

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-isopropyl-2-methyl-phenol) is a main bioactive monoterpene isolated from many medicinal herbs, such as *Thymus vulgaris*, *Monarda punctata* 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

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