

SYNTHESIS OF SOME NEW THIAZEPINE COMPOUNDS DERIVED FROM CHALCONES AND EVALUATION THERE BIOCHEMICAL AND BIOLOGICAL ACTIVITY

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ABSTRACT : In this work, chalcones compounds chalcones (W_{1-9}) have been prepared from the reaction of acetophenone derivatives with appropriate aromatic aldehyde in presence of NaOH(10%). The reaction of 2-aminothiafenol with chalcones (W_{1-9}) yielded compounds (W_{9-16}) of 5-(4-sub-phenyl)-7-(4-sub-phenyl)-7-Dehydro Benzo [b] 1,4-thiozipine. All new compounds have been characterized using spectral (IR, H^1 -NMRTLC) data and physical methods. The antibacterial activity have been tested in vitro by the disk diffusion assay method against two kinds of bacteria gram positive and gram negative. The minimum inhibitory concentration [MIC] have been determined with the reference of standard drugs the results showed that the (thiozipine) derivatives are better than growth of both types of bacteria (gram- positive and germ-negative compared to drug. The effect of hydrogen peroxide (0.1%) H_2O_2 drinking water through the mouth for about (15) days on white male rats shows significant increase ($P < 0.01$) at the level glucose and cholesterol and Triglyceride in the serum comparison with the control group, whereas it shows a significant increase ($P < 0.01$) in the level of glutathione, glutathione Peroxidase (GSH-Px), Superoxide dismutase (SOD), in serum. Whereas the prepared (W_{15}) compound was injected, results show after (5) days of treatment that the organic compound of (7.14) mg/kg of body weight through the mouth for white male rabbits exposed to oxidation, with an associated significant increase ($P < 0.05$) in serum (Glucose –Cholesterol-Triglyceride), with an associated a significant increase in serum (glutathione, glutathione Peroxidase (GSH-Px), Superoxide dismutase (SOD) in comparison with the control group exposed to Oxidation of hydrogen peroxide. It concludes that (W_{15}) compound has an anti-oxidation effect on healthy male rats exposed to oxidation effect.

Key words : Thiozipine, chalcone, biological activity, biochemical effect.

INTRODUCTION

Carbonyl is one of the most widely used organic compounds in the field of organic and biological chemistry. Organic compounds are more important when there is a carbonyl group associated with other functional groups, particularly α - β -unsaturated compound named chalcone. Chalcones have wide pharmacological and biological activity such as Antibacterial, anti-fungal, anti-tumor (Suwito *et al*, 2014). Anti-inflammatory, anti-ulcerative, analgesic, antiviral, antifungal, anti-malaria (Arora *et al*, 2012; Attarde *et al*, 2014; Pullagura, 2013), Antioxidant (Afzaye Rasool *et al*, 2013), Antimicrobial (Shendarkar *et al*, 2013) against malaria (Biswa Mohan Sahoo *et al*, 2018). Inhibition of key enzymes, inhibitor of growth of colon cancer cells, antipyretic agents (Sogol Motallebi *et al*, 2015), anti-diabetic agents (Rajput and Patole, 2015), anti-tuberculosis (Khairy A M El-Bayouki, 2013). Thus, the aim of this work was to synthesize new substituted (thiozipine) with the hope that the heterocyclic compounds may enhance biological activity as anticonvulsant, Ca^{+2}

channel antagonist, antianginal (Struga, 2009) anti HIV, squalene synthetase inhibitor (Campiani, 2005) arginine vasopressin receptor antagonist, and HIV-1 reverse transcriptase inhibitor. Antibacterial, antifungal (Khan *et al*, 2005) antimicrobial (Wang *et al*, 2009), anticonvulsant (Garg *et al*, 2015) and anti-breast cancer activity (Ameta *et al*, 2012) acting as a central nervous system depressant (Nikalje and Vyawahare, 2017) antioxidant and cytotoxic (Raghavendra *et al*, 2014) antimicrobial (Prasad *et al*, 2013) antihistaminic (Kumar and Kumar, 2016).

Oxidative stress is characterized by an increased concentration of intracellular oxidizing species such as reactive oxygen species (ROS) and is often accompanied by the loss of antioxidant defense capacity. It is well known that excess ROS attack many organs and induce oxidative damage directly to critical biological molecules, such as lipoproteins, proteins and nucleotides, causing lipid peroxidation and protein oxidation. Metabolic oxidative stress has been implicated, directly or indirectly, in the development of diseases and degenerative processes