

**DPX-MP062: A NOVEL BROAD-SPECTRUM,
ENVIRONMENTALLY SOFT, INSECT CONTROL
COMPOUND**

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Abstract

DPX-MP062 [Indeno [1, 2-e] [1, 3, 4] oxadiazine-4a (3H)-carboxylic acid, 7-chloro-2,5-dihydro-2-[[[(methoxycarbonyl)[(4-trifluoromethoxy)phenyl]amino]carbonyl]-, methyl ester] is a highly efficacious new insect control compound demonstrating broad spectrum control of lepidoptera target pests at rates of 12.5-70 g a.i./ha. Field studies, conducted over several years around the world, demonstrate that DPX-MP062 is highly effective in controlling populations of *Heliothis*, *Helicoverpa*, *Spodoptera*, *Plutella*, *Trichoplusia*, *Lobesia*, *Cydia* and other lepidopteran target pests in various crops such as cotton, vegetable and fruit. The product demonstrates good efficacy on target insect pests while preserving beneficial insects and mites. DPX-MP062 is an enriched active isomer (75% DPX-KN128 insecticidal component). Most of the data here have been developed on the racemic material DPX-JW062.

DPX-MP062 has a very favorable environmental profile with a relatively short half life, low environmental loading, and large margins of safety to mammalian, avian, aquatic, and non-target organisms. DPX-MP062 has a novel mode-of-action, resulting in lack of cross resistance to standard insect control compounds such as pyrethroids, O.P.s, and carbamates and consequently will fit well into resistance management programs, and integrated control situations.

Introduction

The future of agriculture in a modern society inundated with environmental and toxicological concerns is dependent on the discovery of novel solutions to insect control. Although a number of recent products have been introduced, many suffer from disadvantages in bioefficacy (eg. narrow spectrum, high use rates) or pose threats to the environment (eg. impact on beneficials, impact on aquatic systems). This leaves a clear need of growers for effective low use rate, novel mode-of-action, environmentally sound products that fit into current and future IPM programs.

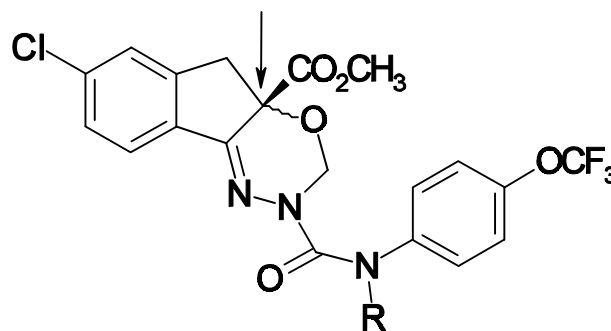
DPX-MP062 insect control agent is the result of an extensive discovery and development program within DuPont to address these specific areas of concern and create a product for the future that meets many of the standards established by both growers and environmental/regulatory agencies.

Chemical And Physical Properties

DuPont is currently developing two insecticidal compounds, both of which contain the same active ingredient; these are referred to as DPX-JW062 and DPX-MP062. Both DPX-JW062 and DPX-MP062 contain two optically active isomers, only one of which is insecticidally active. These optical isomers are called DPX-KN128 and DPX-KN127. DPX-KN128 is the insecticidally active isomer and the active ingredient which is common to both DPX-JW062 and DPX-MP062. DPX-KN127 has no insecticidal activity and from a toxicological and environmental perspective can be considered an inert. The chief difference then between DPX-JW062 and DPX-MP062 is in the level of DPX-KN128 and DPX-KN127 they contain. Table 1 describes the different isomer ratios in each compound.

Table 1. Isomer ratios for DPX-JW062 and DPX-MP062

Compound code	Isomer code	Ratio of isomers (%)
DPX-JW062	DPX-KN128	50
	DPX-KN127	50
DPX-MP062	DPX-KN128	75
	DPX-KN127	25



Structure of DPX-MP062, some physico-chemical properties

R=COCH₃=MP062 R- = DPX-KN127, THE INACTIVE ISOMER
S- = DPX-KN128, THE ACTIVE ISOMER
→ = Site of the chiral carbon

Chemical Name Indeno [1,2-e] [1,3,4] oxadiazine-4a (3H)-carboxylic acid, 7-chloro-2,5-dihydro-2-[[[(methoxycarbonyl)[(4-trifluoromethoxy)phenyl]amino]carbonyl]-, methyl ester

CAS Registry No. 144171-61-9

1. Molecular weight: 527.87 g/mole
2. Melting point (for solids only): 140-141° C
3. Solubility (in water, organic solvents, lipids and fats):
Water <0.5 mg/l
1-Octanol 480 mg/l

	Methanol	390 mg/l
	Acetonitrile	76000 mg/l
	Acetone	140000mg/l
4. Partition coefficient in octanol/water:	Approx.	40000
5. Vapor Pressure:	Less than 10 ⁻⁵ Pascals at 20-25°C	

Physical chemical properties cited here refer to the racemic compound (DPX-JW062)

Mammalian Toxicology

Technical (based on DPX-JW062)

Acute oral LC50, (rat):	> 5000 mg/kg (EPA Tox. Cat. IV)
Acute dermal LD50, (rabbit):	> 2000 mg/kg (EPA Tox. Cat. III)
Acute inhalation LC50, (rat):	> 2 mg/l (EPA Tox. Cat. III)
Eye, skin irritation, (rabbit):	None
Dermal sensitization, (guinea pig):	No evidence
Ames Test:	Negative

Environmental Safety

Avian toxicity (based on DPX-JW062)

Bobwhite quail and Mallard duck, acute oral LD ₅₀ :	> 2250 mg/kg
Bobwhite quail and Mallard duck, 5-day dietary LC ₅₀ :	> 5620 mg/kg diet

Aquatic toxicology

Bluegill sunfish 96 hr.LC ₅₀ :	> 1.0 mg/l
Rainbow trout 96 hr.LC ₅₀ :	> 0.5 mg/l

Environmental Fate

Soil half-life:	4-5 days tama silt loam soil
Aqueous Hydrolysis:	pH 5 > 30 days, pH 7 ~ 30 days, pH 9 ~ 2 days
Aquatic Photolysis:	1-2 days at pH 5.0

Beneficial Arthropod Evaluations

Following 4-6 applications of 30-50 g a.i./ha DPX-KN128, little or no adverse effects were reported on the parasitic wasp *Aphidius rhopalosiphii*, predatory mite *Typhlodromus pyri*, ground dwelling predator *Aleochara bilineata* and aphid predator *Episyrphus balteatus* (Mead-Briggs *et al.*, 1996).

Mode of Action

DPX-KN128 offers a totally novel mode-of-action compared to other insect control products. Biochemical studies have demonstrated that DPX-KN128 (Wing, personal commun.) and related chemistry (Salgado, 1990) blocks sodium channels in nerve cells. The blockage of these sodium channels in insects leads to poor coordination, paralysis and ultimately death of the target insect. The routes of entry into insects is via both contact and ingestion. Insect behavior is rapidly altered following exposure to a toxic dose of DPX-KN128, resulting in a rapid cessation of feeding and consequently excellent plant protection of the target crop. Extensive laboratory and field studies on strains resistant to a broad range of commercially available products demonstrate a lack of cross resistance to DPX-KN128, thus offering a valuable tool for IPM and resistance management programs.

Summary

DPX-MP062 is a novel insect control agent containing the active ingredient DPX-KN128. Tests on a range of crops and pests worldwide have shown outstanding larval control in the range 12.5-70 g a.i./ha. The low toxicity to non-target organisms and short persistence in the environment indicate that DPX-KN128 is surprisingly environmentally benign for such an effective control agent. The novel mode of action of this molecule raises the probability of effective control within the framework of IPM and resistance management strategies.

Acknowledgments

Product development involves extensive teamwork across disciplines. We would like to express our gratitude to all our colleagues who have contributed to our understanding of the value of DPX-MP062.

References

Mead-Briggs, M; Bakker, F. M.; Grove, A.J.; Primiani, M. M. (1996). Evaluating the effects of multiple-application plant protection products on beneficial arthropods by means of extended laboratory tests: case studies with predatory mites and hoverflies, and the insecticides DPX-JW062 and DPX-MP062. Brighton Crop Protection Conference - Pests and Diseases 1996 (In Press)

Salgado, V.L. (1990). Mode of Action of Insecticidal Dihydropyrazoles: Selective Block of Impulse Generation in Sensory Nerves. *Pesticide Science*, 28, 389-411.

Tables

Biological Properties - Field Studies

Table 2. Control of cotton pests (1995)

Treatment	Rate g a.i./ha	USA*	Spain**
DPX-KN128	38	93	89
DPX-KN128	50	90	91
DPX-KN128	63	-	100
DPX-KN128	75	100	-
thiodicarb	840	93	-
1-cyholothrin	34	83	-
deltamethrin	19	-	60
Untreated	-	(29)	(12)

* % control of *H. virescens* (based on No. larvae/100 terminals)

** % control of *Helicoverpa armigera* (based on No. larvae/100 fruit)
() = No. larvae/100 terminals

Table 3. Control of Tobacco Budworm and Cotton Bollworm with DPX-MP062 in Cotton*

Treatments	Rate (lb.ai/acre)	% Damaged Squares
DPX-MP062	0.045	10.1
DPX-MP062	0.065	7.9
DPX-MP062	0.09	8.5
Larvin®+Curacron®	0.5 + 0.5	10.9
	0.27 + 0.67	
Untreated		27.8

*Based on 5 field trials: Southeast, Delta, TX: 1996

Table 4. Control of Beet Armyworm and Soybean Looper With DPX-MP062 in Cotton*

Treatments	Rate	%Control		% Defoliation
		Beet Armyworm	Soybean Looper	
DPX-MP062	0.045	82	90	15.5
DPX-MP062	0.055	89	94	0.6
DPX-MP062	0.065	94	96	8.7
DPX-MP062	0.09	95	96	6.1
Larvin@+	0.5+0.	32	18	37.8
Curacron®	5			
Untreated		(114)**	(678)**	59.2

*Based on 3 field trials: GA, LA, MS: 1996

**Number of larvae per 100 row feet in untreated plots

Table 5: Effect of DPX-MP062 on Three Key Predators of Cotton Insect Pests*

Treatment	Rate	Number Per 100 Row Feet at 2 DAT		
		Bigeyed Bug	Minute Pirate Bug	Spiders
DPX-MP062	0.045	150	90	70
DPX-MP062	0.055	110	60	110
DPX-MP062	0.065	155	65	95
Lannate®LV	0.225	150	55	55
Untreated		135	35	70

*Field trial at Eastman GA: 1996

Table 6. Effect of DPX-MP062 on Two Species of Beneficial Parasites

Treatment	Rate (lb. ai/acre)	% Mortality @ 24 Hours	
		<i>Cardiochiles nigriceps</i>	<i>Microplitis croceipes</i>
DPX-MP062	0.045	2.5	2.5
DPX-MP062	0.055	0	0
DPX-MP062	0.065	17	0
Lannate® LV	0.225	2.5	2.5
Vydate® C-LV	0.25	0	0

*Data from Dr. P.G. Tillman, USDA Starkville, MS (1996)