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

Author(s)
Takei, Saburo; Inouye, Yuzo; Ohno, Minoru; Takei, Sankichi

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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

Saburo Takei, Yuzo Inouye, Minoru Ohno and Sankichi Takei

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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.