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Design, synthesis and pharmacological evaluation of some novel derivatives of 1-{[3-(furan-2-yl)-5-phenyl-4,5-dihydro-1,2-oxazol-4-yl]methyl}-4-methyl piperazine

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A novel series of 1-{[3-(furan-2-yl)-5-substituted phenyl-4,5-dihydro-1,2-oxazol-4-yl]methyl}-4-methyl piperazine, compounds 3a–l have been synthesized. The synthetic work was carried out be-ginning from 2-acetylfuran through Claisen Schmidt condensation with different types of aromatic aldehyde, affording 1-(furan-2-yl)-3-substituted phenyl prop-2-en-1-ones which on cyclization with hydroxylamine hydrochloride resulted in 3-(furan-2-yl)-5-substitutedphenyl-4,5-dihydro-1,2-oxazole formation. The isoxazolines were subjected to Mannich's reaction in the presence of N-methyl piperazine to produce the desired products. The chemical structures of the compounds were proved by IR, 1HNMR, 13CNMR and Mass spectrometric data. The antidepressant activity of the compounds was investigated by Porsolt's behavioral despair (forced swimming) test on Albino mice. Moreover, the antianxiety activity of the newly synthesized compounds was investigated by the plus maze method. Compounds 3a and 3k showed the duration of immobility times of 152.00(s) and 152.33(s) respectively at 10 mg/kg dose level when compared to the standard drug imipramine (149.67s). Compounds 3a and 3k also showed significant antianxiety activity. A computational study for the prediction of ADME properties of the compounds was performed. It was encouraging to note that none of the compounds violated any Lipinski's parameter. Lipophilicity data also sug-gested that compounds are lipophilic enough to cross blood brain barrier. The molecular modelling studies also predicted good binding interactions of most active molecules with MAO-A.

Biography

Gita Chawla graduated in Pharmacy from College of Pharmacy, University of Delhi in 1987. Then, she did her MPharm in 1989 from Hamdard College of Pharmacy, University of Delhi and PhD in 1995 from Jamia Hamdard, New Delhi, India. She is Associate Professor in the Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Jamia Hamdard, New Delhi, India. Her field of specialization includes Medicinal Chemistry/Pharmaceutical Chemistry and Synthetic Chemistry. She has a teaching and research experience of around 21 years during which many students com-pleted their MPharm research work under her guidance and submitted their MPharm theses and has guided PhD scholars also. She has authored numerous research publications in various journals of national and international repute. She has been serving as an Editorial Board Member in interna-tional journals of repute.

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