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The Synthesis and Anticonvulsant Activity of 1-Substituted-7-Methoxy-1,2,4-Triazolo [4,~3-a] Quinoline

Li-Ping GUAN[1,2], Xian-Yu SUN[2], Guan-Rong TIAN[1],
Kyu-Yun CHI[3], Zhe-Shan QUAN[1,2]
[1]Key Laboratory of Organism Functional Factors of Changbai Mountain
Yanbian University, Ministry of Education, Yanji, Jilin, 133002, P. R. CHINA
[2]College of Pharmacy, Yanbian University, Yanji, Jilin, 133000, P. R. CHINA
[3]Department of Chemistry, Wonkwang University, Iksan 570-749, KOREA
e-mail: quanzheshan@ybu.edu.cn

Abstract: A new series of 1-substituted-7-methoxy-5-phenyl-1,2,4-triazolo [4,3-a]quinolines were synthesized using ethyl-3-oxo-3-phenylpropanoate and 4-methoxybenzenamine as the starting material. Their anticonvulsant activity was evaluated by the maximal electroshock (MES) test and 7-methoxy-5-phenyl-1,2,4-triazolo[4,3-a]quinoline (4a) was identified as the most potent compound, with an ED_{50} value of 9.2 mg kg^{-1}, which is comparable to the reference drug phenytoin (ED_{50} = 9.9 mg kg^{-1}). To explore the possible mechanism of its anticonvulsant activity, compound 4a was tested with the rotarod neurotoxicity test, pentylenetetrazole (sc-PTZ) test, and isoniazid test. Compound 4a had a higher protective index (PI = TD_{50}/ED_{50}) value (16.6) than phenytoin (PI = 7.0), and it antagonized pentylenetetrazole- and isoniazid-induced seizures with an ED_{50} of 21.1 mg kg^{-1} and 83.3 mg kg^{-1}, respectively.

Key Words: 1,2,4-triazolo[4,3-a] quinoline, Anticonvulsant, MES, sc-PTZ, Isoniazid

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