NOTE

Synthesis and Antibacterial Activity of 2′-Hydroxy 3′-Bromo-5′-Ethyl Chalcones and 3-(2′-Hydroxy-3′-Bromo-5′-Ethyl Phen-1′-yl)-5-Substituted Phenyl-2-Isoxazoles

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Some new 2-isoxazole derivatives have been prepared by condensation of α,β-dibromo chalcomes with hydroxylamine hydrochloride in ethanol in presence of potassium hydroxide. These varied products have been characterised by spectral studies and screened for antibacterial activity.

Chalcones have bactericidal derivatives. In the present work, we report the reaction of 2-hydroxy-3-bromo-5-ethyl acetophenone with various substituted aldehydes to yield the corresponding 2′-hydroxy-3′-bromo-5′-ethyl chalcones [1(a–h)], which on treatment with bromine in acetic acid gave the dibromo chalcones [2(a–h)]. These α,β-dibromo chalcones react with hydroxylamine hydrochloride in ethanol in presence of potassium hydroxide to give the corresponding 2-isoxazole derivatives[2,3] [3(a–h)].

The products were screened for antibacterial activity by filter paper disc method[4] against *S. aureus* and *E. coli*. The results were compared against tetracycline and gentamycine. All compounds showed medium activity.

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

General method for the preparation of 2′-hydroxy3′-bromo-5′-ethyl chalcones [1(a–h)]

2-Hydroxy-3-bromo-5-ethyl acetophenone (0.01 mol) and aryl aldehyde (0.01 mol) were dissolved in ethanol (90%, 30 mL) and aqueous solution of potassium hydroxide (40%, 25 mL) was added to it and kept overnight at room temperature. The colour of the reaction mixture changed from yellow to orange. The content was poured over crushed ice and acidified with dilute hydrochloric acid. The product separated was filtered and washed with distilled water, dried and crystallised from glacial acetic acid; yield 60–70%.

m.p. (°C): 1a: 110; 1b: 153; 1c: 115; 1d: 128; 1e: 103; 1f: 140; 1g: 180; 1H: 120

IR (cm^{-1}): 3450–3360 ν(OH), 1030–1010 ν(CH=CH), 1680–1640 ν(C=O).

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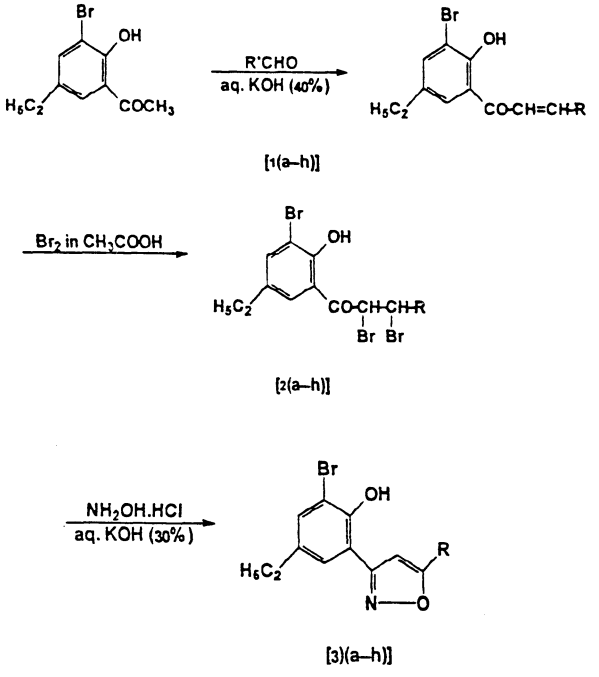

where, R = a : Phenyl; b : 4-Chlorophenyl; c : 2-Hydroxyphenyl; d : 4-Hydroxyphenyl; e : 4-Methylphenyl; f : 3-Nitrophenyl; g : 4-Methoxyphenyl; h : 4-N,N-dimethylaminophenyl

General method for the preparation of 2′-hydroxy-3′-α,β-tribromo-5′-ethyl chalcones [2(a–h)]

To a cold solution of 1(a–h) (0.01 mol) in acetic acid (30 mL), bromine in acetic acid (0.02 mol) was added dropwise with constant stirring. The reaction mixture was further stirred for 4 h. Then it was treated with ice water. The solid separated was filtered, washed with sodium thiosulphate solution and then with water, dried and crystallised from absolute alcohol, yield 80–90%.

m.p. (°C): 2a: 72; 2b: 80; 2c: 76; 2d: 90; 2e: 104; 2f: 85; 2g: 92; 2H: 78

IR (cm^{-1}): 3450–3360 ν(OH), 1640–1620 ν(C=O).

General method for the preparation of 3-(2′-hydroxy-3′-bromo-5′-ethyl phen-1′-yl)-5-substituted phenyl-2-isoxazoles [3(a–h)]

A mixture of 2(a–h) (0.01 mol) hydroxylamine hydrochloride (0.02 mol) and ethanol (90%, 25 mL) was refluxed on water-bath (70°C). After a few minutes, 30% aqueous solution of potassium hydroxide was added to it and refluxing continued till the colour of the reaction mixture turned red with simultaneous deposition of potassium bromide. It was allowed to stand for 0.5 h at room temperature, cooled and acidified with dilute hydrochloric acid. The resulting solid, isoxazoles were washed and crystallised from ethanol, yield 65–70%.

m.p. (°C): 3a: 70; 3b: 88; 3c: 90; 3d: 75; 3e: 83; 3f: 95; 3g: 115; 3h: 102

IR (cm^{-1}): 3450 ν(OH), 1630–1610 ν(C=N), 1485–1480 ν(N—O)

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REFERENCES

1. J.K. Eaton and R.G. Davies, *Ann. App. Bio.*, **37**, 473 (1929).
2. S. Purushotham Reddy, D. Ashok and P.N. Sharma, *J. Indian Chem. Soc.*, **68**, 242 (1991).
3. S.M. Naik and H.B. Naik, *Oriental J. Chem.*, **14**, 167 (1998).
4. F. Kavanagh, Analytical Microbiology, Academic Press, New York, p. 125 (1963).

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