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Evaluation of Antifungal Activity of substituted Pyrazolones

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Abstract

The pyrazoles derivatives are used in the medicinal therapy as anti-bacterials, diuretics, anti-hypertensive, anti-pyretic, analgesics, tranquilizers, anti-inflammatory, anti-convulsion anti-thrombotic, anti- tuberculosis and anti-tumor agents. 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 have got much attention to biological importance.In this paper we discuss about antifungal activity of substituted pyrazoles.

Keywords: Pyrazole, anti-convulsion, anti fungal.

INTRODUCTION

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.

The synthesis of a number of pyrazole derivatives of potential fungicidal interest have been carried out. All synthesized compounds have been screened for their fungicidal activities. The antifungal activity of various compounds was tested on the radial colony growth of *Aspergillus fumigatus* and *Candida albicans* by employing food poisoning of solidified agar technique.This technique involved the mixing of the test compound with standard potato-dextrose agar medium and allowing the test fungi to grow on the poisoned food. The mould cultures *A. fumigatus* and *C. albicans* are evaluated.

MATERIALS AND METHODS

In this study, *Fumigatus* and *C. albicans* were used , the mould cultures used were 10 days old. Peeled potato (250 g.) , Dextrose (25.0 g.) , Agar-agar (25.0 g.) and Distilled water (1500 mL) of semi synthetic 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, after filtered dextrose and agar-agar were added to the filtrate and volume made to 1500 liter by adding 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.

A number of 100 mL conical flasks each containing 50 mL of Potato-Dextrose Agar medium , were plugged with cotton and autoclaved for half an hour at 20 psi pressure. The Test compounds of the medium was poured into three sterilized Petri dishes. The test fungus, was incubated in the center of Petri dishes. It was incubated for 96 hours at 22 ± 1 0C in culture room. A commercial fungicide Bavistin was also tested by employing the similar conditions, with a view to compare the results.

The fungal colony diameter was measured at 96 hours in three diameters by means of a millimeter scale. The percentage inhibition in the colony of the fungus test was expressed. The antifungal activity in terms of percentage inhibition shown by various compounds has been listed in the Table-1.

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RESULTS AND DISCUSSION

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 after 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 potent than 40% at 100 ppm. It is quite evidence from fungitoxicity data that p-NO_2, p-chloro and p-N,N- dimethylaminophenyl groups in 4-arylmethylene-2, 4-dihydro-2,5- disubstituted-3H-pyrazol-3-one are responsible to enhance the fungicidal activity.

The compounds viz. 2, 4-dihydro-5-methyl-4-p-nitrophenylmethylene-5-methyl-2-phenylmethyl-3H-pyrazol-3-one; 2-(2benzothiazolyl)-2,4-dihydro-5-methyl-4 phenylmethylene-3H-pyrazol-3- one; 2- (2-benzothiazolyl)-2, 4-dihydro-4-p methoxyphenylmethylene-5-methyl-3H-pyrazol-3-one and 2-(2-benzothiazolyl)- 2,4-dihydro-4-p-N,N-dimethyl amino phenyl methylene-5-methyl-3H-pyrazol-3-one partially inhibited the test fungus *A. fumigatus* at 1000 ppm.

CONCLUSIONS

The following facts may be bring out from the results of the preliminary fungicidal screening data .The fungicidal actions may not be the numerical sum of all toxophoric functions in the compound. 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.

Competing interests

The authors have declared that no competing interests exist.

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) Concentration used			Organism – *C. albicans* (ppm) Concentration used		
	R1	R2	X	1000	100	10	1000	100	10
1	Ph	Me	H	58.2	52.4	28.6	57.2	51.4	27.2
2	Ph	Me	p-OMe	62.6	52.6	28.7	61.2	51.6	27.7
3	Ph	Me	p–NO2	67.6	54.8	30.4	66.8	53.4	29.6
4	Ph	Me	p-N(Me)2	70.8	59.8	36.6	69.4	58.6	35.4
5	CH2Ph	Me	p–OMe	61.8	51.0	27.4	0.4	50.0	26.2
6	CH2Ph	Me	p–NO2	66.3	52.4	30.0	64.8	51.2	29.4
7	CH2Ph	Me	p-N(Me)2	70.2	57.6	34.8	70.0	56.4	33.6
8	CH2Ph	Me	p–Cl	67.2	55.6	34.6	66.8	54.2	30.0
9	2-Benzothiazolyl	Me	H	60.4	53.6	29.8	59.2	52.6	28.4
10	Ph	Ph	H	56.6	50.2	26.4	55.0	49.4	26.2
11	Ph	Ph	p–OMe	60.8	51.4	27.4	59.4	49.6	26.4
12	Ph	Ph	p–NO2	65.4	52.2	29.8	64.0	52.0	28.4
13	Ph	Ph	p–N(Me)2	69.8	55.8	32.5	68.6	54.6	31.0
14	Ph	Ph	p–Cl	66.5	53.5	30.0	65.2	52.2	29.4
15	H	Ph	p–OMe	55.2	50.0	25.4	54.8	49.6	24.2
16	H	Ph	p–NO2	60.4	50.8	26.4	60.2	50.4	25.8
17	H	Ph	p–N(Me)2	60.4	50.8	26.4	60.2	50.4	25.8
18	H	Ph	p–Cl	64.3	51.6	26.8	63.8	51.2	26.6
19	BAVISTIN			99.5	95.2	90.2	99.4	95.0	90.0

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