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Synthesis and anti-juvenile hormone activity of ethyl 4-(2-benzylalkyloxy)benzoates and their enantiomers

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Abstract:
A number of ethyl 4-(2-benzylalkyloxy)benzoates were prepared and their activity to induce precocious metamorphosis was evaluated in larvae of the silkworm, *Bombyx mori*, which was clearly recognized as a juvenile hormone (JH)-deficiency symptom. Ethyl 4-(2-benzylhexyloxy)benzoate (**6**) and its 2-benzylheptyloxy analog (**7**) were found to induce precocious metamorphosis at relatively low doses. Both enantiomers of **6** and **7** were prepared using a chiral auxiliary oxazolidinone. (*S*)-Enatiomers were more active than (*R*)-isomers at low doses of 0.1 and 1 μg, but at higher doses their activity was reversed. The activity of compound **6** could be fully counteracted by methoprene, a JH agonist, but not by the dietary administration of 20-hydroxyecdysone. The ester group was important in the ability to induce precocious metamorphosis. The (*S*)-enatiomer of **6** prolonged the duration of the instar and delayed the onset of cocoon spinning when applied to 5th instar larvae, suggesting that this compound might have JH-like activity as well as anti-JH activity.

Keywords:
juvenile hormone, anti-juvenile hormone, precocious metamorphosis, silkworm

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