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New Biscoumarin Derivatives as Potent α-Glucosidase Inhibitors: Synthesis, Biological Evaluation, Kinetic Analysis, and Docking Study

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Abstract

A new series of biscoumarin derivatives 3a–n were synthesized and evaluated for their α-glucosidase inhibitory activities. The reaction of the 4-aminocoumarin with benzaldehyde derivatives led to the formation of the title compounds in good yields. All the synthesized compounds showed potent α-glucosidase inhibitory activity with IC50 ranging from 20.0 ± 0.7 to180.1 ± 0.8 µM, in comparison with acarbose as the standard drug (IC50 = 750.0 1.5 µM). Among the synthesized compounds, 3,3'-(p-tolylmethylene)bis(4-amino-2H-chromen-2-one) 3c was found to be the most active compound with an IC50 value of 20.0 ± 0.7 µM. Kinetic study exhibited that compound 3c was a competitive inhibitor against α-glucosidase (Ki = 22.4 µM). In silico docking study for the most potent compound 3c was also performed.

Keywords: α-Glucosidase, biscoumarin, docking study, type 2 diabetes