ACRAMITE™ - A NEW MITICIDE FOR COTTON

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Abstract

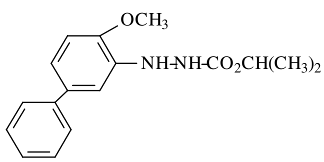
Acramite, common name bifenazate (N'-(4-Methoxy-biphenyl-30-yl)-hydrazinecarboxylic acid isopropyl ester), is a novel carbazate acaricide discovered by Uniroyal Chemical for mite control in a range of agricultural and ornamental crops. The compound has a very good toxicological and environmental profile. It shows no cross-resistance with currently available acaricides and preliminary results indicate it has a novel mode of action for mites. It provides excellent control at low rates against all stages of tetranycid mites. Field rates of 0.5 to 0.75 lb ai/A have shown outstanding knockdown and residual activity for control of *Tetranychus turkestani*, *T. urticae* and *T. pacificus* on cotton. Acramite shows minimal impact on beneficial insects, mites and is recommended for use in integrated pest management (IPM) programs. It has shown no crop injury at rates well in excess of proposed field rates. Acramite has been granted reduced risk status at EPA.

Introduction

While conducting research into hydrazine derivatives, a new class of acaricidal compounds was discovered by Uniroyal Chemical. D2341 (N'-(4-Methoxy-biphenyl-3-yl)-hydrazinecarboxylic acid isopropyl ester) was selected as the most effective compound among many hydrazine derivatives (Dekeyser & McDonald, 1994, 1995; Dekeyser et al. 1994, 1995). Bifenazate is currently under development as a promising acaricide for citrus, apples, pears, stone fruit, grapes, strawberry, hops and cotton.

Chemical and Physical Properties

Common Name	Bifenazate
Code Number	D2341
Chemical Name (IUPAC)	N'-(4-Methoxy-biphenyl-3-yl)-hydrazinecarboxylic acid isopropyl ester)
(CA)	Hydrazinecarboxylic acid, (4-methoxy-[1,1'-biphenyl]-3-yl)-1-methylethyl ester
Structural Formula	



Formulations

Three formulations have been tested, 50 WP (wettable powder), 4L-SC (suspension concentrate), and 75 WDG (water dispersible granule).

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Toxicology

Acute mammalian toxicity of the technical active ingredient:

Acute Oral LD_{50} Rat	>5000 mg/kg body weight
Acute Dermal LD_{50} Rat	>5000 mg/kg body weight
Skin Irritation Rabbit	non-irritating
Eye Irritation Rabbit	non-irritating
Ames Test	negative
Teratogenicity	not teratogenic according to the data currently available
Mutagenicity	mouse lymphoma negative

Toxicity on non-target organisms:

Trout	LC50 (90 hrs.)	0.76 ppm
Bluegill	LC50 (90hrs.)	0.58 ppm
Daphnia	LC50 (48 hrs.)	0.50 ppm
Bobwhite quail	LC50	1,142 mg/kg
Honeybee tropical	ED50	8.5 micrograms/bee

Environmental Fate

Bifenazate degrades rapidly in water and soil. The hydrolytic half-lives of bifenazate at 25°C in water buffered at pH 5, 7 and 9 were found to be 130, 20 and two hours respectively. Under photolytic conditions, in buffered water pH5, the half-life of bifenazate was 17 hours and in natural water was <one hour. The half-life of bifenazate under aerobic soil conditions was found to be <0.5 hours. Neither bifenazate nor its soil degradates leached in a variety of soil types.

Mode of Action

Preliminary results on the mode of action of bifenazate on model insects indicates that it acts as a GABA (gamma-aminobutyric acid) antagonist in the peripheral nervous system. Bifenazate blocks or closes the GABA activated chloride Channels, resulting in over-excitation of the peripheral nervous system. This mode of action, although known for some insecticides, has not yet been confirmed in mites. (Kazuthoshi, O. and Matsumura, F. – 1996)

Biological Activity

Acaricidal Spectrum
Bifenazate shows high activity on phytophagous mites, such as Tetranychus, Eutetranychus, Oligonychus and Panonychus species. It is harmless to predaceous mites, such as the phytoseiids *Amblyseius*, *Galendromus occidentalis*, *Zetzellia mali* and *Typhlodromus pyri.* It is also safe for beneficial insects such as; *Stethorus sp, Frankliniella occidentalis* and *Hippodamia convergens*.

Activity under Greenhouse Conditions
When applied to pre-infested leaves, technical bifenazate sprayed to run-off on cowpeas showed activity against all life stages of *Tetranychus urticae* (Table 1).

Effect of Temperature on Activity
The acaricidal activity of bifenazate remained constant over a wide temperature range. The LC_{50} values of bifenazate were determined by infesting pre-treated cowpea plants with *Tetranychus urticae* and holding the plants at 15°C, 25°C, and 35°C. Bifenazate showed no change in activity as the temperature was lowered (Table 2) compared with a significant decrease in activity reported for fenbutatin oxide and amitraz (Kyomura *et al.* 1990). The relative insensitivity of bifenazate to changes in temperature allow it to be used under a wide range of conditions.

Field Performance

The biological activity of Acramite again *Tetranychus turkestani* (SSM), *T. urticae* (TSSM) and *T. pacificus* (PSM) on cotton has been evaluated under small plot field conditions form 1992-2000. Excellent spider mite control was achieved on cotton at rates of 0.375-0.75 lb ai/A at over 20 locations.

In a 1996 California test (Figure 1) on a low population of SSM, Acramite 50W at 0.375 lbs ai/A provided control comparable to Kelthane for 35 days after treatment (DAT). The Western flower thrips (*Frankliniella occidentalis*), which acts as a mite predator on cotton, were seen in all of the plots from pre-treatment until the end of the trial (Figure 2). None of the treatments adversely affect these predators and no phytotoxicity was observed in any of the plots.

On a much higher population of both SSM and TSSM in 1996 all three rates of Acramite 50W tested (0.375, 0.55, 0.75 lbs ai/A) provided control comparable to Kelthane for 42 DAT (Figure 3).

Also, on a very high population of SSM and TSSM in a 1997 trial, Acramite at 0.5 lb ai/A provided quicker knockdown of mites than Kelthane at 3 DAT (Figure 4). Mite control persisted for 29 DAT. No phytotoxicity was reported.

In a 1999 California trial, Acramite 50W was compared to Acramite 4L-SC at 0.375, 0.50 and 0.75 lb ai/A (Figure 5) for control of SSM and PSM. Both formulations of Acramite provided excellent spider mite control compared to Zephyr for 35 DAT. There was no activity on the Western flower thrips mite preditor compared to the untreated check with both formulations (Figure 6).

In a 2000 California trial, again both the Acramite 50W and 4L-SC gave excellent control of TSSM for 35 DAT compared to Zephyr (Figure 7).

Crop Safety

Bifenazate has been evaluated at rates ranging from 2.0 to 4.0 lb ai/A on apples, pears, and ornamentals in the U.S.A. No injury has been report on any crop tested, including cotton.

Resistance

Activity Against Resistance Strains

Many established products that are currently used to control spider mites have encounter resistance problems in several countries (Voss, 1988). Bifenazate is not cross-resistant with a range of conventional acaricides (Table 3). Its potency against mite resistance to existing acaricides offers a powerful tool for pest management in a variety of crops (Wege & Leonard, 1994).

Registration Status

Acramite has been granted reduced risk status for food uses. Section 3 registrations for food uses are expected by the fourth quarter of 2001.

Summary

Bifenazate is highly selective new acaricide, which has displayed good efficacy in field trials against economically important mite pests on cotton. This cabazate compound is a member of a new chemical class with a novel mode of action. It has a very good toxicological and environmental profile. Bifenazate shows rapid knockdown, it is not temperature sensitive, has shown no crop injury, and controls mites resistant to other types of acaricides while sparing predator mites and beneficial insects.

References

Dekeyser, M. A., and McDonald, P. T. (1994) Insecticidal phenylhydrazine derivatives. US Patent 5,367,093. (1995) Insecticidal phenylhydrazine derivatives. US Patent 5,438,123.

Dekeyser, M. A., McDonald, P. T., and Angle, G. W., Jr. (1994) Synthesis and miticidal activities of biphenylhydrazinecaroxylates. Journal of Agricultural and Food Chemistry 42, 1358-1360. (1994) Synthesis and miticidal activities of biphenylhydrazinecaroxylates. Journal of Agricultural and Food Chemistry 43, 1705-1707.

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Kyomura, N., Fukuchi, T., Kohyama, Y., and Motojima, S. (1990) Biological characteristics of new acaricide MK-239. British Crop Protection Conference – Pest Diseases 1, 55-62.

Voss, G. (1998) Insecticide/acaricide resistance: Industry's efforts and plans to cope. Pesticide Science 23, 149-156.

Wege, P. J., and Leonard, P. K. (1994) Insecticide resistance action committee (IRAC) fruit crops spider mite resistance management guidelines. British Crop Protection Conference – Pests and Diseases 1, 427-430.

Table 1. Comparative toxicity of bifenazate and the commerical acaricides, propargite and clofentezine, as contact treatments to motile forms (larvae, nymphs and adults) and eggs of *Tetranychus urticae* on cowpeas.

Life Stage	LC_{50} (mg a.i./l) at 5 days		
	D2341	**propargite**	**clofentezine**
Adults	0.3	28	inactive
Nymphs*	0.3	14	inactive
Larvae	0.3	10	inactive
Eggs	12	inactive	4

*Second, third and fourth stages.

Table 2. Effect of temperature on the activity of bifenazate against adult *Tetranychus urticae* on cowpeas as a residual treatment.

Treatment	LC_{50} (mg a.i./l) at 6 days for 3 temperatures		
	35ºC	**25ºC**	**15ºC**
D2341	15	11	25

Table 3. Absence of Mite Cross Resistance to Bifenazate Chemisty

Tested Against Mite Resistance Strain (Common Name)	Trade Name	Chemical Family
abamectin	Agrimek, Avid, Zephyr	Avermectin
amitraz	Amitraz, Mitac, Ovasyn	Amidine
bifenthrin	Brigade, Capture, Talstar	Pyrethroid
clofentezine	Apollo	Tetrazine
cyhexatin	Plictran	Organotin
dicofol	Kelthane	Organochlorine
formetanate	Carzol	Carbamate
hexythiazox	Nissorun, Savey, Hexygon	Isothiazolidnone
propargite	Comite®, Omite®	Sulfite
Pyridaben	Sanmite, Pyramite, Nexter	Pyridazinone
fenpyroximate	Danitron	Oxime
tebufenpyrad	Prynica, Masai	Pyrole

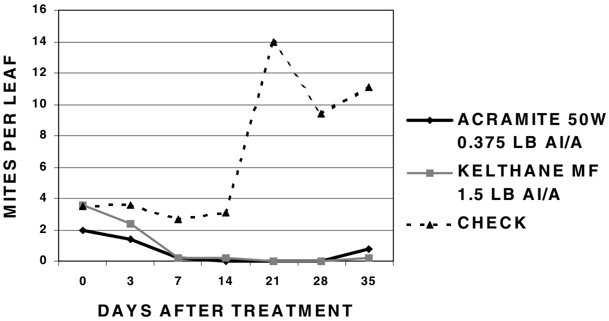

Figure 1. Control of Spider Mites on Cotton, 1996-Kerman, CA.

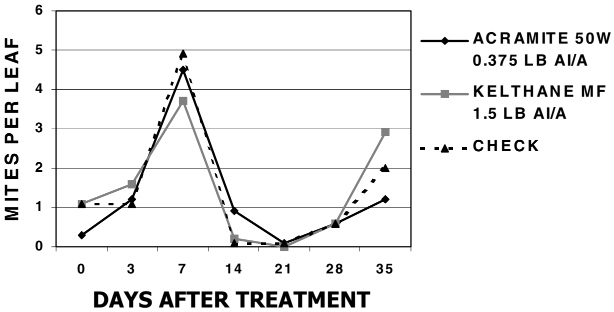

Figure 2. Impact on Predatory Thrips on Cotton, 1996 – Kerman, CA.

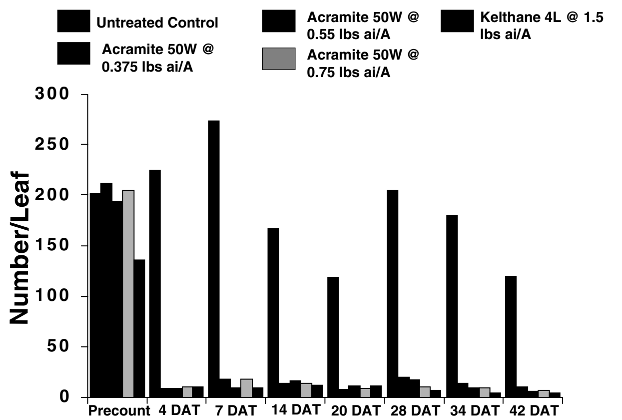

Figure 3. Number of Spider Mites per Leaf on Cotton, 1996-Kerman, CA.

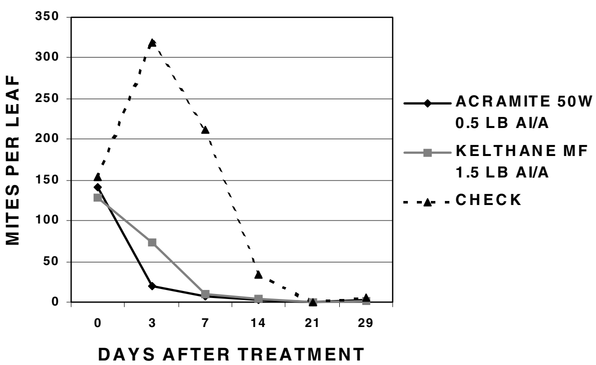

Figure 4. Control of Spider Mites on Cotton, 1997 – Kerman, CA.

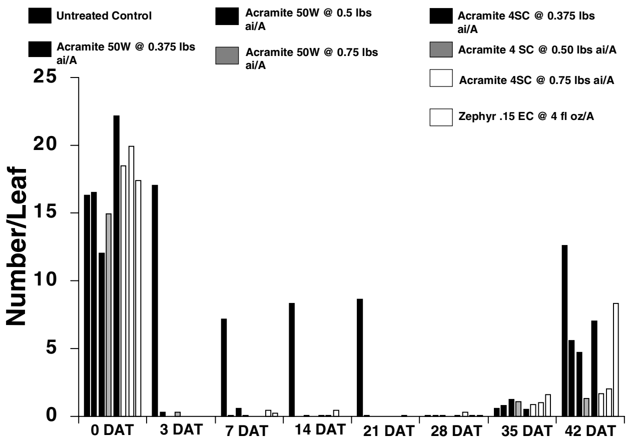

Figure 5. Number of Spider Mites per Leaf on Cotton, 1999- Fresno, CA.

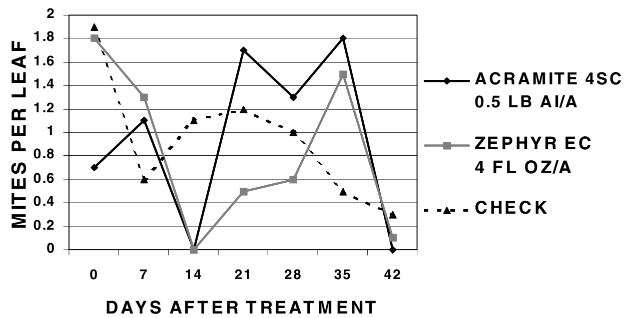

Figure 6. Impact on Predatory Thrips on Cotton, 1999 – Fresno, CA.

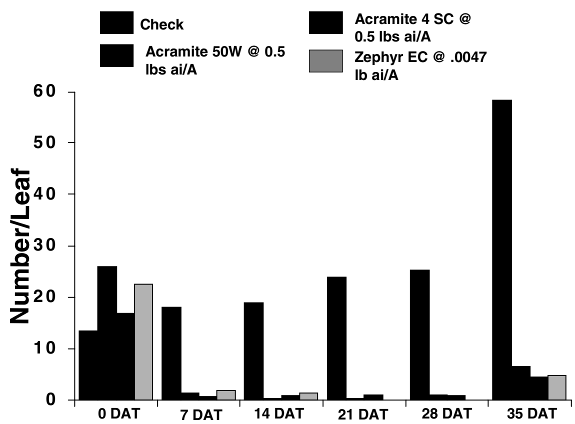

Figure 7. Number of Spider Mites per Leaf on Cotton, 2000-Visalia, CA.