

Design and in vitro evaluation of possible MAOB activity of 1,3,4-oxadiazole derivatives and melatonin analogues

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Abstract

Based on our previous investigation, two different classes of compounds - 1,3,4-oxadiazole derivatives (3a-3e) and melatonin analogues (5a-5e) were synthesized and evaluated for their neuroprotective effect. The aim of our study was to investigate activity in vitro of novel compounds on hMAOB enzyme. Monoaminoxidase activity assay of recombinant human MAOB was performed using a fluorometric method by Amplex UltraRed reagent [1] with small modifications, where Tyramine hydrochloride was used as a substrate. Of the substances tested, 3a and 3d exhibit a statistically significant inhibitory effect on MAOB, commensurate with that of selegiline. The results suggest that some of the oxadiazoles may show promising results in other in vitro models resembling Parkinson's disease.

References: [1]. Bautista-Aguilera, et al., (2014). Design, synthesis, pharmacological evaluation, QSAR analysis, molecular modeling and ADMET of novel donepezil-indolyl hybrids as multipotent cholinesterase/monoamine oxidase inhibitors for the potential treatment of Alzheimer's disease. European journal of medicinal chemistry, 75, 82-95.

he is a first year PhD student in department of Pharmacology, Toxicology and Pharmacotherapy in the same university. Last year, Valentin participated in the 2-nd World Congress on Pharmaceutical and Chemical Sciences, where he presented a poster on the theme, „In vitro effects of newly 2H-chromene and coumarin hydrazide-hydrazone derivatives on isolated rat liver microsomes and brain synaptosomes.” He has also actively participated in European Chemistry Congress, 2016 and 9th Annual European Pharma Congress, 2018.

Speaker Publications:

1. Violina T. Angelova, Miroslav Rangelov, Nadezhda Todorova, Miroslav Dangalov, Pavlina Andreeva-Gateva, M. Kondeva-Burdina, Valentin Karabeliov, Boris Shivachev, Jana Tchekalarova, Discovery of novel indole-based aroylhydrazones as anticonvulsants: pharmacophore-based design, Bioorganic Chemistry, 2019, 90, 103028.
2. Angelova, V., Karabeliov, V., Andreeva-Gateva, P. A., & Tchekalarova, J. Recent developments of hydrazide/hydrazone derivatives and their analogs as anticonvulsant agents in animal models. Drug development research, 2016, 77(7), 379-392

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Biography:

Valentin Karabelyov has completed his high education in 2019 from Medical University of Sofia, Faculty of Pharmacy. Now