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NOTE

Synthesis of Some New 1-H-3-(2′-Hydroxy-5′-Methyl-4′,6′-Dibromophen-1′-yl)-5-Substituted Phenyl-2-Pyrazolines and Related Compounds and Their Antibacterial Activity

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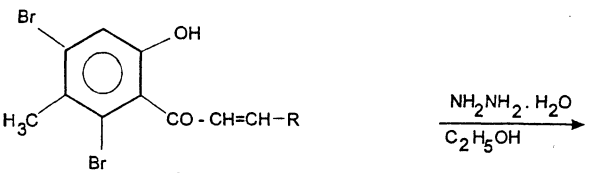
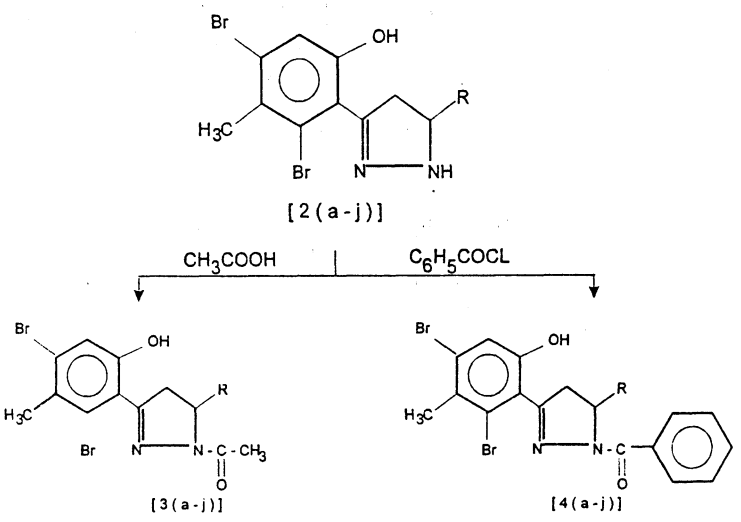
Several new 1-H-3-(2″-hydroxy-5″-methyl-4″,6′-dibromophen-1″-yl)-5-substituted phenyl-2-pyrazolines [2(a–j)] have been prepared by the reactions of 1-(2′-hydroxy-5′-methyl-4′,6′-dibromophen-1′-yl)-3-substituted phenyl-2 propen-1 ones [1(a–j)] with hydrazine hydrate in ethanol. Their N-substituted derivatives [3(a–j), 4(a–j)] have been prepared by acetylation and benzoylation. They have been screened against a few microorganisms for antibacterial activities.

In the present work[1–3], 1-(2′-hydroxy-5′-methyl-4′,6′-dibromophen-1′-yl)-3-substituted phenyl-2-propen-1-ones [1(a–j)], have been reacted with hydrazine hydrate in ethanol to give 1-H-3-(2″-hydroxy-5″-methyl-4″,6″-dibromophen-1″-yl)-5-substituted phenyl-2-pyrazolines [2(a–j)]. The reaction of [2(a–j)] with acetic acid gave the acetyl derivatives [3(a–j)], Similarly the reaction of [2(a–j)] with benzoyl chloride gave the benzoyl derivatives [4(a–j)] (Scheme-I)

The products were screened for antibacterial activity by filter paper disc method. Micro-organisms employed were *S. aureus* and *E. coli.* The results were compared against ampicillin and gentamycin. All compounds showed mild activity.

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





Scheme-1

where R = (a) 4-chlorophenyl, (b) 4-hydroxyphenyl, (c) phenyl, (d) 2 : 4-dichlorophenyl, (e) *m*-phenoxy phenyl, (f) 2,6-dichlorophenyl, (g) *m*-nitro- phenyl, (h) 3,4,5-trimethoxy phenyl, (i) 4-methoxy phenyl, (j) 4-N,N-dimethyl amino phenyl.

General method for the preparation of 1-H-3-(2″-hydroxy-5″-methyl-4″,6″-dibromophen-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 allowed to evaporate. The solid mass was washed with ethanol and crystallised from ethanol to give [2(a–j)].

m.p. (°C): 2a: 105; 2b: 85; 2c: 108; 2d: 140; 2e: 168; 2f: 109; 2g: 138; 2h: 127; 2i: 142; 2j: 116.

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

General method for the preparation of 1-acetyl-3-(2″-hydroxy-5″-methyl-4″, 6″-dibromo-phen-1″-yl)-5-aryl-2-pyrazolines [3(a–j)].

A mixture of [2(a–j)] (0.001 mol) and acetic acid (10 mL) was refluxed on a water bath at 70–80°C temperature for 2 h. The solution was allowed to evaporate. The solid obtained was washed with water, dried and crystallised from ethanol to give [3(a–j)].

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).

m.p. (°C): 3a: 122; 3b: 105; 3c: 118; 3d: 165; 3e: 180; 3f: 95; 3g: 145; 3h: 142; 3i: 132; 3j: 108.

General method for the prepartion of 1-benzoyl-3-(2″-hydroxy-5″-methyl-4″, 6″-dibromophen-1″-y1)-5-aryl-2-pyrazolines [4(a–j)].

A mixture of [2(a–j)] (0.001 mol) and benzoyl chloride (0.0011 mol) was dissolved in dry pyridine (10 mL) and stirred at room temperature for 1 h. It was then treated with cold dil. hydrochloric acid (2N). The solid obtained was filtered, washed with water and cold NaOH (2%), dried and crystallised from glacial acetic acid to give [4(a–j)]

m.p. (°C): 4a: 124; 4b: 118; 4c: 130; 4d: 142; 4e: 112; 4f: 162; 4g: 156; 4h: 120; 4i: 108; 4j: 128.

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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