Chalcones IX: Syntheses of Some Methoxy Chalcones

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In pursuit of our interest¹⁻⁴ in chalcones of antimicrobial importance thirteen new phenyl styryl ketones were synthesized to study their antimicrobial activity againtst S. aureus and E. coli.

The chalcones were prepared by condensation of 2:5-dimethoxy, 4:6-dimethoxy-2-methyl, 2:4-dimethyl, 4-methoxy-2-methyl, 4-methoxy-3-methyl, and 6-methoxy-3methyl-acetophenones with aromatic aldehydes in presence of a concentrated solution of sodium methoxide at room-temperature and at 60° in general, the yields of the chloro substituted chalcones were better than the methyl substituted ones. The compounds were characterised by their 2:4-dinitrophenylhydrazones and the different colours obtained on treatment with concentrated sulphuric acid.

Table 1 lists thirteen new chalcones. All melting points are uncorrected. The compounds and their 2:4-dinitrophenylhydrazones gave analyses for C, H and N within $\pm 0.5\%$ of the theoretical value.

R′	R	Yield %	Colour and crystal form	M.P. °C* of		Halochromism
				Chal.	2,4-DNP	H_2SO_4
2′,5′-(OCH ₃) ₂	2-Cl	78	pale yellow needles	98	234	red
2′,5′-(OCH ₃) ₂	3-Cl	75	pale yellow needles	167	223	blood-red
2′,5′-(OCH ₃) ₂	4-Cl	76	white plates	224		pink
2′,5′-(OCH ₃) ₂	3-Me	65	lemon yellow solid	151	213	orange
2′,5′-(OCH ₃) ₂	4-Me	63	lemon yellow prisms	78	221	blood-red
2′,6′-(OCH ₃) ₂ -2′-Me	4-M e	65	yellow hexagon	103	261	brown
4',6'-(OCH ₃) ₂ -2'-Me	2-Cl	73	yellow needles	91	243	reddish brown
4′,6′-(OCH ₃) ₂ -2-Me	4-Cl	75	canary yellow solid	115		red
2′,4′-M e ₂	4-N Me ₂	56	yellow needles	108	278	$\mathbf{v}_{\mathbf{i}\mathbf{o}\mathbf{let}}$
4'-MeO-2'-Me	2-Cl	78	yellow plates	226		orange
4'-MeO-2'-Me**	4-Cl	76	yellow scales	233		blood-red
4'-MeO-2'-Me	4-Cl	69	pale yellow prisms	92	211	yellow
6'-MeO-3'-Me	4-N Me ₂	62	lemon yellow needles	141	221	yellowish red

TABLE 1

* All melting points are uncorrected.

** Crystallised from ethyl acetate.

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The antimicrobial activity was screened against S. aureus and E. coli (Conc : 100-130 mg/ml; 50% aqueous ethanol); encouraging results were not obtained.

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