SESSION 3A

WEED CONTROL IN CEREALS

Chairman and Session Organiser	Dr J P Goodliffe *pbi Agrochemicals Ltd, Waltham Abbey, UK*
Papers	3A-1 to 3A-6

Florasulam: a new, low dose herbicide for broadleaf weed control in cereals

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ABSTRACT

Florasulam is a new triazolopyrimidine sulfonanilide herbicide providing post-emergence broadleaf weed control in cereals. It acts by inhibiting the enzyme, acetolactate synthase. It is taken up by both roots and shoots and translocated in the xylem and phloem. Florasulam is active on many dicotyledenous plants particularly *Galium aparine, Stellaria media, Polygonum convolvulus, Matricaria* spp. and various crucifers. Florasulam has no activity on grass weeds but may be tank-mixed with graminicides. Florasulam is highly selective in winter and spring cereals and may be applied at rates up to 7.5 g a.i./ha from BBCH 12 to BBCH 49. Selectivity is based on a more rapid metabolism in the crop compared to the target plant. Florasulam has a very short soil half life. Rotational crop safety has been established in a series of trials utilizing both field and laboratory testing.

INTRODUCTION

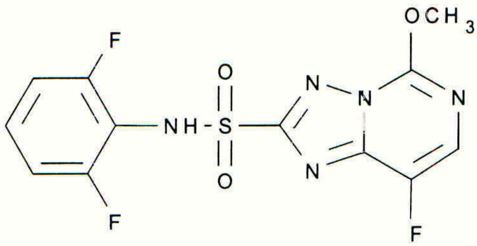
Florasulam, discovered by Dow AgroSciences, is a member of the triazolopyrimidine sulfonanilide group of herbicides. This chemistry is known to inhibit the enzyme, acetolactate synthase (ALS) in plants. This will be the fifth active ingredient of this class to be registered and launched globally by Dow AgroSciences; the others being metosulam, flumetsulam, cloransulam-methyl and diclosulam. Florasulam was first introduced in Ghent in 1998 (Lepiece *et al.*, 1998).

The objectives that led to the discovery of florasulam were firstly, to provide a single herbicide capable of controlling *Galium aparine* and other major economic weeds, secondly, to provide a herbicide which would control *G.aparine* early in the season and finally, to provide new herbicide technology with highly favorable environmental properties including very rapid degradation in the soil.

Florasulam will be introduced worldwide over the next several years. The first registration was granted in Israel in 1998. It was submitted for European Registration in January 1998 and received provisional registration in Belgium as rapporteur member state in January, 1999. Additional European registrations are expected for post-emergence applications in cereals throughout 1999 and 2000. These will be followed by cereal uses in Canada and turf applications in Japan.

CHEMICAL AND PHYSICAL PROPERTIES

Structure:



Chemical Group:	triazolopyrimidine sulfonanilide
Common name:	florasulam (proposed)
Dow AgroSciences Code:	DE-570
Empirical formula:	$C_{12}H_8F_3N_5O_3S$
Chemical Description (CA):	N-(2,6-difluorophenyl)-8-fluoro-5-methoxy[1,2,4]triazolo[1,5-c]pyrimidine-2-sulfonamide
Molecular weight:	359.3 g/mol
Melting point:	193.5 – 230.5 ^{O}C
Vapour pressure at 25 ^{O}C:	1 x 10^{-5} Pa
Aqueous solubility (pH 7.0):	6.36 g/l at 20 ^{O}C
Dissociation constant at 23 ^{O}C:	pKa 4.54
Partition coefficient (pH 7.0):	K_{OW}=0.060

TOXICOLOGY

Acute toxicity

Oral:	LD_{50} rat: >6000 mg/kg
Percutaneous:	LD_{50} rabbit: >2000 mg/kg
Skin irritation:	not classified
Eye irritation:	not classified
Genotoxicity:	negative
Ames test:	negative

Subchronic Toxicity

Rat 90 day feeding study:	NOEL 100 mg/kg body weight/day
Mouse 90 day feeding study:	NOEL 100 mg/kg body weight/day

Florasulam poses a very low risk for the user under normal agronomic conditions.

ECOTOXICOLOGY

Birds

Acute oral toxicity:	LD_{50} quail: 1046 mg/kg bw
Short term dietary toxicity:	LC_{50} quail: >5000 mg/kg diet
	LC_{50} mallard: >5000 mg/kg diet

Aquatic Organisms

Acute toxicity to fish:	96-hour LC_{50} rainbow trout: >96 mg/l
	96 hour LC_{50} bluegill: >98 mg/l
Acute toxicity to daphnia:	48 hour EC_{50} (immobilization): >292 mg/l
Acute toxicity to algae:	72 hr EC_{50} 8.94 μg/l

Non target organisms

Acute toxicity to bees:	48 hour contact oral LD_{50}: >100 μg /bee
Acute toxicity to earthworms:	14 day/LC_{50}: >1320 mg/kg

According to these findings, and taking into account the low application rate, florasulam presents a low risk for aquatic organisms, bees, and earthworms.

ENVIRONMENTAL FATE

Soil half life

Laboratory (DT_{50}):	<1-4.5 days
Field (DT_{50}):	2-18 days

Kd in selected soils

Marcham, UK sandy clay loam :	0.13 ml/g
Fuquay, USA loamy sand:	0.33 ml/g

Anaerobic aquatic degradation (DT_{50}):	13 days
Aerobic aquatic degradation (DT_{50}):	3 days

The primary degradation product of florasulam in soil is 5-hydroxy florasulam. Secondary degradates include N-(2,6-difluorophenyl)-5-aminosulphonyl-1H-1,2,4-triazole-3-carboxylic acid, 5-aminosulphonyl-1H-1,2,4-triazole-3-carboxylic acid and 1H-1,2,4-triazole-3-sulphonamide. All of the primary and secondary metabolites have been tested for activity on ALS and on sensitive indicator species. None of the primary or secondary metabolites have potential to injure plants even at excessive rates (Cleveland *et al.*, 1999).

An extensive lysimeter study conducted in the UK determined that under normal use patterns neither florasulam nor its degradates should leach to ground water at levels exceeding the EU trigger value.

FORMULATION

Florasulam is available in either dry or liquid formulations. The products submitted for registration are florasulam (50g a.i./l, suspension concentrate), trademark '*[1]Primus' or '[2]Boxer'; a mixture containing florasulam and flumetsulam (75 + 100 g a.i./l, suspension concentrate), trademark '[*3]Derby' and a mixture of florasulam and 2,4-D ethoxyethyl ester (6.25+425 g a.i./l, suspension emulsion) trademark, '[4]Mustang'.

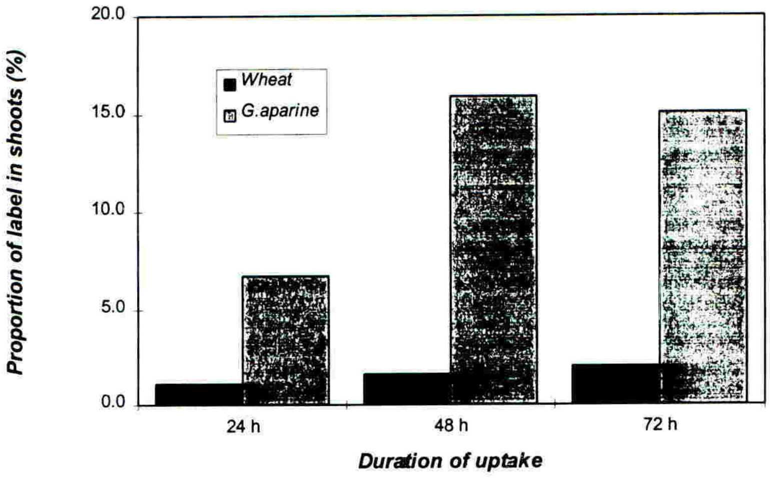
MODE OF ACTION AND SYMPTOMOLOGY

Members of this group of herbicides are known to inhibit the plant enzyme, acetolactate synthase (ALS). The activity of florasulam on ALS was measured using enzyme extracted from spring barley (*Hordeum vulgare* c.v. Hector). Enzyme activity was assayed colorimetrically using the method of Westerfield (1945), by measuring the amount of acetoin formed from acetolactate. The I_{50} of florasulam on ALS was 11.1 nM which indicates that it is a very potent inhibitor of ALS. The growth of sensitive species is retarded within a matter of hours of application although visible effects may not be observed for several days. Symptoms first appear in the meristematic region of the plants as chlorosis and necrosis.

TRANSLOCATION IN PLANTS

The uptake and translocation of florasulam in roots and shoots has been studied using both scintillation counting of plant parts and phosphor imaging of the whole plant. In root uptake studies, 24 hours after application, seven times more radiolabel was taken up by roots and translocated into shoots of *Galium aparine* than into wheat. By 48 hours there was 10 fold more acropetal translocation in *G. aparine* than in wheat (Figure1). The phosphor images, following 24, 48 and 72 hours root uptake, showed that radiolabel accumulated in the acropetal portions of each branch as well as in the axial meristem of *G. aparine* and this intensified with time.

Figure 1. Root uptake of florasulam and translocation into shoots.



In foliar uptake studies approximately four times as much radioactivity was translocated out of the treated leaf to foliage above and below the treated leaf in *G.aparine* as compared to wheat. In *G.aparine* accumulation of radiolabel in leaves above the treated leaf and in the primary meristems was observed. In wheat only slight accumulation occurred in foliage other than the treated leaf. Translocation patterns were consistent with mobility in both the xylem and the phloem (deBoer *et al.,* 1999).

SELECTIVITY

The major pathway for metabolism in wheat is hydroxylation at the 4-position of the aniline ring followed by conjugation to glucose. The selectivity mechanism is a more rapid metabolism in the crop compared to target species (Table 1).

Table 1. Relationship between herbicidal efficacy and metabolic half life.

Plant Species	GR_{50} (g a.i./ha)	$t_{1/2}$(hrs)
Wheat	>>32	3.5
Galeopsis tetrahit	<2.5	33.3
Polygonum lapathafolium	<2.6	33.2

Note - GR_{50} is the dose in g a.i./ha which inhibits plant growth by 50%

Across Europe between 1994 and 1997, 154 trials were conducted to evaluate the crop safety of florasulam to both major and minor cereal crop varieties. All the trials were conducted in weed free sites and in accordance with EPPO Guidelines. Trials were conducted in the UK, France and Greece.

Maximum label rates for florasulam in commercial practice will be either 5.0 or 7.5 g a.i./ha. Label rates were therefore tested in all crop safety trials, and in most trials double the proposed maximum label rates were tested to establish the margin for crop safety. A commercial standard was also evaluated at the appropriate label rate and often at twice the maximum label rate (Tables 2 and 3).

Table 2. Florasulam selectivity in winter wheat; visual crop injury assessed 7 to 14 DAT (0-100% scale) compared to that caused by a standard reference product (Mean of 53 trials).

Treatment	Rate g a.i./ha	No of trials showing injury	Mean %	Range %
florasulam	N	0	0	0
	2N	1	0.02	(0-1.5)
metsulfuron methyl	6	3	0.09	(0-2.5)
	12	5	0.20	(0-5.0)

N = 5 or 7.5 g a.i./ha 2N = 10 or 15 g a.i./ha

Table 3. Florasulam selectivity in winter wheat; effect of single and double florasulam and a standard reference product on yields as a % of untreated (Mean of 24 trials).

Treatment	Rate g a.i./ha	Mean	Range
florasulam	N	100.4	(94.6-108.8)
	2N	100.5	(94.3-112.6)
metsulfuron methyl	6	100.1	(94.9-102.7)
	12	99.6	(91.8-106.0)

N = 5 or 7.5 g a.i./ha 2N = 10 or 15 g a.i./ha

WEED CONTROL

Florasulam was first screened in the greenhouse and was very active on members of the Caryophyllaceae, Rubiaceae, Convolvulaceae, Malvaceae and Polygonaceae. Several important species were very sensitive to florasulam including *Stellaria media*, *Polygonum convolvulus, Amaranthus retroflexus* and *Abutilon theophrasti.* As a group, grass species were 30 times less sensitive to florasulam. The activity of florasulam applied at 5 g a.i./ha across a range of broadleaf weeds in the field is shown in Table 4.

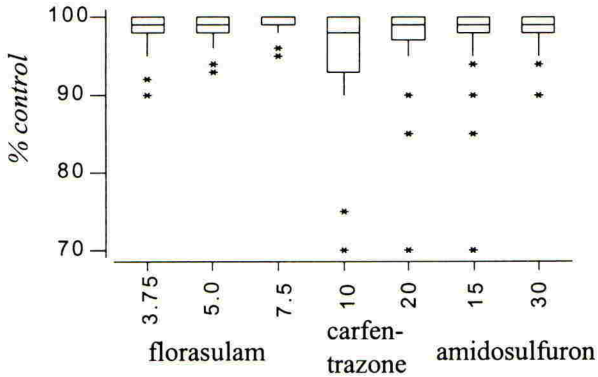
Table 4. Relative susceptibility of 36 broadleaf species to florasulam applied at 5 g a.i./ha in field trials.

Highly Susceptible	**Susceptible**	**Moderately Susceptible**
Brassica napus	*Anthemis arvensis*	*Arctotheca calendula*
Capsella bursa-pastoris	*Amaranthus retroflexus*	*Centaurea cyanus*
Descurania sophia	*Aphanes arvensis*	*Chrysanthemum segetum*
Diplotaxis eurucoides	*Bifora radians*	*Cirsium arvense*
Emex australis	*Centaurea depressa*	*Geranium rotundifolium*
Galium aparine	*Galeopsis tetrahit*	*Lithospermum arvense*
Helianthus annuus	*Kochia scoparia*	*Myosotis arvensis*
Lactuca serriola	*Papaver rhoeas*	*Polygonum aviculare*
Matricaria spp.	*Polygonum pensylvanicum*	*Urtica urens*
Polygonum convolvulus	*Scandix pecten veneris*	Volunteer beans
Raphanus raphanistrum	*Senecio vulgaris*	
Sinapis arvensis	*Sonchus oleraceus*	
Solanum nigrum	*Taraxacum officinalis*	
Stellaria media		

Across Northern Europe between 1994 and 1997, one hundred and seventy eight replicated trials were conducted evaluating the efficacy of florasulam against *G.aparine* at a range of rates. Full results from these trials are reported separately (Bailey *et al.*, 1999).

Trials were conducted to evaluate the activity at different timings and compared to standards. A rate of 5.0 g a.i./ha provided good control up to 20 cm but above this 7.5 g a.i./ha is needed. Data from trials sprayed in 1998 (Figure 2).

Figure 2. Florasulam timing trials across Europe 1998 (F,GER,UK,D)
Percent control 80-115 DAT (mean of 11 trials) *G.aparine* in 10 cm stage of growth.



florasulam 3.75, 5.0, 7.5; carfentrazone 10, 20; amidosulfuron 15, 30 g a.i./ha.

The box extends from the 25th to the 75th percentile and the median value falls in between. The whisker extends from the ends of the box to the largest and smallest observation excluding extreme values (any points which lie more than one and a half times the box length beyond either end of the box) which are shown as detached point from the whisker.

In addition to controlling *G. aparine* florasulam has shown excellent activity across a range of weeds including *S.media*. Florasulam applied at 2.5 g a.i./ha will provide control of *S.media* up to 6 true leaves and at 5.0 g a.i./ha provides complete control at later stages. Similar results are seen on *Matricaria* spp. (Table 5).

Table 5. A summary of *Matricaria* spp. control from florasulam applied before and after rosette stage. (Mean of 10 trials). Percent control 56 DAT.

Treatment	Rate	Before rosette		After rosette	
	g a.i./ha	Mean	Range	Mean	Range
florasulam	2.5	98.2	93-100	69.5	30-100
	5.0	98.5	93-100	75.6	40-100
metsulfuron methyl	3	98.8	96-100	69.5	0-100
	6	99.3	98-100	72.9	0-100

CROP ROTATION

Rotational crop safety from carryover is a very important attribute for any cereal herbicide. A series of experiments was established to assess degradation rate and determine the sensitivity of following crops. Florasulam was first evaluated in the laboratory to establish the route and degradation of both florasulam and metabolites. In the laboratory study florasulam was rapidly degraded with a soil half-life of less than 5 days. The effects of soil moisture, temperature, soil type and pH were studied in the laboratory and the field. No effect of pH or soil type were observed but both moisture and temperature had an effect. Preliminary testing evaluated the effects of florasulam on potential following crops and No Observable Effect Levels (NOEL) for the crops established. Having established the soil half life and NOEL on relevant crops for florasulam and its metabolites, a series of pan-European studies were conducted over a 4-year period to look for any effect on following crops. These trials were deliberately conducted across a broad range of soil types, climatic conditions, cultivation techniques and planting intervals. On the basis of these results, no rotational crop restrictions are expected.

SUMMARY

Florasulam is a new triazolopyrimidine sulfonanilide herbicide providing post-emergence broadleaf weed control in cereals. It is taken up by both roots and shoots and translocated in the xylem and phloem. Florasulam is active on many dicots particularly *G.aparine, S. media, P. convolvulus, Matricaria* spp. and various cruciferae. Florasulam is highly selective in winter and spring cereals and may be applied at rates up to 7.5 g a.i./ha from BBCH 12 to BBCH 49. Selectivity is achieved by more rapid metabolism in the crop compared to the target plant. Florasulam has a very short soil half life and rotational crop safety was established on the basis of both field and laboratory testing.

REFERENCES

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BAS 615 H - a new post-emergence herbicide for the control of *Galium aparine* and other important broadleaf weeds in cereals

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ABSTRACT

BAS 615 H is a new post-emergence herbicide based on cinidon-ethyl currently under development by BASF for the control of *Galium aparine* and other broadleaf weeds (e. g. *Galeopsis tetrahit, Veronica* spp., *Lamium* spp.) in cereals. As a protoporphyrinogen-IX-oxidase inhibitor, BAS 615 H is fast acting and provides flexible, temperature independent weed control at low use rates (application rate 50 g a.i./ha). These characteristics make BAS 615 H an ideal combination partner for foliar and soil residual herbicides enabling high levels of *Galium aparine* control. Particularly suitable tankmix partners are hormone based herbicides e. g. mecoprop-P resp. dichlorprop-P or combinations with bentazone. BAS 615 H shows good selectivity in all types and varieties of winter- and spring-cereals and can be applied from post-emergence autumn up to growth stage 32 of the cereal crop in spring. The active ingredient cinidon-ethyl has a favourable toxicological and ecotoxicological profile. First registrations have already been granted in Germany, UK and the Netherlands with registrations in all other major cereal growing countries in Europe anticipated.

INTRODUCTION

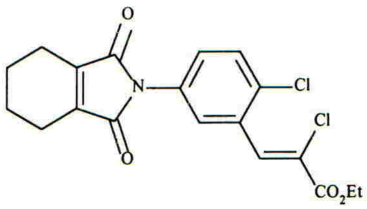
In intensive cereal growing *Galium aparine* is one of the most troublesome weeds causing, at low population densities, significant yield and quality reductions as well as technical problems at harvest.

BAS 615 H is a new post-emergence herbicide developed by BASF for broadleaf weed control in cereals. Based on cinidon-ethyl, a new active ingredient belonging to the chemical class of isoindoldiones, BAS 615 H is particularly active against *Galium aparine*, *Galeopsis tetrahit*, *Lamium* spp. and *Veronica* spp. (Nuyken *et al.* 1998). Due to its mode of action (protoporphyrinogen-IX-oxidase inhibition), BAS 615 H is characterized by fast and temperature independent herbicidal activity (Großmann *et al.* 1999). BAS 615 H is selective in all small grain cereals with the selectivity being due to a more rapid metabolism of cinidon-ethyl in cereal plants as compared to the weed species.

In this paper, results from European trials with BAS 615 H will be discussed, which demonstrate the efficacy and the flexibility of use for weed control in cereals.

CHARACTERISTICS OF BAS 615 H

Structural formula:



Code name:	BAS 615 H
Common name:	Cinidon-ethyl
Trade-names:	Lotus®, Vega®, Bingo®, Orbit®, Solar®
Mode of action:	Protoporphyrinogen-IX-oxidase inhibitor
Formulation:	200 g/l cinidon-ethyl, EC
Application rate:	0.15 - 0.25 l/ha
Weed spectrum:	Broadleaf weeds especially *Galium aparine, Galeopsis tetrahit, Lamium* spp., *Veronica* spp.
Crop spectrum:	All winter and spring small grain cereals
Biological properties:	Fast, weather independent, contact activity Quick rainfastness (1 - 2 hours after application)
Tox. and ecotox. properties:	Low acute, subacute and subchronic toxicity Favourable ecotoxicological profile Fast degradation in soil (DT50 $\leq$ 4 d) Minimal risk of leaching into ground water

MATERIAL AND METHODS

Field trials were conducted in Europe in small grain cereals (primarily in wheat and barley) over the last 7 years with the main objective to determine herbicidal efficacy, weed spectrum, application rate and timing, crop selectivity and compatibility with partner herbicides.

The trials were laid out as randomized small plot trials (plot size 10 - 20 m^2) with 3 - 4 replications. Applications were made with a knapsacksprayer or a spraytractor either post-emergence autumn or post-emergence spring using the 200 g/l cinidon-ethyl EC formulation at rates of 30 - 50 g a.i./ha alone or in tankmix with other herbicides in 200 - 400 l/ha water. The trials were visually evaluated for crop selectivity and weed control at 1, 3 and 6 weeks after application using the standard 0 - 100 % scale.

RESULTS AND DISCUSSION

Crop selectivity

BAS 615 H at rates of 0.25 l/ha (50 g a.i./ha) can be safely applied to all cereal types and varieties tested so far from post-emergence autumn to post-emergence spring (Table 1). No major variation in crop safety was observed between applications at different growth stages ranging from the 1 - 2 leaf stage up to the 2nd node stage of cereals. Following the application of BAS 615 H some transient necrotic spotting on the leaves of cereal plants was observed; however this was quickly grown out without causing any negative effect on the yield.

Table 1. Crop selectivity of BAS 615 H (50 g a.i./ha) in various cereal types (Summary of trials in Europe 1992 - 1998)

Crop	Evaluation date	n	% Phytotoxicity
Winter wheat	1 WAT	145	2.0
	3 WAT	147	0
Winter barley	1 WAT	63	2.0
	3 WAT	64	0
Winter rye	1 WAT	9	3.0
	3 WAT	11	0
Durum wheat	1 WAT	5	3.0
	3 WAT	4	1.0
Spring wheat	1 WAT	16	2.4
	3 WAT	15	0.2
Spring barley	1 WAT	24	4.0
	3 WAT	25	0

n = number of trials WAT = weeks after treatment

Also tankmixtures with other broadleaf herbicides (e. g. Duplosan KV®, Duplosan Super® and Basagran DP®), with grass herbicides (e. g. isoproturon), with growth regulators or with fungicides were tested without significantly affecting the crop tolerance of BAS 615 H.

Herbicidal activity

BAS 615 H is a highly active herbicide providing fast activity on the following weeds:

Table 2. Weed spectrum of BAS 615 H (50 g a.i./ha)

Good to very good control	Moderate control
Galium aparine	*Polygonum convolvulus*
Galeopsis tetrahit	*Senecio vulgaris*
Lamium spp.	*Sinapis arvensis*
Veronica spp.	
Partial control	**No control**
Papaver rhoeas	*Stellaria media*
Matricaria spp.	
Viola spp.	

As a contact type herbicide, cinidon-ethyl provides best activity on smaller weeds up to the 4 - 5 leaf stage. *Galium aparine* is well controlled with straight BAS 615 H up to 4 - 5 whorls.

In order to extend the weed spectrum and the timing flexibility on larger weeds reduced rates of mecoprop-P or bentazone + dichlorprop-P were tested as combination partners. These tankmix combinations showed excellent and reliable control of *Galium aparine* combined with broadspectrum activity on all important cereal weeds under very diversified application conditions (Table 3).

Besides *Galium aparine* BAS 615 H also improves the activity of the combination partners on other broadleaf weeds like *Galeopsis tetrahit, Lamium* spp. *and Veronica* spp. It increases the speed of activity and renders herbicidal activity less dependent on weather conditions.

Table 3. Herbicidal efficacy of tankmix combinations of BAS 615 H in winter cereals
(Summary of trials in W.Europe, 1992 - 1998)

	% Control (6 WAT) BAS 615 H (50 g a.i./ha)	
Weed species	+ mecoprop-P (900 g a.i./ha)	+ bentazone + dichlorprop-P (832 + 582 g a.i./ha)
Anthemis mixta	92 (9)	99 (1)
Capsella bursa-pastoris	99 (28)	99 (13)
Galeopsis tetrahit	99 (5)	99 (2)
Galium aparine	98 (456)	98 (96)
Lamium amplexicaule	95 (34)	98 (12)
Lamium purpureum	92 (42)	90 (12)
Matricaria spp.	78 (168)	96 (50)
Myosotis arvensis	61 (39)	79 (10)
Papaver rhoeas	87 (90)	90 (10)
Polygonum convolvulus	90 (44)	95 (11)
Stellaria media	95 (215)	94 (53)
Veronica hederifolia	93 (195)	93 (49)
Veronica persica	94 (68)	91 (12)
Viola arvensis	62 (121)	69 (50)

( ) = number of trials WAT = weeks after treatment
Postemergence application in spring from mid February up to GS 32 of the winter cereals

A key feature of BAS 615 H is its consistent and reliable *Galium* control irrespective of growth stage, timing and climatic conditions. In a series of trials conducted in Germany BAS 615 H applied at 50 g a.i./ha alone or in tankmix with mecoprop-P (900 g a.i./ha) in winter wheat and winter barley at various timings from post-emergence autumn up to growth stage 32 of the cereals in spring provided at all timings excellent control levels of *Galium aparine* equal or superior to the comparison products fluroxypyr and amidosulfuron (Table 4). Furthermore the speed of control was significantly faster than the comparison products.

Table 4. Timing flexibility of BAS 615 H for control of *Galium aparine* winter cereals (Germany 1997/98)

Treatment	% Control of *Galium aparine* (6 weeks after treatment)							
Applic. Timing	Mid Oct.	Mid. Nov.	Mid/End Feb.	Beg. March	End March	Beg. April	Mid/End April	Beg. May
GS of Galium aparine	10 - 14	10 - 14	12 - 31	14 - 33	21 - 37	25 - 51	25 - 59	49 - 59
BAS 615 H (50 g a.i./ha)	94	98	99	96	96	96	100	89
BAS 615 H + mecoprop-P (50 + 900 g a.i./ha)	97	100	100	97	99	99	100	100
Fluroxypyr (180 g a.i./ha)	86	97	96	93	98	97	99	100
Amidosulfuron (30 g a.i./ha)	87	93	92	86	97	94	97	90
Number of trials	3	2	5	6	6	5	4	4

Besides its use as a combination partner for foliar applied herbicides BAS 615 H can also be used to enhance the reliability and the timing flexibility of soil residual herbicides against *Galium aparine* when applied post-emergence in autumn (Table 5).

Table 5. Improvement of post-emergence autumn weed control by BAS 615 H (Summary of trials in France, 1997/98)

Variable	Isoproturon + Diflufenican (1000 + 125 g a.i./ha) + BAS 615 H			
	0	30	50	g a.i./ha
% Crop injury (1-2 weeks after treatment)	0 (15)	1 (11)	0 (15)	
% Weed control (≥6 weeks after treatment)				
Galium aparine	81 (15)	96 (11)	98 (15)	
Matricaria chamomilla	95 (3)	100 (1)	100 (3)	
Papaver rhoeas	89 (7)	100 (5)	99 (7)	
Stellaria media	100 (4)	100 (2)	100 (4)	
Veronica hederifolia	94 (10)	98 (8)	99 (10)	
Viola spp.	97 (3)	99 (3)	100 (3)	

( ) = number of trials

Rates of 30 - 50 g a.i./ha BAS 615 H applied in tankmix with isoproturon plus diflufenican improved the control levels of *Galium aparine*, *Papaver rhoeas* and *Veronica* spp. particularly when applied to larger weeds in late autumn.

CONCLUSION

BAS 615 H applied at low rates of 30 - 50 g a.i./ha provides very good control of important broadleaf weeds especially *Galium aparine* and *Galeopsis tetrahit* as well as *Veronica* and *Lamium* species. Due to its fast and temperature independent activity it can be used from post-emergence autumn up to growth stage 32 of the cereal crops in spring. These characteristics make BAS 615 H an ideal combination partner for other herbicides to assure reliable *Galium aparine* control.

ACKNOWLEDGEMENTS

The authors would like to thank all the BASF colleagues who contributed to the development of BAS 615 H.

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Timing-related yield increases in winter wheat after applications of MON37500 herbicide to control Barren Brome (*Bromus sterilis*)

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ABSTRACT

Twenty small plot replicated trials were sprayed in Northern Europe between 1996 and 1999, in order to assess the impact on the control of Barren Brome (*Bromus sterilis*) and yields of winter wheat. The herbicide used was MON37500, a sulfonylurea herbicide which checks the growth of Barren Brome, reducing weed competition with the crop. Applications of herbicide were made in the Spring, from late tillering to stem extension of the crop.

Results from this series of trials indicate that removal of competition from Barren Brome is most advantageous when herbicide application is made early in the Spring. Weed control at harvest, measured by biomass reduction, was not significantly better from early or late sprays, but yield increases were more often greater from earlier applications. Untreated yields and the yield increase associated with herbicide treatment were both negatively correlated with weed panicle numbers at harvest.

INTRODUCTION

MON37500 (sulfosulfuron) is a sulfonylurea herbicide for control of grass and broadleaf weeds in cereals. It is available commercially in a number of countries in Europe, under the trade name 'Monitor'.

In Northern Europe, the compound is applied in the Spring. The mode of action of MON37500 is almost certainly inhibition of acetolactase synthesis. Meristematic growth stops immediately after application and affected plants appear dark green and stunted. This can be described as a 'freezing' effect. This is usually followed by a reddening of the stem base, and a very slowly developing necrosis resulting in plant death. Some species, particularly Barren Brome (*Bromus sterilis*), may be stunted and discoloured, but complete plant death may not occur. Weeds are able to restart growth in certain cases some time after application. Winter wheat phytotoxicity is insignificant (Parrish *et al.*, 1995).

Barren Brome is a highly competitive weed (Cousens *et al.*, 1985). Optimum use of herbicides is dependent on the desired outcome, *i.e.* a balance of visual weed control, yield increase and other agronomic benefits, such as seed return, lodging and combinability.

In cases where complete kill does not occur, the timing of the 'freezing' of weed growth can be important. While their growth is inhibited, the weeds can be regarded as not competing with the crop for nutrients and water, and in this case an increase in crop yield could be expected. The use of data from a series of applications, timed by the growth stage of the crop, can be used to discover when the weed is most competitive, and from this an optimum application timing for the herbicide can be determined.

The objective of these analyses was to examine: a. the relationship between weed control and MON37500 application timing, independent of weed population; b. the relationship between yield increases relative to untreated yield and MON37500 application timing, independent of weed population; c. the relationship between yield increases relative to untreated yield and weed population, independent of MON37500 application timing.

MATERIALS AND METHODS

Twenty small plot, replicated trials were sprayed in commercial crops of Winter Wheat in 1996 - 1999; 17 in England, 2 in Denmark and 1 in Germany. These trials were all sprayed between late tillering and stem extension of the crop, the timings most likely to be appropriate for application of MON37500 in the United Kingdom. All trials had 4 replicates, except one which had three. Ten trials had two application timings, the remainder having one. Plots were 3m wide, and from 8-12m long. MON37500, formulated as 80% WG, was sprayed with an ethoxylated tallow amine surfactant at 0.2% v/v, in 200l/ha of water. The rate applied was 20g a.i./ha. Application was by backpack sprayers, and harvesting was done using small-plot combine harvesters. All trials were conducted to Good Experimental Practice standards. In two trials the dominant weed was Great Brome (*Bromus diandrus*), a species closely related to *B. sterilis*, and controlled to similar levels by MON37500.

Weed control was assessed, in June and at harvest, as % reduction in weed biovolume, relative to the untreated. This visual technique takes into account the stunting effect of MON37500 on Barren Brome, where assessment solely by means of panicle counts or reduction in plant number would give a misleading impression of removal of weed competition. The BBCH growth stage key was used to define crop growth stage (CGS) at application.

RESULTS

Weed control

Figures 1, 2 and 3 illustrate results from all 20 trials, analysed according to CGS at application.

Table 1. Weed population (*Bromus sterilis*) at harvest in untreated plots, all trials.

CGS at application	<29	29	30	31	32	33	>33
Number of yield results	1	2	5	13	6	2	1
Mean weed population in untreated, panicles/m^2 at harvest	393	361	385	393	283	495	700
(Range, panicles/m^2)	393	145-577	61-900	9-920	125-680	70-920	700

Mean levels of weed infestation are similar across all application timings (Table 1). Any effects seen should therefore be independent of variations in weed population.

Mean levels of weed control resulting from applications of MON37500 at various crop growth stages are shown in Figures 1 (June assessment) and 2 (pre-harvest assessment). No trend in weed control is apparent from the June assessments. Although a positive trend of weed control levels against CGS at application is apparent at the final assessments (Figure 2), when performing linear regressions the p_ value of this linear regression is slightly above the critical value of 0.05, and therefore does not show statistical significance.

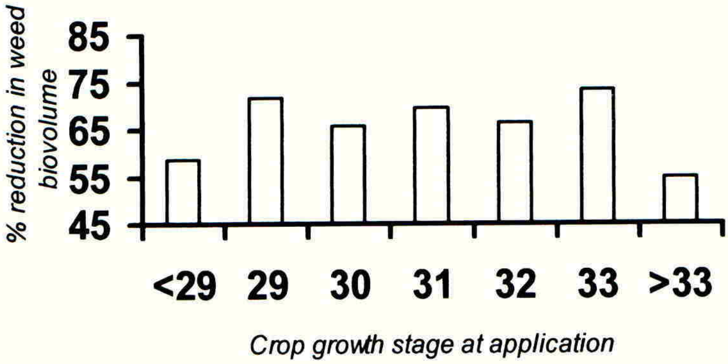


Figure 1. Levels of weed control assessed in June, related to application timing of MON37500

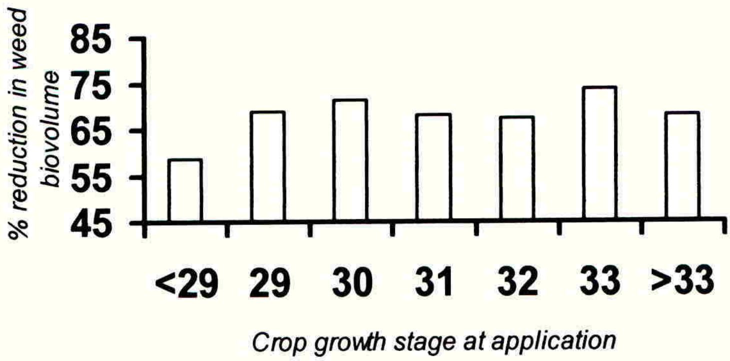


Figure 2. Final levels of weed control, related to application timing of MON37500

Yield

Yields from treated and untreated plots are shown in Figure 3. Multiple regression analysis has been used to investigate the relationship between the timing of application of MON37500 and the magnitude of the associated yield increase compared to the untreated plots. If the <29 class is considered as numerically equal to 28, and the >33 class as equal to 34, the following equation can be derived:

t/ha@15% moisture = 6.24 + 0.74.Untreated yield - 0.11.BBCH where BBCH is the growth stage of the crop at application. This equation has an R^2 value of 0.722 and p_values of 0.0136 for the intercept, <0.001 for the untreated yield and 0.0487 for the CGS (BBCH) at application value. The increase in treated yield, relative to the untreated yield, is significantly reduced with later application timings.

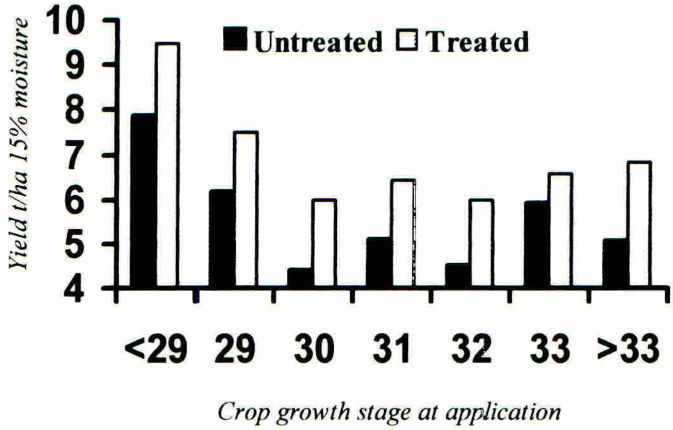


Figure 3. The effect of application timing of MON37500 on yield increases in winter wheat.

Brome infestation level

Brome infestation levels are analysed with regard to the final assessment made, that of panicles/m^2. The range is 9 panicles/m^2 to 950 panicles/m^2. The use of the final infestation level appears to give a consistent indication of the success of weed competition throughout the growing season, where reliance on infestation at application may be misleading. For example, in one UK trial 19 plants/m^2 with a great many tillers produced 577 panicles/m^2; in another, in a very vigourous crop, 61 plants/m^2 only produced 43 panicles/m^2. It is not possible from this data set to develop a threshold population level at which spraying would be recommended. This analysis of yield increases also ignores other agronomic benefits from application of MON37500, such as reduction in weed seed return, and reductions in lodging caused by weed infestation.

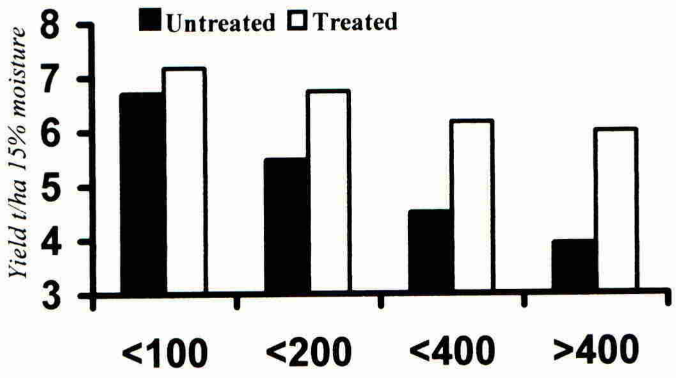
For this analysis, the data has been divided into 4 groups, with numerical rankings allocated for each infestation class as follows:

1 <100
2 <200
3 <400
4 >400 panicles/m^2.

The effect of Brome infestation on untreated yield was defined as follows:

$$\text{Untreated yield (at infestation class I)} = 7.56 - 0.92.(I)$$

where I is the number for the Brome infestation class. R^2 value is 0.257, with p_ values for the coefficients of <0.001 for the intercept and 0.0042 for the infestation class.



Bromus sterilis *panicles /m^2 at harvest*

Figure 4. The effect of final Brome infestation level, assessed as panicles/m^2 in the untreated plots, on yield in winter wheat.

The yield % increase versus Brome infestation was defined as:

$$\text{Yield \% increase (at infestation class I)} = 18.28.(I)$$

where I is the number for the Brome infestation class. R^2 value is 0.257, with a p_ value of <0.001for the infestation class. If the untreated yield is taken as covariate the following equation can be derived:

$$\text{Yield (at infestation class I)} = 1.05 + 0.86.\text{untreated yield} + 0.39.(I)$$

where I is the number for the Brome infestation class. R^2 value is 0.768, with p_ values for the coefficients of 0.0011 for the intercept, <0.001 for the untreated yield and 0.0249 for the infestation class. Yields from MON37500 treated and untreated plots decline as weed population in the untreated plots increases. The difference between treated and untreated yields increases with weed population.

DISCUSSION

Figures 1 and 2 illustrate that there is no clear relationship between application timing and levels of control of Barren Brome from application of MON37500. As indicated in the Introduction, in some cases relatively high levels of early weed control can be reduced as weeds grow away from the herbicide's effects. However, the final level of weed control is not the deciding factor in herbicide-related yield increases.

B. sterilis is competitive early in the life of the crop, with significant effects visible in March in the UK (Cousens *et al.*, 1985). Removal of competition around this time, *i.e.* around CGS30 in the UK, allows subsequent crop development to continue unhindered, and a greater yield potential to be realised; later removal of weed competition from *B. sterilis* would be expected to result in lower yield increases.

Figure 3 indicates that the timing of a reduction in weed competition from the use of MON37500 can significantly influence yield increases, independent of weed infestation level. The earlier that weed competition is removed or reduced, the greater is the subsequent yield increase, relative to the untreated yield. It appears that late-season regrowth of weeds treated early with MON37500 does not compete sufficiently to negate the yield benefits associated with early treatment.

Figure 4 illustrates the importance of Brome infestation levels on the yield potential of the crop. Higher infestation levels compete vigorously with the crop. Reduction in competition by the use of MON37500 can increase yield, but does not restore all of the lost potential. As might be expected, greater yield benefits are associated with treatment of higher populations.

Growth stages used in this analysis are those for the crop, as this is usually more uniform than the weed population, and is commonly used for the planning of integrated weed and disease control programmes. For high weed populations this approach is appropriate. For lower weed populations, the growth stage of the weed at application could be more important, as the weed biomass may only reach damaging levels later in crop development. At lower weed populations the objective of herbicide application may well be a reduction of seed return, in order to manage potential population increases. Further work is required to develop information on the interactions of weed growth stage, crop growth stage, crop density, low weed populations and herbicide application timing.

Work is continuing, in collaboration with Dr N C B Peters of IACR-Long Ashton UK, to develop information on the role of application timing and weed population under UK conditions.

ACKNOWLEDGEMENTS

The authors wish to gratefully acknowledge the efforts of our colleagues in Monsanto and other co-operating organisations who conducted or supervised the trials covered in this study. They would also like to thank Dr N C B Peters, for his patience in answering many questions on the biology of Brome grasses.

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BAY MKH 6561: a new herbicide for grass and broadleaf weed control in cereals

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ABSTRACT

Methyl 2-({[(4-methyl-5-oxo-3-propoxy-4,5-dihydro-1H-1,2,4-triazol-1-yl) carbonyl]amino}sulfonyl)benzoate sodium salt (IUPAC), a sulfonylaminocarbonyl triazolinone herbicide, has been field tested on wheat throughout the United States since 1993. This compound inhibits acetolactate synthase (ALS), and has selectivity on spring, winter, and durum varieties. The spectrum of control includes several species of monocot and dicot weeds at the application rates of 30 to 45 grams/hectare.

Bromus control is the primary target since existing herbicides have limited timing, selectivity, and use patterns which reduce usefulness. BAY MKH 6561 applied post-emergence between the 1- to 2-leaf stage and shoot elongation has provided economic control of the following *Bromus* species: *B. tectorum, B. secalinus, B. mollis, B. rigidus,* and *B. japonicus*. Additional grasses controlled or economically reduced included *Avena fatua, Phalaris minor* and *P. paradoxa*, and *Apera spica-venti*. Interesting side effects, and sometimes control, was also noted on *Aegilops tauschii* for which there is no selective control outside genetically altered wheat cultivars.

Broadleaf control was obtained primarily on the mustard family, including species in the genera *Sisymbrium, Brassica, Descurainia, Chorispora, Camelina, Capsella,* and *Thlaspi*. BAY MKH 6561 will offer an adequate weed spectrum for economical control, however considerations of resistance management, difficult and diverse weed pressure, and extended growing seasons will sometimes necessitate the use of sequential herbicides or mix partners. BAY MKH 6561 has been tested with a wide spectrum of sequential and mix partner herbicides with no negative effects on the expected additive activity.

INTRODUCTION

In the United States, wheat is grown on 30 million hectares from the Mexican to the Canadian borders, and from the Atlantic coast to the Pacific Coast. Within this area there are extremely diverse growing conditions, and a wide spectrum of weed problems. Most of the annual weed problems currently have a number of options for control, but certain grass species are the exception.

Of the grass species for which commercial control is least acceptable, members of the genus *Bromus* occupy the greatest area. The two most important species are *Bromus tectorum* and *B. secalinus*. These species together infest wheat mostly west of the Mississippi river, including the largest wheat producing states of Oklahoma, Kansas, Colorado, Montana, and Washington. *B. japonicus* is increasing in its range and importance; other species include *B. mollis* and *B. rigidus*. The emphasis for development of BAY MKH 6561 has been in evaluating efficacy and determining proper use patterns for *B. tectorum* and *B. secalinus* which together comprise approximately 90% of the problematic *Bromus* infested acres.

BAY MKH 6561 has shown excellent crop safety under a wide range of environment conditions. Post-emergence applications from the one leaf stage until the earliest onset of jointing (Zadoks 11 to 30) have been well tolerated by all wheat varieties tested, including spring, winter, and durum. Crop injury may occur if the crop has reached the jointing stage, or beyond. Post-emergence applications may cause injury if application is made to wheat grown under very moist conditions where uptake is maximized. These symptoms may result in temporarily reduced growth rates and slight yellowing. Both symptoms are quickly reversed.

BAY MKH 6561 offers activity both from foliar contact and from root uptake. Of the two, field observations indicate root uptake is the most important, and where both actions are maximized, complete weed kill is observed. Where ideal conditions occur for wheat growth and yield potential, ideal conditions for BAY MKH 6561 efficacy also occur. The mode of action for BAY MKH 6561 and technical and toxicological information is discussed by Feucht *et al.* (1999).

METHODS AND MATERIALS

BAY MKH 6561, a sulfonylaminocarbonyltriazolinone herbicide entered United States trials in spring and winter wheat in 1993. Emphasis was placed on winter wheat in the western half of the United States where *Bromus* infestations have limited production and control is problematic. Additional trials were conducted for problem weeds in other growing areas. Trials were conducted in growers' fields using natural infestations of the target weeds. Replicated small plot (approximately 25 m^2) trials were used for rate, timing, crop tolerance and spectrum determination using a 70% WG formulation. Efficacy against weeds was evaluated using % biomass reduction.

To determine suitability under commercial conditions, and to account for inherent variations in soil type and weed pressure, large plot strip trials were also conducted. These trials utilised the same formulation applied to plots of approximately 200 m^2 which were replicated twice.

Equipment used for the small replicated trials consisted of knapsack sprayers, while the strip trials were applied with tractor or all terrain vehicle mounted sprayers. In both cases spray volume reflected commercial practices common in the US and ranged from 110 to 220 litres/ha. Surfactant was used at 0.25% V/V spray solution.

RESULTS AND DISCUSSION

In the USA *B. secalinus*, cheatgrass, was the economically damaging *Bromus* species most susceptible to BAY MKH 6561. Rates of 30 to 45 g a.i./ha are adequate for commercially acceptable to nearly complete control. With this species a single application in either fall or spring was adequate, and sequential treatments were rarely needed. Table 1 summarizes the efficacy results obtained during tests conducted from 1993 through 1998.

In areas of Texas, Oklahoma, and Kansas the activity of BAY MKH 6561 in the spring will allow growers to salvage *B. secalinus* infested winter wheat used as pasture for cattle in the fall and winter, and allow harvest of grain that summer. After wheat tillering is complete in early Spring, but prior to jointing, cattle will be removed and fields treated to remove further *Bromus* competition, and then harvested for grain. We know of no other commercialised products or development compounds with sufficient postemergence activity to enable this type of cultural practice.

Table 1. The effect of application timing and dose of BAY MKH 6561 on the control of *Bromus secalinus*.

Application Timing	**Dose g a.i./ha**	**% Control**		**Number of Trials**
		Mean	**Range**	
Fall	30	92	68-100	42
Spring	30	92	80-100	38
Fall	45	95	83-100	29
Spring	45	94	86-100	27
Sequential	30/30	99	95-100	8

Bromus tectorum, downy brome, may also be commercially controlled using BAY MKH 6561. A rate of 45 g a.i./ha improves consistency of control, and fall applications between Zadoks 11 and 22 of the crop provide the most reliable efficacy. Table 2 shows the reduced efficacy and greater range of ratings resulting from spring applications compared to those made in the fall. In some instances, acceptable control has been achieved at 30 g a.i./ha, but results are less consistent and a sequential application in the spring may be required.

Spring applications for control of *B. tectorum* usually result in a high degree of weed biomass reduction, but some survival of stunted and malformed *Bromus* plants. The significant delay in development of *B. tectorum* and the reduction in weed size allows the wheat to grow with greatly reduced competition.

In heavily infested fields or where weather conditions reduce efficacy in the autumn it is beneficial to apply BAY MKH 6561 sequentially in the fall and again in the spring. Of several rate schemes investigated, the use of 30 g a.i./ha in the fall followed by a sequential application of 30 g a.i./ha in the spring has been the most promising. This allows the opportunity for acceptable control with the fall application, and if weather conditions or severe weed pressure result in survival of damaging weed numbers, a second application has consistently provided excellent control. Table 2 illustrates the relative control of the two rates and timings of BAY MKH 6561, and the results of the sequential applications.

Table 2. The effect of application timing and dose of BAY MKH 6561 on the control of *Bromus tectorum*.

Application Timing	Dose g a.i./ha	% Control		Number of Trials
		Mean	Range	
Fall	30	82	63-100	63
Spring	30	59	27-99	65
Fall	45	88	60-100	72
Spring	45	71	35-98	70
Sequential	30/30	94	78-100	40

B. catharticus, rescue grass, is the least susceptible *Bromus* species tested. A sequential application of BAY MKH 6561 will provide a reduction in competition, but not satisfactory control. Table 3 shows the lower efficacy obtained on this *Bromus* species.

Other *Bromus* species which occurred in research trials include *B. japonicus* and *B. rigidus*. *B. japonicus* is increasing in importance mainly near the eastern slope of the Rocky Mountainsand central Kansas. Testing to date reveals control levels similar to *B. secalinus*. BAY MKH 6561 has provided excellent control of this weed from both fall and spring applications. *B. rigidus* is most prevalent near the Pacific Coast growing areas in Oregon and California, and is intermediate in susceptibility between *B. secalinus* and *B. tectorum*.

Table 3. The effect of application timing and dosage of BAY MKH 6561 on the control of *Bromus catharticus*.

Application Timing	Dose g a.i./ha	% Control		Number of Trials
		Mean	Range	
Fall	30	45	20-88	5
Spring	30	46	40-78	7
Fall	45	65	25-91	4
Spring	45	62	30-86	9
Sequential	30/30	75	55-93	4

Other commercially important grass weeds controlled include *Avena fatua*, wild oats, and *Aegilops tauschii*, jointed goatgrass. For consistent control of A. fatua, a dose of 45 g a.i./ha will be recommended. The most effective timing depends on geography. In the southern United States, wild oats are a winter annual weed, and fall applications provide the most reliable control. In the northern United States, fall germinating wild oats usually fail to survive the winter freezing conditions, and spring applications to wild oats at Zadoks 11 to 22 resulted in 74% biomass reduction at the rate of 45 g a.i./ha (mean of 13 trials).

A. tauschii is closely related to wheat, and consequently offers a difficult challenge for selective control. Due to the invasive nature and rapidly increasing infestation of this weed, it is important to include it in research trials. Research with BAY MKH 6561 has demonstrated the potential for selective suppression. The best effect results when maximum rates are applied, followed by modest amounts of rainfall, then dry conditions. These conditions are not frequent; the results in Table 4 show wide ranges of control and generally low biomass reduction of this weed, with best results coming from the sequential applications. Research is ongoing to improve consistency and increase efficacy.

Table 4. The effect of application timing and dosage of BAY MKH 6561 on the control of *Aegilops tauschii*.

Application Timing	Dose g a.i./ha	% Control		Number of Trials
		Mean	Range	
Fall	30	44	0-88	5
Spring	30	27	0-60	13
Fall	45	31	0-93	11
Spring	45	36	0-70	16
Sequential	30/30	65	40-94	4

In United States wheat, the most frequently occurring broadleaf weeds are in the mustard family. The commonly occurring species of the genera *Sisymbrium, Brassica, Descurainia, Chorispora, Camelina, Capsella*, and *Thlaspi* are adequately controlled by BAY MKH 6561 when timed for control of the target grass weeds. For most *Bromus* infested acres, BAY MKH 6561 will provide adequate weed control alone due to the activity on important grass weeds plus the additional activity on broadleaf species.

It is recognized that non-susceptible weed species are often present and can increase to problematic numbers in the absence of effective control. To prevent this, to provide resistance management, and to offer longer lasting control in areas with extended growing seasons, BAY MKH 6561 has been used with several other herbicides, either as a mix partner or in a sequential program. Table 5 provides a summary of alternative herbicides used with BAY MKH 6561 and the impact on crop tolerance and efficacy.

Table 5. The effect of applying BAY MKH 6561 in mixtures and sequences with other herbicides on crop selectivity and weed control.

Companion Herbicide	Use**	Effect*			
		Crop Tolerance	Efficacy		
			Bromus	*Avena*	Broadleaves
bromoxynil	+ / µ	N	N	N	+
dicamba	+ / µ	- / N	N	N	+
2,4-D	+ / µ	N	N	N	+
chlorsulfuron + metsulfuronmethyl	+ / µ	N	N	N	+
triasulfuron	+ / µ	N	N	N	+
sulfosulfuron	µ	N	+	+	+
metribuzin	+	N	+	-	+
flufenacet + metribuzin	µ	N	+	N	+

*Effect: + = improved efficacy/reduced crop injury;
N = efficacy and selectivity unaffected; - = reduced efficacy/increased crop injury.
**Use: + = mixture; µ = sequential

SUMMARY

BAY MKH 6561 will offer wheat growers a wider application window for *Bromus* control than any currently registered product, control of other major species of grasses such as *Avena,* plus economic control of the widespread species in the Mustard family. Application timing can extend from fall postemergence through early spring, and shows a wide degree of flexibility with respect to mix partners, sequentials, and tolerated varieties.

ACKNOWLEDGEMENTS

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Fentrazamide – new opportunities for weed control in seeded rice

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ABSTRACT

Fentrazamide is a new rice herbicide for the control of grasses and annual sedges. Different formulations and combinations with sulfonylureas and other herbicides have been submitted for registration in Japan and Korea for use in transplanted rice, whilst a combination of fentrazamide and propanil (6.75 & 37.5 % WP m/m) has been developed for weed control in direct seeded rice in South East Asia.

A dose of 2 kg product/ha applied 7 days after sowing gave control in excess of 95 % of all important grasses, sedges and broadleaf weeds. Crop selectivity was good in all tested varieties; no differential varietal selectivity was observed. The combination was also applied safely between 4 and 10 days after sowing; efficacy, depending on species, was maintained by modifying dose. Product efficacy also proved to be reasonably independent of application water volume.

INTRODUCTION

Fentrazamide is a new rice herbicide for the control of grasses and annual sedges being developed within the Bayer organization (Yasui *et al.*, 1997). Different formulations and combinations with sulfonylureas and other herbicides have been submitted for registration in Japan and Korea for use in transplanted rice.

Efficient weed control is one of the most important objectives for practical rice cultivation, especially under growing conditions with direct sowing of rice. One of the most important regions for rice cultivation is South East Asia with high productivity also for export.

A combination of fentrazamide and propanil (6.75 & 37.5 % WP m/m) has been developed for the use in seeded rice in this area. This paper describes the properties of this mixture which will be sold under the tradename 'Lecspro'.

MATERIALS AND METHODS

The mixture of fentrazamide & propanil was tested as a wettable powder (WP), containing 6.75 % fentrazamide and 37.5 % propanil by weight. Standards used as comparison products varied between seasons and trial protocols, but the most commonly used were: pretilachlor, 300 g/l EC; benthiocarb & propanil, 400 & 200 g/l EC; piperophos & 2,4-D, 330 & 170 g/l EC.

The products were applied 4 - 10 days after sowing at postemergence of the crop (1 to 3 leaf stage); most of the weeds were already emerged at this time.

As common practice in seeded rice in South East Asia, the products were applied under drained conditions (wet or muddy soils). First irrigation started one or a few days after application. Trials were sited on experimental farms as well as on commercial fields. All trials were conducted under conditions with natural weed infestation.

Application were made by knapsack plot sprayers or commercial mist blowers. Treatments were arranged as a randomized complete block design with 3 replicates. Plot sizes were usually 6 - 10 m^2, but also 100 - 400 m^2 in some of the trials. Weed control was normally assessed by estimates of % reduction of weed cover compared to untreated plots for each individual species.

WEED CONTROL

Treatments with fentrazamide & propanil at 2 kg product/ha, applied at 7 days after sowing, gave very good control of important grasses (Table 1). Good efficacy was noted also against *Ischaemum* spp. in a few trials. All annual sedges were excellently controlled. Broadleaf weeds like *Monochoria vaginalis*, *Sphenoclea zeylanica* as well as *Ammania* or *Ludwigia* species are within the spectrum of the mixture.

Table 1. Efficacy of fentrazamide & propanil against weeds in seeded rice (135 & 750 g a.i./ha, applied at 7 days after sowing)
- Field trials Philippines / Thailand 1996 to 1999 -

		No. of trials	Control (%)
Grasses	*Echinochloa crus-galli*	90	95.1
	Echinochloa colonum	3	96.7
	Leptochloa chinensis	51	93.3
Sedges	*Cyperus difformis*	58	99.5
	Cyperus iria	21	97.5
	Fimbristylis miliacea	53	99.4
Broadleaf weeds	*Monochoria vaginalis*	28	96.3
	Sphenoclea zeylanica	62	93.9

Fentrazamide is a cell growth inhibitor; its efficacy is somewhat reduced especially at later application, when weeds have developed 2 or more leaves. Propanil as a leaf acting compound is able to compensate this weakness in an excellent way. Yields are also influenced positively (Table 2).

Table 2. Efficacy of fentrazamide & propanil in comparison to single products
- Field trials, Thailand, 1997 -

		fentrazamide & propanil			fentrazamide	propanil
Dose (g a.i./ha)		120 & 660	135 & 750	150 & 840	150	840
Application 7 days after sowing						
	No. of trials	% control				
Cyperus difformis	2	100	100	100	58	100
Cyperus iria	2	94	100	100	94	93
Echinochloa crus-galli	2	99.5	99.5	99.5	92	88
Fimbristylis miliacea	3	99.3	100	100	77	89
Ischaemum indicum	2	93	98	99.5	88	73
Sphenoclea zeylanica	1	100	100	100	90	97
Yield (% untreated)	3	161	164	155	153	150
Application 10 days after sowing						
	No. of trials	% control				
Cyperus difformis	2	89	95	100	51	94
Cyperus iria	2	52	78	80	42	44
Echinochloa crus-galli	2	95	100	100	72	71
Fimbristylis miliacea	3	91	96	100	67	100
Ischaemum indicum	2	80	88	88	65	59
Sphenoclea zeylanica	1	100	100	100	66	100
Yield (% untreated)	3	154	157	165	146	144

A consistent weed control can be reached not only after application at 7 days after sowing, but also under conditions, when farmers have missed this optimum time for the herbicide application. However with later applications, 10 to 20 % higher rates are required for sufficient efficacy, especially against grasses.

Application window at early postemergence

When the co-formulation is applied earlier (4 - 5 days after sowing), the dosage can be reduced to about 75 % of the core rate (Tables 3 and 4). The activity of fentrazamide is improved under these conditions, because the weeds have just emerged. The efficacy against sedges is still very good at the lowest dosages, whereas a satisfactory control of grasses will be obtained only at higher rates.

Table 3. Weed control (%) of fentrazamide & propanil at early postemergence
- Field trials Thailand 1997/98 -

		fentrazamide & propanil		
Dose (g a.i./ha)		100 & 550	120 & 660	135 & 750
Application		4 DAS*		7 DAS*
	No. of trials			
Cyperus difformis	3	97	97.7	99.3
Echinochloa crus-galli	4	89.5	90.8	93
Fimbristylis miliacea	3	96.3	97.3	99.3
Leptochloa chinensis	3	77.7	81	90

* DAS: days after sowing

Table 4. Efficacy of fentrazamide & propanil in comparison to piperophos & 2,4-D
- Official trials (n = 5), Philippines, 1998 -

	fentrazamide & propanil			piperophos & 2,4-D	handweeding
Dose (g a.i./ha)	100 & 550	120 & 660	135 & 750	375 & 250	
Application 4 days after sowing					
Grasses	92	94	95	91	99
Sedges	97	97	97	95	99
Broadleaf weeds	94	95	96	91	98
Application 6 days after sowing					
Grasses	90	92	92	91	98
Sedges	95	97	96	96	98
Broadleaf weeds	94	95	95	95	98
Application 8 days after sowing					
Grasses	86	90	91	86	98
Sedges	95	96	96	91	97
Broadleaf weeds	93	95	95	88	97

Grasses: *Echinochloa crus-galli, Leptochloa chinensis*
Sedges: *Cyperus spp., Fimbristylis littoralis*
Broadleaf weeds: *Ludwigia octovalvis, Monochoria vaginalis, Sphenoclea zeylanica*

Water volume

The efficacy of fentrazamide & propanil was not influenced by the volume of water, used for spray application (Table 5). This means that the mixture can be applied through spray equipment using lower (e.g. mist-blower) and higher water volume (knapsack sprayer).

Table 5. Influence of water volume on weed control (%)
- Field trials (n = 3), Philippines 1996 -

	fentrazamide & propanil		pretilachlor	
Dose (g a.i./ha)	135 & 750		450	
Application	7 DAS*		4 DAS*	
Spray volume (l/ha)	160 l	400 l	160 l	400 l
Cyperus difformis	99.3	99.7	98.7	99.7
Echinochloa crus-galli	97	99	94.3	98.3
Broadleaf weeds	98.3	96.7	93.5	96.8

* DAS: days after sowing
Broadleaf weeds: *Ludwigia octovalvis, Monochoria vaginalis, Sphenoclea zeylanica*

Application in large plots

Several trials with larger plots were conducted during the main growing seasons ("rainy season") and also during the dry seasons in 1996 and 1997. Efficacy data show, that fentrazamide & propanil achieved consistent weed control against all species (Table 6). Even at a high yield level in the untreated plots, a considerable increase of the grain yield was possible by using efficient herbicides.

Table 6. Efficacy of (% control) and yield response to (% of untreated) fentrazamide & propanil treatment of large plots
- Field trials, Thailand, 1996/97 -

Dose (g a.i./ha)		pretilachlor 450	fentrazamide & propanil 135 & 750		benthiocarb & propanil 1200 & 600	fentrazamide & propanil 120 & 660	fentrazamide & propanil 135 & 750
Application		4 DAS**	7 DAS**		7 DAS**	7 DAS**	7 DAS**
	n*			n*			
CYPDI	8	96	95	5	97	94	97
CYPIR	5	93	94	3	66	82	94
ECHCG	13	81	91	10	86	86	92
FIMMI	7	95	96	5	97	97	98
LEFCH	11	82	91	9	81	82	93
SPDZE	10	73	89	7	87	89	93
Yield (rel.)	11	125	129	6	129	127	131

* n: number of trials ** DAS: days after sowing
Yield in untreated: 41.6 dt/ha (11 trials); 42.8 dt/ha (6 trials)

CYPDI: *Cyperus difformis*; CYPIR: *Cyperus iria*; ECHCG: *Echinochloa crus-galli*; FIMMI: *Fimbristylis miliacea*; LEFCH: *Leptochloa chinensis*; SPDZE: *Sphenoclea zeylanica*

CROP TOLERANCE

In general the mixture of fentrazamide & propanil is tolerated well by the young rice seedlings. Some temporary growth inhibition was observed, when higher rates were applied. Leaf necrosis, which is common after using products containing propanil, disappeared rapidly a few days after application.

During 1996 to 1999 many varieties have been tested under various conditions, no specific response related to the variety could be observed.

CONCLUSIONS

Fentrazamide & propanil is a highly active herbicidal combination for postemergence weed control in seeded rice. Under practical growing conditions in South East Asia it can be used at 2 kg product/ha (135 & 750 g a.i./ha), applied at 7 days after sowing. For a wider application window the core rate can be reduced for earlier application and has to be slightly increased for sprays at 8 to 10 days after sowing. Beside barnyardgrass (*Echinochloa* spp.) and sprangletop (*Leptochloa chinensis*), all other important weeds like annual sedges (*Cyperus* spp., *Fimbristylis* spp.), *Monochoria vaginalis* and *Sphenochlea zeylanica* are well controlled.

ACKNOWLEDGEMENT

All data contained herein have been generated and compiled within the Bayer organization. The author would like to take this opportunity to express his gratitude to all concerned Bayer colleagues throughout the world and acknowledge their efforts in this project.

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Technical review of mesotrione, a new maize herbicide

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ABSTRACT

Mesotrione (ZA1296) is an experimental triketone herbicide being developed for the pre-emergence and post-emergence maize herbicide markets. Mesotrione provides control of all the major broadleaf weeds and selected grass weeds, while providing application flexibility, excellent crop tolerance, and residual weed control.

Mesotrione inhibits the enzyme p-hydroxyphenylpyruvate dioxygenase (HPPD). This enzyme is in the biochemical pathway that converts the amino acid tyrosine to plastoquinone.

Weeds are expected to have low potential for development of resistance to mesotrione because it is a competitive inhibitor and mutations for resistance are likely to carry a fitness penalty. Mutagenised *Arabidopsis* populations have also yielded no mutants resistant to mesotrione.

Mesotrione has a favourable environmental and toxicological profile. Mesotrione is not a carcinogen and there are no detectable residues at harvest. Mesotrione presents negligible risks to mammals, birds and aquatic species.

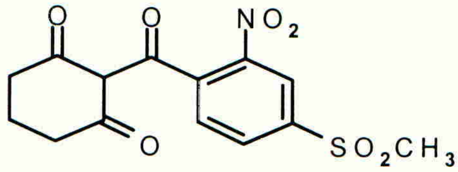
INTRODUCTION

Derivatives of naturally occurring syncarpic acids were synthesised following the observation that few plants grew under bottle brush plants (*Callistemon citrinus*), a member of the Mytraceae family, which were known to produce these compounds. These compounds were found to be active on a variety of weeds, but at rates too high to be commercially acceptable. Integration of these ideas with thinking from other projects lead to the discovery of the 2-benzoylcyclohexane-1,3-diones (triketones).

Mesotrione is a new triketone herbicide being developed by ZENECA for pre-emergence and post-emergence use in maize. Mesotrione provides control of most of the major broadleaf weeds and selected grass weeds in maize, while providing the grower with excellent flexibility in application timings. Mesotrione has an effective alternative mode of action for controlling acetolactate synthase- (ALS) and triazine- resistant weeds.

PHYSICAL AND CHEMICAL PROPERTIES

Structure:



Chemical name (IUPAC):	2-(4-mesyl-2-nitrobenzoyl)-3-hydroxycyclohex-2-enone
Common name:	Mesotrione (ISO proposed)
Code name:	ZA1296
CAS reg. no:	104206-82-8
Chemical formula:	$C_{14}H_{13}O_7NS$
Physical state:	Opaque solid.
Molecular weight:	339.32 g/mol
Melting point:	165 °C
Dissociation constant:	3.12 (pKa @ 20 °C)
Vapour pressure:	4.27×10^{-8} mm Hg (20 °C)
Water solubility:	2.2 g/l @ pH 4.8 (20 °C)
	15 g/l @ pH 6.9 (20 °C)
	22 g/l @ pH 9 (20 °C)

TOXICOLOGY AND ECOTOXICOLOGY

Acute tests

Acute oral LD_{50} (male/female rat):		>5,000 mg/kg
Acute dermal LD_{50} (male/female rat):		>2,000 mg/kg
Acute inhalation LC_{50}:		>5 mg/l
Avian organisms		
LD_{50}:	bobwhite quail	>2,000 mg/kg
	mallard duck	>5,200 mg/kg
Aquatic organisms		
LC_{50} (96 hr):	bluegill sunfish	>120 mg/l
	rainbow trout	>120 mg/l

ENVIRONMENTAL FATE

Mesotrione is relatively stable to hydrolysis under sterile conditions across a wide pH range (5-9), with less than 10% degradation after 30 days, at 25°C. The photolysis half-life of mesotrione in aqueous solution under sterile conditions is 84 days.

Because mesotrione is a weak acid, the degree of ionization is dependent on pH. This relationship impacts its solubility, adsorption, and degradation in soil. In the more acidic soils, mesotrione can be found tightly adsorbed to the organic matter. In soils which are neutral or basic, mesotrione exists primarily in the anionic form, which is less strongly adsorbed. The increased concentration in the soil solution at higher pH is mitigated by a more rapid degradation.

Mesotrione has an excellent environmental profile, with rapid and extensive microbial degradation with ultimate metabolism to CO_2. Soils studied ranged in pH from 4.6 to 7.7 and in organic matter content from 0.6 to 3.6%. In these soils, the half-life of mesotrione ranges from 2 to 14 days with a mean of 9 days. Adsorption coefficients range from 1 to 5 and the K_{oc} ranges from 14 to 390 and is inversely related to soil pH and positively correlated with half-life.

The rapid degradation of mesotrione in soil, in conjunction with the low use rate, ensures that residues of both mesotrione and its degradates have negligible potential to contaminate groundwater. Similarly, run-off from treated fields will be small and since aquatic degradation and dissipation is rapid, there will be negligible risk from consumption of drinking water, derived from surface water.

MODE OF ACTION

The molecular target for mesotrione is the enzyme p-hydroxyphenylpyruvate dioxygenase (HPPD), which is in the pathway that converts the amino acid tyrosine to plastoquinone. Plastoquinone is a required cofactor for the enzyme phytoene desaturase, a key enzyme in carotenoid biosynthesis. Carotenoids are plant pigments essential for photosynthesis and for the protection of chlorophyll and plant cell membranes from destruction by reactive species generated in the chloroplast during photosynthesis. Symptoms of bleaching followed by necrosis can be seen on the most actively growing (meristematic) tissue within 3 to 5 days of mesotrione application and throughout the treated plant in about two weeks.

FOLIAR UPTAKE AND TRANSLOCATION

Radiolabelled mesotrione, formulated as a 100 g a.i./litre suspension with built in adjuvants, was used to study the speed of foliar uptake and translocation in maize and three to four leaf *Amaranthus retroflexus, Chenopodium album, Echinochloa crus-galli, and Digitaria sanguinalis.* Uptake measured at 1, 3 and 6 hours and at 1 and 7 days after application, showed that foliar uptake of mesotrione was rapid with approximately 40 to 70% of applied material being absorbed within one hour of application (Figure 1). Foliar absorption of mesotrione was uniformly greater for all weeds than for maize.

Translocation of radiochemical was visualized by phosphor image (Hamaoka, 1990) and quantified by conventional radiochemical analysis, at 1 and 7 days after treatment (Table 1). Translocation of radiochemical was greatest in broadleaf weeds and least in the grasses. However, it is the movement of the active parent molecule that is the key to delivering herbicidal activity. Analysis of the radiochemical extracted from plants demonstrated that mesotrione, the parent molecule, moved both acropetally and basipetally. Recovery of the extractable parent compound, mesotrione, was determined from plant tissue outside the whole treated leaf after 7 days. There are significant differences in the amount of mesotrione translocated in weeds versus maize. The faster metabolism in maize likely reduced the

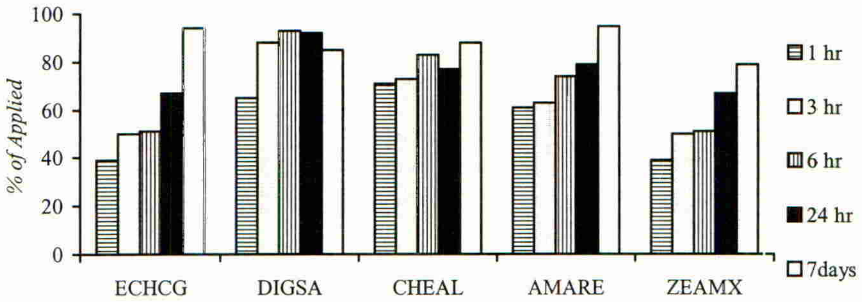


Figure 1. Foliar uptake of radiolabelled mesotrione applied at the equivalent rate of 72 g a.i./ha.

redistribution of mesotrione throughout maize, whereas a significant distribution of absorbed herbicide occurred in all the weeds tested. It can be concluded that maize selectivity to mesotrione is based on relative metabolic rates.

Table 1. Systemicity, metabolism and recovery of extractable mesotrione in maize and weeds.

	Radiochemical translocated from point of absorption (% of total ^{14}C absorbed)		Mesotrione (% of total extractable radioactivity outside the treated leaf)
	Days after treatment		Days after treatment
Weeds	1	1	7
Echinochloa crus-galli	24	44	34
Digitaria sanguinalis	6	23	10
Chenopodium album	40	48	42
Amaranthus retroflexus	37	49	10
Zea mays	10	14	0

RESISTANCE MANAGEMENT

Mesotrione has a mode of action to which there are no known examples of weed resistance. Furthermore, it is unlikely that there will be cross-resistance where target site resistance to other commonly used herbicides has developed. It can be postulated that since triketones compete with and are structurally similar to the substrate 4-hydroxyphenylpyruvate, that mutations are likely to result in a fitness penalty. Potential of weeds to develop resistance has been estimated by trying to force *Arabidopsis thaliana* plants into developing resistance. Over 680,000 ethyl methanesulfonate-mutagenized *Arabidopsis* seeds were screened for resistance to mesotrione and none were found. Similar trials were conducted with ALS compounds for which resistant plants occurred at a rate of approximately 1 in 100,000.

FORMULATION

Mesotrione is formulated as a 100 g a.i./litre, built-in-wetter formulation for use in Europe and as a 480 g a.i./litre soluble concentrate formulation for use in the United States. Mesotrione is also formulated as a premix with acetochlor for premergence applications in certain markets.

BIOLOGICAL PERFORMANCE

Mesotrione is a pre-emergence and post-emergence broadleaf herbicide which controls some annual grasses. Typical mesotrione use rates range from 100 to 225 g a.i./ha when applied pre-emergence for residual control and 70 to 150 g a.i./ha when applied post-emergence. These post-emergence rates also provide residual control of most weeds through crop canopy closure.

Soil-applied mesotrione is similar to or better than currently available products for control of *Xanthium strumarium* and *Ambrosia trifida* and provides excellent control of all the other important broadleaf weeds in maize including *Abutilon theophrasti,* and *Chenopodium, Amaranthus* and *Polygonum* species. This includes ALS- and atrazine-resistant biotypes of all these weeds (Table 2). The post-emergence spectrum of mesotrione is similar to the pre-emergence spectrum, with the exception that mesotrione gives excellent control of *Xanthium strumarium* and *Ambrosia species* when applied post. Depending upon the rate used post-emergence, mesotrione will also control *Digitaria* and *Echinochloa* species, which are important weeds in European maize production.

Table 2. Summary of mesotrione alone and in combination with acetochlor on key weeds (visual % control) in trials conducted in the U.S.A. and Europe.

	APPLICATION TIME / mesotrione dose g a.i./ha / % control (# Trials)					
	U.S.A.		Europe			
Weeds	POST 105	PRE + acetochlor 205+2248	POST 75	POST 150	PRE 150	PRE + acetochlor 150+1600
Abutilon theophrasti	98 (14)	98 (20)	99 (4)	100 (5)		
Amaranthus species	95 (12)	96 (14)	92 (22)	98 (27)	94 (3)	100 (1)
Ambrosia trifida	90 (11)	82 (6)				
Ambrosia artemisiifolia	87 (7)	100 (3)	88 (1)	96 (1)		
Atriplex patula			85 (2)	98 (3)	98 (1)	
Chenopodium album	96 (13)	99 (9)	98 (4)	100 (51)	93 (13)	99 (9)
Galium aparine			62 (1)	96 (2)		
Helianthus annuus	94 (7)	94 (3)				
Ipomoea hederacea	82 (16)	89 (1)				
Kochia scoparia	97 (3)	95 (5)				
Polygonum lapathifolium			90 (7)	100 (7)		100 (1)
Polygonum aviculare			69 (8)	89 (10)		
Polygonum pensylvanicum	96 (5)	97 (7)				
Polygonum persicaria			90 (12)	100 (6)	96 (3)	96 (1)
Sinapis arvensis			99 (1)	100 (1)		
Solanum nigrum		100 (1)	97 (23)	100 (24)	97 (5)	100 (2)
Stellaria media			89 (8)	99 (11)	100 (1)	100 (2)
Xanthium strumarium	94 (27)	75 (4)	100 (1)	100 (1)	95 (1)	
Digitaria sanguinalis		88 (1)	74 (7)	86 (13)	85 (3)	98 (2)
Echinochloa crus-galli		96 (3)	61 (35)	89 (44)		

The susceptibility of post-emergence applications of mesotrione to washoff from rain after application was determined under simulated conditions using *Chenopodium album* (Figure 2).

Control was similar between treatments that did not receive simulated rain and treatments that received simulated rain at 1, 3 or 6 hours after treatment. These results indicate that mesotrione is rainfast in one hour and support the rapid uptake and translocation observed in radiolabelled-mesotrione experiments.

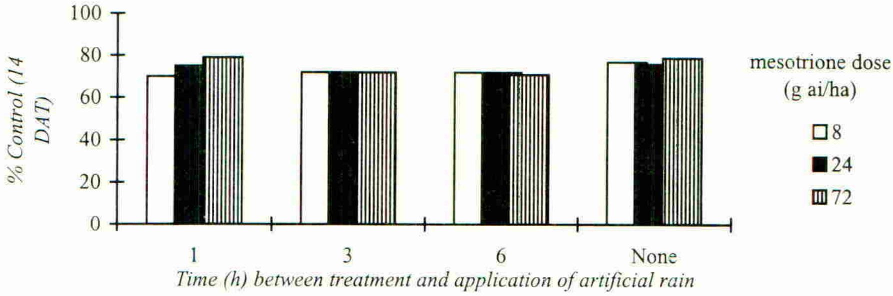


Figure 2. Effect of simulated rainfall on *Chenopodium album* control.

Mesotrione, at recommended use rates, is safe to maize when applied pre-emergence in combination with acetochlor, with average crop injury of less than 2%. Post-emergence applications can cause a slight bleaching of maize foliage soon after application, but at recommended use rates the average maize response in the U.S.A. was less then 3% and in France the average maximum was 3%. No adverse effects on yield were observed from mesotrione in trials where comparisons were made with weed-free controls.

SUMMARY

Mesotrione is a systemic pre-emergence and post-emergence herbicide for the selective control of annual broadleaf and some grass weeds in maize. Pre-emergence grass herbicides such as acetochlor or post-emergence grass herbicides such as nicosulfuron can be mixed with mesotrione for broad spectrum pre-emergence and post-emergence weed control. Mesotrione has a favourable toxicological and environmental profile. Mesotrione is not a carcinogen, has low acute toxicity and there are no detectable residues at harvest. Mesotrione is not persistent and rapidly degrades ultimately to CO_2 resulting in minimal risk of carryover.

ACKNOWLEDGEMENT

We gratefully acknowledge and appreciate our colleagues and others who have contributed to the discovery, development and commercialisation of mesotrione.

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