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Research Article

Screening and Characterization of some novel biologically active substituted Pyrazole derivatives

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ABSTRACT

The pyrazole derivatives have played a crucial role in the history of heterocyclic chemistry and been studied extensively because of their ready accessibility, diverse chemical reactivity and extensive biological activity. In this paper we discuss about evaluation of antifungal activity of a series of substituted pyrazolones. A large number of pyrazole derivatives viz. 4-arylmethylene-2, 4- dihydro-2, 5-disubstituted-3H-pyrazol-3-ones; 4, 4'-arylmethylene bis (2, 4-dihydro-2, 5-disubstituted-3H-pyrazol-3-ones); representatively 18 synthesized compounds have got much attention to biological importance.

Keywords: pyrazole, antifungal activity.

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CHEMISTRY RESEARCH ARTICLE

Screening and Characterization of some novel biologically active substituted Pyrazole derivatives

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ABSTRACT

The pyrazole derivatives have played a crucial role in the history of heterocyclic chemistry and been studied extensively because of their ready accessibility, diverse chemical reactivity and extensive biological activity. In this paper we discuss about evaluation of antifungal activity of a series of substituted pyrazolones. A large number of pyrazole derivatives viz. 4-arylmethylene-2, 4- dihydro-2, 5-disubstituted-3H-pyrazol-3-ones; 4, 4'-arylmethylene bis (2, 4- dihydro-2, 5-disubstituted-3H-pyrazol-3-ones); Representatively 18 synthesized compounds have got much attention to biological importance.

Keywords: pyrazole, antifungal activity.

1. INTRODUCTION

The pyrazoles derivatives are used in the medicinal therapy as anti-bacterials, diuretics, anti-hypertensive, anti-pyretic, analgesics, tranquilizers, anti-inflammatory, anti-convulsant, anti-thrombotic, anti- tuberculous and anti-tumor agents. The antifungal activity of 18 compounds was tested on the radial colony growth of *Aspergillus fumigatus* and *Candida albicans* by employing food poisoning of solidified agar technique. *Aspergillus fumigatus* is a fungus of the genus Aspergillus, and is one of the most common Aspergillus species.

Candida albicans is a diploid fungus that grows both as yeast and filmentous cells and a causal agent of opportunistic oral and genital infections in humans .It also grows as a saprophytes and occurs in throat and other parts of body. The infection caused by *C. albicans* is called candidiasis or thrush. Superficial candidiasis affects the mucous membrane and may cause diarrhea and burning systemic candidiasis involves infection of respiratory, circulatory, urinary and central nervous system.

2. MATERIALS USED

The mould cultures used were 10 days old. The following semisynthetic standard potato-dextrose agar medium was used.

Peeled potato was chopped into small pieces and boiled in 500 mL distilled water for 1-2 hours, filtered and dextrose and agar-agar were added to the filtrate and volume made to 1500 liter by addition of distilled water. The medium was autoclaved at 20 psi for half an hour.

The antifungal activity of each compound was evaluated at 1000 ppm, 100 ppm and 10 ppm concentrations. The compounds were tested either as a solution of suspension in acetone-water (20-30%) mixture. For each compound stock solution of known concentration (10,000 ppm) was prepared (1 ppm – 1 mg/mL or 1×10^{-6} g/mL or 1 mg/litter).

A number of 100 mL conical flasks each containing 50 mL of potato- dextrose agar medium (PDA), were plugged with cotton and autoclaved for half an hour at 20 psi pressure. The desired amount of a solution of suspension of the test compound was added and the medium was made homogeneous and subsequently poured into three sterilized petridishes. The test fungus, was inoculated in the centre of petri dishes. It was incubated for 96 hrs.

The testing was repeated three times for each concentration, along with a fair number of replicates of the control plates. A commercial fungicide **Bavistin** was also tested by employing the similar conditions, with aview to compare the results.

The inhibition of the fungus growth was determined as the difference in growth between test and control plates. The percentage inhibition in the colony of the test fungus was expressed. The antifungal activity in terms of percentage inhibition shown by various compounds has been listed in the Tables –1.

Table-1: 4-Arylmethylene-2, 4-dihydro-2, 5 disubstituted-3H-pyrazol-3-ones

S.No	Substituents			Average Percentage inhibition after 96 hours					
				Organism– A.fumigatus (ppm)			Organism – C. albicans (ppm)		
				Concentration used			Concentration used		
	R1	R2	X	1000	100	10	1000	100	10
1	Ph	Me	H	58.2	52.4	28.6	57.2	51	27.2
2	Ph	Me	p-OMe	62.6	52.6	28.7	61.2	52	27.7
3	Ph	Me	p–NO2	67.6	54.8	30.4	66.8	53	29.6
4	Ph	Me	p-N(Me)2	70.8	59.8	36.6	69.4	59	35.4
5	CH2Ph	Me	p–OMe	61.8	51	27.4	0.4	50	26.2
6	CH2Ph	Me	p–NO2	66.3	52.4	30	64.8	51	29.4
7	CH2Ph	Me	p-N(Me)2	70.2	57.6	34.8	70	56	33.6
8	CH2Ph	Me	p–Cl	67.2	55.6	34.6	66.8	54	30
9	2-Benzothiazolyl	Me	H	60.4	53.6	29.8	59.2	53	28.4
10	Ph	Ph	H	56.6	50.2	26.4	55	49	26.2
11	Ph	Ph	p–OMe	60.8	51.4	27.4	59.4	50	26.4
12	Ph	Ph	p–NO2	65.4	52.2	29.8	64	52	28.4
13	Ph	Ph	p–N(Me)2	69.8	55.8	32.5	68.6	55	31
14	Ph	Ph	p–Cl	66.5	53.5	30	65.2	52	29.4
15	H	Ph	p–OMe	55.2	50	25.4	54.8	50	24.2
16	H	Ph	p–NO2	60.4	50.8	26.4	60.2	50	25.8
17	H	Ph	p–N(Me)2	60.4	50.8	26.4	60.2	50	25.8
18	H	Ph	p–Cl	64.3	51.6	26.8	63.8	51	26.6
19	BAVISTIN			99.5	95.2	90.2	99.4	95	90

3. RESULTS AND DISCUSSION

The synthesized compounds have been screened for their antifungal activity against test fungi *A. fumigatus* and *C. albicans* at different concentration viz. 1000, 100 and 10 ppm.

In 4-arylmethylene-2,4-dihydro-2,5-disubstituted-3H-pyrazol-3- ones (Table-1), it is obvious from the antifungal screening results that most of the compounds have significant fungitioxicity at 1000 ppm against both the test fungi *A. fumigatus* and *C. albicans*, but the fungitoxicity decreases considerably upon dilution. Although, 2-(2-benzothiazolyl)-2, 4-dihydro-5-methyl-4-arylmethylene-3H-pyrazol-3-one exhibited the fungicidal action of the order of Bavistin at 1000 ppm and inhibited. The growth of A. *fumigatus* organism more than 45% at 100 ppm but inhibited the growth of C. *albicans* more than 40% at 100 ppm.

4. CONCLUSION

The fungicidal actions may not be the numerical sum of all toxophoric functions in the 18 compounds (Table-1). It may be possible in a congregation of such toxophoric functions, the role of only a few key factors is apparently important. The growth of both fungi *A. fumigatus* and *C. albicans* are inhibited to some extent at various concentrations by all the screened compounds. Hence, all are treated as antifungal agents.

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