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NOTE

Synthesis of 3,5-Diaryl-1-Pyridoyl Pyrazoles

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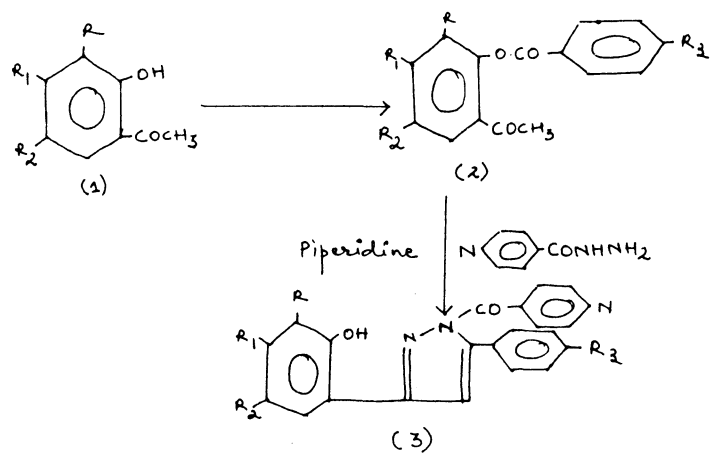
Some of the new 3,5-diaryl pyrazoles have been synthesized by a novel method. 2-Aroyl acetophenones with isoniazide in alcohol medium containing a little piperidine produces 3,5-diaryl-1-pyridoyl pyrazoles. Structures of these compounds have been established by spectral analysis. (IR, UV and NMR).

Hydroxychalcones are reported[1] to react with hydrazine hydrate to give pyrazoles. Pyrazoles are usually synthesized by the action of hydrazine on 1,3-dicarbonyl compounds.[2] Pyrazoles have been prepared by catalytic dehydration of pyrazolines.[3] From the references we have not observed the synthesis of 3,5-diaryl-1-pyridoyl pyrazoles directly from 2-aroyloxy acetophenones. It was therefore thought to use such short route for synthesis of pyrazoles. Pyrazoles are known for their versatile physiological activity.[4] Pyrazoles have been reported to possess herbicidal activity[5], fungicidal activity[6], antibacterial activity[7], antimicrobial activity.[8] Pyrazoles have also been found to be antidiabetic[9], pesticide[10] and hypolipidemic agent.[11]

The present work deals with the synthesis of 3,5-diaryl-1-pyridoyl pyrazoles (3) from 2-aroyloxy acetophenones (2) in alcohol medium containing a little piperidine.



Melting points are uncorrected. IR spectra were recorded in Hitachi Japan model 270–50 IR spectrophotometer. NMR spectra were recorded on Bruker AC

300 NMR spectrophotometer at 300 MHz in $CDCl_3$. UV-VIS spectra were recorded on Hitachi 320 UV-VIS spectrometer.

Preparation of 3,5-diaryl-1-pyridoyl pyrazole (3a–3j)

Mixture of 2-aroyloxy acetophenones (2) (0.01 M) was refluxed in alcohol (15 mL) containing a little piperidine for about 2 h. Isoniazide (0.02 M) was added to the reaction mixture and further refluxed for about 2 h. Reaction mixture was cooled, diluted with water and acidified with dil. HCl. The solid product obtained was filtered and crystallised from ethanol. A representative sample (3b) shows.

IR: V_{max} 1460 cm^{-1} (C—O), 1600 cm^{-1} (C=N), 1400 cm^{-1} (Ar—H), 1240 cm^{-1} (C—N);

NMR: δ2.3 (S, 3H, —CH_3), 3.8 (S, 3H, —OCH_3), 7.2 (S, 1H, —CH), 7.0–10.0 (m, 11H, Ar—H), 10.6 (S, 1H, —OH).

UV: λ_{max} 320, 350 nm.

Physical characterization data of the series were recorded in Table-1.

TABLE-1
PHYSICS CHARACTERSIATION DATA OF SYNTHESIZED COMPOUND (3a-3j)

Compound. No.	R	R_1	R_2	R_3	Yield %	m.p. (°C)	Molecular formula	N % Found (Calcd.)
3a	H	H	CH_3	H	79	220	$C_{23}H_{17}N_3O_2$	11.2 (11.4)
3b	H	H	CH_3	OCH_3	83	145	$C_{24}H_{19}N_3O_3$	10.1 (10.5)
3c	Br	H	CH_3	H	67	210	$C_{23}H_{16}N_3O_2Br$	9.2 (9.4)
3d	Br	H	CH_3	OCH_3	65	159	$C_{24}H_{18}N_3O_3Br$	8.5 (8.8)
3e	H	CH_3	H	H	75	190	$C_{23}H_{17}N_3O_2$	11.3 (11.4)
3f	H	CH_3	H	OCH_3	82	245	$C_{24}H_{19}N_3O_3$	10.3 (10.5)
3g	CH_3	H	H	H	85	142	$C_{23}H_{17}N_3O_2$	11.3 (11.4)
3h	CH_3	H	H	OCH_3	76	170	$C_{24}H_{19}N_3O_3$	10..4 (10.5)
3i	H	H	H	H	65	160	$C_{22}H_{15}N_3O_2$	11.6 (11.8)
3j	H	H	H	OCH_3	69	142	$C_{23}H_{17}N_3O_2$	11.2 (11.4)

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