

May 09-10, 2019
Stockholm, SwedenFeng-yu Piao et al., J Org Inorg Chem 2019, Volume:5
DOI: 10.21767/2472-1123-C2-023

SYNTHESIS AND ANTICONVULSANT ACTIVITY OF 5-METHOXY-5,6-DIHYDRO-4H-BENZO[F][1,2,4]TRIAZOLO[4,3-A]AZEPINE DERIVATIVES

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Series of novel 5-methoxy-5,6-dihydro-4H-benzo[f][1,2,4]triazolo[4,3-a]azepine derivatives were synthesized from 3,4-dihydronaphthalen-1(2H)-one. The structures of these compounds were confirmed by IR, ¹H NMR, ¹³C NMR, MASS spectra and elemental analysis. Their anticonvulsant activity was evaluated by the maximal electroshock (MES) test, subcutaneous pentylenetetrazol (scPTZ) test, and their neurotoxicity was evaluated by the rotarod neurotoxicity test. The results of these tests showed that compound 4-hydroxyl-1,3,4,5-tetrahydro-2H-1-benzazepin-2-one had moderate anticonvulsant activities, with median effective dose (ED₅₀) of 44.0 mg/kg, and protective index (PI) value of 6.4 in the MES test. However, this compound did not show anticonvulsant activity at the 100 mg/kg dose level in the scPTZ test. The level of competition between the elimination reaction and nucleophilic substitution reaction was discussed.

Biography

Feng-yu Piao, Yanbian University Professor, She has published more than 12 papers in reputed journals.

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