Abstract

Azacyclohexane (piperidine) possessing a variety of pharmacological activities has been the subject of interest. In this research work oxadizole and sulphonamide functionalities were introduced into Piperidine nucleus with the aim to design such new compounds with enhanced biological activities that could be helpful against many diseases. In the present work the synthesis of 5-(1-((4-Bromophenyl)sulfonyl)piperidin-4-yl)-1,3,4-oxadiazole-2-thiol (5) has been carried out in a multistep process. In the first step the reaction between 4-bromo benzenesulfonyl chloride (1) and Ethyl isonipecotate (2) give Ethyl-1-[(4-bromophenyl) sulfonyl] piperidine-3-carboxylate (3) which was then reacted with hydrazine to yield 1-((4-Bromophenyl)sulfonyl)piperidine-4carbohydrazide (4) in the second step. In the third step 1-((4-Bromophenyl)sulfonyl)piperidine-4carbohydrazide (4) was treated with carbon disulfide and potassium hydroxide to afford 5-(1-((4-Bromophenyl)sulfonyl)piperidin-4-yl)-1,3,4-oxadiazole-2-thiol (5). Different alkyl/aryl substituted piperidine derivatives (5a-c) were formed by treating 5 with corresponding alkyl/aryl halides in the presence of DMF and lithium hydride. The yields of obtained products were 75-85%. The structure of all the compounds were confirmed by spectral analysis including IR, ¹HNMR and ¹³CNMR. All the synthesized compounds were evaluated for their enzyme inhibition activity against AChE enzyme. All the compounds showed moderate anti-enzymatic potential.