EFFECTIVENESS OF NEW CHITIN SYNTHESIS INHIBITORS AGAINST SOME STORED PRODUCT PESTS

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Abstract

Five chitin synthesis inhibitors were evaluated under laboratory conditions against Sitophilus granarius and Acanthoscelides obtectus beetles, as well as Tribolium confusum and Trogoderma granarium larvae. CME-134 (1-(3,5-dichloro-2,4-difluorophenyl)-3-(2,6 diflubenzoyl -urea) and S-171 (1-(4-phenoxyphenyl)-3-(2,6 difluorobenzoyl)urea) applied topically on beetles and as residual treatment of wheat grain and beans decreased fecundity of S. granarius and A. obtectus. CGA-112'913[1-(3,5-dichloro-4-(3-chloro-5-trifluoromethyl-2-pirydoxy-) phenyl]-3- (2,6-diflourobenzoyl)urea), Dimilin 25 WP (diflubenzuoron) and CME-134 applied on oat flakes were toxic to T. confusum and T. granarium larvae. WB 271082 (1-[4-(7-methoxy-3,7-dimethyl-2-octenyl) oxyphenyl]-3 -(2,6-dichlorobenzoyl) -urea) and WB-148 (1-[4-(7-methoxy-3,7-dimethyl-2-octenyl)oxyphenyl]-3-(2,6-difluorobenzoyl) urea) were less effective than the above mentioned compounds.

1. Introduction

Chitin synthesis inhibitors include a new class of insecticides acting selectively on animals synthesizing chitin which is the main cuticle component (Chen and Mayer, 1985). Chitin is a polymer of β(1-4) acetamido-2-deoxy-D-glucose (GlcNAc) with approximately 10% D-glucosamine inserted along the lineary linked GlcNAc. Insect chitin is classified as α-chitin, in which GlcNAc polymer chains alternate their direction, and constitutes 25-40% of insect cuticle. When purified it is transparent and elastic. In sclerotization processes however, it becomes saturated with protein and mineral salts which make it darken and harden. In a number of insects N-acetyldopamine is the principal sclerotizing agent. Chitin is resistant to chemicals and dissolves in concentrated mineral acids and anhydrous formic acid. Chitin biosynthesis for the cuticle takes place in the epidermal cells, in the presence of enzymes eg. chitin synthase, and runs through many stages ranging from trehalose and glycogen to uridine diphosphate acetylglucosamine.

The most active among the first chitin synthesis inhibitors, after administration with food into the body of an insect larva, was 1- (4-chlorophenyl) -3- 2,6difluorobenzoyl -urea commonly known as diflubenzuron, a benzoylphenylurea derivative (Post and Vincent, 1973). It interferes with the deposition of normal cuticle, causes

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disturbances in egg formation by females and exerts toxic effects on eggs already laid (Maas et. al., 1981; Luckenheimer, 1983).

In the past decade numerous benzoylurea derivatives were reported. They appeared to interfere with the normal formation of cuticle in the process of moulting and were classified as insect growth regulators. From a survey of literature over the last two years one may infer that the majority of chitin synthesis inhibitors includes benzoylurea and benzoylthiuorea derivatives modified in the ureylene part of the molecule by isothiourea, carboxyamide, and phenylcarboxyimide moieties, respectively. A significant role of triazine derivatives such as 6-azido-N-cyclopropyl-N'-ethyl-1,3,5-tri azine-2,4diamine (CGA-19 255) as a new class of chitin synthesis inhibitors was also noticed.

In our search for new insect growth regulators, influencing the normal formation of the cuticle, three benzoyl-phenylurea analogues IV, V, VI (Fig. 1) were synthesised and compared, in bioasseys against five insect species, with diflubenzuron (I), CGA 112'913 (II) and CME-134 (III). Alkoxygeranyl substituent characteristic for some juvenile hormone analogues was introduced into the molecule of IV and V. A toxophoric diphenylether group was combined with the N-terminal part of the ureylene fragment of the compound VI.

Biological activity of diflubenzuron and several of its derivatives , against insect stored product pests was described by McGregor and Kramer (1976), Kramer and McGregor (1979), and Webley and Airey (1982).

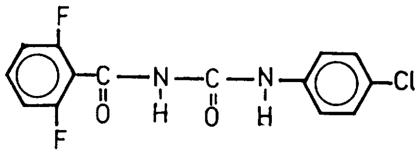
2. Experimental methods

2.1. Materials

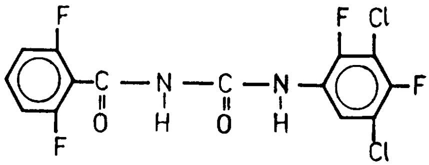
The diflubenzuron formulation WP-25 (I) supplied by Philips-Duphar B.V. was used in water suspension for spraying of food commodities. The other synthetic benzoylphenylureas (II-VI) (Fig. 1) were applied in acetone solution.

The following insects were used: adults of Sitophilus granarius and Acanthoscelides obtectus Say and larvae of Tribolium confusum Duv. and Trogoderma granarium Ev. All the species were reared in a culture room maintained at 26°C and 70% relative humidity (r.h.) except for Monomorium pharaonis L. which was tested under natural conditions only.

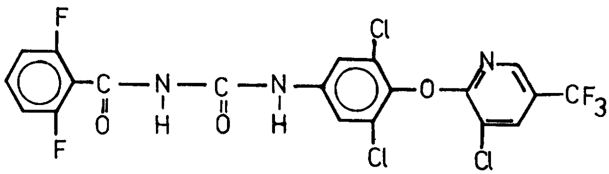
Fig. 1: Chemical structures of benzoylphenylurea derivatives.



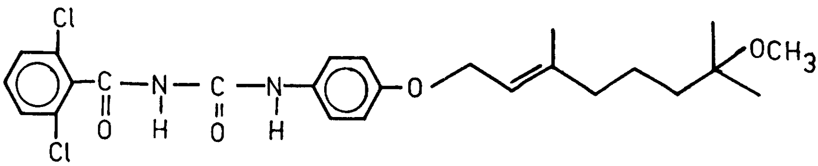
I. Diflubenzuron (Philips Duphar)



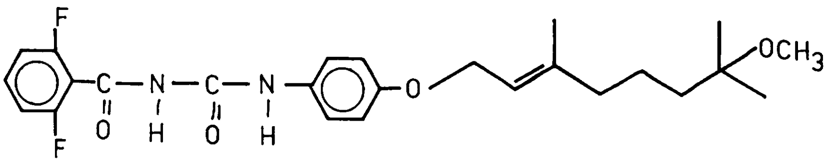
II. CME 134 (Celamerck GmbH)



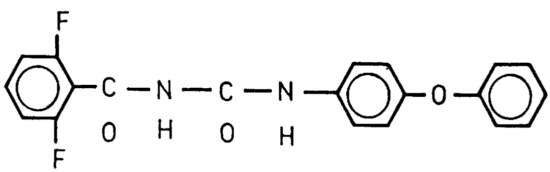
III. CGA 112'913 (CIBA-GEIGY)



IV. WB 271082 (IOCH)



V. WB 148 (IOCH)



VI. S 171 (IOCH)

2.2 Treatments

2.2.1. Topical Application

S. granarius and A. obtectus beetles 1-5 days old were treated topically with acetone solutions of CME-135 (II) and S-171 (VI) at doses of 0.001, 0.01 and 0.1 mg in 1 μl of the solvent for each insect. The control beetles were treated with 1 μl of the solvent. After treatment the insects were placed on untreated wheat grains or beans respectively. Their mortalities and progeny produced during 60 days were noted. For each dosage level, 50 beetles (10 x 5 replicates) were used.

2.2.2. Wheat grains and beans

Wheat and bean samples (100 g) were sprayed with acetone solutions of CME-134 (II) and S-171 (VI) to give concentrations of 2.5, 5.0, and 10.0 mg/kg of the compounds. After solvent evaporation, 100 beetles (20 x 5 replicates) of S. granarius and A. obtectus were added. At time of introduction the adults were 1-5 days old. Mortality of adult beetles and adult emergence of F_1 during 3 months were recorded.

2.2.3. Oat flakes

Samples of 1000 g of oat flakes were sprayed with acetone solutions of CGA112'913 (III), CME-134 (II), WB 271082 (IV) and WB 148 (V) to give concentrations of 1.0 and 10.0 mg/kg. Diflubenzuron (I) was used at the same dosage level as a water suspension of Dimilin 25 WP. After solvent evaporation, as well as 6 months after treatments, samples of 3-5 day old larvae (10 x 5 replicates) of T. confusum and T. granarium were added. Larval development and mortality for 120 days was observed.

2.2.4. Poisoned baits

The baits were prepared from hen yolk, bread crumbs and solid CME-134 (II) at a concentration of 0.5%, and were distributed in places highly frequented by workers of Pharoah's ant M. pharaonis. The experiments were carried out in 50 flats in the city of Poznan.

3. Results and Discussion

The influence of topical application of the two benzoylphenylurea derivatives (II and VI), on mortality and fecundity of the beetles S. granarius and A. obtectus is shown in Table 1. Mortality of treated insects did not differ from that of untreated ones. However, both compounds decidedly lowered the fecundity of S. granarius and CME-134 caused 100% sterilization of the A. obtectus females.

Table 1: Mortality of Sitophilus granarius and Acanthoscelides obtectus beetles and emergence of F_1 adults after topical application with CME-134 and S-171.

	Control	CME-134 (mg)			S-171 (mg)		
		0.001	0.01	0.1	0.001	0.01	0.1
S. granarius							
% mortality	6	4	10	4	0	0	4
No. progeny	123	84	33	1	32	6	2
A. obtectus							
% mortality	100	100	100	100	100	100	100
No. progeny	23	2	0	0	52	26	14

The results in Table 2 show that CME-134 (II) applied to grain at 5.0 and 10.0 mg/kg caused an increase in mortality (98%) in comparison with 19% in controls and a 100% reduction in progeny of S. granarius. S-171 (VI) was not so active and at doses of 2.5 and 5.0 mg/kg reduced progeny of both species by 50%. For grain treated at 10 mg/kg individuals of progeny were recorded. Somewhat less satisfactory results were obtained for A. obtectus because only the highest dose of CME-134 (II) lowered the number of progeny. This difference may be due to the different ways by which the species deposit their eggs. The adults of S. granarius feed on grain throughout their life and the females oviposit inside the kernels. Thus they can adsorb large amounts of the compounds deposited on the food commodities. A. obtectus does not feed at all and lays its eggs loosely among the beans. The larvae, upon hatching, penetrate the seed coat and develop within the beans. For this reason their contact with the compounds is of short duration and the amount of active material ingested while biting through the seed coat is too small to disturb cuticle formation.

Table 2: Mortality of Sitophilus granarius and Acanthoscelides obtectus beetles and emergence of F_1 adults on wheat grains and beans treated with CME-134 and S-171.

	Control	CME-134 (mg/kg)			S-171 (mg/kg)		
		2.5	5.0	10.0	2.5	5.0	10.0
S. granarius							
% mortality	19	76	87	98	45	20	24
No. progeny	101	5	0	0	49	43	2
A. obtectus							
% mortality	100	100	100	100	100	100	100
No. progeny	26	25	21	5	34	29	7

Table 3 presents the effectiveness of five benzoylphenylurea derivatives as a treatment for oat flakes. Mortality of the control insects did not exceed 6% for T. confusum larvae and 2% for T. granarium larvae. CGA 112'913 (III) at 10 mg/kg was the most toxic of the compounds tested against T. confusum larvae at the 6 months period, and T. granarium larvae immediately after treatment. CME-134 (II) was more toxic than Dimilin 25 WP to the larvae of both species.

Table 3: Mortality of Tribolium confusum and Trogoderma granarium larvae at various intervals after treatment of oat flakes with chitin synthesis inhibitors.

	Tribolium confusum % mortality after 20 days feeding				Trogoderma granarium % mortality after 20 days feeding			
	after 1 day		after 6 months		after 1 day		after 6 months	
dose (mg/kg)	1.0	10.0	1.0	10.0	1.0	10.0	1.0	10.0
Control	5	5	5	6	2	2	2	2
CME-134	72	95	81	96	72	63	31	69
Dimilin 25 WP	20	98	7	92	14	58	48	68
CGA 112'913	58	100	64	100	52	100	53	67
WB 271082	70	68	10	8	18	20	11	30
WB 148	57	66	12	14	21	23	17	20

WB 271082 (IV) and WB 148 (V) caused only 55-70% mortality of T. confusum larvae during the first days after treatment. Mortality of T. confusum larvae 6 months after treatment and of T. granarium larvae for both dosage levels and both time periods, did not exceed 20%.

All compounds at the lower doses caused 3-4 fold extension of larval development, sometimes larval duration of 100-120 days in comparison with 25-35 days in control. A similar phenomenon was noted by Webley and Airey (1982) in Dermestes maculatus larvae treated with diflubenzuron.

The most important factor in the control of Pharoah's ant is to determine initially the extent of infestation. This can be done by baiting with small pieces of boiled egg yolk or liver. At least one of these baits was placed in every room, kitchen and corridor of the infested premises. After 2-3 days of baiting, the untreated food was replaced by baits treated with CME-134. Wandering workers found baits highly attractive and carried them to their nests. After 7-14 days, the number of ants sharply decreased, and then winged males and females appeared in the flats in search of food. Initially CME-134 affected larvae fed by workers with poisoned food; however, the compound was toxic to workers as evidenced by the trails being littered with dead ants. It is probable that CME-134 also had a considerable influence on oviposition. Application of treated baits seems to be the best method for control of ants, since randomly applied insecticides can have a repellent effect and cause considerable dispersion of populations. It is extremely important that all sources of untreated food be removed and new baits be set every 1-2 days.

In experiments about 50 flats were completely cleared of ants and during the next baiting inspection no ants could be found.

4. Conclusion

The benzoylphenylurea derivatives CME-134 (II) and CGA 112'913 (III) revealed noticeable effectiveness against some stored product pests. Since their toxicity to warm blooded animals is much lower than that of classical insecticides, they may be recommended for application directly on grain and seeds, particularly in the case of insect populations resistant to malathion. For the same purpose S-171 (VI) might be applied.

When CME-134 (II) was applied for eradication of Pharoah's ant the time period required to obtain control was slightly less (4-6 weeks) in comparison with another "juvenoid type" insect growth regulator, namely Methoprene (6-8 weeks).

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