

论文

5-取代-1-正丁基-3-吡唑烷酮类化合物的合成及抗惊作用的构效关系

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摘要:

关键词: 吡唑烷酮类 抗惊作用 定量构效关系

STUDY OF THE RELATIONSHIP BETWEEN STRUCTURE AND ANTI CONVULSANT ACTIVITIES OF 5-SUBSTITUTED-1-BUTRY-3-PYRAZOLIDINONES AND THEIR SYNTHESIS

ZS Quan;RL Li;YZ Ling

Abstract:

According to the quantitative structure-activity relationship studies of 3-pyrazolidinones with different substituent on positions 1 and 5 reported previously, the anticonvulsant activity is parabolically related with the total fragment constant (Fr hydrophobic parameter) of the 1 and 5 substituents of 3-pyrazolidinone. The optimum Fr was about 5.6. Therefore, eleven new 5-substituted-3-pyrazolidinones have been synthesized. Pharmacological test showed that they are all potent anticonvulsant agents. Among them 1-n-butyl-5-(p-chlorophenyl)-3-pyrazolidinone was shown to be the most potent so far synthesized.

Keywords: anticonvulsant activity Quantitative structure-activity relationships(QSAR) Pyrazolidinones

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