Novel Active Chrysanthemic Esters

Sirs:

Exploratory works have been made in search for novel pyrethroids-type insecticides, and we wish, at this time, to report some preliminary results of syntheses and bioassay of novel chrysanthemic esters, having 5-substituted furfuryl alcohols as alcoholic components other than cyclopentenolones.

These esters were prepared by esterification of the respective 5-substituted furfuryl alcohols with isomeric chrysanthemoyl chlorides in the presence of organic bases. The IR-spectra of these compounds fully substantiated the ester-structure proposed.

TABLE I. BOILING POINTS AND REFRACTIVE INDEX OF THE COMPOUNDS

	Steric configurations of the acid moiety in the esters	bp, °C/mm Hg	n_D^{25}
I	<i>dl-cis-trans</i>	105~107/0.20	1.4920
II	<i>dl-cis-trans</i>	117~118/0.15	1.4948
III	<i>dl-cis-trans</i>	130~131/0.60	1.5133
IV-1	<i>dl-cis-trans</i>	120~122/0.22	1.4980
IV-2	<i>d-trans</i> (natural)	119~121/0.20	1.5001

TABLE II. EFFECTIVENESS OF α -*dl-trans* ALLETHRIN AND 5-SUBSTITUTED FURFURYL ESTERS OF CHRYSANTHEMIC ACID TO ADULTS OF THE COMMON HOUSE FLY, *Musca domestica vicina* MACQ. APPLIED TOPICALLY ACETONE SOLUTION

Material	Knock-down after 30 mins.		Mortality after 24 hours	
	KD-50	Relative effectiveness	LD-50	Relative effectiveness
α - <i>dl-trans</i> allethrin	0.3427/fly	1.0	1.197/fly	1.0
I	10.688	<0.1	24.938	<0.1
II	0.510	0.7	1.273	0.9
III	0.994	0.3	0.545	2.2
IV-1	0.074	4.6	0.153	7.8
IV-2	0.028	12.2	0.101	11.9

It is noteworthy that the compound IV, 5-allylfurfuryl chrysanthemate,* is 5 to 12 times as toxic as allethrin against common house fly and showed low toxicity to mammals, the acute oral LD-50 being above 10 g/kg for compound II and 9.7 g/kg for compound IV to mouse. Based on the previous knowledge of allthrin and benathrin,¹⁾ together with the present study, $-\text{CH}_2-\text{CH}=\text{CH}_2$ substituent

seems to play an important role in effecting insecticidal activity of chrysanthemates. Details will be published elsewhere.

Yoshio KATSUDA
Hiroshi OGAMI
Tsutomu KUNISHIGE**
Yasuji SUGII**

Research Laboratory of Dainippon Jotyugiku Co., Ltd.

* For convenient sake, 5-allylfurfuryl chrysanthemate is proposed to be designated as "*Japothrin*", and 5-methylfurfuryl chrysanthemate as "*Jamethrin*".

1) Y. Katsuda and H. Ogami, *Botyu-Kagaku*, **31**, 30 (1965).

**Shiono Koryo Kaisha, Ltd..

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