Synthesis and biological evaluation of novel coumarin derivatives targeting acetylcholinesterase

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Abstract

Acetylcholinesterase (AChE) enzyme inhibition is an important target for the management of Alzheimer disease (AD) and AChE inhibitors are the mainstay 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.

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