IOSR Journal of Applied Chemistry (IOSR-JAC) e-ISSN: 2278-5736.Volume 11, Issue 8 Ver. I (August. 2018), PP 55-59 www.iosrjournals.org



A facile synthesis and antibacterial screening of some new 4-Thiazolidinone derivatives

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Abstract: Efficient syntheses of 4-thiazolidinone are affected by reaction of 6-methoxy-2-acetyl naphthalene and 4-methoxy-2-hydroxy benzaldehyde to give chalcone. This on further reaction with guanidine nitrite gives Amino Pyrimidine derivative. This amino Pyrimidine derivative treated with methyl chloroformate, hydrazine hydrate and various substituted aromatic aldehydes respectively yielded various Schiff bases. The reaction of various substituted Schiff bases with thioglycolic acid gives corresponding 4-thiozolidinone derivatives. The various synthesized compounds were assigned on the bases of elemental analysis, IR and ¹H NMR spectral data. The compounds are evaluated for their antibacterial activity.

Keywords: Chalcones, Schiff base, 4-Thiozolidinone, Antibacterial Activity.

Date of Submission: 26-08-2018 Date of acceptance: 08-09-2018

I. Introduction:

In recent years, several new methods for preparation of thiazolidinone derivatives and reaction have been reported in the literature. The chemistry of 4- thiazolidinone ring system in area of interest for many research groups due to actual and potential biological activity of many derivatives. 4-thiazolidinones play a vital role owing to their wide range of biological activity ¹⁻⁵. 4-thiazolidinone is known to exhibit antitubecular⁶, antibacterial ⁸⁻¹⁰ antifungal¹¹ and antitheraputic ¹²⁻¹⁴ activities.

The reaction 6-Methoxy-2- Acetyl Naphthalene with 4-Methoxy 2- Hydroxy Benzaldehyde in present of Methanol and 10% KOH (2-3 ml) to give chalcone (1) Which was convert to {4-(6' Methoxy-2' Naphthyl) 6- (2'Hydroxy-4' Methoxy Phenyl)} 2-Amino Pyrimidine (2) by treatment with guanidine nitrate & 40% KOH (2-3 ml) in methanol. This compound (2) on further reaction with Methyl Chloro Formate & Hydrazine Hydrate to give N-acetyl hydrazine {4-(6'Methoxy-2'-Naphthyl) 6-(2'-Hydroxy-4'-MethoxyPhenyl)}-2-Amino Pyrimidine (3).

This compound (3) was Condensed with different Aromatic aldehydes to obtain corresponding Nureido- 4 -(Substituted Aromatic aldehydes) $\{4'-(6''-Methoxy-2''-Naphthyl)-6'-(2''-Hydroxy-4''-Methoxy Pheny!)\}$ -2-Amino Pyrimidine (4_{a-j}) (Schiff Base). This different Schiff Base on Cyclo condensation with Thioglycolic acid gives substituted 4-Thiozolidinine derivatives (5_{a-j})

II. Experimental Section:

All melting points were determine in an open capillary tube and are uncorrected. Infra Red (IR) Spectra were recorded on a FTIR-8400 Shimadzu with KBr. Proton Nuclear Magnetic Resonance (1 H NMR) Spectra were recorded on a Brüker Avance dpx-200 (at 200 MHz) with CHCl $_{3}$ at a solvent using TMS as internal reference (Chemical shift in δ ppm). Elemental analysis of C, H, and N done by CDRI, Lucknow and results are within \pm 0.4 % of the theoretical value. Silica gel thin layer chromatography was carried out using Kieselgel 60 (Merck) to monitor the reaction and to check the purity of compounds. The eluent was a mixture of benzene and methanol in different proportion (70:30) and spots were located by iodine.

Activity of the compound has also been screened against E.coil, P.areyginosu, S.aureus, and B.mycodies

DOI: 10.9790/5736-1108015559