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Synthesis and anticholinesterase activity of some new benzofuranone derivatives

Betül Kaya, Gülhan Turan, Ulviye Acar and Begüm Nurpelin Sağlık
Anadolu University, Turkey

Alzheimer's disease (AD) is a chronic neurodegenerative and progressive disease that responsible from 60% to 70% of cases of dementia and characterized by progressive impairment of memory and cognition. As the destruction of cholinergic neurons ends up with the decline in acetylcholine (ACh) level, a key aspect of the symptomatic therapy for AD is to increase acetylcholine concentration in presynaptic regions via blocking its metabolic enzyme acetylcholinesterase (AChE). Benzofuranone derivatives have attracted great attention in the light of recent studies that reported compounds bearing benzofuranone ring exhibit various biological activities including acetylcholinesterase activity. On the other hand, benzofurane ring bearing compounds show structural similarity to donepezil which is the main drug currently used in clinic as acetylcholine esterase inhibitor. Studies related to synthesis of new compounds that shows structural similarity to this drug and carries piperidine or a piperidine analogue piperazine ring systems and investigation of their anticholinesterase activities are being carried on by medicinal chemists, intensively. Based on the advantages of benzofuranone, piperidine and piperazine rings, we have synthesised a new series of benzofuranone derivatives and tested their anticholinesterase activity. The structures of the obtained compounds have been evaluated using FT-IR, ¹H-NMR, ¹³C-NMR, HRMS spectral data and elemental analyses results. The anticholinesterase effects of the compounds on AChE and BuChE were determined by a modification of Ellman's spectrophotometric method. Some of the compounds showed enzyme inhibitory potency to different extents and will be evaluated in further detailed studies.

Biography

Betül Kaya is a Research Assistant in Anadolu University Faculty of Pharmacy, Department of Pharmaceutical Chemistry. She is pursuing her PhD at present and is in the fourth semester of PhD.

betulkaya@anadolu.edu.tr

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