# SESSION 2

## NEW HERBICIDE MOLECULES

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RESEARCH REPORTS 2-1 to 2-9

# TRALKOXYDIM - A NEW POST-EMERGENCE CEREAL SELECTIVE GRAMINICIDE

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## ABSTRACT

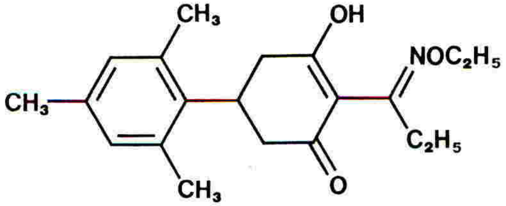
Tralkoxydim, 2-[1-(ethoxyimino)propyl]-3-hydroxy-5-mesitylcyclohex-2-enone, code number PP604, is a new post-emergence herbicide, selective in cereals for the control of grass weeds. It is of low toxicity to mammals and other non-target organisms. It degrades rapidly in soils and in cereal plants, leaving no detectable residues of tralkoxydim or metabolites in cereal grain. Tralkoxydim was invented by ICI Australia, and is being developed jointly with ICI Plant Protection Division for use in wheat and barley in many countries. It is particularly effective against *Avena* spp, and can be applied at stages of weed growth from seedling pre-tillering to stem extension. It controls other important grass weeds, including *Lolium* spp, *Setaria viridis*, *Phalaris* spp, *Alopecurus myosuroides* and *Apera spica-venti*. Uptake of tralkoxydim by sprayed leaves and translocation to young leaves and growing points is rapid, and spray applications are rainfast within one hour. At proposed use rates it is safe to wheat and barley and gives yield increases resulting from weed control. Tralkoxydim can be tank-mixed with other products, including a range of herbicides which give control of broadleaf weeds.

## INTRODUCTION

Tralkoxydim, 2-[1-(ethoxyimino)propyl]-3-hydroxy-5-mesitylcyclohex-2-enone, code number PP604, is a new post-emergence herbicide invented by ICI Australia and under development jointly by ICI Australia and ICI Plant Protection Division. Trade names for the product are 'Grasp', 'Grasp' 604 and 'Splendor'. It is selective in winter and spring cereals, and is effective for control of *Avena spp* and other grass weeds. This paper describes properties of tralkoxydim, and presents some data from the widespread field testing programmes (Sutton *et al*., 1987).

## CHEMICAL AND PHYSICAL PROPERTIES

Structure



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Chemical Name (IUPAC); 2-[1-(ethoxyimino)propyl]-3-hydroxy-5-mesitylcyclohex-2-enone.

Common Name; Tralkoxydim (BSI approved, ISO proposed).

Empirical Formula; $C_{20}H_{27}NO_3$

Appearance; White odourless solid.

Melting Point; 106°C.

Vapour Pressure; 4 x $10^{-10}$ kPa at 20°C.

Solubility; at 20°C (water), 24°C (other solvents);
6 mg/l at pH 6.5, 5 mg/l at pH 5.0
hexane 18 g/l; toluene 213 g/l; dichloromethane >500 g/l
methanol 25 g/l; acetone 89 g/l; ethyl acetate 110 g/l

TOXICOLOGY

Acute Toxicity

Tralkoxydim is of low acute oral and dermal and inhalation toxicity to mammals (Table 1) and of low toxicity to birds and fish (Table 2). Toxicity to *Daphnia*, bees and earthworms is also low.

TABLE 1

Acute oral dermal and inhalation toxicity of tralkoxydim technical material

| Species | Sex | Median lethal dose (mg/kg) Oral | Median lethal dose (mg/kg) Dermal | Median lethal concentration ($mg/m^3$) Inhalation |
|---|---|---|---|---|
| Rat | Male | 1324 | >2000 | >3467 |
| | Female | 934 | >2000 | >3467 |
| Mouse | Male | 1231 | - | - |
| | Female | 1100 | - | - |
| Rabbit | Male | >519 | - | - |

TABLE 2

Toxicity to birds and fish of tralkoxydim technical material

| Species | Median lethal dose (mg/kg) | Median lethal concentration (mg/kg in diet) | Median lethal concentration (mg/l) after 96 hours |
|---|---|---|---|
| Mallard duck | >3020 | >7400 | - |
| Partridge | 4430 | - | - |
| Quail | - | 6237 | - |
| Mirror carp | - | - | >8.2 |
| Rainbow trout | - | - | >7.2 |

Irritation and Sensitisation

Tralkoxydim is a slight irritant to rabbit skin following a single four hour application. It is a mild irritant to the non irritated rabbit eye and is not a sensitiser to previously induced Guinea pigs.

Sub-Chronic and Chronic Toxicity

The toxicological 'no effect' level following dosing for 90 days was 12.5 mg/kg in the rat and 5 mg/kg in the dog. Lifespan studies are in progress.

Mutagenicity

Tralkoxydim is non-mutagenic in an array of studies.

Reproductive Toxicology

Tralkoxydim is not teratogenic in either the rabbit or rat. A multigeneration reproduction study is in progress.

ENVIRONMENTAL STUDIES

Tralkoxydim degrades rapidly in crops and soil. At a limit of detection of 0.02 mg/kg, no residues of the compound or its metabolites have been found in wheat or barley grain at harvest, after application at up to double the recommended use rates. The half life in soil under aerobic conditions is less than four days.

HERBICIDAL ACTIVITY

Tralkoxydim has no significant herbicidal effect on broadleaf weeds or sedges. In grass weeds, uptake and translocation occurs rapidly following foliar application. In laboratory studies with $^{14}$C-labelled tralkoxydim, translocation from treated leaves of *Avena fatua* was essentially completed within one day, with label accumulated in young leaves and growing points. In glasshouse experiments there were no further increases in fresh weight of *Avena fatua* foliage after two days following foliar application (Table 3). Measurement of the extension of young leaves was also less than in untreated plants during this initial two day period.

TABLE 3

Tralkoxydim : Growth response of treated *Avena fatua* plants

| | Foliage fresh weight (mg) | | | | |
|---|---|---|---|---|---|
| Days after treatment | 0 | 2 | 3 | 4 | 5 |
| Tralkoxydim 100 g/ha | 495 | 721 | 728 | 741 | 719 |
| Untreated control | 495 | 783 | 1050 | 1233 | 1310 |

Data are means of nine plants

Early cessation of growth has also been recorded in field trials, and is followed by chlorosis and other colour changes, and necrosis. Necrosis of the weed is often complete in three to four weeks, but may take several weeks longer, depending on environmental conditions. Typically it is slower in the UK than in Canada or Australia. Rapid uptake of tralkoxydim by treated leaves confers a high degree of rainfastness, which has been measured in glasshouse experiments and observed in field trials. It is concluded that foliar sprays are rainfast within one hour of application.

## MATERIALS AND METHODS

Field data reported in this paper were obtained from field trials carried out in Australia, Europe and Canada during 1984-1987. Trials were carried out in cereal crops with natural weed infestations. Treatments were applied to randomized plots, with 3-4 replicates, and with plot sizes usually 2-4 x 4-20 m. Sprays were applied with hand-held $CO_2$-powered and motorised plot sprayers, at volumes of 35-400 l/ha. All treatments were applied with surfactant at 0.1-0.5% of the spray solution. Weed control was assessed visually, or by quadrat counts of suriving plants/seedheads. Several tralkoxydim formulations have been tested in field trials including emulsifiable concentrates (ECs), suspension concentrates (SCs) and wettable granules (WGs). Growth stages follow Zadoks Code (Zadoks *et al.*, 1974).

## FIELD RESULTS

### Activity of tralkoxydim against *Avena* spp

Control of *Avena* spp (*A fatua*, *A ludoviciana*, *A sterilis*) in a range of trials in six countries between 1985-1987 is shown in Table 4. Data from trials in West Europe were obtained following late winter or spring application to winter cereals. At rates of 200-350 g a.i./ha tralkoxydim gave high levels of *Avena* control, often superior to that achieved in these trials with recommended use rates of diclofop-methyl. In these trials tralkoxydim gave effective control of *Avena* from early growth stages to the end of tillering and beginning of stem extension. The flexibility of timing of application with tralkoxydim was further shown in four trials in the UK (Table 5). Tralkoxydim gave almost complete control of A fatua at growth stages up to the end of tillering, and control extended into the stages of stem extension.

TABLE 4

Tralkoxydim : Control of Avena spp in wheat and barley

| Country | Number of Trials | Growth Stage of Avena | Tralkoxydim Rate (g a.i./ha) | Tralkoxydim % Control | Diclofop-methyl Rate (g a.i./ha) | Diclofop-methyl % Control |
|---|---|---|---|---|---|---|
| UK | 2 | 11-13 | 350 | 94 | 1149 | 80 |
| | 4 | 31-32 | 350 | 97 | - | - |
| France | 5 | 12-23 | 300 | 97 | 760 | 92 |
| W Germany | 2 | 13-22 | 200 | 99 | 945 | 84 |
| | 1 | 31 | 300 | 98 | 945 | 60 |
| Spain | 9 | 12-22 | 300 | 95 | 900 | 93 |
| Canada | 11 | 12-14 | 250 | 88 | 700/800 | 73 |
| Australia | 8 | 11-13 | 200 | 96 | 563 | 91 |

Weed control data are means across the number of trials shown.

TABLE 5

Tralkoxydim : Control of Avena fatua in winter cereals at different times of application (UK 1985)

| Application date | A fatua growth stage | % Avena fatua control[1] | | |
|---|---|---|---|---|
| | | Tralkoxydim 300 g/ha | | Difenzoquat 1000 g/ha |
| | | Winter wheat | Winter barley | (Mean of 4 trials) |
| 9 March | 14-22 | 99 | 99 | 86 |
| 26 March | 14-27 | 99 | 99 | 85 |
| 10 May | 22-31 | 89 | 88 | 94 |
| 26 May | 33-37 | 65 | 86 | 57 |
| Untreated control (panicles/$m^2$) | | (99) | (13) | |

1 Visual assessment of panicle number and vigour relative to untreated control plots

Activity of tralkoxydim against other grass weeds

Tralkoxydim is active against a range of grass weed species, giving effective control or suppression of them at rates similar to those recommended for control of *Avena* spp. Table 6 presents data on the control of important grass weeds in several countries.

TABLE 6

Tralkoxydim : Control of major grass weeds other than *Avena* spp in cereals at rates of 200-300 g/ha tralkoxydim

| Weed species | Country (N° of trials) | Weed growth stage | % Control |
|---|---|---|---|
| *Alopecurus myosuroides* | UK, France (16) | 12-23 | 92 |
| *Apera spica-venti* | France, W Germany (5) | 12-23 | 96 |
| *Lolium spp* | France, Australia (10) | 12-31 | 97 |
| *Phalaris spp* | France, Spain (3) | 12-23 | 77 |
| *Setaria spp* | Canada (11) | 12-21 | 87 |

Alopecurus trials represent both autumn and spring applications

Table 7 shows weed control and yield data from trials in Australia in 1986. Data are taken from six replicated plot trials against *Lolium rigidum*, and four unreplicated grower trials against *Avena* with one hectare block plots. Weed control is expressed as % reduction in seedheads. In these, and in many other trials in Australia and elsewhere tralkoxydim has given significant and often large yield increases, apparently associated with the high level of weed control achieved.

TABLE 7

Tralkoxydim : Control of *Lolium rigidum* and *Avena* spp, and effect on wheat yields (Australia, 1986)

| Treatment | Rate g/ha | Control of *L rigidum* | | Control of *Avena* spp | |
|---|---|---|---|---|---|
| | | % Weed control | Yield t/ha | % Weed control | Yield t/ha |
| Tralkoxydim | 200 | 98 | 1.69 | 94 | 2.84 |
| Diclofop-methyl | 375 | 97 | 1.65 | - | - |
| Diclofop-methyl | 563-750 | - | - | 79 | 2.61 |
| Untreated (seedheads/$m^2$) | | (135) | 0.84 | (110) | 1.63 |

Influence of spray volume on weed control

European results reported in Tables 4 and 5 showed good activity from spray volumes of 100-400 l/ha. Spray volumes for cereal application in Australia are often below 100 l/ha. In trials in Australia tralkoxydim performed equally well at spray volumes of 35-70 l/ha (Table 8).

TABLE 8

Tralkoxydim : Effect of spray volume on control of Avena spp, Australia (means from six trials in 1986)

| Treatment | Rate g/ha | % Reduction of Avena panicles at three spray volumes (l/ha) | | |
|---|---|---|---|---|
| | | 35 | 50 | 70 |
| Tralkoxydim | 150 | 93 | 93 | 96 |
| | 200 | 95 | 95 | 98 |
| Untreated (panicles/$m^2$) | - | (121) | (88) | (54) |

Crop Selectivity

Tralkoxydim has been tested in many countries under a wide range of use rates and environmental conditions and a large number of varieties of barley and wheat. It is concluded that tralkoxydim is selective in barley and wheat, including durum wheat at rates up to twice the maximum proposed use rates. From more limited data it also appears safe in triticale and rye. In some instances crop damage has been observed, especially at highest use rates under stress conditions, for example in waterlogged soils, damage is normally slight and transient.

Tests have included a wide range of varieties of wheat and barley, and although individual trials have shown some small differences between varieties, no consistent trends have been observed.

Compatibility with other herbicides

Tank mixtures of tralkoxydim with broad leaf weed herbicides have been tested in many countries, to identify any examples of antagonism. Dicamba and 2,4-D amine mixtures have reduced the activity of tralkoxydim to unacceptable levels. However, there has been little or no reduction in grass control in other mixtures, for example with bromoxynil, bromoxynil/MCPA, or 2,4-D ester. Compatibility studies with other herbicides are in progress. At the present stage of testing it appears that in general, biological compatibility is good and users will have a range of tank mixture options.

## CONCLUSIONS

Tralkoxydim is a new highly active cereal graminicide being developed in many countries, and toxicological and environmental tests completed to date are favourable. With good selectivity, high efficacy against a range of grass weeds, and flexibility in timing of sprays and application in mixtures, tralkoxydim shows considerable promise as a new post-emergence graminicide for cereal crops.

## ACKNOWLEDGEMENTS

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# SC-0574 - A NEW SELECTIVE HERBICIDE FOR USE IN WINTER CEREALS

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## ABSTRACT

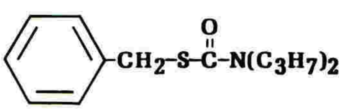
SC-0574, S-benzyl dipropylthiocarbamate, is a new selective herbicide for winter wheat, winter barley and rye. It is active against a wide range of grass and broadleaf weeds and may be applied pre-emergence to early post-emergence of the crop. Outstanding control of Galium aparine was observed under field conditions at rates of 3-4 kg a.i./ha. At these rates, control was also shown toward Alopecurus myosuroides, Poa annua, Sinapis arvensis, Stellaria media, Veronica spp. and Lolium multiflorum. It is relatively non-toxic to mammals. The plant meristem appears to be the most sensitive tissue to SC-0574, with crop selectivity being a consequence of depth protection.

## INTRODUCTION

SC-0574, is a new pre-emergence herbicide being developed by Stauffer Chemical Company for weed control in cereals such as winter wheat, winter barley, triticale and many other crops. It was selected from a group of S-benzoylthiocarbamates which were known to have both pre- and post-emergence actively against a variety of grass and broadleaf weeds. The objective of this program was to develop a product for the European cereal market which would provide excellent control of problem weeds such as Galium aparine.

### Chemical and Physical Properties

- Structure:



- IUPAC name: S-benzyl dipropylthiocarbamate
- Molecular weight: 251.39
- Empirical formula: $C_{14}H_{21}NOS$
- Appearance: Pure product: clear, colorless liquid
  Technical product: clear, yellow liquid
- Melting/Crystallization point: Technical product will begin to flocculate at temperatures below -10°C

- Solubility at 20°C:

| | |
|---|---|
| Acetone: | miscible |
| Chlorobenzene: | miscible |
| Ethanol: | miscible |
| Kerosene: | miscible |
| Xylene: | miscible |
| Water | 13.2 mg/liter |

- Partition coefficient octanol/water: $(4.45 \pm 0.8) \times 10^4$ at 25°C

Toxicology

SC-0574 has moderate acute oral toxicity ($LD_{50}$: 1820-1958 mg/kg) in rats and low acute dermal toxicity ($LD_{50}$>2000 mg/kg) in rabbits. It is a mild skin and eye irritant but not a skin sensitizer. It was found negative in the Ames test. There is no evidence for teratogenic effects in the rat or rabbit, or reproduction effects in the rat. No-effect levels for subchronic toxicity in the rat and dog range from 1 to 10 mg/kg/day. A long-term dietary study in mice revealed no evidence for organ-specific toxicity or oncogenicity in mice at the highest dose tested, 2400 ppm (approximately 300 mg/kg/day). It is moderately toxic to Daphnia magna, Lepomis machrochirus, Salmo gairdnerii, Anas platyrhynchos, and Colinus virginianus.

Mode of action

SC-0574 is a thiocarbamate which, because of its lower volatility when compared to EPTC, does not require incorporation (i.e. vapor pressure of SC-0574 is $0.05 \times 10^{-3}$mm compared to $34 \times 10^{-3}$mm at 25°C for EPTC).

Typical thiocarbamate injury is seen in young seedlings of susceptible species which includes dark greening, twisting, inhibition of shoots and roots and a failure of leaf emergence from coleoptiles of grass species. Following application to large plants with more than 4-5 true leaves, severe necrosis of the meristem and surrounding tissue is observed.

Glasshouse experiments involving treated soil placement studies and hydroponically grown plants indicate that cereal selectivity following pre-emergence application is dependent on a 'depth' protection mechanism (Figure 1) similar to that reported for triallate (Fryer & Makepeace, 1977). This is a consequence of the low leaching potential, demonstrated in both analytical and bio-assay studies, which prevents SC-0574 from making contact with a sensitive below ground region of the germinating cereal seedling, probably the meristem.

MATERIALS AND METHODS

Experiments were performed between 1982 and 1986 at Stauffer's U.K. Research Station, Manningtree, Essex, England.

For field screening and evaluation, SC-0574, either as technical material or formulated as a 720 g/l or 800 g/l EC, was applied pre- or post-emergence at a range of doses to $15m^2$ replicated randomised plots in a spray volume of 333 l/ha. Crop and weed species were sown in rows across the plots, except for grass weed application timing studies where seeds were broadcast over plots.

Spray application was carried out employing a small plot, $CO_2$ pressurized (211 kPa), tractor mounted sprayer with 2m boom containing 4 X 8003 Tee-jet flat fan nozzles.

Crop injury (10-30 days after application) and weed assessments (40-100 days after application) were made by visual estimation of % phytotoxicity compared with untreated plots.

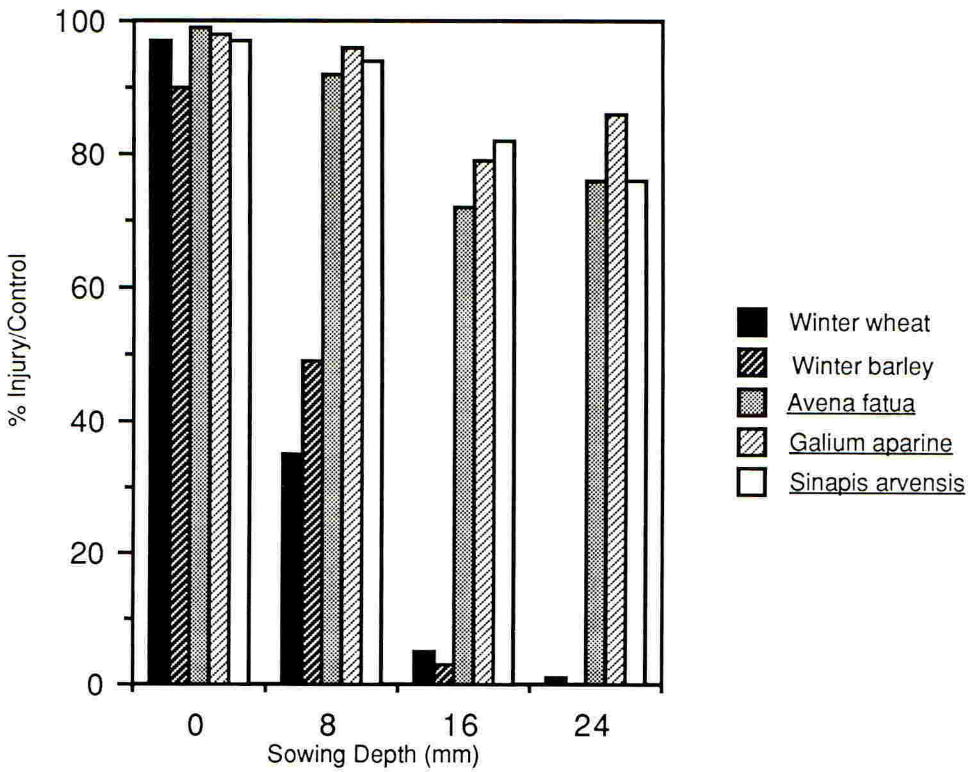



Fig. 1 SC-0574 performance vs. sowing depth at 4.0 kg a.i./ha pre-emergence

For glasshouse screening and evaluation tests, SC-0574 was applied at a range of doses to sterile, sandy loam soil in which seeds of each test species were sown in furrows across aluminum flats (25 X 17 X 6.5 cm). For sowing depth studies, seeds were sown in 100 $cm^2$ pots containing sterile, sandy loam soil at depths of 24, 16, 8 mm or left scattered on the soil surface (zero depth). Pots were treated with 4.0 kg a.i./ha of SC-0574, after which, 8 mm of soil was added to the zero depth treatments to facilitate germination.

All experiments were maintained in a 12-14h photoperiod with a minimum temperature of 15°C and maximum temperature of 25°C. SC-0574 was formulated as either an 800 g/l or 840 g/l EC and applied in a spray volume of 400 l/ha. Visual assessment of % phytotoxicity was made 7 days (crop) and 30 days (weeds) after spray application.

RESULTS AND DISCUSSION

Winter wheat, winter barley, winter rye and field beans (Vicia faba) were tolerant to pre-emergence field application of SC-0574 with excellent control of many common cereal weeds at 3.0 and 4.0 kg a.i./ha (Table 1). Particularly promising activity was seen against the problem broadleaf weeds Galium aparine, Stellaria media and Veronica spp. together with the grass weed Alopecurus myosuroides. Results were also very encouraging for post-emergence selective control of these weeds in winter cereals (Table 2).

Any observed crop injury was confined to very transitory slight twisting and dark greening with no adverse effect on crop development. The potential of this chemical was confirmed in glasshouse studies (Table 3), although SC-0574 performance always tended to be more variable under these conditions.

TABLE 1

Field performance of SC-0574 applied pre-emergence in winter cereals, rye and field beans in U.K. between 1982 and 1986.

| Dose (kg a.i./ha) | 2.0 | 3.0 | 4.0 |
|---|---|---|---|
| Test Species | Mean % phytotoxicity | | |
| Winter wheat | 0 (9)* | 0 (10) | 0 (11) |
| Winter barley | 0 (9) | 2 (10) | 2 (11) |
| Rye | 0 (1) | 0 (1) | 0 (1) |
| Vicia faba | 0 (1) | 0 (1) | 0 (1) |
| Drilled Weeds | | | |
| Alopecurus myosuroides | 94 (8) | 95 (9) | 98 (9) |
| Avena fatua | 58 (8) | 64 (9) | 81 (9) |
| Lolium multiflorum | 96 (7) | 98 (6) | 99 (6) |
| Galium aparine | 87 (7) | 93 (8) | 95 (8) |
| Matricaria perforata | 39 (5) | 22 (7) | 42 (7) |
| Papaver rhoeas | 68 (3) | 74 (4) | 79 (4) |
| Sinapis arvensis | 98 (3) | 100 (4) | 99 (3) |
| Veronica hederifolia | 70 (6) | 84 (8) | 90 (7) |
| Viola arvensis | 42 (5) | 36 (8) | 47 (7) |
| Natural Weeds | | | |
| Poa annua | 98 (8) | 99 (9) | 100 (11) |
| Capsella bursa-pastoris | 99 (4) | 100 (4) | 100 (5) |
| Chenopodium album | 100 (1) | 100 (1) | 100 (1) |
| Fumaria officinalis | 82 (2) | 95 (2) | 99 (2) |
| Matricaria matricarioides | 70 (6) | 81 (7) | 89 (7) |
| Senecio vulgaris | 65 (5) | 91 (5) | 90 (6) |
| Stellaria media | 97 (9) | 99 (10) | 99 (12) |
| Veronica persica | 100 (2) | 100 (1) | 100 (2) |

* The number of trials is indicated in parentheses.

TABLE 2

U.K. field performance of SC-0574 applied post-emergence in winter cereals between 1984 and 1986.

| Dose (kg/a.i./ha) | 3.0 | 4.0 |
|---|---|---|
| Test Species | Mean % phytotoxicity | |
| Winter wheat | 0 (7)* | 2 (7) |
| Winter barley | 1 (7) | 2 (7) |
| <u>Drilled Weeds</u> | | |
| Alopecurus myosuroides | 92 (6) | 91 (6) |
| Avena fatua | 56 (7) | 59 (7) |
| Lolium multiflorum | 97 (1) | 95 (1) |
| Galium aparine | 99 (7) | 99 (7) |
| Matricaria perforata | 24 (2) | 40 (2) |
| Papaver rhoeas | 14 (5) | 43 (5) |
| Veronica hederifolia | 100 (2) | 100 (2) |
| Viola arvensis | 28 (3) | 28 (3) |
| <u>Natural Weeds</u> | | |
| Poa annua | 99 (1) | 99 (1) |
| Capsella bursa-pastoris | 99 (1) | 97 (1) |
| Matricaria matricarioides | 31 (5) | 36 (5) |
| Stellaria media | 97 (7) | 99 (7) |

* The number of trials is indicated in parentheses.

TABLE 3

Pre-emergence activity of SC-0574 in U.K. glasshouse experiments performed between 1984 and 1986.

| Dose (kg a.i./ha) | 2.0 | 3.0 | 4.0 |
|---|---|---|---|
| Test Species | Mean % phytotoxicity | | |
| Wheat | 2 | 0 | 3 |
| Barley | 4 | 5 | 5 |
| Avena fatua | 79 | 78 | 91 |
| Alopecurus myosuroides | 96 | 97 | 97 |
| Lolium multiflorum | 82 | 92 | 94 |
| Poa annua | 85 | 91 | 85 |
| Galium aparine | 34 | 37 | 60 |
| Matricaria perforata | 1 | 2 | 7 |
| Polygonum persicaria | 84 | 91 | 78 |
| Sinapis arvensis | 90 | 99 | 97 |
| Stellaria media | 63 | 56 | 79 |
| Veronica hederifolia | 57 | 90 | 99 |
| Veronica persica | 98 | 96 | 94 |
| Viola arvensis | 20 | 23 | 44 |

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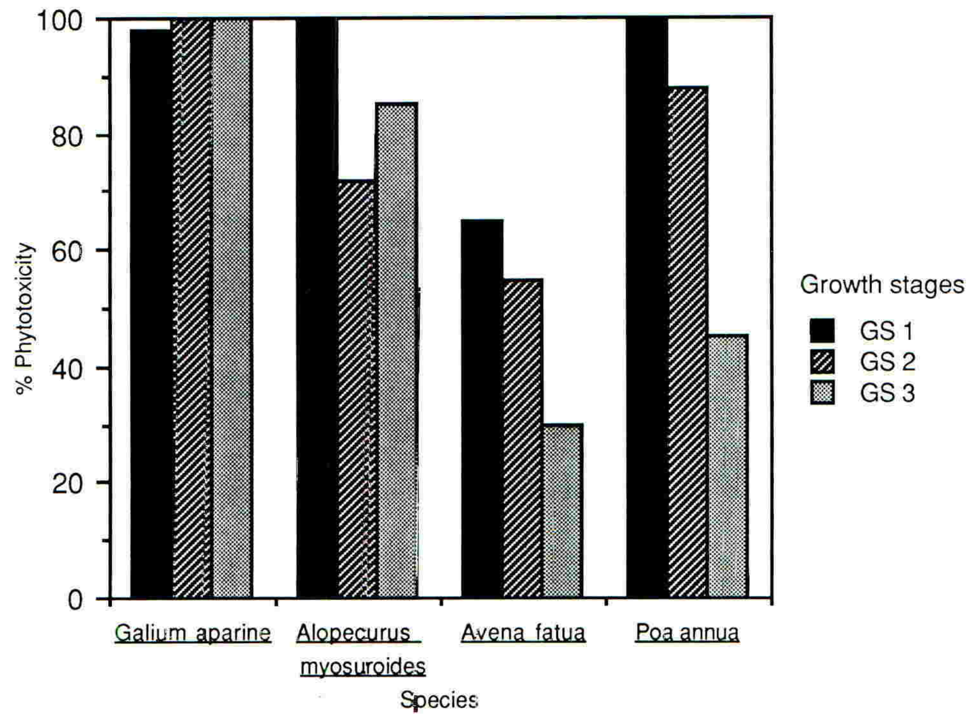
Data obtained from post-emergence experiments conducted between 1982 and 1986 indicate that Galium aparine could be controlled up to branching, but with other weeds, including the grasses on which a specific timing study was undertaken, weed control was optimum at or before 2-3 leaves (Fig. 2). These results are consistent with numerous trials carried out throughout Europe (Mathews et al., 1987).




| | GS 1 | GS 2 | GS 3 |
|---|---|---|---|
| Galium aparine | cotyledon-1st true leaf | 1st leaf-1st whorl | 2-4 whorls |
| Alopecurus myosuroides | spike-1st leaf (10-11)* | 2-3 leaves (12-13) | tillering (21) |
| Avena fatua | spike-1st leaf (10-11) | 5 leaves-tillering (19-21) | 2-4 tillers (22-24) |
| Poa annua | spike-1st leaf (10-11) | 2-3 leaves (12-13) | tillering (21) |

* Figures in parentheses refer to Zadoks growth stages.

Fig. 2 Effect of weed growth stage on SC-0574 efficacy when applied pre-emergence at 3 kg a.i./ha.

Conclusion

SC-0574 is a novel thiocarbamate exhibiting excellent selective pre- and post-emergence control of many important cereal weeds including Galium aparine, Veronica spp. and Alopecurus myosuroides. Optimum weed control is obtained following pre-emergence or early post-emergence treatments, but control of Galium aparine is achieved up to branching. The weed species controlled by SC-0574 makes it ideal for use in mixtures with other herbicides particularly isoxaben and ioxynil.

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# EL-177 - A NEW PRE-EMERGENCE HERBICIDE FOR CONTROL OF ANNUAL BROADLEAF AND GRASS WEEDS IN FIELD CORN

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## ABSTRACT

EL-177 applied post-plant pre-emergence at 0.28 to 0.43 kg a.i./ha exhibited a wide spectrum of activity on many annual broadleaf and grass weeds in field corn. Field corn demonstrated adequate crop tolerance from emergence to maturity. EL-177 provided season-long control of susceptible species, with no evidence of carry-over on succeeding crops. EL-177 demonstrated a low level of toxicity to rodents and fish.

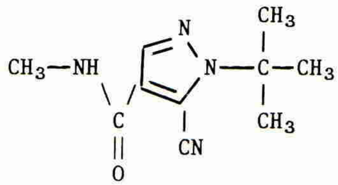
## INTRODUCTION

EL-177 is a new herbicide discovered by Lilly Research Laboratories, a division of Eli Lilly and Company. The primary use is for the control of annual broadleaf and grass weeds in field corn.

## CHEMICAL AND PHYSICAL PROPERTIES

Chemical name: 1-*tert*-butyl-5-cyano-*N*-methylpyrazole-4-carboxamide

Structural formula:




Empirical formula: $C_{10}\ H_{14}\ O\ N_4$

Molecular weight: 206.25

It is a crystalline-colorless solid which melts at 164-166°C. It is readily soluble in organic solvents such as acetone and DMSO. EL-177 is stable to hydrolysis at pH 3 and pH 7. It hydrolyzes slowly at pH 11. EL-177 is not subject to photolysis. The n-octanol/water partition coefficient is log Kow = 1.29. EL-177 has soil/water distribution coefficients (kd) of 0.31, 0.4, and 0.45 in coarse-, medium-, and fine-textured soils respectively.

# 2—3

## CROP TOLERANCE

Field corn is tolerant to pre-emergence surface applications.

Winter wheat appears tolerant following applications of EL-177 in the fall after wheat harvest for fallow weed control, and wheat seeded the following fall.

Sugarcane (plant and ratoon) appears tolerant to EL-177.

## EFFICACY

At suggested use rates, EL-177 controls an impressive list of annual broadleaf weeds. Species susceptibility has been determined in the greenhouse and in field plots. Included are weeds of importance in major corn-producing areas of the world. Also included are atrazine resistant broadleaf weeds.

EL-177 is efficacious on several annual grasses, though the spectrum is not as complete as on annual broadleaves.

When EL-177 is combined with an acetanilide ('Dual' or 'Lasso') or atrazine, grass control is enhanced, including control of additional species.

## ANNUAL BROADLEAF WEEDS CONTROLLED

| | |
|---|---|
| Chickweed | (Stellaria media) |
| Common groundsel | (Senecio vulgaris) |
| Common purslane | (Portulaca oleracea) |
| Common ragweed | (Ambrosia artemisiifolia) |
| Corn gromwell | (Lithospermum arvense) |
| Fiddleneck tarweed | (Amsinckia lycopsoides) |
| Field pepperweed | (Lepidium campestre) |
| Florida beggarweed | (Desmodium tortuosum) |
| Hemp sesbania | (Sesbania exaltata) |
| Henbit | (Lamium amplexicaule) |
| Jimsonweed | (Datura stramonium) |
| Kochia | (Kochia scoparia) |
| Lambsquarters | (Chenopodium album) |
| Morning glory | (Ipomoea lacunosa) |
| Mustards | |
| Tansy | (Descurainia pinnata) |
| Tumble | (Sisymbrium altissimum) |
| Wild | (Brassica kaber) |
| Nightshade | |
| Black | (Solanum nigrum) |
| Hairy | (Solanum sarrachoides) |
| Pigweed | (Amaranthus retroflexus) |
| Prickly lettuce | (Lactuca serriola) |
| Prickly sida | (Sida spinosa) |
| Russian thistle | (Salsola kali) |
| Smartweed | (Polygonum lapathifolium) |

| | |
|---|---|
| Sicklepod | (Cassia obtusifolia) |
| Velvetleaf | (Abutilon theophrasti) |
| Venice mallow | (Hibiscus trionum) |
| Wild buckwheat | (Polygonum convolvulus) |

ANNUAL GRASSES CONTROLLED

| | |
|---|---|
| Annual bluegrass | (Poa annua) |
| Cheatgrass | (Bromus secalinus) |
| Downy brome | (Bromus tectorum) |
| Fall panicum | (Panicum dichotomiflorum) |
| Goosegrass | (Eleusine indica) |
| Large crabgrass | (Digitaria sanguinalis) |
| Wheat (volunteer) | (Triticum spp.) |
| Wild oats | (Avena fatua) |
| Wild proso millet | (Panicum miliaceum) |

The following additional annual grasses are controlled by EL-177 plus an acetanilide or atrazine.

| | |
|---|---|
| Foxtails | |
| Gaint | (Setaria faberi) |
| Green | (Setaria viridis) |
| Texas Panicum | (Panicum texanum) |

APPLICATION RATES

EL-177 is recommended in combination with an acetanilide or atrazine to improve the weed control spectrum, primarily annual grasses. EL-177 in combination applied on the soil surface pre-emergence is efficacious on coarse- and medium-textured soils containing up to 5% organic matter at 0.28 to 0.43 kg/ha. Suggested rates in combination on fine-textured mineral soils containing up to 5% organic matter are 0.33 to 0.45 kg/ha. An acetanilide or atrazine at approximately one-half the labelled use rate is recommended in combination with EL-177.

BEHAVIOUR IN SOIL

EL-177 has soil/water distribution coefficients (kd) of 0.31, 0.4, 0.45 in coarse-, medium-, and fine-textured soils respectively. These values suggest EL-177 may be mobile in the soil profile. Studies conducted under field conditions, where the plot area received 50 cm of water as rainfall or sprinkler irrigation, indicate EL-177 does not readily leach through a 22.5 cm soil profile after one growing season. At three times the anticipated use rate for a medium soil, phytotoxic levels of EL-177 were confined to the 0-7.5 cm soil depth. Additional studies will be conducted to determine the leaching characteristics of EL-177 under field conditions.

Adsorption on soil organic matter and clay particles appears to restrict the bioavailability of EL-177 on plant growth.

Sufficient dissipation of EL-177 occurs during the growing season to permit seeding subsequent rotation crops. Laboratory studies indicate EL-177 is subject to microbial decomposition.

## TOXICOLOGY

### Acute Mammalian Toxicity

The acute oral and dermal toxicity, and the ocular and dermal irritation of technical EL-177 was evaluated in mice, rats, and rabbits following a single dose. The acute oral median lethal dose ($LD_{50}$) in ICR mice was greater than 500 mg/kg. In 344 Fisher rats, $LD_{50}$ values were greater than 500 mg/kg (males) and greater than 50 less than 500 mg/kg (females). Technical EL-177 caused no systemic or dermal irritation when applied topically to the skin of New Zealand white rabbits at a dose of 2000 mg/kg.

Slight irritation, which cleared within seven days, occurred when technical crystalline EL-177 was instilled in the eyes of rabbits at a single dose of 33 mg (equivalent to 0.1 cc).

### Mutagenesis

Technical EL-177 was not mutagenic or genotoxic in a modified Ames gradient plate assay and in a DNA repair synthesis test with cultured rat hepatocytes.

### Teratology

In a pilot teratology study in CD rats, technical EL-177 had no effect on fetal viability, fetal body weight, or external morphology at doses up to 250 mg/kg/day (the highest dose tested). In the same study, the no-effect level for maternal toxicity was 100 mg/kg/day based on body weight losses at higher dose levels.

### Subchronic Toxicity

No treatment-related effects were observed in beagle dogs that received 5.0 mg technical EL-177/kg body weight/day by capsule for 14 consecutive days. EL-177 administered at doses greater than 5.0 mg/kg body weight/day caused a central nervous system effect.

In a pilot six-week dietary study, the no-observed effect level for female rats was 57 mg technical EL-177/kg body weight/day (lowest dose tested). In male rats, a similar dietary concentration caused a slight increase in liver enzyme activity.

### Environmental Toxicity

In preliminary aerobic static toxicity tests, 96-hour median lethal concentration ($LC_{50}$) values for bluegill (*Lepomis macrochirus*) and rainbow trout (*Salmo gairdneri*) were greater than 100 mg/L and 62.4 mg/L respectively. The 48-hour median effective concentration ($EC_{50}$) for daphnia (*Daphnia magna*) was greater than 100 mg/L.

In a pilot study, the acute single dose oral $LD_{50}$ in adult bobwhite (*Colinus virginianus*) was greater than 500 less than 2000 mg/kg.

SUMMARY

EL-177 is a new herbicide developed and patented by Eli Lilly and Company.

- Field corn is the principal crop of interest.
- Toxicity and environmental fate/toxicity characteristics are favorable.
- EL-177:
  - is an effective broad-spectrum annual weed herbicide.
  - is efficacious on atrazine resistant broadleaf weeds.
  - is effective at 0.28 to 0.45 kg/ha surface applied pre-emergence.
  - used at the recommended low rates can help reduce the pesticide burden on the environment.

# CGA 136'872: A NEW POST-EMERGENCE HERBICIDE FOR THE SELECTIVE CONTROL OF SORGHUM SPP. AND ELYMUS REPENS IN MAIZE

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## ABSTRACT

CGA 136'872 (2-[3-(4,6-bis(difluoromethoxy)-pyrimidin-2-yl)-ureidosulfonyl]-benzoic acid methylester) is a new selective herbicide to control *Sorghum* weeds post-emergence in maize. 10-20 g a.i./ha are generally sufficient against *Sorghum bicolor* and *Sorghum halepense* and the post-emergence application window is large enough to assure the desired flexibility to the farmer. CGA 136'872 is also active against *Elymus repens* at low rates. It provides therefore a unique solution for selective post-emergence control of the most troublesome grasses in maize including their perennial form. The specificity of the grass spectrum makes it an ideal compound to be used in a sequence following a pre-emergence application of metolachlor against other grasses. CGA 136'872 has also a good activity against some dicots at 20 g a.i./ha. Preliminary results show that it can control triazine resistant broadleaved weeds successfully when mixed with a foliar active herbicide like bromoxynil.

## INTRODUCTION

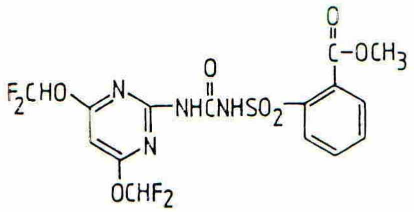
The presence of *Sorghum* weeds like *S. bicolor* and *S. halepense* constitutes a troublesome problem in major parts of the maize growing areas of USA, Argentina and the Mediterranean countries as well as in Eastern Europe. The lack of adequate solutions to control those very competitive weeds in maize has led to a sharp increase of the infested areas. In countries like Italy, the occurrence of *Sorghum halepense* is mostly localized up to now, but it can rapidly develop into a major weed problem (Zanin *et al.* 1986).

CGA 136'872 is a new compound from the chemical group of sulfonylureas. Four years of intensive field testing in the major maize growing areas have shown a very high sensitivity of all the *Sorghum* species towards that compound. CGA 136'872 is currently being developed by Ciba-Geigy Ltd. for selective post-emergence control of *Sorghum* in maize. CGA 136'872 is also active against other weeds like *Elymus repens* and several dicots. The biological performance of the compound as well as the chemical and physical properties of the active ingredient are described in this paper.

## CHEMICAL AND PHYSICAL PROPERTIES

| | | |
|---|---|---|
| Common name | : | none given yet |
| Chemical name (IUPAC) | : | 2-[3-(4,6-bis(difluoromethoxy)-pyrimidin-2-yl)-ureidosulfonyl]-benzoic acid methylester |

Chemical formula :



Empirical formula : $C_{15}H_{12}F_4N_4O_7S$
Molecular weight : 468.33

Physico-chemical properties

| | | |
|---|---|---|
| Appearance | : | colourless crystals |
| Melting point | : | 203.1°C |
| Vapour pressure | : | < 7.5 x $10^{-12}$ mm Hg at 20°C |
| Solubility | : | 0.07 g/l in water (pH 7) at 20°C |

Formulations : WP 5 % wt/wt and WG 75 % wt/wt

Toxicity of technical material

| | | |
|---|---|---|
| acute oral LD50 (rats) | : | > 4'000 mg/kg |
| acute dermal LD50 (rats) | : | > 2'000 mg/kg |
| acute inhalation LC50 (rats) | : | > 4'000 mg/$m^3$ |
| skin irritation (rabbits) | : | non irritant |
| eye irritation (rabbits) | : | minimal irritant |

No sensitising action in guinea pig assay. Practically non toxic to birds, fish and Daphnia.

Additional toxicology studies are in progress.

METHODS AND MATERIALS

The experiments on the behaviour of CGA 136'872 in the soil have been carried out by means of bioassays as described by Gerber (1975). A standard soil from Möhlin (Switzerland) with the following characteristics has been used: 22 % clay, 32 % silt, 46 % sand, 3.5 % organic matter, pH at 6.8.

Field trials have been carried out in naturally infested fields. The plot size varied from 10-20 $m^2$. The experimental design was a randomized complete block with three to four replicates. Trials have been applied with a spray boom at a water volume ranging from 150-750 l/ha. Assessments have been done visually for weed control and crop tolerance on a 0-100 % scale in comparison with untreated checks.

RESULTS

Uptake and Translocation

CGA 136'872 is taken up by the foliage and by the root system. The proportion of each may vary, depending on the growth stage of the plant and environmental conditions such as soil moisture and temperature. The uptake via leaves is enhanced by the addition of a non-ionic surfactant to the spray solution.

CGA 136'872 is rapidly absorbed and effectively translocated within the phloem and xylem system to actively growing meristematic tissue of the foliage and the roots. Translocation takes place in grasses and broadleaved weeds including perennials.

The speed of activity is relatively slow: even though further growth is stopped immediately, dessication occurs only after 10-20 days under practical conditions.

Behaviour in the soil

CGA 136'872 is weakly adsorbed by soil components. The degradation of the compound is not predominantly dependent on microbial activity but takes place also by chemical breakdown. It has a favourable degradation rate also in soils with poor microbial activity like some Canadian black soils, which are known for slow herbicide degradation (Table 1).

TABLE 1

Time in days required to reduce the initial herbicide concentration by 50 % in different soil types at 20°C (soil moisture 50 % field capacity)

| Soil type | % organic matter | pH | Days | Soil Origin |
|---|---|---|---|---|
| sandy loam | 3.5 | 6.8 | 30 | Möhlin, Switzerland |
| sand | 2.7 | 7.4 | 17 | Les Barges, Switzerland |
| clay loam | 8.8 | 6.4 | 22 | Melfort, Canada (black soil) |

As it is the case with other sulfonylureas, temperature and soil moisture strongly influence the degradation of CGA 136'872 (Table 2).

TABLE 2

Degradation of CGA 136'872 in the soil in relation to soil moisture and temperature

| | Time for 50 % degradation in days | | |
|---|---|---|---|
| Soil moisture in % of field capacity | Soil Temperature 7°C | 21°C | 35°C |
| 25 | 65 | 55 | 12 |
| 50 | 35 | 30 | 8 |
| 75 | 55 | 25 | 7 |

Tolerance in maize

CGA 136'872 is well tolerated in maize when applied over the crop at rates necessary to control weeds, i.e. 10-20 g a.i./ha with the addition of a non-ionic surfactant.

Under normal conditions (= good growing conditions for maize, vigorous crop) the tolerance of maize is very good up to rates far above those necessary to reach acceptable weed control.

However, under unfavourable growing conditions and if heavy rain or flooding follows the application, some transient phytotoxicity symptoms may be visible on maize in the weeks following application. The symptoms are inhibition and retardation in the development of the plants. Complete recovery occurs during the season after application of the recommended rates and the yield is not negatively influenced.

The best timing of application to reach selectivity is the 3-7 leaf stage of maize. Yield data in relation to growth stage at application are shown on Table 3.

TABLE 3

Effect of CGA 136'872 on crop yield in maize in relation to stage of growth at application (trial in USA 1986 under good growing conditions)

| Area maintained weed free with metolachlor + atrazine and cultivation cv = G 4327 | | Stage of growth of maize at application | | | | | |
|---|---|---|---|---|---|---|---|
| | | 20-23 cm c. 4 leaves | | 23-25 cm c. 5 leaves | | 46-51 cm c. 7 leaves | |
| | | injury % | yield kg/ha | injury % | yield kg/ha | injury % | yield kg/ha |
| Check | | 0 | 8190 | 0 | 8442 | 0 | 8694 |
| CGA 136'872 | 10 g a.i./ha | 3 | 8568 | 0 | 8505 | 0 | 8757 |
| | 20 g a.i./ha | 3 | 8505 | 0 | 8631 | 0 | 9009 |
| | 40 g a.i./ha | 3 | 8442 | 3 | 8442 | 7 | 8505 |
| LSD (p = 0.05) | | | 1228 | | 1058 | | 1027 |

Application of CGA 136'872 over the crop when the development stage of the crop exceeds 7 leaves is less tolerated mainly due to excessive penetration of the compound into the whorl. If late applications cannot be avoided, or if a second application is necessary, they should be carried out in a semi-directed way.

Trials have shown that a high amount of surfactant or a high water volume may reduce the tolerance of CGA 136'872 in maize. The concentration of surfactant in the spray volume should not exceed 0.1-0.2 % vol/vol and the water volume should be lower or equal to 500 l/ha.

Some differences in cultivar response to CGA 136'872 have been observed and are currently under investigation.

Activity against sorghum weeds

Annual sorghum spp.

CGA 136'872 controls consistently *Sorghum spp.* at 10-20 g a.i./ha when applied post-emergence in addition with a low rate of a non-ionic surfactant of about 0.1 % vol/vol.

The level of activity of CGA 136'872 against Sorghum bicolor, Sorghum halepense as well as against other Sorghum weeds like Sorghum almum is > 80 % at 10 g a.i./ha and exceeds 90 % at 20 g a.i./ha.

Due to the lack of consistent activity against other grasses of the millet type, CGA 136'872 has to be applied following a pre-emergence application of a grasskiller. Results have shown that CGA 136'872 is particularly consistent when the application is preceded by a metolachlor treatment.

Best results are generally achieved when CGA 136'872 is applied to small and actively growing weeds (Table 4). Good moisture conditions at and after application favour the activity and generally increase the speed of activity.

CGA 136'872 has therefore to be applied as soon as the major part of the Sorghum spp. has emerged and has attained a height of about 10 cm. Under favourable moisture conditions, the compound shows sufficient residual activity on later emerging grasses.

Late rescue treatments will result in a suppression of the Sorghum plants without achieving a complete kill.

TABLE 4

Effectiveness of CGA 136'872 + surfactant on Sorghum bicolor applied at different growth stages (trial in Missouri/USA 1986)

| | Height of Sorghum at application (cm) | % Control against Sorghum bicolor: 15 - 35 days after application | % Control against Sorghum bicolor: 30 - 50 days after application |
|---|---|---|---|
| CGA 136'872 10 g a.i./ha | 8-20 | 90 | 85 |
| | 25-26 | 78 | 78 |
| | 51-91 | 13 | 15 |
| CGA 136'872 20 g a.i./ha | 8-20 | 95 | 93 |
| | 25-36 | 90 | 90 |
| | 51-91 | 22 | 30 |

Perennial Sorghum halepense

The strength of CGA 136'872 is that it is also active on Sorghum grown from rhizome. Very good initial activity is achieved at the same rates as those recommended to control Sorghum weeds grown from seeds.

The application timing on perennial Sorghum halepense has however to be somewhat later, when more foliage has developed and the plant height is at least 15-20 cm, as this allows for more uptake and translocation of the compound.

Depending on weather conditions following the application, some later regrowth of Sorghum may still occur. A split application of 10 g a.i./ha early post followed by 10 g a.i./ha on regrowing plants achieves good control as shown in Table 5. Instead of a second application, a cultivation also allows sufficient control.

TABLE 5

Influence of split applications on the level of activity of CGA 136'872 + surfactant against rhizome Sorghum halepense in Argentina, 1987

| Average of 3 trials | | Height of Sorghum at application (cm) | % control of Sorghum halepense days after application 23 - 49 | 75 - 110 |
|---|---|---|---|---|
| CGA 136'872 | 20 g a.i./ha | 3-25 | 90 | 75 |
| CGA 136'872 | 20 g a.i./ha | 30-80 | 98 | 77 |
| CGA 136'872 followed by | 10 g a.i./ha | 3-25 | 96 | 90 |
| CGA 136'872 | 10 g a.i./ha | 10-35* | | |

* size of regrown plants

Activity against Elymus repens

CGA 136'872 is also active against Elymus repens at low rates. Due to its translocation properties the compound achieves a good initial activity. As is the case for perennial Sorghum halepense, the best application timing is when Elymus has reached the size of 10-20 cm. It suppresses the grasses when the competition for maize is the strongest.

In some instances the duration of activity may be relatively short (Table 6) and a complete destruction of Elymus is not achieved. However, trials in Canada have shown a good reduction of the Elymus population in the year following the application of CGA 136'872.

TABLE 6

Activity of 20 g a.i./ha CGA 136'872 + surfactant on Elymus repens early post-emergence applied in maize in different countries
(Average of 1986 trials)

| Country | Height of Elymus at application (cm) | % control of Elymus repens 1 - 2 months after application | 2 - 3 months after application |
|---|---|---|---|
| USA | 10 - 20 | 90 | 72 |
| Austria | 10 - 30 | 94 | 91 |
| Germany | 5 - 10 | 82 | 76 |

Activity against broadleaved weeds

Besides its specific effectiveness against Sorghum and Elymus, CGA 136'872 shows also activity against several important broadleaved weeds at a selective rate of 20 g a.i./ha.

A level of control superior or equal to 80 % of the following weeds can be achieved after an early post-emergence application of CGA 136'872 + surfactant: Xanthium spp., Amaranthus spp., Ambrosia spp., Datura spp. and most of the cruciferous weeds.

In addition, the compound is partially active against some other important weeds like Chenopodium album, Solanum spp. and Polygonum spp..

CGA 136'872 is, therefore, a potential partner to be combined with other post-emergence herbicides (at reduced rates) for broadspectrum control of broadleaved weeds.

Very good preliminary results have been obtained against triazine resistant broadleaved weeds in combination with a low rate of bromoxynil as indicated in Table 7.

TABLE 7

Activity of CGA 136'872 + surfactant applied post-em. in tankmixture with bromoxynil phenol against triazine resistant weeds in maize in France 1986

| | % control against | | | | | | | |
|---|---|---|---|---|---|---|---|---|
| | Amaranthus retroflexus | | Solanum nigrum | | Polygonum persicaria | | Chenopodium album | |
| | early | late | early | late | early | late | early | late |
| CGA 136'872 20 g a.i./ha + bromoxynil 300 g a.i./ha | 95 | 89 | 92 | 94 | 90 | 89 | 100 | - |
| bromoxynil 600 g a.i./ha | 80 | 44 | 86 | 90 | 95 | 82 | 100 | - |
| atrazine 2000 g a.i./ha | 0 | 0 | 0 | 1 | 0 | 0 | 0 | - |

early = 10-35 days after application = initial activity
late = 42-54 days after application = activity on new emergence

The mixture of CGA 136'872 and a reduced rate of bromoxynil outperforms clearly the full rate of bromoxynil. The residual activity of CGA 136'872 allows a good control of broadleaved weeds during a longer period of time. One application of the combination is sufficient where two applications of a contact herbicide would be necessary. The mixture can be applied earlier than the contact herbicide alone which avoids the risk of early weed competition. On the other hand, bromoxynil increases the speed of activity of the sulfonylurea.

Further trials are in progress to determine the usefulness of low rates of CGA 136'872 against other broadleaved weeds as well as in combination with other herbicides.

Impact on rotational crops

Based on three years field testing, the application of 20 g a.i./ha of CGA 136'872 in maize can be recommended without restrictions for crops regularly following maize in the rotation.

Autumn seeded wheat and spring seeded cereals (wheat, barley, rye and oats) as well as soybeans, sunflowers, maize, sorghum, sugarbeets and leguminous crops like peas and beans have not shown up to now any injury which could be related to the application of 20 g a.i./ha CGA 136'872 in maize.

Based on a favourable degradation pattern, a low sensitivity to the most important rotational crops and very low use rates, the risk of carry over in these crops can be considered as negligible.

The possibilities for recropping shortly after application of CGA 136'872 in case of crop failure are currently under investigation.

CONCLUSIONS

The maize herbicide CGA 136'872 has shown the following promising features:

- a unique activity spectrum providing selective control of *Sorghum* weeds in maize
- very low use rates of 10-20 g a.i./ha with post-emergence applications
- with 80-90 % growth suppression the compound also eliminates the competition of *Elymus repens*
- in combination with foliar active herbicides (such as bromoxynil) the new herbicide CGA 136'872 allows to complete their activity spectrum, to lower their application rate and to prolong their duration of activity; such mixtures are especially valuable for the control of triazine resistant weeds
- highly promising results are also obtained in sequential applications, especially when the new compound is preceded by pre-emergence herbicides like metolachlor and atrazine
- in usual crop rotation systems, application rates of 20 g a.i./ha have so far not caused any carry over injury to succeeding crops.

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# RE-45601: A NEW POST-EMERGENCE HERBICIDE FOR CONTROL OF GRASSES IN BROAD-LEAF CROPS.

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## ABSTRACT

'Select'TM (RE-45601 1/) is a new experimental selective post-emergence grass herbicide invented and under development by Chevron Chemical Company, Agricultural Chemicals Division. RE-45601 has been observed to be effective against a wide range of annual grasses at the rate of 84-140 g a.i./ha and perennial grasses at the rate of 140-280 g a.i./ha. All broadleaf crops tested, including soybeans, cotton, peanuts, sugar beets, potatoes, alfalfa and most vegetable crops, have shown excellent crop tolerance. Pre-emergence activity for annual grass control has been observed from application rates of 140-280 g a.i./ha when applied pre-emergence or preplant incorporated to many broadleaf crops. Best results from RE-45601 applications have generally been obtained when grass species are actively growing and are less than 20 cm in height. An oil concentrate at 2.34 l/ha is recommended with all post-emergence applications.

## INTRODUCTION

The common name for RE-45601 is Clethodim.

RE-45601 is a highly active post-emergence, selective herbicide that controls both annual and perennial grass weeds, and volunteer cereals in a wide range of broadleaf crops.

RE-45601 is being developed as an emulsifiable concentrate containing 240 grams of active ingredient per liter, in the United States, Canada, Latin America, Europe and Asia-Oceania.

This paper describes the biological properties of the RE-45601 240 g/l formulation in various crops and against certain weeds. In addition, the physical-chemical and toxicological properties of the technical material will be mentioned.

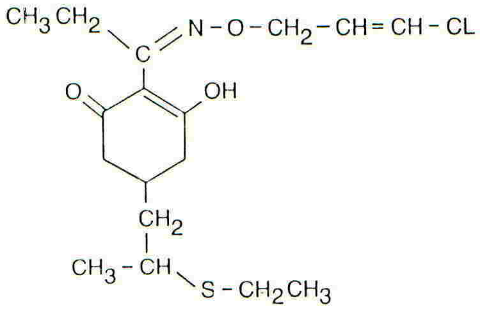
1/ U.K. Patent No. 2090246

## 2—5

Physical-chemical and toxicological properties

Chemical and physical

Structure




IUPAC (±)-2-[(E)-3-chloroallyloxyimino]propyl]-5-(2-ethylthropropyl)-3-hydroxycyclohex-2-enone.

The technical material is a clear, amber liquid that is soluble in most organic solvents. It is not stable at extreme pH's, or temperatures nor to exposure to U.V. light.

Toxicology

The acute oral $LD_{50}$ for technical RE-45601 for male rats is 1630 mg/kg and for female rats it is 1360 mg/kg. The LC-50 for trout for technical RE-45601 is 56 mg/l. For quail in an 8 day feeding trial, the LC-50 for technical RE-45601 was determined to be greater than 6000 ppm.

Biological properties

Herbicidal activity

RE-45601 is a systemic herbicide which is rapidly absorbed and readily translocates from the treated foliage to the root system and growing points of the plant. Treated plants show a reduction in growth and a loss of competitiveness. An early chlorosis/necrosis of the younger plant tissues is followed by a progressive collapse of the remaining foliage. These symptoms will generally be observed in one to three weeks depending on the grass species treated and the environmental conditions.

Herbicidal spectrum

RE-45601 is effective against a wide range of annual and perennial grasses. It has little or no activity against broadleaf weeds or sedges.

METHODS AND MATERIALS

The field trials reported in this paper were conducted in the U.S.A. All trials were of randomized block design using two to four replications. Plot size ranged from 15 m2 to 100 m2. Applications were made with various types of plot sprayers delivering a spray volume 50 to 280 l/ha. Visual assessments were made to determine the herbicidal efficacy and crop selectivity, using a scale of 0 to 100 percent control.

Application technique and additives

Being a post-emergence herbicide, RE-45601 needs to cover the surface of target plants well. Lower spray volumes (e.g., 50 l/ha) were more effective than higher volumes (180 and 280 l/ha) if good distribution of fine droplets was achieved. Crop oil concentrate at rate of 2.34 l/ha increased the activity of RE-45601.

Application time

The best grass control was achieved when grasses were actively growing. Drought conditions as well as cool temperatures (under 15°C) or other stress factors sometimes reduce the herbicidal efficacy. The best control of annual grasses was obtained from applications at the three to five leaf stage.

TABLE 3

Efficacy of RE-45601 + 2.34 l/ha oil concentrate applied on grasses at the three to five leaf stage in soybeans in U.S.A.

| | Weed control (%) 21 to 24 DAT | | | |
|---|---|---|---|---|
| Herbicide Rate g a.i./ha | Brachiaria platyphylla n=2 | Sorghum halepense (rhizome) n=2 | Echinochloa crus-galli n=3 | Oryza sativa n=2 |
| 70 | 92 (9) | 96 (7) | 89 (11) | 88 (7) |
| 140 | 94 (2) | 97 (4) | 94 ( 8) | 95 (4) |

n = number of experiments; ()=standard deviation

Annual grasses

Results from U.S.A. demonstrated the susceptibility of grass species to different rates of RE-45601. Sorghum halepense (rhizome) and Brachiaria platyphylla were more sensitive and were controlled by lower rates than Echinochloa crus-galli and Oryza sativa (Table 3).

TABLE 4

Activity of RE-45601 + 2.34 l/ha oil concentrate applied at the three to five leaf stage in U.S.A.

| | Weed Control (%) 21 DAT | | | | |
|---|---|---|---|---|---|
| Herbicide Rate g a.i./ha | Triticum aestivum n=2 | Avena sativa n=2 | Avena fatua n=2 | Bromus secalinus n=2 | Aegilops cylindrica n=2 |
| 84 | 95 (4) | 100 (0) | 100 (0) | 70 (16) | 75 (12) |
| 110 | 100 (0) | 100 (0) | 100 (0) | 95 ( 2) | 90 ( 5) |
| 150 | 100 (0) | 100 (0) | 100 (0) | 95 ( 2) | 92 (3) |

n=number of experiments; ()=standard deviation

Bromus secalinus and Aegilops cylindrica) needed 110 g a.i./ha for acceptable control (90% + control). Triticum aestivum, Avena sativa, and Avena fatua were controlled at 84 g a.i./ha (Table 4).

## RESULTS

### Crop tolerance

All non-graminaceous crops tested so far show excellent tolerance at all growth and development stages to RE-45601 applied up to 2 kg a.i./ha (8 to 20 times herbicidal effective rates) with 2.34 l/ha oil concentrate.

TABLE 1

Crops tested so far and shown to be tolerant to RE-45601

| | | | |
|---|---|---|---|
| Alfalfa | Cotton | Lettuce | Potatoes |
| Beans, dry | Cucumber | Lupine | Soybeans |
| Beets, sugar | Field peas | Onions | Sunflower |
| Canola (Rapeseed) | Flax | Oranges | Tobacco |
| Carrot | Grape | Peanuts | |

Graminaceous crops like barley, corn, oats, rice, sorghum and wheat are sensitive to RE-45601 and consequently can be controlled as volunteer cereals in non-graminaceous crops.

### Crop rotation

Soybeans and cotton can be planted following the application of RE-45601. Other crops can be planted 4 months after an application of RE-45601 that does not exceed 1.12 kg a.i./ha.

TABLE 2

Effective control of grass species with RE-45601

a) Annual species (84 to 140 g a.i./ha)

| | |
|---|---|
| Aegilops cylindrica | Oryza sativa |
| Avena fatua | Panicum capillare |
| Avena sativa | Panicum dichtomiflorum |
| Brachiaria platyphylla | Panicum texanum |
| Bromus secalinus | Secale cereale |
| Cenchrus incertus | Setaria faberi |
| Digitaria ischaemum | Setaria lutescens |
| Digitaria sanguinalis | Setaria viridis |
| Echinochloa colonum | Sorghum bicolor |
| Echinochloa crus-galli | Triticum aestivum |
| Eleusine indica | Zea mays |
| Hordeum vulgare | |

b) Perennial species (84 to 140 g a.i./ha)

Sorghum halepense (rhizome)

c) Perennial species (140 to 280 g a.i./ha)

Cynodon dactylon
Elymus repens

Perennial grasses

TABLE 5

The effects of multiple applications of RE-45601 + 2.34 l/ha oil concentrate on the control of *Sorghum halepense* (rhizome) in U.S.A.

| Herbicide Rate g a.i./ha | Weed control (%) (7 days after each application) One Application | Two Applications | Three Applications |
|---|---|---|---|
| 28 | 26 b | 68 b | 90 b |
| 56 | 56 a | 85 a | 99 a |

Means in each column followed by the same letter are not significantly different at the 0.05 level of probability.

Multiple applications of low rates of RE-45601 (28 and 56 g a.i./ha) controlled rhizome *Sorghum halepense* (Table 5).

TABLE 6

The effects of RE-45601 + 2.34 l/ha oil concentrate applied to *Cynodon dactylon* in the U.S.A.

| Herbicide Rate g a.i./ha | Weed control (%) 42 DAT Single Application n=2 | Dual Application (+ 22 days) n=2 |
|---|---|---|
| 84 | 55 (12) | |
| 84 + 84 | | 80 (8) |
| 140 | 80 ( 6) | |
| 140 + 140 | | 90 (3) |
| 250 | 85 ( 4) | |
| 250 + 250 | | 100 (0) |

n=number of experiments; ()=standard deviation
Data recorded on same day

*Cynodon dactylon* is harder to control than annual grasses. Table 6 shows that 250 g a.i./ha applied once or 140 g a.i./ha applied twice was required for adequate control.

DISCUSSION

RE-45601 is a highly selective post-emergence grass herbicide with systemic effect. Applied in an early development stage, when grasses are actively growing, it controls a wide variety of annual and perennial grasses. Timing is important, three to five leaf stage for annual grasses and post-tillering for perennial grasses was the most effective. Improper timing can be compensated for by higher rates or multiple applications.

The active ingredient of RE-45601 is taken up by plants within one hour and subsequent rainfall did not reduce the activity. RE-45601 can be combined in tank mix or in a sequential application with some herbicides used for dicotyledoneous weeds.

ACKNOWLEDGEMENTS

We gratefully acknowledge the assistance of other Chevron Chemical Company technical and research personnel as well as our university and USDA colleagues for generating and assimilating this information.

# RO 17-3664, A NEW QUINOXALINE HERBICIDE AGAINST ANNUAL AND PERENNIAL GRASSES IN BROADLEAVED CROPS

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## ABSTRACT

Ro 17-3664, 2-isopropylideneamino-oxyethyl(R)-2-[4-(6-chloroquinoxalin-2-yloxy)phenoxy]propionate, a new highly active graminicide against a broad spectrum of important grass species, including Sorghum halepense, Cynodon dactylon and Elymus repens in soybeans, cotton, sugarbeet, rape, potatoes and vegetables. Ro 17-3664 is applied post-emergence at dose rates of 70-280 g a.i./ha depending on the species to be controlled. The best effect is obtained when the compound is applied to young plants. It is very active even under adverse environmental conditions such as relatively high or low temperatures. Ro 17-3664 is translocated in the xylem and phloem of tested plants and thus perennial grasses with rhizomes are very well controlled. Selectivity in dicotyledonous crops tested is good. On the basis of its biological characteristics and its environmental behaviour, Ro 17-3664 (ISO proposed common name: propaquizafop) is an excellent new graminicide for modern weed management in worldwide important broadleaved crops.

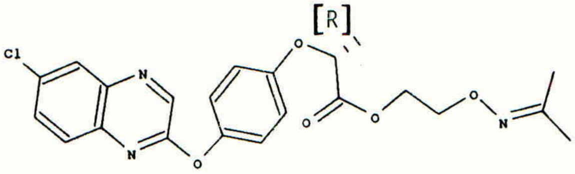
## INTRODUCTION

The control of grasses in broadleaved crops such as soybeans, cotton, sugarbeet, rape and vegetables is of great economic importance for modern agriculture. Ro 17-3664 is a new substance with excellent graminicidal properties, discovered in 1982 and currently being developed by DR. R. MAAG LTD. This post-emergence graminicide has been tested worldwide in major growing areas of the above mentioned crops.

The chemical, physical and biological features of this new herbicide will be described including results from growth chamber, greenhouse and field evaluation studies.

## 2—6

### CHEMICAL AND PHYSICAL PROPERTIES

| | |
|---|---|
| Chemical name | 2-isopropylideneamino-oxyethyl(R)-2-[4-(6-chloroquinoxalin-2-yloxy)phenoxy]propionate |
| Common name | propaquizafop (ISO proposed) |
| Trade name | 'AGIL', 'SHOGUN' |
| Structure |  |
| Empirical formula | $C_{22} H_{22} Cl N_3 O_5$ |
| Molecular weight | 443.891 |
| Appearance | solid, crystalline, odorless |
| Density | 1.29 g/ml (20°C) |
| Melting point | 62°C - 64.5°C |
| Solubility in water (25°C) | 2 ppm (pH 7) |
| Vapor pressure (20°C) | $1.3 \times 10^{-8}$ Pa ( 0.013 µPa) |
| n-octanol/water coeff. | Log P 3.5 (pH 7) |



### FORMULATION

For practical application, Ro 17-3664 can be formulated as an emulsifiable concentrate (EC) of 240 g/l. Other types of formulation with a wetting agent incorporated are being investigated.

### TOXICOLOGY

| | |
|---|---|
| Acute toxicity: | $LD_{50}$ oral rat > 5000 mg/kg<br>$LD_{50}$ dermal rat > 2000 mg/kg<br>$LD_{50}$ inhalation rat > 2500 mg/m$^3$ |
| Skin and eye irritation: | Non-irritating to the skin and moderately irritating to the eye of rabbits |
| Mutagenicity: | No mutagenic potential |
| Teratogenicity: | No teratogenicity or embryotoxic effects |

## ENVIRONMENTAL CHEMISTRY

Animals: Rapid elimination occurred in the rat via urine and faeces after oral uptake. There is no indication of bioretention. The metabolic pathway is well understood.

Soil: Degradation of 14-C labelled material in loam and sandy loam soils proceeded at a reasonable rate. Extensive mineralisation to 14-$CO_2$ was observed and a series of soil metabolites was identified.

Plants: Ro 17-3664 penetrated into the foliage of soybeans and cotton where it was rapidly broken down.

## MODE OF ACTION

Ro 17-3664 (oxime glycol ester) is rapidly absorbed by plant foliage and roots and translocated throughout the plant. Susceptible grasses cease to grow 3-4 days after post-emergence application. Yellowing and/or red coloration of the treated tissue occurs 7-12 days after spraying, followed by necrosis and plant death 3-7 days later. Ro 17-3664 is more active at relatively low temperatures compared with the standards. Adjuvants increase the graminicidal activity by a factor of 2-3 on certain important grass species.

## MATERIALS AND METHODS

Ro 17-3664 was tested in small plot field trials in Switzerland, France, Spain, Italy and USA over a period of 3 years. The experiments were designed as complete randomized block with three to four replicates. Plot size varied from 5-20 $m^2$. Applications were carried out with air pressurized small plot sprayers or knapsack sprayers and spray volume ranged from 200-500 l/ha. Ro 17-3664 was formulated as an emulsifiable concentrate containing 240 g a.i./l. Graminicidal activity and crop tolerance were assessed visually in comparison with untreated plots and expressed as a percentage (0 % = no grass control or no crop damage; 100 % = complete grass control).

The influence of simulated rain on the activity of Ro 17-3664 after application of the herbicide was investigated under greenhouse conditions using *Cynodon dactylon*, *Digitaria sanguinalis* and *Sorghum halepense*. Growing conditions: photoperiod 16 hours, temperature: day 22-26 °C, night 17-19°C. Dose rate: 10 g a.i./ha. The effect of environmental conditions on the herbicidal activity was investigated in a growth chamber using *Setaria faberi* as test plant. Temperature range: 18-30° C. Dose rates: 1-30 g a.i./ha.

RESULTS

Trials in Europe

Table 1
Herbicidal activity (as % of untreated) of Ro 17-3664 applied for post-emergence weed control of annual grasses in France, Spain, Italy and Switzerland (mean from 1984, 1985 and 1986; assessment 15-58 DAT)

| Plant species | n | 17-3664 (g a.i./ha) 60-70 | 90 | 120 | Standard herbicides 120* | 360-380° |
|---|---|---|---|---|---|---|
| Alopecurus myosuroides | 27 | 92 | 98 | 99 | 93 | 89 |
| Avena fatua | 29 | 87 | 90 | 93 | 93 | 93 |
| Digitaria sanguinalis | 4 | 100 | 100 | 100 | 90 | 90 |
| Echinochloa crus-galli | 19 | 96 | 99 | 100 | 93 | 87 |
| Lolium multiflorum | 4 | 80 | 90 | 91 | 89 | 90 |
| Panicum dichotomiflorum | 2 | 97 | 100 | 100 | 100 | 100 |
| Phalaris spp. | 3 | 99 | 100 | 100 | 91 | 85 |
| Setaria faberi | 2 | 100 | 100 | 100 | 100 | 85 |
| " glauca | 2 | 90 | 100 | 100 | 92 | 75 |
| " verticillata | 7 | 73 | 94 | 95 | 88 | 61 |
| " viridis | 4 | 97 | 100 | 100 | 92 | 83 |
| Sorghum halepense (seeds) | 2 | 100 | 100 | 100 | 99 | 98 |
| Volunteer barley | 14 | 95 | 98 | 98 | 97 | 96 |
| " wheat | 19 | 90 | 97 | 98 | 97 | 95 |

* = quizalofop-ethyl ° = fluazifop-butyl n = no of trials
Adjuvant: 1-2 l/ha SUN OIL 11E

Ro 17-3664 controlled a wide range of important grass species as well as volunteer barley and wheat (Table 1). All grasses tested at 90 g a.i./ha were controlled 90% relative to untreated. The following crops have shown excellent tolerance to Ro 17-3664 : Alfalfa, cabbage, carrots, clover, common beets, cotton, field beans, leeks, melons, onions, rape, red beets, savoy, strawberries, sugarbeets and tomatoes.

Table 2

Herbicidal activity (as % of untreated) of Ro 17-3664 applied for post-emergence weed control of perennial grasses in France, Spain, Italy and Switzerland (mean from 1984, 1985 and 1986; assessment 21-48 DAT)

| Plant species | n | 17-3664 (g a.i./ha) 140 | 280 | Standard herbicide 750* |
|---|---|---|---|---|
| Elymus repens | 25 | 91 | 96 | 86 |
| Cynodon dactylon | 1 | 89 | 92 | 99 |
| Sorghum halepense | 6 | 95 | 98 | 89 |

* = fluazifop-butyl n = no of trials
Adjuvant: 1-2 l/ha SUN OIL 11 E

Trials in USA

Table 3

Herbicidal activity (as % of untreated) of Ro 17-3664 applied for post-emergence weed control of annual grasses in USA (mean from 1984 and 1985; assessment made 19-49 DAT)

| Plant species | n | 17-3664 (g a.i./ha) 35 | 70 | 105 | Standard herbicides 280*-340 | 210°-280 |
|---|---|---|---|---|---|---|
| Bromus spp. | 3 | 100 | 100 | 100 | 100 | 98 |
| Digitaria sanguinalis | 7 | 75 | 90 | 96 | 84 | 89 |
| Echinochloa crus-galli | 5 | 81 | 96 | 98 | 89 | -- |
| Echinochloa colonum | 2 | 98 | 99 | 100 | 94 | 93 |
| Panicum miliaceum | 2 | 80 | 89 | 91 | -- | 67 |
| " texanum | 4 | 93 | 99 | 100 | -- | 97 |
| Setaria faberi | 9 | 82 | 99 | 100 | 98 | 87 |
| " glauca | 4 | 72 | 91 | 95 | 87 | -- |
| " viridis | 5 | 89 | 96 | 97 | 97 | 84 |

* = sethoxydim ° = fluazifop-butyl n = no of trials
Adjuvant: Ortho X-77 spreader at 0.25% v/v or crop oil concentrate 1% v/v.

Ro 17-3664 showed an excellent herbicidal activity against a number of important grasses at a dose rate ranging from 35-105 g ai/ha depending on the species in various regions of USA (Table 3). Bromus spp. and Echinochloa colonum were already controlled at 35 g ai/ha whereas Echinochloa crus-galli, Panicum texanum, Setaria faberi and Setaria viridis needed 70 g a.i./ha.

Table 4

Herbicidal activity (as % of untreated) of Ro 17-3664 applied for post-emergence weed control of perennial grasses in USA (mean from 1984 and 1985; assessment 19-49 DAT)

| Plant species | n | 17-3664 (g a.i./ha) 140 | 280 | Standard herbicides 280* -340 | 280° -560 |
|---|---|---|---|---|---|
| Agropyron repens | 8 | 72 | 85 | 27 | 54 |
| Cynodon dactylon | 4 | 91 | 93 | 87 | 60 |
| Sorghum halepense | 9 | 85 | 97 | 71 | 89 |

* = sethoxydim  ° = fluazifop-butyl  n = no of trials
Adjuvant: Ortho X-77 spreader at 0.25% v/v or crop oil concentrate 1% v/v.

Perennial grasses such as Elymus repens, Cynodon dactylon and Sorghum halepense were controlled at 140-280 g a.i./ha in cotton and soybeans (Table 4). No phytotoxicity was observed on the two tested crops.

Effect of rainfall

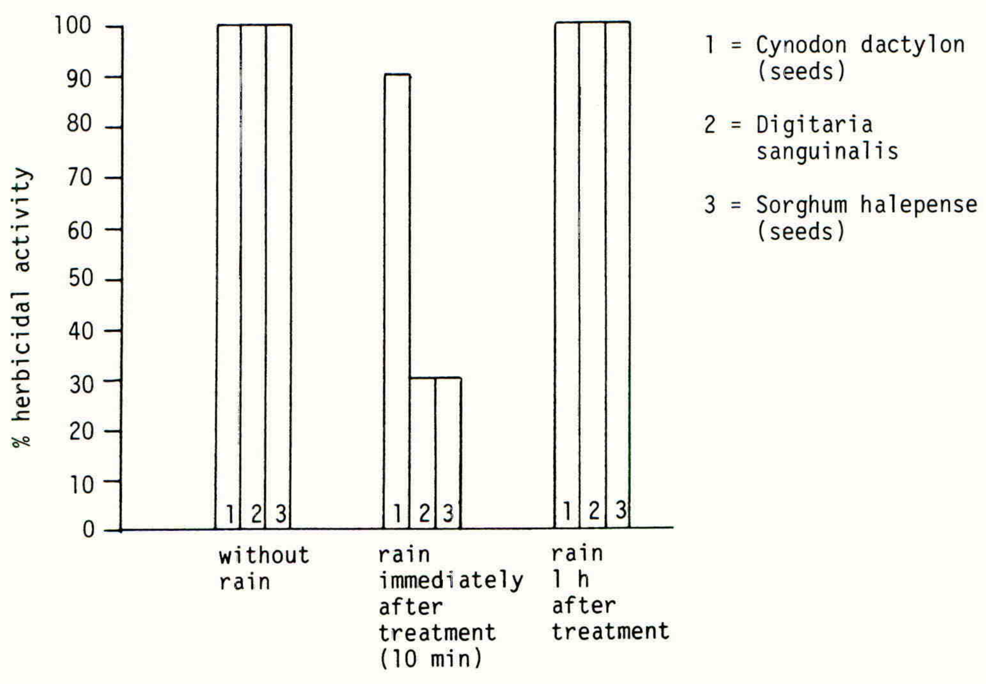



Fig.1 Rainfastness of Ro 17-3664 (10 g a.i./ha) tested under greenhouse conditions.

Simulated rain (20 mm) applied 10 minutes after treatment with 10 g ai/ha of Ro 17-3664 reduced the herbicidal activity depending on the species (Fig.1). The herbicidal effect on Cynodon dactylon was reduced 10% relative to no simulated rain, whereas on Digitaria sanguinalis and Sorghum halepense 70% reduction was observed. However, rain applied one hour after spraying had no influence on the activity of Ro 17-3664. These properties of the new graminicide regarding rainfastness will be an advantage under practical conditions.

Effect of temperature

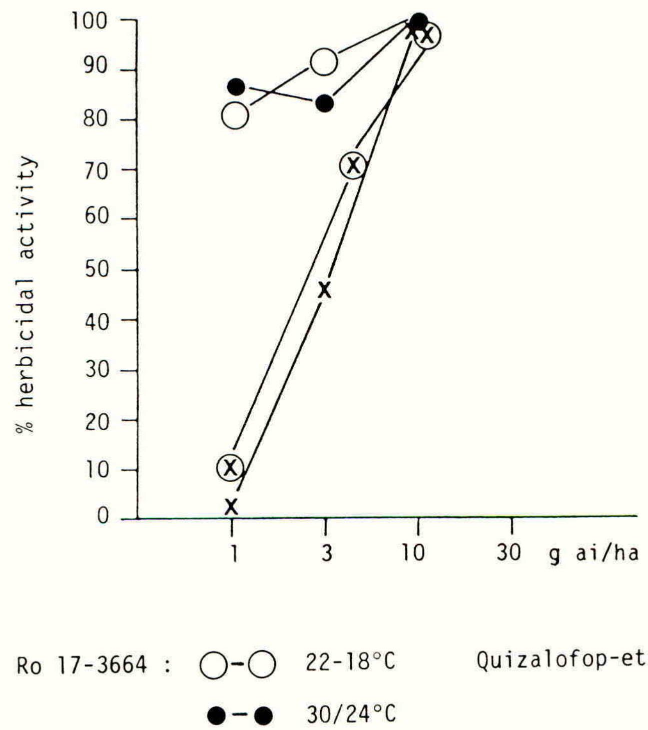



Fig.2 Influence of temperature on the herbicidal activity of Ro 17-3664 on Setaria faberi.

The herbicidal effect of Ro 17-3664 on Setaria faberi at dose rates of 1 and 3 g a.i./ha was better than that of quizalofop-ethyl (Fig.2). Ro 17-3664 showed almost the same activity at 22/18° C (day/night) as at a higher temperature 30/24°C under growth chamber conditions (photoperiod 16 hours, light intensity 400 Microeinsteins $m^{-2}$ $sec^{-1}$).

## DISCUSSION

Ro 17-3664 demonstrated an excellent graminicidal activity against a wide range of important annual and perennial grasses over 3 years of field testing in Switzerland, France, Italy, Spain and USA. Annual grasses could be effectively controlled at 60-120 g a.i./ha depending on species whereas for perennial grasses 140-280 g a.i./ha were necessary. Ro 17-3664 also showed a good efficacy at relatively low temperatures.

The new graminicide was tolerated by a number of important crops such as soybeans, cotton, peanuts, sugarbeets, rape, potatoes and vegetables. The best and most rapid effect was obtained with Ro 17-3664 when applied to young, vigorously growing grasses. An adjuvant must be added to the spray solution to achieve full coverage of the leaves. Formulations with built-in wetting agents are being investigated. Rainfall 1 hour after application has no effect upon activity of the compound (Fig.1).

## ACKNOWLEDGEMENT

The authors wish to thank the LA QUINOLEINE field team in France and to staff members in USA and Switzerland who carried out trial work reported in this paper.

The authors also wish to thank Dr. J. Wenger, SOCAR LTD, for his support of the programme and Mr. M. Gut, DR. R. MAAG LTD. for his help in preparing this paper.

# DPX-A7881: A NEW HERBICIDE FOR OILSEED RAPE

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## ABSTRACT

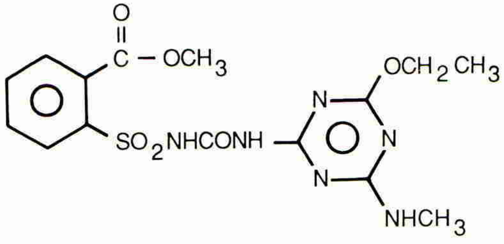
DPX-A7881 is a new selective herbicide for post-emergence use in oilseed rape. This compound is a member of the sulfonylurea class of chemicals being developed by E. I. du Pont de Nemours & Co., Inc. DPX-A7881 has shown outstanding post-emergence control of several important weeds including wild mustard (*Sinapis arvensis*) and stinkweed (*Thlaspi arvense*) Oilseed rape, including Canola cultivars, shows excellent tolerance to post-emergence applications, with at least a 4-fold safety margin to *Brassica napus* and *B. campestris* relative to rates needed for weed control. This paper will disclose product chemistry and discuss the physico-chemical properties, toxicological profile and biological effectiveness of DPX-A7881.

## INTRODUCTION

Control of broadleaved weeds in oilseed rape continues to be a challenge for farmers. Relatively few products are available and newer, more effective products are needed. DPX-A7881 has been evaluated in field trials since 1984 and has shown promise as a new postemergence weed control agent. DPX-A7881 is a member of the sulfonylurea class of herbicides being developed by E. I. du Pont de Nemours and Co., Inc. and has the following properties.

### Chemical and physical properties of DPX-A7881

Structure:



Molecular weight: 410.4 Chemical Formula: C15H18N6O4S

Chemical Name: methyl 2-[(4-ethoxy-6-methylamino-1,3,5-triazin-2-yl) = carbamoylsulphanoyl]benzoate

Melting Point: 194°C Physical State: White crystalline solid

Vapor Pressure: $5.8 \times 10^{-15}$ mm Hg (25°C)

Solubilities: Water 50 ppm at pH 6.0; acetone 1600 ppm

Dissociation Constant: 4.8

Octanol/Water Partition Coefficient: 0.89 at pH 7

As are other sulfonylurea herbicides, DPX-A7881 is a weak acid and its solubility will be affected by the pH of the solution. The octanol/water partition coefficient is higher than many other sulfonylureas and results in increased binding to organic matter in soil. This binding significantly decreases the biological activity as a pre-emergence herbicide.

Toxicology

Studies completed or in progress show that DPX-A7881 is a compound with favorable toxicological properties and does not pose a hazard to the user or the environment.

Tests with DPX-A7881 have given the following results.

Acute oral ALD (male rat): > 11000 mg/kg

Eye irritation: mild, transient

Skin irritation: none

Sensitization: none

Mutagenicity: negative in Ames test, mouse-micronucleus test, DNA synthesis study, mutagenicity test in Chinese hamster ovary cells, and in vivo cytogenesis (rat bone marrow cells)

Teratology studies: Not teratogenic in the rat or rabbit

Chronic toxicity studies: in progress

Subchronic (90-day) toxicity studies: No adverse effects were observed at the highest dietary concentrations tested in the rat and mouse (5,000 ppm) and in the dog (10,000 ppm).

Bird studies: acute oral $LD_{50}$ >2,250 mg/kg, mallard duck
acute oral $LD_{50}$ >2,250 mg/kg, bobwhite quail
subacute oral (5 days) $LC_{50}$ >5,620 ppm mallard duck
subacute oral (5 days) $LC_{50}$ >5,620 ppm, bobwhite quail

Fish studies: $LC_{50}$ (96 hours) >600 mg/L *Lepomis machrochirus*
$LC_{50}$ (96 hours) >600 mg/L *Salmo gairdneri*

Honey bee: acute contact $LD_{50}$ >12.5 ug/bee

## Fate in Soil

Field and laboratory studies show that DPX-A7881 dissipates by microbial degradation and by chemical hydrolysis. The half life in soil depends upon environmental conditions and soil properties. The increased soil binding of DPX-A7881 results in much less activity from soil treatments when compared to chlorsulfuron (Table 1).

TABLE 1

Sensitivity of various rotational crops to soil incorporated treatments of chlorsulfuron and DPX-A7881. Data are expressed as the rate of chemical needed to produce 50% visual growth reduction (GR 50).

| | | | | GR 50 (g/ha) | | | |
|---|---|---|---|---|---|---|---|
| | Sugarbeet | Corn | Sunflower | Soybean | Rapeseed | Wheat | Barley |
| Chlorsulfuron | 0.4 | 2.6 | 1.5 | 2.3 | 2.0 | >128 | >128 |
| DPX-A7881 | 6.5 | 7.4 | 55.2 | 45.7 | >128 | 18.5 | 31.6 |

## Mode of Action

The mode of action of DPX-A7881 appears to be identical to that of chlorsulfuron and metsulfuron-methyl; it inhibits the enzyme acetolactate synthase as reported by Ray (1984). Plants absorb DPX-A7881 from both foliage and roots. Growth of treated weeds stops soon after application and symptoms of necrosis appear 1 to 3 weeks later. DPX-A7881 shows tolerance to oilseed rape, because it is metabolized by this crop. Shoots and foliage metabolize DPX-A7881 much more rapidly than roots, where the enzymes necessary for detoxification are apparently lacking. Lichtner, F., personal communication. Similarly, metabolism has been shown to be the basis for crop tolerance of sulfonylureas used on cereal crops, (Sweetser *et al.*, 1982).

Use in Spring Rape

DPX-A7881 has shown promise as a post-emergence herbicide for use in spring oilseed rape (canola) at rates of 10-40 g/ha. Much higher rates of 80-120 g/ha are needed for winter oilseed rape, because of the weed spectrum. Winter rape is often damaged by frost and must be replanted to spring crops. At the high use rates of DPX-A7881, injury has been noted to spring crops and use as a fall application has been discontinued. In spring the lower rates of application and warmer growing season result in favorable dissipation of DPX-A7881 and safety to rotational crops. Extensive recropping trials in Canada (Stone *et al.*, 1985; Parsons, 1987) and in Scandinavia have shown a greater than two-fold safety margin to sensitive crops planted after spring rape.

Crop Tolerance and Efficacy

Excellent tolerance by *Brassica napus* and *Brassica campestris* has been found. At use rates of 10-30 g/ha, a four-fold margin of selectivity has been reported (Parsons, 1987; Petersen, 1987; Nordh, 1987). Trial results show that several important weeds are controlled by low doses of DPX-A7881, including: false chamomile *(Matricaria chamomilla)*, henbits *(Lamium spp.)*, *Polygonum tomentosum*, ladysthumb smartweed *(Polygonum persicaria)*, wild mustard *(Sinapis arvensis)*, hempnettle *(Galeopsis tetrahit)*, stinkweed *(Thlaspi arvense)*, pigweed *(Amaranthus spp.)*, and chickweed *(Stellaria media)* (Parsons, 1987; Petersen, 1987; Nordh, 1987; Stone *et al.*, 1985). The use of surfactant has been shown to increase the activity of DPX-A7881 on many weeds (Petersen, 1987).

DISCUSSION

Four years of field trials have shown that DPX-A7881 is a safe and effective herbicide for broadleaf weed control in spring oilseed rape (canola). DPX-A7881 has favorable toxicological properties which ensures safety to the user and to the environment. Of particular interest is the use of DPX-A7881 on the double zero (00) varieties of oilseed rape (canola); these varieties are low in euricic acid and glucosinolates. As low a level of these chemicals as possible is desirable because their presence in oil or meal produces toxic effects in mammalian systems (Scarisbrick and Daniels, 1984). Weed seed from members of the family Cruciferae, notably wild mustard *(S. arvensis)* and stinkweed *(T. arvense)*, contain high levels of these chemicals. Presence of this weed seed as contaminants in rapeseed reduces the value of the crop and can result in rejection for animal and human use.

The only chemical method of control for these closely related weed species is to use triazine herbicides on triazine tolerant canola (TTC) varieties. However, research has shown that the TTC varieties have lower yields, are longer to mature, have seed with lower oil content and lack germination strength and seedling vigour (Wilkins, 1987).

DPX-A7881 is an alternative to the use of triazines in TTC varieties since it controls weeds in the Cruciferae family as well as other important broadleaved weeds. Higher yielding varieties can, therefore, be grown and a superior quality oil will be produced. This high degree of selective weed control is not only an example of remarkable scientific achievement, but will be a technical advancement for rapeseed production as well.

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# RE-40885: A NEW BROADLEAF HERBICIDE IN COTTON, PEANUT, SORGHUM AND SUNFLOWER

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## ABSTRACT

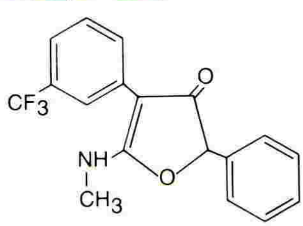
RE-40885 [5-methylamino-2-phenyl-4-(α, α, α-trifluoro-*m*-tolyl) furan-3(2*H*)-one] is a new herbicide primarily active as a pre-plant incorporated (ppi) and pre-emergence (pre-em) material having moderate post-emergence (post-em) activity against a wide spectrum of important weeds including *Cassia obtusifolia*, *Desmodium tortuosum*, *Ipomoea* spp., *Chenopodium album*, *Amaranthus* spp., *Sorghum halepense*, and others. Field evaluations have demonstrated selectivity to peanuts, cotton, sorghum and sunflower following ppi and pre-em applications. Peanuts and sorghum are tolerant to post-em applications. Other crops known to exhibit some degree of tolerance to RE-40885 are potatoes, barley, peas, safflower and cucumbers. RE-40885 possesses potent bleaching herbicidal activity and is postulated to act through inhibition of carotenoid biosynthesis.

## INTRODUCTION

RE-40885 is a new furanone herbicide discovered by Chevron Chemical Company, Agricultural Chemicals Division, USA (Ward 1986). This compound was selected from a novel series of 5-amino-4-phenylfuran-3(2H)-ones for initial development in peanuts, cotton, sorghum and sunflower. Bleaching herbicidal activity is exhibited on a wide range of broadleaf and grass weeds following ppi and pre-em treatments. Post-emergence activity against weeds has been demonstrated in greenhouse and field testing. Since it is primarily a broadleaf herbicide, RE-40885 ideally will be used with a grass material for broad spectrum weed control. Results reported in this paper are from 1985 and 1986 U.S. greenhouse and field testing programs.

### Chemical and physical properties

Structure:




Chemical name: 5-methylamino-2-phenyl-4-(α,α,α-trifluoro-*m*-tolyl) furan-3(2*H*)-one

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| | |
|---|---|
| Common name: | (pending) |
| Code number: | RE-40885 |
| Trade name: | BENCHMARK™ herbicide (Trademark of Chevron Chemical Company) |
| Empirical formula: | $C_{18}H_{14}F_3NO_2$ |
| Molecular weight: | 333 |
| Physical state: | Solid |
| Melting point: | 152 to 155° C |
| Volatility: | Extremely low |
| Stability: | Relatively stable |
| Appearance: | Ivory powder |
| Solubility: | Water solubility is 35 mg/l at 20° C; soluble in acetone, methanol, methylene chloride; slightly soluble in isopropanol. |
| Incompatibility: | Avoid strong acids and bases |

Toxicology

| | |
|---|---|
| Acute oral LD50 (rat) | - 500 mg/kg |
| Acute dermal LD50 (rabbit) | - 500 mg/kg |
| Ames test (mutagenicity) | negative |

Mode of action

RE-40885 is a herbicide that is active through both root and shoot absorption. Susceptible species germinate and exhibit immediate and extensive chlorosis. RE-40885 possesses strong bleaching activity and incorporates a vinylogous amide substructure common to a number of other bleaching herbicides. Herbicidal symptoms and molecular structure strongly suggest activity is primarily due to inhibition of carotenoid biosynthesis. (Ward et al., 1986).

## MATERIALS AND METHODS

Advanced greenhouse screening

Initial screening for herbicidal activity was conducted in the greenhouse on 12 crop and 17 weed species. Seed of a single species were planted into 16.5 cm x 24.1 cm x 6.35 cm J-300 Jiffy Trays containing 1800 $cm^3$ of soil mix. Two replications of each species/rate combination were treated in an automated spray chamber with the equivalent of 681 l/ha of spray solution. RE-40885 was applied at dosages of .28, .70, 1.8 and 4.4 micrograms a.i./$cm^2$. Trays were placed into the greenhouse for observation under continuous light with day and night temperature ranges of 24 to 27° C and 19 to 21° C, respectively. Trays were watered as needed. Tests were terminated after 19 to 21 days.

Field testing

Field trials reported in this paper were conducted in the USA during the 1985 and 1986 cropping seasons. RE-40885 was applied ppi, pre-em and post-em in randomized complete block tests with plots ranging from 10 to 30 $m^2$. Spray volumes of 100 to 500 l/ha were applied to deliver rates of 0.28, 0.56, 0.84, 1.12, 1.68, and 2.24 kg a.i./ha. Weed control and crop tolerance assessments were made by visual estimation of percent phytotoxicity.

## RESULTS AND DISCUSSION

Greenhouse and field testing have demonstrated activity of RE-40885 on a wide range of economically important weed species (Table 1).

Early in development RE-40885 was subjected to an advanced greenhouse screening program that demonstrated tolerance in cotton, peanuts, sorghum, and peas (Table 2). Initial screening also indicated that RE-40885 could potentially control problem broadleaf weeds and certain grasses in these crops.

Field data reported in this paper were generated from trials throughout the USA during 1985-86. Cotton, peanuts, sorghum, and sunflower are targeted for primary commercialization. Field evaluations have demonstrated excellent tolerance of these crops to RE-40885 (Table 3). Use in cotton may be geographically restricted to Southern and Southeastern U.S. due to excessive phytotoxicity noted in Western states. Differences in tolerances are believed to be related to cultural practices (i.e., flood irrigation and seedbed preparation) and climatic conditions.

**TABLE 1**

Relative activity of RE-40885 on several weed species.

| | |
|---|---|
| Susceptible weeds | |
| Abutilon theophrasti | Ipomoea spp. (annual) |
| Amaranthus spp. | Jacquemontia tamnifolia |
| Ambrosia artemisiifolia | Melochia corchorifolia |
| Brassica spp. | Mullugo verticillata |
| Cassia obtusifolia | Polygonum spp. |
| Cassia occidentalis | Portulaca oleracea |
| Chenopodium album | Sesbania exaltata |
| Datura stramonium | Richardia scabra |
| Desmodium tortuosum | Sida spinosa |
| Echinochloa crus-galli | Solanum nigrum |
| Suppressed weeds | |
| Avena fatua | Digitaria sanguinalis |
| Bromus secalinus | Lolium multiflorum |
| Cyperus esculentus | Panicum texanum |
| Cyperus rotundus | Setaria spp. |
| Dactyloctenium aegyptum | Sorghum halepense |
| | Xanthium pensylvanicum |

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**TABLE 2**

Advanced greenhouse screening for crop selectivity and weed control with RE-40885.

| Crops and Weeds** | RE-40885 application rate (micrograms/$cm^2$)* 0.28 | 0.7 | 1.8 | 4.4 |
|---|---|---|---|---|
| | % phytotoxicity | | | |
| Broadleaf Crops | | | | |
| Alfalfa | 12 | 37 | 72 | 100 |
| Cotton | 0 | 2 | 12 | 47 |
| Peanuts | 0 | 0 | 0 | 0 |
| Peas | 0 | 37 | 50 | 62 |
| Soybeans | 38 | 57 | 80 | 95 |
| Sugar beets | 100 | 100 | 100 | 100 |
| Tomatoes | 97 | 100 | 100 | 100 |
| Grass Crops | | | | |
| Corn | 42 | 55 | 77 | 87 |
| Oats | 42 | 75 | 92 | 99 |
| Rice | 50 | 90 | 98 | 98 |
| Sorghum | 20 | 25 | 72 | 83 |
| Wheat | 27 | 67 | 92 | 95 |
| Broadleaf Weeds | | | | |
| A. retroflexus | 98 | 100 | 100 | 100 |
| A. theophrasti | 92 | 93 | 100 | 100 |
| Brassica spp. | 100 | 100 | 100 | 100 |
| C. album | 98 | 98 | 99 | 99 |
| C. arvensis | 73 | 95 | 95 | 100 |
| C. obtusifolia | 0 | 40 | 63 | 90 |
| D. stramonium | 57 | 88 | 93 | 100 |
| S. spinosa | 90 | 100 | 100 | 100 |
| Grass Weeds | | | | |
| A. fatua | 67 | 95 | 99 | 100 |
| B. secalinus | 0 | 0 | 3 | 27 |
| C. esculentus | 33 | 75 | 87 | 98 |
| Digitaria spp. | 96 | 100 | 100 | 100 |
| E. crus-galli | 82 | 98 | 100 | 100 |
| L. multiflorum | 65 | 83 | 94 | 100 |
| S. glauca | 73 | 93 | 100 | 100 |
| S. halepense | 33 | 35 | 83 | 99 |

*1.0 microgram/$cm^2$ = 0.1 kg/ha.
**See Table 1 for complete Latin binomial

**TABLE 3**

Visual crop tolerance ratings for selected crops in U.S. field trials following pre-plant incorporated and pre-emergence applications of RE-40885.*

| Crop | RE-40885 application rate (kg a.i./ha) .28 | .56 | .84 | 1.12 | 1.68 | 2.24 |
|---|---|---|---|---|---|---|
| | % phytotoxicity (no. trials) | | | | | |
| Cotton** | 2( 5) | 8(37) | 14(29) | 16(24) | 4( 3) | 9( 1) |
| Peanut | 0( 4) | 1(17) | 0(13) | 1(14) | 0( 1) | -- |
| Sorghum | 3( 3) | 4(14) | 4(15) | 6(13) | 1( 3) | -- |
| Sunflower | 10( 1) | 4(12) | 1( 7) | 11(11) | 1( 3) | 16( 3) |

*Ratings are in percent phytotoxicity (100% = complete kill) and represent values approximately four to six weeks following application.

**Cotton ratings include only Southern and Southeastern U.S. cotton trials (from Texas to Atlantic coast) and exclude Western U.S. trials (primarily irrigated cotton).

Certain crops including sorghum and potatoes have demonstrated varietal differences in tolerance to RE-40885. Preliminary data on potatoes suggest that 'Shepody' and 'Katahdin' cultivars are more tolerant than 'Russet Burbank' and 'Superior'.

An extensive range of economically important weeds have demonstrated susceptibility to RE-40885 (Tables 1 and 4). Proposed use rates will vary depending on soil texture and organic matter content. RE-40885 is recommended for evaluation as a ppi or pre-em treatment at 0.56 to 0.84 kg a.i./ha on coarser textured, lower organic matter soils and at rates ranging from .84 to 1.12 or greater kg a.i./ha on finer textured, higher organic matter soils.

Testing has demonstrated tolerance of sorghum and peanuts to post-em applications making RE-40885 a versatile herbicide for difficult to control weeds in these crops. Post-emergence activity on weeds is markedly enhanced with addition of nonionic surfactant to the spray mix. Proposed use rates for post-em applications range from .28 to .84 kg a.i./ha with 0.5 to 1.0% V/V of nonionic surfactant. Cotton is not tolerant to over the crop post-em sprays, but may be treated with sprays directed at stems below leaves after reaching a height of at least 20 cm.

**TABLE 4**

Visual control ratings for selected weed species in 1985 and 1986 U.S. field trials following pre-plant incorporated and pre-emergence applications of RE-40885.

| Weed species (as Table 1) | RE-40885 application rate (kg a.i./ha) .28 | .56 | .84 | 1.12 | 1.68 | 2.24 |
|---|---|---|---|---|---|---|
| | % control* (no. trials) | | | | | |
| A. theophrasti | 54( 4) | 81(17) | 88(16) | 91(19) | 91( 2) | 95( 2) |
| Amaranthus spp. | 75( 5) | 87(33) | 91(30) | 92(28) | 97( 3) | 94( 4) |
| C. album | 97( 6) | 92(11) | 94( 9) | 96(10) | 95( 6) | 100( 1) |
| C. obtusifolia | 81( 3) | 86(15) | 91(10) | 86( 9) | 95( 1) | -- |
| D. tortuosum | 57( 2) | 87(10) | 99( 6) | 100( 4) | -- | -- |
| Digitaria spp. | -- | 63( 9) | 81( 7) | 85( 7) | 84( 3) | 88( 1) |
| E. crus-galli | 67( 4) | 72(18) | 77(10) | 83(14) | 80( 4) | 83( 2) |
| Ipomoea spp.** | 65( 5) | 79(24) | 82(19) | 91(19) | -- | -- |
| S. exaltata | 58( 3) | 78( 7) | 74( 3) | 93( 5) | 98( 1) | -- |
| S. halepense (seedling) | 45( 5) | 67(22) | 63(12) | 78( 7) | 90( 1) | -- |

*Four to six weeks following application (100% = complete kill).

**Ipomoea spp. include I. hederacea, I. hederifolia, I. wrightii and I. lacunosa (data reflect tolerance demonstrated by I. lacunosa).

Preliminary findings indicate that RE-40885 may be used without restricting rotational crops. Wheat and other susceptible crops have been safely planted into soil previously treated with RE-40885 during the same cropping season.

**CONCLUSION**

RE-40885 is a versatile ppi, pre-em, and post-em herbicide effective against broadleaf and grassy weeds with selectivity in cotton, peanuts, sorghum, sunflower, and certain other crops. This compound is particularly useful for difficult to control broadleaf weeds such as Desmodium tortuosum, Cassia spp., Ipomoea spp., and Sida spinosa in cotton and peanuts. RE-40885 possesses potent bleaching herbicidal activity and is believed to act through inhibition of carotenoid biosynthesis.

**ACKNOWLEDGEMENTS**

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# M G - 1 9 1 - A NEW SELECTIVE HERBICIDE ANTIDOTE

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## ABSTRACT

MG-191 (2-dichloromethyl-2-methyl-1,3-dioxolane) is a chemically new type of herbicide antidote. Its selectivity and very effective antidote activity against thiocarbamates (EPTC, vernolate, butylate) was proved by experiments made in controlled environmental room and in the field. From 1982 to 1986 it was tested at three locations in Hungary using different corn cultivars and various doses of herbicides. The antidote is readily absorbed by corn roots and easily translocated throughout the plant. Its biological life is sufficiently short to cause no residue problem either in the crop or in the soil.

## INTRODUCTION

The use of antidotes to protect crops from herbicide injury is a relatively new concept in the chemical weed control. 1,8-Naphthalic anhydride was the first commercial antidote protecting corn (Hoffman, 1971) against EPTC (S-ethyl N,N-dipropyl(thiocarbamate)). R-25788 (2,2-Dichloroacetyl N,N-diallylamine) was introduced by Stauffer Chemical Co. (Pallos et al. 1978) as a combined formation with EPTC under the trade name "Eradicane", a highly selective herbicide preparation to corn. The common chemical feature of antidotes for use in corn is that they all are dichloroacetamides: R-29788 (N-dichloroacetyl-2,2,5-trimethyl-1,3-oxazolidine), R-28725 (N-dichloroacetyl-2,2-dimethyl-1,3-oxazolidine (Pallos et al., 1971), AD-67 (N-dichloroacetyl-1-oxa-4-aza-spiro-4,5-decane (Görög et al., 1982). MG-191 is a new selective and highly effective thiocarbamate antidote for corn structurally quite different from dichloroacetamides. It was discovered and developed by Central Research Institute for Chemistry of the Hungarian Academy of Sciences and Nitrokemia Chemical Works with the aid of a strategy based on scientific deduction (Dutka and Kőmives, 1985, Dutka and Kőmives, 1987).

This paper provides general information on MG-191 and reports a summary of results from laboratory and field trials conducted in Hungary from 1982 to 1986.

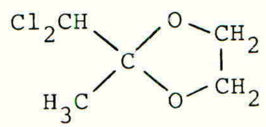
## Chemical and physical properties

Code number : MG-191

Chemical name : 2-Dichloromethyl-2-methyl-1,3-dioxolane

Empirical formula : $C_5H_8O_2Cl_2$

Molecular structure:




Molecular weight : 171

Physical state : colourless liquid

Boiling point : 91-92$^{o}$C/4 kPa

Solubility : 9.75 g/l in water
soluble in protic and aprotic organic solvents

Thermal stability : decomp. after 24 weeks at 54$^{o}$C <1%

Photostability : decomp. after 4 weeks at 25$^{o}$C and 10 klux <1%

Chemical stability : hydrolysis after 4 weeks at pH 4, 6, 8 <2%

## Toxicity of technical material

| Acute oral $LD_{50}$ (rat) | | Acute dermal $LD_{50}$ (rat) | |
|---|---|---|---|
| male | 465 mg/ka | male | 652 mg/kg |
| female | 492 mg/kg | female | 654 mg/kg |

The compound shows low toxicity to fishes.

Additional toxicology studies are in progress.

## Mode of action

MG-191 is readily absorbed by corn roots and easily translocated throughout the plant as shown in experiments with $^{14}C$-labelled compound. EPTC facilitates the transport of the antidote from the roots into the shoot. MG-191 increases the corn's ability to detoxify thiocarbamates by elevating the glutathione (GSH) level and activating the GSH S-transferase and GSH reductase enzymes (Kőmives and Dutka, 1980, Dutka and Kőmives, 1987).

## Environmental fate

MG-191 is rapidly metabolized by enzymatic reactions involving oxidation and hydrolysis in biological medium. Results from laboratory and field studies indicate a half life less than 1 week in corn plant and 1 week in soil (depending on soil type).

# MATERIALS AND METHODS

MG-191 was studied as antidote for thiocarbamates in corn.

## Chemicals

EPTC, vernolate (*S*-propyl *N*,*N*-dipropyl(thiocarbamate)), and butylate (*S*-ethyl *N*,*N*-di-isobutyl(thiocarbamate)).

## Plant material

Corn (*Zea mays*) cultivars: Anjou Sc 256, Pioneer 3950, 3839, 3732, 3709, 3737, 3901, 3707.

Weeds: rye grass (*Lolium perenne*), barnyard grass (*Echinocloa crus-galli*), pig weed (*Amaranthus retroflexus*).

## Laboratory screening

Plants were grown in pots containing quartz sand or soil. All pots contained 6 seeds of each of corn cultivars and 15 seeds of weeds. Corn seeds were placed in a depth of 2.5 cm and weed seeds 1 cm. Thiocarbamates were applied alone or as a herbicide--antidote mixture thoroughly mixed with the sand (soil) before sowing.

Experiments were carried out under controlled conditions with 16 hr photo-period and 10 klux light intensity at $22 \pm 1^{o}C$.

Humidity: 65±5%. Plants were irrigated with half strength Hoagland nutrition solution and plant growth was measured every second day. Three weeks after sowing corn plants were harvested and shoot length, fresh weight and visual injury symptoms as parameters for evaluating herbicide phytotoxicity were determined.

Field experiments

Experiments in the field were made in a randomized complete block design with four replications at three locations. Soil types: moulded meadow soil (o.m.: 1.4-1.6%, clay: 50-60%); meadow chernozem soil (o.m.: 2.8-3.1%; clay <10%); calcareous sandy soil (o.m.: 0.6%, clay: negligible). All herbicide and herbicide + antidote treatments were carried out by soil incorporation.

RESULTS

Laboratory trials

The protecting effects of MG-191 against EPTC, vernolate and butylate in selected corn cultivars at different antidote ratios are shown in Table 1-3.

TABLE 1
Effectiveness of MG-191 to counteract EPTC (100 μM) injury (plant height in control %) in sand culture after 21 days of treatment

| MG-191 μM | Corn cultivar *3950 | *3839 | *3901 | *3732 | *3709 | Anjou 256 | *3707 |
|---|---|---|---|---|---|---|---|
| 0 | 16[a] | 13[a] | 20[a] | 40[a] | 45[a] | 41[a] | 13[a] |
| 0.1 | 74[a] | 69[a] | 73[a] | 81[a] | 88[a] | 91 | 72[a] |
| 1 | 95 | 89[a] | 90[a] | 96 | 99 | 95 | 88[a] |
| 3 | 100 | 99 | 98 | 100 | 103 | 104 | 99 |
| 10 | 102 | 103 | 100 | 105 | 104 | 103 | 104 |

* Pioneer hybrid; [a]significantly different from controls at the 5% level

TABLE 2

Effectiveness of MG-191 to counteract vernolate (100 μM) injury (plant height in control %) in sand culture after 21 days of treatment

| MG-191 | Corn cultivar* | | | |
|---|---|---|---|---|
| μM | 3950 | 3737 | 3906 | 3707 |
| 0 | 20[a] | 11[a] | 15[a] | 10[a] |
| 0.1 | 78[a] | 75[a] | 77[a] | 71[a] |
| 1 | 93 | 84[a] | 92 | 86[a] |
| 3 | 102 | 99 | 103 | 94 |
| 10 | 101 | 99 | 104 | 99 |

*Pioneer hybrids; [a]significantly different from controls at the 5% level

TABLE 3

Effectiveness of MG-191 to counteract butylate (200 μM) injury (plant height in control %) in sand culture after 21 days of treatment

| MG-191 | Corn cultivar* | | | |
|---|---|---|---|---|
| μM | 3950 | 3737 | 3906 | 3737 |
| 0 | 33[a] | 29[a] | 31[a] | 28[a] |
| 0.1 | 58[a] | 53[a] | 58[a] | 49[a] |
| 1 | 95 | 94 | 94 | 92 |
| 3 | 102 | 98 | 101 | 100 |
| 10 | 100 | 104 | 102 | 100 |

*Pioneer hybrids; [a]significantly different from controls at the 5% level

Plants were grown on quartz sand to ensure suitable conditions for herbicide injury on corn (Sagaral, 1979; Széll et al., 1985). Actually, at the doses applied thiocarbamates are toxic to each of corn cultivars resulting in marked reductions in shoot length.

The antidotal activity of MG-191 is concentration dependent. Significant activities were found at levels higher than 0.1 μM and complete protections were achieved by applying antidote concentrations higher than 3 μM independently of corn cultivar studied.

Field trials

Results of field experiments made on calcareous sandy soil in 1986 using different doses of EPTC and vernolate with and without MG-191 are summarized in Table 4.

TABLE 4

Plant injury (as per cent of plants showing visual herbicide damage after 21 days of treatment) and corn (Pioneer 3950) yield in response to herbicide + MG-191 applications

| Herbicide | Dose (kg/ha) | MG-191 (wt. % of herbicide a.i.) 0 | | 10 | |
|---|---|---|---|---|---|
| | | yield[a] | injury | yield[a] | injury |
| EPTC | 6.4 | 97 | 48[b] | 101 | 0 |
| | 12.8 | 69[b] | 79[b] | 105 | 4 |
| | 19.2 | 44[b] | 92[b] | 103 | 6 |
| Vernolate | 6.4 | 79[b] | 65[b] | 106 | 0 |
| | 12.8 | 63[b] | 80[b] | 103 | 3 |
| | 19.2 | 51[b] | 87[b] | 98 | 9 |

[a]Per cent of hand weeded control (8.6 t/ha);
[b]significantly different from control at the 5% level

As the data shows MG-191 provides full protection to corn at the herbicide-antidote ratio applied even under adverse soil conditions.

Very similar results were obtained in experiments at the same location in 1985 (Dutka and Kőmives, 1987).

When applied alone, MG-191 showed no phytotoxic effect to corn up to 100 fold of its normal application rate (Dutka and Kőmives, 1987).

Evaluation of the weed killing efficacy of different herbicide-antidote tank-mix combinations demonstrated no weed protection by MG-191 (Table 5).

TABLE 5.

Weed killing efficiency of EPTC + antidote combinations (Moulded meadow soil; 1984)

| Treatment | Dose (kg/ha) | Weed killing (%) |
|---|---|---|
| EPTC | 6.4 | 81 |
| | 12.8 | 94 |
| | 19.2 | 97 |
| EPTC + MG-191 1% | 6.4 | 84 |
| | 12.8 | 95 |
| | 19.2 | 97 |
| EPTC + MG-191 5% | 6.4 | 83 |
| | 12.8 | 95 |
| | 19.2 | 98 |
| EPTC + MG-191 10% | 6.4 | 84 |
| | 12.8 | 97 |
| | 19.2 | 97 |

## CONCLUSIONS

MG-191 is a chemically new type of herbicide antidote. It was discovered by a research strategy based on chemical reactivity-biologial activity relationship. Results of controlled growth room and field experiments are in good agreement and indicate its superior protective activity against EPTC and other thiocarbamates even is sandy soil, in which these herbicides are most toxic to corn. Its chemical stability is coupled with a favourable behaviour in biological environment. MG-191 provides complete protection to corn without any decrease in the weed killing effect of herbicides.

The simplicity of molecular structure and easy feasibility of synthesis make this compound a cost effective antidote component of highly active and selective herbicidal preparations.

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