American Journal of Drug Delivery and Therapeutics
ISSN 2349-7211
2020
Vol.7 No.10

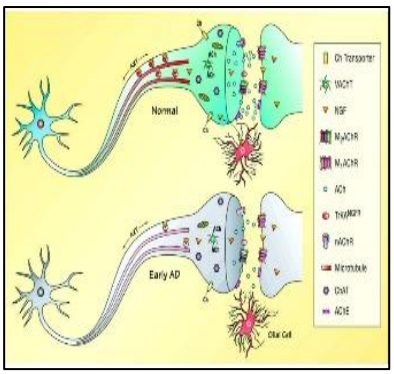
Synthesis and biological evaluation of novel coumarin derivatives targeting acetylcholinesterase

Haidy H. El-Zoheiry

Cairo University, Egypt

Abstract

Acetylcholinesterase (AChE) enzyme inhibition is an important target for the management of Alzheimer disease (AD) and AChE inhibitors are the main stay drugs for its management. Coumarins are a large family of compounds, of natural and synthetic origin, that exhibit a variety of pharmacological activities, including AChE inhibition. Ensaculin, a natural coumarin derivative displayed AChE inhibitory property, is under clinical investigation for potential AD management. Thus, new series of 7-(benzyloxy)-4-substituted-2H-chromen-2-one was synthesized and evaluated as AChE inhibitors. The anticholinesterase activities of the synthesized compounds were assayed according to Ellmann's method against freshly prepared acetylcholinesterase (AChE) from Electrophorus electricus using donepezil as the reference compound. Finally, molecular docking was performed in an attempt to understand the possible binding interactions between active compounds and amino acids present in the enzyme binding site.



Recent Publications

[1]M. Goedert, M.G. Spillantini, A century of Alzheimer's disease, Science. 314 (2006) 777–781.

[2] L. Pisani, M. Catto, I. Giangreco, F. Leonetti, O. Nicolotti, A. Stefanachi, S. Cellamare, A. Carotti, Design, synthesis, and biological evaluation of coumarin derivatives tethered to an edrophonium-like fragment as highly potent and selective dual binding site acetylcholinesterase inhibitors, ChemMedChem. 5 (2010) 1616–1630.

[3] J. Gaugler, B. James, T. Johnson, A. Marin, J. Weuve, 2018 Alzheimer's disease facts and figures, Alzheimer's Dement. 14 (2018) 367–429.

[4] A. Wimo, L. Jönsson, J. Bond, M. Prince, B. Winblad,

The worldwide economic impact of dementia 2010, Alzheimer's Dement. 9 (2013) 1–11.

[5] L.G. de Souza, M.N. Renno, J.D. Figueroa-Villar, Coumarins as cholinesterase inhibitors: A review, Chem. Biol. Interact. 254 (2016) 11–23.

Abstract Citation : Haidy H. El-Zoheiry, Synthesis and biological evaluation of novel coumarin derivatives targetingacetylcholinesterase, Medicinal Chemistry 2020, 20th World Congress on Medicinal Chemistry and Drug Design;Rome,Italy,-June22-23,2020 (https://medicinalchemistry.pharmaceuticalconferences.com/europe/abstract/2020/synthesis-and-biological-evaluation-of-novel-coumarin-derivatives-targeting-acetylcholinesterase)