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NOTE

Synthesis and Antibacterial Activity of 3-Phenyl-4-Styryl Coumarins

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Several related 3-phenyl-4-styryl coumarins are prepared with a view to the fact that a number of related compounds are known to possess biological activity.

Coumarins have various useful properties[1]. 2-Hydroxy chalcone on condensation with Wittig reagent[2], $Ph_3P = CHCOOEt$ from 4-styryl coumarin[3] and 3-phenyl-4-hydroxy coumarin from β-methoxy coumarine[4].

In this present work *o*-hydroxy-chalcones were condensed with phenyl acetic acid using pyridine as a solvent and phosphorus oxychloride as a condensing agent,[5] an ester was formed. The esters were next subjected to the Baker-Venkatraman rearrangement by stirring their pyridine solution with potassium hydroxide to give coumarin.

Coumarin did not give colour with neutral $FeCl_3$ solution indicating the absence of free phenolic hydroxyl group and hence the involvement of phenolic –OH group in cyclization forming a hetero compound. The compound formed by cyclization is coumarin and not chromone, which is proved by the fact that an intermediate β-diketone is not formed. Again, even if the β-diketone is formed as an intermediate, there is no H-atom on the carbon atom flanked by two carbonyl groups enabling the cyclisaion to chromones. It gives the possibility of the formation of a coumarin ring[6]. Compound gave fluorescence in dilute solution and exhibited characteristic UV absorption peaks ($\lambda_{max} = 283$ nm).

Antibacterial activity

The antibacterial screening of all the compounds was carried out by paper-disc method at a concentration of 50 g, using gram-negative bacteria *Escherichia coli* and gram-positive bacteria *Staphylococus aureus*. The compounds possess moderate to good activity.

Melting points were taken in open capillary tubes and are uncorrected. The purity of the synthesised compounds was checked by TLC. Infrared spectra (KBr) were recorded on Perkin-Elmer-377 Spectrophotometer.

The Chalcones,

1. 2′-Hydroxy-4′-ethoxy-5′-nitro chalcones
2. 2′-Hydroxy-4′-ethoxy-5′-bromo chalcones

have been prepared through the reaction of

(i) 2-Hydroxy-4-ethoxy-5-nitro acetophenone

(ii) 2-Hydroxy-4-ethoxy-5-bromo acetophenone

respectively, and aryl aldehyde by the Claisen-Schmidt condensation.

The Ester

The chalcones [(Ia–f, IIa–f), 0.01 mol] and phenyl acetic acid (0.01 mol) were dissolved in pyridine (20 mL) and $POCl_3$ (2.5 mL) was added dropwise to the solution with stirring. The mixture was kept for 1 h and diluted with dilute HCl. The resulting solid was washed with water, dilute bicarbonate solution and again with water and dried to yield the esters. (I′a–f, II′a–f).

IR (KBr): 3000 cm^{-1}, ν(OH), 3015 cm^{-1}, ν(ArH), 1650–1640 cm^{-1}, ν(C=O), 1195 cm^{-1}, ν(R—COOR′), 1580–1560 cm^{-1}, ν(ethylinic C=C).

The Coumarins (III)

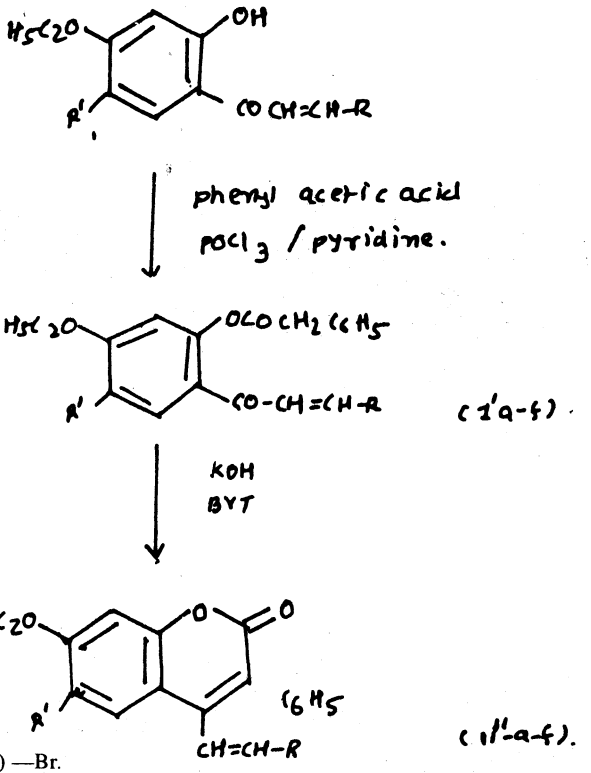
The ester (I′a–f, II′a–f), 0.01 mol was added to pulversied KOH (1.5 g) in pyridine (15 mL) and the mixture was kept for 2 h. It was then decomposed with dilute HCl. The resulting solids was washed with water, bicarbonate solution and water and crystallised to yield the product (1″a–f, II″a–f).

IR (KBr): 3000 cm^{-1}, ν(OH), 3015 cm^{-1}, ν(ArH), 1750–1730 cm^{-1}, ν(C=O), 1580–1560 cm^{-1}, ν(ethylinic C=C).

PHYSICAL DATA OF COMPOUNDS

S. No.	R = $-NO_2$	m.p. (°C)	Yield (%)	Mol. formula
I″a	Phenyl	184	75	$C_{25}H_{19}O_3N$
I″b	4-Methyl phenyl	198	82–85	$C_{26}H_{21}O_3N$
I″c	4-Chloro phenyl	171	76	$C_{25}H_{18}O_3NCl$
I″d	4-Methoxy phenyl	173	73	$C_{26}H_{21}O_4N$
I″e	4-N-N′-dimethyl amino phenyl	160	78	$C_{27}H_{24}O_3N_2$
I″f	2-Furfuryl	100	85–90	$C_{23}H_{17}O_4N$
	R = $-Br$			
II″a	Phenyl	161	82	$C_{25}H_{10}O_3Br$
II″b	4-Methyl phenyl	172	79	$C_{26}H_{21}O_3Br$
II″c	4-Chloro phenyl	170	85	$C_{25}H_{18}O_3ClBr$
II″d	4-Methoxy phenyl	178	80	$C_{26}H_{21}O_4Br$
II″e	4-N-N′-dimethyl amino phenyl	94	76	$C_{27}H_{24}O_3Br$
II″f	2-Furfuryl	114	74	$C_{23}H_{17}O_4Br$

*All compounds gave satisfactory elemental analysis



R′ = (I) —NO_2, (II) —Br.

R = Phenyl, 4-methylphenyl, 4-chlorophenyl, 4-methoxyphenyl, 4-N-N′-dimethylaminophenyl, 2-furfuryl

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