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Synthesis and antidiabetic evaluation of coumarins

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Diabetes mellitus represents a group of chronic disorders with diverse multiple etiology. It is characterized by high blood glucose (hyperglycemia) resulting from the malfunctioning in insulin secretion and/or insulin action, leading to impaired metabolism of carbohydrates, lipids and proteins in the body. According to 2013 WHO report, approximately 4.9 million people have died thus far and around 415 million are currently suffering from DM worldwide. Coumarins have potential to act as anti-diabetic agents with excellent pharmacological profile. Accordingly, different hydroxycoumarins were prepared and engaged in further transformations. The synthesized compounds were structurally characterized using different spectroscopic techniques. The synthesized compounds were tested *in vitro* for their anti-diabetic activity using the standard drug (acarbose) as a control. Some of the coumarin derivatives exhibited excellent anti-diabetic activity even better than the standard drug, based on the IC_{50} data. The effect of alkyl chain length and electronic nature (electron-donating/withdrawing) of substituents attached to coumarin ring on the anti-diabetic activity was monitored, and a detailed structure activity relationship (SAR) was established. The *in vitro* anti-oxidant activity of compounds further revealed the importance of hydroxyl (-OH) groups in coumarins for their antioxidant activity. The alkylation or acylation of coumarins significantly reduced their antioxidant activity. On the other hand, the attachment of nitro ($-NO_2$) group to aromatic ring of coumarin, impressively increased the antioxidant activity. Molecular docking simulations were finally conducted to predict the binding propensities of the compounds in the binding site of α-glucosidase, an enzyme that regulates the sugar level in the body. Since, the X-ray data for this protein is not available in protein data bank its 3D model was generated using homology modeling technique. The predicted free binding energies exhibit these compounds as good inhibitors for the protein. The docking data suggested the importance of both hydrogen bonding and hydrophobic forces in their host-guest relationship.

Biography

Sanjeev Dhawan has done his B Pharmacy from Punjab Technical University, India. He did M Pharmacy in Medicinal Chemistry from Lovely Professional University, Punjab in 2013. He has been awarded NRF-TWAS fellowship South Africa in 2016. He has worked as Research Associate in Jubilant Chemsys, Noida, India from 2013-2016. Currently, he is pursuing his PhD in Organic Chemistry from University of Kwa-Zulu Natal, School of Physics and Chemistry, Westville, Durban, South Africa.

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