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Quantitative structure–activity relationships of imidacloprid and its analogs with substituents at the C5 position on the pyridine ring in the neuroblocking activity

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Abstract:
Two nerve activities of imidacloprid analogs with various substituents at the 5-position of the pyridine ring were measured: the conduction blockage in the excised central nerve cord of the American cockroach, and the binding inhibition of a radioligand, [^{3}H]imidacloprid, to the membrane preparation of housefly-head homogenates. Neuroblocking activity was quantitatively analyzed using physicochemical substituent parameters. The greater the electron-releasing resonance effect, the higher the activity. The introduction of sizable and alkoxy substituents was unfavorable. The nerve-binding activity of the tested compounds was linearly related to the neuroblocking activity with one exception. The higher the binding activity, the higher the blocking activity. © Pesticide Science Society of Japan

Keywords:
imidacloprid analogs, QSAR, neuroblocking activity, radioligand, [^{3}H]imidacloprid

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