CYHALOFOP BUTYL: A NEW GRAMINICIDE FOR USE IN RICE

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Summary. Cyhalofop butyl (proposed) ((R)-butyl 2- (4-(4-cyano-2-fluorophenoxy)phenoxy) propionate) is a new selective rice graminicide. Selectivity is due to differential metabolism of the molecule by rice and target grass weeds. The mechanism of action of cyhalofop butyl is inhibition of acetyl CoA carboxylase (ACCase). Cyhalofop butyl possesses a number of favorable toxicological, environmental and physical property features such as acceptable mammalian and aquatic toxicological profiles, lack of soil mobility, and rotational crop selectivity. These features permit its use in diverse rice cultural practices, including transplanted paddy and direct seeded rice.

INTRODUCTION

Cyhalofop butyl is a new post-emergence aryloxyphenoxy propionate graminicide discovered by DowElanco which controls a broad spectrum of grass weeds, including species of *Echinochloa, Brachiaria, Cynodon, Digitaria, Eleusine, Leptochloa, Panicum, Setaria, and Sorghum.* Cyhalofop butyl, coded XDE 537 or DEH 112, is highly selective to both *japonica* and *indica* rice varieties as well as to all broadleaf rotational crop species. It has a broad window of application (1-4 leaf barnyard grass) as well as the ability to mix with a number of other herbicides. This paper describes chemical, physical, toxicological and biological properties of the molecule.

METHODS

Greenhouse studies - paddy injection studies. A stock solution was prepared by dissolving technical cyhalofop butyl in acetone and bringing the solution to volume in 0.1% (v/v) TWEEN 20. Desired application rates were achieved by injecting measured volumes of stock solution into the flood water of plastic cups containing appropriate plant species germinated in puddled soil. Control plant cups were injected with solvent blanks. Water was not added to paddy cups for 2 days following injection, after which flood levels were maintained at a depth of 2-3 cm. Plants were grown in a glasshouse maintained on a 30°C day/26°C night temperature regime and a 16 h photo period (natural light was supplemented as necessary with multi vapor lamps). Visual assessment of herbicide activity and crop tolerance was made 3-4 weeks after treatment. The assessment was based on a comparison of treated plants to untreated control plants and used an evaluation scale of 0% (no effect) to 100% (complete kill).

Greenhouse studies - foliar applications. A 24% EC formulation of cyhalofop butyl was prepared in 0.25% (v/v) ORTHO X-77 surfactant and applied to test plants with a track sprayer (160 L/ha). Plants were grown in conventional horticultural pots under dryland (non-puddled soil) conditions. Control plants were sprayed with a solvent blank. Plant culture and test evaluation conditions were as above.

Calculations. GR 5 and GR80 values correspond to the rate required to give 5% and 80% control, respectively of the species listed in Tables 3 and 4. The data is calculated from a log rate vs. probit transformation of the percent growth control raw data.

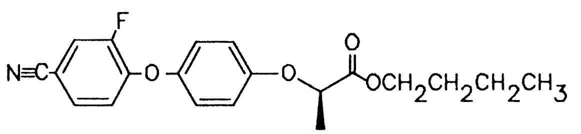
ACCase enzyme assay. ACCase was extracted from maize (*Zea mays)* and assayed by determining the acetyl CoA-dependent incorporation of $H^{14}CO_3^-$ into acid and heat stable products (1).

Plant metabolism studies. Plant metabolism studies were conducted using ^{14}C-labelled methyl ester (ME) of cyhalofop. ^{14}C-labelled cyhalofop ME was formulated in 50% acetone, 0.1% X-77 and 0.3% AGRI-DEX crop oil concentrate and applied in 0.5 µl droplets to the first true leaf of rice or barnyardgrass. The application rate was 50 g/ha at 200 L/ha. Treated plants were maintained in a growth chamber at 20°C or 30°C, 14 h photoperiod.

RESULTS AND DISCUSSION

Chemical and physical properties

Code name:	XDE 537, DEH 112
Common name:	Cyhalofop butyl
Chemical name (IUPAC):	(R)-butyl 2-(4-(4-cyano-2-fluorophenoxy) phenoxy)-propionate
Empirical formula:	$C_{20}\ H_{20}\ F\ N\ O_4$
Molecular weight:	357.39
Structural formula:	



Appearance:	odourless, white, crystalline solid	
Melting point:	50°C	
Solubility (at 20°C):	Water:	0.7 ppm (pH 7.0)
	Xylene:	47.3 (wt% a.i.)
	Acetone:	60.7 (wt% a.i.)
Octanol-water partition coefficient (logP):	3.31	
Vapor pressure:	8.8×10^{-9} mmHg at 20°C	

Toxicology. In reverse mutation tests (Ames test), in DNA repair assays, and in micro nucleus test in mice, cyhalofop butyl was determined to be non-mutagenic. In *in vitro* cytogenetics studies with cyhalofop butyl, no induction of structural chromosomal aberration was observed. Rat and rabbit studies indicated that cyhalofop butyl is not teratogenic.

The acute toxicity of cyhalofop butyl is considered to be low; results are summarized in Tables 1 and 2 below. Additional testing is in progress.

Table 1. Mammalian toxicological characteristics of cyhalofop butyl

Species	Route	Median lethal dose (mg/kg)
rat, male	acute oral	>5000
rat, female	acute oral	>5000
mouse, male	acute oral	>5000
mouse, female	acute oral	>5000
rat, male	acute dermal	>2000
rat, female	acute dermal	>2000

Table 2. Aquatic toxicological characteristics of cyhalofop butyl

Species	Route	LC_{50} (mg/L)
Japanese carp	aqueous	1.54
Rainbow trout	aqueous	1.65
Daphnia	aqueous	>100

Environmental stability. Cyhalofop butyl is stable at pH 4 but is hydrolyzed slowly at pH 7. At pH 1.2 or 9, cyhalofop is rapidly decomposed.

Mode of action. Cyhalofop butyl is a member of the aryloxyphenoxy propionate class of herbicides. Like the majority of the compounds in this class, cyhalofop butyl is readily absorbed by plant tissue, is phloem mobile and accumulates in the meristematic region of the plant (W. R. Bauriedel and J.H. Miller, DowElanco internal report). In addition, cyhalofop (acid) is an inhibitor of ACCase, which catalyzes the first committed step in fatty acid biosynthesis (1). The dose response of cyhalofop (acid) on maize ACCase activity is shown in Fig. 1 below. In this test, the I_{50} for cyhalofop (acid) is approximately 2 ppm.

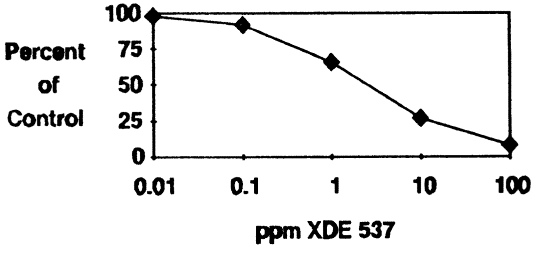


Figure 1. Dose-dependent inhibition of maize ACCase by cyhalofop (acid).

Plant metabolism. Rice tolerance to cyhalofop ME is due to both a rapid metabolism to the inactive diacid ($t_{1/2}$<10 h at 30°C) and to subsequent formation of nonpolar metabolites at $t_{1/2}$>10 h at 30°C. Conversely, barnyard grass sensitivity was attributed to rapid metabolism of the ester to the biologically active acid (85% conversion at $t_{1/2}$<10 h at 30°C).

Greenhouse studies. Cyhalofop butyl controls a broad spectrum of grass weeds while maintaining an excellent margin of crop selectivity. Tables 3 and 4 summarize the results of cyhalofop butyl activity applied in the flood or as a foliar treatment to annual grasses and to rice.

Rotational crop sensitivity. The following crop species showed no injury after 6 weeks of top watering with a solution of 2.4 ppm cyhalofop butyl: broccoli, carrot, corn, cotton, cucumber, eggplant, green pepper, lettuce, parsley, pea, soybean and tomato.

Soil properties. Soil metabolism studies indicate that cyhalofop butyl is rapidly metabolized under both flooded and upland conditions (both mineral soil and humic volcanic ash soil). In soil mobility studies, cyhalofop butyl is relatively immobile. When compared to the water front, cyhalofop butyl has an R_f = 0.22 in sandy soil and R_f= 0.35 in loamy soil.

Table 3. Annual grass control with cyhalofop butyl

Species	Flood water injection application GR 80 (g/ha)		Foliar application GR 80 (g/ha)
	1-2 leaf	3-5 leaf	1-3 leaf
Brachiaria platyphylla	35	351	50
Echinochloa crusgalli	59	544	170
Echinochloa colonum	--	--	87
Cynodon dactylon	--	118	158
Digitaria ischaemum	19	293	170
Eleusine indica	41	112	32
Leptochloa dubia	43	439	--
Leptochloa filiformis	--	--	150
Panicum dichotomiflorum	36	243	28
Panicum texanum	66	360	120
Setaria lutescens	71	383	146
Setaria viridis	--	35	237
Sorghum halepense	115	359	400

Table 4. Crop tolerance with cyhalofop butyl

Species	Flood water injection application GR 5 (g/ha)	Foliar application GR 5 (g/ha)
Oryza sativa	>400	>800

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REFERENCES

1. Secor, J. and Cséke, C. 1988. Plant Physiol. 86, 10-12.