NOTE

Antibacterial Activities of 3,5-Diaryl-4-Benzoyl-1-Pyridoyl- Δ^2 -Pyrazolines

M.V. KADU*, V.S. JAMODE and D.H. TAMBEKAR†

Post Graduate Department of Chemsitry

Amravati University, Amravati-444 602, India

3,5-Diaryl-4-benzoyl-1-pyridoyl- Δ^2 -pyrazolines have been synthesised from 3-aroyl flavanones and isoniazide in pyridine medium. Structures of these compounds have been characterised by spectral analysis. These compounds were tested for their antibacterial activities against pathogenic bacteria and are found to have remarkable activity.

From the survey of literature pyrazolines have been found to be effective insecticides¹⁻³, antinflammatory⁴, bacterial⁵⁻⁸, pharmaceutical⁹, fungicidal^{5, 10, 11} and herbicidal agents¹².

The present work deals with the study of antibacterial activities of 3,5-diaryl-4-benzoyl-1-pyridol- Δ^2 -pyrazolines (3a-j). These compounds were tested against *P. aeruginosa, S. aureus, C. frundii, E. coli, P. mirabilis, B. megatherium* and *S. typhi*. Some of them were found to be highly active against microbes.

Melting points were uncorrected. The structures of these compounds were established on the basis of their elemental analysis and spectral data. Preparation and characterization of 3,5-diaryl-4-benzol-1-pyridoyl- Δ^2 -pyrazolines (3a–j) are already reported¹³ (Physical data of pyrazolines (3a–j) is recorded in Table-1.)

TABLE-1
PHYSICAL DATA OF PYRAZOLINES (3a-i)

Compounds	R_1	R ₂	R ₃	R ₄	R ₅	m.p. (°C)	m.f.	
3a	Н	Н	CH ₃	Н	Н	250	C ₂₉ H ₂₃ O ₃ N ₃	
3b	Н	H	CH ₃	Н	OCH ₃	215	$C_{30}H_{25}O_4N_3$	
3c	Br	Н	CH ₃	Н	H	237	$C_{29}H_{22}O_3N_3B$	
3d	Br	Н	CH ₃	H	OCH ₃	227	$C_{30}H_{24}O_4N_3B_1$	
3e	CH ₃	H	Н	Н	Н	256	$C_{29}H_{23}O_{3}^{2}N_{3}$	
3f	CH ₃	H	Н	Н	OCH ₃	225	C ₃₀ H ₂₅ O ₄ N ₃	
3g	H	CH_3	, H	Н	Н	236	C ₂₉ H ₂₃ O ₃ N ₃	
3h	Н	CH ₃	Н	Н	OCH ₃	214	$C_{30}H_{25}O_4N_3$	
3i	Н	Н	Н -	Н	H	215	$C_{28}H_{21}O_3N_3$	
3j	Н	Н	Н	H	OCH ₃	210	C ₂₉ H ₂₃ O ₄ N ₃	

[†]Post Graduate Department of Microbiology, Amravati University, Amravati-444 602, India

Antibacterial activity

The titled compounds were screened for their antibacterial activities using bacteria Pseudomonas aeruginosa, Staphylococcus aureus, Citrobacter frundii. Escherichia coli, Proteus mirabilis, Bacillus megatherium and Salmonella typhi by paper disc method¹⁴ at a concentration of 50 µg/2 mL using DMF as a solvent. After 24 h of inhibition at 37°C the zones of inhibition are measured in mm and are recorded in Table-2.

TABLE-2 ANTIBACTERIAL ACTIVITY OF COMPOUNDS NOS. 3a-j Zone of inhibitios in mm

Organism	3a	3b	3c	3d	3e	3f	3g	3h	3i	3ј
P. aeruginosa										
S. aureus	8	7	7	7		_			7	7
C. frundii	. 8	7	7	7			8	10	8	9
E. coli			7	11	7		_		8	7
P. mirabilis	6		7	11	7				_	7
B. megatherium	7		8	8	7		_	_	9	
S. typhi	6	7	8	9				7	9	

Strongly active range: > 12 mm; Moderately active range: 8-12 mm; Weakly active range: < 8 mm; Inactive.

In case of antibacterial activities from Table-2 it has been observed that all compounds (3a-j) were inactive against P. aeruginosa.

The compound 3a showed moderate activities against S. aureus and C. frundii and weak activity against P. mirabilis, B. megatherium and S. typhi.

The compound 3b showed weak activities towards S. aureus, C. frundii and S. tvphi.

The compound 3c showed moderate activities against B. megatherium and S. typhi and was weakly active against S. aureus, C. frundii, E. coli and P. mirabilis.

The compound 3d showed moderate activities towards E. coli, P. mirabilis, B. megatherium and S. typhi and was weakly active against S. aureus and C. frundii.

The compound 3e showed weak activities against E. coli, P. mirabilis and B. megatherium.

The compound 3f was inactive against all the organisms.

The compound 3g was moderately active only against C. frundii.

The compound 3h was moderately active against C. frundii and weakly active against S. typhii.

The compound 3i showed moderate activities against C. frundii, E. coli, B. megatherium and S. typhi, while weak activity against A. aureus.

The compound 3j was moderatly active against C. frundii and showed weak activities against S. aureus, E. coli and P. mirabilis.

Bromo substituted pyrazolines (3c) and (3d) are more active towards each

1066 Kadu et al. Asian J. Chem.

micro organism as compared to other pyrazolines. This may be due to the presence of bromine atom in the structure of pyrazolines.

ACKNOWLEDGEMENT

The authors are thankful to Miss S.N. Bhure and Miss N.M. Pathak, Microbiology Departement, Amravati University, Amravati for providing facilities for testing the compounds against pathogenic bacteria and for their kind co-operation.

REFERENCES

- K. Ozawa, Y. Nakajuna, M. Tsugeno, S. Ishii and M. Kudo, Eur. Pat. Appl. Eq. 58,424, Chem. Abstr., 98(2), 16679n (1983).
- R. Van Hes and A.C. Crossurt, Eur. Pat. Appl. Eq. 65,334 (Cl Co 7D 231/06) (1982); Chem. Abstr., 98(7), 107287z (1983).
- J. Karl Kramer, McGregor and E. Harrison, J. Econ. Entomol, 71, 825 (1978) (Eng.); Chem. Abstr., 90, 82064u (1979).
- J.P. Dsuza, J.P. Joseph and S. Bernstein, U.S. US₄, 360, 680 (Cl 548–362, Co 7D231/06) (1982).
- 5. S.P. Sachchar and A.K. Singh, J. Indian Chem. Soc., 62, 142 (1985).
- 6 M.D. Ankhiwala and H.B. Naik, J. Inst. Chem. (India), 67, 176 (1989); Chem. Abstr., 114, 81684y (1991).
- 7. ____, J. Inst. Chem. (India), 60, 235 (1988); Chem. Abstr, 112, 55611n (1990).
- A.M. Fahmy, M.Kh. Hassan, A.A. Khalaf and R.A. Ahmad, *Indian J. Chem.*, 26(B), 884 (1987).
- 9. V.B. Tayade and V.S. Jamode, Asian J. Chem., 10, 1023 (1998).
- 10. S.P. Sachchar and A.K. Singh, J. Indian Chem. Soc., 62, 142 (1985).
- 11. J.P. Durga, J.P. Joseph and S. Burnstun, U.S. 4360680 (Cl 548362, Co 7D 231/06) (1982).
- Bernd Noll, Groth, Christa and Seigfried, Ger (East) DD271, 115 (Cl Co 7D 231/06), 23 (1989); Chem. Abstr., 102 (1990).
- 13. M.V. Kadu and V.S. Jamode, Asian J. Chem., 10, 367 (1998).
- 14. C.H. Collins, Microbiological Methods, Butterworth, London (1967).

(Received: 1 February 1999; Accepted: 8 June 1999)

AJC-1750