World Academy of Science, Engineering and Technology
International Journal of Pharmacological and Pharmaceutical Sciences
Vol:11, No:09, 2017

Synthesis and Biological Evaluation of Some Benzoxazole Derivatives as Inhibitors of Acetylcholinesterase / Butyrylcholinesterase and Tyrosinase

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Abstract : Alzheimer's disease (AD), a neurodegenerative disorder characterized by a progressive deterioration of memory and cognition, occurs more frequently in elderly people. Current treatment approaches in this disease with the major therapeutic strategy are based on the AChE and BChE inhibition. On the other hand, tyrosinase inhibition has become a target for the treatment of Parkinson's disease (PD) since this enzyme may play a role in neuromelanin formation in the human brain and could be critical in the formation of dopamine neurotoxicity associated with neurodegeneration linked to PD. Also benzoxazoles are structural isosteres of natural nucleotides that can interact with biopolymers so that benzoxazoles showed a lot of different biological activities. In this study, a series of 2,5-disubstituted-benzoxazole derivatives were synthesized and were evaluated as possible inhibitors of acetylcholinesterase (AChE) / butyrylcholinesterase (BChE) and tyrosinase. The results demonstrated that the compounds exhibited a weak spectrum of AChE / BChE inhibitory activity ranging between 3.92% - 54.32% except compound 8 which showed no activity against AChE and compound 4 which showed no activity against BChE at the specified molar concentrations. Also, the compounds indicated lower than tyrosinase inhibitory activity of ranging between 8.14% - 22.90% to that of reference (kojic acid).

Keywords : AChE and BChE inhibition, Alzheimer's disease, benzoxazoles, tyrosinase inhibition
Conference Title : ICPPS 2017 : International Conference on Pharmacy and Pharmaceutical Sciences
Conference Location : London, United Kingdom
Conference Dates : September 21-22, 2017