# SESSION 2

# NEW HERBICIDES AND PLANT GROWTH REGULATOR MOLECULES

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RESEARCH REPORTS 2-1 to 2-11

# DPX-66037 - A NEW LOW-RATE SULFONYLUREA FOR POST-EMERGENCE WEED CONTROL IN SUGAR BEET AND FODDER BEET

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## ABSTRACT

DPX-66037, methyl 2-[4-dimethylamino-6-(2,2,2-trifluoroethoxy) -1,3,5-triazin-2-ylcarbamoylsulfamoyl]-m-toluate is a new selective post-emergence sulfonylurea herbicide for the control of many annual and perennial broad-leaved weeds and grasses in sugar beet (*Beta vulgaris*). Toxicology and environmental fate studies are very favorable, and consistent with other sulfonylurea herbicides. Two applications at 10-25 g AI/ha plus surfactant or oil has provided control of important weeds such as *Galium aparine*, *Amaranthus retroflexus*, *Solanum nigrum*, *Polygonum aviculare*, *Mercurialis annua*, *Sinapis arvensis*, *Kochia scoparia*, *Raphanus raphanistrum*, volunteer rape, and *Alopecurus myosuroides*. Soil dissipation of DPX-66037 is rapid, allowing flexible crop rotations following the use of this herbicide.

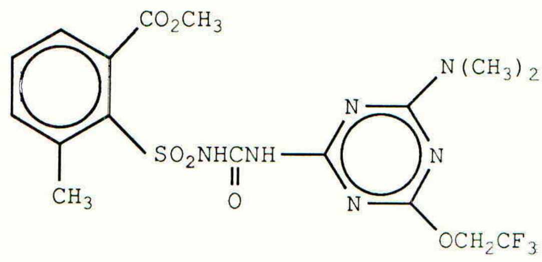
## INTRODUCTION

DPX-66037 is a novel post-emergence herbicide being developed by E. I. du Pont de Nemours and Co. that offers growers a new alternative for weed control in sugar beet (*Beta vulgaris*). Many broad-leaved weeds and grasses often escape control with current commercial sugar beet herbicide programs. These weeds hinder machine harvesting efforts and reduce crop yields. Growers continue to look for flexible and reduced-rate, post-emergence weed control programs to optimize their crop yields.

DPX-66037 has been evaluated in field trials for four years. It has promise for providing growers a post-emergence means to safely control a diverse spectrum of broad-leaved weeds in sugar beet. Activity on a range of grasses has also been demonstrated.

## CHEMICAL AND PHYSICAL PROPERTIES

Structure:



Common name: not available yet.

Chemical name: methyl 2-[4-dimethylamino-6-(2,2,2-trifluoroethoxy)-1,3,5-triazin-2-ylcarbamoylsulfamoyl]-_m_-toluate

Chemical formula: $C_{17} H_{19} F_3 N_6 O_6 S$

Molecular weight: 492.43

Melting Point: 160-163°C

Dissociation constant: $pK_a = 4.4$

Aqueous solubility at 25°C: 1 ppm (pH 3); 3 ppm (pH 5); 110 ppm (pH 7); 11,000 ppm (pH 9)

Octanol/water partition coefficient (pH 7 at 25°C) = 9.2

## TOXICOLOGY

Studies conducted thus far show DPX-66037 has favorable toxicological properties.

Acute oral LD50 (rat): >5000 mg/kg (technical and 50% water dispersible granule formulation)

Acute dermal LD50 (rabbit): >2000 mg/kg (technical and 50% water dispersible granule formulation)

Eye irritation (rabbit): Not an irritant (technical)

Skin irritation (rabbit): Not an irritant (technical)

Skin sensitisation (guinea pig): Not a sensitiser (technical)

Ames mutagenicity: Negative

FATE IN SOIL AND THE ENVIRONMENT

DPX-66037 has a half-life of 3.7 days in water at pH 5 at 25°C. The half-life is 32 and 36 days at pH 7 and 9, respectively. These results demonstrate rapid hydrolysis of DPX-66037 in water.

DPX-66037 degrades rapidly in the soil via chemical and microbial mechanisms. Microbial degradation is important at alkaline pH but plays only a minor role at neutral to acidic pH because chemical hydrolosis is very rapid. The half-life of DPX-66037 in laboratory experiments using non-sterile and sterile soil ranged from 3 to 6 days (Figure 1).

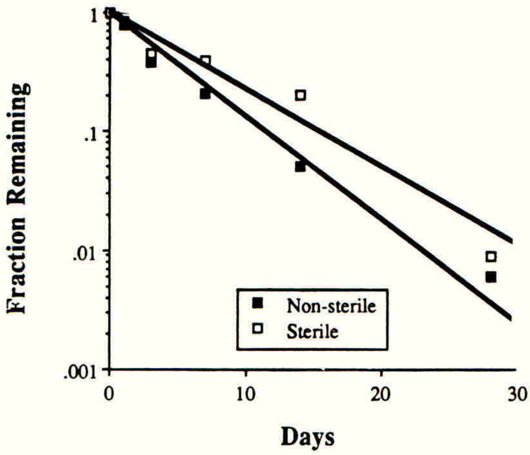



FIGURE 1. Fraction of DPX-66037 remaining in non-sterile and sterile silt loam (pH 6.5, o.m. 2.6) soil at 25°C.

Leaching to groundwater should pose little concern since the use rate of DPX-66037 is low and degradation in soil is rapid. Because degradation in the soil is rapid, no restrictions are expected for crops grown in normal rotations following application of DPX-66037 at recommended rates in sugar beet.

The low octanol/water partition coefficient suggests no significant bioaccumulation will occur.

MODE OF ACTION AND SELECTIVITY

DPX-66037, like other sulfonylurea herbicides, affects cell division and growth by inhibiting the plant enzyme acetolactate synthase and, therefore, blocks the biosynthesis of branched-chain amino acids (Beyer et al., 1988).

The initial symptoms of DPX-66037 activity are observed in the meristematic tissues of treated plants. There is early cessation of growth of sensitive, broad-leaved species and grasses followed by chlorosis, necrosis and plant death.

Excellent crop safety has been observed on sugar beet at twice the expected use rate. Sugar beet tolerance is based on the differential rate of metabolism of DPX-66037 to inactive metabolites in sugar beet compared to sensitive species. Sulfonylurea herbicides evaluated previously behave in a similar manner with other crop species (Beyer et al., 1988).

DPX-66037 has a half-life of less than 6 hours in 1-2 leaf and older sugar beet shoots, while in sensitive species such as volunteer rape, *Matricaria inodora*, *Veronica persica*, and *Chenopodium album* the half lives are much longer (Table 1). In field and laboratory tests, there is a good correlation between injury and half-lives, although *Chenopodium album* appears to be less sensitive than one would expect from the half-life.

TABLE 1. Comparison of plant metabolism and sensitivity to DPX-66037.

| Plant Species | Laboratory data: Growth Stage | Laboratory data: Half-life (h) | Field data 14-21 DAT: % Injury at 15 g AI/ha(x2) |
|---|---|---|---|
| sugar beet | 1-2 leaf | 5 | 5 |
| oilseed rape | 4 leaf | 36 | 100 |
| *Matricaria inodora* | 6-8 leaf | 37 | 90 |
| *Veronica persica* | 4 leaf | 77 | 100 |
| *Chenopodium album* | 6 leaf | 24 | 50 |

EFFICACY

Adjuvants such as non-ionic surfactants or crop oil concentrates play an important role in achieving consistent performance and enhanced activity on certain species. The suggested use rates for DPX-66037 are from 10 to 25 g AI/ha with a surfactant at 0.05 to 0.25 % V/V or with a crop oil concentrate at 0.5 to 1.0 % V/V.

In field trials conducted in the main countries for sugar beet production over several years, two sequential applications using 15 g AI/ha plus adjuvant during the growing season have given commercially acceptable control of the following annual broad-leaved species:

| | |
|---|---|
| Abutilon theophrasti | Miagra perfoliatum |
| Aethusa cynapium | Myosotis arvensis |
| Amaranthus blitoides | Papaver rhoeas |
| Amaranthus retroflexus | Polygonum aviculare |
| Ambrosia artemisiifolia | Polygonum lapathifolium |
| Ammi majus | Polygonum pensylvanicum |
| Capsella bursa-pastoris | Polygonum persicaria |
| Galeopsis arvensis | Raphanus raphanistrum |
| Galinsoga parviflora | Sinapis arvensis |
| Galium aparine | Solanum nigrum |
| Kochia scoparia | Thlaspi arvense |
| Lamium spp. | Urtica urens |
| Matricaria spp. | Viola arvensis |
| Mercurialis annua | Volunteer oilseed rape |
| | Volunteer sunflower |

DPX-66037 also controls the annual grass Alopecurus myosuroides.

Activity on annual species is most effective when applied early post-emergence to actively-growing weeds that are in the cotyledon to the 4-leaf stage. Sequential applications 7 to 14 days apart enhance the efficacy of DPX-66037.

Annual broad-leaved weed and grass species not sufficiently controlled but suppressed are Polygonum convolvulus, Chenopodium album, Stellaria media and Avena fatua.

## CONCLUSIONS

DPX-66037 will become an integral part of sugar beet and fodder beet production in the near future. All indications suggest that it possesses favorable toxicological properties, that it is unlikely to bioaccumulate, and that it is unlikely to leach to the ground water. The rapid soil degradation provides for rotational crop flexibility.

The broad spectrum of activity by DPX-66037 will offer new options for the sugar beet grower and provide a key component of post-emergence weed control programs. DPX-66037 can be applied in combination with metamitron, phenmedipham, or chloridazon and other sugar beet herbicides to maximise the efficiency of controlling problem broad-leaved weeds and grasses.

# 2—1

REFERENCE

Beyer, E. M.; Duffy, J. J.; Hay, J. V.; Schlueter, D. D. (1988) Sulfonylureas. In: Herbicides: Chemistry, Degradation, and Mode of Action, Vol. 3, P.C. Kearney and D. D. Kaufman (Eds.), New York: Marcel Dekker, pp. 117-189.

# NC-319 - A NEW HERBICIDE FOR CONTROL OF BROAD-LEAVED WEEDS AND *CYPERUS* SPP. IN CORN

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## ABSTRACT

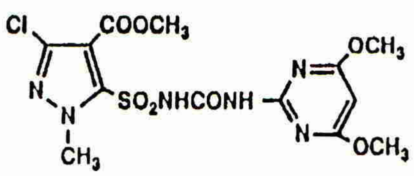
NC-319, methyl 3-chloro-5(4,6-dimethoxypyrimidin-2-ylcarbamoylsulfamoyl)-1-methylpyrazole-4-carboxylate is a new sulfonylurea herbicide. In field trials in Japan and the USA, NC-319 has proven to be a promising herbicide against broad-leaved weeds and *Cyperus* spp. in corn (*Zea mays*) with both pre- and post-emergence applications. NC-319 demonstrated excellent activity especially against *Abutilon theophrasti*, *Xanthium stramonium* and *Cyperus esculentus*. Anticipated rates of application of NC-319 are 70-90 g AI/ha pre-emergence or 18-35 g AI/ha post-emergence.

## INTRODUCTION

NC-319 is a new sulfonylurea herbicide for corn, discovered by Nissan Chemical Industries Limited and currently being developed together with Monsanto Agricultural Company (code number MON-1200). NC-319 has proven to be very effective for control of broad-leaved weeds and *Cyperus* spp. in corn (*Zea mays*), with both pre- and post-emergence applications. Field trials in corn have been conducted mainly in Japan and in the USA. NC-319 has also been tested in turf, cereals, sugarcane, rice and trees. This paper presents the characteristics of NC-319 taken from greenhouse and field results over several years.

## CHEMICAL AND PHYSICAL PROPERTIES

Structure:



| | |
|---|---|
| Chemical name: | methyl 3-chloro-5-(4,6-dimethoxyprimidin-2-ylcarbamoylsulfamoyl)-1-methylpyrazole-4-carboxylate. |
| Common name: | Not yet given. |
| Chemical formula: | $C_{13}H_{15}ClN_6O_7S$ |
| Molecular weight: | 434.8 |
| Melting point: | 172-173°C |
| Appearance: | White powder |
| Water solubility: | 36 mg/l (25°C) |
| Vapor pressure: | $2.8 \times 10^{-12}$ mm Hg/(25°C) |

FORMULATIONS

NC-319 is formulated as a 25% and 50% wettable powder, and as 75% dispersible granules.

TOXICOLOGICAL PROPERTIES

| | |
|---|---|
| Acute oral LD50, Rat: | 8865 mg/ka |
| Acute dermal LD50, Rabbit: | > 2000 mg/kg |
| Acute inhalation LD50 (4 h exposure), Rat: | > 4.3 mg/l |
| Skin irritation, Rabbit: | Non irritating |
| Eye irritation, Rabbit: | Moderately irritating |
| Dermal sensitisation: | Non sensitiser |

MODE OF ACTION

The mode of action of NC-319 is thought to be similar to other previously reported sulfonylurea herbicides. NC-319 is absorbed by the root system and/or leaf surface and is translocated to meristem tissues. NC-319 is believed to inhibit the enzyme reaction of acetolactate synthase. There is early cessation of growth followed by complete plant death.

METHOD AND MATERIALS

Weed sensitivities and crop responses to NC-319 were evaluated in greenhouse and field trials in 1985-1990.

Acetolactate synthase inhibition by NC-319 was examined in corn and three weed species. The enzyme was extracted from these species according to Ray's method and the enzyme activity inhibition by NC-319 was determined at 30°C.

Field trials in corn have been conducted in Japan, the USA and Europe since 1985. The trial plots were replicated two to three times, and the plot size was from $5m^2$ to $40m^2$. Applications were made pre- or post-emergence of the crop using plot sprayers. The spray volume ranged from 180 to 500 l/ha. For post-emergence applications, 0.1 - 0.5% of non-ionic surfactant was added to the spray solutions. Visual assessments were made at appropriate timings after treatment.

Greenhouse trials were conducted to examine the factors influencing phytotoxicity or efficacy on NC-319.

In Japan, the tolerance to NC-319 of nine important hybrid corn cultivars, including sweetcorn, was tested. NC-319 as a wettable powder formulation was sprayed at the three leaf stage. Visual assessments were made twenty days after application.

In preliminary screening tests for interaction of insecticides with NC-319, terbufos and chlorpyrifos increased effects of crop growth reduction compared with NC-319 alone. Insecticide interaction with NC-319 was compared with other corn sulfonylurea herbicides. Corn at the three leaf stage was sprayed with these herbicides alone or in mixture with chlorpyrifos at 400 g AI/ha. Twenty-two days after application the fresh weight of corn plants was measured.

RESULTS

Activity on weed species

| Susceptible | Moderately susceptible | Tolerant |
|---|---|---|
| *Abutilon theophrasti* | *Chenopodium album* | *Setaria viridis* |
| *Xanthium strumarium* | *Ipomoea hederacea* | *Setaria glauca* |
| *Datura stramonium* | *Ipomoea purpurea* | *Eleusine indica* |
| *Ambrosia artemisiifolia* | *Portulaca oleracea* | *Digitaria adscendens* |
| *Kochia scoparia* | *Cassia obtusifolia* | *Echinochloa crus-galli* |
| *Amaranthus viridis* | *Sida spinosa* | *Sorghum bicolor* |
| *Amaranthus retroflexus* | *Solanum nigrum* | *Sorghum halepense* |
| *Amaranthus lividus* | | |
| *Hibiscus trionum* | | |
| *Polygonum japonicum* | | |
| *Sesbania exaltata* | | |
| *Galinsoga ciliata* | | |
| | | |
| *Cyperus esculentus* | | |
| *Cyperus rotundus* | | |

Tolerant crops

Corn, Turf, Barley, Wheat, Sugarcane and Rice.

The weed sensitivities to NC-319 were summarised from greenhouse and field trials. Susceptible broad-leaved species include those in the corn belt in the USA which are most difficult to control namely, *Abutilon theophrasti*, *Xanthium strumarium*, *Ambrosia artemisiifolia* and *Amaranthus retroflexus*. *Cyperus esculentus* and *C. rotundus* are also sensitive to NC-319. *Chenopodium album* is moderately susceptible. Grass weeds, however, cannot be controlled with NC-319. Gramineous crops, corn, wheat and rice are also tolerant to NC-319, and sugarcane and turf are the most tolerant.

Acetolactate synthase inhibition

The difference in enzyme sensitivity to NC-319 between three weed species and corn were minimal (Table 1). Therefore the selective action of NC-319 between weeds and crops is not explained by the enzyme sensitivity.

TABLE 1. Acetolactate Synthase Inhibition by NC-319 expressed as $I_{50}$ (the dose at which 50% inhibition occurs).

| Species | Acetolactate Synthase $I_{50}$ values (nM) |
|---|---|
| *Abutilon theophrasti* | 2.5 |
| *Chenopodium album* | 3.9 |
| *Amaranthus retroflexus* | 1.6 |
| Corn | 3.9 |

Field trials in Japan

In 1987, NC-319 applied early post-emergence at 20 - 40 g AI/ha demonstrated good control of broad-leaved weeds and *Cyperus* spp. without causing phytotoxicity to corn (Table 2). Rates of 20 - 40 g AI/ha were also sufficient to control these weeds with good crop safety at a later post-emergence application stage.

TABLE 2. Efficacy and phytotoxicity of NC-319 in corn (Japan, 1987).

| Treatments | Rate (g AI/ha) | Visual Assessments* (35 DAT) AMARE | XANST | ABUTH | DIGAD | SETVI | CYPES | CORN |
|---|---|---|---|---|---|---|---|---|
| Early post-emergence | | | | | | | | |
| NC-319 | 20 | 9 | 9 | 9 | 0 | 0 | 9 | 0 |
| | 40 | 9 | 9 | 9 | 0 | 0 | 9 | 0 |
| Atrazine | 1000 | 9 | 9 | 9 | 1 | 1 | 1 | 0 |
| Post-emergence | | | | | | | | |
| NC-319 | 20 | 8 | 9 | 9 | 0 | 0 | 9 | 0 |
| | 40 | 9 | 9 | 9 | 0 | 1 | 9 | 0 |
| Atrazine | 1000 | 8 | 9 | 7 | 0 | 0 | 2 | 0 |

* Visual assessment score 0 = no effect, 9 = completely killed
AMARE = *Amaranthus retroflexus*
XANST = *Xanthium strumarium*
ABUTH = *Abutilon theophrasti*
DIGAD = *Digitaria adscendens*
SETVI = *Setaria viridis*
CYPES - *Cyperus esculentus*

Greenhouse trials

Sweetcorn cultivars were relatively more sensitive to NC-319 than dent-corn cultivars (Table 3). Although there were differences in crop response to NC-319 between hybrids, the variations were not as large as for the reference herbicide atrazine.

TABLE 3. Tolerance of corn cultivars to NC-319 applied post-emergence compared with atrazine (greenhouse test).

| Types | Cultivars | Visual Assessments* (20 DAT) NC-319 (100 g AI/ha) | atrazine (1250 g AI/ha) |
|---|---|---|---|
| Sweetcorn | Golden Cross Bantam | 1 | 2 |
| | Honey Bantam | 2 | 2 |
| Dent-corn | G-4578 | 1 | 0 |
| | G-4589 | 0 | 0 |
| | JX-77 | 0 | 2 |
| | JX-167 | 0 | 0 |
| | P-3732 | 0 | 1 |
| | P-3424 | 1 | 2 |
| | P-3358 | 0 | 2 |

* Visual assessment score 0 = no effect, 9 = completely killed

Three sulfonylureas applied alone at 160 g AI/ha post-emergence caused similar growth reductions in corn. However, tank-mixtures with an insecticide increased severity of crop damage from nicosulfuron and primisulfuron. In the case of NC-319, the insecticide chlorpyrifos only increased the damage slightly (Table 4).

TABLE 4. Influence of an insecticide on safety of corn to NC-319 and other sulfonylurea herbicides applied post-emergence (greenhouse test).

| Treatments | Rate (g AI/ha) | % Growth Inhibition# chlorpyrifos without | with |
|---|---|---|---|
| NC-319 | 160 | 17 | 29 |
| nicosulfuron | 160 | 16 | 93 |
| primisulfuron | 160 | 23 | 98 |

# measured as a reduction in fresh weight

Field trials in USA

Table 5 shows the mean percentage weed control achieved by pre-emergence applications of NC-319 on medium and fine soils in the USA in trials 1989-1990. NC-319 treatment alone resulted in good broad-leaved weed control. The combination with alachlor demonstrated excellent efficacy and also included control of gramineous species.

TABLE 5. Percentage weed control with NC-319 alone, or in combination with alachlor pre-emergence in corn on medium and fine soil types, (mean of trials, USA, 1989-1990).

| Treatment | Rate (g AI/ha) | % control (41-65 DAT) *A. theophrasti* | *X. strumarium* | *A. retroflexus* | *C. album* |
|---|---|---|---|---|---|
| NC-319 | 70 | 83 | 69 | 78 | 73 |
| NC-319 + alachlor | 70 + 3360 | 90 | 85 | 100 | 97 |
| NC-319 + alachlor | 105 + 3360 | 93 | 90 | 100 | 99 |

Early post-emergence application of 18 - 35 g AI/ha of NC-319 gave excellent control of *A. theophrasti*, *X. strumarium* and *A. retroflexus* (Table 6). For late post-emergence applications, a higher rate of NC-319 was required to control *A. theophrasti*, however, NC-319 still achieved good weed suppression at the lower rates.

TABLE 6. Percentage weed control with NC-319 applied post-emergence to corn at different growth stages and at a range of rates compared with standard treatments on medium and fine soil types (mean of trials, USA, 1990)

| Treatment | Rate (g AI/ha) | % control *A. theophrasti* | | *X. strumarium* | |
|---|---|---|---|---|---|
| | | number of leaves at application | | | |
| | | 0-4 | 4-12 | 0-4 | 4-12 |
| NC-319 | 18 | 94 | 65 | 98 | 87 |
| | 35 | 95 | 80 | 99 | 93 |
| | 70 | 94 | 95 | 99.5 | 95 |
| | 140 | 92 | 84 | 99 | 97 |
| atrazine | 2240 | 70 | 56 | 87 | 95 |
| dicamba | 140 | | 59 | 100 | 96 |
| 2,4-D | 280 | | 65 | 100 | |

## DISCUSSION

Acetolactate synthase is known to be an action site of sulfonylurea herbicides in plants (Ray, 1984; Brown, 1990). The experiments however, showed little difference in enzyme sensitivity between two weeds susceptible to NC-319, one moderately susceptible weed and one tolerant crop. Although metabolism studies on NC-319 in different plant species have not been completed, it is believed that the selectivity of NC-319 between corn and weed species is based on different metabolism. Further studies of corn cultivars will be made, but tests so far showed no great differences.

Phytotoxicity interactions between sulfonylurea herbicides and certain insecticides have been reported (Reynolds *et al.*, 1990). Results presented here suggest that the risk of phytotoxicity interaction with NC-319 is less than that with other sulfonylurea herbicides for corn.

We concluded from field trials that pre-emergence application of NC-319 at 70-100 g AI/ha in combination with alachlor, gives excellent control of major weeds in USA corn crops. NC-319 at 18-35 g AI/ha applied post-emergence has excellent potential for control of *Abutilon theophrasti*, *Xanthium stramonium* and *Cyperus* spp..

## ACKNOWLEDGEMENTS

The authors would like to thank many colleagues and superiors in Nissan Chemical Industries and Monsanto Agricultural Company.

## REFERENCES

Ray, T.B. (1984) Sites of action of chlorsulfuron: Inhibition of valine and isoleucine biosynthesis in plants. *Plant Physiology*, **75**, 827-831.

Brown, H.M. (1990) Mode of action, crop selectivity, and soil relations of the sulfonylurea herbicides. *Pesticide Science*, **29**, 263-281.

Reynolds, D.B.; Burris, E.; Perritt, A.L. (1990) Interaction of in-furrow insecticides with CGA-136872, DPX-V9360, and KIH-2665. *Proceedings of Southern Weed Science Society*, **43**, 91.

# MON 13900: A NEW SAFENER FOR GRAMINEOUS CROPS

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## ABSTRACT

MON 13900, (±)-3-dichloroacetyl-5-(2-furyl)-2,2-dimethyl-1,3-oxazolidine, is a new safener with the ability to reduce injury from several herbicide classes in certain grass crops. It is especially effective for minimising growth reduction from sulfonylurea herbicides in corn (Zea mays). Tank-mixtures of this compound consistently reduced injury from NC-319, a new sulfonylurea herbicide across a wide range of soils and corn genotypes under environmental conditions that would be conducive to herbicide injury. The mode of action of MON 13900 appears to be by enhanced herbicide metabolism although the precise mechanism is not yet known.

## INTRODUCTION

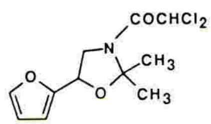
Herbicide safeners have expanded the use of many herbicides by improving tolerance in otherwise susceptible crop plants. These compounds offer a means by which herbicides exhibiting outstanding toxicological, environmental and/or weed control characteristics can be more widely used.

MON 13900 is a new safener from Monsanto which effectively safens numerous diverse herbicide classes in selected grass crops. It is especially effective in reducing injury in corn (Zea mays) from sulfonylurea herbicides including NC-319 from Nissan Chemical Industries, Ltd. (Suzuki et al., 1991). This compound has been investigated in laboratory, greenhouse and field experiments over the past 4 years.

## CHEMICAL AND PHYSICAL PROPERTIES

Chemical name: (±)-3-dichloroacetyl-5-(2-furyl)-2,2-dimethyl-1,3-oxazolidine

Structural formula:




Common name: none given yet

Molecular formula: $C_{11}H_{13}O_3NCl_2$

Molecular weight: 278.1

| | |
|---|---|
| Appearance: | crystalline |
| Melting point: | 95-96°C |
| Estimated vapor pressure: | 6.63 $\mu$Pa at 25°C |
| Estimated water solubility: | 100-300 $\mu$g/ml |
| $K_{ow}$: | 131 at 23°C |

TOXICOLOGY

| | |
|---|---|
| Acute oral LD50 (rat) | 869 mg/kg |
| Acute dermal LD50 (rat) | >5000 mg/kg |
| Eye irritation (rabbit) | Slightly irritating |
| Skin irritation (rabbit) | Non-irritating |
| Inhalation LC50 (rat) | >2.3 mg/l |
| Dermal Sensitization (guinea pig) | Negative |

Characteristics in soil and photodegradation:

Adsorption distribution coefficient ($K_d$) = 0.64 to 5.16
Adsorption coefficient as a function of organic carbon content ($K_{oc}$) = 55.7 to 289.9
Estimated half-life in aerobic soils (1st order kinetic model) = 33 to 53 days
Estimated half-life in anaerobic soils (1st order kinetic model) = 13 to 15 days
Estimated half-life = 29.9 days (358.8 h) of sunlight for unsensitised buffer conditions and 7.85 h of sunlight for the sensitised (25 ppm humic acid) buffer solution.

## MATERIALS AND METHODS

### Uptake and metabolism of NC-319 as affected by MON 13900

Four- to 5-day-old etiolated corn seedlings were used for all studies. Nine seeds were planted in 4-inch pots of soil. Safener treatments were incorporated into the top 1.5 cm of soil. Seedlings were selected and $^{14}$C-NC-319 was applied in $\mu$l droplets to the mesocotyl surface of the coleoptile. For NC-319 uptake studies, safener-treated seedlings were submerged in buffered solutions (pH 5.5) of $^{14}$C-NC-319 and placed on an orbital shaker to provide aeration.

### Effect of MON 13900 on glutathione levels

MON 13900 effects on glutathione levels in corn shoots were determined using etiolated shoots (coleoptile plus mesocotyl). Corn was pre-treated with safener as described in the greenhouse studies below. Glutathione concentration in shoot extracts was determined by forming the $^{14}$C-N-ethylmaleimide conjugate which forms in a 1:1 ratio.

### Growth chamber activity

Chemicals were tank-mixed and applied to the surface of pots containing 22 different soil types. Pots were then misted with 1.25 cm of overhead irrigation before placing them in a growth chamber with daytime temperature of 20°C and overnight temperature of 10°C. Injury was assessed visually.

Greenhouse activity

Greenhouse testing was carried out using silt loam soil with less than 1% organic matter. Herbicide and safener compounds were incorporated into a 1.5 cm surface layer of soil and applied over 10 cm square pots seeded with corn. Overhead irrigation was applied at a rate of 0.625 cm before placing pots in the greenhouse. Injury was assessed visually or by taking fresh weights as a percent of the untreated control.

Field activity

MON 13900 safening was evaluated on a wide range of soil types in the U.S. and Canada. Field trials were arranged as randomised complete blocks with at least 3 replications. Compounds were applied as pre-emergence or pre-plant incorporated tank-mixtures using water as carrier. Spray equipment included tractor mounted booms, backpacks and bicycle units. Incorporation depth ranged from 5 to 10 cm. Rainfall and temperature conditions were highly variable.

RESULTS

Uptake and metabolism

Uptake of MON 13900 occurs primarily through shoots, although substantial activity has been observed from root applications.

The metabolic pathway for MON 13900 in corn and sorghum appears to be via the conversion of MON 13900 to oxamic acid, (±)-2-[5-(2-furyl)-2,2-dimethyl-1,3-oxazolidin-3-yl]-2-oxoacetic acid, and/or an alcohol, interconversion of the acid and alcohol, and conjugation of the alcohol to an alcohol glucoside, 2-[5-(2-furyl)-2,2-dimethyl-1,3-oxazolidin-3-yl]-2-oxoethyl ß-D-glucopyranoside. Further metabolism results in the incorporation of radio-labelled MON 13900 into glucose/fructose and other natural plant components. The primary metabolite found 70 days after herbicide application was $^{14}C$-glucose/fructose. No significant amount of MON 13900 was found in any of the plant samples.

Mode of action

Treatment of corn seedlings with MON 13900 significantly increased metabolism of NC-319. The amount of parent NC-319 remaining in safener-treated seedlings after 6 hours of herbicide exposure was 18% less than in untreated seedlings (Table 1). This effect was temporary, however; suggesting that MON 13900 simply prolongs NC-319 de-esterification. MON 13900 had no effect on the expression of acetolactate synthase activity nor the ability of NC-319 to inhibit the enzyme, in vitro.

TABLE 1. Effect of MON 13900 on NC-319 metabolism in corn shoots.

| | % NC-319 Remaining | | | |
|---|---|---|---|---|
| Treatment | 2 h | 4 h | 6 h | 24 h |
| NC-319 | 84 | 58 | 48 | 8 |
| NC-319 + MON 13900 | 84 | 55 | 30 | 6 |

NC-319 is not conjugated to glutathione (GSH) as a means of plant detoxification, even though MON 13900 will induce higher glutathione levels in etiolated seedlings compared with the control (Table 2). However, this induction of GSH is transient and lesser in magnitude than that of flurazole. Within 4 days one can observe a direct effect of MON 13900 concentration on GSH levels (Table 3). Additional studies have shown a significant stimulation of glutathione-s-transferase activity.

TABLE 2. MON 13900 induction of glutathione compared with flurazole in etiolated corn seedlings.

| | Glutathione (μg/g resh wt) | | |
|---|---|---|---|
| Treatment | 3 d | 4 d | 5 d |
| Control | 195 | 190 | 460 |
| MON 13900 | 220 | 450 | 340 |
| flurazole | 400 | 620 | 940 |

TABLE 3. Effect of MON 13900 rate on glutathione content in etiolated corn seedlings 4 DAT.

| MON 13900 (kg AI/ha) | GSH (μg/g fresh weight) |
|---|---|
| 0.00 | 584 |
| 0.03 | 630 |
| 0.07 | 766 |
| 0.14 | 804 |
| 0.28 | 983 |

Safener effects

MON 13900 has been found to reduce crop injury from several herbicide classes. It provides excellent acetanilide and thiocarbamate safening similar to other dichloroacetamides. However, one of its most unique characteristics is its ability to provide outstanding safening for a range of sulfonylurea and imidazolinone herbicides in gramineous crops without hindering weed control.

MON 13900 is exceptionally useful for reducing corn injury from NC-319, a new sulfonylurea herbicide. It has demonstrated the ability to minimise corn growth reduction and chlorosis from high rates of this herbicide across a broad range of soil types when tested under maximum injury conditions. Although crop damage was found to increase as soil organic matter declined, MON 13900 maintained its effectiveness at a 1:1 herbicide to safener ratio (Table 4).

TABLE 4. Effect of soil organic matter on the ability of MON 13900 to safen corn from NC-319 in cool/wet growth chamber (safener and herbicide applied at 0.56 kg AI/ha).

| Treatment | % Corn Inhibition 35 DAT | | |
|---|---|---|---|
| | <2% o.m. | 2-4% o.m. | 4.1-7% o.m. |
| NC-319 | 46 | 38 | 32 |
| NC-319+MON 13900 (1:1) | 10 | 11 | 11 |

MON 13900 has provided effective protection from high rates of NC-319 for several corn inbred and hybrid lines in greenhouse testing. Injury from 2.24 kg AI/ha herbicide as high as 73% (fresh wt of treated plants compared to untreated controls) was reduced to 8% by MON 13900 at the same rate (Table 5). Most genotypes tested exhibited safening at a commercially acceptable level.

TABLE 5. Safening effect of MON 13900 on inbred and hybrid lines of corn treated with NC-319 (safener and herbicide applied at 2.24 kg AI/ha).

| Corn Line | % of Control Plant Growth | |
|---|---|---|
| | NC-319 | NC-319 + MON 13900 |
| A632 | 47 | 82 |
| A671 | 62 | 100 |
| CM105 | 32 | 83 |
| ND246 | 27 | 92 |
| MO17 | 37 | 90 |
| B73 | 57 | 98 |
| PN3569 | 37 | 95 |
| PN3320 | 35 | 87 |
| PN3790 | 55 | 97 |
| PN3352 | 68 | 100 |
| PN3168 | 73 | 97 |

In field studies over the past 4 years, MON 13900 has provided consistent NC-319 corn safening over a wide geographical area with conditions ranging from intense drought to excessive moisture. In pre-emergence and pre-plant incorporated trials with an NC-319 use rate of 0.14 kg AI/ha, MON 13900 reduced average corn growth reduction from 27 to 15% (Table 6).

TABLE 6. Field activity of MON 13900 as a tank-mix safener for soil applications of NC-319 in corn.

| NC-319 Rate (kg AI/ha) | % Corn Growth Inhibition 50 DAT NC-319 | NC-319 + MON 13900 (1:1) |
|---|---|---|
| 0.07 | 21 | 13 |
| 0.14 | 27 | 15 |

MON 13900 also is an excellent safener for commercial sulfonylurea and imidazolinone herbicides. In limited greenhouse studies it has provided substantial safening of thifensulfuorn, chlorimuron and imazethapyr (Table 7).

TABLE 7. Ability of MON 13900 to safen thifensulfuron, chlorimuron and imazethapyr in corn (all compounds at 0.14 kg AI/ha).

| Treatment | % Corn Inhibition 21 DAT | | |
|---|---|---|---|
| | Thifensulfuron | Chlorimuron | Imazethapyr |
| Herbicide | 38 | 92 | 23 |
| Herbicide + MON 13900 | 8 | 55 | 3 |

CONCLUSIONS

MON 13900 is a new herbicide safener with outstanding activity for reducing injury from several herbicide classes in narrow-leaf crops. It is especially effective at reducing sulfonylurea injury in corn and has demonstrated level safening of NC-319 at a commercially acceptable level across a range of soil types and environmental conditions. It appears to act by increasing herbicide metabolism. Although its specific mechanism of action is unknown, it may affect multiple metabolic pathways.

ACKNOWLEDGEMENTS

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# NC-330 - A NEW HERBICIDE FOR BROAD-LEAVED AND GRASS WEED CONTROL IN WHEAT

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## ABSTRACT

NC-330 (NCI-851013), methyl 5-(4,6-dimethylpyrimidin-2-ylcarbamoylsulfamoyl)-1-(2-pyridyl)pyrazole-4-carboxylate, is a new sulfonylurea herbicide for control of grass and broad-leaved weeds with post-emergence application. NC-330 was highly effective against *Alopecurus myosuroides*, *Avena fatua*, *Echinochloa crus-galli* and *Setaria viridis*. Most broad-leaved weeds including *Galium aparine*, *Stellaria media* and *Chenopodium album* were also well controlled. NC-330 was selective in winter wheat when applied up to tillering stage. Anticipated rates of use are 100-150 g AI/ha.

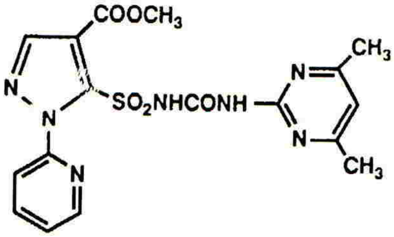
## INTRODUCTION

In wheat fields in Europe, *Alopecurus myosuroides*, *Avena fatua* and *Galium aparine* frequently escape control by current commercial pre-emergence herbicides. There is also a problem with carry-over of certain persistent cereal herbicides which damage crops of sugar beet and oilseed rape where they follow in the rotation. Many wheat farmers have been hoping for a herbicide which can solve these two problems.

NC-330 (NCI-851013) is a new sulfonylurea post-emergence herbicide for wheat, originally discovered and currently being developed by Nissan Chemical Industries Ltd. Evaluation of NC-330 in greenhouse tests and field trials has indicated promising results. The properties of NC-330 and results of these trials are described in this paper.

## CHEMICAL AND PHYSICAL PROPERTIES

Structure:



# 2—4

| | |
|---|---|
| Chemical name: (IUPAC) | Methyl 5-(4,6-dimethylpyrimidin-2-ylcarbamoylsulfamoyl)-1-(2-pyridyl)pyrazole-4-carboxylate |
| Common name: | Not available yet |
| Chemical formula: | $C_{17}H_{17}N_7O_5S$ |
| Molecular weight: | 431.4 |
| Melting point: | 159-160°C |
| Appearance: | Pale brownish solid |
| Solubility: | 849 mg/l in water (25°C) |

TOXICOLOGY

| | |
|---|---|
| Acute oral (rat) LD50: | > 5000 mg/kg |
| (mouse) LD50: | > 5000 mg/kg |
| Skin irritation (rabbit): | Non irritating |
| Eye irritation (rabbit): | Slightly irritating |
| Mutagenicity (Ames assay): | Negative |
| Fish toxicity (carp) LC50 (48 h): | > 5 ppm |

MODE OF ACTION

NC-330, like other sulfonylurea herbicides, inhibits plant enzyme acetolactate synthase involved in branched-chain amino acid biosynthesis. NC-330 is mainly absorbed by the foliage of treated plants and translocated to meristem tissues. Plants which are sensitive to NC-330 stop growing immediately after application, and are killed within one month.

MATERIALS AND METHODS

Herbicidal activity

Outdoor pot tests were conducted to examine the herbicidal activity of NC-330 in autumn. Wheat and seven weed species were sown in plastic containers. Pre-emergence applications were made 2 days after sowing. Post-emergence applications were made 15 days after sowing when the wheat was at 2-3 leaf growth stage. Visual assessment was carried out at 61 days after sowing.

Field trials

Field trials of NC-330 in winter wheat were conducted in the UK in 1986 and 1987. NC-330 was applied at tillering, early jointing and late jointing stages of wheat. In addition, field trials at two locations in the UK were carried out to reconfirm efficacy of NC-330 against *Alopecurus myosuroides* in 1989 and 1990. NC-330 was applied at tillering stage of wheat. In all the field trials the plot size was $10m^2$ and there were three replications. All treatments were applied in 200 l/ha water volume and a non-ionic surfactant at 0.1% was added.

Twelve winter wheat and eight winter barley cultivars were examined for tolerance to NC-330 applied at tillering stages in the UK in 1986 and 1987.

## RESULTS

As shown in Table 1, NC-330 has little pre-emergence activity even at the rate of 400 g AI/ha. In contrast, NC-330 applied post-emergence has excellent efficacy against several weeds and adequate selectivity for wheat at the rate of 50-100 g AI/ha.

TABLE 1. Phytotoxicity of weed species and wheat to NC-330 applied pre- and post-emergence (outdoor pot tests).

| | Phytotoxicity score* | | | |
|---|---|---|---|---|
| | pre-em | | post-em | |
| Species Rate (g AI/ha) | 200 | 400 | 50 | 100 |
| *Alopecurus mysuroides* | 0 | 0 | 8 | 9 |
| *Echinochloa crus-galli* | 0 | 0 | 9 | 9 |
| *Setaria viridis* | 0 | 0 | 7 | 7 |
| *Poa annua* | 0 | 0 | 6 | 7 |
| *Chenopodium album* | 0 | 0 | 9 | 9 |
| *Stellaria media* | 0 | 0 | 9 | 9 |
| *Amaranthus retroflexus* | 3 | 4 | 9 | 9 |
| Wheat | 0 | 0 | 0 | 0 |

*Visual assessment score: 0 (no effect); 9 (completely killed)

In field trials in winter wheat (Table 2) NC-330 at the rate of 60-250 g AI/ha gave excellent control of *Alopecurus myosuroides*, *Galium aparine*, *Stellaria media* and *Matricaria matricaroides* at three stages of application (tillering, early jointing and late jointing). Although *Avenua fatua* was not completely killed, its growth was highly suppressed at the rate of 125-250 g AI/ha. and was comparable with the standard herbicide treatment. Phytotoxicity of NC-330 for wheat depends on its growth stage at application. NC-330 was highly selective when applied at tillering stage, but some stunting of the wheat crop was observed when applied at jointing stages particularly at the higher dose rates.

TABLE 2. Phytotoxicity to NC-330 applied post-emergence of winter wheat at three growth stages (field trial, UK, 1986-1987).

| Treatment | Rate (g AI/ha) | % Phytotoxicity ALOMY | AVEFA | GALAP | STEME | MATMA | Wheat |
|---|---|---|---|---|---|---|---|
| tillering stage | | | | | | | |
| NC-330 | 60 | 98 | 55 | 100 | 97 | 100 | 0 |
| | 125 | 89 | 83 | 100 | 96 | 100 | 0 |
| | 250 | 100 | 85 | 100 | 100 | 100 | 12 |
| Difenzoquat | 750 | 0 | 65 | 0 | 0 | 0 | 0 |
| early jointing stage | | | | | | | |
| NC-330 | 60 | 83 | 60 | 100 | 91 | 100 | 3 |
| | 125 | 97 | 62 | 100 | 95 | 100 | 10 |
| | 250 | 98 | 75 | 100 | 95 | 100 | 22 |
| late jointing stage | | | | | | | |
| NC-330 | 60 | 97 | 58 | 100 | 95 | 100 | 2 |
| | 125 | 93 | 70 | 100 | 95 | 100 | 18 |
| | 250 | 100 | 77 | 100 | 95 | 100 | 18 |
| Difenzoquat | 990 | 0 | 80 | 0 | 0 | 0 | 0 |

ALOMY = *Alopecurus myosuroides*
GALAP = *Galium aparine*
MATMA = *Matricaria matricaroides*
AVEFA = *Avena fatua*
STEME = *Stellaria media*

Table 3 shows the results for field trials in winter wheat where a high population of *Alopecurus myosuroides* germinated (UK, 1989-1990). NC-330 at rates of 100-200 g AI/ha at both locations was more effective than the standard, diclofop-methyl, and caused no injury to wheat.

TABLE 3. Efficacy against *Alopecurus myosuroides* of NC-330 applied at tillering stage to winter wheat at two field locations A and B (UK, 1989-1990)

| Treatment | Rate (g AI/ha) | Phytotoxicity score* *A. myosuroides*# A | B | Wheat A | B |
|---|---|---|---|---|---|
| NC-330 | 50 | 4.3 | 5.3 | 0 | 0 |
| | 100 | 8.3 | 6.0 | 0 | 0 |
| | 150 | 9.0 | 7.3 | 0 | 0 |
| | 200 | 8.7 | 7.7 | 0 | 0 |
| Diclofop-methyl | 1134 | 7.0 | 4.3 | 0 | 0 |

* Visual assessment score (136 DAT): 0 (no effect)
9 (completely killed)

\# Population of *A. myosuroides*: A = 49 plants/$m^2$
B = 158 plants/$m^2$

NC-330 was safe on all 12 cultivars of winter wheat tested (Table 4). Although three of these suffered slight damage at 125 g AI/ha, they completely recovered at the time of the second assessment. On the other hand, all cultivars of winter barley suffered unacceptable injury at both rates of NC-330. The main symptoms of phytotoxicity were stunting and leaf yellowing.

TABLE 4. Phytotoxicity of the major winter wheat and winter barley cultivars to NC-330 applied at tillering stages (field trial, UK, 1986-1987)

| | % Phytotoxicity | | | |
|---|---|---|---|---|
| Rate (g AI/ha) | 60 | | 125 | |
| Assessed DAT | 62 | 106 | 62 | 106 |
| Cultivar | | | | |
| Winter wheat | | | | |
| Avalon | 0 | 0 | 0 | 0 |
| Longbow | 0 | 0 | 10 | 0 |
| Galahad | 0 | 0 | 0 | 0 |
| Fenman | 0 | 0 | 0 | 0 |
| Slejpner | 0 | 0 | 0 | 0 |
| Brimstone | 0 | 0 | 0 | 0 |
| Moulin | 0 | 0 | 10 | 0 |
| Apollo | 0 | 0 | 0 | 0 |
| Brock | 0 | 0 | 10 | 0 |
| Norman | 0 | 0 | 0 | 0 |
| Mercia | 0 | 0 | 0 | 0 |
| Mission | 0 | 0 | 0 | 0 |
| Winter barley | | | | |
| Otter | 50 | 10 | 40 | 15 |
| Igri | 40 | 15 | 40 | 30 |
| Halcyon | 30 | 10 | 30 | 5 |
| Pirate | 50 | 25 | 50 | 20 |
| Gerbel | 30 | 40 | 30 | 35 |
| Panda | 30 | 15 | 30 | 15 |
| Plaisant | 20 | 30 | 20 | 40 |
| Concert | 20 | 5 | 20 | 5 |

CONCLUSIONS

NC-330 is a new post-emergence herbicide with a unique weed spectrum covering economically important grass and broad-leaved weeds in winter wheat.

NC-330 has excellent crop safety when applied up to tillering stage of winter wheat.

The recommended rates of use for NC-330 will be 100-150 g AI/ha.

ACKNOWLEDGEMENT

The authors would like to thank many colleagues in Nissan Chemical Industries Ltd. who assisted with this work.

# ICIA0051, A NEW HERBICIDE FOR THE CONTROL OF ANNUAL WEEDS IN MAIZE

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## ABSTRACT

ICIA0051 (2-(2-chloro-4-mesylbenzoyl) cyclohexane-1,3-dione) is a triketone herbicide for the control of broad-leaved weeds and grasses in maize. Applied post-emergence of the crop and weeds, ICIA0051 is effective at 300 - 450 g AI/ha against a range of major weeds including *Digitaria sanguinalis*, *Echinochloa crus galli*, *Panicum miliaceum*, *Chenopodium album*, *Solanum nigrum*, *Polygonum persicaria* and *Polygonum lapathifolium*. In addition, the compound has a wide window of application and its action on carotenoid synthesis precludes any cross resistance with triazine herbicides. ICIA0051 offers new opportunities when used alone, in combination, or as a sequential treatment to control various weeds in maize.

## INTRODUCTION

ICIA0051 belongs to the triketone class of chemistry. The herbicide properties of the compound were discovered at the ICI Agrochemicals laboratories in Richmond, California.

ICIA0051 is currently being developed in the areas of the world where maize is a major crop, especially in Europe. It is also showing promise as a sugar cane herbicide.

## CHEMICAL AND PHYSICAL PROPERTIES

### Structure

Chemical name (IUPAC) : 2-(2-chloro-4-mesylbenzoyl) cyclohexane-1,3-dione

Common name : none given yet

Chemical formula : $C_{14}H_{13}SO_5Cl$

Molecular weight : 328,77

Appearance : light tan solid (technical material)

Melting point : 139°C

Vapour pressure : low, $4 \times 10^{-8}$ mm Hg (25° C)

Solubility : in water = 165 mg/l (25° C), soluble in acetone and chlorobenzene.

Stability : stable in water with or without exposure to sunlight and thermostable up to 80° C.

FORMULATION

ICIA0051 is formulated with a wetting agent as a suspension concentrate containing 300 g/l of the active ingredient.

TOXICOLOGY

ICIA0051, technical or formulated, has a low acute toxicity to mammals through oral, dermal or inhalation routes. Good user protection is afforded by the very low rate of absorption through skin. The compound is not irritant to the skin and only slightly irritant to the eyes. ICIA0051 is not a skin sensitiser.

ICIA0051 is non-teratogenic in the rat and rabbit and it is not genotoxic as demonstrated in vivo.

No residues of ICIA0051 have been found above the limit of detection in the maize grain or in plants harvested for silage between 56 and 140 days after application (11 trials carried out in France).

ECOTOXICOLOGY AND BEHAVIOUR IN SOILS

ICIA0051 has a low toxicity to wildlife including birds : Anas platyrynchos and Colinus virginianus ; fish : Cyprinus carpio and Salmo gairdneri ; daphnia and bees, following both topical and oral application.

No adverse effects, even at very high rates of use, have been detected on soil microorganisms, which are the main agent for ICIA0051 degradation in soil.

Degradation in soil is rapid and data for half life values range from 15 days in a loamy sand from Toulouse to 72 days in a fine loam from Iowa.

MODE OF ACTION

ICIA0051 is active mostly as a foliar herbicide but additional efficacy is provided through root uptake. This residual soil activity gives ICIA0051 a clear advantage over herbicides which only have post-emergence foliar activity. This additional efficacy is an important factor for the control of certain weed species, eg Amaranthus spp.

Treated weeds rapidly show bleaching symptoms but complete kill is progressive.

The mode of action of triketone herbicides is not yet fully understood, but it is likely that chlorophyll synthesis is directly affected (Mayonado et al., 1989). The bleaching symptoms observed in the field are clearly related to the disturbance of carotenoid pigment biosynthesis which also leads to chlorophyll destruction (Wilson et al., 1990).

Due to its mode of action, it is unlikely that cross resistance with triazine or ALS/AHS inhibitors will arise.

## RESULTS AND DISCUSSION

All the following results have been obtained in the absence of any atrazine treatment, either pre- or post-emergence.

### Weed spectrum

The post-emergence efficacy of ICIA0051 compared with pyridate and atrazine applied at the same time is summarised in Tables 1 to 3 and is based on trials carried out in France in 1989 and 1990.

TABLE 1. Control of annual broad-leaved weed species : ICIA0051 post-emergence

| Treatment | Rate (g AI/ha) | % control (range) <br> Chenopodium album | <br> Solanum nigrum | <br> Amaranthus spp. (≠) |
|---|---|---|---|---|
| ICIA0051 | 300 | 98 (90-100) | 94 (79-100) | 62.5 (37- 85) |
| ICIA0051 | 450 | 99 (93-100) | 96 (77-100) | 76 (53- 98) |
| pyridate | 900 | 74 ( 0-100) | 88 (63-100) | 90.5 (68-100) |
| atrazine | 1500 | 63 (0*- 97) | 40 (0*-100) | 43 (0*-100) |
| No. trials | | 10 | 8 | 8 |

* strains resistant to atrazine.

(≠) Amaranthus retroflexus, Amaranthus hybridus, Amaranthus bouchonii.

TABLE 2. Control of annual broad-leaved species : ICIA0051 post-emergence.

| Treatment | Rate (g AI/ha) | % control (range) Polygonum spp. (≠) | Brassicacae (#) |
|---|---|---|---|
| ICIA0051 | 300 | 85 (49-100) | 82 (65- 98) |
| ICIA0051 | 450 | 97 (94-100) | 90 (85-100) |
| pyridate | 900 | 51 ( 0- 73) | 30 ( 0- 73) |
| atrazine | 1500 | 71 (0*-100) | 88 (66-100) |
| No. trials | | 4 | 5 |

* strains resistant to atrazine.

(≠) Polygonum persicaria, Polygonum lapathifolium.
(#) Raphanus raphanistrum, Sinapis arvensis.

TABLE 3. Control of annual grasses : ICIA0051 post-emergence.

| Treatment | Rate (g AI /ha) | % control (range) Digitaria sanguinalis | Echinochloa crus-galli | Setaria verticillata | Panicum dichotomiflorum |
|---|---|---|---|---|---|
| ICIA0051 | 300 | 83 (47-100) | 81 (58-100) | 33 ( 0-70 ) | 45 (23- 70) |
| ICIA0051 | 450 | 91.5(63-100) | 89.5(63-100) | 35 ( 0-72.5) | 59 (50- 73) |
| atrazine | 1500 | 55 (0*-100) | 50 (0*-100) | 32.5(0*-84.5) | 7 ( 0- 20) |
| No. trials | | 6 | 7 | 5 | 3 |

* strains resistant to atrazine.

The following species have been found to be the most sensitive to ICIA0051 :

- Dicotyledons :
Chenopodium album, Solanum nigrum, Polygonum persicaria and Polygonum lapathifolium, Cruciferae.

- Grasses :
Digitaria sanguinalis, Echinochloa crus-galli, Panicum miliaceum.

Generally, 300 to 450 g AI/ha is sufficient for controlling broad-leaved weeds ; 450 g AI/ha can be considered as a basic rate for grass control.

However, ICIA0051 is weak on Setaria spp., on grasses belonging to the Festucoïdeae and on some broad-leaved weeds including Mercurialis annua. Perennial weeds are generally poorly controlled by a single application of ICIA0051.

## Growth stage

The stage of growth of the weeds (Table 4) is generally not important for the control of dicotyledonous species, except for Amaranthus spp. which are only controlled from emergence to the 2 leaf stage. On sensitive dicotyledonous species, ICIA0051 can be used much more flexibly than many existing post-emergence herbicides for broad-leaved weeds.

TABLE 4. Control related to weed growth stage : ICIA0051 post-emergence.

| | % control (range) | | | |
|---|---|---|---|---|
| | ICIA0051 at 300 g AI/ha | | ICIA0051 at 375 g AI/ha | |
| Application stage | Chenopodium album | Solanum nigrum | Digitaria sanguinalis | Echinochloa crus-galli |
| Early stage (T1) | 99 (97-100) | 93 (79-100) | 95.5 (86-100) | 89 (75-100) |
| Later stage (T1 + 15 days) | 97 (91-100) | 86 (77-100) | 78 (20-100) | 73 (20-100) |
| No. trials | 4 | 5 | 4 | 5 |

Growth stages at T1 ranged from 2 to 4 leaves of the weeds.

For species like Solanum nigrum, which can emerge over a long period of time, a split post-emergence application can be advised.

For the control of grass weeds, the best results have generally been obtained from early post-emergence applications of ICIA0051, a timing which corresponds with current practice (Table 4).

## Crop selectivity

ICIA0051 is generally safe to maize up to 900 g AI/ha and no varietal susceptibility has been observed. Under poor growing conditions, however, maize plants may show some foliar discolourations, but these symptoms are transient and further growth and yield of maize is not affected.

## Soil persistence and effects on rotational crops

No problem has been identified in the following crops within a normal rotation : winter wheat, winter barley, winter oil seed rape, potatoes, sugar beet, maize, peas, Phaseolus beans.

Where a treated crop has been abandonned, maize may be re- seeded but soya and Phaseolus beans must be avoided, even after ploughing.

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# KIH-2031, A NEW HERBICIDE FOR COTTON

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## ABSTRACT

KIH-2031 sodium 2-chloro-6-(4,6-dimethoxypyrimidin-2-ylthio) benzoate is a new highly selective herbicide for controlling a wide range of weeds in cotton (Gossypium hirsutum). This compound inhibits acetolactate synthase in plants. KIH-2031 gives excellent control of troublesome weeds, such as (Ipomoea spp.), (Xanthium strumarium), (Abutilon theophrasti), (Sida spinosa), (Sesbania exaltata), and (Sorghum halepense) and can be applied pre-emergence or post-emergence. Soil treatment or foliar treatment of KIH-2031 at 35 to 105 g AI/ha provides excellent control of weeds. Cotton exhibits good tolerance to KIH-2031 from all application methods. Results of preliminary toxicological and environmental fate studies are favorable.

## INTRODUCTION

Elimination of weeds from cotton is necessary to optimise yield, increase cotton quality, and reduce harvest interference. Currently many weeds, such as (Ipomoea spp.), (Euphorbia maculata), (Sida spinosa), and (Abutilon theophrasti) can be controlled only by mechanical means or by use of directed herbicide sprays. Herbicides currently available can reduce vigour and cause injury when applied post-emergence to the cotton crop.

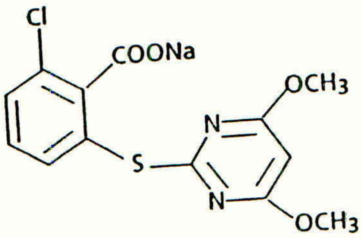
KIH-2031 is a novel herbicide introduced by Kumiai Chemical Industry Co., Ltd., that offers growers a new alternative for weed control in cotton with flexibility to use pre- through to late post-emergence to the crop and excellent crop safety (Saito et al., 1990).

KIH-2031 is being jointly developed worldwide together with E.I. du Pont de Nemours and Company under the code name DPX-PE350.

KIH-2031 has been evaluated in field trials for four years and shows promise in providing farmers a means to control a wide spectrum of broad-leaved weeds both pre- and post-emergence in cotton. It has also shown activity on a range of grasses.

## CHEMICAL AND PHYSICAL PROPERTIES

Structure:




Common name: none given yet

Chemical name: (IUPAC) sodium 2-chloro-6-(4,6-dimethoxypyrimidin-2-ylthio) benzoate

Chemical formula: $C_{13}H_{10}ClN_2NaO_4S$

Appearance: White solid

Molecular weight: 348.743

Melting point: 247.7°C (decomposition)

Solubility in water: 760 g/l (at 10°C)

(KIH-8921 is a free acid form of KIH-2031)

## TOXICOLOGY

Acute oral LD50(rat): 1000-3000 mg/kg (male), 3000-5000 mg/kg (female)

Acute dermal LD50(rat): >2000 mg/kg

Eye irritation (rabbit): Irritant, not reversible within 21 days (technical), reversible within 7 days (50% formulation)

Skin irritation (rabbit): Not irritant

Ames mutagenicity: Negative

## FATE IN THE ENVIRONMENT

Microbial and photochemical degradation play a major role in degradation of KIH-2031.

MODE OF ACTION

In vitro studies indicate that KIH-2031, like sulfonylurea and imidazolinone herbicides, inhibits the plant enzyme acetolactate synthase thereby blocking branched chain amino acid biosynthesis (Table 1). There is early cessation of growth of sensitive species followed by chlorosis, necrosis, and plant death.

TABLE 1. Herbicide inhibition of acetolactate synthase (ALS) extracted from rice (using the method of Ray, 1984).

| Compound | $I_{50}$ value (mol) |
|---|---|
| KIH-2031 | $1.5 \times 10^{-8}$ |
| Chlorsulfuron | $2.8 \times 10^{-8}$ |

a) $I_{50}$ - concentration that causes 50% inhibition in vitro

SELECTIVITY

A good safety margin for cotton at rates which are effective on weeds has been observed with pre-emergence treatments of KIH-2031 (Table 2).

TABLE 2. Herbicidal efficacy and crop injury: KIH-2031 pre-emergence treatment in greenhouse - three replications. (Kikugawa, Japan)

| KIH-2031 Rate (g AI/ha) | Crop injury(%) Cotton | Weed control (%) *Amaranthus retroflexus* | *Xanthium strumarium* | *Echinochloa crus-galli* |
|---|---|---|---|---|
| 150 | 0 | 96 | 40 | 86 |
| 125 | 0 | 96 | 40 | 80 |
| 100 | 0 | 96 | 10 | 70 |
| 75 | 0 | 96 | 10 | 70 |
| 50 | 0 | 96 | 10 | 70 |

TABLE 3. Herbicidal efficacy and crop injury: KIH-2031 post-emergence treatment in greenhouse - three replications. (Kikugawa, Japan)

| KIH-2031 Rate (g AI/ha) | Crop injury(%) Cotton | Weed control (%) Ipomoea lacunosa | Amaranthus retroflexus | Echinochloa crus-galli |
|---|---|---|---|---|
| 1,000 | 50 | 100 | 100 | 96 |
| 300 | 30 | 100 | 96 | 96 |
| 100 | 0 | 100 | 96 | 80 |
| 30 | 0 | 96 | 90 | 50 |
| 10 | 0 | 80 | 80 | 40 |
| 3 | 0 | 30 | 20 | 10 |

Excellent crop safety has been observed on cotton at 4 times the expected rate of use of 70 g AI/ha with a post-emergence treatment (Table 3).

EFFICACY

The suggested rates of use for KIH-2031 for both pre-emergence and post-emergence applications are around 70 g AI/ha. It has been shown that adjuvants such as a non-ionic surfactants or some petroleum-based adjuvant oils play an important role in achieving consistent performance on several weed species when applied post-emergence.

Worldwide field trials in the main cotton growing areas from 1988 through 1991 indicate that KIH-2031 at rates ranging from 35 to 105 g AI/ha pre-emergence have controlled the following weeds:

Abutilon theophrasti
Amaranthus hybridus
Amaranthus retroflexus
Amaranthus spinosus
Cassia obtusifolia
Euphorbia maculata
Ipomoea hedevacea
Salvia reflexa
Sida spinosa

The following weeds have been controlled by KIH-2031 post-emergence at rates from 35 to 105 g AI/ha:

Abutilon theophrasti
Amaranthus retroflexus

Amaranthus spinosus
Amaranthus hybridus
Amaranthus palmeri
Brachiaria platyphylla
Cassia occidentalis
Ipomoea coccinea
Ipomoea hederacea
Ipomoea hirsutula
Kochia scoparia
Leptochloa filiformis
Polygonum pensylvanicum
Proboscidea louisianica
Salsola iberica
Salvia reflexa
Sida spinosa
Sorghum halepense
Trianthema portulacastrum
Verbesina enceloides
Xanthium strumarium

All post-emergence trials have been conducted with commercial rates of a surfactant or a non-phytotoxic petroleum-based spray oil.

The best post-emergence control is obtained by spraying actively growing weeds at the 1-3 leaf stage. KIH-2031 will control some species such as Ipomoea, Xanthium and Amaranthus at more advanced growth stages.

CONCLUSIONS

KIH-2031 can become an integral part of cotton production in the near future. KIH-2031 will offer some new weed control options for the cotton farmer:

- application flexibility pre- or post-emergence
- control of weed species not controlled by current standards
- excellent crop safety
- a wide window of post-emergence timing for many weeds
- reduced need for directed sprays or hand weeding
- low use-rate (around 70 g AI/ha)

KIH-2031 is a new tool to use with standard practices to increase spectrum of weed control without injuring cotton when applied as a pre- or post-emergence treatment.

REFERENCES

Ray, T.B. (1984) Sites of Action of Chlorsulfuron: Inhibition of Valine and Isoleucine Biosynthesis in Plants. *Plant Physiology*, 75, 827-831.

Saito, Y.; *et al*. (1990) Pyrimidine Compounds and Herbicidal Method and Compositions, United States Patent, 4,932,999.

# FLUPOXAM : A NEW PRE- AND POST-EMERGENCE HERBICIDE FOR BROAD-LEAVED WEED CONTROL IN WINTER CEREALS

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## ABSTRACT

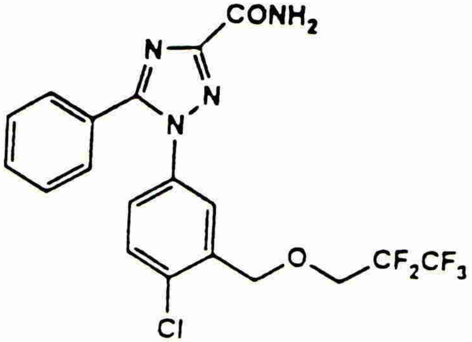
Flupoxam, 1-[4-chloro-α-(2,2,3,3,3-pentafluoropropoxy)-m-tolyl]-5-phenyl-1H-1,2,4-triazole-3-carboxamide, is a new class of herbicide. Flupoxam provides contact and residual control of a wide spectrum of annual broad-leaved weeds when applied pre- or early post-emergence in winter crops of wheat, durum wheat and barley and has excellent safety in these crops. In the greenhouse and in field tests in Northern European countries, flupoxam has proved active at doses of 100-200 g AI/ha in controlling *Galium aparine*, *Veronica* spp, *Viola* spp, *Stellaria media*, *Papaver rhoeas*, volunteer oilseed rape and other weeds that germinate in the autumn and in the spring following treatment. The paper discloses product chemistry, physico-chemical properties, the toxicological profile and biological activity of flupoxam.

## INTRODUCTION

Flupoxam is a new herbicide discovered by Kureha Chemical Industry Co. Ltd., Tokyo, Japan (Kureha code KNW-739) and developed together with Monsanto (MON-18500). It is being developed for the pre- or post-emergence control of annual broad-leaved weeds in winter cereals. This paper describes the properties of flupoxam and summarises results from greenhouse tests and field trials which have been conducted in Belgium, Denmark, France, Germany, Sweden and the United Kingdom since 1987.

## PHYSICAL AND CHEMICAL PROPERTIES

Structure



Chemical name (IUPAC) : 1-[4-chloro-α-(2,2,3,3,3-pentafluoropropoxy)-m-tolyl]-5-phenyl-1H-1,2,4-triazole-3-carboxamide.

Common chemical name (BSI and ISO) : flupoxam.

Molecular Formula: $C_{19}H_{14}ClF_5N_4O_2$

Molecular Weight: 460.8

Melting Point: 144° -148°C

Vapour Pressure: 2.9 ± 0.3 Pa (25° C)

Density: 1.433 g/cm³ at 20.5° C

Appearance : Light beige, odourless, crystalline.

Solubility : Water : 1.0 ± 0.1 ppm at pH 7.4
Hexane : 3.1 x $10^{-3}$ g/l
Toluene : 5.6 ± 0.1 g/l
Methanol : 133 ± 16 g/l
Acetone : 267 ± 18 g/l
Ethyl acetate : 102 ± 5 g/l.

TOXICOLOGY (Technical material)

| | |
|---|---|
| Acute oral LD50 - rat | : > 5,000 mg/kg |
| Acute dermal LD50 - rabbit | : > 2,000 mg/kg |
| Eye irritation - rabbit | : slight irritant |
| Skin irritation - rabbit | : non-irritant |
| Teratogenicity | : non-teratogenic |
| Mutagenicity (Ames and micronucleus) | : negative. |

MODE OF ACTION

Flupoxam is a mitotic inhibitor and acts most effectively in actively growing meristematic areas of the target plants. It is active against root and foliar meristematic tissue.

Visible symptoms following pre-emergence treatments are usually total lack of emergence of broad-leaved species. Sometimes cotyledons emerge but fail to develop due to absence of root growth or damage to cotyledonary tissue. Post-emergence applications are characterised by a slow cessation of growth followed by death of the growing point and a slow necrosis of the young plant. There is little movement of flupoxam in the plant and its activity is mainly through contact with meristematic tissue. It is most effective when applied to actively growing plants.

FORMULATION

The first formulation containing 100 g AI/l as an emulsifiable concentrate was used for early characterisation in the greenhouse and in the field. Subsequently, MON-18529 containing 125 g AI/l and MON-18543 containing 450 g AI/l were formulated as suspension concentrates.

RESULTS - EFFICACY

Greenhouse and growth-room characterisation was conducted by Monsanto in Belgium and by the AFRC, IACR, Long Ashton Research Station.

At the suggested dose rate of 150 g AI/ha, flupoxam has given over 90% control of the following weed species when applied in field trials either pre-emergence or early post-emergence when weeds have a maximum of 2-4 true leaves.

TABLE 1. Susceptible weed species to pre-emergence and early post-emergence application of flupoxam at 150 g AI/ha.

| |
|---|
| *Aphanes arvensis* |
| *Capsella bursa-pastoris* |
| *Chenopodium album* |
| *Fumaria officinalis* |
| *Galeopsis tetrahit* |
| *Galium aparine* |
| *Lamium amplexicaule* * |
| *Lamium purpureum* * |
| *Matricaria matricarioides* * |
| *Papaver rhoeas* |
| *Polygonum lapathifolium* |
| *Raphanus raphanistrum* |
| *Sinapis arvensis* |
| *Stellaria media* |
| *Tripleurospermum inodorum* * |
| *Veronica hederifolia* |
| *Veronica persica* |
| *Viola arvensis* |
| *Volunteer oilseed rape.* |

* Denotes weeds controlled more consistently pre- than post-emergence.

Weed species that are either moderately resistant or resistant to 150 g AI/ha flupoxam applied either pre- or post-emergence include : -

| | |
|---|---|
| *Buglossoides arvensis* | Annual grass species |
| *Chrysanthemum segetum* | Perennial broad-leaved species |
| *Geranium molle* | Perennial grass species |
| *Myosotis arvensis.* | |

Time of application and dose rate of flupoxam affects weed control efficacy. Figure 1 shows the control of *Galium aparine* at three doses and two times of application in field trials. Treatments were applied to the growing weeds at the cotyledon to two true leaf stage in good growing conditions.

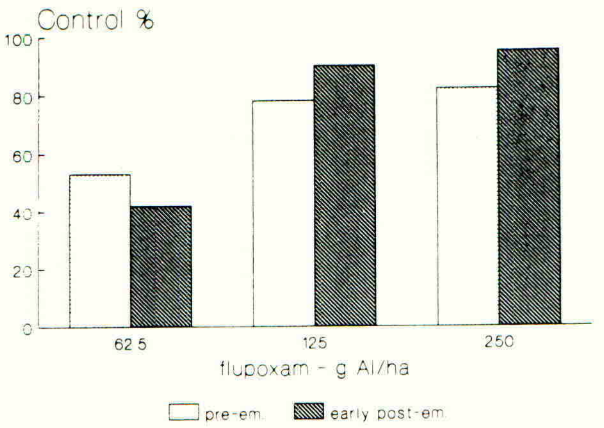



FIGURE 1. Effects of time of application and dose rate of flupoxam on the control of *Galium aparine*

The broad-leaved weed control activity of flupoxam applied early post-emergence to winter barley was evaluated against the use of mecoprop-P applied in the spring before the first node was detectable in the barley crop. Figure 2 illustrates the control of five species of broad-leaved weeds which were assessed in late May by making plant counts. The data show that the effect of flupoxam combined with the suppressive effect of a competitive crop can produce greater control of weeds than a conventional spring herbicide applied at the recommended growth stage of the crop and weeds.

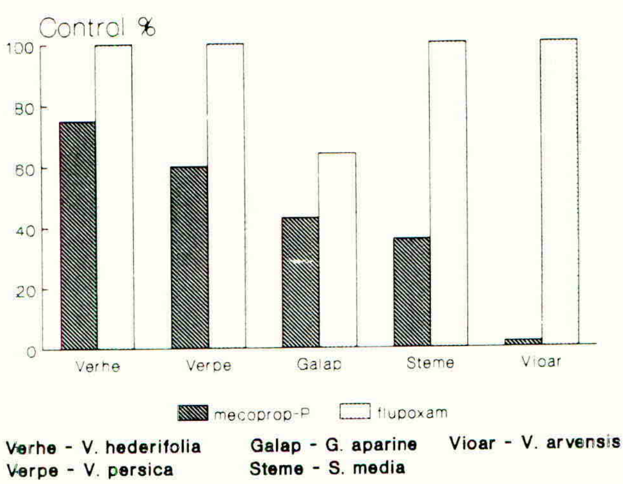



FIGURE 2. The control in the field of 5 broad-leaved species by 150 g AI/ha flupoxam applied in the autumn early post-emergence and by 1.2 kg AI/ha mecoprop-P applied in the spring.

RESULTS - SELECTIVITY

Greenhouse experiments showed that wheat, barley, oat, maize and *Lolium perenne* had less than 15% vigour reduction when treated either pre-emergence or post-emergence with 450 g AI/ha flupoxam equivalent to triple the expected usage rate.

Evaluation of field trials showed absence of injury in wheat and barley when assessed up to two months after pre-emergence and early post-emergence treatments following application of up to 300 g AI/ha. In cultivar selectivity trials in France and the UK, a total of 38 winter wheat, 25 winter barley and 5 durum wheat cultivars showed no differential susceptibility to 150 g AI/ha and 300 g AI/ha of flupoxam with all formulations.

Results showed increase in crop yield from doses up to 250 g AI/ha. The yield increases for wheat with flupoxam at a dose rate of 150 g AI/ha were 12% for pre-emergence application and 10% for early post-emergence applications when mixed with isoproturon which was added for the control of grass weed species.

RESULTS - FATE IN THE SOIL

The soil half-life of flupoxam measured under the BBA standard protocol was 69 days in natural soil. Degradation of flupoxam is by micro-organisms and is faster in soils that are more biologically active and at the time of year when soil temperature and moisture allow for most activity of the micro-organisms.

Flupoxam does not move laterally in the soil. Its vertical movement is limited to 2.0-5.0 cm, depending on soil type and rainfall level. To measure vertical movement by bio-assay, soil cores of 25.0 cm depth and 3.0 cm diameter were taken at varying intervals up to 18 months after treating with flupoxam at doses up to 500 g AI/ha. Seeds of oilseed rape and *Stellaria media* and fruit of sugar beet were sown along the soil cores that were arranged horizontally after removal from the sampling tubes. *S. media* and sugar beet were the most sensitive species but they established and grew normally at soil depths below 3.0-5.0 cm.

These results were also tested in the field in Belgium, France and the UK by land being sprayed in autumn 1989 with flupoxam at 150 g AI/ha and 300 g AI/ha. Fields were either ploughed or tine cultivated in the spring and autumn of each of the two subsequent years with oilseed rape sown for bio-assay in the autumn and sugar beet in the spring. Oilseed rape sown 300 days after spraying was not affected significantly at either dose following both cultural practices. Sugar beet sown between 17 and 18 months after spraying was not affected significantly where land had been ploughed either in the spring or the autumn prior to drilling. The timing and type of cultivations adopted followed local farming practice.

CONCLUSIONS

Flupoxam controls a broad spectrum of annual broad-leaved weeds which occur commonly in crops of winter cereals in Nothern Europe. The anticipated dose rate for weed control of 150 g AI/ha is safe to wheat and barley when applied pre-emergence and post-emergence in the autumn and winter. The level of weed control is related to soil type and is generally better on lighter soils than on heavier or organic soils. Adequate moisture both in the soil and after spraying is important to produce the best activity.

Flupoxam provides farmers with the technology to control broad-leaved weeds of winter cereals either pre-emergence or early post-emergence. This avoids the risk of these weeds competing with the cereal crop during late autumn, winter and spring. When used in combination with a herbicide suitable for control of grass weeds, flupoxam provides farmers with broad spectrum long lasting weed control in European winter cereal crops.

# S-53482 - A NEW N-PHENYL PHTHALIMIDE HERBICIDE

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## ABSTRACT

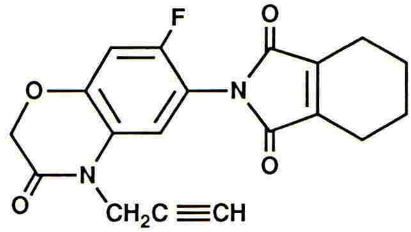
S-53482 is a new herbicide being developed for the control of annual broad-leaved weeds and some grasses in soybeans or other crops. Pre-emergence applications of S-53482 controlled many important weeds at 50-100 g AI/ha. Soybeans and peanuts showed excellent tolerance at these dose rates, and maize, wheat, barley and rice also showed some tolerance. In post-emergence applications, S- 53482 showed high herbicidal activity against a wide variety of broad-leaved weeds, which suggested several non-selective uses pre-planting or for weed control in orchards. Chemical properties, weed spectrum, and mode of action of S-53482 are discussed.

## INTRODUCTION

S-53482, discovered by the Sumitomo Chemical Co., is a new N-phenyl phthalimide herbicide for use in pre- and post-emergence applications. It controls a wide variety of important annual broad-leaved weeds and some annual grasses at rates between 50 g to 100 g AI/ha. Soybeans and peanuts show excellent selectivity in pre-emergence applications. This paper describes the properties of S-53482 and summarises the results of greenhouse tests and field trials in the U.S.A. and in Japan.

## PHYSICAL AND CHEMICAL PROPERTIES

Structure :




| | |
|---|---|
| Chemical name (IUPAC) | : N-(7-fluoro-3,4-dihydro-3-oxo-4-prop-2-ynyl-2H-1,4-benzoxazin-6-yl)cyclohex-1-ene-1,2-dicarboximide |
| Common name | : None given yet |
| Code numbers | : S-53482, V-53482 |
| Molecular formula | : $C_{19}H_{15}FN_2O_4$ |
| Molecular weight | : 354.33 |
| Appearance | : Yellowish brown powder, Odourless |
| Melting point | : 201.83 203.83°C |
| Vapour pressure | : $2.41 \times 10^{-6}$ mmHg at 22°C |
| Density | : 1.5132 g/ml at 20°C |
| Solubility | : Soluble in some common organic solvents<br>Water solubility : 1.79 mg/l at 25°C |

TOXICOLOGY OF TECHNICAL MATERIAL

| | | | | |
|---|---|---|---|---|
| Acute oral LD50 | rat | male | : | > 5000 mg/kg |
| | rat | female | : | > 5000 mg/kg |
| Acute dermal LD50 | rat | male | : | > 2000 mg/kg |
| | rat | female | : | > 2000 mg/kg |
| Acute inhalation LC50 (4 h exposure) | rat | male | : | > 3930 mg/m³ |
| | rat | female | : | > 3930 mg/m³ |
| Fish toxicity LC50 (96 h) | bluegill | | : | > 21 mg/l |
| | rainbow trout | | : | 2.3 mg/l |
| Skin sensitisation | guinea pig | | : | not a sensitiser |
| Eye irritation | rabbit | | : | minimal irritant |
| Skin irritation | rabbit | | : | non irritant |
| Mutagenicity | (Ames test) | | : | negative |
| | (*in vivo* chromosomal aberration) | | : | negative |
| | (*in vivo*/*vitro* UDS) | | : | negative |

MODE OF ACTION

S-53482 is a fast-acting herbicide. When applied to foliage, it is readily absorbed into susceptible plant tissue and causes characteristic herbicidal symptoms, such as wilting, desiccation, bleaching, browning or necrosis. Most symptoms are often observed within a day under bright sunlight. Soil surface-applied S-53482 is absorbed into germinating seedlings of susceptible weeds, resulting in shoot necrosis and inhibition of root growth.

S-53482 expresses its herbicidal activity in the presence of light and oxygen. S-53482 induces massive accumulation of porphyrins and enhances peroxidation of membrane lipids, which leads to irreversible damage of the membrane function and structure of susceptible plants. The photosensitising action of accumulated porphyrins is likely to be one of the causes of membrane lipid peroxidation (Sato *et al*., 1987 a,b; Sato 1990).

S-53482 inhibits protoporphyrin IX synthesis from 5-aminolevulinic acid in enzymes solubilised from greening radish plastids and inhibits protoporphyrinogen oxidase from greening corn etioplast. These results strongly suggest that the site of action of S-53482 is protoporphyrinogen oxidase as proposed for diphenylether herbicides (Matringe *et al*., 1989 a, b).

MATERIALS AND METHODS

Greenhouse Tests

Seeds of the test species were planted in pots filled with a loamy sand soil. S-53482 was formulated as an experimental 50% wettable powder (WP) and applied to soil surface or test plants in greenhouse tests conducted in Japan. Pre- and post-emergence applications were carried out 0-2 days and 20-30 days after seeding, respectively. At the time of post-emergence application, crops and weeds were at the 1-4 leaf growth stage. Visual ratings for herbicidal activity and crop safety were made 20-30 days after treatment using a scale 0 (no effect) - 10 (complete kill). These tests were repeated 10-20 times.

Field Trials

Field testing was carried out using a 50% water dispersible granule

(WDG) formulation of S-53482. All applications were made using propane or carbon dioxide pressurised small hand-held plot sprayers with flat fan 'Tee jet' nozzles at a pressure of 200-300 kPa in a spray volume of 100-400 l/ha. Appropriate commercially available products were used as reference herbicides. Crop phytotoxicity and herbicidal efficacy were visually assessed using a scale 0 (no effect) - 100 (complete kill).

RESULTS

Greenhouse Test

Pre-emergence

S-53482 at 32-125 g AI/ha showed excellent to good pre-emergence herbicidal efficacy against many annual broad-leaved weeds and some annual grasses (Table 1). Soybean and peanut showed excellent tolerance up to 250 g AI/ha, the maximum rate tested under greenhouse conditions. Maize, wheat, barley and rice also showed a moderate tolerance to S-53482 at these rates.

TABLE 1. Pre-emergence activity of S-53482 : phytotoxicity scores 20-30 DAT (mean values 10-20 greenhouse trials).

| Species | Phytotoxicity score* S-53482 | | | |
|---|---|---|---|---|
| (g AI/ha) | 32 | 63 | 125 | 250 |
| Cotton | 1.2 | 3.7 | 6.3 | 8.1 |
| Soybean | 0.0 | 0.1 | 0.2 | 1.3 |
| Peanut | 0.0 | 0.0 | 0.1 | 0.5 |
| Sugar beet | 8.5 | 9.5 | 9.8 | 10.0 |
| Rice | 1.0 | 1.6 | 3.0 | 4.0 |
| Maize | 0.3 | 1.5 | 2.3 | 4.2 |
| Wheat | 0.9 | 1.4 | 2.0 | 3.1 |
| Barley | 0.7 | 0.8 | 2.5 | 3.4 |
| Abutilon theophrasti | 7.1 | 9.2 | 9.9 | 10.0 |
| Cassia obtusifolia | 1.5 | 4.0 | 5.7 | 7.7 |
| Galium aparine | 4.5 | 6.9 | 8.5 | 9.8 |
| Ipomoea spp. | 3.7 | 7.4 | 9.3 | 9.6 |
| Polygonum lapathifolium | 8.8 | 9.4 | 9.8 | 10.0 |
| Sinapis arvensis | 8.6 | 9.9 | 10.0 | 10.0 |
| Solanum nigrum | 8.0 | 9.3 | 10.0 | 10.0 |
| Stellaria media | 8.9 | 9.5 | 9.9 | 10.0 |
| Veronica persica | 8.9 | 9.8 | 10.0 | 10.0 |
| Viola arvensis | 8.3 | 8.7 | 9.2 | 9.6 |
| Xanthium strumarium | 2.4 | 3.7 | 6.1 | 8.0 |
| Alopecurus myosuroides | 2.8 | 3.3 | 5.5 | 7.4 |
| Avena fatua | 3.1 | 3.9 | 5.0 | 6.6 |
| Bromus spp. | 1.2 | 1.9 | 3.3 | 4.6 |
| Echinochloa crus-galli | 3.2 | 5.3 | 7.2 | 8.2 |
| Poa annua | 3.0 | 4.2 | 5.5 | 7.6 |
| Setaria viridis | 7.3 | 8.6 | 9.3 | 9.7 |
| Sorghum halepense (seedling) | 4.6 | 5.1 | 7.5 | 8.3 |

*Score : 0 = no effect; 10 = complete kill
0-3 = acceptable crop phytotoxicity
7-10 = acceptable herbicidal activity

Post-emergence

S-53482 at 63-125 g AI/ha gave acceptable control of all annual broad-leaved weeds tested in greenhouse conditions (Table 2). S-53482 also controlled Setaria viridis at the higher rates, however efficacy against other grasses seemed to be insufficient. Maize, wheat and barley showed some tolerance at rates of 8-16 g AI/ha. Cotton is extremely sensitive to post-emergence application of S-53482 and desiccation of cotton leaves was almost completed within a day.

TABLE 2. Post-emergence activity of S-53482 : phytotoxicity scores 20-30 DAT (mean values 10 greenhouse trials).

| Species | Phytotoxicity score* S-53482 | | | | |
|---|---|---|---|---|---|
| (g AI/ha) | 8 | 16 | 32 | 63 | 125 |
| Cotton | 8.7 | 9.5 | 10.0 | 10.0 | 10.0 |
| Soybean | 6.3 | 7.0 | 7.9 | 8.4 | 8.8 |
| Sugar beet | 7.5 | 8.0 | 8.3 | 8.8 | 9.1 |
| Rice | 4.0 | 4.8 | 6.0 | 7.1 | 7.7 |
| Maize | 2.4 | 2.9 | 3.5 | 3.9 | 4.5 |
| Wheat | 1.5 | 2.8 | 3.0 | 3.5 | 4.2 |
| Barley | 2.6 | 2.6 | 3.8 | 4.0 | 5.4 |
| Abutilon theophrasti | 10.0 | 10.0 | 10.0 | 10.0 | 10.0 |
| Cassia obtusifolia | 6.7 | 8.2 | 9.6 | 9.9 | 10.0 |
| Galium aparine | 5.0 | 6.6 | 7.1 | 7.8 | 8.3 |
| Ipomoea spp. | 8.2 | 9.5 | 10.0 | 10.0 | 10.0 |
| Polygonum lapathifolium | 9.2 | 10.0 | 9.9 | 10.0 | 10.0 |
| Solanum nigrum | 10.0 | 9.9 | 10.0 | 10.0 | 10.0 |
| Stellaria media | 4.7 | 5.5 | 5.9 | 7.0 | 8.1 |
| Veronica persica | 7.1 | 8.4 | 8.9 | 9.4 | 9.8 |
| Viola arvensis | 8.8 | 8.8 | 9.6 | 9.8 | 10.0 |
| Xanthium strumarium | 8.8 | 8.9 | 10.0 | 10.0 | 10.0 |
| Alopecurus myosuroides | 1.1 | 1.8 | 2.3 | 3.6 | 3.7 |
| Avena fatua | 1.5 | 2.8 | 3.1 | 3.4 | 4.0 |
| Bromus spp. | 0.9 | 1.9 | 2.5 | 3.0 | 3.2 |
| Echinochloa crus-galli | 3.4 | 6.2 | 6.0 | 7.3 | 7.8 |
| Poa annua | 1.5 | 2.6 | 2.7 | 3.2 | 3.5 |
| Setaria viridis | 5.4 | 6.7 | 7.7 | 8.5 | 8.7 |
| Sorghum halepense (seedling) | 3.6 | 5.3 | 6.7 | 7.2 | 7.9 |

*Score : 0 = no effect; 10 = complete kill
0-3 = acceptable crop phytotoxicity
7-10 = acceptable herbicidal activity

## Pre-emergence Field Trials

Soybean

Field data (Table 3) demonstrates the excellent selectivity of S-53482 in soybeans. Pre-emergence applications of S-53482 at 50-100 g AI/ha controlled a wide spectrum of annual broad-leaved weeds including Abutilon theophrasti, Amaranthus spp., Ambrosia artemisiifolia, Chenopodium album, Desmodium tortuosum, Ipomoea spp., Sesbania exaltata and Sida spinosa.

S-53482 partially suppressed annual grasses. Among the grasses tested, Digitaria sanguinalis and Eleusine indica were the most sensitive to S-53482.

TABLE 3. Pre-emergence activity in soybeans of S-53482 : phytotoxicity scores mean values for numbers of assessments (field trials USA, 1987-1989).

| Species (assessment) | Phytotoxicity score* S-53482 | | | |
|---|---|---|---|---|
| (g AI/ha) | 50 | 63 | 75 | 100 |
| Soybeans ( 0 to 14 DAT) | 7 ( 23) | 6 ( 31) | 11 ( 20) | 13 ( 16) |
| (15 to 21 DAT) | 8 ( 23) | 5 ( 25) | 12 ( 20) | 13 ( 19) |
| (22 to 35 DAT) | 6 ( 41) | 3 ( 53) | 6 ( 36) | 10 ( 36) |
| ( > 35 DAT) | 3 ( 52) | 2 ( 78) | 5 ( 42) | 5 ( 42) |
| Weeds ( > 21 DAT) | | | | |
| Abutilon theophrasti | 76 (103) | 75 (113) | 84 ( 86) | 89 ( 82) |
| Amaranthus hybridus | 86 ( 47) | 87 ( 33) | 89 ( 32) | 91 ( 30) |
| Amaranthus palmeri | 86 ( 19) | 85 ( 24) | 90 ( 16) | 94 ( 14) |
| Amaranthus retroflexus | 82 ( 66) | 91 ( 69) | 94 ( 52) | 96 ( 53) |
| Ambrosia artemisiifolia | 78 ( 15) | 93 ( 9) | 89 ( 15) | 96 ( 10) |
| Capsella bursa-pastoris | 98 ( 15) | 100 ( 8) | 100 ( 10) | 99 ( 9) |
| Chenopodium album | 81 ( 49) | 93 ( 46) | 94 ( 44) | 94 ( 40) |
| Desmodium tortuosum | 95 ( 12) | 98 ( 9) | 99 ( 10) | 99 ( 10) |
| Ipomoea hederacea | 71 ( 35) | 58 ( 45) | 78 ( 33) | 84 ( 30) |
| Ipomoea hed. v. integriuscula | 67 ( 28) | 78 ( 33) | 74 ( 24) | 81 ( 25) |
| Ipomoea lacunosa | 70 ( 72) | 82 ( 59) | 81 ( 45) | 86 ( 45) |
| Portulaca oleracea | 96 ( 11) | 98 ( 15) | 99 ( 9) | 98 ( 10) |
| Sesbania exaltata | 73 ( 32) | 94 ( 27) | 81 ( 21) | 94 ( 20) |
| Sida spinosa | 87 ( 45) | 91 ( 31) | 92 ( 30) | 94 ( 34) |
| Xanthium strumarium | 44 ( 54) | 61 ( 47) | 59 ( 43) | 58 ( 34) |
| Brachiaria platyphylla | 31 ( 8) | 50 ( 17) | 59 ( 8) | 54 ( 8) |
| Digitaria sanguinalis | 79 ( 37) | 87 ( 30) | 82 ( 36) | 90 ( 24) |
| Echinochloa crus-galli | 70 ( 21) | 74 ( 52) | 76 ( 20) | 85 ( 18) |
| Eleusine indica | 84 ( 17) | 86 ( 14) | 85 ( 11) | 90 ( 11) |
| Panicum dichotomiflorum | 61 ( 7) | 72 ( 10) | 67 ( 7) | 76 ( 10) |
| Setaria faberi | 47 ( 79) | 51 ( 79) | 62 ( 73) | 73 ( 59) |
| Setaria viridis | 46 ( 17) | 46 ( 20) | 63 ( 15) | 67 ( 15) |
| Sorghum halepense (seedling) | 35 ( 23) | 34 ( 22) | 42 ( 17) | 53 ( 17) |

*Score : 0 = no effect ; 100 = complete kill
( ) : Number of individual visual ratings. Each trial received a maximum of 3 visual ratings. All weed-control ratings were made at least 21 DAT.

Maize

S-53482 at 50-100 g AI/ha pre-emergence showed a moderate selectivity between maize and annual broad-leaved weeds including Abutilon theophrasti, Amaranthus retroflexus, Chenopodium album, Polygonum persicaria and Solanum nigrum in Japanese field conditions(Table 4). S-53482 at these rates showed higher herbicidal activity against A. theophrasti than the reference herbicide, atrazine at 1800 g AI/ha.

Winter Wheat

S-53482 at 25-50 g AI/ha pre-emergence showed good selectivity between winter wheat and broad-leaved weeds including Matricaria inodora, Polygonum spp., Rumex crispus(seedling) and Sinapis arvensis(Table 5). S-53482 showed a broader weed control spectrum than that of isoproturon. Efficacy of S-53482 against grass weeds was insufficient.

TABLE 4. Pre-emergence herbicide activity in maize : phytotoxicity scores 40-60 DAT (field trials Japan, 1989-1990).

| Species | Phytotoxicity score* S-53482 (g AI/ha) 50 | 75 | 100 | atrazine 1800 |
|---|---|---|---|---|
| Maize | 4 (4) | 5 (4) | 8 (4) | 2 (3) |
| *Abutilon theophrasti* | 77 (4) | 90 (4) | 92 (4) | 70 (3) |
| *Amaranthus retroflexus* | 80 (3) | 99 (3) | 100 (3) | 95 (3) |
| *Chenopodium album* | 88 (2) | 90 (2) | 94 (2) | 100 (2) |
| *Datura stramonium* | 70 (2) | 85 (2) | 94 (2) | 90 (2) |
| *Ipomoea* spp. | 52 (2) | 71 (2) | 90 (2) | 81 (2) |
| *Polygonum persicaria* | 72 (3) | 83 (3) | 92 (3) | 100 (3) |
| *Solanum nigrum* | 97 (2) | 100 (2) | 100 (2) | 97 (2) |
| *Xanthium strumarium* | 19 (2) | 57 (2) | 52 (2) | 77 (2) |
| *Digitaria ciliaris* | 49 (2) | 43 (2) | 65 (2) | 70 (2) |
| *Echinochloa crus-galli* | 32 (2) | 40 (2) | 60 (2) | 82 (2) |
| *Setaria faberi* | 43 (3) | 47 (3) | 63 (3) | 49 (3) |

*Score : 0 = no effect; 100 = complete kill. ( ) : number of trials

TABLE 5. Pre-emergence herbicide activity in winter wheat : phytotoxicity scores 150-200 DAT (field trials Japan, 1988/89 - 1989/90).

| Species | Phytotoxicity score* S-53482 (g AI/ha) 25 | 50 | 100 | isoproturon 1500 |
|---|---|---|---|---|
| Wheat | 6 (4) | 8 (4) | 17 (3) | 0 (3) |
| *Galium spurium* | 27 (3) | 52 (4) | 71 (3) | 3 (3) |
| *Matricaria inodora* | 96 (2) | 100 (2) | 100 (2) | 100 (2) |
| *Polygonum convolvulus* | 67 (2) | 100 (2) | 100 (2) | 0 (2) |
| *Polygonum lapathifolium* | 72 (2) | 90 (2) | 100 (2) | 80 (2) |
| *Rumex crispus* (seedling) | 90 (2) | 95 (2) | 100 (2) | 93 (2) |
| *Sinapis arvensis* | 81 (3) | 96 (3) | 94 (3) | 41 (3) |
| *Stellaria media* | 69 (4) | 83 (4) | 88 (3) | 76 (3) |
| *Alopecurus myosuroides* | 10 (2) | 30 (2) | - | 81 (2) |
| *Bromus tectorum* | 0 (2) | 5 (2) | - | 40 (2) |

*Score : 0 = no effect; 100 = complete kill. ( ) : number of trials

## CONCLUSIONS

S-53482, a new highly active herbicide belonging to the N-phenyl phthalimide chemical family, controls a wide variety of annual broad-leaved weeds and some annual grasses by pre-emergence applications at rates of less 100 g AI/ha. Soybean and peanut show excellent tolerance and maize, wheat, barley and rice show moderate tolerance to S-53482.

Field trials in the United States showed that S-53482 applied pre-emergence at 50-100 g AI/ha controls many troublesome broad-leaved weeds including Abutilon theophrasti, Amaranthus spp., Ambrosia artemisiifolia, Chenopodium album, Ipomoea spp., Sesbania exaltata and Sida spinosa with excellent safety to soybeans.

S-53482 also controls many broad-leaved weed species with post-emergence applications at the same rates of 50-100 g AI/ha. Therefore S-53482 will be useful as a non-selective herbicide with residual activity before sowing tolerant crops such as soybeans or as a herbicide in orchards.

## ACKNOWLEDGEMENTS

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# F6285 - A NEW HERBICIDE FOR THE PRE-EMERGENCE SELECTIVE CONTROL OF BROAD-LEAVED AND GRASS WEEDS IN SOYBEANS

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## ABSTRACT

F6285, 2',4'-dichloro-5'-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)methanesulfonanilide is a new selective soybean herbicide. It is an inhibitor of protoporphyrinogen oxidase. Applied pre-emergence or by pre-plant incorporation, F6285 is absorbed by both the roots and shoots of developing plants. It is translocated primarily in the apoplasm with limited movement in the phloem. Field testing conducted over several years in the United States indicates that F6285 will control a large diversity of weeds. At an application rate of 0.43 kg AI/ha, it is most effective against annual broad-leaved weeds. F6285 is especially effective against *Ipomoea* spp., *Amaranthus retroflexus* , *Chenopodium album* , *Datura stramonium* and *Polygonum pensylvanicum* . Control or suppression of *Xanthium strumarium* varies with region of the United States. F6285 is also active on monocotyledonous species, controlling *Digitaria sanguinalis* and *Eleusine indica* and achieving excellent control of both *Cyperus esculentus* and *Cyperus rotundus*. F6285 will require a graminicide partner where heavy or broad spectrum grass infestations occur.

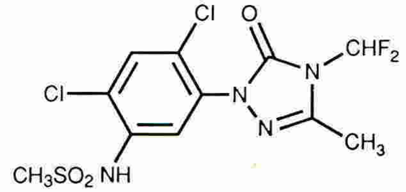
## INTRODUCTION

Although the soybean grower is generally well served by a variety of pre- and post-emergence herbicides which are applied either individually or in combination for broad spectrum weed control, a few species such as *Ipomoea* spp. and *Cyperus* spp., which are difficult to control, continue to be a problem.

F6285, a new pre-emergence/pre-plant incorporated herbicide discovered (Theodoridis, 1989) and in development at FMC Corporation, controls a broad diversity of weeds at field application rates and is particularly effective on *Ipomoea* and *Cyperus* species.

## PHYSICAL AND CHEMICAL PROPERTIES

Structure:




| | |
|---|---|
| Common name: | Not yet available |
| Chemical name: (IUPAC) | 2',4'-dichloro-5'-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)methane sulfonanilide |

| | |
|---|---|
| Chemical formula: | $C_{11}H_{10}Cl_2F_2N_4O_3S$ |
| Molecular weight: | 387.194 |
| Physical description: | tan solid |
| Melting point: | 75-78°C |
| Dissociation constant: | $pK_a$ = 6.56 |
| Vapor pressure: | 1 x $10^{-9}$ mm Hg at 25°C |
| Solubility: | In water at 25°C<br>1.1 x $10^2$ µg/g at pH 6.0<br>7.8 x $10^2$ " pH 7.0<br>1.6 x $10^3$ " pH 7.5<br>Soluble to some extent in acetone and other polar organic solvents |

TOXICOLOGY

Technical F6285:

| | |
|---|---|
| Standard Ames mutagenicity: | Negative |
| Acute oral $LD_{50}$(rat): | 2855 mg/kg |
| Acute dermal $LD_{50}$(rabbit): | >2000 mg/kg |
| Skin irritation (rabbit): | Non-irritating |
| Eye Irritation (rabbit): | Mildly irritating in unwashed eye, clears in 72 hours<br>Non-irritating in washed eye |
| Skin sensitisation (guinea pig): | Non-sensitising |

| | | |
|---|---|---|
| Aquatic - | 48 h $LC_{50}$ (daphnia): | 60.4 ppm |
| | 96 h $LC_{50}$ (bluegill sunfish): | 92.8 ppm |
| | 96 h $LC_{50}$ (rainbow trout): | >130 ppm |

F6285 Aqueous Flowable (38.6% w/w):

| | |
|---|---|
| Acute dermal LD50 (mouse): | >2000 mg/kg |
| Skin irritation (rabbit): | Slight irritation |
| Eye irritation (rabbit): | Non-irritating |

FATE IN SOIL AND THE ENVIRONMENT

Mobility

Soil mobility was determined using thick layer soil chromatography with a sandy loam soil. Presence of herbicide was detected by bioassay and growth inhibition of sensitive species.

F6285 is moderately mobile in the soil. Mobility is greater than that of alachlor or atrazine, but less than that of metribuzin.

Degradation

Based on laboratory tests, loss of F6285 in soil appears to be primarily by microbial degradation. F6285 is not susceptible to photodecomposition or volatility following application to soil.

Persistence

F6285 appears to be fairly persistent in the soil. It provides season-long weed control that is at least equal to commercial soybean standards. The field half-life of F6285 relative to standard herbicides has not yet been determined.

## MODE OF ACTION

Mechanism of Action

F6285 controls weeds through the process of membrane disruption. Laboratory experiments indicate F6285 acts by the same mechanism as the diphenyl ethers in which membrane disruption is initiated by the inhibition of protoporphyrinogen oxidase in the chlorophyll biosynthetic pathway and leads to the subsequent build-up of toxic intermediates (Matringe et al., 1989; Witkowski & Halling, 1989). Plants emerging from soils treated with F6285 turn necrotic and die shortly after exposure to light. Foliar contact with F6285 causes rapid desiccation and necrosis of exposed plant tissues.

Uptake / Movement

F6285 is taken up by both the roots and foliage of treated plants. Shoot-root soil placement studies indicate that F6285 is primarily absorbed by the roots of the plant following soil applications. Symplastic phloem movement is assumed to be limited, based on rapid foliar desiccation.

## FORMULATION

| | |
|---|---|
| Type: | Aqueous flowable |
| Active ingredient: | 0.48 kg/l<br>39.6% wt/wt |
| Properties: | |
| Flash point: | >212°F |
| Specific gravity: | 1.21 g/ml |

## EFFICACY

Field

F6285 has been tested in small plot field trials in the United States, Brazil, and Europe during three seasons. The results presented here summarise the United States and Brazil programs during the first two of these seasons.

F6285 requires soil moisture/rainfall for activation, a requirement similar to other soil applied herbicides. Under dry conditions, pre-plant incorporation improved activity when compared with pre-emergence surface application. Under adequate moisture conditions, both pre-emergence and pre-plant incorporated treatments produce similar effects on most species. Soil texture and organic matter content also influence efficacy. Greater activity is observed on light, sandy soils as opposed to medium to heavy soils with higher organic matter content. Soil pH does not seem to affect efficacy or crop injury.

Field testing conducted over two seasons in the United States indicates that F6285 will control a large diversity of weeds (≥85%) when applied either pre-emergence, or pre-plant incorporated. At an application rate of 0.43 kg AI/ha, F6285 herbicide is most effective against annual broad-leaved weeds. F6285 is especially effective against species such as the *Ipomoea* spp., *Amaranthus* spp., *Chenopodium album, Datura stramonium, Sida spinosa,* and *Polygonum pensylvanicum.* Control or suppression of *Xanthium strumarium* with F6285 has been variable, the reason is unclear and may be related to soil or moisture conditions.

<u>Dicotyledonous species controlled at an application rate of 0.43 kg AI/ha.(U.S.)</u>

*Amaranthus hybridus*
*Amaranthus retroflexus*
*Anoda cristata*
*Chenopodium album*
*Commelina communis*
*Datura stramonium*
*Hibiscus trionum*
*Ipomoea hederacea*
*Ipomoea lacunosa*
*Ipomoea turbinata*
*Jacquemontia tamnifolia*
*Mollugo verticillata*
*Physalis heterophylla*
*Polygonum pensylvanicum*
*Richardia scabra*
*Sida spinosa*
*Xanthium strumarium**

* Southern U.S. only.

Although F6285 herbicide is primarily effective against broad-leaved weeds, it is also active on monocotyledonous species, providing control of some of these species, and suppression of many others. F6285 provides excellent control of *Cyperus esculentus, Cyperus rotundus,* and control of a few seedling grasses including *Digitaria sanguinalis, Eleusine indica*, and *Panicum dichotomiflorum.*

<u>Monocotyledonous species controlled at an application rate of 0.43 kg AI/ha. (U.S.)</u>

*Cyperus esculentus*
*Cyperus rotundus*
*Digitaria sanguinalis*
*Eleusine indica*
*Panicum dichotomiflorum*

Limited field data also suggests that F6285 at 0.43 kg AI/ha will control a number of other important weeds including *Ipomea purpurea, Solanum nigrum, Amaranthus spinosus,* and *Brachiaria platyphylla*.

Several weed species are suppressed by applications of F6285, with overall levels of weed control between 70 and 84%. These species include *Xanthium strumarium*, which is controlled in the south, but suppressed in the north, and *Abutilon theophrasti*. Several important grasses are also suppressed by this herbicide, and include *Setaria viridis, Setaria faberii, Sorghum halepense, Echinochloa crus-galli, Digitaria ischaemum* and *Digitaria ciliaris.*

<u>Weeds suppressed by F6285 at 0.43 kg AI/ha. (U.S.)</u>

*Abutilon theophrasti*
*Xanthium strumarium**
*Digitaria ciliaris*
*Digitaria ischaemum*
*Echinochloa crus-galli*
*Setaria faberii*
*Setaria viridis*
*Sorghum halepense*

* Northern U.S. only

In Brazil, F6285 provides control of several important weeds prevalent in soybeans when applied pre-emergence. At an application rate of 0.50 kg AI/ha, F6285 controls *Bidens pilosa*, *Euphorbia* spp. and *Brachiaria plantaginea*. The weed *Acanthospermum australe* is suppressed.

Weeds controlled in the field at an application rate of 0.50 kg AI/ha (Brazil)

*Bidens pilosa*
*Brachiaria plantaginea*
*Commelina virginica*
*Euphorbia* species
*Ipomea* species
*Richardia braziliensis*
*Sida* species

CROP TOLERANCE AND ROTATIONAL SAFETY

Soybean is the major crop demonstrating sufficient tolerance toward F6285 herbicide, although some cultivars may be unacceptably sensitive. Good crop tolerance has also been observed pre-emergence on field peas, and on sugarcane when treated both pre- and post-emergence. Several other crops have demonstrated moderate or variable levels of tolerance that may be of value following further research.

| Application Method | Tolerant | Moderate Tolerance | Sensitive |
|---|---|---|---|
| Pre-emergence | Field pea<br>Soybean<br><br>Sugarcane | Corn (*Zea mays*)<br>Dry beans (*Phaseolus* spp.)<br>Peanut<br>Potato<br>Sunflower | Cotton<br>Clover<br><br>Barley<br>Oats<br>Rice<br>Sorghum<br>Wheat |
| Post-emergence | Sugarcane | Corn (*Zea mays*)<br>Peanut<br>Potato<br><br>Soybean<br>Tobacco | Cotton<br>Barley<br>Dry beans (*Phaseolus* spp.)<br>Pea<br>Rice<br>Sorghum<br>Sunflower<br>Wheat |

Selectivity of F6285 toward soybean has been examined in preliminary residue and metabolism tests, which indicate that tolerance is due to rapid, differential metabolism to biologically inactive metabolites. Results have indicated that over 95% of the parent F6285 herbicide is metabolized to the non-polar, hydroxymethyl analogue of F6285 within a twelve hour period. This hydroxymethyl metabolite is also rapidly converted, during this time period, to three polar metabolites, two of which are glycosidic derivatives, and one a non-glycoside metabolite.

Although F6285 has been found to be fairly persistent in soil, it is quite safe toward most crops that would be planted the following fall or spring on land treated with F6285 in the spring. No rotational crop restrictions are expected for cereal crops planted in the fall or the following spring after F6285 applications, nor are restrictions expected for crops such as corn, sorghum, peanut, and sunflower which are planted the following spring. Both cotton and sugar beet are sensitive toward F6285, and may require rotation restrictions following the use of this herbicide.

The following crops may be planted in the fall following spring applications of F6285:

| | |
|---|---|
| Rye | Barley |
| Wheat | Oats |

The following crops may be planted in the next spring following F6285 applications:

| | | |
|---|---|---|
| Alfalfa | Oats | Sorghum |
| Barley | Peanut | Sunflower |
| Clover | Rice | Wheat |
| Corn (*Zea mays*) | Rye | |

Rotation restrictions may be needed for:

| | |
|---|---|
| Cotton | Sugar beet |

## CONCLUSIONS

In summary, F6285 herbicide represents a new class of chemistry that effectively controls many important weeds in soybean culture. It is especially effective against such species as *Cyperus esculentus, Cyperus rotundus. Amaranthus* spp., *Chenopodium album, Datura stramonium* and most of the troublesome *Ipomoea* spp. that infest soybeans in the United States. F6285 will also provide excellent control of *Xanthium strumarium* in the southern United States, when applied either pre-emergence or pre-plant incorporated. In Brazil, F6285 is especially effective on *Bidens pilosa, Euphorbia* spp., and *Brachiaria plantaginea*. Although F6285 herbicide has activity against some grass species, under most conditions it will require a grass herbicide partner to provide broad spectrum grass control. This new herbicide should be an invaluable addition to our arsenal of soybean herbicides to aid the growers in the safe and effective control of their problem weeds.

## ACKNOWLEDGEMENTS

We wish to acknowledge the contributions of the individual FMC biologists in the United States who conducted the field trials, the contribution of Amaury Paulo in Brazil who coordinated the Brazilian testing, and all of our colleagues at FMC who contributed to the discovery and development of F6285.

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# HC-252 - A NEW SELECTIVE HERBICIDE FOR THE POST-EMERGENCE CONTROL OF DICOTYLEDONOUS WEEDS

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## ABSTRACT

HC-252, ethyl O-[2-chloro-5-(2-chloro-α,α,α-trifluoro-p-tolyloxy)benzoyl]-L-lactate, is a new herbicide of the diphenylether group. It can be used for weed control in winter wheat, winter barley, peas, soyabean and peanuts applied at cotyledon or 2-6 leaf stages of dicotyledonous weeds. At a dose rate of 10-20 g AI/ha it is effective against *Abutilon theophrasti*, *Amaranthus retroflexus* and *Galium aparine* at the 2-5 whorl stage. Up to 30 g AI/ha is needed for the control of *Bifora radians*, *Bilderdykia convolvulus*, *Chamomilla recutita*, *Consolida regalis*, *Datura stramonium*, *Lamium* spp., *Matricaria perforata*, *Myosotis* spp. and *Viola* spp. The formulated product contains 240 g/l of active ingredient HC-252.

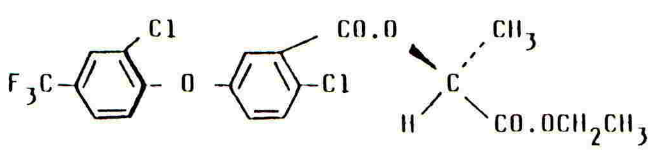
## INTRODUCTION

HC-252 is a new post-emergence herbicide developed by the Budapesti Vegyimüvek (Budapest Chemical Works) for use in winter wheat, winter barley, peas, soyabean and peanuts. It achieves excellent control of *Abutilon theophrasti*, *Amaranthus retroflexus*, *Bifora radians*, *Bilderdykia convolvulus*, *Chamomilla recutita*, *Consolida regalis*, *Datura stramonium*, *Galium aparine*, *Lamium* spp., *Matricaria perforata*, *Myosotis* spp., *Sinapis arvensis*, *Veronica* spp. and *Viola* spp. at very low dose rates.

This paper describes the main properties of HC-252 and presents some data from several trials conducted with HC-252 either alone or in combination with standard herbicides.

## CHEMICAL AND PHYSICAL PROPERTIES

Structure:



| | |
|---|---|
| Common name: | Not yet given |
| Chemical name: (IUPAC) | ethyl O-[2-chloro-5-(2-chloro-α,α,α-trifluoro-p-tolyoxly)benzoyl]-L-lactate |
| Empirical formula: | $C_{19}H_{15}Cl_2F_3O_5$ |
| Molecular weight: | 451 |
| Appearance: | oily viscous liquid, brown (technical product) |
| Solubility: | very soluble in acetone, methanol and xylene |

TOXICOLOGY

| | | |
|---|---|---|
| Acute oral LD50 | (male Wistar rat): | 843.01 mg/kg |
| | (female Wistar rat): | 963.17 mg/kg |
| | (male mouse): | 1269.44 mg/kg |
| | (female mouse): | 1113.02 mg/kg |
| Acute dermal LD50 | (male Wistar rat): | > 5000 mg/kg |
| | (female Wistar rat): | > 5000 mg/kg |
| | (male New Zealand white rabbit): | > 2000 mg/kg |
| | (female New Zealand white rabbit): | > 2000 mg/kg |
| Inhalation LC50 (exposure 14 days) | (male rat): | 9678.98 $mg/m^3$ |
| | (female rat): | 9343.57 $mg/m^3$ |
| Eye irritation | (New Zealand white rabbit): | moderate irritant |
| Skin irritation | (New Zealand white rabbit): | non irritant |

| | |
|---|---|
| Mutagenicity *Salmonella* micronucleus test: | none |
| *in vitro* (chromosome aberration test in CHO cells): | none |
| *in vitro* (mouse medulla micronucleus test): | none |

FORMULATION:

Formulated as product Buvirex EC which contains 240 g/l of active ingredient HC 252.

MODE OF ACTION

The active ingredient is a diphenylether herbicide. When applied post-emergence, it kills emerged weeds by contact action.

The diphenylether group of herbicides were formerly classified as photosynthesis inhibitors. According to new research (Matringe & Scalla, 1987), diphenylethers manifest their inhibiting effect in a roundabout way. Evidence has shown that tetrapyrrole and protoporphyrin accumulation is a characteristic of the phythotoxicity of diphenylether herbicides, including HC-252. Tetrapyrroles are known to be photosensitisers which cause photo-oxidation. This results in symptoms of leaf necrosis and plant death.

The herbicide is only contact acting; there is no soil residual effect and weeds emerged after treatment are not controlled. Thus there are no soil persistence problems for the following crops.

BIOLOGICAL EFFICACY AND USE OF HC-252

In Hungary, field trials have been conducted in several crops under different climatic conditions for three years. HC-252 has shown excellent efficacy on dicotyledonous weeds. The trials were executed with a 240 g/l EC formulation of HC-252.

Under field conditions HC-252 alone at a dose rate of 10-20 g AI/ha achieved good control of the following broad-leaved weed species:-

*Abutilon theophrasti*
*Amaranthus retroflexus*
*Galium aparine*

At a dose rate of 20-30 g AI/ha it was effective on:-

*Bifora radians*
*Bilderdykia convolvulus*
*Chamomilla recutita*
*Consolida regalis*
*Datura stramonium*
*Lamium* spp.
*Matricaria perforata*
*Myosotis* spp.
*Sinapis arvensis*
*Veronica* spp.
*Viola* spp.

Results of these field trials also proved HC-252 to be a most effective herbicide used either alone or in combination with other herbicides. It is selective in winter wheat, winter barley, peas, soyabeans and peanuts.

HC-252 alone, or in a tank-mixture should be applied at 1-4 leaf stage of winter wheat and winter barley, and to peas and soyabeans at plant height of 8-12 cm.

<u>HC-252 alone</u>

When used alone at a dose rate of 20-30 g AI/ha, HC-252 is effective if applied to broad-leaved weeds at 2-4 leaf stage and at 2-5 whorls for *Galium aparine*, and will achieve 95-100% control under these conditions.

<u>HC-252 in tank-mixtures for winter wheat and winter barley</u>

The following dose rates for tank-mixtures with standard herbicides are suggested on the basis of field trials in winter wheat and winter barley:-

a. For normal weed infestations:

| | | | |
|---|---|---|---|
| HC-252 | 10-20 g AI/ha + | dicamba | 100 g AI/ha |
| HC-252 | 10-20 g AI/ha + | bromoxynil | 225 g AI/ha |
| HC-252 | 10-20 g AI/ha + | dichlorprop | 1500 g AI/ha |

b. For heavy infestations of *Compositae*, for example of *Anthemis* spp., volunteer sunflower and *Cirsium arvense*:

| | | | |
|---|---|---|---|
| HC-252 | 10-20 g AI/ha + | clopyralid | 100 g AI/ha |

c. For heavy *Galium aparine* infestations, or where there is a wide range of growth stages of *G. aparine*:

HC-252 10-20 g AI/ha + fluroxypyr 100 g AI/ha

HC-252 in tank-mixtures for peas and soyabeans

The suggested dose rates for weed control in peas, soyabeans and peanuts for HC 252 in tank-mixture with imazethapyr are:-

HC-252 10-20 g AI/ha + imazethapyr 50-100 g AI/ha

## CONCLUSIONS

HC-252 is a new diphenylether herbicide which is effective for the control of several dicotyledonous weed species at rates of 10-30 g AI/ha either alone or in combination with standard herbicides. It can be used to combat problem weeds in winter wheat, winter barley, peas and soyabeans.

## REFERENCES

Matringe, M.; Scalla, R. (1987) Induction of tetrapyrrole accumulation by diphenylether-type herbicides. *Proceedings 1987 British Crop Protection Conference - Weeds*, 3 981-988.

# SAN 582 H - A NEW HERBICIDE FOR WEED CONTROL IN CORN AND SOYBEANS

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## ABSTRACT

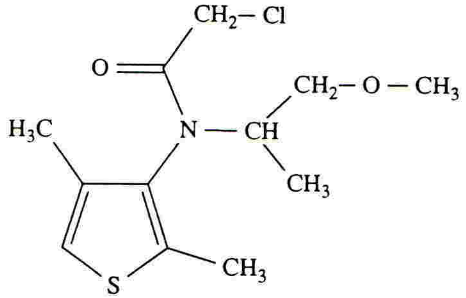
SAN 582 H (1RS,aRS)-2-chloro-N-(2,4-dimethyl-3-thienyl)-N-(2-methoxy-1-methylethyl) acetamide is a new herbicidal active ingredient useful in corn, soybeans and several other crops. SAN 582 H belongs to the chemical class of chloroacetamides that are mainly used as pre-emergence graminicides. SAN 582 H controls not only a wide variety of annual grasses including Echinochloa crus-galli, Digitaria spp., Eleusine indica, Panicum spp. and Setaria spp. but also several broad-leaved weeds including Amaranthus spp., Bidens pilosa, Capsella bursa-pastoris, Commelina spp., Matricaria chamomilla and Mollugo verticillata and suppresses Cyperus esculentus. To achieve an even broader spectrum of weed control SAN 582 H may be used with standard herbicides for broad-leaved weeds in mixes or sequential applications. Based on many years of extensive field testing SAN 582 H alone or in combination provides reliable weed control over a wide range of soil and climatic conditions at comparatively low rates. SAN 582 H appears to have a favourable profile both in toxicology and environmental behaviour.

## INTRODUCTION

SAN 582 H is a new pre-emergence herbicide discovered and developed by Sandoz Agro Ltd. that combines excellent control of annual grasses with good control or suppression of many broad-leaved weeds and Cyperus esculentus. SAN 582 H is selective in corn and soybeans as well as in several other crops. SAN 582 H offers reliable weed control under a wide variety of climates and soil types as demonstrated in more than one thousand field trials worldwide.

## CHEMICAL AND PHYSICAL PROPERTIES

Structure:



## 2—11

| | |
|---|---|
| Chemical name: (IUPAC) | (1RS,aRS)-2-chloro-N-(2,4-dimethyl-3-thienyl)-N-(2-methoxy-1-methylethyl) acetamide |
| Molecular formula: | $C_{12}H_{18}ClNO_2S$ |
| Molecular weight: | 275.8 |
| Appearance: | Yellowish-brown, viscous liquid |
| Density: | 1.187 g/cm$^3$ at 25°C |
| Boiling point: | 127°C at 26.7 Pa |
| Odour: | Odourless to weak "tar-like" |
| Vapour pressure: | 36.7 mPa at 25°C |
| Solubility: | at 25°C: water 1174 ± 12 mg/l<br>heptane 28.2 g/100 g<br>isooctane 22.0 g/100 g<br>ether >50 %<br>kerosene >50 %<br>ethanol >50 % |
| Thermostability: | Stable upon storage at 54°C for 4 weeks and at 70°C for 2 weeks. Estimated decomposition at 20°C within 2 years: <5 %. |

TOXICOLOGY

Acute Toxicity in Mammals

| | |
|---|---|
| Acute Oral Toxicity $LD_{50}$: (rats male + female, comb.) | 1570 mg/kg |
| Acute Dermal Toxicity $LD_{50}$: (rat + rabbit) | >2000 mg/kg |
| Inhalation Toxicity $LC_{50(4h)}$: (rat) | >4990 mg/m$^3$ |

Primary Irritation Tests

| | |
|---|---|
| Primary Skin Irritation: (rabbit) | not an irritant |
| Primary Eye Irritation: (rabbit) | mildly irritant |

Dermal Sensitisation: not a sensitiser

Mutagenicity Tests

| | |
|---|---|
| Ames Test (5 strains): | negative (with and without metabolic activation) |
| Chromosome Aberration Assay: | negative (with and without metabolic activation) |

Acute Fish Toxicity (96 hour static exposure)

(Bluegill Sunfish) $LC_{50}$: 6.4 mg/l

(Rainbow Trout) $LC_{50}$: 2.6 mg/l

Avian Toxicity

Acute Oral Toxicity $LD_{50}$: 1908 mg/kg
(Bobwhite quail)

Dietary Studies $LC_{50}$: >5620 ppm
(Bobwhite quail, Mallard duck)

Subchronic and Chronic Toxicity

All studies are completed and the overall toxicologial profile appears favourable.

In summary, SAN 582 H is of low mammalian toxicity and should not pose any undue risk to the user.

METABOLISM AND ENVIRONMENTAL SAFETY

SAN 582 H is extensively broken down by plants and animals to metabolites that do not accumulate in the food chain. It is rapidly degraded in the soil, probably through microbial action. Glutathione and homoglutathione conjugation seem to play a significant role in the detoxification in plants.

SAN 582 H has a half-life in soil of 6 - 43 days, depending on soil type and weather conditions. The short half-life as well as the soil behaviour of SAN 582 H show that there is no risk for subsequent rotational crops nor for groundwater contamination as demonstrated in extensive field studies and model assays.

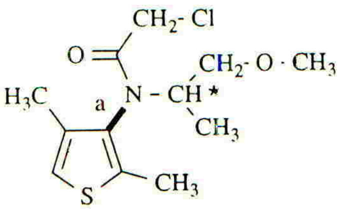
SITE OF UPTAKE, MODE OF ACTION

Although the class of chloroacetamides has been known for a number of years the exact mode of action is not yet fully understood. Alkylation of sulfhydryl groups of certain enzymes seems to be one of the major mechanisms.

In grasses SAN 582 H is almost exclusively active via uptake through the coleoptile, whereas practically no activity occurs after application to seeds, roots or developed leaves. This explains why pre-emergence to very early post-emergence applications are imperative for good weed control and deep incorporation or post-emergence treatments are to be excluded.

ROLE OF ISOMERS

Today more than ever, pesticide rate is an issue in the context of environmental impact. Many active ingredients of pesticides consist of two or more isomers which normally differ considerably in activity. If isolation of the desired active isomer is technically and economically feasible, then lower rates of the pesticide can be used. Aryloxy-phenoxy graminicides as well as certain chloroacetamide herbicides consist of mixtures of isomers.




SAN 582 H consists of 4 stereoisomers due to two chiral elements: the chiral axis (a) and the asymmetrically substituted carbon atom (*). Rotation around the axis requires little energy which results in racemisation. The herbicidal activity is exclusively defined by the absolute configuration at the chiral center (*) as demonstrated by test results of the two pairs of diastereomers (Table 1).

TABLE 1. Activity of diastereomeric mixtures, as rate/concentration at which 50% phytotoxicity occurs ($ED_{50}$)

| Species | Rate/ Conc. | $ED_{50}$ (1S,aRS) | (1R,aRS) |
|---|---|---|---|
| 7 grasses + 3 dicots (average) | g AI/ha | 40 | >800 |
| Lemna | nM | 40 | 4000 |
| Scenedesmus | µM | 1 | 100 |
| Onion root | ppb | 40 | 2700 |

However, used at rates needed for good weed control under field conditions, SAN 582 H containing a mixture of the four stereoisomers is about as active as the diastereomeric mixture (1S,aRS) at the same rate, indicating there is no apparent need to attempt elimination of the (1R,aRS) mixture in the final product.

## EFFICACY

SAN 582 H is predominantly effective in controlling annual grasses, but it also controls many broadleaved species found as weeds in corn and soybeans. Although SAN 582 H may provide adequate broad-spectrum weed control, in most instances SAN 582 H is likely to be used in mixture with complementary herbicides for one-pass weed control or to be applied pre-emergence and followed by a selective herbicide for broad-leaved weeds post-emergence.

SAN 582 H is selective in corn and soybeans as well as in several other crops. In more than 1000 field trials across the world it has demonstrated reliable weed control under varying soil types and climates.

SAN 582 H does not require incorporation or more than 10 - 15 mm of rainfall after application to become available for coleoptile absorption. However, since all pre-emergence herbicides are absorbed only via the soil solution shallow incorporation will result in improved weed control in circumstances where no rainfall is anticipated within 7-10 days after application.

Rates of SAN 582 H depend on soil type as well as on the partner herbicide and the desired level of weed control. SAN 582 H used alone normally requires 0.75 - 1.5 kg AI/ha while in mixtures or special situations rates will have to be adapted. Crop safety generally is very good for SAN 582 H at recommended rates. The level of weed control is shown in Tables 2 + 3.

TABLE 2. Control of monocotyledonous species.

| Species | Excellent | Fair to Good |
|---|---|---|
| Brachiaria platyphylla | | + |
| Bromus spp. | | + |
| Cenchrus echinatus | | + |
| Cyperus esculentus | | + |
| Digitaria horizontalis | + | |
| Digitaria sanguinalis | | + |
| Digitaria ischaemum | | + |
| Echinochloa crus-galli | + | |
| Eleusine indica | + | |
| Panicum fasciculatum | | + |
| Panicum capillare | + | |
| Panicum dichotomiflorum | + | |
| Panicum texanum | + | |
| Poa annua | + | |
| Setaria faberi | + | |
| Setaria italica | + | |
| Setaria lutescens | + | |
| Setaria verticillata | + | |
| Setaria viridis | + | |
| Sorghum halepense (seedling) | | + |

TABLE 3. Control of dicotyledonous species.

| Species | Excellent | Fair to Good |
|---|---|---|
| Amaranthus albus | + | |
| Amaranthus hybridus | + | |
| Amaranthus retroflexus | + | |
| Bidens pilosa | | + |
| Capsella bursa-pastoris | + | |
| Commelina spp. | | + |
| Desmodium tortuosum | | + |
| Galinsoga parviflora | | + |
| Lamium purpureum | + | |
| Matricaria chamomilla | + | |
| Mollugo verticillata | + | |
| Papaver rhoeas | + | |
| Portulaca oleracea | | + |
| Sida spinosa | | + |
| Solanum nigrum | | + |
| Stellaria media | | + |

CONCLUSIONS

SAN 582 H offers a new alternative for pre-emergence weed control in corn and soybeans.

SAN 582 H, at lower rates than several presently used herbicides, offers reliable weed control alone and in combination with other herbicides or weed control techniques.

The technical profile of SAN 582 H suggests that it does not present any undue toxicological or environmental hazard. SAN 582 H provides farmers with a solution for efficient weed control and the flexibility of combinations with other herbicides to meet local needs.