

NOTE

Synthesis and Antibacterial Activity of 3-Phenyl-5,7-Dibromo-6-Methyl-4-Substituted Styrylcoumarin

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Some new 4-styrylcoumarin derivatives have been prepared by condensation of 2'-phenylacetyloxy-5'-methyl-4',6'-dibromochalcone with potassium hydroxide in presence of pyridine. The structure of the product has been characterised by elemental analysis and IR studies. The products have been screened for antibacterial activity against *Staphylococcus aureus* and *Escherichia coli*.

Coumarins have varied useful properties.¹⁻³ The preparation of 4-styryl-6-methyl coumarin is reported from 6-methyl-4-acetic acid coumarin by condensation with aldehyde in pyridine at 130°C and 2'-hydroxychalcone on condensation with Witting reagent from 4-styrylcoumarin.^{4,5} The present study is concerned with the reaction of 2'-hydroxy-5'-methyl-4',6'-dibromochalcone [(1(a-j))] with phenylacetic acid in pyridine in presence of phosphorous oxychloride yielding 2'-phenylacetyloxy-5'-methyl-4',6'-dibromochalcone [2(a-j)], which on treatment with pulverised potassium hydroxide in pyridine yielded 3-phenyl-5,7-dibromo-6-methyl-4-substituted styryl coumarins [3(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. Satisfactory elemental analyses were obtained for all the synthesized compounds.

General method for the preparation of 2'-phenylacetyloxy-5'-methyl-4',6'-dibromochalcone [2(a-j)].

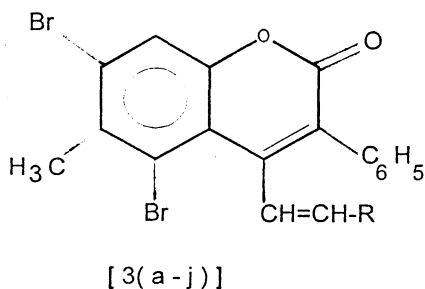
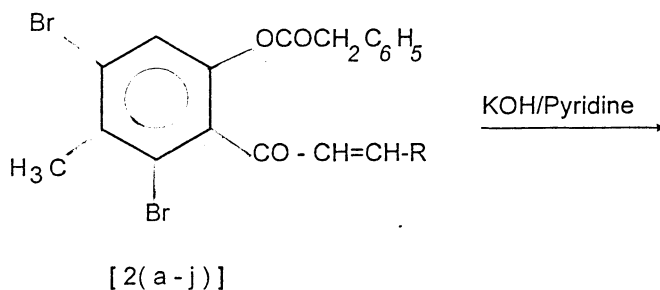
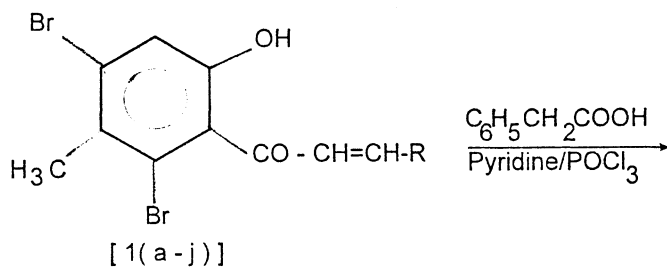
2'-Hydroxy-5'-methyl-4',6'-dibromochalcone [1(a-j)] (0.01 mmol) was dissolved in pyridine (20 mL) and phosphorous oxychloride (2.5 mL) was added dropwise to the mixture with continuous stirring. The mixture was kept for 1 h and then diluted with cold dilute hydrochloric acid. The solid separated was filtered, washed with water and dilute solution of sodium bicarbonate, dried and crystallized from acetone.

General method for the preparation of 3-phenyl-5,7-dibromo-6-methyl-4-substituted styrylcoumarin [3(a-j)]

Compound [2(a-j)] (0.01 mL) was added to pulverised potassium hydroxide (1.5 g) in pyridine (15 mL) and the mixture was kept for 2 h. It was then

decomposed with dilute cold hydrochloric acid. The separated solid was filtered, washed with water and sodium bicarbonate solution, dried and crystallised from acetone.

IR (cm^{-1}) (KBr): 1560–1540, $\nu(\text{—C=C—})$, 1710–1690 $\nu(\text{Lactone C=O})$, 1460–1450 $\nu(\text{C—CH})$ and 1250–1240 $\nu(\text{C—O—C})$.



R = (a) 4-chlorophenyl, (b), 4-hydroxyphenyl (c) phenyl, (d) 2,4-dichloro phenyl, (e) 3-phenoxyphenyl, (f) 2-6-dichlorophenyl, (g) 3-nitrophenyl, (h) 3,4,5-trimethoxyphenyl, (i) 4-methoxyphenyl, (j) 4-N,N-dimethylaminophenyl.

All coumarin derivatives were tested for antibacterial activity against *S. aureus* and *E. Coli* using cup-plate method. From the experimental data, it was observed

that all the products are active against gram-positive bacteria like *S. aureus* and gram-negative bacteria like *E. coli*.

TABLE-1
LIST OF SYNTHESISED 3-PHENYL 5,7-DIBROMO-6-METHYL-4-SUBSTITUTED
STYRYLCOUMARIN DERIVATIVES

Compd. No.	R	m.p (°C)	m.f.
a	4-chlorophenyl	136	C ₂₄ H ₁₅ O ₂ Br ₂ Cl
b	4-hydroxyphenyl	121	C ₂₄ H ₁₆ O ₃ Br ₂
c	phenyl	127	C ₂₄ H ₁₆ O ₂ Br ₂
d	2,4-dichlorophenyl	138	C ₂₄ H ₁₄ O ₂ Br ₂ Cl ₂
e	3-phenoxy phenyl	115	C ₃₀ H ₂₀ O ₃ Br ₂
f	2,6-dichlorophenyl	153	C ₂₄ H ₁₄ O ₂ Br ₂ Cl ₂
g	3-nitrophenyl	160	C ₂₄ H ₁₅ O ₄ Br ₂ N
h	3,4,5-trimethoxyphenyl	124	C ₂₇ H ₂₂ O ₅ Br ₂
i	4-methoxyphenyl	130	C ₂₅ H ₁₈ O ₃ Br ₂
j	4,N,N-dimethylaminophenyl	141	C ₂₆ H ₂₁ O ₂ Br ₂ N

All compounds gave satisfactory C,H,N and halogen analysis

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