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Synthesis, anti-inflammartory activity of 3-amino 5-methoxyl-2-methyl quinazolin-4(3H)-one and 3-amino-6-methoxyl-2-methyl of 4H–benzo[d] [1,3]–oxazine–4–one

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Introduction: Quinazolinone derivatives represent one of the most active classes of compounds possessing a wide spectrum of biological activity. They are widely used in pharmaceuticals and agrochemicals.

Methods: The condensation of 2-amino-methyl-5-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 and evaluated pharmacologically for their in-vivo anti-inflammatory activity and the paw volume of each rat was measured before 1 h and after 3 h of carrageenan treatment with the help of a plethysmometer.

Results: Compound 1 had anti-inflammatory activity of 89.03% and 88.03% at 20 mg/kg and 10 mg/kg respectively, while compound 2 had anti-inflammatory activity of 94.79% and 90.30% at 20 mg/kg and 10 mg/kg respectively.

Discussion: Compound 1 displayed a singlet signal at: δ 3.78 attributed to methoxy 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 v NH2 and presence of v C-O stretch in 1101cm-1 region of the compound. Compound 2 showed the highest anti-inflammatory activity at 20 mg.kg of 94.79% compared to compound 1 and indomethacin. These compounds synthesized had a higher anti-inflammatory activity than indomethacin which is a standard anti-inflammatory drug.

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.

Biography

DR. OSARUMWENSE PETER OSARODION has completed his PhD at the age of 35 years from the University of Benin, Benin City, and Edo State, Nigeria. He is the Lecturer of Ondo State University of Science and Technology, Ondo State, Nigeria a premier University. He has published more than 30 papers in reputed journals and has been serving as an editorial board member of repute.

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