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SYNTHESIS AND CHARACTERIZATION OF 1,2,3-TRIAZOLINE FROM CREATININE AND STUDY OF THEIR BIOLOGICAL ACTIVITY

Raad M. Muhiebes* and Entesar O. Al-Tamimi

Department of Chemistry, College of Science, University of Baghdad, Jadiriya, Baghdad, Iraq.
*e-mail: raadmuslim7@gmail.com

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ABSTRACT : In this paper, we prepared 2-N-arylidene amino creatinine from reaction of 2-N-chloro acetamido creatinine with sodium azide and then synthesized new 1,2,3-triazolines from reaction of 2-N-arylidene amino creatinine with (maliec anhydride, maleimide derivative, cinnamic acid and cinnamaldehyde). And studied anti-bacterial, anti-fungal and antioxidant activity of synthesis compounds. The prepared compound were characterized by FT-IR and some of them by ^{1}HNMR. All physical properties are listed in Table 1.

Key words : 2-N-arylidene creatinine, 1,2,3-triazolidine derivatives, biological activity.

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INTRODUCTION

Triazoline and its derivatives are class of heterocyclic compounds they have interesting biological application. These compounds can be used in medicine as antibacterial, antiviral, anticancerous antiasthmatic, analgesic and antiinflammatory drugs because of their pharmaceutical properties (Goswami *et al*, 1984; Hamadouche *et al*, 2010). Further, triazolines Interest in 1,3-dipolar cycloadditions involving olefins as dipolarophiles and azides as 1,3 dipoles originates from the synthetic potential of these reactions which lead to the formation of five membered nitrogen containing heterocycles like1,2,3-triazolines. The first involves the isomerisation of arylazoaziridines (Scheiner, 1968).

MATERIAL AND METHODS

Commercial reagents and solvents were used without further purification. Melting points of the synthesized compounds were determined in open-glass capillaries and were uncorrected. FT-IR spectra (KBr disc) were recorded Affinity-1 Shimadzu as FT-IR spectrometer using KBr pellets. 1HNMR spectra were scanned on Bruker spectro spin ultrashield magnets 300 MHz instrument, using DMSO-d_6 solvent and TMS as internal reference used to identification the organic inhibitor.

Synthesis of 2-N-chloro acetamido creatinine (Vikrishchuk *et al*, 1995) (1a) : A mixture of (1g, 0.008mml) creatinine, (0.03 mml) chloro acetyl chloride,(0.04 ml) of Et3N in (20ml) dry benzene was heated for 1 hour and then the mixture was cooled for 2 hr in ice bath then filtrated the solvent was evaporate and recrystallized from ethanol.

Synthesis of 2-N-arylidene amino creatinine (Ralph *et al*, 1980) (2a) : Added (0.52 g, 0.008 mol) of Sodium azide to (1g, 0.004 mol) of compound (1a) in 15ml absolute ethanol. The mixture was refluxed for (8 h) with stirring.The solvent was evaporated then light brown precipitate was washed with cold water, filtrated and recrystallized with ethanol.

Synthesis of new 1,2,3-triazoline derivatives (Al-Ajely *et al*, 2008) (3a, 3b, 3c, 3d, 3e) : (0.01g, 0.001 mol) of different α, β-unsaturated compounds were added to the solution of compound (2a) (0.25g, 0.001 mol) in absolute ethanol (15 ml). The mixture was refluxed for (24 hr.). The solvent was evaporated and the residue was washed with diethyl ether.

Biological activity (Vandepitte *et al*, 2003)

The test was performed according to the disk diffusion method. The prepared compounds were tested against