Triafamone (AE 1887196) a new rice herbicide for Asia

Triafamone (AE 1887196) ein neues Reis-Herbizid für Asien

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Summary

Triafamone (approved ISO common name) is a new sulfonanilide herbicide discovered and developed by Bayer CropScience AG under the code number AE 1887196. Its mode of action is inhibition of the enzyme acetolactate synthase (ALS). Field trials since 2007 have shown that triafamone can be effectively used in direct seeded or transplanted rice from seeding or transplanting to late post-emergence at rates of 20 to 50 g a.i./ha using spray or granular formulations. Target weeds are important grasses such as *Echinochloa crus-galli*, *Echinochloa colonum*, *Echinochloa oryzicola*, *Paspalum distichum*, *Isachne globosa*, and sedges (including ALS resistant strains). The selective weed control spectrum is further extended in several co-formulation products being developed by Bayer CropScience AG. Environmental fate, ecotoxicology and toxicology studies indicate that triafamone has a favorable user, consumer and environmental profile. First registrations are expected in 2014.

Keywords: ALS-inhibitor, *Echinochloa* spp., weed control

Zusammenfassung

Triafamone (genehmigte ISO Bezeichnung) ist ein neues Herbizid aus der Gruppe der Sulfonanilide, welches von Bayer CropScience AG erstmals synthetisiert und mit dem Code AE 1887196 entwickelt wird. Die Wirkung basiert auf der Hemmung des Enzyms der Acetolactatsynthase (ALS). Seit 2007 durchgeführte Feldversuche zeigen, dass Triafamone sowohl in direkt gesätem als auch in gepflanztem Reis von der Aussaat bzw. von der Pflanzung bis zum späten Nachauflauf mit Aufwandmengen von 20 bis 50 g a.i./ha in Spritz- oder Granulatformulierungen eingesetzt werden kann. Zielunkräuter sind wichtige Ungräser wie *Echinochloa crus-galli*, *Echinochloa colonum*, *Echinochloa oryzicola*, *Paspalum distichum*, *Isachne globosa* sowie Seggen (einschließlich ALS-resistenter Biotypen). Das selektiv bekämpfte Unkrautspektrum wird durch mehrere Co-Formulierungen erweitert, welche gegenwärtig von Bayer CropScience AG entwickelt werden. Die Ergebnisse von Umweltprüfungen und toxikologischen Studien belegen ein günstiges Profil von Triafamone für Anwender, Verbraucher und Umwelt. Erste Registrierungen werden für 2014 erwartet.

Stichwörter: ALS-Hemmer, *Echinochloa* spp., Unkrautbekämpfung

1. Introduction

It is predicted that the world population will increase to over 9 billion by 2050 and the FAO estimates that food production will need to increase by 70 percent to meet demand (FAO, 2009). Rice is a staple food in many developing countries, especially in Asia, where the population increase is generally highest. In addition, the trend to urbanization is leading to farm labor shortages in a number of Asian rice producing countries. As a result of these trends there is an enormous need for innovation in rice production. Whilst traditional breeding (including hybrid rice production) and the introduction of genetic traits (e.g. yield traits and pest, disease and environmental stress protection) will play a major role, there is also an increasing need for novel crop protection products. Bayer CropScience is strongly involved in all above mentioned innovation areas and this publication introduces triafamone, a new rice herbicide being developed for rice farmers in Asia.

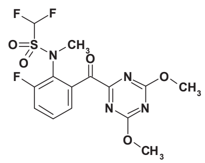
Triafamone was identified through a specialized rice herbicide screening cascade running in Yuki Research Centre in Japan and Herbicide Research Biology in Frankfurt, Germany. The level of interest in this non-sulfonylurea ALS inhibitor grew when it was found to be effective and selective under many use scenarios, for example in spray and water surface application, from early to late timings in transplanted and direct seeded rice and safe to a wide range of japonica and indica rice varieties.

Interest grew still further when Triafamone was shown to control ALS (SU) resistant biotypes of key weeds such as *Fimbrystylis miliacea* and *Echinochloa crus-galli*. Triafamone is also being developed with other suitable herbicide mixing partners in order to extend the weed control spectrum even further. It has chemical and physical properties which allow various formulations needed for the different application scenarios. Regulatory studies to date show that triafamone has favorable profiles for environmental fate, plant residues, toxicology and ecotoxicology. Based on current timelines for regulatory submissions, the first registrations are expected in 2014.

2. Materials and methods

2.1 Triafamone: Identity, chemical and physical properties

Bayer CropScience code numbers:	AE 1887196, BCS-BX60309
Common name:	Triafamone (approved by ISO)
Chemical name (IUPAC):	2'-[(4,6-dimethoxy-1,3,5-triazin-2-yl)carbonyl]- 1,1,6'-trifluoro-N-methylmethanesulfonanilide
CAS reg. number:	874195-61-6
Empirical formula:	$C_{14}H_{13}F_3N_4O_5S$
Molecular weight:	406.34
Structural formula:	



Appearance:	White powder
Density	1.53 g/ml
Melting point:	105.6 °C
Vapor pressure:	6.4×10-6 Pa (20 °C)
Henry's constant:	KH = 6.3×10^{-5} Pa m^3/mol (20 °C)

	pH 4	pH 7	pH 9
Solubility in water at 20 °C [g/l]	0.036	0.033	0.034
Partition coeff. octanol/water, logPow at 23 °C	1.5	1.5	1.6

2.2 Mode of action

Triafamone is absorbed through the foliage and roots and offers pre-emergence and post-emergence control of weeds with foliar and soil residual activity. Triafamone itself does not inhibit the ALS enzyme *per se* but must be transformed to the active metabolite in plants. This active metabolite inhibits the enzyme (ALS) and thus triafamone is classified into HRAC mode of action class B. The transportation of the metabolite by phloem and xylem within plants has been confirmed and indicates the systemic mobility to the target site. Weeds cease to grow after application and show the characteristic ALS symptoms (e.g. stunting, necrosis and discoloration) within a week or two depending on environmental conditions.

2.3 Field testing

Triafamone was applied to rice fields at timings from pre-emergence to late post-emergence. This publication is only intended to give a broad overview of the performance of triafamone in the large number of field trials conducted during 2007-2010. Trials used a number of formulations (especially suspension concentrates and wettable granules) applied either by spraying in non-flooded conditions, or by water surface application. The methods varied from country to country depending on local rice cultivation methods and weed control systems. Rice was either directly sown or transplanted from nursery boxes. In all the trials, at least three replicate plots were used and the effects on rice crop and weed control assessed visually compared with untreated control plots on a percentage scale at various times after application (0 = no effect; 100 = complete kill). The data presented for weed control are from the final assessments, whereas crop injury data is the maximum observed at any assessment timing in the trial set covered.

3. Results

3.1 Toxicology and ecotoxicology

Triafamone has a very low acute toxicity after the oral, dermal and inhalation route of exposure: the LD_{50} and LC_{50} values are higher than the cut-off values triggering classification according to the globally harmonized system of classification and labeling of chemicals. Triafamone is devoid of corrosive properties, it is not irritating to the skin and the eye. Moreover, it has no skin sensitization potential based on the result of the local lymph node assay (LLNA). The intrinsic profile of triafamone with regards to ecotoxicology is very favorable.

Mammals	
Acute oral toxicity (LD_{50} rat)	> 2000 mg/kg
Acute oral toxicity (LD_{50} mouse)	> 2000 mg/kg
Acute percutaneous toxicity (LD_{50} rat)	> 2000 mg/kg
Acute inhalation toxicity (LC_{50} rat)	> 5 mg/liter
Skin and eye irritation (rabbit)	Not irritating
Skin sensitization (LLNA, mouse)	Not sensitizing
Birds	
Acute oral toxicity (LD_{50} bobwhite Quail)	> 2000 mg/kg
Aquatic organisms (LC_{50} or EC_{50})	
Common carp	> 100 mg/liter
Daphnia	> 50 mg/liter
Algae	6.23 mg/liter

Triafamone can be classified as non-toxic to bees; the oral 48h toxicity was above 55.8 µg/bee and the contact toxicity was above 100 µg/bee. Triafamone is also non-toxic to silkworm larvae fed with dipped mulberry leaves; with no effects observed at up to 50 mg/liter. The LogPow value of 1.5 means that triafamone has a low potential for bioaccumulation.

3.2 Environmental fate

Triafamone is readily degraded in a wide range of agricultural soils under aerobic conditions and also in paddy soils with half-lives less than 10 days. Additionally, triafamone is hydrolyzed under alkaline conditions; at pH 9 the half-lives are less than 5 and 10 days at 25 and 20 °C, respectively. Should triafamone reach the aquatic environment in aerobic water/sediment systems under standard conditions, the half-life is less than 10 days. Triafamone has a low vapor pressure of 6.4×10^{-6} Pa at 20 °C and therefore is unlikely to be volatile from soil or plants surfaces. The mobility in soil can be classified (according to BRIGGS, 1973) as “intermediate”, considering the adsorption to soil, and “low” if desorption from soil is taken into account. Therefore, triafamone is unlikely to contaminate groundwater.

3.3 Biological performance

Weed control and crop tolerance of triafamone have been globally evaluated in the rice fields. The trials demonstrated the excellent performance of triafamone in rice especially in Asia. It was applicable to different rice cropping systems in Asia and exhibited high flexibility in cultivation method, rice variety and application timing.

3.4 Weed control

Triafamone provides control of a wide range of grasses, sedges and broad leaved weeds typically growing in rice farming (Tab. 1). Dose rates required for control are ranged from 20 to 50 g a.i./ha depending on field conditions at the time of the treatment e.g. weed species, weed growth stage, rice growth stage, water management practices, and environmental conditions. Triafamone can be applied via spraying, shaker bottles or as granules. Combination with a suitable herbicide mixing partner could further improve the weed control spectrum.

Tab. 1 Susceptibility to triafamone of typical weeds in rice.

Tab. 1 *Bekämpfung von typischen Unkräutern in Reis durch Triafamone.*

	Percentage control levels at various application timings			
Weed species	**Pre-emergence**	**Early post-emergence**	**Mid post-emergence**	**Late post-emergence**
Echinochloa crus-galli	>95 %	>95 %	>95 %	90-95 %
Echinochloa oryzicola	>95 %	>95 %	>95 %	90-95 %
Echinochloa colonum	>95 %	>95 %	>95 %	90-95 %
Leptochloa chinensis	>95 %	90-95 %	80-90 %	70-80 %
Paspalum distichum	>95 %	>95 %	90-95 %	no test
Ludwigia octovalvis	>95 %	>95 %	90-95 %	80-90 %
Sphenoclea zeylanica	>95 %	>95 %	90-95 %	90-95 %
Commelina diffusa	>95 %	>95 %	90-95 %	no test
Sagittaria pygmaea	>95 %	>95 %	90-95 %	80-90 %
Sagittaria trifolia	>95 %	>95 %	90-95 %	80-90 %
Aeschynomene indica	>95 %	>95 %	90-95 %	no test
Cyperus difformis	>95 %	>95 %	>95 %	80-90 %
Cyperus iria	>95 %	>95 %	>95 %	80-90 %
Cyperus serotinus	>95 %	>95 %	>95 %	80-90 %
Fimbrystylis miliacea	>95 %	>95 %	90-95 %	no test
Eleocharis kuroguwai	90-95 %	>95 %	80-90 %	70-80 %
Scirpus nipponicus	no test	>95 %	90-95 %	no test
Scirpus planiculmis	no test	>95 %	90-95 %	no test
Scirpus juncoides	>95 %	>95 %	80-90 %	70-80 %

3.5 Crop tolerance

In global trials over several years triafamone has shown good selectivity in rice without negative impact on the grain yield under weed free conditions. A large number of field trials across Asia has shown crop safety in direct seeded and transplanted rice after application of triafamone at a use rate of 25-50 g a.i./ha from pre-emergence to mid post-emergence of weeds (Tab. 2). The reason for the selectivity is considered to be due to differential metabolism of triafamone in rice plants and in weeds. Some selectivity has also been observed in other crops (e.g. cereals).

Tab. 2 Crop tolerance of triafamone in rice (Field trials in Asia, 2007-2010).
Tab. 2 *Kulturverträglichkeit von Triafamone in Reis (Feldversuche in Asien, 2007-2010).*

Use rate g a.i./ha	Maximum percentage crop injury (number of trials)					
	Transplanted rice			Direct seeded rice		
	Pre-emergence	Early post-emergence	Mid post-emergence	Pre-emergence	Early post-emergence	Mid. post-emergence
25	2 (2)	0 (8)	0 (5)	4 (12)	3 (10)	0 (5)
37,5	1 (4)	1 (7)	0 (4)	5 (9)	1 (6)	0 (4)
50	5 (13)	5 (31)	0 (5)	6 (4)	1 (3)	2 (3)

4. Discussion

Triafamone is a new herbicide being developed in Asia for weed control in rice. The data presented come from a large number of field trials which indicate that it has a wide window of weed control and broad rice selectivity. Because of the physico-chemical properties of triafamone, it can be formulated as liquids and solids which will also allow compatibility with other herbicides. This in turn is expected to allow for flexible usage such that Bayer CropScience could offer tailor-made solutions to fit farmers' needs in all rice growing countries in Asia.

It has been found that the wide range of key weeds that are controlled by triafamone alone can be extended by mixing it with other suitable rice herbicides (data not presented). Therefore, product concepts are being designed to control both wild type and resistant weed biotypes (incl. ACCase and ALS resistant).

At this stage of the development of triafamone, environmental fate, residue data, toxicology and ecotoxicology findings indicate a favorable profile for user, consumer and environment. First registrations are expected in 2014.

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