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Communication

Synthesis, insecticidal activities and SAR studies of novel anthranilic diamides containing trifluoroethoxyl substituent and chiral amino acid moieties

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Graphical Abstract

Synthesis, insecticidal activities and SAR studies of novel anthranilic diamides containing trifluoroethoxyl substituent and chiral amino acid moieties

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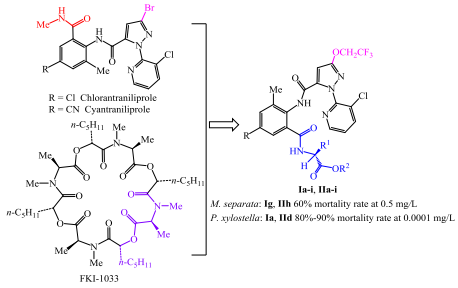

Based on the structures of ryanodine receptors (RyRs) activators anthranilic diamide insecticidal agents and FKI-1033, a series of 18 novel trifluoroethoxyl-containing chiral anthranilic diamides **Ia-i** and **IIa-i** were synthesized. Their insecticidal activities were evaluated systematically and the SAR was discussed.

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ABSTRACT

Ryanodine receptors (RyRs) activator has become one class of popular insecticide because of its unique mode of action. In order to find more new RyRs activators as insecticidal agents, a series of 18 novel chiral anthranilic diamides were designed by introducing the D-alanine acid and D-serine acid esters as well as trifluoroethoxyl group into the anthranilic diamide skeleton and synthesized successfully based on anthranilic diamide and FKI-1033 structures. The structures of the title compounds **Ia-i** and **IIa-i** were confirmed by melting points, ^{1}H NMR, ^{13}C NMR, elemental analysis and specific optical rotation analysis. The preliminary bioassay results indicated that most of the title compounds exhibited considerable larvicidal activities against oriental armyworm at 10 mg/L, especially **Ib**, **Ie** and **IIh** showed remarkable insecticidal activities at 0.5 mg/L. The larvicidal activity against diamondback moth of **Ia** and **IId** were 80% and 90% respectively at 0.0001 mg/L, which was similar to that of chlorantraniliprole. The relationship between structure and insecticidal activity was analyzed to reveal a possible co-regulated effect of the chiral amino acid ester, halogen atom or cyano group, and trifluoroethyloxyl group of the skeleton structures of the title compounds, which will provide useful information for guiding the design and discovery of new RyRs activators and insecticidal agrochemicals

Keywords:Chiral anthranilic diamides Trifluoroethoxyl Synthesis Insecticidal activity Ryanodine receptor

As one class of Ca^{2+} release channel, ryanodine receptors (RyRs) closely relate to activities inside the insect body [1]. Owing to the unique mode of action towards RyRs, flubendiamide [2,3], chlorantraniliprole [3,4] and cyantraniliprole [5] have been developed as