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NOTE

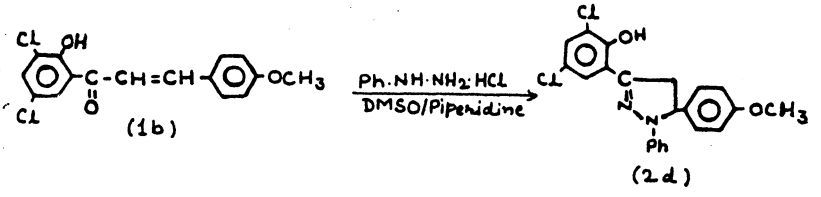
Synthesis and Antimicrobial Study of Some New Chlorosubstituted Δ^2-Pyrazolines

MEGHANA S. DESHMUKH,* P.R. RAJPUT† and M.M. CHINCHOLKAR
Department of Chemistry,
Vidarbha Mahavidyalaya, Amravati-444 604, India

Chlorosubstituted 2′-hydroxy chalcones were allowed to react with phenylhydrazine hydrochloride in DMSO containing a little piperidine to give Δ^2-pyrazolines in 2.5 h and yields were found to be 75–85%. Δ^2-pyrazolines showed antibacterial activity to a considerable extent when assayed against some common human pathogens.

It has been reported that the heterocyclic compounds containing pyrazoline ring present a broad spectrum of biological activity[1]. It has been revealed[2] that substitution in the phenyl ring enhances their antibacterial activity. We report here the synthesis of some heterocyclic chlorosubstituted pyrazolines from 2′-hydroxy-5′-chlorochalcone and 2′-hydroxy-3′,5′-dichlorochalcone derivatives on reaction with phenylhydrazine hydrochloride in DMSO containing a little piperidine. Antibacterial activity of these compounds has been tested against some gram positive (*S. aureus* and *B. Substilis*) and gram negative (*E. coli* and *Pseudomonas aeruginosa*) bacteria.

A mixture of 2′-hydroxy-3′,5′-dichlorochalcone (lb) (0.01 mol) and phenylhydrazine hydrochloride (0.02 mole) was refluxed in DMSO (25 mL) contaning piperidine (0.5 mL) for 2.5 h. The reaction mixture was then poured in cold water and acidified with 1 : 1 HCl. The semisolid thus obtained was crystallised from ethanol-acetic acid mixture to get the compound (2d). It gives coloration with ferric chloride solution and dissolved in NaOH, indicating thereby the presence of free phenolic —OH group.



The spectral analysis of compound (2d) is as under:

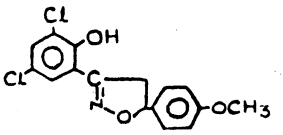
IR (nujol) shows absorption bands at 3100–3000 cm^{-1} ν(OH), 1615 cm^{-1}

† Department of Chemistry, VBMV, Amravati, India.

ν(C=N), 1520 cm^{-1} ν(—C=C), 1265 cm^{-1} (=C—N stretching in aromatic tert. amines), 1045 cm^{-1} ν(C—O), 680 cm^{-1} ν(C—Cl). UV-VIS ($CHCl_3$) showed λ_{max} 395 nm (corresponding to $n \rightarrow \pi^*$ transition). PMR ($CDCl_3$) showed 3.70 (s, 3H, Ar—OCH_3), 3.12–3.20 (dd, 2H, CH_2=CH), 5.15–5.20 (t, 1H, CH—C), 6.80–7.30 (m, 14 H, Ar—H), 10.70 (s, Ar—OH).

Melting point recorded is uncorrected and its purity was tested by TLC on microscopic slides with silica gel-G layers in benzene.

From elemental analysis, chemical properties and spectral data, the compound (2d) assigned the structure 3-(2-hydroxy-3,5-dichlorophenyl)-5-(4′-methoxyphenyl)-1-phenyl-Δ^2-pyrazolines.



(2d)

Similarly the other compounds (2a–c) were prepared and their elemental analysis and physical data along with compound (2d) are listed below (Table- 1).

TABLE-1
ANALYTICAL AND PHYSICAL DATA OF 3,5-DIARYL-1-PHENYL-Δ^2-PYRAZOLINES (2a–d).

S. No.	Molecular Formula	Yield (%)	m.p. (°C)	Nitrogen %	
				Found	Calculated
2a	$C_{21}H_7ON_2Cl$	85	175	4.50	4.02
2b	$C_{22}H_{19}O_2N_2Cl$	80	180	3.90	3.70
2c	$C_{21}H_{16}ON_2Cl_2$	85	164	3.80	3.65
2d	$C_{22}H_{18}O_2N_2Cl_2$	75	170	3.60	3.39

The compounds (2a–d) were tested *in vitro* for their antibacterial activity by disc diffusion method[3] in DMF solvent at a concentration of 100 µg/ml against gram positive bacteria (*S. aureus, B. Subtilis*) and gram negative bacteria (*E. coli, P. aeruginosa*) (Table-2).

TABLE- 2
ANTIBACTERIAL ACTIVITY DATA OF COMPOUNDS (2a–d)

Compd.	Substituents	*S. aureus*	*B. subtilis*	*E. coli*	*P. aeruginosa*
2a	—Cl	12	10	11	12
2b	—Cl, —OCH_3	14	11	13	11
2c	2Cl	11	9	12	13
2d	2Cl, —OCH_3	14	11	14	12

Most of the compounds showed significant antibacterial activity. However ,the inhibition was highest against *S. aureus, E. coli* and *P. aeruginosa* and moderate against *B. subtilis.* From the structure of these compounds it is obvious that their inhibitory action gets enhanced with the introduction of chloro and methoxy groups in the phenyl ring (compounds 2b, 2c and 2d).

The bacterial species used for screening are known human pathogens. *S. aureus* causes suppurative and invasive lesions leading to pus formation. *E. coli* causes secondary infection of wounds and gastrointestinal infections, *P. aeruginosa* causes suppurative wounds, eye and urinary tract infections and *B. subtilis* is responsible for urinary tract[4] infections. The compounds (2a–d) may find application for therapeutic purposes in human diseases, provided they are non-toxic to human body.

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REFERENCES

1. M.D. Ankhiwala and H.B. Naik, *Chem. Abstr.*, **144**, 816847 (1991).
2. Noll Berd, Groth Christa and Seigfried, *Chem. Abstr.*, **102** (1990).
3. Derek Brown and Robert Blowers, Laboratory Methods in Antibacterial Chemotherapy (Disc Method of Sensitivity Testing).
4. R. Ananthanarayan and G.K. Jayaram Panikar: Text Book of Microbiology 4th Edn., Orient Longmans (1990).
5. Robert Cruickshank, J.P. Dugud, B.P. Mormicon and R.H.A. Swain, Medical Microbiology, 12th Edn., Vol. II, Churchil-Livingstone, Edinburgh-London-New York (1982).
6. C.G. Donald and A.R. William, An Assay Method of Antibiotics: A Laboratory Manual, Medical Encyclopedia Inc. (1955).

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