Research in Pharmaceutical Sciences, 2012;7(5)
School of Pharmacy and Pharmaceutical Sciences
Isfahan University of Medical Sciences

Design, synthesis, and acetylcholinesterase inhibitory activity of novel coumarin analogues

M. Allahyari Devin[1,*], M. Alipour[2], M. Khoobi[3], A. Shafiee[1]

[1]Department of Medicinal Chemistry, Faculty of Pharmacy and Pharmaceutical Sciences, Tehran University of Medical Sciences, Tehran, Iran
[2]School of Chemistry, University College of Science, University of Tehran, Tehran, Iran
[3]Pharmaceutical Sciences Research Center, Tehran University of Medical Sciences, Tehran, Iran

Background and Aims: A novel series of coumarin analogues with phenylpiperazine functions as substitution were designed and synthesized for studying their potential for treating Alzheimer's (AD) disease. Ensaculin, a coumarin analogue, was chosen to be the parent compound in this study, and the analogues designed were expected to have anti-AChE activity.
Methods: Their anticholinesterase activities were assayed according to Ellmann's method against freshly prepared acetylcholinesterase (AChE) from Electrophorus electricus using donepezil as the reference compound. Pharmacological study and preliminary structure–activity relationships showed that coumarins with substitution on positions 6 and/or 7 have parallel anti-AchE activities compared with the reference compound.
Results: These compounds showed significant anti-AChE activities.
Conclusions: We can conclude that coumarins having phenylpiperazine substitution on the positions 6 and/or 7 with a suitable linking chain can be considered as interesting inhibitors in the search of new therapies for curing AD.

Keywords: Acetylcholinesterase inhibitor; Coumarin analogues; Ellmann's method