Title: Studies on the Syntheses of the Pyrethrin Analogues and their Biological Activities. (II): Relationship between the Stereochemistry and the Biological Activities

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ABSTRACTS

Studies on the Syntheses of the Pyrethrin Analogues and their Biological Activities. (II)

Relationship between the Stereochemistry and the Biological Activities

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The separation of $(±)$-2,2-dimethyl-3-(3',4'-methylenedioxyphenyl)-cyclopropane-1-carboxylic acid into the geometrical isomers and the assignment of their configurations were achieved. Of the two isomers, the $(±)$-trans-acid, which was found more toxic when esterified with $(±)$-allethrolone, was resolved by means of an optically active $α$-phenylethylamine salt into $(+)$- and $(-)$-enantiomers. $(1R:3R)$-Configuration was assigned to the $(+)$-trans-acid and $(1S:3S)$-configuration to the $(-)$-trans-acid. The bioassay revealed that the $(±)$-allethrolone ester with the $(+)$-trans-acid, which belongs to the same optical series as the natural chrysanthemum acids, was the most toxic against common houseflies, as was the case with other pyrethroids.