

Biological Evaluation of Some New 1,3,4-Oxadiazole Derivatives

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Abstract: A new framework of 1, 3, 4-oxadiazole derivatives having substituents at 2nd and 5th position has been synthesized and evaluated for their antimicrobial activity using well diffusion method. Antifungal activity was performed against the fungus *A. Niger*, *Trichoderma viride* and *C. albican*. Amphotericin were used as standard drugs for antifungal activities, respectively. Antimicrobial studies revealed that few compounds exhibited weak activity against tested organisms.

Keywords: 1, 3, 4-Oxadiazole, Antimicrobial activity, Amphotericin

1. Introduction

Literature survey reveals that 1,3,4-oxadiazole nucleus showed a great deal of variety of application in pharmaceutical, medicinal as well as application in polymer and material science [1]-[7] and have wide variety of synthetic rout [8]-[11]. Synthesis of 1,3,4-oxadiazole is centered on the cyclodehydration of carboxylic acid hydrazides or the oxidation of hydrazones using various oxidizing agents. They are frequently used as a ester or amide substituent in medicinal chemistry [12]. Here 1,3,4-oxadiazole derivatives were synthesized by condensation of different acid hydrazides with carbon disulphide and potassium hydroxide in absolute ethanol. And in search of new bioactive oxadiazole derivatives with better antimicrobial activities herein is evaluated some newly synthesized oxadiazole derivatives for their antifungal activities.

2. Material and Methods

Chemistry

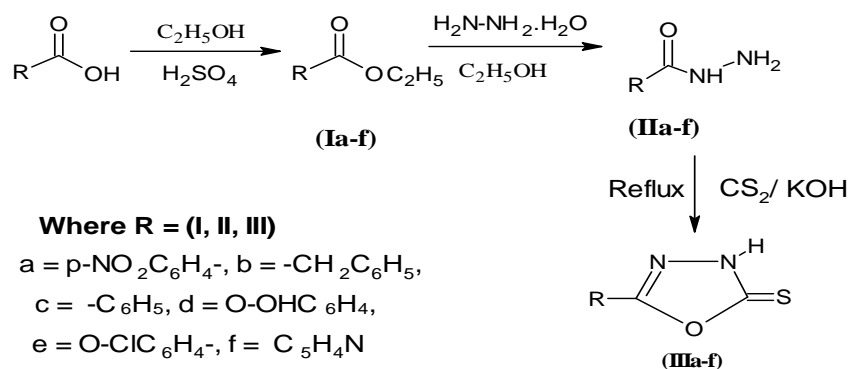
At the outset acid hydrazides were obtained from esterification of corresponding acids followed by treatment

with hydrazine hydrate in absolute ethanol. The acid hydrazides were then condensed with carbon disulphide and potassium hydroxide in absolute ethanol to yield corresponding 2,5-disubstituted 1,3,4-oxadiazole. The structure and purity of the compounds synthesized was confirmed by elemental analysis and spectral methods: IR, ¹H NMR and TLC.

Biological Activities

The microbiological assay was based upon a comparison of inhibition of growth of microorganisms by measured concentrations of test compounds with that produced by known concentration of a standard antibiotic. The antimicrobial activity of a compound is generally expressed as its inhibiting effect toward the growth of the bacterium in nutrient broth or nutrient agar. For the evaluation of antimicrobial viz., antibacterial and antifungal activity various methods have been proposed and adopted for the measurement of antimicrobial activity [13]-[16]. In present antimicrobial study the newly synthesized 1,3,4-oxadiazole derivatives(a-f) were screened for their antifungal activity study using well diffusion method.

A series of compounds subjected to antimicrobial screening having general formula(IIIa-f) are listed below



Scheme : 1

- a. 5-(4-nitro phenyl) -1,3,4-oxadiazole-2-thione (IIIa)
- b. 5-(benzyl) -1,3,4-oxadiazole-2- thione (IIIb)
- c. 5- phenyl -1,3,4-oxadiazole-2- thione (IIIc)
- d. 5-(2-hydroxy phenyl) -1,3,4-oxadiazole-2- thione (IIId)
- e. 5-(2-chloro phenyl) -1,3,4-oxadiazole-2- thione (IIIe)
- f. 5-(pyridine-4-yl) -1,3,4-oxadiazole-2- thione (IIIff)

Antifungal activities:

The antifungal activity was performed using well diffusion method. The fungus used were - *Aspergillus niger*, *Trichoderma viride* and *C. albicans*.

The medium used for the study of antifungal activity of these newly synthesized compounds having following composition, was of fungistatic grade. It was found to be suitable for the growth of fungus, *A. Niger*, *Trichoderma viride* and *C. albican*. used in the present study.

Preparation of medium:-

Media Used: Czapek-Dox Agar: Composition (g/l) Sucrose-30.0; Sodium nitrate-2.0; K₂HPO₄-1.0, MgSO₄. 7H₂O-0.5; KCl-0.5; FeSO₄-0.01; Agar-22; Czapek-Destrox agar medium was prepared by dissolving 56.01 g of ingredients in 1000.0 ml of distilled water. Initially, the stock cultures of were revived by inoculating in broth media and grown at 37°C for 24hrs.

All the compounds were dissolved in dimethyl sulfoxide to give a concentration of 10 mg/ml. The agar plates of the above media were prepared and wells were made in the plate. Each plate was inoculated with 24 h old cultures (100 µl 10⁴ CFU) and spread evenly on the plate. The control wells were filled with antibiotic Amphotericin used as standard. All the plates were incubated at 37°C for 24 h. The zone of inhibition was recorded after incubation for 24 hrs at 37°C using antibiotic zone scale. and the diameter of inhibition zone were noted in mm. The inhibition zone record of the compounds clearly indicate that the compound is active against fungal.

3. Results and Discussion

The present antimicrobial study deals with the antifungal activity of the following newly synthesized compounds (Ia-f) as shown the structure in fig.1.

The antifungal activity and inhibition effect of the test compounds on the growth of fungus *A. Niger*, *Trichoderma viride* and *C. albican*. are summarized in Table -1. All the compounds showed activity against *A. Niger*, *Trichoderma viride* and *C. albican*.

The 5-(aryl)-1,3,4-oxadiazole-2-thione (a-f) showed moderate to good activity on fungal strain.

Table 1: Antifungal assays of synthesized compounds.

Compounds	Inhibition zone recorded in mm		
	<i>A. Niger</i>	<i>Trichoderma viride</i>	<i>C. albican</i>
a.	36	41	33
b.	34	34	31
c.	33	38	30
d.	34	40	32
e.	35	39	31
f.	32	36	30

4. Conclusion

Some new 5-(aryl)-1,3,4-oxadiazole-2-thione (a-f) (a-f) were screened for their antifungal activity against *A. Niger*, *Trichoderma viride* and *C. albican*. The minimal inhibitory concentrations (MIC) of all the compounds were determined by observing the zones of inhibition formed after 24h of incubation for antifungal activities. Compounds were found to have moderate to good antifungal activity.

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