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Synthesis and antimicrobial activity of novel substituted 4H-benzo[1,4]oxazin-3-one

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Compounds of 1,4-oxazinones class are very important structural motifs, which have wide application in medicinal chemistry due to their pharmacological properties such as treatment of antibacterial and antifungal, anticancer activity and antidepressant agent. A simple and efficient method has been developed for the synthesis of 4H-benzo[1,4]oxazin-3-one derivatives. In the first step, o-aminophenols were reacted with chloroacetylchloride in the presence of K₂CO₃ to produce an 4H-benzo[1,4]oxazin-3-one as intermediate (a). In the next step, final compounds were synthesized from the reaction of the intermediate (a) and the corresponding alkyl or aryl halides. Twenty 4H-benzo[1,4]oxazin-3-one derivatives (a1-a20) were synthesized. Chemical structures of all compounds were confirmed by spectroscopic methods such as IR, ¹H NMR, ¹³C NMR and mass spectroscopy. All compounds were evaluated for antifungal, antibacterial and cytotoxic properties. Broth micro dilution method was used for antifungal and antibacterial testing. Different microorganisms including Candida species, dermatophytes, filaments fungi, Gram positive and Gram negative bacteria were checked in this study. For cytotoxic evaluation we used MTT assay. Most of our compounds showed desirable activity in comparison to standard drugs.

Recent Publications

1. Mert Olgun Karataş, H U, Suat Sari, Mehmet Abdullah Alagöz, Arzu Karakurt, Bülent Alıcı, et al. (2015) Coumarin or benzoxazinone based novel carbonic anhydrase inhibitors: synthesis, molecular docking and anticonvulsant studies. *Journal of Enzyme Inhibition and Medicinal Chemistry*. 2015:1-13.
2. Mymoona Akhter A H, N Akhter and M S Y Khan (2011) Synthesis, anti-inflammatory and antimicrobial activity of some new 1-(3-phenyl-1,3,4-dihydro-2H-1,3-benzoxazin-6-yl) ethanone derivatives. *Indian J. Pharm. Sci.* 73:101-4.
3. Fringuelli R, Giacche N, Milanese L, Cenci E, Macchiarulo A et al. (2009) Bulky 1,4-benzoxazine derivatives with antifungal activity. *Bioorganic & Medicinal Chemistry*. 17(11):3838-46.

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