Pyrazole and Nicotinonitrile Derivatives Synthesized from Sulfa Drugs, and Their Antibacterial Activity

H. A. El-Sayed[a]*, A. H. Moustafa[a], A. A. Fadda[b], and K. E. Abd El-Rahman[a]**

[a] *Department of Chemistry, Faculty of Science, Zagazig University, Zagazig, 44519 Egypt*

[b] *Department of Chemistry, Faculty of Science, Mansoura University, Mansoura, Egypt*
*e-mail: *hasanneg@gmail.com; **ah_hu_mostafa@yahoo.com*

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Abstract—Utility of sulfadiazine and sulfaguanidine in synthesis of new series of pyrazoles and 2-pyridones is described. Diazotization of sulfadiazine that results in formation of diazonium salt **2** followed by coupling of the latter with active methylene compounds leads to hydrazinyl derivatives **3a–3d**. Heterocyclization of compounds **3a–3c** with hydrazine derivatives gives to a series of pyrazole derivatives **4–6**. Similarly, a series of pyrazole derivatives **12–14** is developed from sulfaguanidine. Condensation of hydrazinyl derivatives **3a–3c** with cyanoacetamide in the presence of a catalytic amount of piperidine gives 2-oxonicotinonitriles **15a–15c**. Tests of the new synthesized compounds against four pathogenic gram (+ve) and gram (–ve) bacteria demonstrate their activity higher than that of the standard Amoxicillin.

Keywords: sulfa-drugs, pyrazole derivatives, 2-pyridone derivatives, diazonium salts, antimicrobial activity

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