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NOTE

Synthesis and Antibacterial Activity of 2-Pyrazolines and Their Related Compounds

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Substituted chalcone condenses with hydrazine hydrate in ethanol to give 2-pyrazoline. In the present note some biologically active 1-[1′-H-5′-aryl-2′-pyrazoline]-3-(4″-bromophenyl)-5-phenyl-2-pyrazoline and their related compounds were synthesized and characterized.

Several related 1-[1′-H-5′-aryl-2′-pyrazoline]-3-(4″-bromophenyl)-5-phenyl-2-pyrazoline and their related compounds are prepared in view of the fact that a number of related compounds are known to possess biological activity[1].

1-substituted-chalcone-3-(4′-bromophenyl)-5-phenyl-2-pyrazoline **[1a-d]** have been prepared through the reaction of 1-acetyl-3-(4′-bromophenyl)-5-phenyl-2-pyrazoline and aryl aldehyde by the Claisen-Schmidt condensation[2]. Previous ketone was prepared by this method[3,4].

1-substituted-chalcone-3-(4′-bromophenyl)-5-phenyl-2-pyrazoline on condensation with hydrazine hydrate in ethanol gave 1-[1′-H-5′-aryl-2′-pyrazoline]-3-(4″-bromophenyl)-5-phenyl-2-pyrazoline[5] **[2a–d]**. The reaction of **[2a–d]** with 4-acetamido sulphonyl chloride gave sulphonyl derivative **[3a–d]** and similarly, the reaction of **[2a–d]** with benzoyl chloride gave benzoyl derivatives[6] **[4a–d]**.

Antibacterial Activity: The products were screened for antibacterial activity by paper-disc method at a concentration of 50 μg using gram-negative bacteria *Escherichia coli* and gram positive bacteria *Staphylococcus aureus*. The compounds possess moderate to good activity against both stains in comparison with ampicillin and gentamycin.

All melting points were taken in open capillary tubes and are uncorrected. IR spctra in KBr were recorded on Perkin-Elmer-377 spectrophotometer. All compounds gave satisfactory elemental analysis.

General method for the preparation of 1-[1′-H-5′-aryl-2′-pyrazoline]-3-(4″-bromophenyl)-5-phenyl-2-pyrazoline

A mixture of chalcone [1] (0.01 mol.) and 99% hydrazine hydrate (0.015 mol.) in ethanol (50 mL) was refluxed on water-bath at 70°C gently for 2 h. The excess

solvent is allowed to evaporate. The solid mass washed with RS and crystallized from ethanol to give [**2a–d**].

IR (KBr): 3200–3100 cm^{-1} ν(NH), 1320 cm^{-1} ν(—CH_2— of pyrazoline), 1630–1625 cm^{-1} ν(C=N), 1260 cm^{-1} ν(C—N).

General method for the preparation of 1-[1′-p-acetanilide sulphonyl-5′-aryl-2′-pyrazoline]-3-(4″-bromophenyl)-5-phenyl-2-pyrazoline

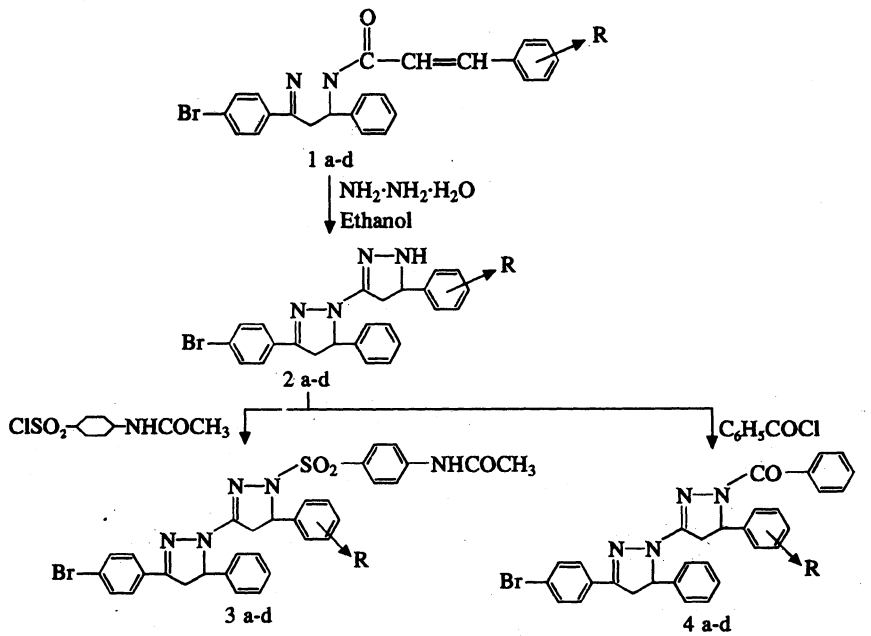
A mixture of compound [**2**] (0.001 mol) in pyridine (10 mL) was cooled in an ice bath and to it *p*-acetamido sulphonyl chloride (0.001 mol) was added. The mixture was stirred for 1 h at room temperature and it was then treated with cold dilute HCl (2 N). The solid obtained was filtered washed with water and crystallized from ethanol to give [**3a–d**].

IR (KBr): 1630 cm^{-1} ν(C=N), 1070 cm^{-1} ν(S=O), 1680 cm^{-1} ν(C=O).

General method for the preparation of 1-[1′-benzoyl-5′-aryl-2′-pyrazoline]-3-(4″-bromophenyl)-5-phenyl-2-pyrazoline

A mixture of compound [**2**] (0.001 mol) and benzoyl chloride (0.001 mol) was dissolved in dry pyridine (10 mL) and stirred at room temperature for 1 h. It was then treated with cold dilute HCl (2 N). The solid separated was filtered, washed with distilled water and cold NaOH (2%), dried and crystallised from glacial acetic acid to give [**4a–d**].

IR (KBr): 1625 cm^{-1} ν(C=N), 1660 cm^{-1} ν(C=O), 1320 cm^{-1} ν(—CH_2— pyrazoline).



where a = -H, b = -3-OC_6H_5, c = -4-OCH_3, d = -3Br

TABLE-1
CHARACTERIZATION DATA OF THE VARIOUS COMPOUNDS PREPARED

Compd.	m.p. (°C)	m.f.	% Analysis, Found (%) (Calc.)		
			C	H	N
2a	112	$C_{24}H_{21}N_4Br$	64.69 (64.73)	4.70 (4.75)	12.55 (12.58)
2b	102	$C_{30}H_{25}N_4OBr$	66.83 (67.04)	4.65 (4.69)	10.36 (10.42)
2c	123	$C_{25}H_{23}N_4OBr$	63.12 (63.16)	4.46 (4.48)	11.73 (11.79)
2d	130	$C_{24}H_{20}N_4Br_2$	54.94 (54.89)	3.82 (3.85)	10.69 (10.67)
3a	125	$C_{32}H_{28}N_5O_3SBr$	59.78 (59.82)	4.41 (4.39)	10.83 (10.89)
3b	120	$C_{38}H_{32}N_5O_4SBr$	62.09 (62.13)	4.35 (4.39)	9.48 (9.53)
3c	127	$C_{33}H_{30}N_4O_4SBr$	58.89 (58.93)	4.44 (4.47)	8.28 (8.33)
3d	140	$C_{32}H_{27}N_5O_3SBr_2$	53.30 (53.28)	3.72 (3.77)	9.68 (9.71)
4a	118	$C_{31}H_{26}N_4OBr$	67.59 (67.73)	4.74 (4.76)	10.15 (10.19)
4b	112	$C_{37}H_{30}N_4O_2Br$	69.14 (69.16)	4.65 (4.70)	8.67 (8.71)
4c	130	$C_{32}H_{28}N_4O_2Br$	66.19 (66.21)	4.88 (4.86)	9.59 (9.65)
4d	127	$C_{31}H_{25}N_4OBr_2$	59.03 (59.07)	3.96 (4.00)	8.85 (8.89)

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