ACTARA TM 25 WG: CONTROL OF COTTON PESTS WITH A NEW NEONICOTINOID INSECTICDE, THIAMETHOXAM

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Abstract

Thiamethoxam, a new insecticide in the Neonicotinoid chemical class is being developed for control of many sucking and chewing pests on a wide array of crops. Thiamethoxam provided excellent control of aphids, whiteflies, thrips, tarnished plant bugs and flea hoppers on cotton with very low application rates. Thiamethoxam is a selective insecticide and is ideally suited for use in integrated pest management programs.

Thiamethoxam (Actara^{TM} 25WG and 2 GR), a thianicotinyl insecticide in the class neonicotinoid is currently under development by Novartis Crop Protection. Thiamethoxam controls a wide spectrum of sucking and chewing pests through contact and stomach activity at very low use rates. Thiamethoxam exhibits rapid plant uptake and is xylem-transported to untreated portions of the plant. Due to its systemic nature, a variety of application methods may be used to apply thiamethoxam. It may be applied to seeds, soil, and foliage, which offers many advantages compared to many currently registered insecticides. Thiamethoxam has minimal impact on beneficial species, while providing long lasting pest control. Thiamethoxam has been tested on a variety of crops ranging from tree fruits to vegetables and exhibits excellent crop safety. Because of the broad pest spectrum controlled, application flexibility, minimal impact on beneficials, and excellent crop tolerance, thiamethoxam is ideally suited for use as an integrated pest management tool in many crop/pest situations.

Mammalian and Ecological Toxicology

Thiamethoxam has favorable mammalian and ecological toxicology characteristics (Table 1 & 2). In mammals, thiamethoxam is not acutely toxic and is not a mutagen, neurotoxin, teratogen or reproductive toxin. For birds, thiamethoxam is slightly toxic by gavage and practically non-toxic when ingested. It is practically non-toxic to fish, *Daphnia*, and molluscs. Algae and earthworms are insensitive to thiamethoxam and it is moderately toxic to mysid shrimp. Thiamethoxam is highly toxic to honeybees which will prevent its use during times when bees are foraging. Low application rates of thiamethoxam also reduce the environmental exposure.

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Environmental Fate

In laboratory studies, thiamethoxam exhibits a biphasic pattern of soil degradation with a primary half-life of 5-7 days followed by a secondary half-life of greater than a year. This persistence is partially mitigated by rapid breakdown by aqueous photolysis. The combination of the high water solubility and the rapid binding to the soil matrix results in moderate mobility.

Biological Activity

Mode of Action

The mode of action of thiamethoxam is presently under investigation. Preliminary data indicate that thiamethoxam acts by interfering with the nicotinic acetylcholine receptor of the insects nervous system. Thiamethoxam acts through contact and ingestion and results in the cessation of feeding within hours of contact and death results within 24 hours of exposure.

IPM Compatibility

Thiamethoxam is classified as slightly harmful to beneficial insects and harmless to predatory mites. This classification is the result of laboratory contact bioassays conducted according to the International Organization for Biological and Integrated Control of Noxious Animals and Plants Standards (IOBC). However, when thiamethoxam is applied in the field, the impact on beneficial arthropods is minimal. This is attributable to two factors: 1) thiamethoxam has short leaf surface residual activity because the chemical moves from the leaf surface into the leaf while environmental factors remove the remaining leaf residue, 2) thiamethoxam is often applied to the soil (i.e. in-furrow, seed treatment, sidedress, through irrigation systems) which allows thiamethoxam to systematically protect the plant without contacting beneficial species which remain on the plant surface. Therefore, flexibility in the application of thiamethoxam with limited leaf surface residues result in excellent pest control without disrupting natural enemies. This will allow thiamethoxam to become an integral part of integrated pest management programs in many different cropping systems.

Resistance Management

In laboratory and field studies, thiamethoxam provides excellent control of insects resistant to many chemical classes. Intensive laboratory studies indicate no cross-resistance between thiamethoxam and any other insecticides tested. However, additional studies are being conducted to determine the potential for cross-resistance between thiamethoxam and other insecticides from different chemical classes.

Because the threat of resistance with any new insecticide is real, resistance management strategies to preserve the longevity of thiamethoxam must be implemented at the time of commercialization. Novartis Crop Protection is presently establishing baseline toxicological data with thiamethoxam for key pests in many regions of the United States. These baseline data are essential in tracking the performance of thiamethoxam after commercialization. Labeling language will encourage the use of foliar applications in response to economic thresholds, and limit the number of thiamethoxam applications per season to encourage the use of other pest management tools. These and the use of other sound resistance management strategies will aid in preserving the longevity of this exciting new insecticide.

Uptake and Movement of Thiamethoxam in Plants

Uptake and Movement

Thiamethoxam has a low molecular weight, low octanol-water partition coefficient and relatively high water solubility (Table 1.), all of which favor rapid and efficient uptake and xylem transport. When applied to the soil or seed, thiamethoxam is rapidly taken up by the roots of germinating seedlings and translocated to the cotyledons and leaves. Thiamethoxam is transported in the xylem in an acropetal direction. This systemic activity protects plant parts situated acropetally from the application site with efficacious levels of thiamethoxam. Metabolism of thiamethoxam in the plant is slow, resulting in insect control for an extended period of time.

Field research has demonstrated that thiamethoxam applied to seeds or soil is more efficacious under dry conditions than other compounds in similar chemical groups. This may result from the higher water solubility of thiamethoxam compared to other insecticides. Following foliar applications, thiamethoxam is rapidly translocated into the plant tissue.

In laboratory studies, 14C labeled thiamethoxam was applied to the leaf surface of tomato plants. The plants were then kept in a growth chamber under controlled conditions at 25° C and 60% relative humidity. The surface deposit was removed after the appropriate time intervals by washing with acetonitrile, and the leaves were extracted and analyzed by TLC methods. Results in Fig-1 indicate that between 15 - 40% of the applied amount of thiamethoxam was rapidly taken up into leaves. Under the laboratory conditions (no sunlight and no rain) of this study, the main process leading to the reduction of thiamethoxam surface deposit is the translocation of the active ingredient from the leaf surface into the leaf (Fig. 2). Thiamethoxam is nonvolatile and leaf residues are light stable. However, a high percentage of the leaf surface deposits are removed by water.

Translocation

The physico-chemical properties of thiamethoxam are favorable for efficient transport in the xylem. Laboratory studies were conducted to examine the movement of thiamethoxam by placing 14C labeled thiamethoxam, on rice plants. Leaf samples taken at varying distances from the application site and at varying times after the application were analyzed to determine the amount of 14C thiamethoxam present. Results indicate that following uptake into plants, thiamethoxam is transported in the xylem in an acropetal direction leading to systemic activity. All parts of the plant situated in acropetal direction from the application site retain high concentrations of thiamethoxam.

Thiamethoxam Use in Cotton

Thiamethoxam is being developed for use as a foliar and in-furrow treatment to control many cotton pests. The foliar product will carry the trade name Actara™ and will be formulated as a 25% wettable granule. The soil formulation will be formulated as a 2% granule and has not yet been named. Pests controlled with the two formulations are listed in Table 3.

Actara™ 25WG applied at 0.047 lbai/A provided 87% control of aphids when rated 0-4 days after application, compared to only 79% control with Provado applied at 0.047 lb ai/A (Fig 3). When aphid populations were evaluated 5 - 9 days after the application, Actara™ 25WG was providing 83% control compared to only 67% control achieved with the Provado treatments. Evaluations made 10 - 14 days after the application indicated that Actara™ 25WG was providing 80% control compared to only 54% control achieved with Provado.

Thiamethoxam applied to the soil as a 2GR formulation also provided excellent control of aphids in cotton (Fig 4). Thiamethoxam 2GR applied at 0.097 lb ai/A provided greater than 90% control of aphids when evaluated 0 - 20 days after application. At this same evaluation, Admire and Temik were providing 91% and 88% control, respectively. When evaluated 20 - 40 days after application, thiamethoxam 2GR was providing 88% control , while Admire and Temik were only providing 66 and 72% control, respectively.

Control of whiteflies with Actara™ 25WG is excellent (Fig 5). Evaluations of whitefly populations 14 days after the second application of Actara™ 25WG applied at 0.047 and 0.067 lb ai/A indicate superior control of whitefly nymphs compared the standard Knack applied at 0.056 lb ai/A.

Control of fleahoppers in cotton with Actara™ 25WG is superior to the currently registered standard insecticides (Fig 6). Three days after an application, Actara™ applied at 0.067 lb ai/A was providing numerically better control of fleahoppers compared to the two standard insecticides, Orthene and Provado. When fleahopper densities were evaluated eight days after the application, the population in the untreated check had increased. However, the Actara™ treatment was still providing excellent control.

Actara™ was evaluated for tarnished plant bug control in Texas during 1998. In this study, Actara™ was applied at

0.047 and 0.062 lb ai/A and Orthene and Fipronil were applied at 0.71 and 0.062 lb ai/A respectively. After one application, the number of tarnished plant bug nymphs present in the plots was recorded. Statistically, all treatments provided the same level of control which was significantly better than the untreated check (Fig 7). Numerically, the best treatments were the two Actara™ treatments. After a second application, all treatments were providing the same level of tarnished plant bug control.

Conclusion

Thiamethoxam, a new neonicotinoid insecticide in the subclass thianicotinyl is being developed by Novartis Crop Protection for control of a wide array of chewing and sucking pests. Two formulations of this insecticide are being developed for use in cotton. Actara™ 25 WG, a 25% wettable granule is being developed for use as a foliar treatment for the control of aphids, whiteflies, thrips, fleahoppers and tarnished plant bug. A 2% granule formulation is being developed for use at planting to control early season aphids, thrips and whiteflies. Pest control with thiamethoxam is equal to or superior to most currently registered standard insecticides, while requiring significantly less active ingredient be applied.

Table 1. Chemical and physical properties of thiamethoxam.

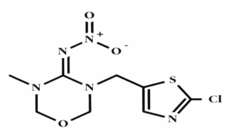
Chemical Structure:	
Code Number:	CGA-293343
Chemical Name:	3-(2-Chloro-thiazol-5-ylmethyl) -5-methyl-[1,3,5]oxadiazinan-4ylidene-N-nitroamine
Empirical Formula:	C8H10CIN5O3S
Chemical Class:	Neonicotinoid
Subclass:	Thianicotinyl
Proposed Common Name:	Thiamethoxam
CAS No.:	153719-23-4
Molecular Weight:	291.72
Physical State 20°C:	Crystalline Powder
Melting Point:	139.1°C
Water Solubility 25°C:	4,100 mg/l
Vapor Pressure 25°C:	6.6 X 10-9 Pa
Partition Coefficient 25°C (log Pow):	-0.13
Hydrolysis (t1/2 at 25°C):	pH 5: Stable pH 7: 608 Days ph 9: 13 Days
Photolysis (t1/2):	In water: 2-3 days In soil: 50 days
Soil Degradtion (t1/2): Sandy Loam, 25°C:	1° 5-7 days 2° 470-520 days

Table 2. Mammalian and ecological toxicology of thiamethoxam.

	Technical
· Mammals:	
– Acute Oral LD_{50} Rat:	1,563 mg/kg
– Acute Dermal LD_{50}:	>2,000 mg/kg
– Eye Irritation (Rabbit):	Non-irritant
– Skin Irritation (Rabbit):	Non-irritant
– Skin Sensitizer (Guinea Pig):	Non-sensitizing
· Wildlife:	
– Daphnia EC_{50}:	>1000 mg/l
– Fish LC_{50} (96h):	>111mg/l
– Mallard Duck LC_{50} Oral:	576 mg/kg
– Earthworm EC_{50} (14d):	>1000 mg/kg soil
– Bees LD_{50} Contact:	0.024 ug/bee

Table 3. Proposed label claims for foliar and soil uses of thiamethoxam on cotton.

- **Actara 25 WG** - 3.0 to 4.0 oz/A (0.047 to 0.062 lb ai/A)
  - Aphids, Thrips, Tarnished plant bugs, Fleahoppers, Whiteflies
  - Lygus bug (*Lygus hesperus*) - Suppression only
- **CGA-293343 2 GR** - 5.4 oz/1000 ft. (0.088 lb ai/A)
  - Aphids, Thrips, Whiteflies

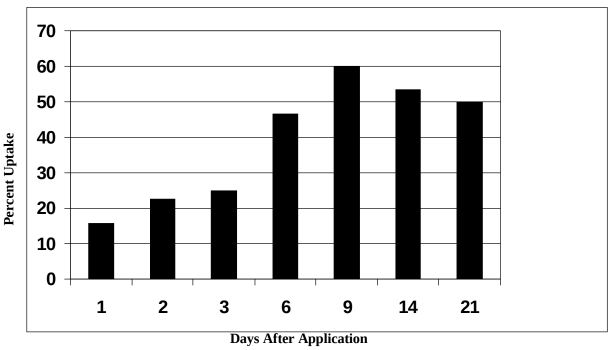


Figure 1. Uptake of C^{14} Labeled Actara™ 25WG Applied Foliarly to Tomatoes

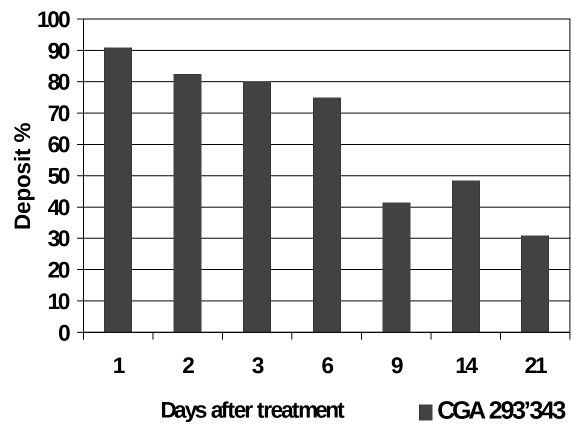
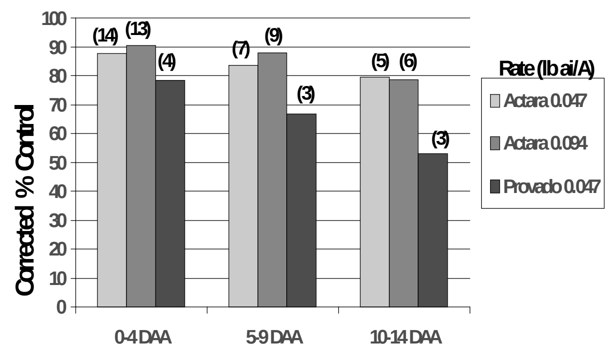


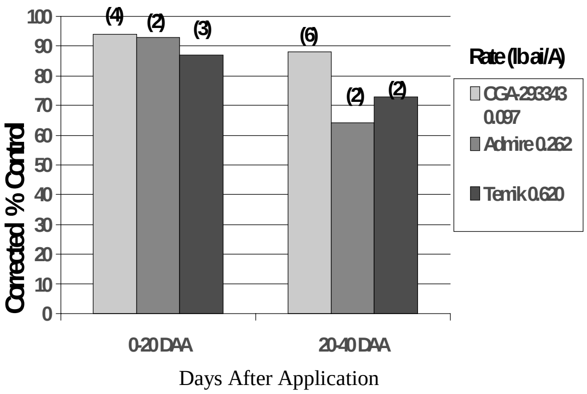
Figure 2. Remaining deposit of thiamethoxam on tomato leaves



Days After Application

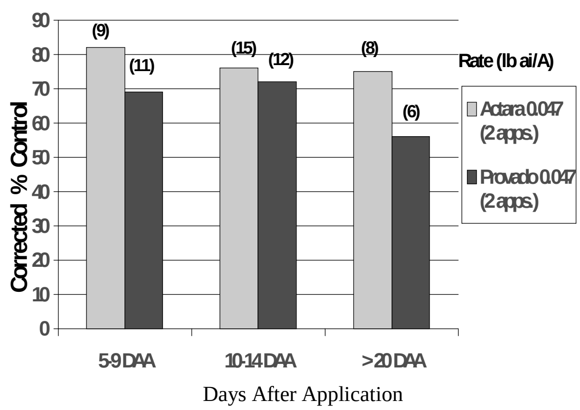
(*) = No. trials

Figure 3. Aphid control in cotton with Actara 25 WG.



(*)=No. Trials

Figure 4. Aphid control in cotton with CGA 2933432GR.



(*) = No. trials

Figure 5. Whitefly control in cotton with Actara 25 WG.

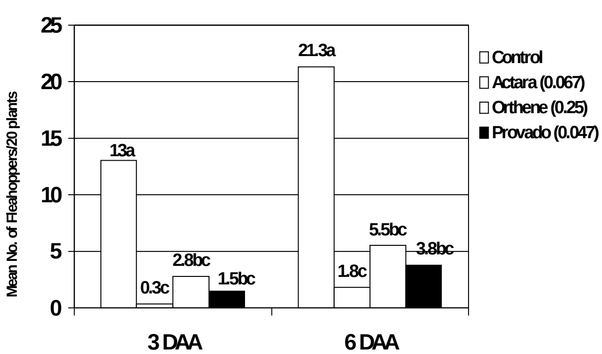


Figure 6. Actara™ 25WG: Control of Fleahoppers in Cotton (Dr. Parker, TAES, Corpus Christi, TX)

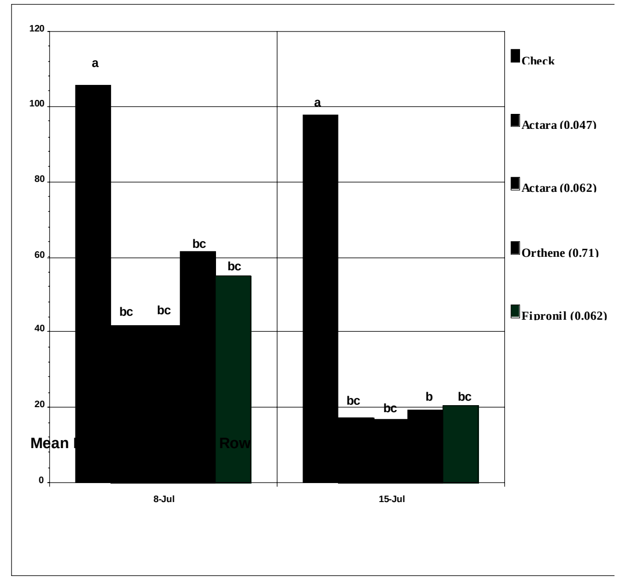


Figure 7. Actara TM 25 WG: Tarnished plant bug control in cotton (College Station, TX 1998)