

Anti-Inflammatory activity Of newly synthesized 7-chloro-2-methyl-4H-benzo [d] [1,3]-oxazin-4-one and 3-amino-7-chloro-2-methyl-quinazolin-4(3H)-one

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Abstract

Introduction: Heterocyclic chemistry comprises at least half of all organic chemistry research worldwide in particular, heterocyclic structures form the basis of many pharmaceutical, agrochemical and veterinary products.

Methods: The condensation of Methyl-2-amino-4-Chlorobenzoate with acetic anhydride yielded the cyclic compound 2-methyl 7-Chloro-1, 3-benzo-oxazine-4-one (1) which further produce 3-Amino-2-Methyl 7-Chloro quinazolin-4(3H)-ones (2) 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 spectrophotometry and Elemental analysis. Results: Compound 1 and 2 has Anti-inflammatory activity of 96.78%, 95.71% and 97.62%, 95.35% at 10mg/kg and 20mg/Kg dose levels.

Discussion: Compound 1 has IR spectrum showed signals for carbonyl functional group at 1662 cm⁻¹, C-O and C-H stretch vibrations at 1102 cm⁻¹ and 2871 cm⁻¹ respectively. The ¹H NMR spectrum showed three aromatic protons at δH 7.59, 7.16 and 6.40 and a vinyl methyl protons at δH 2.57. In the ¹³C NMR spectrum, the ester carbonyl resonated at δC 168.08, while the aromatic carbons resonated in the range δC 113.40 – 149.23. The resonances at δC 153.13 and δC 22.15 were due to the imine oxygenated carbon (C-1) and the methyl carbon respectively. Compound 2, had NMR data similar to 1, except for an additional signal at δH 5.80 in the ¹H NMR spectrum which was attributed to the amino protons (2H).

Conclusion: Compound 2 had a higher anti-inflammatory activity than Compound 1. The compounds synthesized had a higher anti-inflammatory activity than Indomethacin, a standard anti-inflammatory drug.

Speaker Publications:

1. Osarumwense, P.O., M.O. Edema and O. Usifoh, 2018. Synthesis and anti-inflammatory activity of 4(3H)-quinazolinone and its 2-methyl and 2-phenyl-4 (3H)-quinazolinone derivatives. IOSR J. Applied Chem., 11: 12-15.
2. Osarumwense, P.O., 2018. Analgesic activity of newly synthesized 7-chloro-2-methyl-4H-benzo [d][1,3]-oxazin-4-one and 3-amino-7-chloro-2-methyl-quinazolin-4(3H)-one. Ovidius Univ. Ann. Chem., 29: 25-28.
3. Osarumwense, P.O., O. Iyekowa and T.F. Ediagbonya, 2017. Synthesis and antimicrobial activity of azine and substituted 2,4,6-trimethoxyl azine. Niger. J. Sci., 35: 159-163.
4. Osarumwense, P.O., M.O. Edema, O. Usifoh and E. Marvis, 2017. Synthesis of 3-substituted-6, 8-dibromo-2-methyl quinazolin-4(3H)-one derivatives via 6, 8-dibromo-2-methyl-4h-benzo [D] [1,3]-oxazin-4-one. Int. J. Scient. Technol. Res., 6: 292-294.
5. Osarumwense, P.O., 2017. Synthesis and antimicrobial activity of azine and 3, 4, 5-trimethoxy substituted azine. Sci. Afr., 16: 172-178.

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Biography:

Osarumwense Peter Osarodion is currently working in Department of Chemical Sciences in Ondo State University of Sciences and Technology, Nigeria.