SESSION 2
NEW HERBICIDES

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Papers — 2-1 to 2-9

Iodosulfuron plus mefenpyr-diethyl - a new foliar herbicide for weed control in cereals

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ABSTRACT

Iodosulfuron-methyl-sodium (proposed common name ISO; named in this paper iodosulfuron) is a new sulfonylurea herbicide for control of grass and broad-leaved weeds in winter, spring and durum wheat, triticale and rye. Low dosages of 10 g a.i./ha provide a highly flexible herbicidal potential for early to mid postemergence applications.

Selective usage is possible through the addition of the safener mefenpyr-diethyl which reduces the crop injury without influencing herbicidal efficacy on grassy and broad-leaved weeds.

Iodosulfuron is an inhibitor of acetolactate synthase (ALS). Mefenpyr-diethyl enhances the metabolism / detoxification of the herbicide selectively in cereal crop plants (wheat, triticale, winter rye) but not in monocotyledonous and dicotyledonous weeds.

Both molecules have favourable toxicological and environmental profiles with low risk potentials. Rapid soil degradation and limited bioavailability indicate no carry over risks when used under normal agricultural and environmental conditions.

INTRODUCTION

Iodosulfuron-methyl-sodium (proposed common name ISO; in this paper named as iodosulfuron) is a novel sulfonylurea herbicide for post-emergence use in cereals. As with other sulfonylurea herbicides, the primary biochemical target site of iodosulfuron is the enzyme acetolactate synthase (ALS).

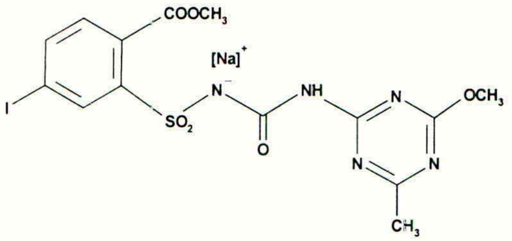
Iodosulfuron is effective against major grass weed species, as well as a wide range of broad-leaved weeds. It is applied in combination with the registered AgrEvo safener, mefenpyr-diethyl, which ensures the highest level of selectivity without compromising product effectiveness. Both products are applied together as a co-formulation (5% iodosulfuron plus 15% mefenpyr-diethyl).

The toxicological and environmental profiles of iodosulfuron and mefenpyr-diethyl are favourable. Under natural environmental conditions, the products are degraded within a few days. The compounds do not leach into the ground water when applied in accordance with label recommendations.

A) IODOSULFURON

Identity, chemical and physical properties

AgrEvo product code:	AE F115008
Common name:	iodosulfuron-methyl-sodium *(proposed ISO)*
Chemical name (IUPAC):	methyl 4-iodo-2-[3-(4-methoxy-6-methyl-1,3,5- triazin-2-yl)ureidosulfonyl]benzoate, sodium salt
Empirical formula:	$C_{14}H_{13}IN_5NaO_6S$
Molecular weight:	529.24
Structural formula:	



Appearance:	almost colourless / light beige crystalline powder
Melting point:	152°C
Vapour pressure:	6.7×10^{-9} Pa (25°C)
Henry's constant:	$K_H = 2.29 \times 10^{-11}$ Pa • m³/mol (20 °C)
Photodegradation half-life:	approx. 50 days (at 52° Northern latitude)

	pH 5	7	9
Solubility in water at 20°C [g/l]	0.16	25	65
Partition coeff. octanol/water, log P_{OW}	1.07	-0.70	-1.22
Abiotic hydrolysis 20°C; DT_{50} in days	31	> 365	362

Toxicological and ecotoxicological properties

In all acute toxicological tests, the active substance showed low mammalian toxicity:

Rat, oral	LD_{50} 2678 (mg/kg)
Rat, dermal	LD_{50} > 2000 (mg/kg)
Rabbit, skin and eye irritation	not irritant
Guinea pig, skin sensitisation	not sensitising
Mutagenicity *in vitro* and *in vivo*	non-mutagenic

Iodosulfuron is not acutely toxic to fish and aquatic invertebrates with LC/EC_{50} values of 100 mg/litre. Green algae and higher aquatic plants are the most sensitive species with EC_{50} values of 0.02 mg/litre and 0.8 µg/litre, respectively. No adverse effects were observed on birds (mallard duck, quail), honeybees or earthworms

No risks are expected when iodosulfuron is used according to label recommendations and Good Agricultural Practice.

Environmental behaviour

Iodosulfuron is easily degradable in a wide range of agricultural soils under standard conditions, with half-lives in the range of 1 – 5 days. The degradation is thought to be mainly a microbial process. Low soil moisture causes delayed degradation with half-lives of 7 - 10 days.

Field dissipation studies showed that both iodosulfuron and its metabolites have almost no vertical movement in soil. Computer simulations and the results of lysimeter studies, conducted over two years at 1.5 times the normal rate, clearly demonstrated that neither iodosulfuron nor any of its metabolites were transported to soil layers of 1 m or deeper at concentrations at or above the EU threshold level for drinking water.

The threshold soil concentration for a wide range of crops – the ED_{10} (Effective Dose causing 10% damage) – was determined in the glasshouse in order to estimate the risk of damage to potential rotational crops. Sunflower, soybean, lucerne and tomato are highly susceptible; cucumber, oilseed rape and maize are moderately susceptible; cereals are not susceptible.

The impact of iodosulfuron on economically important susceptible following crops was tested in special rotation trials between 1995 - 1998. The results confirmed that the crops could be replanted in normal agricultural crop rotations as main crops.

Formulation

Iodosulfuron in combination with mefenpyr-diethyl is available as water dispersible granules (50 g/kg iodosulfuron plus 150 g/kg mefenpyr-diethyl) and as an oil-based formulation.

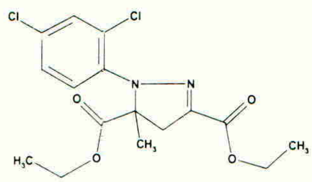
Selectivity and mode of action

The selective action of iodosulfuron is based on differential degradation in cereals compared to weeds such as wild oat.

The behaviour of iodosulfuron when applied alone was compared with the behaviour in combination with the safener mefenpyr-diethyl. The safener did not significantly influence the uptake and translocation of iodosulfuron in wheat. Furthermore, *in vivo* tests of ALS activity did not indicate an antagonistic safener/herbicide interaction at the biochemical herbicide target. In shoots of wheat treated with ^{14}C-labelled iodosulfuron and the safener, a lower percentage of the extractable ^{14}C was in the form of the parent compound, iodosulfuron, than in extracts from plants which had not received a safener treatment. No significant difference was found when shoots of wild oat were subjected to the same treatments. These findings suggest that the safener acts by specific enhancement of herbicide degradation in the crop.

B) MEFENPYR-DIETHYL

Identity, chemical and physical properties

AgrEvo product code:	AE F107892
Common name:	mefenpyr-diethyl *(provisionally approved ISO)*
Chemical name (IUPAC):	diethyl 1-(2,4-dichlorophenyl)-5-methyl-2-pyrazoline-3,5-dicarboxylate
Empirical formula:	$C_{16}H_{18}Cl_2N_2O_4$
Molecular weight:	373.26
Structural formula:	
Melting point:	50 - 52°C
Vapour pressure:	1.4×10^{-5} Pa (25°C)
Henry's constant:	$K_H = 2.55 \times 10^{-4}$ Pa • m³/mol (20 °C)
Photodegradation half-life:	approx. 2.9 days at 52° Northern latitude
Solubility in water at 20°C and pH 6.2	20 mg/litre
Partition coeff. octanol/water, log P_{OW}:	3.83 (at pH 6.3 and 21°C)

	pH: 5	7	9
Abiotic hydrolysis (25°C; DT_{50} in days)	> 365	40.9	0.35

Toxicological and ecotoxicological properties

In all acute toxicological tests performed, the safener showed low mammalian toxicity:

Rat, oral	LD_{50} > 5000 (mg/kg)
Rat, dermal	LD_{50} > 4000 (mg/kg)
Rabbit, skin and eye irritation	not irritant
Guinea pig, skin sensitisation	not sensitising
Mutagenicity *in vitro* and *in vivo*	non-mutagenic

Acute LC_{50} values for mefenpyr-diethyl were 2.4 mg/litre in vertebrates (*Cyprinus carpio*), 20.9 mg/litre in invertebrates (*Daphnia magna),* and 1.65 mg/litre for the most sensitive algae species (EC_{50}, *Navicula pelliculosa*). No toxic effects were observed to *Lemna gibba* with EC_{50} values > 12 mg/litre. No toxic effects were observed on either birds (mallard duck, quail), honeybees or earthworms.

The safener has no herbicidal activity even at elevated rates.

These results indicate no foreseeable risk if the product is applied according to label recommmendations.

Environmental behaviour

The major processes leading to complete mineralisation in soil are hydrolysis and microbial degradation (DT_{50} less than 10 days). In addition, photolysis contributes significantly to degradation. At application rates that follow Good Agricultural Practice, no movement can be found through volatilisation (<< 20% in 24 hours) and leaching (no single residual compound in lysimeter leachates above 0.1 µg/litre).

Mode of action

Mefenpyr-diethyl does not show any visual effects when applied alone to cereals and weeds. It influences in combination the metabolism/detoxification of iodosulfuron in wheat. Weed control on grass and dicotyledonous weeds is not influenced.

C) BIOLOGICAL PROPERTIES - Iodosulfuron plus mefenpyr-diethyl

The biological profile has been evaluated in globally conducted field trials between 1994 – 1998, using standard field trial methods (5 – 20 m² plots, 2 – 4 replications, plot spray equipment). Effects on crop injury and weed control (0 – 100%) were recorded visually.

Crop tolerance

Crop tolerance has been evaluated for iodosulfuron plus mefenpyr-diethyl in the main cereal growing regions globally. Most important varieties and application timings have been investigated. The results show that for the combination of iodosulfuron plus mefenpyr-diethyl (10 + 30 g a.i./ha) maximum initial injury values were 3 – 4 %, with recovery, resulting in no crop injury in the final assessments (Fig. 1). When iodosulfuron (20 g a.i./ha) was applied by itself, crop injury was unacceptable (average 17%, double dosage). The addition of mefenpyr-diethyl (60 g a.i./ha) reduced injury to an acceptable level of 3 % damage with complete recovery.

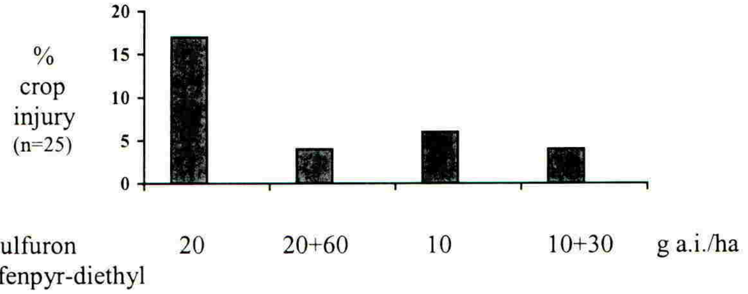


Fig. 1: Crop tolerance in winter wheat: iodosulfuron plus mefenpyr-diethyl
Winter wheat Europe 1995 (GS: 13 - 29)

Similary acceptable reductions in crop injury were seen over different varieties of winter wheat. The combination of iodosulfuron and mefenpyr-diethyl was also well tolerated by winter rye, triticale and durum wheat.

The 1:3 mixture (iodosulfuron plus mefenpyr-diethyl) has been identified as the best ratio for optimum crop safety at various dose rates and in the widest range of environmental conditions, crops, varieties and growth stages.

Weed control

A direct comparison of iodosulfuron alone and in combination with mefenpyr-diethyl under natural environmental conditions was conducted in field trials. The average percentage of weed control (89%) was not changed when mefenpyr-diethyl was added in a ratio of 1:3 (10 + 30 g a.i./ha) to iodosulfuron (Table 1). The average weed control (mean: *Apera spica-venti, Galium aparine, Anthemis arvensis and Matricaria chamomilla*) as well as the variation (min-max values) was nearly identical. This indicates, that the control of grass and dicotyledonous weeds is not significantly influenced by mefenpyr-diethyl.

Table 1. Influence of mefenpyr-diethyl on the weed control of iodosulfuron (Treated 2 - 4 leaf stage; assessed: 4 weeks after application)

	g a.i./ha	**% control (range)**
Iodosulfuron (I)	10	88.7 (84 - 100)
I + Mefenpyr-diethyl	10 + 30	88.5 (84 - 100)

Field trials; mean % (n=10 single results on 4 weed species)

Weed spectrum

Grass weeds

In three years of field experiments, important grass weeds like *Apera spica venti, Avena* spp., *Lolium* spp. *Phalaris* spp. and *Poa* spp. were very well controlled (80 – 100%) with a dose rate of 10 + 30 g a.i./ha iodosulfuron plus mefenpyr-ethyl (Table 2). The most favourable application timing was between the 3 leaf stage and the beginning of stem elongation (GS 13 – 31).

Table 2. Grass weed control: 30 + 10 ga.i./ha iodosulfuron plus mefenpyr-diethyl (species controlled ≥ 80 %; global field evaluation 1995 - 1998)

Apera spp.	*Avena* spp.	*Lolium* spp	*Phalaris* spp.	*Poa* spp.
A. spica-venti	*A. fatua*	*L. multiflorum*	*P. brachystachys*	*P. annua*
	A. ludoviciana	*L. perenne*	*P. canariensis*	*P. trivialis*
	A. sterilis	*L. rigidum*	*P. paradoxa*	

Remark: Performance after spring applications (GS 13-32)

Broad-leaved weeds

Most of the broad-leaved weeds tested were controlled by a dose rate of 10 + 30 g a.i./ha iodosulfuron plus mefenpyr-diethyl in global field trials. The best efficacy was under favourable growing conditions with applications to weeds in the 2 – 4 (6) leaf stage (GS 12 – 14/16). *Cirsium arvense* was controlled up to a height of 10 – 15 cm under good growing conditions. *Centaurea cyanus* was controlled when sprayed in the 2 – 4 leaf stage. Numerous economically important species from different botanical families, as well as volunteer broad-leaved crops such as oil seed-rape and sunflowers were well controlled (Table 3).

Table 3. Broad-leaved weed control of iodosulfuron plus mefenpyr-diethyl
Rates: 10 + 30 g a.i./ha; global field trials 1996 - 1998

Weed species controlled $\geq$ 80 - 100 % (in alphabetical order)		
Adonis spp.	*Galinsoga ciliata*	*Scandix pecten-veneris*
Amaranthus retroflexus	*Galium aparine*	*Senecio vulgaris*
Anagallis arvensis	*Geranium* spp.	*Silene gallica*
Anthemis spp.	*Helianthus annuus*	*Sinapis arvensis*
Aphanes arvensis	*Lamium amplexicaule*	*Sisymbrium* spp.
Arabidopsis thaliana	*Lamium purpureum*	*Sonchus* spp.
Bifora radians	*Malva parviflora*	*Spergula arvensis*
Capsella bursa-pastoris	*Matricaria* spp.	*Stellaria media*
Cenia spp.	*Medicago* spp.	*Thlaspi arvense*
Centaurea cyanus	*Melilotus* spp.	*Trifolium* spp.
Cephalaria spp.	*Myosotis arvensis*	*Veronica* spp.
Chenopodium album	*Papaver rhoeas*	*Vicia cracca*
Cirsium arvense	*Polygonum* spp.	*Vicia sativa*
Daucus carota	*Portulaca oleracea*	*Viola* spp.
Emex australis	*Raphanus raphanistrum*	*Xanthium strumarium*
Erophila verna	*Rumex crispus*	Volunteer oilseed rape

Because of its excellent activity against grass and broad-leaved weeds, iodosulfuron provides high herbicidal potential for postemergence usage in wheat, durum wheat, winter rye and triticale.

Recropping / Rotational crops

The ED_{10} values of pre-emergence applications to crops suggest different susceptibilities on rotational crops. In a simulation study under field conditions a practical rotation was evaluated. After spring application (May) on bare ground, there were no significant effects on replanted oil-seed rape, sunflowers and ryegrass 105 days (August) after application when the soil was ploughed before sowing. Winter rye and winter wheat were also uninjured when planted in October (155 days after application).

This study did not indicate any rotational restrictions on the planted crops under normal agricultural conditions. Similar trials as well as studies following replanting after crop failure or under drought conditions are still ongoing globally.

CONCLUSIONS

Iodosulfuron is a novel sulfonylurea compound which can be applied selectively in small grain cereals, such as wheat, durum wheat, winter rye and triticale in combination with the safener mefenpyr-diethyl. Low dose rates of ~ 10 g a.i./ha iodosulfuron plus 30 g a.i./ha mefenpyr-diethyl offer high herbicidal potential controlling most important grass and dicotyledonous weeds in the main cereal growing regions world wide. Favourable toxicological, ecotoxicological and environmental profiles do not indicate potential risks. Investigations on rotational crops did not indicate restrictions on the crop rotations under normal practical conditions. Interim crops and extreme crop situations have to be considered more regionally / locally and are under detailed investigations.

ACKNOWLEDGEMENTS

The authors thank all colleagues at AgrEvo who were involved in achieving the present state of knowledge on iodosulfuron / mefenpypr-diethyl.

Flucarbazone-sodium - a new herbicide for the selective control of wild oat and green foxtail in wheat

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ABSTRACT

Flucarbazone-sodium is a new sulfonylaminocarbonyltriazolinone herbicide. The product acts as an inhibitor of the enzyme acetolactate synthase (ALS). It provides excellent activity against grass weeds and several important broadleaf weeds when applied post-emergence to wheat. In field experiments conducted in Canada and the US, the product has demonstrated strong and consistent activity against wild oat (*Avena fatua*) and green foxtail (*Setaria viridis*). At the suggested use rate of 30 g a.i./ha, both weeds were selectively controlled in wheat. Control of biotypes resistant to ACCase inhibiting herbicides, triallate or dinitroanilines was also observed. Flucarbazone-sodium has an excellent human health and environmental effects profile.

INTRODUCTION

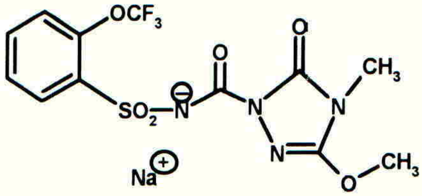
Wild oat (*Avena fatua*) and green foxtail (*Setaria viridis*) are the two most abundant and troublesome grassy weeds in spring wheat production areas of Canada and the USA. Both species can cause costly yield losses, the extent of which depends on weed density, and can cause further economic losses due to reduced quality (grade) and dockage. Early control of both these species is essential to prevent yield loss.

Wild oat and green foxtail resistance to the commonly used grass herbicides is increasing throughout the entire Spring wheat production area of North America. Consequently, there is a pressing need for effective herbicides with different modes of action which would allow growers more choices for rotation among chemical groups, thereby slowing the development of resistance.

Flucarbazone-sodium, a new sulfonylaminocarbonyltriazolinone herbicide, being developed by Bayer, has demonstrated consistent and selective control of wild oat and green foxtail in wheat.

PHYSICAL AND CHEMICAL PROPERTIES

Structure



Chemical name (IUPAC):	4,5-dihydro-3-methoxy-4-methyl-5-oxo-*N*-(2-(trifluoromethoxyphenylsulfonyl)-1*H*-1,2,4-triazole-1-carboxamide sodium salt
CAS reg. no.	/181274-17-9/
Empirical formula:	$C_{12} H_{11} N_4 O_6 S F_3$
Common name:	flucarbazone-sodium
Code numbers:	MKH 6562, SJO 0498
Molecular weight:	418.3
Density:	1.59 g/ml
Appearance:	colorless and odorless crystalline powder
Melting Point:	200^0 C (under decomposition)
Vapor pressure:	$< 1 \times 10^{-9}$ Pa (20^0 C)
Solubility in Water (20C)	44 g/litre (pH 4 -9)
Octanol Water	log Pow -0.89 (pH 4)
Partition Coefficient:	log Pow -1.85 (pH 7)
	log Pow -1.89 (pH 9)
Dissociation Constant	pKa 1.9

TOXICOLOGY

Very low acute toxicity of flucarbazone-sodium was observed in oral, dermal and inhalation studies. The product is not a dermal sensitizer.

	Active ingredient and 70 DF	
Acute Oral LD $_{50}$ (rat)	>5000 mg/kg	US EPA category IV
Acute dermal LD $_{50}$ (rat)	>5000 mg/kg	US EPA category IV
Acute inhalation LD $_{50}$ (rat)	>5.13 mg/litre	US EPA category IV
Dermal irritation (rabbit)	Non-irritating	US EPA category IV
Dermal sensitization (guinea pig)	Non-sensitizing	US EPA category IV
Eye irritation (rabbit)	Slightly/moderately irritating	US EPA category III

In chronic studies, no evidence was found for any neurotoxic, genotoxic or carcinogenic potential. Also, there was no indication of any teratogenic potential or reproductive toxicity. No residues of toxicological concern were detected in plant or animal metabolism studies and dietary exposure calculations exhibit a high margin of safety.

ENVIRONMENTAL SAFETY

Flucarbazone-sodium is not persistent in the environment as indicated by field dissipation studies, and it does not exhibit mobility in soil. The primary route of degradation is believed to be microbial. The average field soil half-life is 17 days. Flucarbazone-sodium has low potential to contaminate groundwater. No product residues were detected below the 15 –30 cm depth in soil dissipation studies and compound half-life is short. Flucarbazone-sodium has a photolytic half-life of greater than 500 days in soil and water.

Flucarbazone-sodium is practically non-toxic to birds, fish, honeybees and earthworms. It is only slightly toxic to freshwater invertebrates. No levels of concern are exceeded for these organisms, including endangered species. There is no potential to bioaccumulate.

Birds

Bobwhite Quail	Acute Oral LD_{50}	>2000 mg/kg
	Subacute Dietary LC_{50}	>5000 ppm
Mallard Duck	Reproduction NOEC	> 223 ppm

Aquatic organisms (LC_{50} or EC_{50})

Bluegill Sunfish	> 99.3 mg/litre
Rainbow Trout	> 96.7 mg/litre
Daphnia	>109 mg/litre
Selenastrum capricornutum	6.4 mg/litre
Lemna gibba	0.0126 mg/litre

Others

Earthworm LC_{50}	>1000 mg/kg
Honeybee	non-toxic

MODE OF ACTION

Flucarbazone-sodium acts as an inhibitor of the enzyme actetolactate synthase (ALS) and belongs into HRAC mode of action class B and WSSA/Canadian group 2. It is absorbed through the foliage and the root system and can provide residual activity. Acropetal and basipetal translocation of the herbicide within plants has been demonstrated and indicates systemic mobility of the product. Weeds cease to grow and compete with the crop soon after application. Further symptoms of herbicide action will develop over 1-4 weeks depending on environmental conditions and include stunting, discoloration, necrosis and eventually weed removal.

WEED CONTROL

Field performance of flucarbazone-sodium has been evaluated in more than 700 field experiments in Canada and the USA since 1993. In 1999 under a “Research Permit” the product was successfully applied on more than 2000 ha of Spring wheat in Canada.

Flucarbazone-sodium controls important grass and broadleaf weeds that infest wheat. To match or exceed the grass efficacy of current market standards, the product has to be applied post-emergence at a use rate of 30 g a.i./ha. Best performance and yield returns were obtained with early season applications. For full spectrum weed control, flucarbazone-sodium is recommended to be applied in tank mixture with a broadleaf herbicide and a non-ionic surfactant at a concentration of 0.25% (v/v).

Table 1. Important weeds controlled by flucarbazone-sodium

	Susceptible	Moderately susceptible
Grasses	*Avena fatua*	*Lolium multiflorum*
	Setaria viridis	*Lolium rigidum*
		Pennisetum glauca
Broadleaves	*Brassica rapa* (volunteer)	*Amaranthus retroflexus*
	Capsella bursa-pastoris	*Galeopsis tetrahit* (suppresssion)
	Polygonum persicaria	*Polygonum convolvulus* (suppression)
	Sinapis arvensis	
	Raphanus raphanistrum	
	Thlaspi arvense	

Table 2. Application timing of flucarbazone-sodium

Crop/Weeds	Growth stage	Remarks
Wheat	1 – 6 total leaves	1 leaf to 4 leaves on main stem, plus 2 tillers
Avena fatua and *Setaria viridis*	1 – 6 total leaves	1 leaf to 4 leaves on main stem, plus 2 tillers

Table 3. Control of *Avena fatua* (AVEFA) and *Setaria viridis* (SETVI) after post-emergence application of flucarbazone-sodium + 0.25% non-ionic surfactant

Treatments	Use rate	% Control of	
	g a.i./ha	AVEFA	SETVI
Flucarbazone-sodium	20	83 (68)	93 (38)
Flucarbazone-sodium	30	89 (192)	96 (68)

( ) no. of observations

Table 4. Efficacy comparison between flucarbazone-sodium and clodinafop-propargyl

Treatments	Use rate	% Control of	
	g a.i./ha	AVEFA	SETVI
Flucarbazone-sodium + 0.25% surfactant	30	91 (42)	96 (22)
Clodinafop-propargyl	70	91 (42)	88 (21)

( ) no. of observations

Table 5. Efficacy comparison between flucarbazone-sodium and imazamethabenz

Treatments	Use rate g a.i./ha	% Control of AVEFA	SETVI
Flucarbazone-sodium + 0.25% surfactant	30	91 (89)	96 (7)
Imazamethabenz + pH Adjuster	480	85 (89)	16 (6)

( ) no. of observations

Table 6. Control of *Avena fatua* (AVEFA) and *Setaria viridis* (SETVI) after post-emergence application of flucarbazone-sodium + phenoxy herbicides + 0.25% non-ionic surfactant

Treatments	Use rate g a.i./ha	% Control of AVEFA	SETVI
Flucarbazone-sodium + 2,4-D	30 + 420	88 (156)	95 (62)
Flucarbazone-sodium + 2,4-DP + 2,4-D	30 + 525 + 495	89 (66)	97 (19)
Flucarbazone-sodium + MCPA	30 + 420	88 (22)	96 (11)

( ) no. of observations

Table 7. Control of *Avena fatua* (AVEFA) and *Setaria viridis* (SETVI) after post-emergence application of flucarbazone-sodium + sulfonylurea herbicides + 0.25% non-ionic surfactant

Treatments	Use rate g a.i./ha	% Control of AVEFA	SETVI
Flucarbazone-sodium + metsulfuron + 2,4-D	30 + 4 + 420	89 (38)	92 (5)
Flucarbazone-sodium + tribenuron + 2,4-D	30 + 7.5 + 420	84 (20)	95 (1)
Flucarbazone-sodium + thifensulfuron + tribenuron	30 + 10 + 5	88 (57)	95 (15)
Flucarbazone-sodium + triasulfuron + bromoxynil	30 + 8 + 140	87 (29)	98 (5)

( ) no. of observations

Table 8. Control of *Avena fatua* (AVEFA) and *Setaria viridis* (SETVI) after post-emergence application of flucarbazone-sodium + other broadleaf herbicides + 0.25% non-ionic surfacatant

Treatments	Use rate g a.i./ha	% Control of AVEFA	SETVI
Flucarbazone-sodium + bromoxynil	30 + 280	85 (51)	96 (7)
Flucarbazone-sodium + clopyralid + MCPA	30 + 100 + 560	85 (31)	98 (4)
Flucarbazone-sodium + dicamba + MCPA + MCPP	30 + 94 + 413 + 94	78 (35)	97 (9)

( ) no. of observations

Table 9. Control of resistant biotypes of *Avena fatua* (AVEFA) and *Setaria viridis* (SETVI) after post-emergence application of flucarbazone-sodium + 2,4-D + non-ionic surfactant (30 + 420 g a.i./ha + 0.25%)

Resistant against	% Control of	
	AVEFA	SETVI
ACC-ase inhibitors	90 (30)	94 (5)
Triallate	95 (5)	
Dinitroanilines		96 (20)

( ) no. of observations

CROP TOLERANCE

Flucarbazone-sodium can be used on Spring wheat including durum wheat and winter wheat. No particularly sensitive wheat variety has been identified to date. Commercial crop tolerance has been demonstrated up to the double use rate. Under weed free conditions over four years in 42 trials, no statistically significant (Duncan) yield differences were noted between the untreated control and plots treated with the use rate (30 g a.i./ha) or double use rate (60 g a.i./ha) of flucarbazone-sodium + 2,4-D.

Table. 10 Mean yields of 42 weed-free spring wheat trials

Treatments	Use rate g a.i./ha	Yield kg/ha	Duncan*
Untreated control		2708	a
Flucarbazone-sodium + 2,4-D + 0.25 % Ag Surf	30 + 420	2724	a
Flucarbazone-sodium + 2,4-D + 0.25 % Ag Surf	60 + 420	2629	a

*Duncan Multiple Range Test. Means with the same letter do not differ (P>0.05)

CONCLUSION

Flucarbazone-sodium introduces a novel class of chemistry for weed control into spring wheat including durum wheat and winter wheat. Its flexible application characteristics allow tank mix combinations with a wide variety of broadleaf herbicides for full spectrum weed control. Flucarbazone-sodium can be a key tool for managing the increasing problem of resistant *Avena fatua* and *Setaria viridis* populations in spring wheat. Flucarbazone-sodium has an excellent human health and environmental effects profile.

REFERENCES

Santel H J; Bowden B A; Sorensen V M; Mueller K H; Reynolds J (1999) *Flucarbazone-sodium - A new herbicide for grass control in wheat*, WSWS Proceedings No 52, K Christianson (ed.)

Brenchley R; Rasmussen D E; Santel H J; Sorensen V M; Bowden B A, Bulman P G; Feindel D; Gibb B; Tomolak B M (1999) *Control of wild oat, green foxtail and selected broadleaf weeds in spring wheat with flucarbazone-sodium*, WSWS Proceedings No 52, K Christianson (ed.)

BAY MKH 3586 – a new herbicide for broad spectrum weed control in corn (maize) and sugar cane

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ABSTRACT

BAY MKH 3586 (amicarbazone, BSI proposed) is a new triazolinone herbicide being developed internationally within the Bayer organization. BAY MKH 3586, a photosynthesis inhibitor, has demonstrated excellent activity against many major annual dicotyledonous weeds in corn and sugar cane. Control of many annual grasses has also been demonstrated in sugar cane. BAY MKH 3586 is typically soil applied in corn preplant or pre-emergence. BAY MKH 3586 also demonstrates excellent contact activity on emerged weeds providing burndown as well as residual weed control in reduced and zero tillage corn production systems. In sugar cane, BAY MKH 3586 can be applied either preemergence or postemergence. Field experiments conducted between 1989 and 1998 in the USA, Brazil, South Africa, Australia, Philippines and Thailand, among others, have demonstrated the utility of BAY MKH 3586 in controlling many economically important weeds. In corn, BAY MKH 3586 has demonstrated control of velvetleaf (*Abutilon theophrasti*), common lambsquarters (*Chenopodium album*), pigweed species (*Amaranthus* spp.) common cocklebur (*Xanthium strumarium*), and morningglory species (*Ipomoea* spp.) amongst others. In sugar cane, BAY MKH 3586 has demonstrated control of painted spurge (*Euphorbia heterophylla*), morningglories, marmeladegrass (*Brachiaria plantaginea*) and southern sandbur (*Cenchrus echinatus*) amongst others. Applied in combination with many commercial herbicides, BAY MKH 3586 broadens and enhances the spectrum and efficacy of weed control in corn and sugar cane.

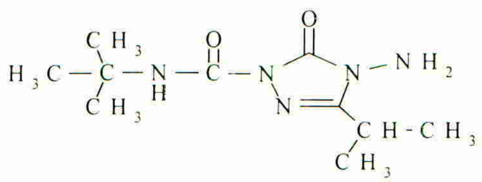
INTRODUCTION

Annual weeds in corn (*Zea mays*) and sugar cane (*Saccharum officinarum*) are ongoing pest problems throughout the world, reducing yields and crop quality, harboring insect and disease pests of the crop, and making crop management exceedingly difficult for farmers. Control of many of these problem species as well as certain grassy weed species in sugar cane is the objective with BAY MKH 3586 a new broad spectrum herbicide being developed by Bayer.

BAY MKH 3586 is a new triazolinone herbicide discovered by the Bayer Plant Protection Division in 1988. This compound controls economically relevant annual broadleaf weeds at approximately 1/3 to 1/2 the rate of atrazine. In corn, BAY MKH 3586 has demonstrated control of a broad collection of common dicotyledonous weeds including velvetleaf (*Abutilon theophrasti*), common lambsquarters (*Chenopodium album*), pigweed species (*Amaranthus* spp.), common cocklebur (*Xanthium strumarium*) and morningglory species (*Ipomoea* spp.) amongst others. In sugar cane, BAY MKH 3586 has demonstrated control of painted spurge (*Euphorbia heterophylla*), morningglories, southern sandbur (*Cenchrus echinatus*) and marmeladegrass (*Brachiaria plantaginea*) amongst others. Applied in combination with many commercial herbicides, BAY MKH 3586 broadens and enhances the spectrum and efficacy of weed control in corn and sugar cane.

PHYSICAL AND CHEMICAL PROPERTIES

Structure:



Chemical name:	4-amino-*N*-*tert*-butyl-3-isopropyl-5-oxo-Δ^2-1,2,4-triazoline-1-carboxamide (IUPAC) 4-amino-4,5-dihydro-*N*-(1,1,-dimethylethyl)-3-(1-methylethyl)-5-oxo-1*H*-1,2,4-triazole-1-carboxamide (CAS)			
CAS reg. No.:	[129909-90-6]			
Empirical formula:	$C_{10}H_{19}N_5O_2$			
Common name:	amicarbazone (BSI proposed)			
Code numbers:	BAY MKH 3586, BAY 314666			
Molecular weight:	241.3			
Density:	1.12 g/ml			
Appearance:	Colorless crystals			
Melting point:	137.5°C			
Vapor pressure:	1.3×10^{-6} Pa (20°C) 3.0×10^{-6} Pa (25°C)			
Solubility in water (20°C):	4.6 g/litre (pH 4 - 9)			
Partition coefficient (20°C):	pH =	4	7	9
(octanol/water)	log P_{OW}	1.18	1.23	1.23
Dissociation constant:	No acidic or basic properties			

TOXICOLOGY OF TECHNICAL MATERIAL

Acute toxicity:	Oral LD_{50} (female rat)	1015 mg/kg
	Dermal LD_{50} (rat)	>2000 mg/kg
	Inhalation LC_{50} (rat, 4 hr exposure)	2.242 mg/litre air
Irritation:	Eye (rabbit)	Minimal, cleared in 72-hr post-treatment
	Skin (rabbit)	Not an irritation
Skin sensitization:	(Guinea pig)	Not a sensitizer
Mutagenicity / genotoxicity:		Negative
Development toxicity:	No specific effects. Not a teratogen	
Reproduction toxicity:	No specific effects. Not a reproductive toxicant.	
Chronic toxicity / oncogenicity:	Favorable NOELs and no oncogenic potential.	

ECOTOXICITY OF TECHNICAL MATERIAL

Avian toxicity:	Oral LD_{50} bobwhite quail	> 2000 mg/kg
	Dietary LC_{50} bobwhite quail	> 5000 mg/kg
Aquatic toxicity:	LC_{50} bluegill sunfish	> 129 mg a.i./litre (96 h)
	LC_{50} rainbow trout	> 120 mg a.i./litre (96 h)
	LC_{50} *Daphnia magna*	> 119 mg a.i./litre (48 h)
	EC_{50} *Lemna gibba*	226 μg a.i./litre
Others:	Oral LD_{50} honeybee	24.8 μg/bee
	Contact LD_{50} honeybee	> 200 μg/bee

ENVIRONMETAL FATE[1]

Hydrolysis (25°C):	pH = 5, 7	stable
	pH = 9, DT_{50}	64 days
Photolysis:	soil DT_{50}	54 days
	Aqueous - sterile buffer, pH 7	stable
	Aqueous - natural water, DT_{50}	66 days
Soil metabolism:	Aerobic, DT_{50}	50 days
Soil mobility:	Silt loam	K_{OC} = 23 - 37
Field dissipation	Nebraska & Wisconsin, DT_{50}	18 - 24 days
	Lowest depth detected at >LOQ	6 - 12 inch (parent) 18 - 24 inch (metabolite)

[1] Except for hydrolysis & aerobic soil metabolism data, all other data are preliminary results.

Crop residues analyzed to date fail to demonstrate levels of BAY MKH 3586 greater than the 0.05-ppm limit of quantification.

MODE OF ACTION

BAY MKH 3586 is an inhibitor of photosynthesis and results in typical symptoms. Susceptible plants demonstrate chlorosis, stunted growth, tissue necrosis beginning at leaf edges and progressing across the leaf and stem tissue, and eventual death. Cross-resistance has also been demonstrated by plants tolerant of other photosynthetic inhibiting herbicides such as the triazines. Plant uptake occurs via the roots. Leaf absorption has also been demonstrated on weeds exhibiting acute contact activity, and this effect can be enhanced with the addition of an adjuvant. Contact activity permits the opportunity for burn down applications with subsequent residual weed control.

WEED CONTROL AND CROP TOLERANCE

Corn

BAY MKH 3586 field performance has been extensively evaluated in corn as a 70% wettable granule (WG) formulation, both alone and in combination with other herbicides. For corn in the USA, BAY MKH 3586 will be used at a maximum rate of 500 g a.i./ha, although lower rates will also be included in combination with other herbicides. The rates used in corn and shown in the following tables are representative of ranges including +/- 12% for BAY MKH 3586 and atrazine, and +/- 17% for cyanazine. Soil application timings include: preplant surface applications up to 37 days before planting, preplant incorporated up to 10 days before planting, and preemergence from planting to before crop emergence. BAY MKH 3586 can replace all or part of other herbicides for dicotyledonous weed control and provides similar residual control of important species at lower rates than atrazine (Table 1).

Table 1. Herbicidal efficacy on annual dicotyledonous weeds and crop safety in corn of BAY MKH 3586 70 WG versus atrazine soil applied in the United States from 1994 to 98.

	BAY MKH 3586 (g a.i./ha)			Atrazine (g a.i./ha)		
	250	375	500	900	1350	1800
	Percent weed control / percent phytotoxicity (No. of Trials)					
Phytotoxicity	0	3	3	0	2	1
Species						
Abutilon theophrasti	82 (7)	77 (12)	85 (84)	74 (6)	50 (22)	71 (76)
Amaranthus spp.	76 (7)	79 (10)	84 (69)	95 (6)	89 (15)	93 (62)
Datura stramonium	77 (4)	95 (2)	92 (11)	98 (4)	90 (4)	96 (10)
Ipomoea spp.	71 (7)	85 (5)	76 (41)	84 (6)	89 (12)	84 (37)
Xanthium strumarium	38 (6)	73 (3)	75 (32)	67 (6)	52 (9)	75 (32)
Helianthus annuus	-	93 (1)	77 (12)	-	78 (4)	87 (12)
Ambrosia artemisiifolia	63 (5)	79 (3)	79 (26)	87 (4)	78 (10)	90 (26)
Ambrosia trifida	-	-	57 (6)	-	53 (2)	91 (6)
Chenopodium album	91 (5)	82 (5)	82 (37)	99 (4)	59 (10)	88 (36)
Polygonum pensylvanicum	62 (2)	78 (4)	91 (11)	93 (1)	67 (1)	90 (11)
Capsella bursa-pastoris	-	-	74 (5)	-	77 (5)	86 (5)
Conyza canadensis	-	76 (1)	85 (6)	-	-	62 (5)
Lepidium virginicum	-	-	95 (3)	-	-	93 (3)
Taraxacum officinale	-	79 (2)	82 (7)	-	-	82 (5)
Veronica peregrina	-	-	98 (5)	-	-	100 (4)

Although in corn the primary target species will be dicotyledons, BAY MKH 3586 contributes significant herbicidal activity on many important grassy weed species. Therefore, BAY MKH 3586 can enhance residual control of grassy weeds in combination with a grass herbicide. BAY MKH 3586 again compares well with atrazine and, for species such as *P. dichotomiflorum*, superior activity is suggested (Table 2).

Table 2. Herbicidal efficacy on annual monocotyledonous weeds in corn of BAY MKH 3586 70 WG versus atrazine soil applied in the United States from 1994 to 98.

	BAY MKH 3586 (g a.i./ha)			Atrazine (g a.i./ha)		
	250	375	500	900	1350	1800
Species	Percent weed control (No. of Trials)					
Setaria spp.	41 (6)	59 (10)	65 (16)	44 (5)	50 (16)	62 (64)
Pennisetum glaucum	-	-	41 (5)	-	-	48 (4)
Digitaria spp.	34 (2)	90 (1)	81 (7)	17 (2)	83 (2)	75 (6)
Panicum dichotomiflorum	-	-	62 (10)	-	33 (4)	46 (9)
Eragrostis pilosa	-	-	83 (3)	-	-	34 (3)
Echinochloa crus-galli	17 (3)	-	73 (3)	68 (2)	-	79 (2)

The contact activity of BAY MKH 3586 also provides burn down in addition to residual activity on emerged vegetation in corn grown in conservation tillage systems. Winter annual and early emerging dicotyledonous weeds can be controlled with the addition of a surfactant with BAY MKH 3586 combinations, and can offer a replacement for herbicides currently being restricted or removed from use in conservation tillage corn. Table 3 demonstrates initial evaluations of weed control following applications of the herbicides to existing vegetation in corn grown with conservation tillage.

Table 3. Burn down and early season efficacy on dicotyledonous weeds and crop safety in corn of BAY MKH 3586 70 WG versus atrazine and cyanazine soil applied in the United States from 1994 to 98.

	BAY MKH 3586			Atrazine			MKH 3586 + Atrazine	Cyanazine	
(g a.i./ha)	250	375	500	900	1350	1800	500 + 850	3000	6000
	Percent weed control / percent phytotoxicity (No. of Trials)								
Phytotoxicity	0	0	2	0	1	1	2	0	2
Species									
Ambrosia trifida	-	-	61 (6)	-	55 (2)	90 (6)	97 (1)	95 (3)	-
Chenopodium album	89 (5)	87 (5)	85 (37)	99 (4)	62 (10)	90 (36)	99 (6)	90 (13)	89 (5)
Polygonum pensylvanicum	72 (2)	92 (4)	96 (11)	98 (1)	67 (1)	93 (11)	99 (3)	88 (5)	100 (3)
Kochia scoparia	-	-	90 (1)	-	-	86 (1)	-	-	-
Capsella bursa-pastoris	-	-	90 (5)	-	85 (5)	93 (5)	-	-	-
Conyza canadensis	-	78 (1)	86 (6)	-	-	63 (5)	-	90 (1)	98 (1)
Lamium amplexicaule	-	-	79 (2)	-	-	100 (2)	-	-	-
Lepidium virginicum	-	-	93 (3)	-	-	93 (2)	97 (2)	-	-
Taraxacum officinale	-	79 (2)	83 (7)	-	-	83 (5)	82 (4)	88 (1)	95 (1)
Veronica peregrina	-	-	98 (5)	-	-	99 (4)	99 (4)	-	-

The WG formulation being developed for the corn in the USA has advantages over fluid formulations in handling and environmental safety. Less weight is transported with a WG than a comparable amount of active ingredient in a fluid formulation, and can be distributed in small packages or large (bulk) quantities. In the event of a spill of the concentrate, WG formulations are more easily contained and cleaned up compared with liquid formulations. BAY MKH 3586 has also been evaluated at various rates and is being developed for use in corn in Brazil, Thailand, Philippines, South Africa and Australia.

Sugar cane

BAY MKH 3586 has also been extensively evaluated in sugar cane applied both pre- and post- emergence. BAY MKH 3586 has been evaluated alone and in combination with other herbicides. For sugar cane in Brazil, BAY MKH 3586 will be used at rates greater than in corn and has been evaluated at rates exceeding 1000 g a.i./ha. Lower rates will also be included in combination with other herbicides. In addition to outstanding dicotyledonous weed control, BAY MKH 3586 at rates of 700 to 1200 g a.i./ha demonstrates effective control of many important grassy weeds in sugar cane. Combinations with other commercial herbicides used in sugar cane can replace a portion of the combination partner and provide superior broad spectrum weed control across many weed species (Tables 4 & 5). Sugar cane demonstrates excellent tolerance to BAY MKH 3586 and field trials are currently being conducted in countries other than Brazil including USA, Thailand, Philippines, South Africa and Australia.

Table 4. Efficacy of BAY MKH 3586 alone and in tank mixtures preemergence on common weeds in sugar cane in Brazil from 126 field trials conducted from 1993 to 99.

	BAY MKH 3586		Ametryn	Ametryn + Tebuthiuron	BAY MKH 3586 + Tebuthiuron	BAY MKH 3586 + Ametryn	BAY MKH 3586 + Metribuzin
(g a.i./ha)	700	1050 - 1200	2500 - 3000	1500 + 750	700 - 750	700 + 1500	800 + 960
Species	Percent weed control						
Brachiaria plantaginea	70	95	95	96	97	95	100
Brachiaria decumbens	70	85	85	96	95	90	100
Cenchrus echinatus	60	85	85	85	85	85	85
Digitaria horizontalis	85	95	95	95	95	95	100
Commelina benghalensis	100	100	100	-	-	-	-
Panicum maximum	60	95	95	100	100	100	100
Rhynchelytrum repens	85	100	95	-	-	-	100
Dicotyledons[1]	100	100	100	100	100	100	100

[1]*Euphorbia heterophylla, Sida thombifolia, Acanthosperum australe, Alternanthera ficoidia, Amaranthus chlorostachys, Bidens pilosa, Glycine max, Ipomoea* spp., *Portulaca oleracea*

Table 5. Efficacy of BAY MKH 3586 alone and in tank mixtures postemergence on common annual monocotyledonous weeds in sugar cane in Brazil from 126 field trials conducted from 1993 to 99.

	BAY MKH 3586		Ametryn	Ametryn + Tebuthiuron	BAY MKH 3586 + Tebuthiuron	BAY MKH 3586 + Ametryn	BAY MKH 3586 + Metribuzin
(g a.i./ha)	700	1050 - 1200	2500 - 3000	1500 + 750	700 + 750	700 + 1500	560 + 960
Species	Percent weed control						
Brachiaria plantaginea	75	100	100	100	100	100	100
Brachiaria decumbens	85	100	95	96	100	95	90
Cenchrus echinatus	60	85	85	92	90	90	90
Digitaria horizontalis	60	95	95	90	95	95	100

CONCLUSIONS

BAY MKH 3586 is a new herbicide for use in corn and sugar cane. Its broad spectrum of activity on dicotyledonous weeds permits replacement of all or part of other herbicides that are used at much higher rates. Contact activity demonstrated by BAY MKH 3586 adds flexibility to its uses as a burn down product in conservation tilled corn, or postemergence in sugar cane, in addition to effective residual soil activity. BAY MKH 3586 can contribute significantly to control of grassy weed species particularly at the higher rates used in sugar cane.

ACKNOWLEDGEMENTS

All data contained herein have been generated and compiled within the Bayer organization. We, the authors, would like to express our gratitude to our Bayer colleagues throughout the world and to acknowledge their efforts in bringing this project to fruition.

BAS 662 H: an innovative herbicide for weed control in corn

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ABSTRACT

BAS 662 H is a unique herbicide being developed by BASF for broad spectrum postemergence weed control in corn. BAS 662 H contains a combination of herbicides possessing auxin transport inhibition (diflufenzopyr) and auxin agonist modes of action (dicamba).

The key component in BAS 662 H is diflufenzopyr which inhibits polar transport of natural auxin and synthetic auxin agonist herbicides. Diflufenzopyr used alone inhibits plant growth resulting in stunting or "herbistatic" suppression of emerged weeds and moderate residual control of germinating weeds. When diflufenzopyr is combined with dicamba, as in BAS 662 H, it directs the translocation of dicamba to growing points where it delivers more effective broadleaf weed control.

BAS 662 H contains a 1:2.5 ratio of diflufenzopyr and dicamba providing broad spectrum postemergence and in-season residual broadleaf weed control with annual grass suppression at a total use rate range of 0.1-0.3 kg a.e./ha (containing 0.03-0.09 kg a.e. diflufenzopyr + 0.07-0.21 kg a.e. dicamba).

Diflufenzopyr and BAS 662 H have favorable environmental, toxicological and performance profiles which permitted them to receive "reduced risk" status from US and Canadian registration authorities. BAS 662 H was registered for postemergence broadleaf weed control in corn in the USA and Canada in 1999. Development and registration trials continue in all major corn growing regions worldwide.

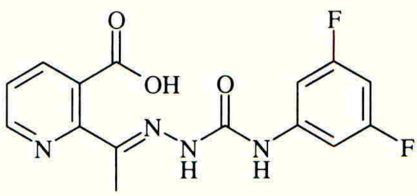
INTRODUCTION

BAS 662 H is the first of a new family of BASF herbicides based on the novel compound diflufenzopyr. BAS 662 H is a combination of diflufenzopyr and dicamba which is particularly well suited to manage the diverse broadleaf weed spectrum typically found in corn production. It has been extensively tested in over 600 field trials since 1991. BAS 662 H controls most annual broadleaf weeds, many perennial broadleaf weeds and provides suppression of several annual grasses. While the primary crop target for BAS 662 H is corn, uses in cereals, pasture and non-crop areas are also under development.

The component which gives BAS 662 H its unique biological properties is diflufenzopyr. Diflufenzopyr belongs to a new family of chemistry called semicarbazones. Diflufenzopyr's mode of action is through the inhibition of polar auxin transport. Used alone, this activity provides moderate preemergence residual and postemergence growth inhibition of sensitive plants. When diflufenzopyr is combined with dicamba (an auxin mimic or agonist), as in BAS 662 H, it directs the translocation of dicamba to the plant growing points where it delivers more effective broadleaf weed control. Diflufenzopyr also enhances the activity of other synthetic auxin agonists including phenoxies, pyridines and quinclorac, although dicamba is the most suitable partner for the corn weed spectrum and corn tolerance.

CHEMICAL AND PHYSICAL PROPERTIES (diflufenzopyr)

Structure:



Code name:	BAS 654 H
Common name:	diflufenzopyr (ISO)
Chemical name:	2-[1-[[[(3,5-difluorophenyl)amino]carbonyl]hydrazono]ethyl]-3-pyridinecarboxylic acid (CAS)
CAS registry number:	[*109293-97-2*]
Chemical family:	semicarbazone
Molecular formula:	$C_{15}H_{12}F_2N_4O_3$
Physical form:	off-white solid
Melting point:	155 °C
Vapor pressure:	$<1.3 \times 10^{-5}$ Pa (20 °C)
Water solubility:	63 mg/liter

TOXICOLOGICAL PROPERTIES (diflufenzopyr)

Oral LD_{50}	rat	>5000 mg/kg
Dermal LD_{50}	rat	>5000 mg/kg
Inhalation LC_{50}	rat	2.93 mg/liter
Dermal irritation	rabbit	non-irritating
Eye irritation	rabbit	minimal
Dermal sensitization	guinea pig	sensitizing
Mutagenicity	Ames test	negative

ECOTOXICOLOGICAL PROPERTIES (diflufenzopyr)

Diflufenzopyr has a low order of toxicity to birds, fish, and honeybees. It is only slightly toxic to freshwater and estuarine invertebrates. No levels of concern are exceeded for these organisms, including endangered species. Some nontarget terrestrial and aquatic plants are sensitive to diflufenzopyr, as would be expected for a herbicide. However, risk to nontarget plants is generally low because diflufenzopyr is applied at a low rate by ground equipment and degrades rapidly in the environment.

Avian oral LD_{50}	bobwhite quail	>2250 mg/kg
Dietary LD_{50}	bobwhite quail	>5620 mg/kg
	mallard duck	>5620 mg/kg
Aquatic fish LD_{50} (96 hours)	rainbow trout	106 mg/liter
	bluegill sunfish	135 mg/liter
Invertebrate contact LD_{50}	honeybee	> 90 μg/bee
Aquatic invertebrate EC_{50} (48 hours)	water flea	15 mg/liter
Algal EC_{50} (5 days)	*Selenastrum*	0.11 mg/liter

ENVIRONMENTAL FATE (diflufenzopyr)

Diflufenzopyr is not persistent in the environment and exhibits low to moderate mobility in soil. No diflufenzopyr residues were detected below 15 cm in soil dissipation studies, indicating the product has low potential to contaminate groundwater. Diflufenzopyr is also unlikely to bioaccumulate.

Octanol/Water Partition Coefficient (log K_{ow})	0.3 (pH 7)

Half life (DT_{50}):

Aqueous photolysis	30 days (pH 9)
Aerobic aquatic	20 to 26 days
Soil photolysis	13 days
Aerobic laboratory soil	8.6 to 10.1 days
Field soil	4.5 days

FORMULATION

Code name: BAS 662 H

BAS 662 H contains the sodium salts of diflufenzopyr and dicamba in a 1:2.5 acid equivalent ratio, formulated as a 70% WG containing 20% diflufenzopyr and 50% dicamba. This ratio provides broad spectrum postemergence and in-season residual broadleaf weed control with annual grass suppression at a total use rate range of 0.1-0.3 kg a.e./ha (containing 0.03-0.09 kg a.e. diflufenzopyr + 0.07-0.21 kg a.e. dicamba).

MODE OF ACTION

Diflufenzopyr is an auxin transport inhibitor which blocks the polar transport of naturally occurring auxin (indoleacetic acid, or IAA) and synthetic auxin-like compounds, such as dicamba, in sensitive plants. Diflufenzopyr's inhibition of auxin transport causes an abnormal accumulation of IAA and synthetic auxin agonists in meristematic shoot and root regions, disrupting the auxin balance needed for normal plant growth. When diflufenzopyr is applied with dicamba, as in BAS 662 H, it focuses dicamba's translocation to the meristematic sinks, where it delivers effective weed control at reduced dicamba rates and across a wider range of weed species than with dicamba alone.

While the precise mode of action for diflufenzopyr is yet unknown, it appears to bind to a specific carrier or efflux induction protein on the plasmalemma which is responsible for transport of auxin away from meristematic regions. Inhibition of this carrier blocks transport of both natural auxin and synthetic auxin agonists. Diflufenzopyr has a high affinity for this protein demonstrated by an auxin efflux inhibition value (I_{50}) of 19 nM. Geotropism in sensitive plants is also affected by diflufenzopyr where tomato root geotropism is inhibited (I_{50} 0.6 nM). Diflufenzopyr also readily displaces other known auxin transport inhibitors such as naptalam.

Symptomatology

Sensitive broadleaf weeds exhibit rapid and severe plant hormonal effects (e.g. epinasty) after application of BAS 662 H; symptoms are visible within hours, and plant death usually occurs within a few days. Symptoms in sensitive annual grasses are characterized by a "herbistatic" stunting effect on growth.

Absorption / Translocation

BAS 662 H requires the addition of an adjuvant such as a modified crop oil (0.5-1% v/v) or a combination of a nonionic surfactant plus an ammonium containing fertilizer (0.25 plus 1.25% v/v) for optimum activity across environmental conditions. BAS 662 H becomes rainfast within 3 hours when applied with recommended adjuvants. Once absorbed by the plant, BAS 662 H is translocated throughout the plant.

BIOLOGICAL PROPERTIES

Crop Selectivity

Field corn rapidly metabolizes BAS 662 H (dicamba and diflufenzopyr) and has demonstrated excellent tolerance when applied at pre-plant burn down, early-postemergence and mid-postemergence timings.

Herbicidal Activity

While BAS 662 H demonstrates consistent performance across a wide spectrum of broadleaf weed species and weed sizes, application rates vary depending of the length of residual control desired under different corn growing conditions. Generally use rates of 0.2-0.3 kg a.e./ha are recommended for 'early postemergence' application (10-30 cm corn), while 0.1-0.2 kg a.e./ha is recommended for 'mid postemergence' application (30-60 cm) where less residual activity is required before the crop canopies. BAS 662 H rates of 0.2-0.3 kg a.e./ha are typically recommended for North American conditions, while 0.1-0.2 kg a.e./ha are appropriate for European areas.

BAS 662 H provides excellent control of all important annual broadleaf weeds typical in corn production:

Weed control spectrum:

Effective Rate (kg a.e./ha)*	Weed Species
0.1 - 0.2	burcucumber (*Sicyos angulatus*)
	common cocklebur (*Xanthium strumarium*)
	common lambsquarters (*Chenopodium album*)
	hemp sesbania (*Sesbania exaltata*)
	kochia (*Kochia scoparia*)
	nightshades (*Solanum* sp.)
	pigweeds / waterhemp (*Amaranthus* sp.)
	prickly sida (*Sida spinosa*)
	ragweeds (*Ambrosia* sp.)
	smartweeds / knotweeds (*Polygonum* sp.)
	sunflowers (*Helianthus* sp.)
For added residual control of above species and control of the following species:	
0.2 - 0.3	annual morningglories (*Ipomoea* sp.)
	Canada thistle (*Cirsium arvense*)
	jimsonweed (*Datura stramonium*)
	redvine (*Brunnichia ovata*)
	sicklepod (*Senna obtusifolia*)
	velvetleaf (*Abutilon theophrasti*)

For grass weed suppression (<6 cm):

Effective Rate (kg a.e./ha)*	Weed Species
0.2 - 0.3	barnyardgrass (*Echinochloa crus-galli*)
	broadleaf signalgrass (*Brachiaria platyphylla*)
	fall panicum (*Panicum dichotomiflorum*)
	foxtails (*Setaria* sp.)
	johnsongrass (*Sorghum halepense*)
	shattercane (*Sorghum bicolor*)

*An adjuvant such as modified crop oil (0.5-1% v/v) or a combination of a nonionic surfactant plus an ammonium containing fertilizer (0.25 plus 1.25% v/v, respectively) must be added for optimum performance.

Weed resistance potential

The potential for weeds to develop resistance to BAS 662 H is considered to be low due to the nature of the modes of action of diflufenzopyr and dicamba. Both herbicides affect the plant growth regulating system involving auxin, with diflufenzopyr inhibiting polar auxin transport and dicamba acting as an auxin agonist. Auxin and its transport are critical for normal plant growth and development. Plants with a mutation affecting this system are unlikely to survive.

Laboratory resistance assays using over 3 million *Arabidopsis* plants as a model system have not shown any resistance to this chemistry. This suggests that resistance to diflufenzopyr is much less likely to occur than it is with triazine products or ALS-inhibitors (i.e. sulfonylureas, imidazolinones) because the frequency of resistance using the *Arabidopsis* assay with sulfonylurea herbicides is about 1 in 20,000 plants. Both diflufenzopyr and dicamba possess low resistance potentials which provide an especially effective resistance management tool when combined.

CONCLUSION

BAS 662 H is a novel herbicide with a unique mode of action developed by BASF for weed control in corn. BAS 662 H is a premix of diflufenzopyr and dicamba in a 1:2.5 ratio, and formulated as a 70% WG. BAS 662 H is being developed to provide a broader spectrum and higher level of broadleaf weed control than current postemergence corn herbicides deliver. In addition, BAS 662 H provides suppression of several key annual grass species. BAS 662 H and diflufenzopyr obtained "reduced risk" status from the US and Canadian regulatory authorities due to their favorable environmental, toxicological and performance profiles. BAS 662 H was registered in January 1999 in the United States and Canada, and is now sold under the tradename Distinct^{TM}. The development program for BAS 662 H continues in corn growing regions worldwide.

ACKNOWLEDGEMENTS

The authors gratefully acknowledge the contributions of all our colleagues who contributed to the discovery, development and registration of diflufenzopyr and BAS 662 H.

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UBH-820: a new selective herbicide for weed control in cereals

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ABSTRACT

UBH-820, (*R,S*)-*N*-benzyl-2-(4-fluoro-3-trifluoromethylphenoxy) butanamide, is a new selective herbicide discovered by Ube Industries, Ltd. UBH-820 is an inhibitor of plant phytoene desaturase and causes chlorosis in plants. UBH-820 showed excellent control of a wide range of broadleaved weeds such as *Veronica persica*, *Lamium amplexicaule*, and *Viola arvensis* and no phytotoxicity to wheat, barley, rye and triticale. UBH-820 shows favorable properties as a mixture partner for herbicides controlling grass weeds by early post-emergence treatment at the rate of 170-255 g a.i./ha. ASU 95510H, a mixed formulation of UBH-820 with isoproturon, showed good weed control in all European cereal field trials. UBH-820 has a favorable environmental profile with a relatively short half-life, low potential for mobility in soil and large margins of safety to mammalian, avian, aquatic and other non-target organisms.

INTRODUCTION

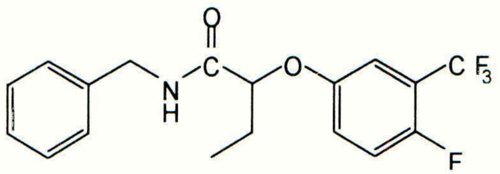
The occurrence of broadleaved weeds in cereal fields has been a serious problem for European farmers as it has caused commercially significant losses. UBH-820, a new phenoxybutanamide herbicide discovered by the Agrochemical Research Department of Ube Industries, Ltd, shows excellent promise for control of many important broadleaved weeds in cereals. UBH-820 shows favorable properties as a mixture partner for herbicides controlling grass weeds. ASU 95510H, a mixed formulation of UBH-820 and isoproturon being developed in cooperation with Stähler Agrochemie GmbH, has been evaluated as a post-emergence herbicide in winter and spring cereals. The results showed ASU 95510H has excellent activity against a wide range of grass and broadleaved weeds and no phytotoxicity to crops.

Although UBH-820 has a phenoxyacetic acid moiety in its chemical structure, it does not exhibit any auxin activity but induces strong chlorosis. UBH-820 is different from other phenoxy type compounds in possessing the amide moiety and ethyl group at the α-position of the carboxyl moiety. This particular chemistry makes it a unique chlorosis inducing herbicide with low environmental and toxicological risks. Research of this chlorosis inducing activity has revealed that UBH-820 inhibits the plant enzyme phytoene desaturase of the carotenoid biosynthetic pathway in plants.

This paper describes the herbicidal activities of UBH-820, the physical and chemical properties and the toxicological and environmental profiles.

CHEMICAL AND PHYSICAL PROPERTIES

Structure:



Chemical Name:	(*R,S*)-*N*-benzyl-2-(4-fluoro-3-trifluoromethylphenoxy)butanamide
Common Name:	beflubutamid (proposed ISO name)
Chemical Formula:	$C_{18}H_{17}F_4NO_2$
Molecular Weight:	355.33
Appearance:	fluffy powder, white
Melting Point:	75 °C
Vapor Pressure (at 25°C):	1.1×10^{-5} Pa
Henry's Law Constant:	1.1×10^{-9} atm.m^3/mol
Octanol/water partition coefficient:	4.28
Water Solubility (at 20°C):	3.29 mg/l
Solubility in organic solvents (at 20°C):	acetone: >600 g/l 1,2 –dichloroethane: >544 g/l ethyl acetate: >571 g/l methanol: >473 g/l *n*-heptane: 2.18 g/l xylene: 106 g/l

TOXICOLOGICAL AND ENVIRONMENTAL SAFETY

Acute toxicology

Acute oral LD_{50} (rat):	>5000 mg/kg
Acute dermal LD_{50} (rat):	>2000 mg/kg
Acute inhalation LD_{50} (rat):	>5 ml/l
Eye irritation (rabbit):	non irritant
Skin irritation (rabbit):	non irritant
Skin sensitization (guinea pig):	non sensitizing
Teratogenicity (rat, rabbit):	non teratogenic
Subchronic toxicity (rat oral 90 days):	NOEL 29 mg/kg bw/day

Genotoxicity

Ames test:	negative
Gene mutation test (mouse lymphoma):	negative
Cytogenetics assay (human lymphocytes):	negative
Micronucleus test:	negative

Environmental toxicity

Avian oral LD_{50} (bobwhite quail):	>2000 mg/kg
Avian dietary LC_{50} (bobwhite quail):	>5200 ppm
Earthworm LC_{50} (14d):	732 mg/kg
Rainbow trout LC_{50} (96h):	1.86 mg/l
Bluegill sunfish LC_{50} (96h):	2.69 mg/l
Daphnia acute EC_{50} (48 h):	1.64 mg/l
Algae toxicity (*Selenastrum*) EbC_{50}:	4.45 µg/l
Aquatic plant EC_{50} (*Lemna*):	0.029 mg/l
Honeybee oral LD_{50}:	>100 µg/bee
contact LD_{50}:	>100 µg/bee
Soil non-target microorganisms:	low risk to soil microflora

RESIDUE PROFILE IN CEREAL CROPS

Extensive residue trials are now being conducted in the EU.

FATE IN SOIL AND THE ENVIRONMENT

Metabolism in soil	
Mechanism:	Biodegradation DT_{50}: 5.4 days
Main metabolite:	2- (4-fluoro-3-trifluoromethylphenoxy) butanoic acid
Aerobic degradation in soil (Laboratory):	DT_{50}: 4.5-118 days
Field dissipation study:	Under test
Carry over effect:	No phytotoxicity to succeeding crops
Water/sediment study	
Degradation in water/sediment:	DT_{50} : 49-64 days
Degradation in water phase:	DT_{50} : 16-20 days
Adsorption/desorption on soil:	Koc: 852-1793
Hydrolysis:	Very stable at pH 5-9

MODE OF ACTION

UBH-820 belongs to the chlorosis inducing herbicides that inhibit the plant enzyme phytoene desaturase (PDS) of the carotenoid biosynthetic pathway leading to the photooxidation of chlorophyll. An accumulation of phytoene was found in bleached new leaves of weeds treated with UBH-820. Inhibition of recombinant plant-type PDS (G Sandmann *et al.*, 1996) and ζ-carotene desaturase (ZDS) by UBH-820 was studied in order to specify the inhibition site. The I_{50} value for the PDS inhibition was estimated to be 3.14×10^{-7} M and the ZDS inhibition was not observed in the range of 10^{-4} to 10^{-8} M (P Böger 1999). UBH-820 exhibits a good inhibitory activity for PDS with no inhibition of ZDS. In conclusion, the induction of chlorosis by UBH-820 is caused by the inhibition of PDS in the carotenoid biosynthetic pathway.

EFFICACY AND PHYTOTOXICITY

UBH-820

Efficacy and phytotoxicity of UBH-820 have been extensively studied in glasshouse and field. UBH-820 has pre-emergence and post-emergence activity, but showed most effectiveness by early post-emergence treatment. UBH-820 controlled a number of important broadleaf weeds (Table 1) by early post-emergence application at rates of 170-255 g a.i./ha. In particular hard-to-control broad-leaved weeds such as *Veronica persica*, *Lamium amplexicaule*, *Galium aparine* and *Viola arvensis* were showed to be sensitive. Wheat and barley showed tolerance to UBH-820 at rates up to 1000 and 500 g a.i./ha respectively. Timing of post-emergence application is important for effective control of some species such as *Galium aparine*, and application up to the 2-4 leaf stage of the weed showed consistent control.

Table 1. Important weeds controlled by early post-emergence application of UBH-820 at 250 g a.i./ha in cereals. Susceptible; 90% or higher control is normally achieved. Moderately susceptible; 70-90 % control is normally achieved.

Susceptible	Moderately Susceptible
Chenopodium album	*Galium aparine*
Viola tricolor	*Stellaria media*
Veronica persica	
Lamium amplexicaule	
Capsella bursa-pastoris	
Spergula arvensis	
Papaver rhoeas	

ASU 95510H, a mixed formulation of UBH-820 with isoproturon

ASU 95510H, a mixed formulation of UBH-820 (85 g a.i./l) + isoproturon (500 g a.i./l), has been evaluated for its performance in Europe since 1996 by Stähler Agrochemie GmbH, Germany. The results show a good complementary effect in the weed spectrum to bring about an excellent activity to a wide range of grass and broadleaf weeds in cereals as shown in Table 2. In particular, *Alopecurus myosuroides*, *Apera spica-venti*, *Matricaria* spp., *Stellaria media*, *Viola arvensis*, *Lamium* spp., *Galium aparine*, *Veronica* spp. and *Myosotis arvensis* show good sensitivity. ASU 95510H is used at the rate of 2-3 liter/ha as an early post-emergence herbicide.

Table 2. Weeds controlled by 2-3 liter of ASU 95510H early post-emergence

Alopecurus myosuroides	*Galium aparine*	*Papaver rhoeas*
Apera spica-venti	*Hypericum perforatum*	*Polygonum aviculare*
Brassica rapa	*Lamium* spp.	*Stellaria media*
Capsella bursa-pastoris	*Matricaria chamomilla*	*Thlaspi arvense*
Convolvulus arvensis	*Matricaria* spp.	*Veronica hederifolia*
Diplotaxis spp.	*Myosotis arvensis*	*Veronica* spp..
Fumaria officinalis	*Papaver dubium*	*Viola arvensis*

CONCLUSIONS

UBH-820 is a new chlorosis inducing herbicide that inhibits the plant enzyme phytoene desaturase of the carotenoid biosynthetic pathway in plants. It controls effectively a wide range of broadleaved weeds at 170-255 g a.i./ha in cereals. In particular, it shows excellent control of *Veronica persica*, *Lamium amplexicaule* and *Viola arvensis* following post-emergence application in small seeded cereals. A mixed formulation with isoproturon increases efficacy and widens the spectrum. ASU 95510H, a mixed formulation of UBH-820 (85 g a.i./l) + isoproturon (500 g a.i./l), has been developed in cooperation with Stähler Agrochemie GmbH. The excellent biological properties described above together with favorable toxicological and environmental data give UBH-820 excellent potential as a broad-leaved weed herbicide in cereals.

ACKNOWLEDGMENTS

Acknowledgment is given for the contribution made by Stähler Agrochemie GmbH to the cooperation for the development of the mixed products, to Dr. Tetsuo Takematsu an honorary professor of Utsunomiya University and Dr. Yasutomo Takeuchi, a professor of Utsunomiya University for their advice on biology and to Prof. Dr. Peter Böger of University of Konstanz for data on PDS and ZDS inhibition.

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AC 900001: A new herbicide for broadleaf weed control in cereals

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ABSTRACT

AC 900001 is a new aryloxypicolinamide herbicide being developed globally by American Cyanamid Company. It is an inhibitor of phytoene desaturase and is highly selective in wheat and barley. When applied postemergence at 50 g a.i./ha, it controls many important broadleaf weeds such as *Galium*, *Viola* and *Veronica* spp. AC 900001 is an excellent tank mixture partner and is being developed in combination with various herbicides, creating a portfolio of products that provide broad spectrum weed control and seasonal application flexibility. AC 900001 has a very favorable toxicological and environmental profile. It is readily degraded to a single inactive degradate in terrestrial and aquatic environments and has very little residual soil activity. It is also tightly adsorbed to the soil, posing no ground water risk or rotational crop restrictions. With these chemical characteristics and its associated high unit activity, AC 900001 will be a major addition to weed control in cereal production.

INTRODUCTION

AC 900001 is a new class of proprietary chemistry (aryloxypicolinamide) that provides broadleaf weed control in cereals. It has been extensively field tested since the early 1990s and has demonstrated excellent activity on important European winter cereal broadleaf weeds such as *Galium, Viola* and *Veronica* spp. at the low use rate of 50 g a.i./ha. AC 900001 has proven most effective as a tank mix partner with other broadleaf or cross spectrum herbicides, complimenting or filling weed control gaps, thus making it an ideal herbicide for the global cereal market. AC 900001 has many characteristics, relative to current cereal herbicides, that also contribute to its utility. For example, its margin of crop safety, low use rate and advantageous rotational crop profile all contribute to its flexibility in application timing. Based on various regulatory studies, AC 900001 has a favorable environmental fate, residue, toxicology and ecotoxicology profile. Regulatory submissions to various European Union member states will occur in 1999.

CHEMICAL AND PHYSICAL PROPERTIES

Structure:

Chemical Name:	4'-fluoro-6-[(α,α,α-trifluoro-*m*-tolyl)oxy]picolinanilide or *N*-(*p*-fluorophenyl)-6-[(α,α,α-trifluoro-*m*-tolyl) oxy]picolinamide (IUPAC) *N*-(4-fluorophenyl)-6-[3-(trifluoromethyl) phenoxy]-2-pyridinecarboxamide (CA)
Common Name:	Picolinafen (proposed)
CAS No.:	*[137641-05-5]*
Chemical Formula:	$C_{19}H_{12}F_4N_2O_2$
Molecular Weight:	376.3
Appearance:	white to chalky white, finely crystalline solid
Melting Point:	107.2 – 107.6°C
Vapor Pressure (20°C):	1.66×10^{-7} Pa (est.)
Partition Coefficient: (octanol/water, 20°C)	log K_{ow} = 5.37 (DI water) log K_{ow} = 5.36-5.43 (pH 5-9)
Water Solubility (20°C):	3.9×10^{-5} g/liter (DI water) $3.8 – 4.7 \times 10^{-5}$ g/liter (pH 5-9)
Hydrolysis:	stable over 5 days at 50°C for pH 4, 7 and 9
Formulation:	750 g a.i./kg WG (for registration)
Flammability:	not flammable
Explosive:	not explosive

TOXICOLOGY AND ECOTOXICOLOGY

Acute Toxicology (technical)

Acute Oral LD_{50} (rat):	> 5000 mg/kg b.w. (males and females)
Acute Dermal LD_{50} (rat):	> 4000 mg/kg b.w. (males and females)
Acute Inhalation LC_{50} (rat):	> 5.9 mg/liter (analytical) (males and females)
Eye Irritation:	non-irritating
Skin Irritation:	non-irritating
Skin Sensitization:	non-sensitizer

Genotoxicity

Ames Mutagenicity and HPRT/CHO:	negative
Micronucleus and *in vitro* cytogenetics:	negative

Ecotoxicology

Avian Oral LD_{50} (Bobwhite Quail and Mallard Duck):	> 2250 mg a.i./kg b.w.
Avian Dietary LC_{50} (Bobwhite Quail and Mallard Duck):	> 5314 ppm in diet
Earthworm LC_{50} (14 d):	> 1000 mg a.i./kg
Rainbow Trout LC_{50} (96 h):	0.281 mg a.i./liter
Honeybee Oral & Dermal LD_{50}:	> 200 µg a.i./bee
Daphnia EC_{50} (48 h):	0.612 mg a.i./liter

MODE OF ACTION AND PHYSIOLOGY

Numerous laboratory studies were conducted to elucidate the mode of action and to investigate the physiological effects of AC 900001 in cereal crops and selected weed species. AC 900001 inhibits the activity of phytoene desaturase, an enzyme in the carotenoid biosynthesis pathway in plants. Inhibition of this enzyme leads to a reduction in carotenoid pigments and ultimately, destruction of leaf chlorophyll in the foliage of sensitive species. Symptomology in the weeds appears as bleaching or whitening of leaf tissue.

In studies comparing the foliar uptake and translocation of ^{14}C-AC 900001 in wheat versus *Sinapis arvensis* (Figure 1), uptake of the compound was faster and significantly greater in *S. arvensis* (a susceptible species) than in wheat (a tolerant species).

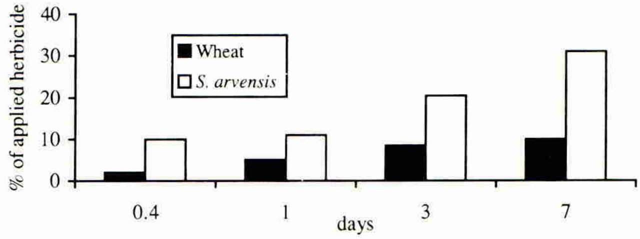

Figure 1. Comparative absorption of foliar-applied AC 900001.

In studies comparing the absorption and translocation of foliar-applied ^{14}C-AC 900001 in *Avena fatua* (tolerant) versus *Galium aparine* (susceptible), seedlings of both species readily absorbed AC 900001. Of the foliar-applied herbicide, 22 and 63% was absorbed by *A. fatua* and 48 and 75% by *G. aparine* seedlings at one and seven days after treatment, respectively.

In addition to the differential herbicide absorption between the two species, the amount of AC 900001 per gram dry weight of plant tissue is much greater in the susceptible species than in the tolerant species after 1 week of treatment (Table 1). The percentage of the absorbed herbicide translocated within *Galium* is also greater than the *A. fatua*.

Table 1. AC 900001 content and translocation in *A. fatua* and *G. aparine*.

	Avena fatua		*Galium aparine*	
DAT	ppb	% translocated	ppb	% translocated
1	4	1.6	29	2
3	5.8	2.1	22	2.4
7	4.4	1.1	13	14

ppb = concentration of AC 900001 per gram dry weight of plant tissue.

In hydroponic studies comparing the uptake and translocation of root-applied ^{14}C-AC 900001, wheat, barley, and *G. aparine* seedlings readily absorbed the herbicide. *Galium aparine* seedlings accumulated 2-4X more herbicide than the cereals. Translocation of the absorbed herbicide to the shoots of the seedlings was minimal.

Chromatographic analyses of the extracts of absorbed ^{14}C-AC 900001 from treated seedlings have shown that the herbicide is not metabolized in wheat, *A. fatua, S. arvensis* and *G. aparine* up to two weeks after treatment. In all species, more than 95% of the extractable radiotracer was the parent herbicide.

Collectively, these data indicate that differential uptake and translocation of AC 900001, not the amount or rate of AC 900001 metabolism, account for the level of herbicide selectivity amongst crop and weed species.

FATE IN SOIL AND THE ENVIRONMENT

AC 900001 has very little residual soil activity and is not a threat to ground water. The rate of soil degradation for AC 900001 was evaluated in both laboratory (20°C) and field studies (Table 2). The average field soil half-life (DT_{50}) and DT_{90} for AC 900001 is one month and less than four months, respectively. Therefore, this herbicide is not expected to accumulate in the soil nor have rotational crop restrictions.

Table 2. AC 900001 soil degradation.

Location	Type	DT_{50} (days)	DT_{90} (days)	No. Studies
Lab	Aerobic	1-14	34-149	4
Lab	Anaerobic	7	58-73	1
Field[1]	Aerobic	30 (9-64)	107 (56-212)	8

Field[1] = average (range)

AC 900001 partitions quickly out of aqueous solutions (note the log K_{ow} values provided above) and becomes tightly bound to the soil, regardless of soil texture, as evidenced by the following values (Table 3).

Table 3. AC 900001 soil characteristics.

Soil Type	K_{oc} values	K_d values
Silty loam	17100	396
Sandy loam	15000	248
Silty loam	31800	292
Slightly silty loam	26000	764

In column leaching studies with AC 900001 750 g a.i./kg WG, less than 0.1% of applied radioactivity was observed in the leachate. The active ingredient is hydrolytically stable, but is susceptible to photolytic degradation (DT_{50} = 23-31 d). The principal soil degradate of AC 900001 has an even more favorable environmental fate and ecotoxicological profile.

ROTATIONAL CROPS

Due to its very short soil persistence, AC 900001 will not cause injury to follow crops, either seeded in the autumn or spring season following postemergence application. In rotational crop studies using lettuce, soybean, carrot, peas, sugar beet and sunflower, no significant crop residues (≥ 0.01 mg/kg) were observed when crops were planted 30 days or 11 months after post-emergent application of AC 900001 to cereal crops.

FIELD PERFORMANCE

AC 900001 has been extensively field tested in Europe, as well as Australia and Canada, since the early 1990s. It selectively controls many important broadleaf weeds in wheat, barley and durum. When applied early postemergence (1-4 leaf) in the autumn or out-of-winter (early spring prior to initiation of rapid spring growth) at the use rate of 50 g a.i./ha, AC 900001 controls (> 90%) the following important broadleaf weed species (data from N.

Europe): *Galium aparine, Veronica persica, Viola arvensis, Lamium amplexicaule* and *Sinapis arvensis.*

AC 900001 has proven to be most effective when combined with another postemergence broadleaf or cross-spectrum cereal herbicide to expand or significantly improve control of dicot weeds. In particular, AC 900001 compliments the activity of pendimethalin. When combined with pendimethalin (1000 g a.i./ha), the following level of broadleaf weed control can be expected:

> 90%	> 90% (cont.)	75-90%
Galium aparine	*Stellaria media*	*Matricaria chamomilla*
Veronica persica	*Sinapis arvensis*	*Fumaria officinalis*
Viola arvensis	*Myosotis arvensis*	
Lamium amplexicaule	*Polygonum* spp.	
Sinapis arvensis	*Capsella bursa-pastoris*	
Veronica hederifolia	*Papaver rhoeas*	
Lamium purpureum	*Senecio vulgaris*	

CONCLUSION

AC 900001 is a new aryloxypicolinamide herbicide being developed globally for broadleaf weed control in cereals. When applied early postemergence at 50 g a.i./ha, it controls important broadleaf weeds such as *Galium, Veronica* spp. and *Viola*. This herbicide is most efficacious when combined with a complimentary mixing partner, whether a broadleaf herbicide, graminicide or cross-spectrum product. AC 900001 will be developed in combination with various herbicides, creating a portfolio of products that provide seasonal application flexibility and broad spectrum weed control. Having very little residual soil activity, it is readily degraded in terrestrial and aquatic environments, posing no ground water risk or rotational crop restrictions. With these chemical characteristics and its associated high unit activity, AC 900001 will be a major contribution to weed control in cereal production. Registration submissions in various European Union member states and Australia will occur in 1999 and Canada in 2000.

ACKNOWLEDGEMENTS

The authors wish to acknowledge the many individuals at American Cyanamid Company who contributed to the successful development of this herbicide and the various coformulations.

BAY MKH 6561 – A new selective herbicide for grass control in wheat, rye and triticale

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ABSTRACT

BAY MKH 6561 is a new sulfonylaminocarbonyltriazolinone herbicide discovered and developed by Bayer. Its mode of action is inhibition of the enzyme acetolactate synthase (ALS). It can be applied post-emergence to wheat, rye and triticale at rates of 30 to 70 g a.i./ha. Target weeds are important grasses such as *Bromus* species, *Alopecurus myosuroides* and *Apera spica-venti*. In addition the product can be used to control the perennial grass *Elymus repens* selectively; due to its systemic mobility BAY MKH 6561 also kills underground rhizomes of this weed. BAY MKH 6561 has a favourable toxicological and environmental profile.

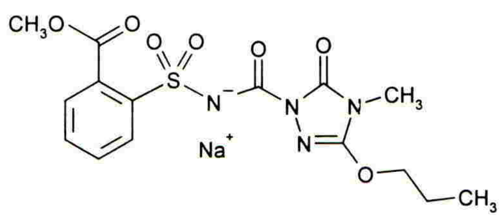
INTRODUCTION

Growing cereal crops for high yields requires effective weed control, and grass weeds especially can cause costly yield reductions. Products available today for the control of *A. myosuroides* often show reduced effectiveness because of spreading resistance and have suffered use restrictions because of environmental concerns. Selective control of *Bromus* spp. and *E. repens* in cereals is still problematic, as herbicides currently available are of limited use against these troublesome weeds.

BAY MKH 6561 has been developed by Bayer and its effectiveness for the control of *A. myosuroides*, *Bromus* spp. and *E. repens* in wheat, rye and triticale has been proved in many field trials performed in different geographical regions over several years.

PHYSICAL AND CHEMICAL PROPERTIES

Structure:



Chemical name: methyl 2-({[(4-methyl-5-oxo-3-propoxy-4,5-dihydro-1*H*-1,2,4-triazol-1-yl)carbonyl]amino}sulfonyl)benzoate sodium salt (IUPAC)

CAS reg. no.:	*181274-15-7*
Chemical formula:	$C_{15} H_{17} N_4 Na O_7 S$
Molecular weight:	420.4
Appearance:	colourless crystalline powder
Melting point:	230 - 240 °C (under decomposition)
Vapour pressure:	< 1 x 10^{-8} Pa (20 °C)
Solubility in water (20°C):	2.9 g/litre (pH 4) 42.0 g/litre (pH 7) 42.0 g/litre (pH 9)
Partition coefficient (20°C): (octanol/water)	log Pow: -0.30 (pH 4) log Pow: -1.55 (pH 7) log Pow: -1.59 (pH 9)
Dissociation constant:	pKa = 2.1 (free acid of BAY MKH 6561)
Hydrolysis (25°C):	stable (pH 4 - 9)

TOXICOLOGY OF TECHNICAL MATERIAL

Acute toxicity:	Oral LD_{50} rat Dermal LD_{50} rat Inhalation LC_{50} rat	> 5000 mg/kg > 5000 mg/kg > 5030 mg/m^3 air
Irritation:	Eye, rabbit Skin, rabbit	No irritation No irritation
Skin sensitization	Guinea pig	Negative
Neurotoxicity:	Acute and subchronic rat	No neurotoxicity
Mutagenicity:	SMT, HGPRT, UDS, Cyt/M *in vitro*, MNT	All tests negative
Developmental toxicity:	Rabbit, rat	No specific effects
Reproduction toxicity:	Rat	No specific effects
Chronic toxicity / : Oncogenicity	Rat, mouse	Favourable NOAELs, No oncogenic potential

ECOTOXICOLOGY OF TECHNICAL MATERIAL

Avian toxicity:	Oral LD_{50} bobwhite quail	> 2000 mg/kg b.w.
	Dietary LC_{50} bobwhite quail	> 5000 mg/kg diet
Aquatic toxicity:	LC_{50} bluegill sunfish	> 94.7 mg/litre (96h)
	LC_{50} rainbow trout	> 77.6 mg/litre (96h)
	EC_{50} *Daphnia magna*	> 107 mg/litre (48h)
	EC_{50} green algae	1.57 mg/litre
Others:	Oral LD_{50} honeybee	> 319 µg/bee
	Contact LD_{50} honeybee	> 200 µg/bee
	LC_{50} earthworm	> 1000 mg/kg soil

ENVIRONMENTAL FATE

Photolysis:	Pure water (25 °C)	DT_{50} ca. 30 d
	Soil (loamy sand)	DT_{50} ca. 36 d
Soil degradation:	Field dissipation (average of 7 trials)	DT_{50} ca. 9 d
Soil mobility:	No risk of ground water contamination on the basis of lysimeter studies	

MODE OF ACTION

BAY MKH 6561 inhibits the enzyme acetolactate synthase (ALS), and is classified in group B according to HRAC. Uptake by plants is via leaves and roots. Leaf absorption can be increased by adding a surfactant, which is especially useful under dry conditions. The compound provides residual activity, so weeds emerging after application during a few weeks are also controlled. BAY MKH 6561 is translocated in plants acropetally and basipetally within both the xylem and phloem. After application of BAY MKH 6561, the sensitive plants cease growing and over days develop symptoms including stunting, discoloration and necrosis which finally result in death of the weeds.

WEED CONTROL

The field performance of BAY MKH 6561 has been tested over several years in different geographical regions of the world. Application must be post-emergence, rates vary from 30 to 70 g a.i./ha depending on weed species and developmental stage, application timing, soil type and soil conditions. Most sensitive are certain grass weeds and some dicotyledonous weeds (Table 1). For broad spectrum weed control, the use of the product in combination with other herbicides is recommended.

The control of annual grasses in winter wheat with BAY MKH 6561 in the USA is discussed by Scoggan et al. (1999).

Table 1. Important weeds controlled by BAY MKH 6561 – 30 to 70 g a.i./ha post-emergence, all geographical regions

	Susceptible	Moderately susceptible
Grasses	*Alopecurus myosuroides*	*Avena fatua*
	Apera spica-venti	*Phalaris* spp.
	Bromus japonicus	*Poa* spp.
	Bromus mollis	
	Bromus rigidus	
	Bromus secalinus	
	Bromus sterilis	
	Bromus tectorum	
	Elymus repens	
Broadleaf weeds	*Brassica* spp.	
	Capsella bursa-pastoris	
	Sinapis arvensis	
	Thlaspi arvense	

Europe - Efficacy on target weeds

With its efficacy on the important grasses *A. myosuroides* and *A. spica-venti* and the perennial species *E. repens* (Tables 2 to 4) BAY MKH 6561 fits very well into northern and central regions of Europe where these troublesome weeds are common in many cereal fields. BAY MKH 6561 can be applied post-emergence to wheat, rye or triticale early in spring. The core use rate is 42 g a.i./ha, use of a surfactant is only recommended under dry soil conditions when root uptake is limited because of insufficient soil moisture.

Table 2. Efficacy of BAY MKH 6561 on *A. myosuroides* and *A. spica-venti* (applied post-emergence in spring, Continental Northern Europe, heavily infested sites, orthogonal comparison)

	Rate (g a.i./ha)	Efficacy (%) *A. myosuroides*	*A. spica-venti*
Number of field trials		66	27
BAY MKH 6561	28	86.4	92.4
	42	91.3	93.8
Isoproturon	1 500	85.4	92.1

Table 3. Efficacy of BAY MKH 6561 on *E. repens* (applied post-emergence in spring, Northern Europe)

	Rate (g a.i./ha)	Efficacy (%)
Number of field trials		41
BAY MKH 6561	42	86.8
	70	89.8

Table 4. Efficacy of BAY MKH 6561 on important grass weeds in winter wheat (Official field trials, applied post-emergence in spring, Germany, 1997 and 1998)

		Efficacy (%)	
	n	BAY MKH 6561 42 g a.i./ha	Isoproturon 1 500 g a.i./ha
Elymus repens	11	96.6	-
Alopecurus myosuroides	8	97.4	87.7
Apera spica-venti	15	96.6	95.6
Bromus sterilis	7	86.0	-

n = number of field trials

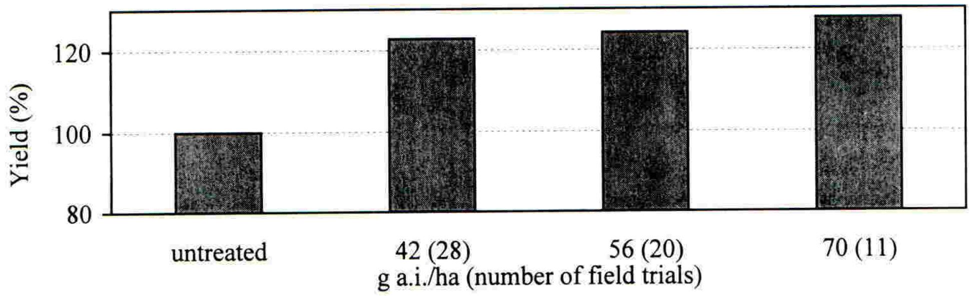


Figure 1. Average yield response of *E. repens* infested winter wheat after spring application of BAY MKH 6561 (Northern Europe)

Effective *E. repens* control with BAY MKH 6561 resulted in a clear positive yield response in yield trials infested with this troublesome perennial grass weed (Figure 1). In several of these field trials with severe infestations of *E. repens* very high yield increases have been achieved.

Observations in crops succeeding winter wheat showed that areas treated with BAY MKH 6561 the previous season contained no or greatly reduced amounts of *E. repens* rhizomes. BAY MKH 6561 is capable of killing underground parts of *E. repens* due to its systemic mobility.

CROP TOLERANCE

BAY MKH 6561 can be used post-emergence on wheat, rye and triticale. In general, this compound is tolerated very well by these crops and, only in a few cases under adverse weather conditions, minor temporary growth inhibition was observed. These symptoms disappeared rapidly and crops resumed normal development. As demonstrated in yield trials under weed-free conditions in winter wheat no yield decrease was observed even with double rates up to 140 g a.i./ha of BAY MKH 6561 (Figure 2). During field evaluation many varieties have been tested, no variety-specific effects could be detected.

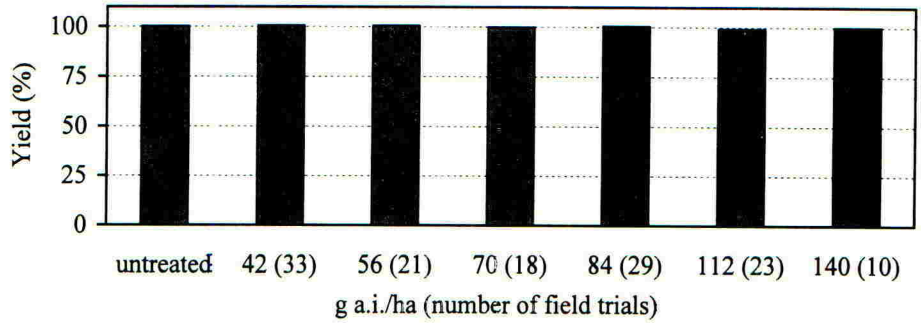


Figure 2. Average yield response of winter wheat under weed-free conditions after spring application of BAY MKH 6561 (Northern Europe)

BAY MKH 6561 does not pose any risk to succeeding crops in a normal rotation. It degrades rapidly in the soil as confirmed in field dissipation studies. No signs of herbicide carry-over were observed during field evaluation of BAY MKH 6561.

CONCLUSIONS

BAY MKH 6561 is a highly active herbicide belonging to a novel class of chemistry. It can be used post-emergence at low rates in wheat, rye and triticale. It provides a new tool for the selective control of *A. myosuroides* and *A. spica-venti* for farmers. It will be useful to rotate with herbicides having different modes of action in weed resistance strategies. Unique features of BAY MKH 6561 are the selective control of *Bromus* species and of the perennial *E. repens*. For broad spectrum weed control, BAY MKH 6561 can be mixed with a wide variety of other herbicides.

BAY MKH 6561 has a favourable toxicological and environmental profile.

ACKNOWLEDGEMENTS

All data presented have been generated and compiled within the Bayer organization. We, the authors, would like to take this opportunity to express our gratitude to our Bayer colleagues throughout the world and acknowledge their efforts in bringing this project forward.

REFERENCES

Scoggan A; Santel H J; Wollam J (1999). Control of annual grasses in winter wheat with BAY MKH 6561 in the USA. *Proc. 1999 Brit. Crop Prot. Conf. – Weeds*, in Press.

BAS 620 H - A new selective herbicide for post-emergence control of grass weeds in broadleaf crops

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ABSTRACT

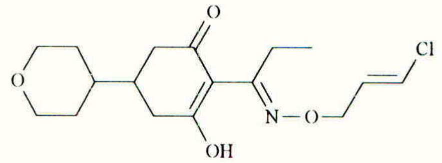
BAS 620 H is a new cyclohexenone herbicide of Nisso BASF Agro Ltd containing the new active ingredient tepraloxydim (proposed ISO common name). BAS 620 H is developed by BASF for broad spectrum post-emergence grass weed control in broadleaf crops in Europe and the Americas. At a use rate of 50 - 75 g a.i./ha, BAS 620 H controls all economically important annual grasses as well as volunteer small grains. BAS 620 H, at 75 - 100 g a.i./ha, is particularly strong on *Poa annua* and volunteer corn. At 100 g a.i./ha, BAS 620 H controls perennial grasses such as *Sorghum halepense* and *Elymus repens*, and supresses *Cynodon dactylon* and *Brachiaria decumbens*. The standard formulation of BAS 620 H is a 200 g/litre EC formulation for use with an additive in soybeans, cotton, canola, peas, beans, mustard and flax. In Europe, an adjuvant built-in formulation is under development for use in winter oilseed rape, sugar beet, potatoes, cole and pulse crops. BAS 620 H can be applied alone or in a mixture with other herbicides to complement the weed spectrum on broadleaf weeds. Environmental fate and toxicology studies indicate a favorable environmental profile. First registrations of BAS 620 H are expected in 1999/2000.

INTRODUCTION

Farmers have many pre- and post-emergence options to control grasses and volunteer small grains in broadleaf crops. Most pre-emergence herbicides provide sufficient and long lasting control but may show reduced activity under dry conditions and do not control the volunteer graminaceous crops in which they are used selectively. Post-emergence graminicides allow the grower to use a problem specific solution which, especially in case of genetically modified volunteer graminaceous crops, might be of greater importance in the future. BAS 620 H is a new herbicide from the class of cyclohexenones which offers foliar activity with great timing flexibility on grasses and volunteer graminaceous crops at low and environmentally benign use rates.

CHEMICAL AND PHYSICAL PROPERTIES

Structure:



Common name:	tepraloxydim (proposed ISO common name)
Code name:	BAS 620 H
Chemical name:	(*EZ*)-(*RS*)-2-{1-[(2*E*)-3-chloroallyloxyimino] propyl}-3-hydroxy-5-perhydropyran-4-ylcyclohex-2-en-1-one
Molecular formula:	$C_{17}H_{24}ClNO_4$
Molecular weight:	341.82
Appearance:	beige solid, slight characteristic odor
Melting point:	71.5 °C
Vapor pressure:	1.1 x 10^{-5} Pa (20 °C)
Water solubility:	0.14 mg/litre (ppm)

TOXICOLOGICAL PROPERTIES

Oral LD_{50} rat:	> 2 200 mg/kg
Dermal LD_{50} rat:	> 2 000 mg/kg
Inhalation LC_{50} rat:	5.1 mg/litre
Skin irritation rabbit:	non-irritant
Mucous membrane irritation rabbit:	non-irritant
Skin sensitization guinea pig:	non-sensitizing

ECOTOXICOLOGICAL PROPERTIES

Trout (*Oncorhynchus mykiss*):	LC_{50}	(96 h)	> 100 mg/litre
Water flea (*Daphnia magna*):	EC_{50}	(48 h)	> 100 mg/litre
Green alga (*Chlorella fusca*):	EC_{50}	(72 h)	76 mg/litre
Honey bee (*Apis mellifera*):	LD_{50}		> 200 µg/bee
Quail (*Colinus virginianus*):	LD_{50}		> 2 000/kg body weight

BEHAVIOR IN SOIL

Persistence:	Half-life under laboratory conditions 1 - 9 days
Mobility:	Leaching to groundwater highly unlikely due to rapid degradation in soil and low use rates.
Photo degradation:	Rapid photo-degradation on a soil surface (DT_{50} about 1 day) under laboratory conditions

FORMULATION

The standard formulation of BAS 620 H is a 200 g/litre EC formulation for use with an additive. A 50 g/litre EC formulation with an built-in adjuvant is under development for the European market.

MODE OF ACTION

Symptomology

Growth of BAS 620 H treated grasses ceases within a few days with young and actively tissues affected first. Leaf tip necrosis, reddening of foliage and subsequent dieback will generally occur within 3 - 4 weeks. Leaf sheaths become brown and mushy at and above their point of attachement to the node.

Absorption/translocation

BAS 620 H is absorbed into leaves and is translocated throughout the plants to the roots. Young leaves absorb BAS 620 H more rapidly than older leaves. High temperatures and humidity enhance uptake. The addition of an adjuvant (e. g. oil concentrate) greatly enhances speed and quantity of uptake. BAS 620 H is rainfast within 1 hour.

Mechanism of action

BAS 620 inhibits acetyl-CoA carboxylase (ACCase), the enzyme catalyzing fatty acid synthesis. Inhibition of fatty acid synthesis prevents the production of phospholipids used for the formation of new membranes required for cell growth.

BIOLOGICAL PROPERTIES

Selectivity

BAS 620 H has been extensively tested in field trials in the Americas and Europe. BAS 620 H is selective in all broadleaf crops at herbicidal use rates. BAS 620 H is also well tolerated by species of the Lily family (*Allium* spp. etc.) and *Pinus* species. The enzyme system that is responsible for lipid biosynthesis is not affected by BAS 620 H in most broadleaf plants. However, the ACCase enzyme system of some *Brassica* crops is slightly more sensitive than in other broadleaf crops. This can occasionally cause minor and temporary leaf deformations. Consequently, lower use rates are recommended in these crops.

Table 1. Crop selectivity spectrum of BAS 620 H

Rate	Crops
> 100 g a.i./ha	agronomic crops:
	alfalfa, cotton, clover, beans (dry), flax, peanuts, potatoes (sweet and Irish), soybean, sugarbeet, safflower, sunflower, turnip
	vegetables:
	broccoli, pea (dry and fresh), chard, cucumber, cabbage (head and chinese), onion (bulb and green), cauliflower, kale, Brussel sprouts, garlic, kohlrabi, lettuce, leek, squash, carrot, okra, peppers, radishes, mustard greens, spinach, tomatoes
≤ 100 g a.i./ha	agronomic crops: canola, mustard, oil seed rape

Efficacy

BAS 620 H was tested in small plot field trials in broadleaf crops of Europe and the Americas over several seasons. All field trials contained 2 - 4 replications with a plot size of 10 - 30 m^2. The 200 g/litre EC formulation was used in all trials with an additive (e. g. oil concentrates) at 0.625 to 1.25 % $^v/_v$ whereas no additives were used for the adjuvant containing 50 g/litre EC formulation. Postemergence applications were made in 100 - 300 litres/ha spray volumes to grass weeds ranging from 3 leaf stage to the end of tillering.

Excellent control of many grass species was obtained with rates of 50 - 100 g a.i./ha. Table 2 shows the activity of BAS 620 H on the economically most important grass weeds.

Table 2. The activity of BAS 620 H on economic important annual grass weeds in field trials conducted worldwide 1990 - 1998

	% control			
	BAS 620 H			clethodim
grass species g a.i./ha	50	75	100	100
Alopecurus myosuroides	94 (159)	94 (131)	96 (105)	99 (47)
Avena fatua	97 (124)	98 (79)	98 (65)	98 (30)
Brachiaria plantaguinea	85 (84)	94 (80)	96 (53)	93 (17)
Bromus spp.	87 (38)	93 (25)	94 (21)	90 (20)
Cenchrus echinatus	91 (26)	97 (31)	99 (19)	99 (4)
Digitaria sanguinalis	88 (172)	94 (104)	92 (90)	84 (62)
Echinochloa crus-galli	92 (222)	98 (91)	96 (99)	96 (91)
Eleusine indica	92 (98)	97 (51)	96 (37)	97 (39)

Table 2. continued

Eriochloa villosa	86 (12)	91 (6)	92 (9)	94 (2)
Leptochloa filiformis	93 (26)	95 (24)	93 (18)	99 (1)
Lolium multiflorum	95 (30)	95 (67)	94 (42)	95 (28)
Poa annua	76 (175)	85 (123)	88 (96)	76 (38)
Panicum spp.	82 (7)	100 (3)	96 (4)	98 (8)
Setaria faberi	91 (63)	97 (21)	97 (35)	90 (27)
Setaria verticillata	96 (44)	99 (17)	96 (14)	96 (23)
Setaria viridis	93 (95)	97 (28)	96 (46)	93 (32)
Sorghum vulgare	92 (58)	96 (29)	97 (14)	91 (16)

(n) = number of trials

A specific feature of BAS 620 H is the good activity at 75 - 100 g a.i./ha on *Poa annua* (Table 2). BAS 620 H also shows good rate flexibility allowing the use of lower application rates, when applied under favorable growing conditions and/or prior to the tillering stages of annual grass weeds.

Best results on volunteer small grains in winter oilseed rape in Europe have been achieved with autumn applications made at early growth stages. Good control of volunteer small grains in canola in North America can be obtained at reduced rates (33 g a.i./ha) provided the applications are made prior to tillering. Warm and moist spring conditions enhance the activity of BAS 620 H.

Table 3. The activity of BAS 620 H on volunteer graminaceous crops in field trials conducted in Europe and North America in 1990 - 1998

	% control			
crop species	50	75	100	g a.i./ha
Europe				
volunteer barley	96 (16)	100 (14)	100 (16)	
volunteer wheat	95 (32)	98 (32)	99 (32)	
North America				
volunteer barley	95 (143)	98 (65)	99 (38)	
volunteer wheat	94 (14)	98 (8)	100 (2)	
volunteer corn	93 (20)	95 (8)	97 (8)	

(n) = number of trials

BAS 620 H is also effective on perennial grasses. Good control of *Elymus repens* was achieved in European field trials when BAS 620 H was applied to actively growing plants with a plant height of 15 - 20 cm. Good control of *Sorghum halepense* required the use of an effective adjuvant system such as DASH HC or the use of an oil concentrate together with ammonium sulfate or urea ammonium nitrate. BAS 620 H demonstrated in Southern hemisphere field trials good supression of *Brachiaria decumbens* and *Cynodon dactylon* at use rates of 100 g a.i./ha. For better activity sequential applications and/or rates above 100 g a.i./ha are needed.

Table 4. The activity of BAS 620 H on perennial grass weeds in field trials conducted worldwide 1990 - 1998

		% control			
			BAS 620 H		fluazifop-*P*-butyl
grass species	g a.i./ha	50	75	100	375
Elymus repens		75 (40)	83 (39)	83 (88)	77 (43)
Brachiaria decumbens		29 (35)	44 (43)	58 (30)	-
Cynodon dactylon		74 (5)	81 (5)	69 (22)	82 (11)
Muhlenbergia frondosa		-	-	99 (1)	-
Sorghum halepense		66 (15)	86 (27)	79 (62)	87 (5)

(n) = number of trials

Tank-mixes with broadleaf weed herbicides

For the control of grass and broadleaf weeds BAS 620 H can be applied in sequence and in tank-mix with most broadleaf weed herbicides. Tank-mixes of BAS 620 H with postemergence soybean broadleaf herbicides, in particular bentazone containing herbicides, have shown some reduction in control of grasses. The activity of these tank-mixtures was improved when BAS 620 H was used at somewhat higher rates and when ammonium sulfate or urea ammonium nitrate was used in addition to oil concentrates as additives.

CONCLUSION

BAS 620 H is a new cyclohexenone graminicide of Nisso BASF Agro Ltd. At selective use rates BAS 620 H controls all major annual grass weeds and volunteer graminaceous crops such as wheat, barley and corn in broadleaf crops. BAS 620 H provides superior control of *Poa annua*. Rates of 100 g a.i./ha are needed to control the perennial grasses *Elymus repens* and *Sorghum halepense* and to suppress *Cynodon dactylon* and *Brachiaria decumbens*.

BAS 620 H will be marketed in the Americas as a 200 g/litre EC formulation to be used with an adjuvant system. In Europe, an adjuvant built-in formulation of BAS 620 H will be registered. Further advantages of BAS 620 H are the low use rates associated with favorable environmental and toxicological properties.

ACKNOWLEDGEMENTS

The authors like to thank their colleagues in BASF and Nisso Co, Ltd who contributed to the research and development of BAS 620 H.

BAS 625 H - A new post-emergence herbicide for the control of grass weeds in rice

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ABSTRACT

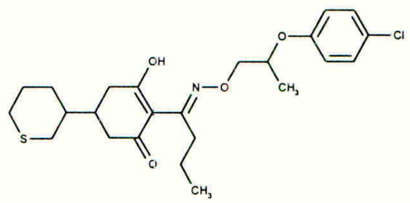
BAS 625 H is a new post-emergence herbicide, currently under development by BASF, which belongs to the chemical class of cyclohexenones. BAS 625 H provides selective control of emerged grass weeds in seeded and transplanted rice. Applied at low use rates of 50 - 200 g a.i./ha, the activity spectrum of BAS 625 H comprises all major grass weeds in rice including *Echinochloa* spp., *Brachiaria* spp., *Digitaria* spp., *Eleusine* spp., *Leptochloa* spp., *Setaria* spp., *Ischaemum rugosum* and *Rottboellia exaltata*. Effective control of *Echinochloa* spp. with good timing flexibility is a particular strength of BAS 625 H, with both difficult to control species and reported herbicide tolerant biotypes being effectively controlled. For optimum efficacy BAS 625 H is applied as a post-emergence spray application in combination with an additive. Sequential applications or tank mixtures of BAS 625 H in combination with other herbicides may be utilised to broaden the weed spectrum on sedge and dicotyledonous weeds or to provide residual control of late germinating weeds. BAS 625 H has a favourable toxicological and ecotoxicological profile and due to its short residual activity poses no hazard to rotational crops.

INTRODUCTION

Grass weeds in rice represent a major problem particularly due to their competitiveness with the crop and their negative effects on yield. Additionally, they cause increased labour requirements and result in the inefficient use of water, fertiliser and other crop protection products. Furthermore, due to the prolonged use of herbicides with a limited activity spectrum, the range of grass weed species occurring in rice fields is becoming more complex particularly under continuous rice growing conditions. BAS 625 H applied as a post-emergence spray application provides a very targeted and problem specific solution for the control of most grass weeds in rice fields. Effective at low use rates and with excellent safety to the environment and the user, BAS 625 H allows a reduction in the amount of herbicides applied in many rice growing systems while providing superior control of problem grass weeds.

CHEMICAL AND PHYSICAL PROPERTIES

Structure:



Code number:	BAS 625 H
Chemical name:	2-[1-(2-(4-chlorophenoxy)propoxyimino)-butyl] 3-oxo-5-thian-3-ylcyclohex-1-enol (IUPAC)
Trade name:	Aura®, Tetris®
Molecular formula:	$C_{24}H_{32}ClNO_4S$
Molecular weight:	466.04
Appearance:	colourless, highly viscous liquid
Melting point:	not applicable, decomposition > 185 °C
Solubility (20 °C):	water: 0.53 mg/100 g
	acetone: > 70 g/100 g
	2-propanol: 33 g/100 g
	ethyl acetate: > 70 g/100 g

TOXICOLOGICAL PROPERTIES
(Active ingredient)

Acute oral LD_{50} (rat):	> 5 000 mg/kg, male
	> 3 000 mg/kg, female
Acute dermal LD_{50} (rat):	> 4 000 mg/kg
Inhalation LC_{50} (rat):	> 5.2 mg/litre (4h)
Skin irritation (rabbit):	non-irritating
Eye irritation (rabbit):	non-irritating
Mutagenicity (Ames Test):	non-mutagenic

ECOTOXICOLOGICAL PROPERTIES
(Active ingredient)

Aquatic Organisms:

NOEC (trout, 96 h):	12.8 mg/litre
NOEC (carp, 96 h):	19.3 mg/litre
NOEC (water flea, 48 h):	10.9 mg/litre
NOEC (algae, 96 h):	18.1 mg/litre

Avian toxicity:

Acute oral LD_{50} (mallard duck):	> 2 000 mg/kg
Dietary LC_{50} (mallard duck bobwhite quail):	> 5 000 mg/kg

Beneficial organisms:

Acute oral and contact LD_{50} (honey bee, 48 h):	> 200 µg/bee
LC_{50} (earthworm, 14 d):	> 1000 mg/kg soil

BAS 625 H shows a favourable eco-toxicological profile with aquatic organisms exhibiting only moderate sensitivity and birds as well as bees and earthworms not being affected by the tested concentrations.

ENVIRONMENTAL FATE

The hydrolysis rate of BAS 625 H in water depends on the pH value of the solution and is relatively low. In aerobic and anaerobic water/sediment systems BAS 625 H is degraded completely within a short period of time particularly under the influence of light. The behaviour of BAS 625 H in soil is characterised by fast degradation and a high mineralisation rate. The DT_{50} values in soil under laboratory conditions are very short ranging from 3 to 13 days. Under practical paddy field conditions BAS 625 H is broken down even faster so that no risk of accumulation or of persistence of residues in soil is to be expected. Due to the fast dissipation no leaching of BAS 625 H or its metabolites in soil could be detected in outdoor studies.

FORMULATION

The standard formulation of BAS 625 H is a 200 g a.i./litre EC formulation for use with an additive (eg. oil concentrate or Dash HC®). For those countries where ready-mix formulations are preferred or required, a 75 g a.i./litre additive containing EC formulation is under development.

MODE OF ACTION

Symptomology

Soon after treatment with BAS 625 H sensitive grass weeds stop growing. The first signs of injury become visible within three to seven days after application and appear as yellowing or reddening of younger leaves. A typical symptom is that the youngest leaf can easily be pulled out of the leaf sheath, indicating an effect on the meristematic tissue. Under optimum conditions (warm and humid weather), the grass weeds die off completely within two to three weeks.

Mechanism of action

BAS 625 H belongs to the group of ACCase inhibitor herbicides which are active through interference with lipid biosynthesis in the meristematic tissues of susceptible grass weeds. After spray application the active ingredient of BAS 625 H is taken up rapidly by the exposed green plant parts and is rain-fast within one to two hours after application. Once absorbed, BAS 625 H is translocated throughout the treated plant and to the meristematic tissues. Selectivity of BAS 625 H in rice is due to a combination of factors including rapid degradation of the parent compound to non-phytotoxic metabolites and reduced transport to the site of action. Sensitive grass species die off due to the disruption of cell membrane biosynthesis, similar to the effects observed with other cyclohexenone herbicides.

BIOLOGICAL PROPERTIES

Material and Methods

The biological data presented in this paper are the results of field trials conducted in the major rice growing areas in North and South America, in Europe and Asia in the years 1992-98. The trials were carried out under practical conditions applying BAS 625 H at rates from 50 - 200 g a.i./ha with a knapsack sprayer in water volumes from 100 - 1000 litres/ha. The plot size ranged from 2 - 10 m^2 laid out in randomised block design with 3 - 4 replicates. Herbicidal efficacy and crop selectivity was assessed at regular intervals using the standard 0 - 100 % scale.

Crop Selectivity

BAS 625 H applied as spray treatment post-emergence at recommended rates plus a recommended additive (eg. oil concentrate or Dash HC) exhibits good to very good crop safety in dry seeded, water seeded and transplanted rice growing systems, provided the applications are made after the rice has reached the 3 leaf stage. Under unfavourable conditions some temporary symptoms (eg. chlorotic spotting or striping) of the rice leaves can occur after treatment with BAS 625 H which grow out within a short period of time without having any negative effect on yield. BAS 625 H can be applied to drained as well as flooded rice paddies provided the grass weeds are sufficiently exposed to the spray.

Herbicidal Activity

BAS 625 H at application rates of 50 - 200 g a.i./ha in combination with the recommended additive controls the entire spectrum of the most important grass weeds in rice (Tables 1 - 3). Besides the most important grass weed species in rice, *Echinochloa crus-galli*, BAS 625 H also provides very effective control of the following species as demonstrated in trials in South America and Asia: *Brachiaria plantaginea, B. platyphylla, Cenchrus echinatus, Digitaria sanguinalis, Echinochloa colona, E. oryzicola, E. crus-pavonis, Eleusine indica, Ischaemum rugosum, Leersia hexandra, Leptochloa chinensis, L. filiformis, L. panicoides, Paspalum* spp., *Rottboellia exaltata, Setaria* spp. BAS 625 H is also active on *Echinochloa* biotypes which are insufficiently controlled by other active ingredients such as propanil or quinclorac. This characteristic makes it particularly suitable for efficient grass weed control strategies in rotation with herbicides with other modes of action.

Of practical importance is the great timing flexibility of BAS 625 H which enables effective control of grass weeds from full emergence up to the mid to late tillering stage of the grass weeds. This feature allows targeted postemergence control of grass weeds dependent on their occurrence. BAS 625 H does not provide activity against broadleaf weeds or sedges. Therefore, combinations with the respective herbicides in tank-mix or sequence are required.

Table 1. Herbicidal Efficacy and Crop Safety of BAS 625 H applied post-emergence in Rice in South America (Summary of Results 1992 - 1998/99)

Application rate	BAS 625 H*			Fenoxaprop-ethyl**
g a.i./ha	100	150	200	100 - 120
% Crop Injury:				
1 week	4 (323)	5 (393)	8 (181)	7 (262)
3 weeks	1 (309)	1 (360)	3 (171)	2 (251)
6 weeks	0 (303)	0 (350)	1 (169)	1 (244)
% Weed Control 6 weeks				
Brachiaria plantaginea	98 (132)	98 (147)	98 (79)	89 (123)
Brachiaria platyphylla	88 (22)	92 (31)	96 (15)	89 (54)
Digitaria sanguinalis	97 (97)	97 (92)	98 (49)	95 (64)
Echinochloa crus-galli	94 (155)	97 (160)	98 (86)	92 (115)
Echinochloa colona	92 (162)	94 (191)	96 (94)	84 (137)
Eleusine indica	92 (32)	92 (30)	95 (17)	82 (25)
Ischaemum rugosum	94 (175)	96 (165)	97 (93)	89 (87)
Paspalum spp.	86 (17)	91 (15)	95 (6)	- -
Rottboellia exaltata	92 (11)	97 (11)	97 (9)	68 (2)

plus 0.5 % Dash HC Application Timing: Rice 3 - 4 leaves up to mid-tillering

fenoxaprop ethyl (100 - 120) or fenoxaprop-*P*-ethyl (50 to 60) g a.i./ha ( ) = number of trials

Table 2. Herbicidal Efficacy and Crop Safety of BAS 625 H applied at different Growth Stages in Rice in Europe (Field Trials Italy and Spain 1992 - 1998)

Product	Application Rate g a.i./ha	% Crop injury			% Control *Echinochloa crus-galli***
		weeks after treatment			
		1	3	6	6
Application timing at GS 13/21					
BAS 625 H*	100	4 (54)	1 (54)	1 (46)	97 (44)
	150	7 (51)	3 (50)	2 (45)	99 (43)
quinclorac	500 - 750	1 (17)	0 (17)	0 (19)	74 (13)
Application timing at GS 22/25					
BAS 625 H*	150	4 (96)	1 (94)	0 (82)	97 (79)
	200	7 (79)	1 (79)	1 (65)	98 (61)
quinclorac	500 - 750	0 (109)	0 (109)	0 (103)	82 (80)

* plus 0.5 % Dash HC ( ) = number of trials

** field trials including some *Echinochloa* spp. biotypes difficult to control with quinclorac or propanil

Table 3. Herbicidal Efficacy and Crop Safety of BAS 625 H applied at different Growth Stages in Rice in Asia (Field Trials 1992 - 1998/99)

Product	Application Rate g a.i./ha	% Crop Injury			% Control	
					Echinochloa crus-galli	*Leptochloa chinensis*
		weeks after treatment				
		1	3	6	6	6
Application timing at GS 12 - 21						
BAS 625 H*	75	2 (175)	1 (161)	0 (170)	88 (159)	89 (73)
	100	3 (180)	2 (166)	1 (174)	95 (164)	94 (69)
	125	5 (81)	3 (81)	1 (76)	96 (75)	94 (26)
Application timing at GS 22/25						
BAS 625 H*	100	2 (88)	1 94)	0 (85)	84 (85)	83 (29)
	125	3 (70)	2 (71)	1 (67)	87 (65)	86 (24)
	150	3 (101)	3 (104)	1 (96)	92 (96)	93 (34)

* plus 1 % oil concentrate or an additive containing ready formulation ( ) = number of trials

CONCLUSION

BAS 625 H represents a new broad spectrum rice graminicide belonging to the chemical class of cyclohexenones. Considering its strong activity combined with timing flexibility against important grass species BAS 625 H is expected to contribute significantly to improved grass weed control programmes in rice production world-wide. It will provide the grower with a flexible tool for selective control of grass weeds even at more advanced growth stages.

Dependent on grass weed spectrum, rice growing systems and region, the application rates of BAS 625 H will be within the following ranges:

S-America 150 - 200 g a.i./ha BAS 625 H (plus 0.5 % Dash HC)
N-America 75 - 150 g a.i./ha BAS 625 H (plus 1 % oil concentrate)
Europe 100 - 150 g a.i./ha BAS 625 H (plus 0.25 - 0.5 % Dash HC)
Asia 50 - 125 g a.i./ha BAS 625 H (as additive containing formulation)

BAS 625 H has been granted registrations in several South and Central American countries with further registrations expected to follow in most rice growing countries world-wide.

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