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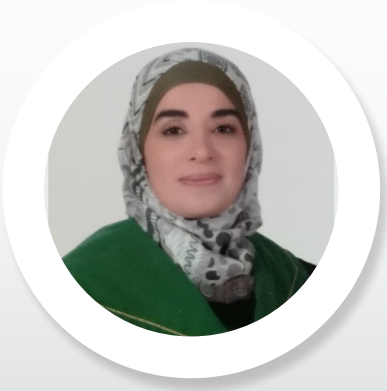
Synthesis, structural characterization and docking studies of sulfamoyl-phenyl acid esters as dipeptidyl peptidase-IV inhibitors



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Diabetes mellitus is a major worldwide health concern that has several serious complications including retinopathy, neuropathy, nephropathy and macrovascular diseases. Dipeptidyl peptidase-IV (DPP-IV) inhibitors, gliptins, are a new class of antidiabetic agents that potentiates the action of incretins in decreasing the blood glucose levels. In the present study, synthesis and characterization of a series of 10 N4-sulfonamido-acrylic and phthalamic acid methyl esters (3a-e and 5a-e) were achieved. *In vitro* anti-DPP-IV activity of the synthesized compounds was evaluated, where compound 3b demonstrated the best activity with a percent (%) inhibition of 41.7 at 10 μM concentrations and an IC50 of 23.9 μM. Moreover, Glide docking experiments revealed that our targeted compounds accommodate the binding site of DPP-IV and tend to form H-bonding with the backbones of R125, E206, S209, D545, K554, W629, Y631 and G632. Modeling findings recommend the attachment of bulky hydrophobic group on the ester side of the structure in addition to harboring extra aromatic rings that might be beneficial for better binding interaction and biological activity.

Biography

Reema Abu Khalaf currently is an Associate Professor of Medicinal Chemistry and Drug Discovery, at Faculty of Pharmacy, Al-Zaytoonah University of Jordan. She has completed her BSc in Pharmacy, MSc in Pharmaceutical Sciences, and PhD in Medicinal Chemistry and Drug Discovery at The University of Jordan. She got the Distinguished Researcher Award from Al-Zaytoonah University of Jordan, Jordan, 2012. Currently, her researches focus on the design and synthesis of new dipeptidyl peptidase-IV inhibitors that can serve as potential hypoglycemic agents. Furthermore, the design and synthesis of new inhibitors of cholesteryl ester transfer protein as anti-hyperlipidemic agents. She has published more than 20 papers in reputed journals.

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