*Asian Journal of Chemistry* Vol. 6, No. 4 (1994), 1063–1064

*NOTES*

# Synthesis of Some New 3-Phenyl-6-methyl-4-substituted styryl coumarins as Antibacterial Agents

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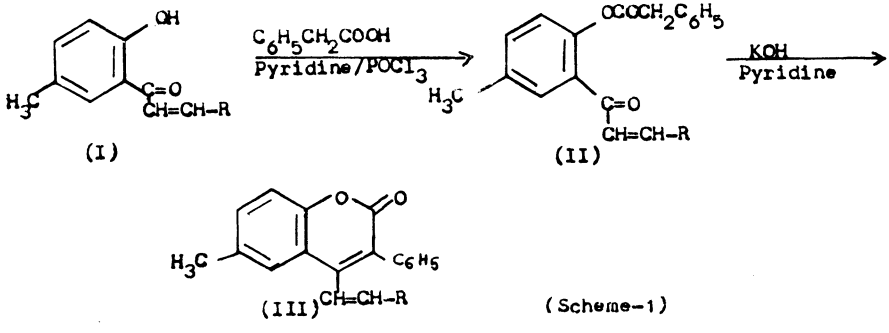
The compounds 3-phenyl-6-methyl-4-substituted styryl coumarins have been synthesized and characterized by elemental analyses and IR spectral studies. Their antibacterial activities against *S. aureus* and *E. coli* have also been studied.

Coumarins have varied useful properties[1,2]. The present study is concerned with the reaction of 2′-hydroxy-5′-methylchalcone(I) with phenylacetic acid in pyridine in presence of phosophorous oxychloride yielded 2′-phenylacetyloxy-5′-methylchalcone(II), which on treatment with pulverised potassium hydroxide in pyridine yielded 3-phenyl-6-methyl-4-substituted styryl coumarins(III) (Scheme 1).

All melting points were taken in open capillary tubes and all uncorrected IR spectra in KBr were recorded on a Perkin-Elmer 377 spectrophotometer and PMR spectra were taken on Varian model EM-360L spectrophotometer. Satisfactory elemental analyses were obtained.




Scheme I

## Preparation of 2′-phenylacetyloxy-5′-methylchalcones(II)

2′-Hydroxy-5′-methylchalcone (I) (0.01 mole) and phenylacetic acid (0.01 mol) were 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 crystallised from acetone.

**Preparation of 3-phenyl-6-methyl-4-substituted styryl coumarins (III)**

Compound (II) (0.01 mol) 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. $\nu_{max}$(KBr): 1560–1540 (—C=C—), 1710–1690 (Lactone C=O) and 1460–1450 $cm^{-1}$ (C—$CH_3$); δ($CDCl_3$): 2.33–2.36 ($CH_3$), 6.71–6.72 (—CH=), 7.0–7.1 (=CH—), 6.7–6.9 (ArH of coumarin), 7.6–7.95 (Ar—H).

| Compounds (III) | m.p. (°C) | Compounds (III) | m.p. (°C) |
|---|---|---|---|
| 1. R = $C_6H_5$ | 171 | 9. R = 4-$OCH_3C_6H_4$ | 169 |
| 2. R = 4-$CH_3C_6H_4$ | 92 | 10. R = 3,4-$(OCH_3)_2C_6H_3$ | 103 |
| 3. R = 4-$C_2H_5C_6H_4$ | 98 | 11. R = 3,4,5-$(OCH_3)_3C_6H_2$ | 95 |
| 4. R = 4-$C_3H_7C_6H_4$ | 105 | 12. R = 4-OH-3-$OCH_3C_6H_3$ | 90–91 |
| 5. R = 2-$ClC_6H_4$ | 92–93 | 13. R = 3-$NO_2C_6H_4$ | 120 |
| 6. R = 4-$ClC_6H_4$ | 108 | 14. R = 4-$N(CH_3)_2C_6H_4$ | 120 |
| 7. R = 2,4-$(Cl)_2C_6H_3$ | 130 | 15. R = 4-$FC_6H_4$ | 105 |
| 8. R = 2-$OCH_3C_6H_4$ | 115–117 | | |

**Antibacterial screening**

All coumarin derivatives were tested for antibacterial activity against *S. aureus* and *E. coli* using cup-plate method[3]. 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.*

## ACKNOWLEDGEMENT

The authors are thankful to Valsad Clinical Laboratories, Valsad for screening of antibacterial activity.

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(*Received*: 1 March 1994; *Accepted*: 28 March 1994) AJC-801