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

Rui-xue Chen¹, Rong-bi Han^{1,2} and Feng-yu Piao^{1,2}

¹Yanbian University Yanji, Republic of China

²Key Laboratory of Natural Resources of Changbai Mountain and Functional Molecules-YBU, Republic of China

A 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.

fypiao4989@126.com