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Syntheses, characterization and evaluation of some 1,3,4-tri substituted-5-pyrazolone derivatives as dual anti-inflammatory and antimicrobial agents

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ABSTRACT

*A series of 4-arylidene-3-methyl-1-phenyl-5-pyrazolone derivatives (**2a–j**) were synthesized by reacting various substituted aromatic aldehydes with 3-methyl-1-phenyl-5-pyrazolone through Knoevenagel condensation by conventional as well as by exposure to microwave irradiations. Structures of all new synthesized compounds were characterized on the basis of spectral data. The title compounds were screened for in vivo anti-inflammatory activity and in vitro antimicrobial activity. Among the synthesized derivatives, compounds **2b**, **2f** and **2h** exhibited significant anti-inflammatory activity whereas compounds **2b**, **2c**, **2h** and **2j** emerged as the most active antibacterial agents. Compound **2b** was identified as the most active member of the series with an interesting dual anti-inflammatory and antibacterial profile.*

Keywords: Pyrazolones, Microwave irradiations, Knoevenagel condensation, Anti-inflammatory, Antimicrobial activity.

INTRODUCTION

Pyrazolone is a biologically important scaffold associated with multiple pharmacological activities such as antimicrobial [1-5], anti-inflammatory [6-8], analgesic [9-10], antidepressant [11], anticonvulsant [12], antidiabetic [13], anti hyperlipidemic [14-15], antiviral [16-17], anti tubercular [18-19], antioxidant [20-21], anticancer [22-23] etc. The synthesis of pyrazolone and its derivatives have engrossed substantial attention from organic and medicinal chemists for many years as they belong to a class of compounds with proven utility in medicinal chemistry. After the discovery of the natural pyrazole C-glycoside pyrazofurin; 4-hydroxy-3-β-D-ribofuranosyl-1H-pyrazole-5-carboxamide as an antibiotic with broad spectrum of antimicrobial and antiviral activities in addition to being active against several tumor cell lines [24], there has been a renewed interest in pyrazoles.

Multi drug resistance is widespread with specific relevance to Gram positive bacteria. Infections caused by these organisms create a serious challenge to the community. The therapeutic problem is more pronounced in patients with immuno-compromised system or those undergoing anticancer therapy substantiating the need for design and development of novel less toxic potent antimicrobial agents. Inflammation is a non specific immune response in which the body reacts to infection, localized irritation, free radicals, other injury or disease [25].