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NOTE

Synthesis and Antibacterial Activity of Some New Chlorosubstituted Pyrazoles

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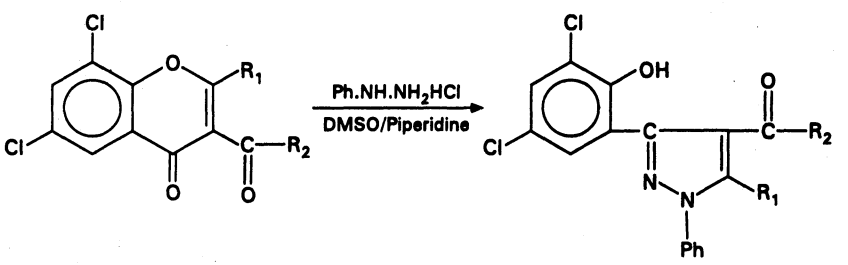
3-Aroyl chromones and 3-aroyl flavones were allowed to react separately with phenylhydrazine hydrochloride in DMSO containing a little piperidine to yield corresponding 4-aroyl pyrazoles. The structures of these compounds were confirmed on the basis of their elemental analysis, chemical properties and spectral data. The compounds thus synthesized were tested against some common human pathogens by disc diffusion method in dioxane solvent. The antibacterial results of pyrazoles are remarkably encouraging.

Key Words: Synthesis, Chlorosubstituted pyrazoles, Antibacterial activity.

Pyrazole ring has fairly accessible properties and hence attracted much attention in the fast developing area of synthetic heterocyclic chemistry. Besides traditional interest in the synthesis of drugs and dyes, pyrazole derivatives are also reported to have antimicrobial, antifungal, analgetic, antipyretic, anti-inflamatory and insectidal[1–4] and antibacterial[5–8] activities. We herewith have reported the synthesis of some new chlorosubstituted 4-aroylpyrazoles in DMSO containing a little piperidine. These compounds were then assayed against some common human pathogens for their antibacterial activities.

3-Aroyl chromones (**1a** and **b**) and 3-aroyl flavones (**1c** and **d**) (0.01 mol) were allowed to react separately with phenylhydrazine hydrochloride (0.02 mol) in DMSO (20 mL) containing a little piperidine (0.5 mL) to yield corresponding 3-(2′-hydroxy-3,5-dichlorophenyl)-4-aroylpyrazoles (**2a–d**) (yield 70–80%).



(1a–d) (2a–d)

The physical and structural details of compounds **(2a–d)** are tabulated in the Table given as:

Compd.	m.f.	Yield (%)	m.p. (°C)	Nitrogen (%)	
				Found	Calculated
2a	$C_{26}H_{16}N_2O_3Cl_2$	72	165	4.9	5.8
2b	$C_{24}H_{14}N_2O_4Cl_2$	75	152	4.6	5.9
2c	$C_{28}H_8N_2O_2Cl_2$	70	178	5.0	5.7
2d	$C_{26}H_{16}N_2O_3Cl_2$	80	133	4.7	5.9

Spectral data of the compound **2a** is summarized below:

UV-Vis: ($CHCl_3$) λ_{max} 373 nm corresponding to $n \rightarrow \pi^*$ transition.

(1) IR (nujol) (cm^{-1}): 3403 w, b ν(—OH), 2922 s ν(>C—H), 1625 m ν(>C=O), 1560 s ν(>C=N), 921 w (2′-furyl), 752 s ν(>C—Cl).

(2) PMR ($CDCl_3$): 6.68–7.99 m (15 H) Ar—H, 12.08 s (1 H) ArOH.

The compounds **(2a–d)** were tested *in vitro* for their antibacterial activity by disc diffusion method in dioxane solvent at 0.01 mL dilution against *E. coli, S. aureus, P. vulgaris* and *B. subtilis.* The zones of inhibition were measured in mm and are listed in the following Table:

Compd.	Zones of inhibition (mm)			
	E. coli	*S. aureus*	*P. vulgaris*	*B. subtilis*
2a	12	09	14	09
2b	14	12	15	12
2c	15	10	12	10
2d	12	11	15	11

It is evident from the above table that most of the compounds are significantly active against the test organisms. The inhibitory activities are very strong against *E. coli* and *P. vulgaris* and the compounds are moderately active against *S. aureus* and *B. subtilis.*

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