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Original Article

Synthesis, characterization, biologically and antioxidant active of some 2-substitued 3,5-dimethyl-4-ethoxy carbonyl pyrrole derivatives

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ABSTRACT

Aims: In recent years there is a tremendous increase of drug resistant pathogens, leading to the design and development of newer antibacterial agents. Various novel carbonyl pyrrole derivatives have been prepared to study on the basis of pharmacological activities in drugs discovery. **Methods:** The synthesis of biologically active 2-substitued, 1,2,4-triazole (4a–g), 4-oxadiazole (5a–g) and 4-oxazolidinones (6a–g) starting from 3,5-dimethyl-2,4-diethoxy carbonyl pyrrole (1) are presented in this paper.

Results: These compounds show antibacterial and antifungal activities when compared with standard drug Norfloxacin and Griseofulvine against Bacterial cultures such as *Escherichia coli*, *Pseudomonas aeruginosa*, *Staphylococcus aureus*, *Proteus vulgaris* and fungal cultures such as *Aspergillus niger* and *Candida albicans*. The structures of the compounds have been confirmed by IR, ¹H NMR and Elemental analysis. The compounds 3a, 3c, 4g, 5f, 5g, 6b and 6f (possessing phenyl, 4-methyl, 2-chlorophenyl, 4-nitrophenyl and 3-nitrophenyl) have shown good antioxidant activity within the series of compounds synthesized.

Conclusion: Hence these compounds shall be exploited further for antibacterial activity to attain a potential pharmacophore.

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1. Introduction

Pyrroles and their derivatives exhibit different important biological activities, like antibacterial, antioxidant, cytotoxic and insecticidal properties.^{1–3} Several five membered heteroaromatic systems like 1,2,4-triazole, 4-oxadiazole and 4-oxazolidinones having three hetero atoms at symmetrical positions have been studied because of their interesting physiological properties.^{4–6} They exhibit board spectrum of pharmacological

activities such as antiinflammatory,^{7,8} antiviral⁹ and antibacterial^{10–14} activities. In view of the above mentioned pharmacological activities of pyrrole, 1,2,4-triazole, 4-oxadiazole and 4-oxazolidinones, a number of the 2-substitued 3,5-dimethyl-2,4-diethoxy carbonyl pyrrole derivative have been synthesized containing above moieties.

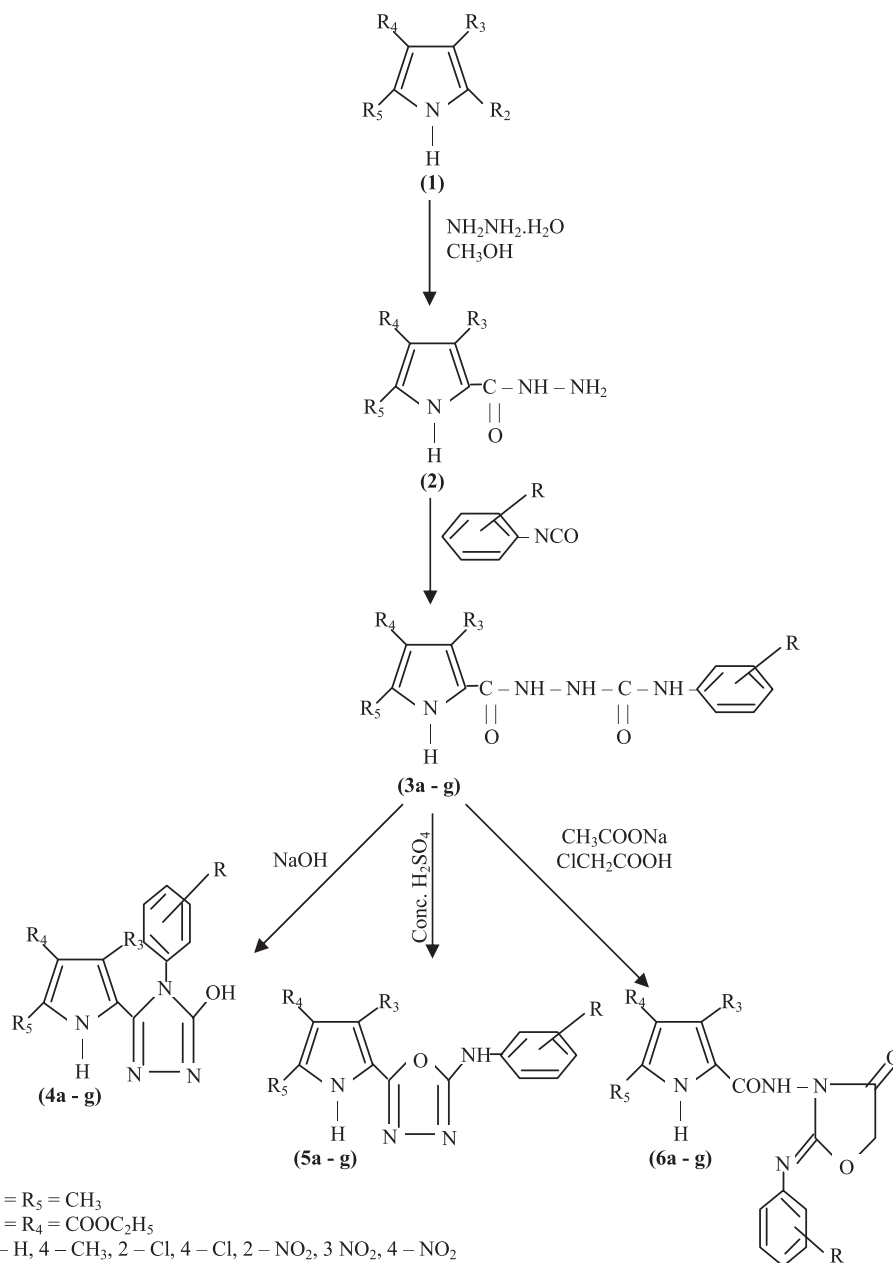
The reaction sequence leading to the formation of desired heterocyclic compounds are outlined in [Scheme 1](#). The starting material 3,5-dimethyl-2,4-diethoxy carbonyl pyrrole

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Scheme 1 – Reaction scheme 1

(1) was prepared,¹⁵ refluxed with hydrazine hydrate to give 2-(3',5'-dimethyl-4'-ethoxy carbonyl pyrrole) acid hydrazide (2) was then refluxed with different iso-cyanate^{16,17} in presence of ethanol for 8 h. The isosemi-carbazide (3a-g) was heated with alkaline ethanolic solution for 3 h afforded 5-(3',5'-dimethyl-4'-ethoxy carbonyl pyrrole)-4-phenyl-3-hydroxy-1,2,4-triazole (4a-g). 5-(3',5'-dimethyl-4'-ethoxy carbonyl pyrrole)-1-phenyl amino-1,3,4-oxadiazole (5a-g) were obtained by cyclization of (3) by stirring it with conc. H₂SO₄, for 4 h. 2-phenylimino-3-(3',5'-dimethyl-4'-ethoxy carbonyl pyrrole)-4-oxaazolidinones (6a-g) were synthesized by refluxing a solution of isosemi-carbazide (3) in acetic acid in the presence of monochloroacetic acid and anhydrous sodium acetate.

2. Experimental

2.1. Materials and methods

Melting points were taken in open capillary tubes and are uncorrected. IR spectra were run in KBr pellets on a Perkin-Elmer 157 spectrometer. ¹H NMR spectra were recorded in CDCl₃ or DMSO on a Bruker-Varian 300 MHz FT NMR spectrometer using TMS as internal standard. Purity of the compounds was checked by TLC on silica gel G plates and the spots were located by exposure to iodine vapors. The characterization data of the compounds is given in Tables 1 and 2.

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