

NOTE

Synthesis and Antimicrobial Activity of 1-(Phenyl)-3-(4'-chlorophenyl)-5-(arylsubstituted)-2-pyrazoline

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1-(Phenyl)-3-(4'-chlorophenyl)-5-(arylsubstituted)-2-pyrazoline has been synthesized by cyclization of 1-(arylsubstituted)-3-(4'-chlorophenyl)-1-propene-3-one with phenyl hydrazine in presence of glacial acetic acid. The pyrazoline derivatives have been screened for their antimicrobial activity against *E. coli*, *B. subtilis*, *Trichoderma* sp. and *Aspergillus niger*.

Key words: Synthesis, Pyrazoline, Antimicrobial activity.

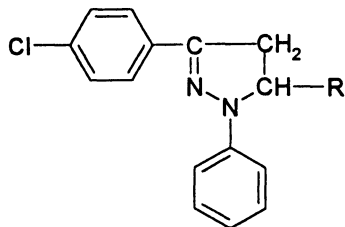
The literature survey reveals that pyrazoline derivatives have been studied extensively because of their ready accessibility, diverse chemical reactivity and broad spectrum of biological activity¹. Pyrazoline derivatives are known to have bactericidal² and fungicidal³ properties. Some pyrazolines have been found to show antiinflammatory, antidiabetic, anaesthetic and analgesic properties.

1-(Arylsubstituted)-3-(4'-chlorophenyl)-1-propene-3-one

To a solution of *p*-chloroacetophenone (0.01 mol) aromatic aldehyde (0.01 mol) in ethanol (30 mL) and NaOH (30%, 20 mL) were added, keeping the temperature below 10°C. The reaction mixture was kept at room temperature for 12 h, rendered acidic with dil. HCl and poured into crushed ice. The solid thus obtained was washed with water and recrystallized from absolute alcohol.

1-(Phenyl)-3-(4'-chlorophenyl)-5-(arylsubstituted)-2-pyrazolines (1a–e)

A mixture of 1-(arylsubstituted)-3-(4'-chlorophenyl)-1-propene-3-one (0.01 mol) and phenyl hydrazine hydrochloride (0.01 mol) in glacial acetic acid (30 mL) were refluxed for 6 h at 160–170°C temperature. The resulting mixture was cooled and poured over crushed ice. The solid formed was washed with water and recrystallized from ethanol.



R = C₆H₅, 4-OCH₃C₆H₄, 4-ClC₆H₄, 2-Furyl, 4-OH-3-OCH₃C₆H₃

Antimicrobial Activity

The activity was determined by using filter paper disc diffusion plate method⁶ by measuring inhibition zone in mm. All compounds were screened *in vitro* for their antimicrobial activity against bacteria and fungi. The activity was determined by using 4% solutions of test compounds and standard drugs Griseofulvin (for fungi) and Streptomycin (for bacteria). All the tested compounds shown much higher activity than standards. Details have been cited in Table-1.

TABLE- 1
ANTIMICROBIAL ACTIVITY OF COMPOUNDS

Compound	Various R substituents	Antibacterial activity		Antifungal activity	
		<i>E. coli</i>	<i>B. subtilis</i>	<i>Tricoderma</i> sp.	<i>Aspergillus niger</i>
Ia	-C ₆ H ₅	(17)	(15)	(18)	(20)
Ib	-4-OCH ₃ -C ₆ H ₄	(20)	(25)	(24)	(19)
Ic	-4-Cl-C ₆ H ₄	(19)	(20)	(20)	(23)
Id	-2-Furyl	(24)	(20)	(19)	(16)
Ie	3-OH-4-OCH ₃ -C ₆ H ₃	(16)	(14)	(17)	(18)
Streptomycin (standard)		(7)	(9)		
Griseofulvin (standard)				(8)	(6)

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REFERENCES

1. S.P. Desai, N.K. Shukla, N.A. Langalia and K.A. Thakur, *J. Indian Chem. Soc.*, **61**, 714 (1984).
2. N.K. Shukla and S.D. Srivastava, *Indian J. Pharm. Sci.*, **56**, 30 (1994).
3. S.R. Doren and H.A. Sonle, *J. Org. Chem.*, **3**, 193 (1938).
4. P.T. Surrey and R.A. Cutler, *J. Am. Chem. Soc.*, **76**, 578 (1958).
5. Warner Lambert Pharmaceutical Co., *Ger. Pat.*, **68**, 812 (1964).
6. L.D. Fantasia, L. Mestrandea, J.P. Senrade and J. Yager, *Appl. Microbiol.*, **29**, 435 (1975).