Synthesis, Anti-inflammartory activity of 3-Amino 6-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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Background: 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. Looking to the medicinal importance of 4(3H)-quinazolinone, we report here the synthesis of a new class of heterocyclic molecules in which all of these moieties are present and try to develop potential anti-inflammatory molecules.

Objective: The objective of the present study was to synthesize these quinazolinone derivatives 3-Amino 6-Methoxyl 2-Methyl-4H-benzo[d]-[1,3]-Oxazin-4-one and 3-Amino-6-methoxyl-2—Methyl-3H-Quinazolin-4-One and screened them for their anti-inflammatory activity.

Method: 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 produce 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 by the paw volume of each rat was measured before 1 and after 3 h of Carrageenan treatment with the help of a Plethysmometer.

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. Other singlets appeared at δ7.16 and 6.40 attributed to aromatic protons. Also, ^{1}H 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. Two singlets appeared at δ7.41 and 7.10 attributed to aromatic protons. Another signal appeared at 5.80 which was attributed to the protons of the amino group. For the IR spectra. Compound 1 was characterized by absence of υNH_2 and presence of υ C-O stretch in 1101cm^{-1} region of the compound. Compound 2 and 4 were characterized by absence of υC-0 and presence of υNH_2 in 3301cm^{-1} and 3300 region of the compounds. compound 1, revealed signals at δ16.95, 51.93 and 56.13 attributed to methyl and the two methoxy groups respectively, while the aromatic carbon atoms appeared between δ values 100.05-168.28 with the carbonyl carbon atom appearing as the highest δ value of 168.28. Similarly, compound 2 showed signals at δ22.58, 56.63 and 56.80 attributed to methyl and the two methoxy groups respectively, while the aromatic carbon atoms appeared between δ values 105.64-160.28, with the carbonyl carbon atom appearing as the highest δ value of 160.28. The Compounds were sreened for their Anti-inflammatory activity. These compounds synthesized have a higher anti-inflammatory activity than acetylsalicyclic acid, which is a standard analgesic drug.

Conclusion: Compound 2 has a higher Anti-inflammatory activity than Compound

1. These compounds synthesized have a higher anti-inflammatory activity than Indomethacin, standard anti-inflammatory drug

Keywords:

Anti-inflammatory activity, Quinazoline-4(3H)-One, 6-methoxyl 2-methyl 4H–benzo[d] [1,3]–oxazine–4–One, Nucleophile, Synthesis, 3-Amino 6-methoxyl-2-Methyl

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