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Oxidative Cyclisation of 2'-Hydroxychalcones using Sodium Tellurite: Synthesis of Flavones

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ABSTRACT

A simple and very efficient method for the oxidative cyclisation of 2'-hydroxychalcones with sodium tellurite in dimethylsulphoxide has been developed which could provide a simple route for the synthesis of flavones and also the required compound obtained as the sole product in a very high yield.

Key words: Flavones, 2'-hydroxychalcones, sodium tellurite, dimethylsulphoxide, oxidative cyclisation.

INTRODUCTION

Flavones constitute a large subgroup of naturally occurring flavonids and are widely distributed plant pigments[1]-. Flavones occur in nature in free state with varieties of substitution pattern. In recent years, much attention has been paid to the synthesis of flavones because of their various physiological and pharmacological properties .Flavones are known to be coronary dilator[2] ,antiphogistic[3] ,chloenic and histamine activity[4] ,heart stimultant[5],contol of cytotoxicity towards human nasopharynx carcinomacell [6],cancer preventive agents[4] and regulate plant growth by inhabitation of exocytosis of the auxin indolyl acetic acid[3].

Oxidative cyclisation of 2'-hydroxy chalcones constitutes an important route for the synthesis of flavones and number of oxidizing agents such as SeO_2[7,8],DDQ[9,10], oxalic acid[11],I_2-DMSO[12],Sodium periodate[13] ,$FeCl_3$[14]etc.have been reported in literature for this conversion but these often require longer reaction time and formation of mixture of product contaning flavones, flavanones and aurones have been reported in some cases.

MATERIAL AND METHODS

All the chemicals were purchased from Aldrich and Fluka. Melting points were determined in open capillary tubes. IR (KBr) spectra were recorded in a Perkin-Elmer Spectrum BX series FT-IR spectrophotometer and ^{1}H NMR on Bruker

Avance II 400 MHz instrument using tetramethylsilane as an internal standard.

Experimental Procedure (General)

A solution of 2'-hydroxychalcone in dimethylsulphoxide and sodium tellurite were heated in round bottam flask with air condenser and calcium chloride guard tube in an oil bath at 130-40^{0}C for one hour .The completion of the reaction was checked on TLC. The reaction mixture was poured over crushed ice, stirred and extracted with ether and solvent removed by distillation. The residue was crystallized from aqueous methanol to give flavone.

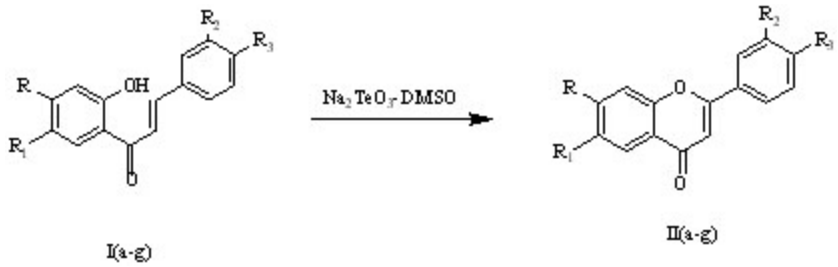
RESULTS AND DISCUSSION

Herein, we wish to report the oxidative cyclisation of 2'-hydroxychalcones with sodium tellurite in DMSO, which could provide an efficient and simple route for the synthesis of flavones and also the required compound obtained as a sole product in a very high yield.

Table 1: Synthesis of flavones

Entry	R	R_1	R_2	R_3	m.p. (°C)	Lit m.p. (^{0}C)	yield %	IR$\nu_{C=O}$ cm^{-1}	^{1}H-NMR ($CDCl_3$) δ, ppm
IIa	H	H	H	H	95-96	96-97[15]	80	1620	δ6.65(s,1H,H-3),7.20-7.85 (bm,8H,C_6H_5,H- 6,H-7&H-8), and 8.10(d J=9.0Hz,1H,H-5)
IIb	H	H	H	OCH_3	156-57	157-58[16]	75	1625	δ3.90 (s,3H,OCH_3),6.70 (s,1H,H-3), 7.0- (d,J=9.0Hz, 2H,H-3'&H-5'),7.25-7.55 (bm,3H,H-6,H-7&H-8),7.80 (d,J=9.0Hz 2H,H-2'& H-6') and 8.20 (d J=9.0 Hz,1H,H-5)
IIc	OCH_3	H	H	H	108-10	110[17]	80	1630	δ3.95 (s, 3H, OCH_3),6.70 (s,1H,H-3),6.90-8.0 (bm,7H, C_6H_5,H-6& H-8) and 8.10(d J=9.0Hz,1H,H-5)
IId	OCH_3	H	H	OCH_3	142-43	145[18]	70	1638	δ3.90(s,6H,2 x OCH_3), 6.65 (s,1H, H-3),6.85-7.40 (m,4H,H-6,H-8, H-3'& H-5'),7.85 (d,J=9.0 Hz,2H, H-2'& H-6') and 8.10(d,J=9.0 Hz,1H,H-5)
IIe	H	CH_3	H	H	120-21	122[15]	65	1625	δ2.45(s,3H, CH_3),6.90 (s,1H,H-3),7.30 -7.90(bm,6H C_6H_5&H-8) and 8.0 (m 2H,H-5 & H-7)
IIf	H	CH_3	H	OCH_3	169-70	170[18]	70	1635	δ2.30 (s,3H, CH_3), 3.75 (s,3H, OCH_3),6.60 (s,1H, H-3),6.70(d J=9.0Hz,2H, H-3'& H-5') ,7.30 (bs,2H,H-7&H-8),7.75(d =9.0Hz,2H,H-2' & H-6') 7.90. 21(s,1H,H-5)
IIg	H	H	OCH_3	OCH_3	154-55	156[19]	70	1625	δ3.96&3.97(each s of 3H,2 x OCH_3), 6.80 (s,1H, H-3),6.87-7.80 (m,6H,H-6,H-7, H-8,H-2' H-5'& H-6') and 8.10(d,J=8.0 Hz,1H,H-5)

2'-hydroxychalcone was heated with sodium tellurite in dimethyl sulphoxide at 130-40 ^{0}C under anhydrous conditions and starting compound was found to have to reacted completely after one hour when the reaction was checked on TLC (Scheme 1). On working up the reaction mixture, a colorless compound (m.p. 96-97^{0}C) was obtained in 80% yield, which showed a singlet at δ 6.65 for one proton (H-3) and multiplet at δ 7.20-7.85 for eight protons along with a doublet at δ 8.10 for one proton (H-5) in its -^{1}H-NMR.Based upon the above data the compound was identified as flavone. Using above procedure various substituted flavones were synthesized.



Scheme 1

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