NOTES

Studies of Synthesis and Antibacterial Activity of Chalkones and Flavones

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Two new chalkones and two new flavones have been synthesized and tested for their antibacterial activity.

Chalkones 1,2 , α , β -unsaturated ketones 2 , flavones 3 and flavonoids $^{4-6}$ have been reported to possess various biological activities. Considering these facts, we have synthesised two new chalkones and two new flavones and tested for their antibacterial activity.

Condensation of 2'-hydroxy and 2'-hydroxy-5'-methyl acetophenone with 4-bromo-1-naphthaldehyde in the presence of alkali yielded the 1-(2'-hydroxy phenyl)-3-(4-bromo-1-naphthyl)-2-propene-1-one and 1-(2'-hydroxy-5'-methyl-phenyl)-3-(4-bromo-1-naphthyl)-2-propene-1-one respectively. Flavones, *i.e.*, 2-(4'-bromo-1'-naphthyl) and 2-(4'-bromo-1-naphthyl)-6-methyl-flavones are prepared from above chalkones by selenium dioxide oxidation.

Structures of chalkones and flavones are confirmed by elemental analysis, IR and NMR spectra and also by Wilson test. Chalkones show positive Wilson test. Chalkones and flavones were tested for their antibacterial activity against *Escherichia coli* and *Staphylococcus aureus* following disc-diffusion method⁸. The results of inhibition were found to be significant.

Melting points were taken in open capillaries and are uncorrected. IR spectra (nujol) were recorded on a Perkin-Elmer spectrophotometer and PMR spectra studied in CDCl₃ using TMS as internal standard.

1-(2'-Hydroxy-5'-methylphenyl)-3-(4-bromo-1-naphthyl)-2-propen-1-one

To an equimolar (0.01 mol) mixture of 2'-hydroxy-5'-methyl acetophenone and 4-bromo-1-naphthaldehyde dissolved in ethanol (30–40 mL) was added a 20% KOH solution (10–15 mL) and the reaction mixture was kept at 60° for 20 h. It was then diluted with ice cold water and acidified with concentrated HCl. The resulting yellow solid was washed with cold water, dried and crystallised from

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glacial acetic acid, m.p. 172°C; yield 80%; v_{max} (cm⁻¹) 1645 (C=O) and 1580 cm⁻¹ (C=C); δ (CDCl₃): 2.1 (3H, S, CH₃); 10.1 (1H, S, OH) and 6.4–8.2 (m, ArH), Elemental analysis %: Found: Br, 21.72; $C_{20}H_{15}O_2Br$ required: Br, 21.80.

1-(2'-Hydroxy phenyl)-3-(4-bromo-1-naphthyl)-2-propen-1-one

Similarly from 2'-hydroxy acetophenone and 4-bromo-1-naphthyl aldehyde above chalkone is prepared, crystallised from glacial acetic acid; m.p. 156°C; yield 64%; IR (nujol) ν_{max} (cm⁻¹) 1635 (C=O);1585 (C=C); δ (CDCl₃): The aromatic and ethylenic protons appear at 6.9 to 8.77. The signal due to phenolic (OH) proton appears at 12.8 as singlet.

Elemental analysis %: Found C, 64.64; H, 3.72; Br, 22.60; $C_{19}H_{13}O_2Br$ required: m.p. C, 64.59; H, 3.68 and Br, 22.66.

2-(4'-Bromo-1-naphthyl)-6-methyl flavone

A mixture of 1-(2'-hydroxy-5'-methylphenyl)-3-(4-bromo-1-naphthyl)-2-propen-1-one (3.67 g; 0.01 mole) and SeO₂ (4.48 g; 0.04 mole) in isoamyl alcohol (50 mL) was refluxed for 12–16 h. The reaction mixture was filtered hot; on cooling solid product was obtained. The crude product was collected by filtration and crystallised from ethyl alcohol; m.p. 180°; yield 62% IR (nujol) v_{max} (cm⁻¹): 1650 (C=O); 1575 (C=C); 1380 (γ -pyron ring); PMR δ (CDCl₃): 2.55 (S, 3H, CH₃); 6.55 (S, 1H, pyron ring); 7.2 to 8.23 (m, aromatic protons), Elemental analysis %: Found C, 56.32; H, 3.50; Br, 21.90; Required C, 65.75; H, 3.56; Br, 21.92.

2-(4'-Bromo-1'-naphthyl) flavone

Similarly from 1-(2'-hydroxy phenyl)-3-(4-bromo-1-naphthyl-2-propene-1-one and SeO₂ in isoamyl alcohol, above flavone is prepared. M.p. 210°; yield 60%; elemental analysis %: Found C, 64.95; H, 3.34; Br, 22.70; required: C, 64.96; H, 3.13; Br, 22.79; IR (nujol) ν_{max} (cm⁻¹): 1650 (C=O); 1575 (C=C); 1380 (γ -pyron ring); PMR δ (CDCl₃); 6.53 (S, 1H, pyron ring); 7.2 to 8.25 (m, aromatic protons).

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