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NOTE

Synthesis of Some New Pyrazoline Derivatives and Related Compounds from Chalcones and their Antibacterial Activity

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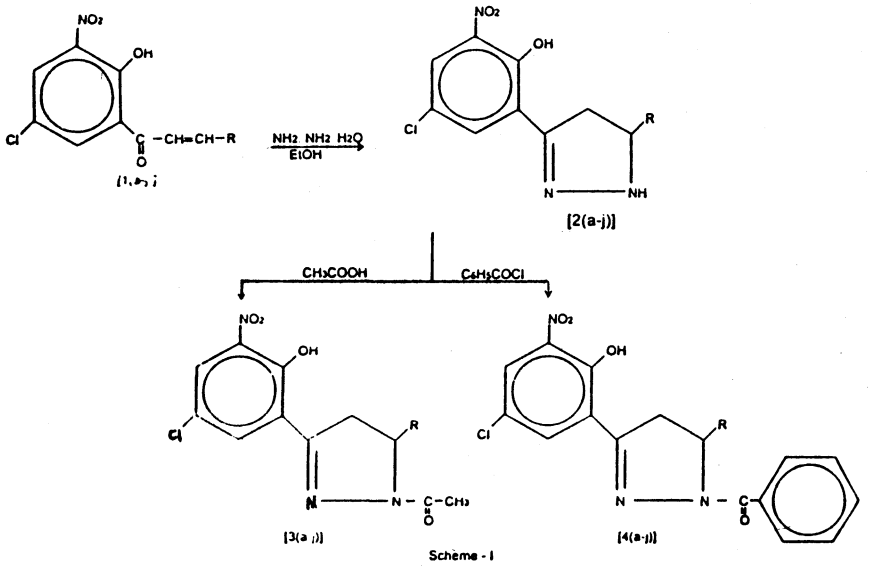
Some new 1-H-3-(2′-hydroxy-5′-chloro-3′-nitrophen-1′-yl)-5-substituted phenyl-2-pyrazolines **[2(a–j)]** have been prepared by reaction of 2′-hydroxy-5′-chloro-3′-nitrochalcones **[1(a–j)]** with hydrazine hydrate in ethanol. Their acetyl and benzoyl derivatives **[3(a–j), 4(a–j)]** have been prepared by acetylation and benzoylation respectively.

Pyrazolines are important nitrogen containing heterocycles possessing diverse biological activity[1] and also considerable promise as chemotherapeutic agent[2]. They have been screened against microorganisms, such as *Staphylococcus aureus* and *Escherichia coli*. The results were compared against tetracycline and gentamycine. All compounds showed the activity mild to moderate as compared to standard compounds. The products were screened for antibacterial activity by filter-paper disc method[3].

In the present work[4–6], 1-(2′-hydroxy-5′-chloro-3′-nitrophen-1′-yl)-3-substituted phenyl-2-propen-1-ones **[1(a–j)]** have been reacted with an alcoholic solution of hydrazine hydrate to give 1-H-3-(2′-hydroxy-5′-chloro-3′-nitrophen-1′-yl)-5-substituted phenyl-2-pyrazolines **[2(a-j)]**. The reaction of **[2(a–j)]** with acetic acid gave acetyl derivatives **[3(a–j)]**; similarly the reaction of **[2(a–j)]** with benzoyl chloride gave benzoyl derivatives **[4(a–j)]**.

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

Reaction:



Scheme - I

where R = (a) phenyl, (b) 2-hydroxy phenyl (c) 3-chlorophenyl (d) 3-nitrophenyl (e) 4-hydroxy phenyl (f) *m*-phenoxy phenyl (g) 2-thiophene (h) 3,4,5-trimethoxy phenyl (i) 4-methoxy-phenyl (j) 3-hydroxy-4-methoxy phenyl.

Preparation of 1-H-3-(2′-hydroxy-5′-chloro-3′-nitrophen-1′-yl)-5-aryl-2-pyrazolines [2(a–j)]

A mixture of **[1(a–j)]** (0.01 mol) and 99% hydrazine hydrate (0.15 mol) in ethanol (50 mL) was refluxed on a water-bath at 70–80°C gently for 2 h. The excess of solvent was distilled off. The solid mass was washed with ethanol and crystallised from ethanol to give **[2(a–j)]**.

m.p. (°C): **(2a)** 151; **(2b)** 140; **(2c)** 99; **(2d)** 126; **(2e)** 149; **(2f)** 81; **(2g)** 92; **(2h)** 179; **(2i)** 104; **(2j)** 120.

IR (cm^{-1}) (KBr): 3450–3350 ν(—OH); 1620–1590 ν(C=N); 1230–1210 ν(C—N); 3400–3300 ν(N—H).

Preparation of 1-acetyl-3-(2′-hydroxy-5′-chloro-3′-nitrophen-1′-yl)-5-aryl-2-pyrazolines [3(a–j)]

A mixture of **[2(a–j)]** (0.001 mol) and acetic acid (15 mL) was refluxed on a water-bath at 70–80°C for 2 h. The solution was concentrated. On cooling the solid separated was washed with water, dried and crystallised from ethanol to give acetyl pyrazolines **[3(a–j)]**.

m.p. (°C): **(3a)** 70; **(3b)** 110; **(3c)** 130; **(3d)** 85; **(3e)** 75; **(3f)** 100; **(3g)** 82; **(3h)** 87; **(3i)** 89; **(3j)** 107.

IR (cm^{-1}) (KBr): 3450–3350 ν(OH); 1620–1600 ν(C=N); 1225–1210 ν(C—N); 1230–1210 ν(C=O); 2980–2940 ν(—CH_3).

Preparation of 1-benzoyl-3-(2′-hydroxy-5′-chloro-3′-nitrophen-1′-yl)-5-aryl-2-pyrazolines [4(a–j)]

A mixture of **[2(a–j)]** (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 hydrochloric acid (2 N). The solid obtained was filtered, washed with water and cold NaOH (2 N) and crystallised from glacial acetic acid to give **[4(a–j)]**.

m.p. (°C) **(4a)** 93; **(4b)** 72; **(4c)** 122; **(4d)** 140; **(4e)** 78; **(4f)** 74; **(4g)** 63; **(4h)** 82; **(4i)** 68; **(4j)** 108.

IR (cm^{-1}) (KBr): 3450–3350 ν(OH); 1620–1590 ν(C=N); 1240–1220 ν(C—N); 1670–1640 ν(C=O).

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