

Synthesis, analgesic activity of 3-amino 4,5-dimethoxyl-2-methyl quinazolin-4(3H)-one an amino-6-methoxyl-2-methyl of 4H-benzo[d][1,3]-oxazine-4-one

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Introduction: The rapid and appearance of antibiotic resistant strains today and mind misuse of antibiotics and more

Quinazolinone ring system was rewarded as a promising molecule because of its broad spectrum of biological activities like anti-histaminic, anticancer, anti-HIV, anti-inflammatory, analgesic, anti-diabetic, anti-bacterial, antifungal, anti-oxidant, anti-tubercular, anti-convulsant.

Objectives: These objectives of this study was to eliminate the current challenges by synthesizing these novel antibacterial quinazolinone derivatives with a high antibacterial potential.

Methods: The condensation of 2-amino-methyl-3,4-dimethoxybenzoate with acetic anhydride yielded the cyclic compound 2-methyl-5-substituted-1,3-benzo-oxazine-4-one which further produced a novel 2,3-disubstituted quinazolin-4 ones via the reaction with hydrazine hydrate. The compounds synthesized were unequivocally confirmed by means of Infrared, Nuclear Magnetic Resonance (¹H and ¹³C), Gas chromatography-mass spectrophotometer and elemental analysis. The synthesized compounds were screened against various strains of microorganism; Staphylococcus aureus, Bacillus species, Escherichia coli, Klebsiella pneumoniae, Serratia marcescens, and candida albicans.

Results: Compounds 1 and 2 showed significant activity against Staphylococcus aureus and Serratia marcescens with MIC ranging from 6 – 12 mg/mL.

Discussion: Compound 1 displayed a singlet signal at: δ 3.78 attributed to methoxyl group and singlet at δ 3.68 which was due to methyl group. Also, ¹H NMR spectrum of compound 2 showed a characteristic signal at δ 2.56 (singlet) corresponding to methyl group and duplet at: δ 3.90 for methoxy group. For the IR spectra, Compound 1 was characterized by absence of ν NH₂ and presence of ν C-O stretch in 1101cm⁻¹ region of the compound. Compound 2 showed the highest antibacterial activity at 16 mm compared to compound 1 and Ciprofloxacin (CPX) for bacteria, Ketonaxol (PEF). The compounds synthesized had a higher activity than Ciprofloxacin (CPX) for bacteria, Ketonaxol (PEF) for fungus, a standard antibacterial drug.

Conclusion: Compound 2 had a higher antibacterial activity than Compound 1. The compounds synthesized had a higher activity than Ciprofloxacin (CPX) for bacteria, Ketonaxol (PEF) for fungus, a standard antibacterial drug.