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SYNTHESIS, CHARACTERIZATION AND BIOLOGICAL ACTIVITY OF SOME NEW HETEROCYCLIC COMPOUNDS DERIVED FROM 4-AMINOACETOPHENONE

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ABSTRACT : Our work aimed for organic synthesis and biological investigation of some new heterocyclic derivatives by three steps : the first step included synthesis of some five-membered and six-membered heterocyclic derivatives (Pyrazole, Isoxazole, Oxazine and Thiazine) from Chalcone. The second step included synthesis of some 1,3-Oxazipene derivatives from Schiff's bases derived from Azo compound. The third step included the assay of biological activity of all compounds against four types of pathogens bacteria (*Staphylococcus aureus*, *Granutice tella adiacens*) gram positive and (*E. coli, Proteus mirabilis*) gram negative the results exhibited excellent biological activity for all derivatives compared to antibiotics (Ampicillin and Ciprofloxacin). These compounds were identified and confirmed by FT- IR, 1HNMR, 13CNMR and elemental analysis.

Key words : Synthesis, heterocyclic derivatives, chalcone, antibacterial.

INTRODUCTION

Heterocyclic compounds are very broadly distributed in nature, and are important to life in different ways (Dhar, 1981). Mainly these compounds are essential because of the inclusive and variety of physiological activities associated with this part of substances. Heterocyclic rings are present in some compounds like most of the members of antibiotics, vitamin B complex, hemi, chlorophyll, other plant pigments, amino acids, proteins, enzymes, drugs, the genetic material DNA and dye stuffs (Wilson and Gisvold, 1966; Wunsche and Button, 1967). The chief importance of hetero cycles in nature product chemistry and pharmacology constantly drive the search for new ways for the building of heterocyclic five-membered rings like unit isoxazoles, pyrazoles (Granero *et al*, 1999), six-membered rings as oxazine and thiazine as well as seven-membered rings for example 1,3- oxazipene .These isoxazoles, pyrazoles, oxazine and thiazine were synthesized from chalcones which are main intermediate products and they too possess biological and pharmacological effectiveness (Modi and Naik, 1994). The another class reactions is not limited and gives typical 1,3-oxazepine rings derived from Schiff's bases. This kind of cyclo another reaction that used to amalgamation of 1,3-oxazepine ring was determined (2+5) $\rightarrow$ 7 cyclo addition reaction in which two units of imine accumulating as two-membered part was additional to five-membered portion, for example, maleic or phthalic anhydrides to obtain a seven-membered hetero cycle (Sadiq, 2017; Sallal and Ghanem, 2011). Oxazepine is non-homologous seven member ring that includes two heteroatom (Oxygen and Nitrogen). Oxazepine and their derivatives require some essential biological pharmacological activities (Fadi *et al*, 2003) like analgesic (Mikim *et al*, 2002), enzyme inhibitors (Moawad, 1989), psychoactive drugs (Bilgic *et al*, 2009) and antidepressant (Jiu *et al*, 1977; Elarfi *et al*, 2012).

MATERIAL AND METHODS

Reagents and reactants are used like obtained fromcommercial providers without further purification. Solvents were purified before hand. The purity of derivatives and path ofreaction were monitored using thin layer chromatography on silicagel-G (Merck grade) with ethanol and benzene mixture asmobile phase. The meltingpoints were measured in open capillaries, with the help of (Stuart) melting point (SMP30, England) melting point apparatus are uttered in ^{i}C and are uncorrected. Infrared spectra (IR) were recorded on Shimadzu Prestige-21 Spectrophotometer by using potassium bromide (KBr pellets) and the values are uttered in cm^{-1}, 1H NMR and 13CNMR spectra of the derivatives were recorded on Bruker (Avance III, Bruker 300MHz NMR spectrophotometer using TMS as an interior standardand the values are expressed in ä ppm in university of Toronto in Canada and elemental analyses were completed on a Flash EA1112 C.H.N analyzer (Thermo Electron Corporation).