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DRUG DESIGN OF SOME NEW PYRAZOLE DERIVATIVES AS POTENTIAL PESTICIDES

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INTRODUCTION

Pyrazolones have been reported to possess fungicidal[1], bactericidal, antimicrobial, analgestic, anti-inflammatory[2], antidiabetic,antiulcer,antitumour antiallergenic, antineoplastic, antipyretic,antituberculostatic[3] and antiobesity activities. Pesticidal properties are also prominently associated with pyrazole. Several compound containing 5-pyrazoles ring are well known for fungicidal, bactericidal, herbicidal, viruscidal[6], and algacidal activities. Pesticidal[4] properties are also prominently associated with pyrazolones. Several compound containing 5-pyrazolone ring are well known for fungicidal, bactericidal, viruscidal and algaecidal actitivities[5].

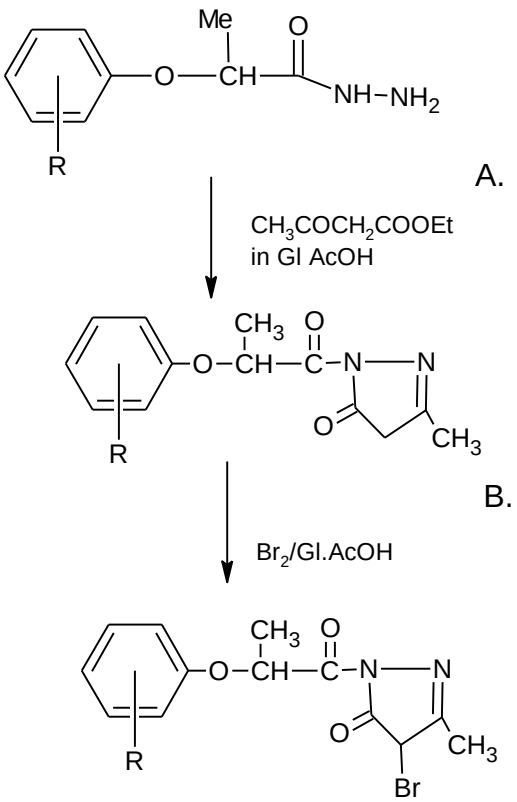
With these facts in view following compounds have been prepared as in the scheme 1.

A: 2-Aryloxypropanehydrazides

B: 5-Methyl-2-(arylpropionyl)-2,4-dihydro-pyrazol-3-ones

C: 4-Bromo-5-methyi-2-aryloxypropionyl-2,4-dihydro-pyrazol-3-ones

SCHEME 1



R = -H, -Cl, -, -Me, - 2,4-dichloro

EXPERIMENTAL

Preparation of compounds of series B: 5-methyl-2-(arylpropionyl)-2,4-dihydro-pyrazol-3-one

A mixture of compound A(0.01) and ethylacetoacetate (1.30gm; 0.01M) in acetic acid (5.00 ml) was refluxed for four hours, cooled and poured into cold water. The solid separating out was filtered, washed and recrystallised from aquous ethanol.

Preparation of compounds of series C: 4-Bromo-5-methyl-2-aryloxypropionyl-2,4-dihydro-pyrazol-3-one

A mixture of compound B (0.01M) in 10.00 ml of acetic acid , a solution of bromine (1.60 g; 0.01M) in 5.00 ml acetic acid was added drop wise , kept overnight , poured into large excess of water. The solid product was washed, filtered, dried and recrystallised from aquous ethanol.

TABLE 1

SL. NO.	COMPOUND NO.	R	m.p. (0C)	YIELD (%)	C	H	N	ir	Nmr
1.	B.1	$-CH_3$	194	70	*64.01*	5.94	9.53	1700	1.6-1.7
	B.2	-Cl	185	85	-	-	9.92	-	-
	B.3	2,4-dichloro	232	75	-	-	7.52		
2.	C.1	$-CH_3$	174	73	-	-	8.23	-	-
	C.2	-Cl	179-80	69	*43.33*	3.38	7.29	-	-
	C.3	2,4-dichloro	226	54	-	-	7.09	-	-

TABLE.2

S.No.	COMPOUND NO.	Average % inhibition after 168 hour. Organism:A.flavus concentrations (ppm)			Average % inhibition after 36 hours. Organism: E.coli concentrations (ppm)		
		1000	**100**	**10**	**1000**	**100**	**10**
1.	$2-CH_3$	73	**63**	47	39	25	17
2.	2-Cl		69	50	42	27	19
3.	2,4-Cl	82	62	42	40	13	16
4.	-Br	90	55	32	33	07	06

EVALUATION AND DISCUSSION OF ANTIMICROBIAL ACTIVITIES

Prepared compounds have been screened for their antifungal activities against *A. flaves* involving mixing of toxicants with agar medium[15] and then incubating a fungus colony on such poisoned food to grow. Antifungal activity of each compound was screened at three different concentration viz., 1000, 100 and 10 ppm[13]. The compound was tested in acetone solution.

For the evaluation of antibacterial activity and to maintain test antibacterial activity, the nutrient agar medium was used as culture medium. The test organism was preserved at freezing temperature. The antibacterial testing was done by "disc diffusion method" using gram negative bacteria *Escherichia coli*.

EVALUATION AND DISCUSSION OF ANTIMICROBIAL ACTIVITIES:

a. **Evaluation of antifugal activity:** Thirteen compounds have been screened for their antifungal activities against A.flavus[6 7 8 9] involving mixing of toxicants with agar medium and then incubating a fungus colony on such poisoned food to grow.
b. **Evaluation of antibacterial activities:** To maintain test organism and to test antibacterial activity, to nutrient agar medium. The test organism was preserved in slants at freezing temperature. After sterilization the prepared medium was poured in presterilized petriplates in aseptic[10] condition. These plates were put on perfect horizontal plane for solidification of the medium.

RESULT AND DISCUSSION

The compound show moderate level of antifungal activity.

a. **Antifungal screening**: All the compounds exibit moderate level of antifungal activity. In the aryloxy[11] moiety a chloro[12] group in place of a methyl has been found to enhance the activity. Fused pyrazolones show highest level of activity.
b. **Antibacterial screening**: All the compounds screened show nearly no antibacterial activity.

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