World Academy of Science, Engineering and Technology
International Journal of Pharmacological and Pharmaceutical Sciences
Vol:10, No:12, 2016

Synthesis and Anticholinesterase Activity of Carvacrol Derivatives

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Abstract : Alzheimer's disease (AD) is a progressive neurodegenerative disease and it is the most common form of dementia that affects aged people. Acetylcholinesterase is a hydrolase involved in the termination of impulse transmission at cholinergic synapses by rapid hydrolysis of the neurotransmitter ACh in the central and peripheral nervous system. Carvacrol (5-iso-propyl-2-methyl-phenol) is a main bioactive monoterpene isolated from many medicinal herbs, such as Thymus vulgaris, Monarda punctate and Origanum vulgare spp. It is known that carvacrol has been widely used as an active anti-inflammatory ingredient, which can inhibit the isoproterenol induced inflammation in myocardial infarcted rats. In this paper, a series of 12 carvacrol substituted carbamate derivatives (2a-l) was synthesized and their inhibitory activities on AChE and BuChE were evaluated. Among them, 2d exhibited the strongest inhibition against AChE with an IC50 value of 2.22 μM, which was 130-fold more than that of carvacrol (IC50 = 288.26 μM).

Keywords : Acetylcholinesterase, Butyrylcholinesterase, Carbamate, Carvacrol
Conference Title : ICCDP 2016 : International Conference on Cholinergic Drugs and Phytochemistry
Conference Location : Rome, Italy
Conference Dates : December 08-09, 2016