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NOTE

Synthesis and Antimicrobial Activities of 1-substituted-3,5-diaryl Pyrazolines

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Some new pyrazolines (**4, 5**) were synthesized and tested for antimicrobial activities against *S. aureus, E. coli, Pr. mirabilis* and *A. aerugenes.*

Key Words: 1-Substituted-3,5-diaryl pyrazolines, Antimicrobial activities.

The synthesis of pyrazoles is useful as intermediate for pesticides and anticonvulsants[1]. Chalcones and their substituted derivatives[2,3] included in some heterocyclic analogues have been reported to possess some interesting biological properties with detrimental growth of microbes[4,5]. Feucher[6] prepared (phosphonodithioacetamido)phenyl pyrazoles which were reported as insecticides. Literature survey shows that 1-(phenylsulphonyl)-3,5-diaryl pyrazolines/1-(3-chlorophenyl)-3,5-diaryl pyrazolines have not yet been studied. The structures of these heterocycles have been confirmed on the basis of elemental and spectral analysis.

All melting points were taken in silicon oil bath instrument in open capillary and are uncorrected. Purity of the compounds was checked by TLC on silica gel-G, IR, NMR and mass spectra were recorded at RSIC, Punjab University, Chandigarh.

Preparation of 1-(phenylsulphonyl)-3,5-diaryl pyrazolines (4a–f)

1,3-Diaryl-prop-2-ene-1-one (**2**) (0.01 M) was refluxed with benzene sulphonyl hydrazide (0.02 M) for 5–6 h in DMF solvent. The reaction mixture was decomposed by water containing a little HCl. The semi-solid product was triturated with ethanol-acetic acid mixture. The product obtained was filtered and crystallized from ethanol-acetic acid mixture.

Spectral Interpretation of (4a)

IR (ν_{max}) cm^{-1}: 3431 ν(—OH); 2866 ν(C—H); 1609 ν(C=N); 1229 ν(C—N); 1489 ν(C=C): 1246 ν(C—O).

NMR ($CDCl_3$) δ ppm: 2.3 (s, 3H, $—CH_3$); 2.9 (t, 2H, $—CH_2$); 3.3 (s, 1H, —CH); 6.9–7.3 (m, 13H, Ar—H); 13.1 (s, 1H, —OH).

Preparation of 1-(3-chlorophenyl)-3,5-diaryl pyrazolines

1,3-Diaryl-prop-2-ene-1-one (**2**) (0.01 M) was refluxed with 3-chlorophenyl hydrazine hydrochloride (0.02 M) for 5–6 h in DMF solvent. The reaction mixture was decomposed by water containing a little HCl. The product was filtered, washed with sufficient quantity of water and crystallized from ethanol-acetic acid mixture.

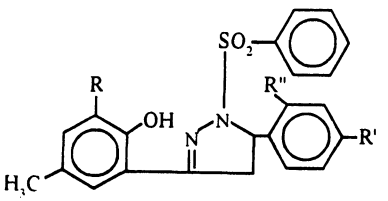
Spectral interpretation of (5b)

IR (ν_{max}) cm^{-1}: 3145 ν(—OH); 2956 ν(C—H); 1593 ν(C=N); 1248 ν(C—N); 765 ν; 747 ν; 677 ν(C—Cl).

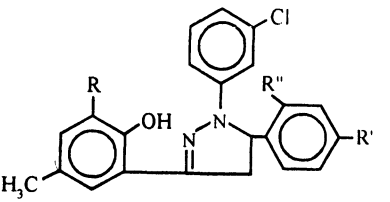
NMR ($CDCl_3$) δ (ppm): 2.2 (s, 3H, —CH_3); 3.7 (s, 3H, —OCH_3); 3.2–3.9, (dd, 2H, —CH_2); 5.1 (t, 1H, —CH); 6.9–7.2 (m, 11H, Ar—H); 10.4 (s, 1H, —OH).

Mass (m/z): 392 (M^+).

Antimicrobial Activity: The titled compounds were screened for their antimicrobial activities using different micro-organisms like *S. aureus, E. coli, Pr. mirabilis, Aerobacter aerogenes* by using paper disc method[7] at a concentration 25 μg/mL in various solvents like DMF, DMSO, chloroform, ethanol. After 25 h of inhibition at 37°C the zones of inhibition were measured in mm. These values were recorded in Table-1.



(4a-f)



(5a-f)

System	a	b	c.	d	e	f
R	H	H	H	Br	Br	Br
R′	H	OCH_3	OCH_3	H	OCH_3	OCH_3
R″	H	H	OH	H	H	OH

TABLE-1
ANTIMICROBIAL ACTIVITIES OF 1-(PHENYL SULPHONYL)-3,5-DIARYL PYRAZOLINES (**4a–f**) AND 1-(3-CHLOROPHENYL)-3,5-DIARYL PYRAZOLINES (**5a–f**)

Organism	*S. aureus*	*E. coli*	*Pr. mirablis*	*A. aerogenes*
4a	+	+	+	–
4b	+	+	–	–
4c	–	+	–	–
4d	+	–	–	–
4e	+	+	–	++
4f	+	++	+++	++
5a	–	+	–	+
5b	+	+	–	–
5c	+	+++	+++	++
5d	+	–	–	–
5e	–	+++	–	–
5f	++	+++	–	–

+++ Strongly active, range > 8 mm
++ Modcrately active, range < 7–8 mm
\+ Weakly active, range 6–7 mm
– Inactive

From Table-1, it was observed that the compounds **(4a). (4b) (4c), (4e)** showed weak activity against *E. coli*. The compound **(4d)** was found inactive towards *E. coli*. The compound **(4f)** showed moderate activity towards *E. coli*. The compounds **(4a), (4b), (4d), (4e)** and **(4f)** showed weak activity against *S. aureus*. The compound **(4c)** was found inactive towards *S. aureus*. The compounds **(4f)** showed weak activity towards *Pr. mirabilis*. The compounds **(4b), (4c), (4d), (4e)** were found inactive towards *Pr. mirabilis*. The compound **(4f)** was found strongly active towards *Pr. mirabilis*. The compounds **(4a), (4b), (4e)** and **(4d)** were found inactive towards *A. aerogenes*. The compound **(4e)** showed weak activity towards *A. aerogenes* while the compound **(4f)** showed moderate activity towards *A. aerogenes*.

From Table-1, it is clear that the compounds **(5c), (5e)** and **(5f)** were found strongly active towards *E. coli*. The compound **(5d)** was found inactive towards *E. coli*. The compounds **(5a)** and **(5b)** showed weak activity against *E. coli*.

The compounds **(5b), (5c)** and **(5d)** showed weak activity towards *S. aureus* and the compounds **(5a)** and **(5e)** were found inactive towards *S. aureus* whereas the compound **(5f)** showed moderate activity towards *S. aureus*.

The compound **(5c)** was found strongly active towards *Pr. mirabilis*. The compounds **(5a), (5b), (5d), (5e)** and **(5f)** were found inactive towards *Pr. mirabilis*. The compounds **(5a)** and **(5c)** showed weak activity towards *A. aerogenes*. The compounds **(5b), (5d), (5e)** and **(5f)** were found to be inactive towards *A. aerogenes*.

REFERENCES

1. S. Gudadhe, M.L. Narwade and V.S. Jamode, *Acta Cienc. Indica*, **11**, 234 (1985).
2. A.V. Mandakmare and M.L. Narwade, *Orient. J. Chem.*, **13**, 257 (1997).
3. P.D. Sawalakhe, and M.L. Narwade, *J. Indian Chem. Soc.*, **74**, 305 (1997).
4. P.J. Sondawale and M.L. Narwade, *Orient. J. Chem.*, **13**, 224 (1997).
5. M.B. Das and AS.S. Mitra, *Indian J. Chem.*, **16B**, 638 (1978).
6. S.G. Roelofvan C. Arnold and Wellmgak, *J. Agric. Food Chem.*, **27**, 406 (1979).
7. F. Bondavalli, L. Bruno and M.E. Nicola, *Chem. Abstr.*, **114**, 1816852 (1991).

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