SN 72129 was very good down to 2.5 ppm a.i.

TABLE 4

Feeding damage (%) by small populations of the grain weevil on 100 g samples of wheat grain within 16 weeks.

| a.i. (µg/ml) | Malathion | | Tetrachlorvinphos | | SN 72129 | | Untreated(a) (not infested) | | Untreated(b) (infested) | |
|---|---|---|---|---|---|---|---|---|---|---|
| | wt | Damage% | wt | Damage% | wt | Damage% | wt | Damage% | wt | Damage% |
| 20 | 89 | 1.1 | 75 | 16.7 | 90 | 0 | | | | |
| 10 | 64 | 28.9 | 53 | 41.1 | 89 | 1.1 | | | | |
| 5 | 47 | 47.8 | 53 | 41.1 | 87 | 3.3 | | | | |
| 2.5 | 38 | 42.2 | 37 | 58.9 | 85 | 5.5 | | | | |
| 1.25 | 38 | 42.2 | 33 | 63.3 | 69 | 23.3 | | | | |
| 0.00 | - | - | - | - | - | - | 90 | 0 | 46 | 48.9 |

In further tests in the stored grain sector with 500 g samples of wheat grain, SN 72129 proved a 12-month persistency on grain weevils (Sitophilus spp.) and on grain borers (Rhizopertha dominica) at 5-10 ppm, whereas the grain beetle (Tribolium castaneum) and the grain moth (Sitotroga cerealella) required 10-15 ppm to be effectively controlled.

Field trials

According to the narrow spectrum range, SN 72129 showed only a very limited activity at a commercially acceptable level.

Colorado potato beetle

In the USA, France, Italy and Germany SN 72129 has been very effective against the Colorado potato beetle (Leptinotarsa decemlineata). Table 5 presents data from performance comparisons conducted in the USA in 1979.

TABLE 5

Control of the Colorado potato beetle with foliar sprays on potato, USA, 1979.

| Treatment[1)] | kg a.i./ ha | CPB Larvae/10 Hills | | | |
|---|---|---|---|---|---|
| | | 5/28 | 6/5 | 6/14 | 6/20 |
| SN 72129 20W | 0.25 | 5a[2)] | 6.8ab | 4.8ab | 33.8c |
| SN 72129 20W | 0.5 | 2.5a | 3.8a | 5.8ab | 20.8bc |
| Carbofuran 4F + Permethrin 3.2E | 0.56 + 0.11 | 0.5a | 10ab | 2ab | 29.5c |
| Permethrin 3.2E | 0.11 | 0.5a | 1.5a | 9.3bc | 50.5d |
| Check | - | 216.3e | 22.3h | 186e | 158.8i |

1) The plot size was a single 7.62 m row, replicated 4 times. Insecticidal applications were made on May 21, May 31, June 8, June 22 and July 2, each at the rate of 709 litres of water/ha as foliar sprays using 18.9 knapsack compressed air sprayer with an E-147 adjustable cone nozzle.

2) Means followed by the same letter are not significantly different at 5 % level (Duncan Multiple Range Test).

James J. Linduska (University of Maryland, Vegetable Research Farm, Salisbury 1981) has examined the efficacy of SN 72129 against CPB on tomatoes. In this trial SN 72129 reduced greatly the number of larvae of CPB and the defoliation damage and increased yields significantly. Results are shown in Table 6. In this trial each plot was a 7.5 m single row in a randomised block design with 4 replications. Each row was sprayed with a custom-built row crop sprayer and covered by 6 drop nozzles delivering 467.68 litres/ha at 90 psi.

TABLE 6

Control of the Colorado potato beetle in foliar sprays on tomato (J.J. Linduska, 1982, excerpted).

| Treatment[1)] | kg a.i./ ha | CPB Larvae/ 3 m row Jun 15 | % Defoli- ation Aug 28 | Yield (t/acre) Sept 9 |
|---|---|---|---|---|
| Untreated check | - | 81.50c[2)] | 72.50f | 8.5f |
| Azinphos-methyl 50 WP | 0.565 | 8.25ab | 55.00ef | 13.9cf |
| Flucythrinate 2.5 EC | 0.113 | 8.50ab | 7.50ab | 21.1abc |
| Cypermethrin 3 EC | 0.113 | 1.25a | 8.75ab | 19.1a-d |
| SN 72129 50 W | 0.113 | 10.00ab | 7.50ab | 16.2a-e |
| SN 72129 50 W | 0.226 | 5.50ab | 5.00ab | 19.4a-d |
| SN 72129 50 | 0.339 | 0.50a | 5.00ab | 22.7a |
| Oxamyl 2 L | 1.13 | 0.25a | 15.00abc | 17.7a-d |
| Permethrin 2 EC | 0.226 | 31.50b | 10.00ab | 17.2a-c |
| Permethrin 3.2 EC | 0.226 | 1.50a | 10.00ab | 20.3a-d |

1) Treatments were applied June 23, July 2, July 7 and Aug. 4.
2) Numbers in the same column followed by the same letter are not significantly different at the 5 % level (DMRT).

In the northeastern USA and Canada there is growing concern about resistant strains of Colorado potato beetle. On Long Island, N.Y. for instance, hardly any pesticide can control the CPB. Thus it is wise to rotate chemicals of different groups and especially to alternate insecticides with different modes of action. Table 7 presents some data from a performance comparison conducted in New York, USA in 1982 (Mauri Semel, L.I. Horticultural Research Laboratory, Cornell University, unpublished) against resistant CPB.

TABLE 7

Control of resistant CPB with foliar sprays on potato. (L.I. Horticultural Research Laboratory, N.Y. 1982, excerpted).

| Treatment[1)] | kg a.i./ ha | Number of larvae/18 m row | | |
|---|---|---|---|---|
| | | 6/82 | 7/2 | 7/11 |
| Fluvalinate(2) | 0.113 | 146L[2)] | 219M | 238M |
| Flucythrinate(2.5) | 0.09 | 244L | 306M | 206M |
| Fenvalerate(2.4) | 0.226 | 265L | 286M | 112M |
| Permethrin(3.2) | 0.113 | 283L | 250M | 365S |
| SN 72129 50 WP | 0.226 | 74 | 46 | 4 |
| SN 72129 50 WP | 0.17 | 102 | 59 | 9 |
| Untreated | | 362 | --VS | --VS |

1) Application dates: June 21, 29, July 7; variety: Wauseon. Method: Tractor-mounted hydraulic sprayer. Three Bean Majestic nozzles over the row; 235 psi; 935,4 l/ha.

2) Letters are an arbitrary expression of the degree of foliage feeding damage: L = visible feeding but less than 10 % of foliage consumed; M = 10 - 25 %; S = 26 - 50 %; VS = Greater than 51 % but not totally defoliated.

Pear psylla (*Psylla pyricola*)

Another major potential use for SN 72129 is in fruit production against pear psylla. The efficacy of SN 72129 was demonstrated by tests in France, Italy and the USA.

As an example of tests and results obtained in the USA, excerpted data is shown in Table 8 (Burts, 1982). In this test 17-year-old pear trees were sprayed with handguns operating at 600 psi to evaluate pesticides for control of pear pests (pear psylla: *P. pyricola*; twospotted spider mite (TSM): *Tetranychus urticae*; European red mite (ERM): *Panonychus ulmi*; pear rust mite (RM): *Epitrimerus pyri*). Plots consisted of five 2-tree replications in randomised block design.

TABLE 8

Efficacy against pear psylla and phytophagous mites.

| Treatment[1)] | kg a.i./ 100 litres | Pear psylla nymphs/5 spurs or terminals | | | | |
|---|---|---|---|---|---|---|
| | | May 7 | May 19 | June 1 | July 14 | July 27 |
| Bay SIR 8514 25 WP | 0.0225 | 95.2a[2)] | 12.0c | 1.2c | 15.0b | 2.4b |
| SN 72129 50 WP | 0.0225 | 5.4bc | 12.2cd | 3.2c | 10.4b | 0.0d |
| Cyfluthrin 200 EC | 0.0024 | 0.6c | 1.2cd | 0.2c | 0.8d | 2.4bc |
| Cypermethrine 3 EC | 0.0034 | 1.2c | 4.6cd | 0.4c | 1.8cd | 0.8bcd |
| Check | - | 88.4a | 108.4a | 28.8a | 42.8a | 12.8a |

| Treatment[1)] | kg a.i./ 100 litres | Phytophagous mites/5 leaves July 16 | | |
|---|---|---|---|---|
| | | TSM | ERM | RM |
| 1. Bay SIR 8514 25 WP | 0.0225 | 10.6a[2)] | 3.2abc | 55.0bc |
| 2. SN 72129 50 WP | 0.0225 | 9.6a | 6.2abc | 100.0bc |
| 3. Cyfluthrin 200 EC | 0.0024 | 6.0abc | 7.4abcd | 94.0b |
| 4. Cypermethrine 3 EC | 0.0034 | 5.2abc | 12.4a | 161.0b |
| 5. Check | - | 14.4a | 4.0abc | 538.0a |

| | July 30 | | | Sep. 10 | | |
|---|---|---|---|---|---|---|
| | TSM | ERM | RM | TSM | ERM | RM |
| 1. | 14.2abc | 9.0ab | 150.0c | 30.6ab | 6.8ab | 178.0ab |
| 2. | 11.4abc | 8.4ab | 195.0c | 12.4cd | 6.0ab | 241.0ab |
| 3. | 5.2bc | 14.2ab | 232.0ab | 28.2ab | 13.0a | 329.0a |
| 4. | 5.4bc | 12.2ab | 431.0a | 39.4a | 12.0ab | 126.0b |
| 5. | 20.2ab | 11.4ab | 359.0ab | 9.6d | 5.2b | 15.0ab |

[1] All treatments applied May 1 and July 8.

[2] Means followed by the same letter are not significantly different, LSD P = 0.05.

Whereas good efficacy was shown against pear psylla, SN 72129 was not active against TSM and ERM, and showed only weak activity against RM. No treatment caused severe mite buildups. By Sep. 10 there was some indication of mite resurgence; for SN 72129 only in rust mite.

The potential use against other important fruit pests such as codling moth (Laspeyresia pomonella), San Jose scale (Quadraspidiotus perniciosus) and orange tortrix (Argyrotaenia citrana) is being investigated.

Diamond back moth (P. xylostella)

Trials in Malaysia have produced excellent results with SN 72129 for the control of diamond back moth on cabbage.

Table 9 shows the results of one trial, each plot consisting of 12 plants/row, which were sprayed by a knapsack sprayer till run-off.

TABLE 9

Control of diamond back moth with SN 72129 one, three and six days after application.

| Treatment | g a.i./ litres | Mortality % of Larvae | | |
|---|---|---|---|---|
| | | 1 DAT | 3 DAT | 6 DAT |
| SN 72129 WP 20 | 2.6 | 90.7 | 91.5 | 93.3 |
| SN 72129 WP 20 | 3.9 | 89.3 | 91.4 | 95.1 |
| Fenvalerate 30EC | 2.6 | 5.7 | 46.5 | 48.1 |
| Cartap 50 SP | 2.6 | 20.0 | 3.1 | 39.1 |
| Check | - | 0 | 0 | 0 |

A study of efficacy against a resistant strain of P. xylostella in 1980 revealed an outstanding effectiveness of SN 72129 in a comparison performance test (Table 10). In this test 3rd instar larvae of P. xylostella were fed with dipped cabbage leaves. The mortality percentages were revised (Abbot method) 48 hours after releasing the larvae.

TABLE 10

Control of a resistant strain of diamond back moth on cabbage in a laboratory test.

| Treatment | Susceptible strain[1)] | | Resistant strain[2)] | |
| --- | --- | --- | --- | --- |
| | µg a.i./ml | Mortality % | µg a.i./ml | Mortality % |
| SN 72129 20 WP | 40 | 100 | 1000 | 100 |
| | 20 | 88 | 200 | 100 |
| | 10 | 44 | 50 | 50 |
| | 5 | 22 | 10 | 50 |
| | 2.5 | 3 | - | - |
| Acephate 50 WP | 200 | 100 | 40000 | 100 |
| | 100 | 100 | 20000 | 90 |
| | 50 | 100 | 10000 | 70 |
| | 25 | 70 | 5000 | 30 |
| | 12.5 | 0 | 500 | 0 |
| Methomyl 45 WP | 800 | 100 | 10000 | 30 |
| | 400 | 100 | 2000 | 10 |
| | 200 | 78 | 500 | 0 |
| | 100 | 0 | 100 | 0 |
| Fenvalerate 20 EC | 10 | 100 | 1000 | 90 |
| | 5 | 100 | 500 | 70 |
| | 2.5 | 68 | 250 | 40 |
| | 1.25 | 31 | 100 | 0 |
| | 0.625 | 12 | 10 | 0 |

1) laboratory strain  2) field strain from Malaysia

Other vegetable pests such as the imported cabbage worm (*Pieris rapae*) and the cabbage army worm (*Mamestra brassicae*) can be controlled by SN 72129 with application rates of 1 to 2 kg a.i./ha.

## DISCUSSION

In the target crops for which SN 72129 is a potentially effective insecticide, it was apparent that only a selected spectrum of pest species was controlled by this new compound at reasonable dosages. This selectivity implies that SN 72129 should be used sparingly in combination with other pesticides (e.g. with aphicides on potatoes and vegetables). Because of its specificity and its relative safety to beneficial insects, SN 72129 may be of use in integrated pest management systems and this is now being tested. At economic rates, SN 72129 is, at most, moderately toxic to bees and non-toxic to some heteropteran and chrysopid predators.

Besides toxicity and residue studies, future development will be concentrated on investigating suitable combination partners and additives to broaden the activity spectrum and to increase the efficacy of the compound.

## REFERENCES

Burts, E. (1982). Pear, Pest control, 1981. Insecticide & Acaricide Test, 7, 33.

Linduska, J.J. (1982). Foliar spray to control the colorado potato beetle on tomatoes, 1981. Insecticide & Acaricide Tests, 7, 175.

## 2C—S15

# UHF 8227, A NEW FUNGICIDE FOR THE CONTROL OF POWDERY MILDEWS

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ABSTRACT UHF 8227 is a new fungicide showing preventive and curative activities for the control of powdery mildews (Ascomycetes). Chemically it is diisopropyl 3-methyl-4-(*m*-toluoyloxy)thiophene-2,5-dicarboxylate. Under glass conditions, it gave very low rates of application and gave excellent control against powdery mildews on various crops including cucumber (*Sphaerotheca fuliginea*), cereals (*Erysiphe graminis* f. sp. *hordei*) and apple (*Podosphaera leuchotricha*). In field trials UHF 8227 was also very effective against powdery mildew of cucumber. During the infection process of barley powdery mildew, UHF 8227 effectively inhibited the formation of appressoria and haustoria without suppression of germination or elongation of the germ tube.

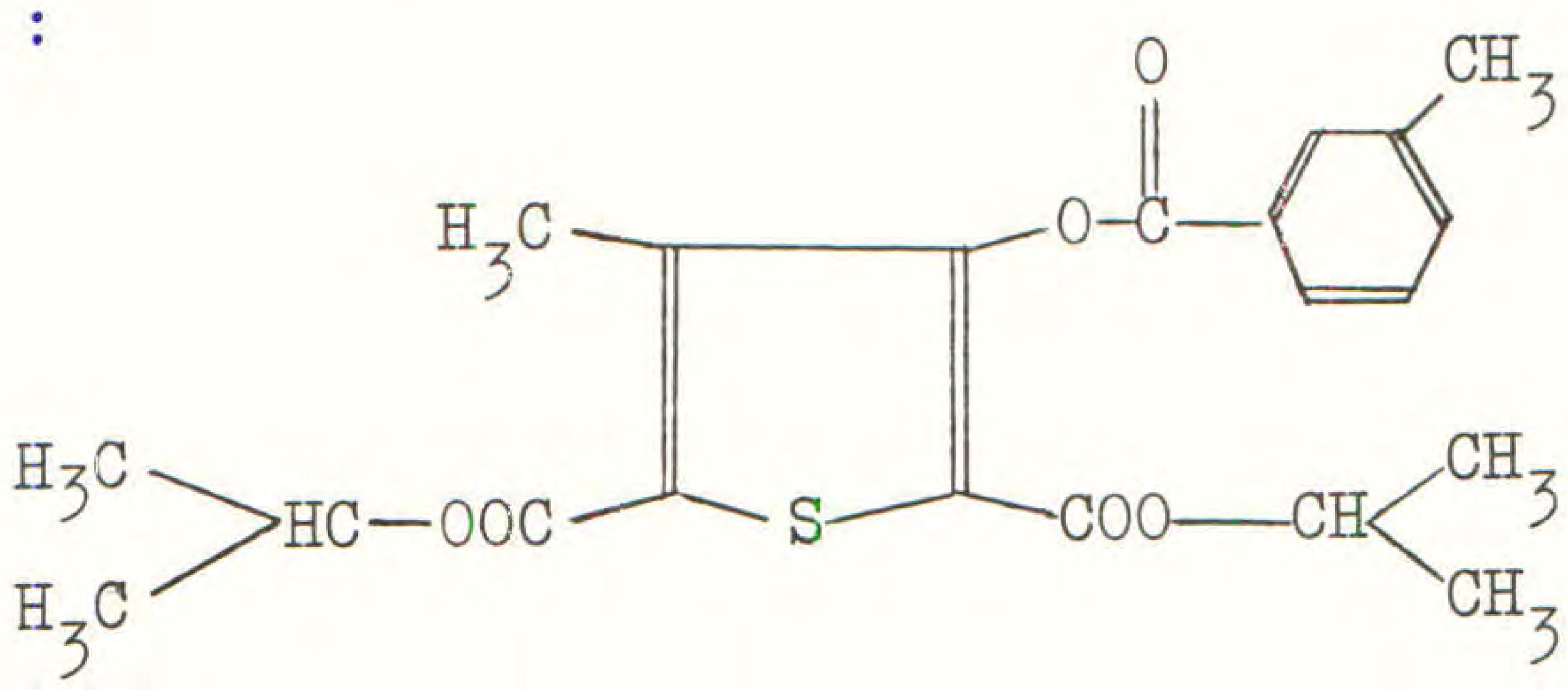
## INTRODUCTION

UHF 8227 is a new fungicide which was discovered during the co-operative research on new fungicides for agricultural use between Ube Industries Ltd. and Hokko Chemical Industry Co. Ltd. The paper gives general information on UHF 8227, its physical and chemical characteristics and its fungitoxic properties.

## CHEMICAL AND PHYSICAL PROPERTIES

Chemical name : Diisopropyl 3-methyl-4-(*m*-toluoyloxy(thiophene-2,5-dicarboxylate

Structural formula :



Molecular formula : $C_{21}H_{24}O_6S$

Molecular weight : 404.48

Melting point : 87$^{o}$C

Appearance : White crystalline solid

Vapour pressure : $1.6 \times 10^{-8}$ mm Hg at 20$^{o}$C

Solubility : Expressed as g/litre at 20$^{o}$C

| | | | |
|---|---|---|---|
| Acetone | 372 | Chloroform | 2415 |
| Methanol | 15 | Toluene | 602 |
| Xylene | 18 | Water | 0.00117 |

TOXICOLOGY

Acute toxicity: Acute oral $LD_{50}$ for mice (ICR strain) and rats (Sprague Dawley strain): >1000 mg/kg.

Irritation: Non-irritant to the skin: slightly irritant to eye in rabbits (New Zealand white strain).

Fish toxicity: $LC_{50}$ (48 h) to carp: >10 mg/litre.

Mutagenicity: Non-mutagenic in the bacterial Rec assay and Ames test.

Additional toxicological studies are in progress.

BIOLOGICAL ACTIVITY

Materials and Methods

In vitro testing The antifungal activity against a number of plant pathogens was determined by measurement of colony development on an agar medium impregnated with the test compound.

Glasshouse testing

Cucumber powdery mildew (*Sphaerotheca fuliginea*) The protective and curative foliar activities were determined by spraying cucumber seedlings (cv. Sagami-hanjiro) with the test compound 1 day before and 3 days after inoculation with a spore suspension of cucumber powdery mildew. The % infected leaf area 10 days after inoculation was determined by visual estimation and the % reduction in infection was then calculated by comparison with untreated plants.

Barley powdery mildew (*Erysiphe graminis* f. sp. *hordei*) The protective and residual activities were determined by spraying barley seedlings (cv. Azumagoruden) with the test compound 1 day and 5 days before inoculation with barley powdery mildew. The degree of infection was measured by counting the number of colonies present on each leaf and the results were expressed as % control.

Apple powdery mildew (*Podosphaera leucotricha*) The plants used were 3-year-old seedlings (cv. Golden Delicious) planted in pots. Inoculation was carried out by placing seedlings among previously infected plants to allow infection to occur naturally. Fungicide sprays were applied when the disease first occurred, and again 10 days later. The % leaf area infected 19 days after last application was then determined.

Systemic activity bioassay

The systemic activity of UHF 8227 against cucumber powdery mildew was evaluated by a bioassay. For root application, the roots of cucumber seedlings were washed with tap water and then placed into Erlenmeyer flasks with 100 ml of a Kasugai's nutrient solution (Asuyama *et al*. 1969) containing the compound under test. To assay local systemic activity in the leaf the chemical suspension was spread on the proximal half or under surface of the first true leaf. One day after treatment, plants were inoculated by spraying a spore suspension of cucumber powdery mildew on the surface of leaves. The % infected area of non-treated parts of the leaves was determined 10 days later.

Microscopic observation on the infection process The primary leaves of 7-day-old barley were detached and UHF 8227 was applied to the abaxial surface, on which the conidia of barley powdery mildew were then inoculated. The treated leaves were incubated in a Petri dish at 20°C in an air-conditioned room. The epidermis of the abaxial surface was stripped off at intervals after inoculation and the germination of conidia, the elongation of the germ tube and the formation of haustoria were observed using an optical microscope.

Field trials The two trials reported below differed in experimental design, application rates, times and intervals. The results presented were obtained from small plot trials involving naturally occurring infection. The detailed procedures used in each trial are given below.

RESULTS

The results of laboratory, glasshouse and field trials with UHF 8227 are given in Tables 1-7.

In vitro activity The in vitro fungitoxicity of UHF 8227 was examined using a range of fungi involving Phycomycetes (3 species), Ascomycetes (6 species), Basidiomycetes (3 species) and Fungi imperfecti (9 species). UHF 8227 was not toxic to all tested pathogens even at a concentration of 400 mg a.i./litre.

Glasshouse tests

Cucumber powdery mildew To test the protective action, plants were inoculated 1 day after applying UHF 8227 but to assess the curative effect they were treated 3 days after inoculation. The results in Table 1 are arithmetic means of five tests. UHF 8227 had excellent protective and curative activity against cucumber powdery mildew at very low rates of application. Its effectiveness was clearly superior to that of triforine and quinomethionate, and similar to triadimefon.

TABLE 1

% Reduction of cucumber powdery mildew infection (means of five tests)

| Fungicide | *% Reduction at each concentration (mg a.i./litre) | | | | | | | |
|---|---|---|---|---|---|---|---|---|
| | Protective | | | | Curative | | | |
| | 40 | 10 | 2.5 | 0.63 | 40 | 10 | 2.5 | 0.63 |
| UHF 8227 | 100 | 100 | 95 | 72 | 100 | 100 | 96 | 85 |
| Triadimefon | 100 | 99 | 94 | 79 | 100 | 98 | 94 | 87 |
| Triforine | 77 | 51 | 26 | 8 | 85 | 60 | 31 | 12 |
| Quinomethionate | 81 | 54 | 25 | 7 | 78 | 50 | 25 | 10 |

*The % infected leaf area per 1st leaf on untreated plants was 91-100

Barley powdery mildew The arithmetic mean results of three tests show that UHF 8227 gave better protective activity against barley powdery mildew 1 day after application than triforine, quinomethionate, but slightly less than triadimefon (Table 2). The residual activity as assessed 5 days after application was highly effective, as was that of triadimefon.

Apple powdery mildew The result of the pot test comparing UHF 8227 with triadimefon, applying 50 ml/five plants, is given in Table 3. The volume applied wetted the leaves but did not cause run off.

The first application was made after the primary colonies appeared and a second application was made 10 days later. Both chemicals significantly reduced infection 19 days after the final application. UHF 8227 appeared to give slightly better control than triadimefon in this test, but the difference was not statistically significant.

TABLE 2

% Reduction in the number of colonies of barley powdery mildew per leaf (Means of three tests)

| Fungicide | *% Reduction at each concentration (mg a.i./litre) | | | | | | |
|---|---|---|---|---|---|---|---|
| | Protective | | | | Residual | | |
| | 40 | 10 | 2.5 | 0.63 | 40 | 10 | 2.5 |
| UHF 8227 | 100 | 99 | 90 | 64 | 100 | 95 | 81 |
| Triadimefon | 100 | 100 | 100 | 97 | 100 | 100 | 98 |
| Triforine | 90 | 76 | 50 | 25 | 61 | 30 | 10 |
| Quinomethionate | 96 | 74 | 48 | 20 | 58 | 15 | 0 |

*Numbers of colonies per 1st leaf on untreated plants were 23-41

Systemic activity on cucumber

The proximal half of cucumber leaf was covered with fungicide suspension and the distal half (non-treated part) was then inoculated by spraying a spore suspension of S. fuliginea 1 day after the fungicide application. With other plants, the lower surface of the first true leaf was covered with the fungicide suspension and the upper surface was then inoculated.

As shown in Table 4, there was no systemic activity of either UHF 8227 or quinomethionate after a root application. After foliar application, the local systemic activity in the leaf was apparently slightly greater than that of triforine but less than that of triadimefon.

Microscopic observation

The site of action of UHF 8227 against powdery mildew on barley leaves during the infection process was studied by optical microscopy. About 600 spores were observed for each treatment, the results being assessed 48h after treatment.

As shown in Table 5, haustorial and appressorial formation were effectively inhibited by the compound but not, on the other hand, conidial germination or the elongation of the germ tubes.

TABLE 3

The % of leaf area infected with apple powdery mildew

| Fungicide | Concentration (mg a.i./litre) | Days after second application | |
|---|---|---|---|
| | | 11 | 19 |
| UHF 8227 | 20 | 5 | 7 |
| | 5 | 8 | 14 |
| Triadimefon | 20 | 3 | 9 |
| | 5 | 13 | 16 |
| Untreated | - | 72 | 79 |
| LSD ($P$ = 0.05) | | 2.1 | 2.3 |

TABLE 4

Systemic activity of UHF 8227 against cucumber powdery mildew as shown by the % reduction of infected leaf area

| Fungicide | Root application | | Foliar application: Leaf treated proximally: infection on distal half | | Foliar application: Leaf treated on lower surface: infection on upper surface |
|---|---|---|---|---|---|
| mg a.i./litre | 10 | 1 | 50 | 25 | 50 |
| UHF 8227 | 0 | 0 | 50 | 22 | 80 |
| Triadimefon | 100 | 100 | 100 | 100 | 100 |
| Triforine | 60 | 21 | 42 | 27 | 69 |
| Quinomethionate | 0 | 0 | 17 | 10 | 10 |
| Untreated* | (98)* | (98) | (100) | (100) | (98) |

*Figures in parenthesis indicate the % infected leaf area per 1st leaf on untreated plants

## Field trials

Comparison of fungicides to control cucumber powdery mildew  The efficacy of UHF 8227 on cucumber powdery mildew was compared with those of the triazole fungicide triadimefon and bitertanol at low rates of application. The experimental design was a randomized block with three replications. Each plot consisted of six plants. Cucumber plants (cv. Shinko A go) were sprayed three times at 10-day intervals with a knapsack sprayer applying 3000 litres/ha. An assessment was made 13 days after the last spraying to determine the % of infected leaf area on about 50 leaves from each plot.

UHF 8227 gave highly effective control equal to the triazole fungicide and better than that obtained with standard treatment of quinomethionate which was used as a reference fungicide (Table 6).

TABLE 5

Effect of UHF 8227 on the infection process of barley powdery mildew

| Fungicide | Rate mg a.i./litre | % Germinated spores | Degree of elongation of germ tube | % Appressoria formed | % Haustoria formed |
|---|---|---|---|---|---|
| UHF 8227 | 100 | 52.4 | +++ | 0 | 0 |
| | 25 | 50.4 | +++ | 0 | 0 |
| | 6.3 | 50.8 | +++ | 0 | 0 |
| | 1.6 | 58.9 | +++ | 7.5 | 0 |
| | 0.4 | 68.8 | +++ | 14.4 | 2.9 |
| Untreated | - | 58.1 | +++ | 35.2 | 10.5 |

Control of cucumber powdery mildew in JPPA field trials (Anonymous, 1982)

The results of one of the official field trials by the Japan Plant Protection Association (JPPA) in 1982 is shown in Table 7 as the means of two replicates. Each plot consisted of 15 plants. Cucumber (cv. Shinko A go) were sprayed four times at weekly intevals with a motorised sprayer. Spray volume was 2500 litres/ha. Assessment was made by evaluating about 100 leaves from each plot 9 days after the last spraying. The severity of infection was assessed by estimating the % diseased leaf area. A disease index was then calculated using the following formula

$$\text{Disease index} = \left(\frac{4a + 3b + 2c + d}{4N}\right) \times 100$$

a, b, c and d are the numbers of leaves with an infected leaf area of >70%, 40-69%, 10-39% and <9%, respectively, N being the total number of leaves evaluated.

UHF 8227 showed excellent efficacy in controlling cucumber powdery mildew without any phytotoxicity.

DISCUSSION

The foliar application of UHF 8227 showed an excellent control of powdery mildew of various crops without any phytotoxicity. Further trials are planned to evaluate the optimum timing and rate of application for other plants.

The *in vitro* activity of UHF 8227 was very weak against major plant pathogenic fungi, but the *in vivo* activities were especially strong and specific to powdery mildew diseases. These characteristics are unlike those of ergosterol-biosynthesis-inhibiting fungicides which are known to possess a high antifungal activity to a wide spectrum of plant diseases.

TABLE 6

Comparison of fungicides to control cucumber powdery mildew

| Fungicide | Formulation | Application rate (g a.i./ha) | Infected leaf area (%)* |
|---|---|---|---|
| UHF 8227 | 10% e.c | 75 | 0.7 ab |
| UHF 8227 | 10% e.c. | 37 | 2.2 abc |
| Triadimefon | 5% w.p. | 75 | 1.0 abc |
| Triadimefon | 5% w.p. | 37 | 1.7 abc |
| Bitertanol | 25% w.p. | 75 | 0.5 ab |
| Bitertanol | 25% w.p. | 37 | 5.0 d |
| Quinomethionate | 25% w.p. | 250 | 2.9 bc |
| Untreated | | - | 59.3 e |

*Rows with the same letter are not significantly different (P = 0.05)

TABLE 7

Control of powdery mildew on cucumber (Anonymous, 1982)

| Fungicide | Formulation | Application rate (g a.i./ha) | Infected leaves (%) | Disease index | Phytotoxicity |
|---|---|---|---|---|---|
| UHF 8227 | 10% e.c. | 250 | 6.5 | 1.8 | None |
| UHF 8227 | 10% e.c. | 125 | 16.5 | 4.2 | None |
| Quinomethionate | 25% w.p. | 208 | 44.5 | 14.7 | None |
| Untreated | - | - | 92.5 | 41.4 | - |

To date, resistance to ergosterol-inhibiting fungicides has not been observed under practical conditions (Fuchs and de Waard, 1982). However, there have been reports of insensitive strains of barley powdery mildew on barley in fields where these fungicides had often been applied, and these strains have indicated cross-insensitivity to some other ergosterol-inhibiting fungicides (Fletcher and Wolfe, 1981; Hollomon & Butters 1981).

It is very important to know whether or not there is cross-resistance between UHF 8227 and ergosterol-inhibiting fungicides and we have experimental studies in progress on this problem.

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## 2C—S16

# CME 134, A NEW CHITIN SYNTHESIS INHIBITING INSECTICIDE

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ABSTRACT

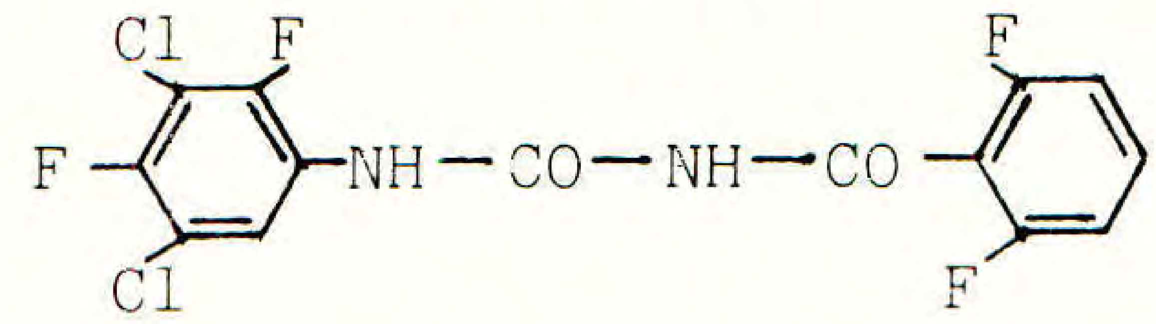
A survey of results from laboratory and greenhouse tests together with preliminary findings from field trials with a new insect growth regulator with low mammalian toxicity is presented. So far, good control of coleopterous and lepidopterous larvae has been achieved at rates which did not harm beneficial arthropods. An excellent performance, when compared with organophosphates or synthetic pyrethroids, ensures that this insecticide has good chances for practical use.

CHEMICAL AND PHYSICAL PROPERTIES

CME 134 is a new insect growth regulator belonging to the benzoyl urea group.

Chemical name: 1-(3,5-dichloro-2,4-difluorophenyl)-3-(2,6-difluorobenzoyl)-urea

Structure:




Vapour pressure: $8 \times 10^{-12}$ mbar at 20°C

Solubility: CME 134 is sparingly soluble in several of the moderately polar solvents, but relatively insoluble in non-polar solvents and water (Table 1).

TABLE 1

Solubility of CME 134 at room temperature (20-23°C).

| Solvent | g/100 ml | Solvent | g/100 ml |
|---|---|---|---|
| Acetone | 1.0 | Hexane | 0.005 |
| DMSO | 6.6 | Toluol | 0.085 |
| Ethanol | 0.14 | Water | 20 ppb |

Formulation: Suspension concentrate containing 150 g a.i./litre

TOXICOLOGY AND ENVIRONMENTAL PROPERTIES

The oral LD 50 for rat exceeded 5000 mg a.i./kg body weight.

*In vitro* assessment of the mutagenic potential of CME 134 on 5 strains of *Salmonella typhimurium* in the presence and absence of a metabolising system did not show any mutagenic activity in the plate-incorporation test up to the highest rate tested (5 mg/plate). Similarly, the main metabolite of CME 134 did not cause mutagenic effects.

In leaching tests, using 3 standard soil types, CME 134 could not be detected in the eluate (lowest detectable concentration being 0.05 µg/kg).

In soil, CME 134 breaks down rapidly. 50 % of the chemical was decomposed after 2 weeks in sand with high organic matter content and after 6 weeks in a sandy loam.

MODE OF ACTION

Larvicidal activity

The larvicidal action of CME 134 was investigated in laboratory tests with cotton leafworm larvae (Prodenia litura) of 50 mg body weight using acetone solutions sprayed onto host plants. When the spray deposit was dry, the treated plants were colonised with the test organisms. The results showed that even very low concentrations of freshly applied spray deposit strongly interfered with moulting ability and caused high mortalities (Table 2).

TABLE 2

Activity of CME 134 and diflubenzuron sprayed on horse bean plants against cotton leafworm larvae of 50 mg body weight.

| Concn (mg a.i./l) | CME 134 % mortality | | Concn (mg a.i./l) | Diflubenzuron % mortality | |
|---|---|---|---|---|---|
| | 4 DAT | 6 DAT | | 4 DAT | 6 DAT |
| 0.01 | 0 | 0 | 0.5 | 50 | 55 |
| 0.025 | 43 | 98 | 1.0 | 67 | 77 |
| 0.05 | 68 | 98 | 2.5 | 71 | 82 |
| 0.5 | 94 | 100 | 10.0 | 82 | 100 |

With smaller larvae, activity of CME 134 can be observed at much lower concentrations. Table 3 shows average results obtained from five tests with P. litura larvae of 10 mg body weight.

TABLE 3

Activity of CME 134 and diflubenzuron sprayed on horse bean plants against cotton leafworm larvae of 10 mg body weight.

| Concn (mg a.i./l) | CME 134 % mortality 6 DAT | Concn (mg a.i./l) | Diflubenzuron % mortality 6 DAT |
|---|---|---|---|
| 0.001 | 27 | 0.2 | 26 |
| 0.002 | 60 | 0.5 | 62 |
| 0.005 | 78 | 1.0 | 80 |
| 0.01 | 90 | 2.0 | 94 |
| 0.02 | 100 | 5.0 | 100 |

The effect of CME 134 was also examined using 2nd stage larvae of diamond-back moth (Plutella maculipennis) on sprayed cabbage leaves and 3rd instar larvae of the Mexican bean beetle (Epilachna varivestis) on treated dwarf French beans. In both tests the larvae were clearly affected by the treatment, being unable to free themselves from the old cuticle, resulting in high mortalities (Tables 4 and 5). Whereas with larvae of diamond-back moth CME 134 15 % s.c. showed very rapid activity, with larvae of the Mexican bean beetle the initial effectiveness remained low for 3 days after treatment but thereafter steadily increased.

TABLE 4

Activity of CME 134 and diflubenzuron formulations against 2nd stage larvae of diamond-back moth.

| Concn (mg a.i./l) | CME 134 % mortality | | Concn (mg a.i./l) | Diflubenzuron % mortality | |
|---|---|---|---|---|---|
| | 3 DAT | 5 DAT | | 3 DAT | 5 DAT |
| 5 | 96 | 97 | 5 | 17 | 22 |
| 20 | 99 | 99 | 20 | 36 | 53 |
| 100 | 95 | 100 | 100 | 65 | 86 |

TABLE 5

Activity of acetone solutions of CME 134 and diflubenzuron against 3rd instar larvae of Mexican bean beetle.

| Concn (mg a.i./l) | CME 134 % mortality | | | Concn (mg a.i./l) | Diflubenzuron % mortality | | |
|---|---|---|---|---|---|---|---|
| | 3 | 5 | 6 DAT | | 3 | 5 | 6 DAT |
| 5 | 15 | 75 | 87 | 5 | 52 | 79 | 95 |
| 25 | 30 | 78 | 100 | 25 | 59 | 92 | 98 |
| 50 | 66 | 86 | 100 | 50 | 62 | 88 | 100 |

Residual activity

After finding that freshly applied dilutions of CME 134 showed good activity, its residual effectiveness on treated plants was investigated.

The following test was carried out in the greenhouse with young P. litura larvae of about 50 mg body weight. Beans were sprayed with three concentrations of CME 134 15 % s.c. Test organisms were transferred to the treated plants immediately the spray deposit was dry, and 7 and 14 days later. The results are summarised in Table 6. Even 7 or 14 days after treatment high mortalities were obtained.

TABLE 6

Initial effect and residual activity of CME 134 15 % s.c. on horse beans against cotton leafworm larvae of 50 mg body weight.

| Concn (mg a.i./l) | % mortality 6 days after colonising host plants | | |
|---|---|---|---|
| | 0 DAT | 7 DAT | 14 DAT |
| 0.01 | 0 | - | - |
| 0.025 | 48 | 53 | 8 |
| 0.05 | 100 | 100 | 75 |
| 0.1 | 100 | 100 | 94 |

Influence on reproduction

Application of CME 134 to adult Mexican bean beetles in the laboratory did not result in mortality, but reproduction was strongly affected. Five newly hatched female and five male beetles were fed for 6 days on dwarf French beans treated with 150 mg a.i./litre and then transferred to untreated plants. All the eggs laid during a period of 13 weeks were collected and incubated. Hatchability and development of the larvae up to pupation were evaluated. The treatment resulted in almost total suppression of development (Table 7).

TABLE 7

Influence on hatchability of eggs laid by Mexican bean beetle females fed on plants treated with CME 134 and diflubenzuron formulations. (The number of beetles emerging on untreated plants was not recorded, but more than 90 % of $1^{st}$ stage larvae normally developed into beetles).

| Formulation | Concn (mg a.i/l) | Eggs | | No. beetles developed |
|---|---|---|---|---|
| | | Total no. | No. hatching | |
| CME 134 15 % s.c. | 150 | 7900 | 3 | 1 |
| Diflubenzuron 25 % w.p. | 150 | 9840 | 320 | 93 |
| Untreated | - | 8588 | 8253 | * |

Similar findings were obtained from controlled field-cage tests with the cotton boll weevil (Anthonomus grandis) in cotton sprayed with 140 g CME 134/ha. A 98 % reduction of emergence of adult beetles occurred in comparison to untreated controls. The same effect was achieved with a diflubenzuron 25 % w.p. at 140 g a.i./ha.

Field trials

A small scale field trial programme was conducted in 1982 using a randomised block design and four replicates of each treatment. Control was calculated according to the Abbott formula.

Maize

In maize, CME 134 15 % s.c. was tested against European corn borer (Ostrinia nubilalis) in four trials and compared favourably with a tetrachlorvinfos 75 % w.p. and a commercial preparation of Bacillus thuringiensis. The application was carried out when moth flight activity was at its peak. The numbers of live larvae per plant were counted and compared with those on untreated plots (Table 8). The activity was superior to both registered standard compounds.

TABLE 8

Control of European cornborer in Southern Germany 1982; mean of four trials.

| Formulation | Amount (formulation/ha) | % control |
|---|---|---|
| CME 134 15 % s.c. | 0.75 l | 53 |
| | 1.0 l | 83 |
| | 1.5 l | 84 |
| Tetrachlorvinphos 75 % w.p. | 3.0 kg | 65 |
| B. thuringiensis 3.2 % w.p. | 2.0 kg | 32 |

Apple

Results from four apple trials in Italy with mining caterpillars also demonstrated the good residual effectiveness of CME 134 15 % s.c. (Table 9). Even the lowest rate controlled Leucoptera scitella well and double this rate only achieved a slight increase of activity.

TABLE 9

Control of apple leaf blister moth in Northern Italy 1982; mean of four trials.

| Formulation | Concn (g a.i./l) | % control weeks after treatment | | |
|---|---|---|---|---|
| | | 2 | 4 | 6–8 |
| CME 134 15 % s.c. | 75 | 98 | 98 | 99 |
| | 150 | 100 | 99 | 99 |
| | 300 | 100 | 99 | 99 |
| Diflubenzuron 5 % w.p. | 125 | 100 | 99 | 95 |

Two tests in Germany against the codling moth (Cydia pomonella) showed that CME 134 compared favourably with diflubenzuron, and at much lower rates (Table 10).

TABLE 10

Control of codling moth in Northern Germany 1982; mean of two trials.

| Formulation | Concn (g a.i./l) | % control |
|---|---|---|
| CME 134 15 % s.c. | 105 | 93 |
| Diflubenzuron 25 % w.p. | 200 | 79 |
| Untreated: (mean % attacked apples) | | (17) |

Vines

In grapes the effects of CME 134 15 % s.c. were investigated against first and second generation grape berry moth in Germany, France and Italy and very good control was achieved. The results obtained from Italy are presented in Table 11. They refer to a total of five tests in which both Polychrosis botrana and Clysia ambiguella were present in varying proportions. Two treatments were made, one at the end of May and the second in mid July.

TABLE 11

Control of mixed grape berry moth populations in Northern Italy 1982; mean of five trials.

| Formulation | Concn (mg a.i./l) | % control | |
|---|---|---|---|
| | | first generation | second generation |
| CME 134 15 % s.c. | 75 | 95 | 87 |
| | 150 | 98 | 92 |
| | 300 | 98 | 93 |
| Parathion 20 % e.c. | 400 | 95 | 91 |

Pears

Very good control was achieved in tests against pear sucker (Psylla piri) in which CME 134 15 % s.c. was compared with a deltamethrin 2.5 % e.c. and an amitraz 20 % e.c. formulation. Slow initial response to CME 134 but also excellent residual effectiveness were apparent (Table 12).

TABLE 12

Control of pear psylla in Southern France 1982; mean of four trials.

| Formulation | Amount (g a.i./ha) | % control DAT | | | |
|---|---|---|---|---|---|
| | | 2-3 | 7 | 14 | 21 |
| CME 134 15 % s.c. | 75 | 35 | 73 | 89 | 88 |
| | 150 | 46 | 86 | 92 | 94 |
| | 300 | 64 | 92 | 91 | 92 |
| Deltamethrin 2.5 % e.c. | 12.5 | 81 | 73 | 70 | 78 |
| Amitraz 20 % e.c. | 600 | 95 | 97 | 96 | 90 |

Forestry

In forestry CME 134 15 % s.c. was field tested applying 50 g active material/ha against three important pests. For pine sawfly (Neodiprion sertifer) and the bird-cherry ermine moth (Hyponomeuta evonymellus) knapsack sprayers were used applying 200 litres spray/ha. Treatment against the gregarious spruce sawfly (Pristiphora abietina) was by helicopter using 40 litres spray/ha. Evaluation in these tests was carried out by counting live larvae on marked trees before and 7 days after treatment (Table 13).

TABLE 13

Control (%) of forest insects in Southern Germany 1982.

| Formulation | Amount (g a.i./ha) | Neodiprion sertifer | Hyponomeuta evonymellus | Pristiphora abietina |
|---|---|---|---|---|
| CME 134 15 % s.c. | 50 g | 100 | 100 | 96 |
| Diflubenzuron 25% w.p. | 75 g | 100 | 100 | 92 |

Potatoes

In addition to the findings for larvae of Lepidoptera and Hymenoptera, results are also available from two tests against larvae of the Colorado potato beetle (Leptinotarsa decemlineata). The average mortality from these two tests, carried out in Germany, is presented in Table 14. CME 134 15 % s.c. showed very good initial action 1 day after treatment, comparable to that of a carbamate or a pyrethroid insecticide. This was attributed to the high feeding activity and larval metabolism during high summer temperatures.

TABLE 14

Control of Colorado potato beetle in Northern Germany 1982; mean of two trials.

| Formulation | Amount (g a.i./ha) | % control DAT | | |
|---|---|---|---|---|
| | | 1 | 3 | 7 |
| CME 134 15 % s.c. | 22.5 | 93 | 100 | 97 |
| | 45 | 96 | 100 | 100 |
| | 75 | 98 | 100 | 100 |
| Propoxur 20 % e.c. | 240 | 98 | 100 | 99 |
| Deltamethrin 2.5% e.c. | 5 | 98 | 100 | 100 |

As expected, in all these field trials adult beetles and moths were not affected. Similarly, aphids and sucking insects, except pear psylla, were inadequately controlled at economic rates.

TOXICITY TO BENEFICIAL ARTHROPODS

Additional observations of side-effects on beneficial insects have shown that, due to its mode of action, CME 134, as either an acetone solution or as the 15 % s.c. formulation, to date has not affected Aphytis holoxanthus, Coccophagus rusti, Encarsia formosa, Geocoris sp., Nabis sp., Orius insidiosus, Trichogramma cacoecia, Typhlodromus pyri and spiders under both laboratory and practical conditions when it was used at rates expected to control pests. Effect on coccinellids and chrysopids required further investigation. Laboratory tests with the honey bee (Apis mellifera) showed that concentrations under consideration for practical use are unlikely to harm the brood.

## PARTICULARITIES OF CME 134 15 % S.C.

Since this experimental insect growth regulator lacks knock-down efficacy, exact timing of the application is more important than with conventional chemicals. In field trials it has been found that, with lepidopterous insects, the most favourable time of application corresponds with peak moth flight activity. This ensures that an insecticidal spray deposit is present as soon as the first larvae hatch and feed. Close monitoring of the moth flight pattern is therefore recommended, for example by means of pheromone traps, particularly in the case of mining caterpillars. To control larvae of coleopterous pests, spraying should be carried out as soon as adult beetles are first observed.

Although spray deposits of CME 134 15 % s.c. remain active under field conditions for several weeks (e.g. bean leaves sprayed once with 50 mg a.i./litre and aged under field conditions remained fully active for 4 weeks when transferred to the laboratory and fed to P. litura larvae of 50 mg body weight), a 3 to 4-week interval between spraying is recommended to keep the plants protected during periods of rapid growth.

## DISCUSSION

From the findings presented, it can be concluded that CME 134 is a very promising new insect growth regulator. In many cases its activity can be compared with that of organophosphorous and synthetic pyrethroid compounds. It has the advantage of specificity for lepidopterous and coleopterous pests, leaving beneficials unharmed. It is suitable even for use in integrated pest control programmes.

# XRD-473, A NEW ACYLUREA INSECTICIDE EFFECTIVE AGAINST HELIOTHIS

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Summary. XRD-473 is a new acylurea insecticide. It is similar in physical properties to other acylureas but possesses a broader biological activity spectrum, especially against major *Heliothis* species. When compared to other acylureas, XRD-473 has increased larvicidal and contact ovicidal activity. Although it must be ingested to be highly effective, XRD-473 appears to act more quickly than other acylureas, thus reducing the potential for crop damage.

XRD-473 has a low level of toxicity to humans and beneficial insect species, making it valuable for pest management programs on a variety of crops. It can be used alone or in combination with other insecticides if faster knockdown is desired. On cotton, XRD-473 is recommended for use early in the season. Its activity combined with its safety to predators can be effective in delaying the need for less selective insecticide applications. This could reduce the total number of applications required during the season and help delay the development of resistance to the more widely used compounds.

## INTRODUCTION

Broadening the chemical diversity of the insecticide arena has long been a goal of research. It is no surprise, then, that the discovery of the acylureas (Van Daalen et al, 1972) in the early 1970's was hailed as a major breakthrough. The subsequent introduction of diflubenzuron (Verloop, 1977) led many entomologists to describe it as the "ideal environmental compound." The compound does indeed possess many outstanding characteristics warranting this description. Diflubenzuron represented a new chemical group with a different mode of action--inhibition of chitin synthesis. It has a very low level of acute toxicity to man, fish and wildlife, and, due to a combination of factors, it is relatively safe to most beneficial insect species. Furthermore, against susceptible insects, it is active at very low rates--less than 100g a.i./ha.

Because of these outstanding properties, many companies, including Dow, began searching for their own acylurea analogue. This search is still underway--a fact clearly obvious to those following the insect patent literature.

While the acylureas may be considered an academic success, they have not made a major penetration of the insecticide market. We believe that this failure is due almost entirely to two factors: Firstly, the "anti-moult" action of the acylureas results in a relatively slow-killing action as compared to other insecticides. As a result, significant feeding damage can occur, which for certain crop markets (e.g., fruits and vegetables) may be unacceptable. Secondly, and more importantly, the limited spectrum of biological action of the acylureas has restricted their usefulness and acceptance. Two specific examples are the cotton and forestry insecticide markets. On cotton, the acylureas lack activity against the primary pests, the bollworm/budworm complex (*Heliothis* spp). Table 1 shows comparative activity levels of some acylureas against two species of Spodoptera--typically susceptible to acylureas--and two Heliothis species. Second instar larvae were placed on treated foliage and mortalities were assessed after 5 days. All of the compounds are active against S. littoralis and the difluorobenzoyl analogues are effective against S. exigua. None show high activity against the two Heliothis spp.

TABLE 1

Comparative activity of acylurea analogues against Spodoptera and Heliothis spp. as shown by the approximate $LC_{90}$ concentrations (mg a.i./litre) against third instar larvae

| Compound | S. littoralis | S. exigua | H. virescens | H. armigera |
|---|---|---|---|---|
| Diflubenzuron | 17 | 18 | >100 | >100 |
| Triflumuron | 9 | 180 | >400 | 60-80 |
| Penfluron | 5 | 3 | >400 | -- |
| DOWCO 439 | 8 | 100 | >400 | 75 |

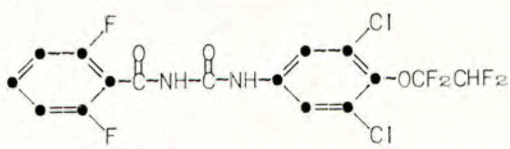
In the forestry insect market, the acylureas are active for certain pests such as the gypsy moth (Lymantria dispar), the forest tent caterpillar (Malacosoma disstria) and the Douglas fir tussock moth (Orygia pseudotsugata). However, application rates of diflubenzuron or triflumuron, for example, required to control major pests such as the eastern and western spruce budworm (Choristoneura fumifera and C. occidentalis, respectively) would be economically prohibitive (Retnakaran, et al, 1980; Robertson and Haverty, 1982). For this market, as long as the addition of a relatively non-selective insecticide will be required for control of either spruce budworm species, the pest management benefits of the acylureas are not likely to become evident.

The goals of our acylurea research program were, firstly, to find a compound with a broader activity spectrum which would specifically include Heliothis spp. The other properties in which we were interested were a high contact ovicidal activity and a more rapid knockdown. With the discovery of XRD-473, we have been able to achieve these goals. This paper will summarize the biological activity potential of XRD-473.

PHYSICAL AND CHEMICAL PROPERTIES

Chemical name: N-(((3,5-dichloro-4-(1,1,2,2-tetrafluoroethoxy)phenyl)amino)-carbonyl)-2,6-difluorobenzamide

Structural formula:




Molecular formula and weight: $C_{16}H_8Cl_2F_6N_2O_3$, 461.1

Melting point: 197-199°C.

Solubility: 0.7 mg/l in water at 23°C.

Physical appearance: White solid.

MATERIALS AND METHODS

Larvicidal activity

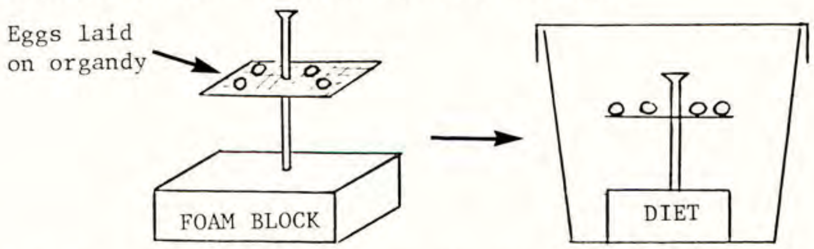
Early tests to measure larvicidal activity were run by first preparing 10 mg a.i./ml acetone concentrates of the test compounds and diluting these to the desired concentrations with water containing 10 ml/liter Triton X-100 surfactant. Cotton leaves were either dipped into the suspensions (S. exigua, H. zea and H. virescens tests) or sprayed with a Potter tower (S. littoralis and H. armigera tests). When dry, the leaves were placed into individual Petri dishes and each leaf was infested with five second-instar larvae. Mortality counts were made 5 days later.

For subsequent tests, XRD-473 was formulated as 50g a.i./litre e.c. Applications were made with a track sprayer calibrated to deliver fixed volumes of from 200 to 20 litres/ha.

Ovicidal activity

The ovicidal activity of diflubenzuron increases with humidity (Grosscurt, 1977). Preliminary tests were designed to measure the maximum intrinsic ovicidal activity. Eggs of H. virescens and H. zea laid on organdy were collected when less than 24h old. The organdy was cut into squares containing approximately 10 eggs each. A stainless steel pin was used to pin the organdy to a piece of foam to keep the egg-bearing surface horizontal. The treatments were applied using the track sprayer. When dry, the pieces of organdy were transferred to a 30ml cup containing a small block of diet and lids were then placed on the cups (see Fig. 1).

Fig. 1. Test procedure for measuring ovicidal activity.




The moisture in the diet maintained a high humidity level in the cups. The % egg hatch was measured 4 days later.

Field trials

Small-scale field trials were conducted in several countries. For the trials reported here, a 50g a.i./litre e.c. formulation of XRD-473 was used. Comparisons were made with relevant standard compounds. All treatments were applied with backpack sprayers.

RESULTS

Larvicidal activity

The comparative activity of XRD-473 against various species of Spodoptera and Heliothis is summarized in Table 2. Not only was XRD-473 highly active against those Spodoptera species normally susceptible to acylureas, but it also had an equivalent level of activity against Heliothis spp.

XRD-473 and diflubenzuron were compared for their ability to inhibit feeding damage when applied at low dosages. Cotton leaves were treated by dipping into a dilution of both compounds. The leaves were infested with third instar S. exigua larvae which were allowed to feed on treated leaves for 1 and 2 days. They were then transferred to Petri dishes containing untreated leaves. The amount of damage was assessed 5 DAT. The results shown in Table 3 include only the two dosages bracketing the $LD_{50}$ after 2 days on treated foliage. At approximately equivalent mortality levels, XRD-473 resulted in significantly less feeding damage.

TABLE 2

Activity of XRD-473 for Spodoptera spp. and Heliothis spp. relative to other acylureas as indicated by their approximate $LC_{90}$ values (mg a.i./litre) against third instar larvae

| Compound | S. littoralis | S. exigua | H. virescens | H. armigera | H. zea |
|---|---|---|---|---|---|
| XRD-473 | 0.5 | 1.5 | 1.5 | <0.5 | 1.5 |
| Diflubenzuron | 17 | 18 | >100 | >100 | 400 |
| Triflumuron | 9 | 180 | >400 | 60-80 | >400 |
| Penfluron | 5 | 3 | >400 | -- | >400 |
| DOWCO 439 | 8 | 100 | >400 | 75 | >400 |

TABLE 3

Effect of XRD-473 and diflubenzuron on inhibition of feeding damage by third instar Spodoptera exigua larvae (5/leaf)

| Treatment | Dosage, mg/l. | Days on treated leaves | Days on untreated leaves | % Larval mortality | % Damage to untreated leaves * |
|---|---|---|---|---|---|
| XRD-473 | 2.5 | 1 | 4 | 55 | 40 |
| | 1.2 | 1 | 4 | 0 | 90 |
| Diflubenzuron | 50.0 | 1 | 4 | 30 | 100 |
| | 25.0 | 1 | 4 | 0 | 100 |
| XRD-473 | 2.5 | 2 | 3 | 70 | 0 |
| | 1.2 | 2 | 3 | 40 | 0 |
| Diflubenzuron | 50.0 | 2 | 3 | 80 | 10 |
| | 25.0 | 2 | 3 | 40 | 50 |
| Untreated check | -- | 0 | 5 | 0 | 100 |

These data show that, while XRD-473 kills by inhibiting moulting, it inhibits feeding much sooner than diflubenzuron. This suggests not only a more rapid knockdown effect--another of our goals--but also another biochemical effect in addition to inhibition of chitin synthesis.

Ovicidal activity

XRD-473 demonstrated excellent ovicidal activity in laboratory trials. Using the test method previously described, the effect of spray volume upon activity was investigated using H. zea and H. virescens. The activity of XRD-473 increased with volume (Table 4), probably a result of increased coverage. A similar volume effect was not found with chlordimeform.

TABLE 4

Effect of spray volume upon % mortality by XRD-473 of eggs of *Heliothis* spp.

| Treatment | Rate, g a.i./ha | Volume (litres/ha) | % Mortality | |
|---|---|---|---|---|
| | | | *H. zea* | *H. virescens* |
| XRD-473 | 70 | 187 | 100 | 100 |
| | | 94 | 100 | 100 |
| | | 23 | 90 | 54 |
| | 17.5 | 187 | 100 | 100 |
| | | 94 | 97 | 80 |
| | | 23 | 75 | 62 |
| Chlordimeform | 70 | 94 | 74 | 72 |
| | | 23 | 75 | 66 |
| Untreated check | -- | 94 | 9 | 5 |

Formulation was found to have a prominent effect on ovicidal activity (Table 5). The w.p. formulation was much less effective than the e.c. when applied at 94 litres/ha.

TABLE 5

Effect of formulation upon ovicidal activity of XRD-473 against *H. zea*

| Treatment | | | % Control |
|---|---|---|---|
| Compound | Formulation | Rate, g a.i./ha* | |
| XRD-473 | 50 g/l e.c. | 35 | 100 |
| | | 17.5 | 99 |
| | | 8.8 | 100 |
| | 10% w.p. | 35 | 51 |
| | | 17.5 | 55 |
| | | 8.8 | 72 |
| Methomyl | technical | 35 | 86 |
| | | 17.5 | 75 |
| | | 8.8 | 34 |

Relative humidity markedly affected ovicidal activity (Table 6). The critical level for high activity was 90 to 98% r.h.

TABLE 6

Effect of humidity upon ovicidal activity of XRD-473 against *H. zea* as shown by the % non-hatching eggs

| % r.h. | % Non-hatching eggs, Dose (g a.i./94 litres/ha) | | |
|---|---|---|---|
| | 70 | 17.5 | 0 |
| 100 | 100 | 98 | 25 |
| 98 | 86 | 82 | 4 |
| 90 | 24 | 6 | 4 |
| 85 | 8 | 26 | 16 |

When compared to some other acylureas under optimum conditions (100% r.h.), a much higher level of ovicidal activity was found (Table 7).

TABLE 7

Ovicidal activity of XRD-473 as % control against _Heliothis zea_ relative to other acylureas

| Compound | Dose (g a.i./94 litres/ha) | | | |
|---|---|---|---|---|
| | 280 | 70 | 17.5 | 4.4 |
| XRD-473 | 100 | 100 | 98 | 89 |
| Diflubenzuron | 42 | 29 | 10 | -- |
| Penfluron | 62 | 59 | 58 | 42 |
| Triflumuron | 82 | 65 | 58 | 16 |
| DOWCO 439 | 36 | 15 | 6 | -- |

Field Trials

The activity of XRD-473 against _Heliothis_ spp. was evaluated in a number of trials. To assess the potential for foliage-feeding _Heliothis virescens_, tobacco was infested with second instar larvae 1 day prior to treating. Applications at 187 litres/ha were made using a boom sprayer with one overhead, and two drop nozzles to ensure adequate coverage. Mortality counts were made 5 days later. The results are shown in Table 8.

TABLE 8

Activity of XRD-473 against _Heliothis virescens_ on tobacco

| Treatment | Rate, (g a.i./ha) | % Mortality |
|---|---|---|
| XRD-473 | 140 | 98 |
| | 35 | 93 |
| | 8.8 | 85 |
| | 2.2 | 67 |
| Fenvalerate | 140 | 91 |
| | 35 | 82 |
| | 8.8 | 20 |
| Untreated | -- | 6 |

Much poorer performance was obtained in a full-season trial on cotton. Plot sizes were 0.4 ha. The treatments were applied using a Hahn Hi-boy equipped with a 10-row boom with 21 TX-3 hollow cone nozzles on a 51-cm spacing, operating at a pressure of 261.8 kPa to apply 47 litres/ha. The plots had 20% damaged squares when the test was started. A total of five applications were made at approximately weekly intervals and the plots were scouted every 4-6 days. The results are summarized in Table 9.

We believe the relatively poor performance in this trial was due, firstly, to the test being started too late for a compound like XRD-473 to be effective; and, secondly, to heavy rainfall during the test which prevented timely applications.

TABLE 9

Results of full-season cotton trial with XRD-473

| Treatment | Rate, (g a.i./ha) | % Damaged Squares | | Total predators in 25 sweeps | Yield (kg/ha) |
|---|---|---|---|---|---|
| | | Initial scouting | Mean/last 5 scoutings | | |
| XRD-473 | 140 | 16 | 10 | 43 | 1957 |
| | 70 | 27 | 12 | 58 | 1660 |
| | 35 | 19 | 11 | 59 | 1664 |
| Fenvalerate | 140 | 12 | 4 | 1 | 2584 |
| | 70 | 19 | 7 | 0 | 2210 |
| Untreated | -- | 25 | 20 | 46 | 1463 |

To assess the potential of XRD-473 for cotton with optimal timing, a test was run in which H. virescens adults were released into screened cages (3 x 6 x 1.8m high) which were placed over the rows. The cotton was in the later stages of flowering and contained many immature bolls. The moths were left in the cages for 2 days, after which the cages were opened to allow them to disperse. The plants were treated 2 days later using a backpack sprayer and a spray volume of 47 litres/ha. The % control was determined 5 days later by comparing the numbers of live larvae in the treated and untreated plots (Table 10).

TABLE 10

Control of Heliothis virescens on cotton when XRD-473 was applied at the egg or early instar larval stage

| Treatment | Dosage (g a.i./ha) | % Control |
|---|---|---|
| XRD-473 | 140 | 87 |
| | 70 | 73 |
| | 35 | 79 |
| Fenvalerate | 140 | 75 |
| | 70 | 14 |

In this test, fenvalerate performed poorer than expected, possibly due to a heavy rain that occurred 3h after the application and continued intermittently for 2 days. These results suggested that timing will be very important in optimizing the activity of XRD-473 against bollworms. The data also showed that XRD-473, like other acylureas, was very resistant to wash-off.

DISCUSSION AND CONCLUSIONS

XRD-473 constitutes a second-generation acylurea. It is similar in properties and activity to other acylureas but, in addition, offers a wider activity spectrum, more rapid action, and the potential for increased contact ovicidal action. It can be used alone and has an excellent potential to be used in combination with more conventional insecticides such as chlorpyrifos.

When used as a larvicide to control foliage-feeding insects, application timing is less critical and will be determined by the level of feeding that is acceptable. While XRD-473 may act somewhat faster than other acylureas, it should not be used alone in situations which require fast knockdown. The ultimate potential of XRD-473 as an ovicide may be dependent upon humidity levels following application. Work is currently in progress to study and overcome this potential limitation.

For control of the bollworm complex on cotton, XRD-473 should be considered as a pest management tool, to be applied early in the season. The sprays should be targeted for eggs and newly emerged larvae--the goal of the spray program being to control initial infestations while maintaining high populations of predators. Delaying the need for less selective insecticides in this manner may reduce the number of sprays required because resurgence and secondary pest outbreaks will be less likely to occur. It may also reduce the selection pressure on the more widely used pyrethroids or organophosphorus compounds, possibly delaying the development of resistance.

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# ALKYL-PHOSPHONATES, A NOVEL FUNGICIDE FAMILY

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## ABSTRACT

Alkyl-phosphonates, coded BF-compounds, constitute a novel family of fungicides having activity against a number of species of fungi both in laboratory tests and in glasshouse experiments. Tests in vineyards and apple orchards also showed a significant effect against vine downy mildew (*Plasmopara viticola*), vine powdery mildew (*Uncinula necator*), apple scab (*Venturia inaequalis*), apple powdery mildew (*Podosphaera leuchotricha*) and some other powdery mildews. On tomato, the active ingredients were translocated and showed action against potato blight (*Phytophthora infestans*).

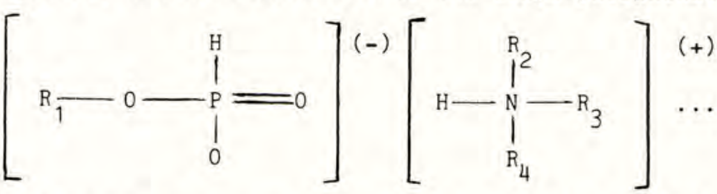
## INTRODUCTION

In our previous investigations we have discovered that many compounds belonging to alkyl-phosphonates have significant fungicide activity. Based on this fact we have taken out patents in certain countries (Borsod Chemical Works, 1980) for compounds indicated by the general structural formula (I) and codified as BF-X, where X is the BF-family serial number of the active ingredient. These alkyl-phosphonate derivatives were first synthesised in the Laboratory of Organic Chemistry of the Centre of Plant Protection and Agrochemistry of the Hungarian Ministries of Agriculture and Food (Csutak *et al*., 1983).

## PHYSICAL AND CHEMICAL PROPERTIES OF ALKYL-PHOSPHONATES

The above patents describe the general physical and chemical properties of members of the BF-family. In this report we summarise the properties of those compounds having the most significant fungicidal activity.

The general chemical structure of the alkyl-phosphonates is:




... (I)

Table 1 shows the chemical and physical features of those compounds of the BF-family of trilalkylammonium alkyl phosphonates for which the biological performances are described below. The systematic name of BF-51, for example, is 3-nonyloxypropylammonium methyl phosphonate.

### Formulations

Biological screening tests and field experiments were carried out with the formulations shown in Table 2.

TABLE 1

Chemical and physical properties of some BF-compounds

| BF-code | Chemical properties | | | | Physical properties |
|---|---|---|---|---|---|
| | $R_1$ | $R_2$ | $R_3$ | $R_4$ | |
| BF-51* | $-CH_3$ | -H | -H | $-C_3H_6-O-C_9H_{19}$ | Dense, yellow liquid, miscible with water. |
| BF-52 | $-C_2H_5$ | -H | -H | $-C_3H_6-O-C_9H_{19}$ | Dense, yellow liquid, miscible with water. |
| BF-88 | $-C_2H_5$ | -H | -H | $-C_3H_6-NH_2$ | Oil-like liquid, soluble in water. |
| BF-96 | $-C_2H_5$ | -H | -H | $-C_{14}H_{29}$ | m.p. 45-46°C. Water solubility 15g/litre. |
| BF-100 | $-C_2H_5$ | -H | -H | $-C_{10}H_{21}$ | Oil-like liquid, miscible with water. |
| BF-105 | $-C_2H_5$ | -H | $-CH_2CH(C_2H_5)(CH_2)_3CH_3$ | $-CH_2CH(C_2H_5)(CH_2)_3CH_3$ | Oil-like liquid. Water solubility 2.5 g/litre |
| BF-120 | $-C_2H_5$ | -H | -H | $-C_{12}H_{25}$ | m.p. 31-33°C. Water solubility 170 g/litre |

TABLE 2

Formulations of BF-compounds used to determine biological performance

| Code number | Formulation | % a.i. | Type of test | Comments |
|---|---|---|---|---|
| BF-51, BF-52 | w.s.c. | 46-70 | Laboratory<br>Greenhouse | |
| | | 95 | Field | |
| BF-88, BF-100 | Solutions in water | Various | Greenhouse | w.s.c. formulations being developed |
| BF-105 | e.c. | 25 | Laboratory<br>Greenhouse | |
| | | 25-40 | Field | |
| BF-120 | e.c. | 40% | Laboratory<br>Greenhouse<br>Field | |

Toxicology From tests carried out by the Toxicological Laboratory of the Hungarian Ministries of Agriculture and Food (Anon. 1982) we can say that the toxicological properties of these chemicals are very favourable. Some toxicological data of BF-51 are summarized in Table 3.

TABLE 3

Acute toxicity of BF-51 to rats

| Route administered | Assessment | Dose |
|---|---|---|
| Oral | $LD_{50}$ p.o. | 1700±123 mg/kg |
| Intraperitoneal | ALD i.p. | 55 mg/kg |
| Dermal | ALD derm | 4700 mg/kg |
| Inhalation | $LC_{50}$ | 900 $mg/m^3$ |

Mutagenic or carcinogenic effects of BF-51 have not been observed. Toxicological properties of the other compounds tested of the BF-family are similar to those of BF-51. No toxicological problems have become apparent that are likely to be an impediment to developing these compounds as fungicides.

## RESULTS OF BIOLOGICAL TESTS

The fungicidal effects of alkyl-phosphonates were first established in laboratory and glasshouse tests. The fungi used for these experiments (Tables 4 and 5) were selected from various physiological strains of the plant pathogens occurring in Hungary and where relevant, the methods described by Szentgyőrgyi et al (1982) were used.

### Laboratory tests

The in vitro tests examined the effects of the chemicals on apple scab (Venturia inaequalis), botrytis (Botrytis cinerea) and wheat wilt (Fusarium graminearum). The fungi were grown on agar medium containing specific nutritents for each species. Chemicals were homogenized into the media at concentrations of 1-1600 mg a.i./litre. Small inocula (5 mm diameter) of different fungus spp were put on the surfaces of media and after a suitable incubation period the mycelial growth of these inocula was measured. The % differences between the growth on treated and non-treated media showed the effect of fungicides on the mycelial growth of pathogens. Tests were carried out with four replications of treatments. Table 4 summarizes these results.

### Greenhouse tests

The results obtained from in vivo greenhouse experiments were of greater interest and importance than the laboratory tests. The principal test organisms used in the greenhouse experiments were Phytophthora

TABLE 4

The lowest concentration (mg a.i./litre) observed to give total inhibition of mycelial growth in laboratory screening tests of some BF-compounds

| Pathogen | Code number | | | |
|---|---|---|---|---|
| | BF-51 | BF-52 | BF-105 | BF-120 |
| Venturia inaequalis | 10 | 10 | 50 | 100 |
| Botrytis cinerea | 400 | 400 | 200 | 400 |
| Fusarium graminearum | 400 | 400 | - | - |

infestans, Plasmopara viticola, and powdery mildews of, for example, cereal (Erysiphe graminis), cucumber (E. cichoracearum), vine (Uncinula necator) and apple (Podosphaera leucotricha). In some cases, however, apple scab was also used. The appropriate host plants were infected

TABLE 5

The lowest concentrations (mg a.i./litre) observed to give total inhibition of fungicidal activity

| Pathogen | Code number | | | | | | |
|---|---|---|---|---|---|---|---|
| | BF-51 | BF-52 | BF-88 | BF-96 | BF-100 | BF-105 | BF-120 |
| Phytophthora infestans | 500 | 500 | - | 500 | 500 | 500 | 500 |
| Plasmopara viticola | 50 | 50 | - | 50 | 100 | 100 | - |
| Venturia inaequalis | 10 | 10 | - | - | - | 50 | 100 |
| Uncinula necator | 300 | - | - | 200 | - | - | 200 |
| Erysiphe graminis | - | - | 500 | - | - | 200 | - |
| E.cichoracearum | 100 | - | - | 500 | 100 | - | 500 |
| Podosphaera leucotricha | - | 100 | 500 | 400 | 400 | - | - |

artifically with the pathogens and the chemicals were applied as foliar sprays, treatments being replicated four times. The results are shown in Table 5.

Field tests

Field experiments were carried out with those BF-compounds which had a good activity against pathogens of vine and apple. The chemicals tested were BF-51, BF-96, BF105 and BF120 in vineyards and BF-51 in apple orchards. In these experiments, carried out in several regions of Hungary, the dimension of field plots varied between 0.2 to 0.5 ha and there were four replications of treatments. In general 8-10 applications were necessary for successful control of the pathogens. The results are summarised in Table 6.

TABLE 6

Effect of BF-compounds on pathogens of grapevine and apple in field tests. The results are the lowest concentrations (g a.i./litre) giving virtually complete control of the pathogens.

| Code number | Host plant and pathogen | | | | |
|---|---|---|---|---|---|
| | Grape vine | | | Apple | |
| | P. viticola | U. necator | B. cinerea | V. inaequalis | P. leucotricha |
| BF-51 | 3 | 0.25 | - | 1.0 | 1.0 |
| BF-96 | - | 0.25 | - | - | - |
| BF-105 | - | - | 2.0 | - | - |
| BF-120 | - | 2.0 | - | - | - |

The results in Table 6 show that BF-51 and BF-96 were more active against pathogens of the grape-vine, especially U. necator, than was expected from the greenhouse investigations (Table 5). In consequence of this we hope that there will be no difficulties in registering these fungicides with the Hungarian Ministries of Agriculture and Food.

MODES OF ACTION

From the laboratory in vitro tests it is apparent that these chemicals have strong contact fungicidal effects on the different pathogens. However, in the tests carried out with tomato as host-plant and Phytophthora infestans as the pathogen we found evidence of the systemic movement of some of these materials. Different isolated parts of the host-plant were sprayed with BF-51, BF-105 and BF-120 and inoculated with the pathogen. Spraying and inoculation were done at different times and on different parts of the host-plant. These experiments demonstrated both acropetal and basipetal translocation of the compounds tested.

DISCUSSION

In recent years the Borsod Chemical Works has paid great attention to the investigation and development of alkyl-phosphonates. Together with the Plant Protection and Agrochemical Centre of Hungarian Ministries of Agriculture and Food, we have found several compounds with interesting fungicide properties (Kiss, 1982). Some of the compounds have shown potent fungicidal activity, not only in laboratory and glasshouse tests but also in field experiments. The results indicate that BF-51 is one of the most active chemicals in this family and that it is useful as a foliar spray against the pathogenic fungi of grape-vine or apple. Furthermore, we hope that BF-51, BF-96 and BF-105 might be successful fungicides for protecting grape-vines against the three most important pathogens, Plasmopara viticola, Botrytis cinerea and Uncinula necator.

Experiments are in progress, and will continue, to explore the control of other plant diseases and to elucidate the mode of action of these fungicides. On the basis of our results we hope that among the BF-family there will be some fungicidal compounds suitable for use in agriculture.

ACKNOWLEDGEMENTS

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# LAB 149 202 F, A NEW FUNGICIDE FOR THE CONTROL OF PLANT DISEASES CAUSED BY OOMYCETES

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ABSTRACT

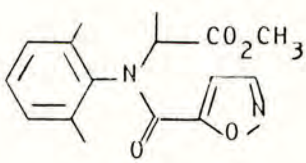
LAB 149 202 F, a isoxazolylcarboxylic-anilide, is a new systemic fungicide for the control of air- and soil-borne diseases caused by fungi of the class Oomycetes. Its effectiveness and good plant compatability following foliar or soil application or seed treatment have been confirmed in both greenhouse and field experiments in the last few years. The results of some of these experiments are presented and their significance discussed.

## INTRODUCTION

Methyl N-isoxazol-5-yl-N-(2,6-xylyl)-DL-alaninate is a new fungicide belonging to the acylalanine group (Schwinn, 1981). It has been synthesised in the main laboratory of BASF AG and patented (BASF, 1979). It will be referred to as LAB 149 202 F.

## CHEMICAL AND PHYSICAL PROPERTIES

The active material has been assigned the following structure:




Its empirical formula is $C_{16}H_{18}N_2O_4$ and its molecular weight is 302.3. It is a colourless, crystalline powder with a melting point of 94-95°C. It has no intrinsic smell and its vapour pressure at 20°C is less than $1 \times 10^{-7}$ mm Hg. Its solubility in water is low, at 20°C $1.8 \times 10^{-2}$ g/100 ml, but it dissolves readily or very readily in most organic solvents.

## BIOLOGICAL PROPERTIES

Information is given showing the fungicidal properties and dealing with the safety of LAB 149 202 F on soil microbial activity.

## MATERIALS AND METHODS

The experiments presented here vary in the way they were carried out according to the crop and disease concerned. Most of them were carried out with a 25% w.p. formulation using standard techniques. High volume sprays were used for foliar application.

## RESULTS AND DISCUSSIONS

In the last 3 years LAB 149 202 F has been tested worldwide against the relevant spectrum of plant diseases in many crops. Some results of these experiments are presented here.

Effectiveness against air-borne diseases

In crops like grapes, vegetables and horticultural plants air-borne diseases caused by Oomycetes are readily controlled by LAB 149 202 F as shown in Table 1-3.

Downy mildew of vine

TABLE 1

Control of downy mildew on vine leaves (*Plasmopara viticola*) in field trials, Limburgerhof, FRG (1982), spontaneous infection. 4 Foliar sprays were applied at 2-week intervals on 4-year-old plants in 4 replications, 8 plants/plot.
(Scale 1 = nil, 9 = more than 70% attack)

| | Concentration (g a.i./litre) | DAT | | |
|---|---|---|---|---|
| | | 9 | 20 | 29 |
| LAB 149 202 F | 0.25 | 1.0 | 1.3 | 2.8 |
| Metalaxyl | 0.25 | 1.0 | 1.3 | 2.8 |
| Untreated | 0 | 5.7 | 6.5 | 6.9 |

These results show that the persistance of effectiveness against *Plasmopara viticola* is comparable with that of metalaxyl (Schwinn et al. 1977).

Downy mildew of sunflower

TABLE 2

Effect on downy mildew on sunflower leaves (*Plasmopara halstedii*) as indicated by the % leaf area attacked 9 DAT. In greenhouse experiments 50 ml per pot were used as soil-drench 1 day prior to artifical leaf infection.

| | Concentration (g a.i./litre) | % Leaf area affected |
|---|---|---|
| LAB 149 202 F | 0.25 | 0 |
| LAB 149 202 F | 0.125 | 0 |
| Untreated | 0 | 75 |

This result demonstrated the acropetal translocation of the active ingredient of LAB 149 202 F.

Late blight of potato

TABLE 3

Control of leaf infection by late blight (Phytophthora infestans) on potato in field tests, Limburgerhof, FRG (1982), spontaneous infection. 2 Foliar sprays were applied 2 weeks apart. 3 Replications were used with 8 plants/plot. (Scale 1 = nil, 9 = more than 70% attack)

| | concentration (g a.i./litre) | DAT | | |
|---|---|---|---|---|
| | | 7 | 14 | 21 |
| LAB 149 202 F | 0.25 | 1.0 | 1.3 | 1.7 |
| Metalaxyl | 0.25 | 1.0 | 1.7 | 2.0 |
| Untreated | 0 | 4.5 | 7.6 | 9.0 |

In further experiments under severe disease conditions significant increases in the yield of potatoes were achieved at an application rate of 250 g a.i./ha LAB 149 202 F. Similar success was obtained in controlling Phytophthora cinnamomi on avocados and Peronospora parasitica on broccoli. Its high level of activity makes LAB 149 202 F very useful for the control of air-borne diseases caused by Oomycetes. It is notable for its systemic activity and long period of effectiveness.

In recent years resistance problems have arisen where acylalanines alone have been used to control some air-borne diseases (Davidse et al., 1981; Georgopoulos and Grigorin, 1981). The development of LAB 149 202 F alone is therefore not envisaged, instead it is intended to proceed with combinations of LAB 149 202 F with fungicides from other chemical groups.

Effectiveness against soil-borne diseases

The cause of damping-off in the experiments shown in Table 4-6 was soil infection mainly with Pythium spp.

Damping-off in peas

TABLE 4

Control of damping-off in peas using seed treatments by applying 25 g a.i./100 kg seed. In field tests, Greenville, USA (1982), 4 replications were laid out with 4 $m^2$/plot.

| | % germinated seedlings 43 days after sowing |
|---|---|
| LAB 149 202 F | 90.7 |
| Metalaxyl | 92 |
| Untreated | 69.5 |

TABLE 5

Effect of soil treatments on damping-off in peas as indicated by % germinated seedlings. In greenhouse experiments, Limburgerhof, FRG, naturally infected soil was used.

| | Concentration (g a.i./kg soil) | Days after sowing | |
|---|---|---|---|
| | | 14 | 21 |
| LAB 149 202 F | 0.2 | 100 | 100 |
| LAB 149 202 F | 0.1 | 90 | 90 |
| Untreated | 0 | 0 | 0 |

Damping-off in cotton

TABLE 6

Control of damping-off in cotton using seed treatments with 12.5 g a.i./ 100 kg seed. In greenhouse tests, Dinuba, USA (1982), sterile soil was artificially infected with Pythium ultimum. Per tray 25 seeds were sown with 1 replication.

| | % Germinated seedlings 14 days after sowing |
|---|---|
| LAB 149 202 F | 86 |
| Metalaxyl | 80 |
| Untreated | 5 |

To protect crops from damping-off caused by Pythium spp. LAB 149 202 F can be applied both as seed and as soil treatment. Similar good results were obtained also in sugarbeet and groundnuts when Pythium spp. were the pre-dominant pathogens.

Root rot of green peppers

The effects on root rot of green peppers (Phytophthora capsici) were examined in greenhouse experiments, Utrera, Spain (1982). 2 soil drenches (0.25 g a.i./litre, 100 ml/plant) were applied 1 day after artificial infection and again 1 week later. 4 replications were used with 6 plants/ plot. 14 days after last treatment no green pepper plant showed disease symptoms, whereas all untreated ones were attacked. This demonstrated the curative effect of LAB 149 202 F.

Soil-borne diseases caused by Oomycetes can thus be effectively controlled by seed dressing, soil drenching or treatment with LAB 149 202 F. Plant compatability in the crops yet tested is very good and no phytotoxic effects have been observed.

Effects on microbial activity in soil

The effects of LAB 149 202 F on the microbial activity of the soil were measured according to the method of Isermeyer (carbon dioxide release) and following the instructions issued by the association of German Agricultural Investigation and Research Institutes (Hoffmann, 1974) (enzyme activity).

TABLE 7

Effect of a concentration of 100 mg a.i. LAB 149 202 F/kg soil on the microbial activity as shown by relative values (untreated = 100).

| | Soil type | | | | | |
|---|---|---|---|---|---|---|
| | Sandy soil with humus DAT | | | Loamy soil DAT | | |
| Activity | 1 | 21 | 42 | 1 | 21 | 42 |
| Respiration | 132 | 142 | 70 | 93 | 94 | 70 |
| Dehydrogenase | 94 | 85 | 107 | 95 | 87 | 106 |
| Nitrification | - | 94 | 100 | - | 89 | 100 |

Other enzymatic activities in soil, such as that of catalase, amylase or protease, remained unaltered after the incorporation of 100 mg a.i. LAB 149 202 F/kg in comparison with untreated soil. Several soil types were tested and the general microorganism population of the soil was not detectably altered by LAB 149 202 F.

SUMMARY

LAB 149 202 F is a new fungicide with a very high degree of effectiveness and a long lasting effect against air-borne and soil-borne diseases caused by fungi of the class Oomycetes. Of these, fungi of the species Pythium, Phytophthora, Plasmopara, Bremia, Pseudoperonospora and Peronospora are particularly well controlled.

LAB 149 202 F can be taken up by the plant both via the leaves and through the roots. Inside the plant translocation of the active material is acropetal.

When used as a seed treatment, as a foliar application or applied via the soil in crops such as grapes, fruit, vegetables and in horticultural plants the plant compatability is excellent. No phytotoxicity has occurred in tests at normal application rates.

The fungicide is environmentally safe to use because the activity of non-pathogenic soil microorganisms is not affected.

ACKNOWLEDGEMENTS

Our thanks are due to all our co-workers and colleagues who have worked with us to develop this product, especially to Dr. Fischer, Dinuba, Dr. Schröder, Greenville and Mr. Schelberger, Utrera who carried out a number of experiments.

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# JH 388, A NEW COMPOUND WITH PROMISING ACARICIDE ACTIVITY

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## ABSTRACT

JH 388, 8-(4-decyloxyphenoxy)oct-2-oxa-4-yne, is a compound of novel structure with promising acaricidal activities. It gives good control of tetranychids, acting mainly as an ovicide. It is characterised also by being highly safe to mammals, wildlife, fish, honey-bees and beneficial arthropods. Most relevant results of the experimentation conducted up to now are reported.

## INTRODUCTION

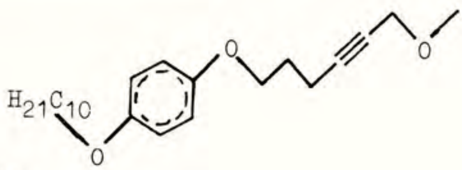
JH 388 was discovered in Montedison Research Institute Guido Donegani, as one of a series of alkyl,aryl-ether compounds having juvenile-hormone-like effect.

## CHEMICAL AND PHYSICAL PROPERTIES

Chemical name : 8-(4-decyloxyphenoxy)oct-2-oxa-4-yne

Code no. : JH 388

Structural formula :




Molecular formula : $C_{23}H_{36}O_3$

Molecular weight : 360.52

Physical state : Solid; a white powder at room temperature

Melting point : 32°C

Vapour pressure : $4 \times 10^{-8}$ mm Hg at 25°C

Solubility : a) water : 0.5 mg/litre at 22°C

b) readily soluble in solvents, such as methanol, acetone, acetonitrile, n-hexane, methylene chloride, etc.

Stability to hydrolysis : Stable in acidic, neutral and basic media.

## FORMULATION

An e.c. of 182g a.i./litre (200g/kg) is available for experimental purposes. It is compatible with all major adulticide acaricides and insecticides.

TOXICOLOGICAL PROPERTIES

Technical material

Mammals

Acute oral on rat (Ratus norvegicus var. albinus) ♂ and ♀
$LD_{50} > 5000$ mg/kg (no mortality at this level)

Acute oral on mice (Mus musculus var. albinus) ♂ and ♀
$LD_{50} > 5000$ mg/kg (no mortality at this level)

Acute dermal on rat (Ratus norvegicus var. albinus) ♂ and ♀
$LD_{50} > 5000$ mg/kg (no mortality at this level)

Birds

Common quail (Coturnix coturnix) : acute oral $LD_{50} > 5000$ mg/kg (no mortality at this level)

dietary (5 day exposure)
$LC_{50} > 5000$ mg/kg diet

Fish

Goldfish (Carassius auratus) : 96 h static test $LC_{50} > 20$ mg/l

Guppy (Lebistes reticulatus) : 96 h static test $LC_{50} > 20$ mg/l

Mutagenicity

AMES test with and without metabolic activation : negative

Irritation

Albino New Zealand rabbits (Oryctolagus cuniculus var. albinus)
(Draize procedure).
Primary eye : not irritating
Primary skin : not irritating

Formulated product

Mammals

Acute oral on rat ♂ and ♀ $LD_{50}$ about 10 000 mg/kg

BIOLOGICAL PROPERTIES IN LABORATORY TESTS

Activity on mites eggs

Leaf discs cut from bean, apple and lemon were infested with adult females of the two-spotted red spider mite (Tetranychus urticae), European red spider mite (Panonychus ulmi) and citrus red mite (Panonychus citri) respectively. After egg deposition, adults were removed and discs were dipped in an aqueous acetone solution of the technical product. Counts of unhatched eggs were made when untreated groups had completed emergence. Treated discs were held on moistened cotton at 24 ± 1°C and 60 ± 5% r.h., continuously illuminated by daylight-type fluorescent tubes. Each treatment included four replicates and data reported in Table 1 include results from several tests.

TABLE 1

% Mortality of mite summer eggs dipped in an aqueous acetone solution of JH 388.

| Mite species | | Resistance status* | Concentration (mg a.i./litre) | | |
|---|---|---|---|---|---|
| | | | 10 | 5 | 1 |
| T. urticae | (laboratory strain) | susceptible | 100 | 100 | 92 |
| P. ulmi | (field strain) | resistant | 100 | 78 | 33 |
| P. citri | (field strain) | susceptible | 100 | 100 | 78 |

*to organo-phosphorus compounds.

Activity on juvenile forms

The sensitivity of the different developmental stages was studied on T. urticae. The stages were obtained successively for testing from eggs laid simultaneously. Activity tests against the postembryonic stages were carried out using dipping method and under the same conditions as the ovicidal tests. The results are reported in Table 2. Immature stages I, II and V were the most susceptible and the teleiochrysalis, stage VI, the least so.

TABLE 2

% Mortality of mite juvenile forms of T. urticae dipped in an aqueous acetone solution of JH 388.

| Immature stages | | Concentration (mg a.i./litre) |
|---|---|---|
| | | 500 |
| I | larva | 100 |
| II | nymphochrysalis | 100 |
| III | protonymph | 92 |
| IV | deutochrysalis | 79 |
| V | deutonymph | 99 |
| VI | teleiochrysalis | 20 |

FIELD EVALUATION

After the preliminary screening conducted in 1980, JH 388 was widely tested in the field in 1981 and 1982, mainly against the winter and summer eggs of P. ulmi and against T. urticae.

Efficacy values reported in Tables 3, 4, 7 and 8 were corrected according to Henderson and Tilton formula (1955), while for Table 5 Abbott's formula was adopted. All statistical evaluations have been carried on arcsin $\sqrt{x}$ transformed data.

Trials on P. ulmi - winter eggs

A series of trials was conducted in Italy, France, Spain and the U.S.A. to determine the most suitable application time and the effective rates. Activity on winter eggs was assessed on apple trees from commercial orchards by counting hatched eggs on 10-15 segments of branches cut from each replicate. Three or four replicates (generally of one tree each) were established for each trial. The applications at the dormant stage of the buds, by motorised knapsack sprayer up to run-off, though effective, did not achieve the best performances. Good control was obtained when applications took place within bud-swelling and pre-pink stages, when the green tips were about 12 mm. The results are reported in Table 3. The mean number of eggs refers to 10 samples of branches each about 10 cm long cut from each replicate.

TABLE 3

Control of winter eggs of P. ulmi on apples in Italy (Lombardia and Emilia Romagna) 1981.

| Trial | Product | Concentration (g a.i./ 100 litres) | Application stage | Mean no. of unhatched eggs | | % Mortality* |
|---|---|---|---|---|---|---|
| | | | | Pre-spray 2 April | 20 DAT | 20 DAT |
| I | JH 388 20 e.c. | 50 | bud-swelling | 287 | 255 | 87.31 a |
| | Refined oil | 1600 | " " | 189 | 145 | 73.51 b |
| | Untreated | - | -- | 264 | 32 | -- |
| | | | | 4 April | 21 DAT | 21 DAT |
| II | JH 388 20 e.c. | 50 | bud-swelling | 280 | 265 | 93.42 a |
| | Refined oil | 1600 | " " | 311 | 250 | 75.91 b |
| | Untreated | - | -- | 533 | 99 | -- |
| | | | | 9 April | 14 DAT | 14 DAT |
| III | JH 388 20 e.c. | 50 | pre-pink | 249 | 219 | 86.23 a |
| | Refined oil | 1600 | " " | 292 | 205 | 65.95 b |
| | Untreated | - | -- | 184 | 23 | -- |

*(Difference significant P = 0.05)

TABLE 4

Control of summer eggs of P. ulmi on apples in Italy (Lombardia) in 1981.

| Miticide a.i. | Miticide Formulation | Concentration (g a.i./ 100 litres) | Mean no. of unhatched eggs /100 leaves Pre-spray 12 June | Mean no. of unhatched eggs /100 leaves 27 DAT | % Mortality* 27 DAT |
|---|---|---|---|---|---|
| JH 388 | 20 e.c. | 10 | 993 | 902 | 90.03 a |
| | | 30 | 836 | 781 | 92.84 a |
| | | 50 | 687 | 640 | 92.56 a |
| Chlordimeform | 20 e.c. | 40 | 686 | 533 | 75.75 b |
| Untreated | | - | 885 | 71 | -- |

*(Difference significant P = 0.05)

After 2 years of experimentation it can therefore be stated that, to control winter eggs, a concentration of about 50 g a.i./100 litres applied up to run-off is required, while summer eggs have been controlled by lower concentrations.

## Control of P. ulmi populations

Though JH 388 is considered to be mainly an ovicidal miticide, it also has some activity against motile forms. It is therefore likely to be more effective for overall reduction of populations than if it were solely an ovicide. The suppression of P. ulmi populations in Italy in 1981 and in the U.S.A. in 1982 is reported in Tables 5 and 6.

## Control of T. urticae

Trials were conducted mainly in Italy to investigate the efficacy of JH 388 against the two-spotted mite on outdoor vegetable crops. High levels of activity were observed (Tables 7 and 8). Very good control of this mite was also achieved in Brazil on cotton and both in Europe and in South America on snap-bean. Complete control (100% mortality 7 DAT) was obtained also in 1982 trials carried out in Rumania on green peppers using a concentration of 15 g a.i./100 litres. JH 388 also performed highly satisfactorily in Japan where, in 1982 screening tests, it was in class A.

JH 388 can also control the motile forms of T. urticae, though to a lesser degree than those of P. ulmi.

In all the trials JH 388 was applied by spraying to run-off.

TABLE 5

Control of P. ulmi population on apples in Italy (Veneto) in 1981, 14 and 32 days after treatment.

| Miticide a.i. | Miticide Formulation | Concentration (g a.i./ 100 litres) | Mean no. of motile forms /25 leaves | % Reduction of mites* |
|---|---|---|---|---|
| | | | 14 DAT | 14 DAT |
| JH 388 | 20 e.c. | 30 | 101 | 84.98 a |
| | | 50 | 55 | 87.50 a |
| Propargite | 57 e.c. | 50 | 10 | 87.24 a |
| Untreated | | - | 1530 | -- |
| | | | 32 DAT | 32 DAT |
| JH 388 | 20 e.c. | 10 | 31 | 96.1 a |
| | | 30 | 38 | 95.2 a |
| Cyhexatin | 25 w.p. | 30 | 19 | 99.4 a |
| Untreated | | - | 790 | -- |

*(Not significant P = 0.01)

TABLE 6

Control of P. ulmi population on apples in the U.S.A. (New York State) in 1981.

| Miticide a.i. | Miticide Formulation | Concentration (g a.i./ 100 litres) | % Reduction 21 DAT |
|---|---|---|---|
| JH 388 | 20 e.c. | 25 | 98.9 |
| Cyhexatin | 50 w.p. | 15 | 97.1 |

TABLE 7

Control of eggs of T. urticae on watermelon in Italy (Lombardia) in 1980.

| Miticide a.i. | Formulation | Concentration (g a.i./ 100 litres) | Mean no. of unhatched eggs /replicate Pre-spray 22 Sept. | 11 DAT | % Mortality* 11 DAT |
|---|---|---|---|---|---|
| JH 388 | 20 e.c. | 10 | 165 | 128 | 69.81 a |
| | | 50 | 180 | 151 | 78.31 a |
| Chlordimeform | 20 e.c. | 40 | 145 | 116 | 73.08 a |
| Untreated | | - | 175 | 45 | -- |

*(Not significant P = 0.01)

TABLE 8

Control of eggs of T. urticae on eggplant in Italy (Veneto) in 1981.

| Miticide a.i. | Formulation | Concentration (g a.i./ 100 litres) | Mean no. of unhatched eggs/18 leaves/replicate Pre-spray 27 August | 11 DAT | Mortality* 11 DAT |
|---|---|---|---|---|---|
| JH 388 | 20 e.c. | 30 | 355 | 341 | 95.1 a |
| Chlordimeform | 20 e.c. | 40 | 391 | 354 | 88.2 b |
| Untreated | | - | 364 | 71 | -- |

*(Difference significant P = 0.05)

Control of other pests

Screening trials in South Africa have shown good activity against carmine spider mite (Tetranychus cinnabarinus) on citrus. Preliminary results obtained from Spain against Brevipalpus phoenicis, though to be confirmed, and from Brazil against Oligonychus ilicis, also look promising.

Furthermore, 1982 trials in Italy showed some activity against sucking insects, including Aleyrodidae, Aphididae and Psyllidae. Promising results were also obtained when JH 388 was applied in mixture with pyrethroids (e.g. cypermethrin and fenvalerate).

SAFETY IN USE

Safety to crops

No phytotoxicity has been observed in the field trials on apples, pears, peaches, grapes, cotton, citrus, coffee,beans, eggplants, peppers, watermelons, carnations, gerbera and primroses.

Safety to honey-bees

JH 388 presents no risk to honey-bee (Apis mellifica) workers by contact, fumigation or single oral dose. Laboratory tests have given the following results:

Contact
No mortality by a 30 g a.i./litre concentration (6 to 10 times the suggested field rate) after 7 days exposure.

Fumigation
No mortality by a 30 g a.i./litre concentration after 7 days exposure.

Oral toxicity
$LD_{50}$ (72 h) by oral intake in 50% sucrose $>$ 100 µg/bee.

Safety to predator mites

A slide-dip test in the U.S.A. showed very low toxicity against Amblyseius fallacis, and a residual effect against P. ulmi adult females about four times greater.

No adverse effect was recorded against azinphos-resistant strains of Typhlodromus pyri collected from the field or against a laboratory strain of A. fallacis in tests conducted in the U.S.A.

A series of tests in Italy on Phytoseiulus persimilis (Caprioli et al., 1983) showed very good selectivity of JH 388 towards this predator mite.

CONCLUSIONS

The results of the experimentation conducted up to now have confirmed the activity of JH 388 principally as an ovicidal miticide. In fruit crops a concentration of about 50 g a.i./100 litres seems to be necessary to achieve good control of mite winter eggs, while good results have been obtained on summer eggs at lower concentrations. In field crops as well, rates lower than 50 g a.i./100 litres gave good ovicidal activity. However, to achieve a more complete control of mite populations by summer applications, it is advisable to mix JH 388 with an adulticide. JH 388 is readily compatible with other active ingredients, including pyrethroids, to enable a complex pest spectrum to be dealt with by a single treatment.

The unusually low toxicity of the compound towards wildlife and beneficial arthropods makes JH 388 a potentially useful tool for integrated pest management systems.

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# A NEW CHITIN SYNTHESIS INHIBITOR CGA 112'913: ITS BIOCHEMICAL MODE OF ACTION AS COMPARED TO DIFLUBENZURON

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## ABSTRACT

*In vitro* and *in vivo* inhibition measurements of chitin synthesis in larvae of *Spodoptera littoralis* were performed with the new chitin synthesis inhibitor CGA 112'913 (IKI-7899, 1-[3,5-dichloro-4-(3-chloro-5-trifluoromethyl-2-pyridyloxy)phenyl]-3-(2,6-difluorobenzoyl)urea) and diflubenzuron. The results show that the better toxicological performance of CGA 112'913 in comparison to diflubenzuron correlated with a longer half-life of its inhibitory action in the larvae.

## INTRODUCTION

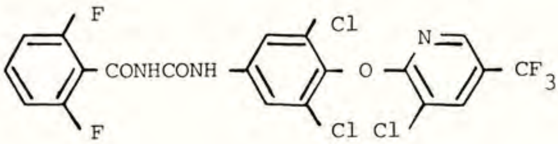
The benzoyl-urea compounds represent a group of insecticides with a common primary target. They inhibit the synthesis of chitin (Post & Vincent 1973). One of them, code number CGA 112'913 (IKI-7899, ISHIHARA SANGYO KAISHA LTD., Japan) amongst other qualities has excellent activity against pest insects in cotton (Haga et al 1982 , Scheurer et al in press). This paper provides the physicochemical and toxicological properties of CGA 112'913, reports studies which were undertaken to gain a better insight into the peculiarities of its mode of action as compared to diflubenzuron, and confirms its action against Noctuidae in field trials.

## TECHNICAL DATA

Chemical name: : 1-[3,5-dichloro-4-(3-chloro-5-trifluoromethyl-2-pyridyloxy)phenyl]-3-(2,6-difluorobenzoyl)urea

Molecular formula : $C_{20}H_9Cl_3F_5N_3O_3$

Structural formula:




Molecular weight : 540,66

Appearance : colourless crystal, odourless

Melting point : 228°C, decomposition

Vapour pressure : $< 10^{-8}$ Pa at 20°C

Solubility at 20°C:

| | |
|---|---|
| Water < 0.01 mg/l | Cyclohexanone 110 g/l |
| Methanol 2.5 g/l | Methylene chloride 22 g/l |
| i-Propanol 7 g/l | Toluene 6.5 g/l |
| n-Octanol 1 g/l | Xylene 2.5 g/l |
| Acetone 55 g/l | Hexane < 10 mg/l |

Stability: good photolytic and hydrolytic stability

Toxicity: acute oral LD50 mouse: 7000 mg/kg
acute oral LD50 rat : 8500 mg/kg
acute dermal LD50 rat: 1000 mg/kg

MATERIALS AND METHODS

Chemicals

14C-Diflubenzuron (U-aniline, 1.72 mCi/mmol) was provided by DUPHAR B.V., 's-Graveland, Holland. 14C-CGA 112'913 (U-benzoyl-ring, 15.9 mCi/mmol), the nonradioactive diflubenzuron, and CGA 112'913 were synthesized in the laboratories of CIBA-GEIGY LTD., D-14C-Glucose (U, 255 mCi/mmol) was purchased from the Radiochemical Centre, Amersham, United Kingdom.

Inhibition of chitin synthesis in vitro

Sixth instar larvae of *S. littoralis* were submerged in cold Hoyle-Ringer medium (Hoyle 1953) and cut longitudinally into equal halves. The organs were removed and the cuticulae washed twice with fresh saline medium. The two halves were transferred to separate tubes containing 0.4 ml medium supplemented with 10 µCi glucose. The required amount of inhibitor was added to one of the tubes prior to incubation and the other tube was run as a control. After incubation for 100 min at 25°C with shaking, the cuticules were washed with 100 g/litre KOH, homogenized in an all glass Potter-Elvehjem tube together with 0.5 ml of the KOH solution and kept at 95°C for 60 min. 1 ml of water was added, the chitin spun down at 1500 x *g* and the supernatant removed. The pellet was washed twice with the KOH solution and finally with water. Liquid scintillation counting was performed on a Packard Model 3255 instrument. Insta-Gel was used as fluorescent medium.

Inhibition of chitin synthesis in vivo

3 h after moulting, fifth instar larvae of *S. littoralis*, reared on an artificial diet, were narcotized with $CO_2$ and 5 µl volumes of the inhibitors dissolved in 5% aqueous DMSO were injected into one of the last abdominal legs. 2 µCi of glucose was injected in the same way at various times after the administration of the inhibitors. After 1 h, glucose incorporation was stopped by deep-freezing the larvae. For the measurement of the glucose incorporated into chitin, the guts were removed from the larvae and the cuticulae treated as above.

Retention of the radiolabelled inhibitors

3 h after moulting, fifth instar larvae were injected as above with radioactive CGA 112'913 or diflubenzuron. The larvae were kept individually in small capsules which contained a small cube of artificial diet, and were transferred to new capsules periodically. Frass, the remaining diet and the larvae were combusted in an Intertechnique IA 101 incinerator and radioactivity was measured. Recovery was better than 95%.

Mortality tests

Fifth instar larvae were exposed to the active ingredients 3 h after moulting, and kept on an articifial diet up to the next moult which occurred after 4 to 5 days. The larvae were injected either *per os* or into one of the last abdominal legs with 5 µl volumes of the compounds dissolved in

5% aqueous DMSO. For the feeding tests, the compounds were dissolved in DMSO and included into the artificial alginate-based diet with vigorous mixing just before solidification. Final DMSO concentration in the diet was 0.4%.

Field trials

The field trials were carried out in 1982 in Greenville, Mississippi, US. Cotton field plots of 800 $m^2$ were treated with low volume sprays (50 litre/ha) at the following dates: 13.8., 19.8., 26.8., 6.9., and 17.9.

RESULTS

Laboratory studies

A comparison of the in vitro inhibition of chitin synthesis by CGA 112'913 and diflubenzuron against late instar larvae of S. littoralis showed that 50% inhibition was induced by CGA 112'913 and diflubenzuron at concentrations of 125 and 1.25 µg/litre, respectively. This in vitro data was a measure for the intrinsic activities of these compounds, and showed that CGA 112'913 was 100 times weaker in inhibiting chitin synthesis than diflubenzuron. This contrasted with the toxicological assessments, where CGA 112'913 was found to be 100 times more active than diflubenzuron (Table 1). The LD50-values were calculated from the LC50 concentrations and the amount of diet consumed, namely 17.6 mg/6h and 300 mg/48h.

TABLE 1

LC50 and LD50 of CGA 112'913 and diflubenzuron in larvae of S. littoralis

| Mode of application | CGA 112'913 LC50 (µg/ml) | CGA 112'913 LD50 (ng/larva) | diflubenzuron LC50 (µg/ml) | diflubenzuron LD50 (ng/larva) |
|---|---|---|---|---|
| Chronic | | | | |
| continuous feeding | 0.05 | 15 | 0.9 | 270 |
| feeding for 6 h | 1.0 | 17 | 130 | 2300 |
| Injection | | | | |
| into gut (per os) | | 18 | | > 2500 |
| into haemolymph | | 20 | | 1700 |

Comparing different modes of application, we found similar LD50-values for CGA 112'913, but remarkable differences for diflubenzuron. In the continuous feeding test, diflubenzuron was about 7 times more effective than in the 6-h feeding test or after injection into the haemolymph. Application per os reduced its activity even further.

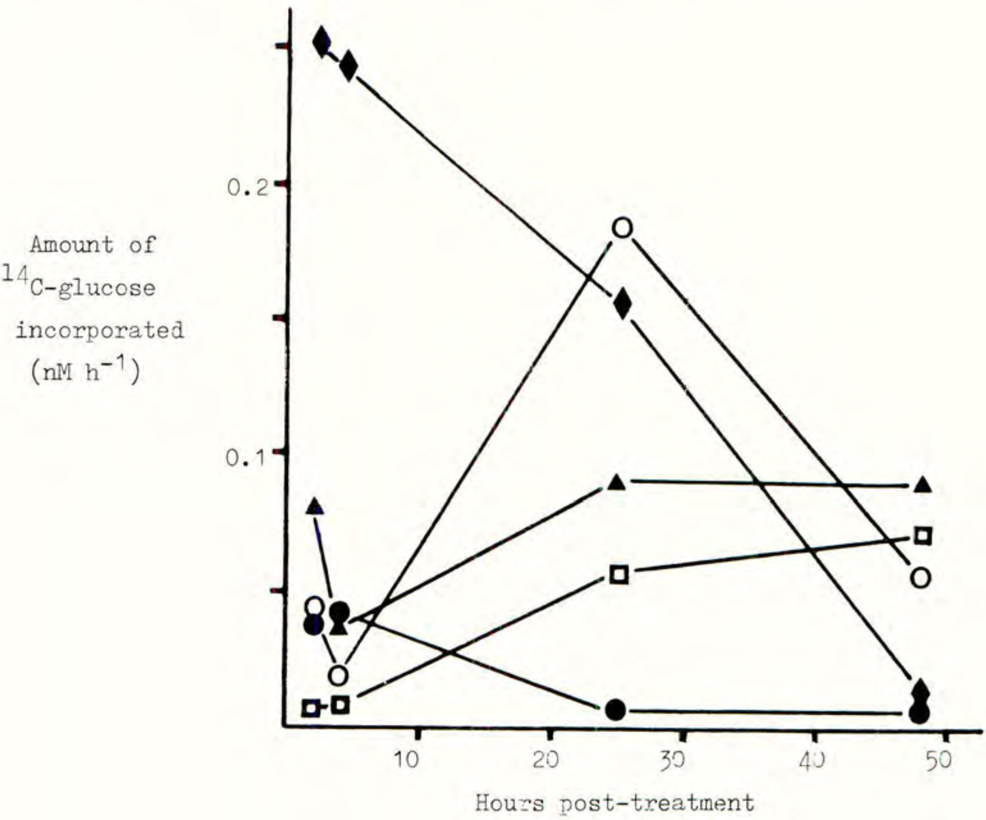
Using the conditions described above, up to 10% of the radioactive glucose injected into the haemolymph of fifth instar larvae was quickly incorporated into chitin. The half-time for incorporation was about 30 min.

The rate of glucose incorporation varied greatly with the age of the larvae (Fig. 1). While it was almost zero at the time of moulting, it increased greatly within the first few hours to a maximum, after which it steadily declined to the next moult.

FIGURE 1

Inhibition of chitin synthesis in larvae of S. littoralis

Control (◆), CGA 112'913: 2.5 ng (▲) and 25 ng (●) per larva, diflubenzuron: 25 ng (○) and 250 ng (□) per larva.

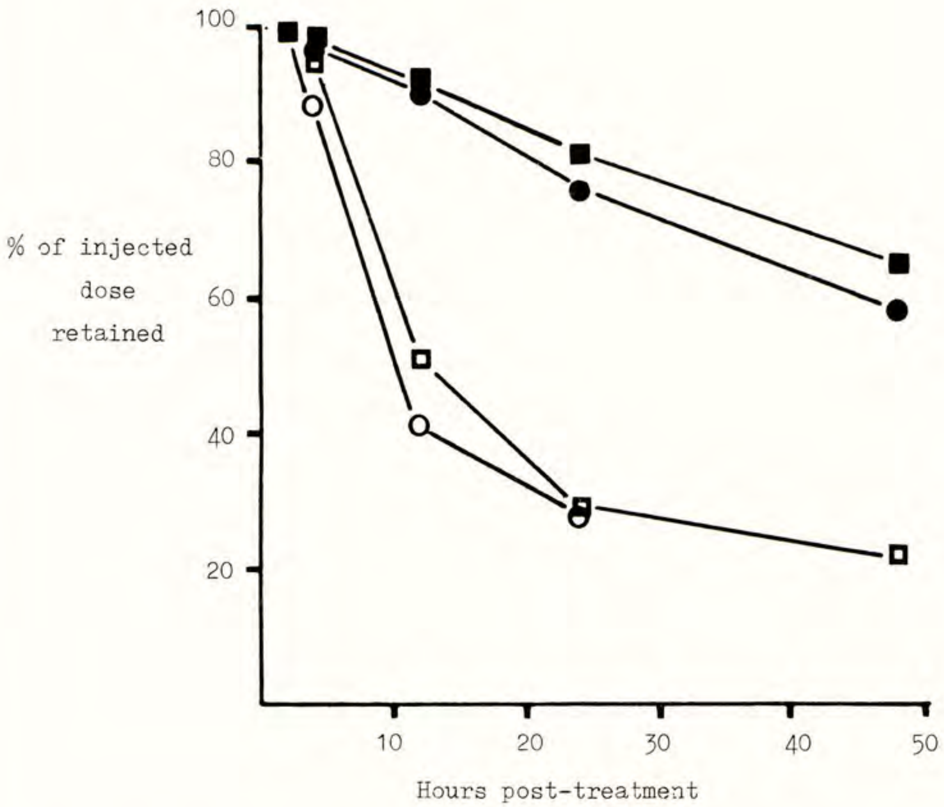



As expected, CGA 112'913 and diflubenzuron reduced the incorporation of glucose in a concentration-dependent manner. During the first 2-4 h after injection of the inhibitors, the two compounds were about equally efficient. However, a dose about 100 times higher was needed for diflubenzuron than for CGA 112'913 to induce comparable inhibition of glucose incorporation after 24 h. The rapid decrease in activity of diflubenzuron is well illustrated by the data in Fig. 2.

FIGURE 2

Elimination of CGA 112'913 and diflubenzuron from larvae of S. littoralis

CGA 112'913: 50 ng (●) and 250 ng (■) per larva
diflubenzuron: 250 ng (○) and 1000 ng (□) per larva.




When the inhibitors were injected and the glucose incorporation was measured at intervals up to 48 h after treatment, the half-life of diflubenzuron in the larvae was found to be $<$10 h. Unreported chromatographic studies on the metabolism of diflubenzuron have enabled its half-life to be estimated at about 3 h. Similarly, the half-life of CGA 112'913 can be estimated to be 40-50 h.

Field trials

A 5% e.c. formulation of CGA 112'913 was compared with fenvalerate against bollworms (Heliothis spp ) and the soybean looper (Pseudoplusia includens) (Table 2). It proved very effective against both pests, was clearly superior to fenvalerate against the soybean looper and only slightly inferior against the bollworms.

TABLE 2

Field trial in cotton against Heliothis spp. and P. includens

| | a.i./ha (g) | Heliothis spp. % squares damaged by larvae 1.9. | 8.9. | 15.9. | % squares with live larvae 8.9. | 15.9. | P. includens foliar damage 1=clean, 10= tot. defoliation 1.10. |
|---|---|---|---|---|---|---|---|
| CGA 112'913 | 84 | 3.25 | 4.0 | 1.7 | 1.3 | 2.0 | 1.3 |
| | 140 | 0.5 | 1.5 | 1.0 | 0.7 | 0.5 | 1.6 |
| Fenvalerate | 90 | 0.25 | 1.7 | 1.3 | 0.2 | 1.3 | 4.8 |
| Check | - | 9.75 | 19.8 | 19.3 | 8.3 | 11.0 | 9.0 |

DISCUSSION

The two benzoyl-urea insecticides, CGA 112'913 and diflubenzuron, are classified differently depending on the test system used. Whereas CGA 112'913 is a very efficient insecticide against S. littoralis larvae (Table 1, Scheurer et al in press), but a relatively poor inhibitor of the in vitro chitin synthesis, the opposite is true for diflubenzuron. These findings can be explained by the differing characteristics of their in vivo inhibition of chitin synthesis (Fig. 1) which is in turn determined by the rate of incorporation of radiolabelled glucose into chitin (Grosscurt 1978). The untreated larvae indicated that chitin synthesis for the new cuticula starts immediately after shedding the old exuvia and lasts for about 2 days. It is reasonable to assume that a compound must continue to inhibit chitin synthesis for at least these 2 days to be lethal to the insect. Both in vitro and during the first hours after treatment in vivo, the performance of CGA 112'913 was inferior to that of diflubenzuron. After 24 h in vivo however, CGA 112'913 was 100 times more effective than diflubenzuron as in the toxicological test. We therefore conclude that the rather weak intrinsic activity of CGA 112'913 is more than compensated for by its long lasting ability to block chitin synthesis.

Diflubenzuron is only very slowly degraded by many insects, known exceptions being some resistant strains of the housefly (Musca domestica) and the southwestern corn borer (Diatraea grandiosella) (see Knowles & Gayen 1982). S. littoralis is a further example of a species which degrades diflubenzuron very efficiently. CGA 112'913, by contrast, is retained in the insect for a much longer period than diflubenzuron and therefore its continuing activity is eventually lethal. The efficient metabolism of diflubenzuron also explains the big difference in LD50-values of the continuous feeding test in comparison with the other modes of application (Table 1).

Preliminary experiments have shown that similar results are obtained with a strain of S. littoralis resistant to organophosphorus compounds and with Heliothis virescens larvae.

Due to these special characteristics of CGA 112'913, this compound compares favourable with present cotton insecticides, including pyrethroids (Table 2).

ACKNOWLEDGEMENTS

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# WL85871 - A NEW MULTIPURPOSE INSECTICIDE

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ABSTRACT

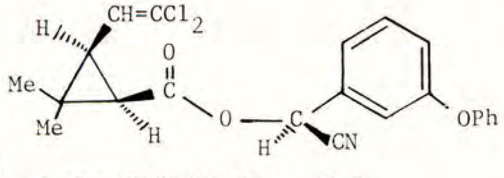
Widespread evaluation of a range of formulations over several years, involving major pests of tropical and temperate crops as well as in non-crop outlets, has demonstrated that WL 85871 is a highly active and versatile insecticide. These results, coupled with favourable mammalian toxicity and remarkably low environmental impact under conditions of practical use, indicate WL 85871 is an insecticide of considerable potential.

## INTRODUCTION

WL 85871 is a new insecticide which will be available commercially from late 1983 onwards. Extensive evaluation programmes in the field and laboratory have indicated the potential of this compound against a wide range of Lepidoptera as well as many Hemiptera and Coleoptera including the major pests of cotton, fruit, vegetables, soya beans, forestry, coffee and tobacco. In addition, the results of studies aimed at measuring the effects of WL 85871 against non-target organisms allows use on the "broad acre crops" of Northern Europe especially oil seed rape, cereals and maize.

## PHYSICAL AND CHEMICAL PROPERTIES

The technical material is a crystalline solid 1:1 mixture of the 1R cis S and 1S cis R isomer pair of alpha cyano 3-phenoxybenzyl 3-(2,2, dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate with the following structure:




1R cis
+ enantiomer

The Shell trademark for WL85871 is FASTAC.

## FORMULATION

The formulation with which the most development work has been done is a 100 g/l xylene-based e.c. The dispersion stability of this e.c. at field dilution rates (typically x3000 upwards) remains adequate to achieve uniform and trouble-free performance. No application problems have been reported after more than 2 years extensive field trials.

The crystalline nature of WL 85871 facilitates the provision of a range of solid formulations which is either impossible or difficult for those compounds for which the technical material is a viscous oil. Since formulation type can profoundly influence biological performance both in agronomic and non-crop outlets against both target and non-target organisms, as well as being a question of customer choice, such flexibility is clearly of great benefit. Other formulations have been developed, all of which exploit the solid nature of the technical material. Examples include suspension concentrates (s.c.'s or "flowables") and w.p.'s. The initial activity of these formulations in most cases is comparable to that of the e.c.; the residual activity is however increased.

Bioassays of field-weathered deposits using larvae of the cotton leafworm, Spodoptera littoralis, are given in Table 1.

TABLE 1
Mean values for the half-life of formulations of WL 85871

| Formulation | No. of trials | Half-life (days) |
|---|---|---|
| 100 g/litre e.c. | 4 | 3.2 |
| 250 g/litre s.c. | 4 | 6.0 |
| 500 g/litre s.c. | 4 | 7.9 |
| 100 g/kg w.p. | 2 | 7.3 |
| 46 g/kg w.p. | 2 | 5.3 |

LSR between treatments: 4 v 4 = 1.96 4 v 2 = 2.28 2 v 2 = 1.96

PERFORMANCE

In laboratory screens WL 85871 showed a broad spectrum and high levels of insecticidal activity which extended to larvae of the cattle tick Boophilus microplus but not to phytophagous mites such as Tetranychus urticae. Very rapid action was observed during screening tests and this was confirmed by testing equitoxic concentrations of several pyrethroids against houseflies in a Kearns-March chamber. The results are given in Table 2.

TABLE 2
Mean KD values for Musca domestica

| Compound | mg/litre | $KD_{50}$ (min) | $KD_{90}$ (min) |
|---|---|---|---|
| WL 85871 | 100 | 4.5 | 5.6 |
| Cypermethrin | 200 | 4.9 | 6.5 |
| Deltamethrin | 50 | 5.0 | 6.5 |

WL 85871 can be used in most crops as either a curative or a preventative treatment. It can replace conventional insecticides in short interval spray programmes or the longer residual performance may be exploited to reduce the number of sprays per season. Either option may be chosen with confidence since no reports of phytotoxicity have been received even when sensitive crops have been involved in repeated applications. Results indicated that WL 85871 was more than twice as active as cypermethrin in crop outlets. The development programme was continued to establish realistic dose rates using commercial methods of application. While some local variation is, of course, inevitable results to date are summarised in Table 3.

TABLE 3
Recommended dose rates for WL 85871 on major crops

| Crop | Pest | Dose rate |
|---|---|---|
| Apples ) | Caterpillars | 1-3 g ai/hl |
| Pears ) | Sucking pests | 2-4 g ai/hl |
| Peaches ) | | |
| Vines | Clysia, Polychrosis | 10-20 g ai/ha |
| Hops | Phorodon | 2-4 g ai/hl |
| Citrus, Olives | Heliothis, Prays | 1-3 g ai/hl |
| | Scales | 2-3 g ai/hl |
| Vegetables | Caterpillars | 10-20 g ai/ha |
| | Sucking pests | 20-30 g ai/ha |
| Tomatoes | Various | 10-30 g ai/ha |
| Potatoes | Leptinotarsa, Liriomyza | 10-20 g ai/ha |
| Cotton | Bollworms | 10-30 g ai/ha |
| | Leafeaters, sucking pests | 20-30 g ai/ha |
| Soyabean | Anticarsia, Plusia | 5-10 g ai/ha |
| Colza/Rape | Meligethes, Psylliodes | 5-10 g ai/ha |
| Tobacco | Plusia, Phthorimaea | 5-10 g ai/ha |
| Coffee | Perileucoptera | 5-10 g ai/ha |
| Maize | Spodoptera | 10-20 g ai/ha |
| Wheat | Army worms, sucking pests, grasshoppers | 10-20 g ai/ha |
| Forestry | Caterpillars | 6-10 g ai/ha |

A range of rates has been quoted to cover the option of low doses repeated at short intervals and higher rates to obtain the benefits of superior residual activity.

Top fruit

Very low dose rates have given excellent control of caterpillars (including leaf miners) and sucking pests. The potential of many pyrethroids in top fruit may however be limited to pre-blossom sprays.

Vines

Results against both generations of Polychrosis botrana showed that WL 85871 has similar activity to deltamethrin as a preventative treatment. As with all pyrethroids, accurate timing of treatments is needed to coincide with hatching of eggs, as the results in Table 4 show:

TABLE 4
Effect of spray timing on the efficiency of WL 85871 as a preventative treatment against P. botrana in Germany

| Dose rate of WL 85871 | % undamaged grapes | | |
|---|---|---|---|
| Application date: | 14/7 | 19/7 | 23/7 |
| 1.5 g ai/hl | 95 | 88 | 80 |
| 2.0 g ai/hl | 98 | 94 | 88 |

Hops

On hops the e.c. formulation gave excellent control of the damson hop aphid, Phorodon humuli (Table 5).

TABLE 5
The control of Phorodon humuli with WL 85871 on hops in the UK

| Treatment | Dose rate mg/litre | Mean numbers of aphids on 20 leaves per plot Pre-treatment | Days after treatment 4 | 7 | 14 |
|---|---|---|---|---|---|
| WL 85871 | 2.0 | 1202 | 21 | 20 | 612 |
| | 3.5 | 1165 | 24 | 59 | 475 |
| | 6.0 | 1071 | 6 | 6 | 82 |
| Deltamethrin | 1.0 | 1325 | 64 | 33 | 502 |
| | 1.75 | 1177 | 58 | 91 | 311 |
| | 3.0 | 1043 | 43 | 39 | 110 |
| Control | - | 1190 | 3055 | 6532 | 9136 |
| LSR between two treatment means | | | 4.2 | 4.2 | 4.0 |

## Cotton

Performance in cotton is a critical feature of any new insecticide given the commercial importance of this crop. WL 85871 shows very high activity against Spodoptera littoralis (Table 6). The persistence of the s.c. formulation is noteworthy.

TABLE 6
The persistence of WL 85871 against Spodoptera littoralis larvae on cotton in Egypt using a laboratory assay of field treated leaves

| Treatment | Dose (g a.i. /ha) | % mortality of 4th instar larvae 1 h | 3 d | 6 d | 9 d | 12 d |
|---|---|---|---|---|---|---|
| WL 85871 100 g/l e.c. | 40 | 98 | 88 | 24 | 14 | 4 |
| | 60 | 92 | 80 | 56 | 8 | 16 |
| | 90 | 98 | 94 | 68 | 80 | 8 |
| WL 85871 250 g/l s.c. | 40 | 92 | 96 | 64 | 20 | 24 |
| | 60 | 100 | 96 | 80 | 60 | 16 |
| | 90 | 96 | 100 | 96 | 84 | 60 |
| Deltamethrin 25 g/l e.c. | 20 | 92 | 52 | 24 | 0 | 20 |
| Control | - | 4 | 8 | 4 | 4 | 8 |

## Vegetables

As well as proving a troublesome pest on cotton, Heliothis will also attack a wide range of fruit and vegetables. Tomatoes are notorious in this respect since larvae inside damaged fruit are almost impossible to control. The results in Table 7 show the performance against H. armigera in tomato of the two formulations of WL 85871, in comparison with deltamethrin. Slightly higher rates of both compounds are needed for effective control of the larvae of Autographa gamma.

TABLE 7:
The control of Heliothis armigera on tomato in Spain

| Treatment | Dose (g a.i. /ha) | Mean numbers of larvae on 10 plants per plot: Pre-treatment | Days after treatment: 3 | 7 |
|---|---|---|---|---|
| WL 85871 100 g/l e.c. | 25 | 30.6 | 6.6 | 2.3 |
| | 15 | 25.6 | 9.5 | 3.9 |
| | 8.7 | 28.6 | 13.6 | 9.9 |
| | 5 | 21.6 | 14.4 | 12.2 |
| WL 85871 250 g/l s.c. | 25 | 27.1 | 3.2 | 1.4 |
| | 15 | 29.1 | 11.9 | 4.6 |
| | 8.7 | 25.9 | 12.6 | 10.2 |
| | 5 | 26.6 | 20.8 | 10.6 |
| Deltamethrin 25 g/l e.c. | 12.5 | 27.6 | 4.2 | 2.3 |
| | 8.5 | 25.4 | 12.2 | 5.0 |
| | 5.6 | 24.8 | 8.4 | 9.6 |
| | 3.8 | 27.9 | 13.2 | 7.6 |
| | 2.5 | 26.6 | 16.8 | 10.3 |
| Control | - | 25.1 | 24.4 | 16.1 |
| LSR between means | | | 1.6 | 1.7 |

Arable crops

Oil seed rape affords a good opportunity to evaluate new compounds against Coleoptera as well as other pests. Typical results are shown in Table 8. In France WL 85871 gave commercially acceptable control of pollen beetle, flea beetle, pod weevil and pod midge.

TABLE 8
Performance of WL 85871 against Meligethes aeneus on oil seed rape in the UK at 2 and 6 days after treatment

| Treatment | Dose (g a.i./ha) | Mean numbers of beetles per plot: 2 d | 6 d |
|---|---|---|---|
| WL 85871 100 g/l e.c. | 20 | 5.4 | 5.1 |
| | 12 | 10.4 | 9.0 |
| | 7 | 15.0 | 20.9 |
| | 4 | 22.5 | 30.6 |
| WL 85871 100 g/kg w.p. | 20 | 3.5 | 4.2 |
| | 12 | 7.6 | 7.6 |
| | 7 | 6.0 | 5.2 |
| | 4 | 6.0 | 21.2 |
| Deltamethrin 25 g/l e.c. | 10 | 5.6 | 3.9 |
| | 6 | 14.3 | 24.5 |
| | 3.5 | 16.5 | 20.8 |
| | 2 | 18.1 | 21.8 |
| Control | - | 90.7 | 28.6 |
| LSR between treatments | | 2.9 | 2.5 |

Maize

Results of trials against the European stalk borer, Ostrinia nubilalis, on maize are exemplified by those in Table 9. In all trials WL 85871 as the e.c. applied at 30-40 g a.i./ha was equivalent to deltamethrin at 25 g a.i./ha.

Table 9
Performance of WL 85871 against Ostrinia nubilalis on maize in France

| Treatment | Dose (g.ai/ha) | Nos. of live larvae per plot | Nos. of damaged plants per plot | Yield kg/plot |
|---|---|---|---|---|
| WL 85871 100 g/l e.c. | 50 | 9.3 | 7.3 | 37.0 |
| | 30 | 17.5 | 11.8 | 35.8 |
| | 17.5 | 34.6 | 18.3 | 33.0 |
| | 10 | 50.0 | 20.7 | 32.1 |
| WL 85871 250 g/l s.c. | 50 | 20.2 | 11.4 | 32.7 |
| | 30 | 23.8 | 14.6 | 34.6 |
| | 17.5 | 35.3 | 18.3 | 34.3 |
| | 10 | 46.6 | 19.2 | 33.7 |
| Deltamethrin 25 g/l e.c. | 25 | 14.6 | 11.3 | 34.6 |
| | 17 | 19.9 | 12.6 | 34.6 |
| | 11 | 25.0 | 14.1 | 35.2 |
| | 7.5 | 29.9 | 14.7 | 35.8 |
| | 5 | 41.7 | 18.7 | 34.2 |
| Control | - | 114.2 | 25.7 | 30.9 |
| LSR between treatments | | 1.5 | 1.3 | - |

NON TARGET ORGANISMS

A considerable effort has been extended in this field by Shell. Some of this work will be reported in another session (4B) of this conference, and a brief summary of conclusions is given here.

In field studies using typical commercial rates of application of WL85871 no direct toxic effects on fish were seen, even after direct over-spraying. However, sensitive aquatic arthropods can be affected by direct overspraying, although recovery may be expected to be fairly rapid. This contrasts with laboratory studies in which WL 85871 has shown high acute toxicity to fish and arthropod species, in common with other synthetic pyrethroids. In practical usage, contamination of waterways by drift is likely to be very low and result in no significant adverse effects on fish or aquatic invertebrates.

Field studies with WL 85871 on bees have produced very encouraging results, even though WL 85871 is toxic to bees in laboratory tests. No adverse effects on bee survival or colony development were noted following a tractor application, at a comparatively high dose(20 g ai/ha), to flowering mustard during peak foraging activity. A distinct, yet transient (3 h) repellent activity was noted immediately after treatments were applied.

In view of the increasing attention focussed upon non-target invertebrates, a five year crop rotation study was started in 1982. The first crop planted was oil seed rape. Standard commercial practices were followed including applications of WL 85871 (10 g a.i./ha) and triazophos (420 g a.i./ha).

Both insecticides had equal effects on two pest species, Meligethes spp. and Ceutorrhynchus assimilis spp. Non-target inverterbrates were reduced to some extent after treatment but effects were transient with complete recovery usually occurring after one to four weeks.

Detailed laboratory studies comparing the effects of WL 85871 with other compounds, such as endosulphan, on the parasite Trichogramma, have shown that it has considerably 'softer' effects than these compounds and is likely to have no effects at commercial rates of application.

NON-CROP USES

Tests were carried out by topical application against a range of representative species which were available. The results are given in Table 10.

TABLE 10
Results of topical applications to mosquito, housefly and cockroach

| Species | Mean 24 hour $LD_{50}$ in µg/g of insect WL 85871 | permethrin | propoxur | fenitrothion |
|---|---|---|---|---|
| Aedes aegypti adult ♀♀ | 0.02 | 2.1 | 32 | 4.6 |
| Musca domestica adult ♀♀ | 0.16 | 2.1 | 32 | 4.6 |
| Blatella germanica adult ♂♂ | 0.48 | 6.9 | 13 | 9.4 |
| Periplaneta americana Final instar nymphs | 0.26 | 3.0 | 1.1 | 7.0 |

In a life cycle assay against the immature stages of the yellow fever mosquito, Aedes aegypti, WL 85871 and temephos showed final $LC_{50}$ values of 91 and 1100 pg/litre respectively, using technical material.

In preparation for field evaluation the activity and persistence of different formulations of WL 85871 were measured on a variety of surfaces including glass, painted and unpainted plywood and mortar. Results obtained on mortar, the most rigorous substrate, are summarised in Table 11.

TABLE 11
Residual activity of WL 85871 on mortar against the housefly Musca domestica from 1 to 87 days after application

| Treatment | Dose rate (g a.i./$m^2$) | Mean 24 h % mortality 1 | 3 | 7 | 14 | 24 | 38 | 59 | 87 |
|---|---|---|---|---|---|---|---|---|---|
| WL 85871 50 g a.i./kg w.p. | 0.01 | 100 | 100 | 100 | 100 | 100 | 85 | 50 | 35 |
| WL 85871 200 g a.i./kg w.p. | 0.01 | 100 | 100 | 90 | 100 | 65 | 45 | 65 | 10 |
| Cypermethrin 200 g a.i. /kg w.p. | 0.1 | 100 | 100 | 100 | 100 | 100 | 85 | 50 | 35 |
| Permethrin 250 g a.i./kg w.p. | 0.1 | 100 | 100 | 100 | 95 | 30 | 25 | - | - |
| Deltamethrin 25 g a.i./kg w.p. | 0.03 | 100 | 100 | 80 | 50 | 20 | 0 | - | - |
| Fenitrothion 400 g a.i. /kg w.p. | 0.1 | 100 | 50 | 25 | 0 | - | - | - | - |

MAMMALIAN TOXICITY

Technical WL 85871 and its formulations have a moderate order of acute oral toxicity, and a low order of acute percutaneous toxicity. In common with other synthetic pyrethroids, its acute oral toxicity varies with the concentration and vehicle. Table 12 provides some acute oral $LD_{50}$ values for technical WL 85871 in the rat.

TABLE 12
Acute toxicity of technical WL85871 (Rat - Wistar)

| Conc. (w/v), vehicle | Oral $LD_{50}$ (mg/kg) |
|---|---|
| 5% corn oil | 79 |
| 20% corn oil | 368 |
| 40% DMSO | approx. 4000 |
| 50% aqueous susp. | 5000 |

The acute percutaneous $LD_{50}$ value for technical WL 85871 has been determined to be 500 mg/kg in the rat (25%, DMSO) while e.c. formulations have acute oral $LD_{50}$ values for the rat in the range 200-850 mg TF/kg, depending on concentration, solvents and emulsifiers. The percutaneous $LD_{50}$ of a 10% a.i. e.c. formulation in the rat is 2000 mg/kg. A w.p. formulation has an acute oral $LD_{50}$ (rat) value of 3000 mg total formulation/kg.

Technical WL 85871 is minimally irritating to rabbit skin and mildly irritating to rabbit eyes while e.c. formulations are mild to moderate irritants to skin and severely irritant to eyes. The enhancement of eye irritancy in formulations can be related to the solvent/emulsifier systems. WL 85871 is not a skin sensitizer.

WL 85871 has a low order of cumulative toxicity. No major toxicological or pathological changes have been observed when it was fed to rats at concentrations up to 200 mg/kg for 5 weeks and 60 ppm for 13 weeks. The principal effect of feeding higher concentrations of WL 85871 was a reduced body weight and food intake. WL 85871 showed a lack of mutagenic potential in a variety of laboratory bioassays. The compound is readily metabolised in animals, and the metabolites are excreted with only a limited retention in body fat.

SUMMARY

In summary WL 85871 has been evaluated in a wide range of both tropical and temperate crops over several seasons. Results have confirmed that the compound is a versatile fast acting insecticide active at very low rates indeed against a wide range of pests. Furthermore, detailed investigations of impact on non-target organisms including both arthropods and vertebrates, have indicated that such effects are frequently less dramatic and more transient than for other insecticides already in use. Taken together these results demonstrate that WL 85871 will prove useful and acceptable in a wide range of crops. Investigation of potential in a range of non-crop outlets has also given cause for optimism.

2C—S23

# TOLCLOFOS METHYL, A NEW FUNGICIDE FOR THE CONTROL OF RHIZOCTONIA SOLANI.

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## ABSTRACT

O,O-dimethyl-O(2,6-dichloro-4-methylphenyl)-phosphorothioate is the systematic name for tolclofos methyl, a fungicide discovered by Sumitomo Ltd. and developed for use in the U.K. by FBC Ltd. Tolclofos methyl is registered for use on seed potatoes for the control of stem canker and black scurf (Rhizoctonia solani). Excellent control of both diseases was achieved at rates between 125 and 250 g a.i./tonne of seed potatoes.

Tolclofos methyl was also very effective in controlling R. solani in protected and ornamental crops, particularly lettuce. Pre-transplant application to lettuce transplant beds, at 0.5 - 1.0 g a.i./$m^2$ gave almost complete control of bottom rot.

Laboratory tests have shown that the recommended rates of tolclofos methyl will kill treated sclerotia on potato tubers within 30 minutes of application.

## INTRODUCTION

In the U.K., stem canker and more particularly black scurf of potato (R. solani), are assuming greater commercial importance as the acceptable quality standards for produce are raised. Many chemicals have been used in an attempt to control both diseases (Hide, Hirst & Griffiths 1969). Thiabendazole has been one of the better chemicals available, giving good control of stem canker but inconsistent results against black scurf (Hide & Cayley 1977).

Tolclofos methyl was recognised by FBC to give good control of stem canker and black scurf. Initial trials used in-furrow applications or an overall soil incorporation at 10 kg a.i./ha. However, the cost of these methods of application would not have been acceptable to the U.K. market.

More economical methods of application were considered. A commercially acceptable, practicable and economic method was found to be the application in the planting hopper of a dust. Because of the different husbandry involved in growing early, maincrop and seed potatoes different rates of application have been found necessary to satisfactorily control black scurf.

The control of R. solani in other crops is still widely achieved through the use of chemicals such as PCNB. Collaborative work has been undertaken in the U.K. to determine the potential of tolclofos methyl for protected and ornamental crops. Outside the U.K., development is being undertaken by Sumitomo Ltd. and collaborator organisations other than FBC. Data available show tolclofos methyl to have potential in turf, peanut, cotton, sugarbeet, bulbs and brassicas.

## MATERIALS AND METHODS

### Field trials

#### Chemicals

Tolclofos methyl, as 'Rizolex' 5 and 10% dusts
PCNB, as 20% dust
Thiabendazole, as 2% dust

#### Application

Chemicals were applied in the planting hopper using a "sandwich" technique. This consisted of layering chemical between potatoes in the hopper. The technique worked surprisingly well and excellent cover of tubers was achieved. The 5% dust was used for the 125 g a.i./tonne rate and the 10% dust for the 250 g a.i./tonne rate.

#### Assessments

Stem canker was assessed during the growing season by sampling fifty stems from each plot and assigning each to one of 5 disease severity categories, ranging from 0 for no stem canker to 4 for a girdling lesion. The data were then converted to disease indices.

$$\text{Stem canker disease index} = \frac{(n\text{x}1) + (n\text{x}2) + (n\text{x}3) + (n\text{x}4) \times 100}{y \times 4}$$

where n = number of stems in each disease severity grades
yn = total number of stems assessed.

Percent tuber surface cover by black scurf was assessed for the maincrop trials. Yield evaluations were made from 100 m of row from each of the three replicates.

### Laboratory tests

Seed potato tubers bearing sclerotia of R. solani were treated with tolclofos methyl 10% dust at 125 and 250 g a.i./tonne. Iprodione (100 g a.i./tonne) and thiram (500 g a.i./tonne) were applied as sprays and mancozeb (800 g a.i./tonne) as a dust. At intervals of 5 - 30 min five tubers from each tolclofos methyl and iprodione treatment were washed with sterilised distilled water to remove all chemical deposits. For thiram and mancozeb, tubers were sampled from 1 - 120 h. After washing, 25 sclerotia were taken from each sample and plated onto a R. solani selective medium (Ko and Hora, 1971). The number of sclerotia producing mycelial colonies of R. solani was assessed after 96 h.

## RESULTS

### Field trials

For early and maincrop potatoes all chemicals gave good control of stem canker (TABLES 1 and 2).

TABLE 1

Stem canker disease index (% stem canker control) in early crops

| Dust formulation (% a.i.) | | Rate (g a.i. /tonne) | Site | | | |
|---|---|---|---|---|---|---|
| | | | 1 | 2 | 3 | 4 |
| Tolclofos methyl | 5 | 125 | 23.0 (63) | 5.0 (69) | 5.2 (69) | 14.5 (47) |
| Tolclofos methyl | 10 | 250 | 18.7 (70) | 5.5 (66) | 2.0 (88) | 14.5 (47) |
| PCNB | 20 | 1000 | - | - | 4.8 (71) | 14.5 (47) |
| Thiabendazole | 2 | 80 | 22.0 (65) | 6.3 (61) | - | - |
| Untreated | | | 62.0 | 16.1 | 16.8 | 27.5 |

TABLE 2

Stem canker disease index (% stem canker control) in maincrops

| Dust formulation (% a.i.) | | Rate (g a.i. /tonne) | Site | | | |
|---|---|---|---|---|---|---|
| | | | 1 | 2 | 3 | 4 |
| Tolclofos methyl | 5 | 125 | 43.0 (10) | 1.7 (50) | 34.0 (21) | 15.5 (66) |
| Tolclofos methyl | 10 | 250 | 35.0 (27) | 0.8 (76) | 30.0 (30) | 9.5 (79) |
| PCNB | 20 | 1000 | - | 1.0 (71) | 37.0 (14) | - |
| Thiabendazole | 2 | 80 | 10.5 (78) | - | - | - |
| Untreated | | | 48.0 | 3.4 | 43.0 | 45.0 |

This result confirms previous work in which a number of fungicides were very effective in controlling stem canker (Hide & Cayley 1977). Black scurf is rarely a problem in early crops. However, yield is important, and the data show consistent yield increases following the treatment of seed with tolclofos methyl (TABLE 3).

TABLE 3

Early crops, percentage yields relative to untreated

| Dust formulation (% a.i.) | | Rate (g a.i. /tonne) | Site | | | |
|---|---|---|---|---|---|---|
| | | | 1 | 2 | 3 | 4 |
| Tolclofos methyl | 5 | 125 | 118 | 108 | 107 | 110 |
| Tolclofos methyl | 10 | 250 | 99 | 95 | 106 | 106 |
| PCNB | 20 | 1000 | - | - | 101 | 96 |
| Thiabendazole | | 80 | 100 | 94 | - | - |

Maincrop potatoes can be severely affected by black scurf and good disease control was achieved by treating seed tubers at planting with tolclofos methyl. For effective control of black scurf in maincrop potatoes, chemicals must persist longer because of the greater length of the growing season compared with early crops. This requirement is shown in TABLE 4 where it is seen that tolclofos methyl at 250 g a.i./tonne is much more effective than 125 g a.i./tonne, the recommended rate for early crops.

TABLE 4

Maincrops, % tuber surface with black scurf,(% black scurf control)

| Dust formulation (% a.i.) | | Rate (g a.i. /tonne) | Site 1 | 2 | 3 |
|---|---|---|---|---|---|
| Tolclofos methyl | 5 | 125 | 0.56 (0) | 0.14 (44) | 0.66 (45) |
| Tolclofos methyl | 10 | 250 | 0.25 (51) | 0.09 (64) | 0.13 (89) |
| PCNB | 20 | 1000 | 0.53 (0) | 0.13 (48) | |
| Untreated | | | 0.51 | 0.25 | 1.21 |

Yield benefits were less consistent in maincrop potatoes. This was attributed to the ability of the crop to compensate in the latter part of the season for losses sustained earlier, (TABLE 5).

TABLE 5

Relative yield (untreated = 100)

| Dust formulation (% a.i.) | | Rate (g a.i. /tonne) | Site | | | |
|---|---|---|---|---|---|---|
| | | | 1 | 2 | 3 | 4 |
| Tolclofos methyl | 5 | 125 | 99 | 96 | 114 | 96 |
| Tolclofos methyl | 10 | 250 | 100 | 107 | 116 | 95 |
| PCNB | 20 | 1000 | - | 113 | 113 | - |
| Thiabendazole | 2 | 80 | 78 | - | - | - |

The major benefit of tolclofos methyl treatment of maincrop seed is the improvement in the quality of produce. This is an aspect of potato marketing that is attracting increasing attention, particularly by large retail outlets.

The results of the laboratory studies show the efficacy of tolclofos methyl in killing tuber-borne sclerotia of R. solani, when applied as a dust, (TABLE 6). 100% mortality of sclerotia was attained after 30 min exposure.

TABLE 6

The effect of fungicides on the viability of R. solani sclerotia on potato tubers.

| Exposure time (min) | % viable sclerotia | | |
|---|---|---|---|
| | tolclofos methyl 10D (g a.i./tonne) | | iprodione |
| | 125 | 250 | 100 |
| 5 | 25 | 20 | 40 |
| 10 | 25 | 20 | 40 |
| 15 | 8 | 15 | 35 |
| 20 | 4 | 0 | 40 |
| 25 | 0 | 0 | 35 |
| 30 | 0 | 0 | 40 |

| Exposure time (h) | % viable sclerotia | |
|---|---|---|
| | thiram 500 g a.i./tonne | mancozeb 800 g a.i./tonne |
| 1 | 80 | 100 |
| 24 | 100 | 100 |
| 48 | 80 | 100 |
| 72 | 80 | 80 |
| 96 | 70 | 90 |
| 120 | 60 | 75 |

Iprodione, thiram and mancozeb showed fungistatic activity only. The difference between the nature of the antifungal activity helps to explain the results of field trials. Stem canker would be expected to be controlled by both a fungistat and fungicide since the symptoms are most evident relatively early in the season. Most chemicals would still be present at this time. However, as the season progresses and persistency declines, sclerotia treated with a fungistat become a source of inoculum for the tubers and result in black scurf. Sclerotia treated with a fungicide would not provide this source of inoculum.

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