ABSTRACT

The wide range of heterocycles in bioactive natural products, pharmaceutical and agrochemicals has made them useful synthetic targets. In current research work, 1-(4-(bromomethylbenzenesulfonyl)2-methylpiperidine (5) was synthesized by the reaction of 2-methylpiperidine (a) with 4-bromomethylbenzenesulfonyl chloride (b) in the presence of aqueous Na₂CO₃ at pH 9. A series of 5-aryl/aralkyl-1,3,4-oxadiazol-2-thiols (4a-n) were prepared starting from corresponding carboxylic acids. New target molecules (6a-n) were synthesized by stirring 4a-n with 5 in the presence of DMF as medium and LiH as activator for 5-6 hours. Elucidation of respective structures of these newly synthesized compounds was done by IR, ¹H-NMR and EI-MS spectral data. All these synthesized derivatives were evaluated for their antibacterial action against gram positive and gram negative strains using ciprofloxacin as standard. These target compounds exhibited moderate to high antimicrobial within MIC value range of 8.83±0.57 to 17.86±0.20 mg/ml. Screening of synthesized derivatives against enzymes AChE, BChE, antiurease and α -glucosidase having IC₅₀ (μ M) 0.04±0.0001, 0.85±0.0001, 21.25±0.15, 38.25±0.12 respectively infers that compounds 6c and 6g with IC₅₀ 8.51±0.004 µM with IC₅₀ 9.72±0.01 µM against BChE and AChE exhibited strong inhibitory potential amongst all. Most of them showed promising inhibition against these enzymes. Against α -glucosidase 6a, 6g and 6l showed moderate inhibition while remaining derivatives were inactive.