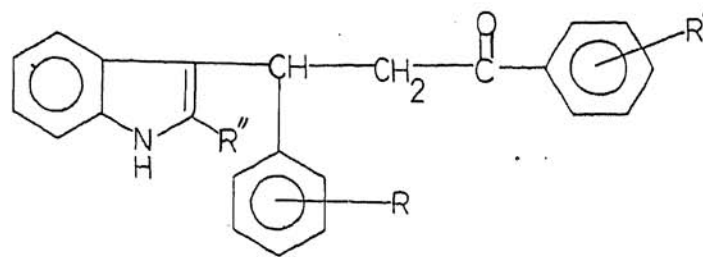


### SOME LESSER KNOWN REACTIONS OF CHALKONES

THE present communication describes some of the less known reactions of chalkones which have not been studied in detail by previous workers<sup>1-5</sup>.

Carboxy chalkones are best prepared by the alkaline hydrolysis of the corresponding cyanochalkone. Thus, 4-fluoro-4'-cyanochalkone (I), m.p. 160°, prepared by the condensation of *p*-cyanoacetophenone (II) and *p*-fluorobenzaldehyde in 10% NaOH and 4-bromo-4'-cyanochalkone, m.p. 169-70°, obtained by the condensation of II with *p*-bromobenzaldehyde yielded on boiling with 10% alkali for 5 hours the corresponding carboxy chalkones, m.p. 165° (from water) and 130° (from dil. alcohol) respectively.

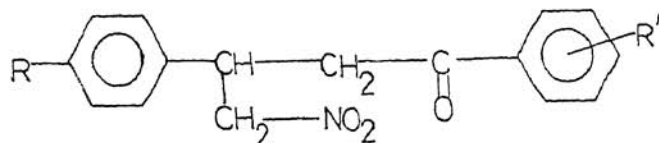
The reaction of the chalkone I with indole and that of 4'-cyano-3-methoxychalkone, m.p. 133° with 2-methylindole in presence of a mixture of acetic acid and acetic anhydride afforded 60% yield of the adduct having the structures<sup>1</sup> (A).



(A)

R = 4-F; R' = 4-CN; R'' = H, m.p. 172° (benzene)  
R = 3-OMe; R' = 4-CN; R'' = CH<sub>3</sub>, m.p. 195°  
(benzene).

The reaction of 4-chloro-3', 4'-dimethoxychalkone, m.p. 118° and 3', 4', 4-trimethoxychalkone, m.p. 85° with nitromethane in methanolic solution in presence of sodium gave adducts of the following general structure<sup>2,3</sup> (B).

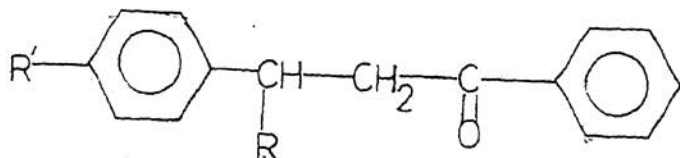


(B)

R = 4-Cl, R' = 3', 4' (OMe)<sub>2</sub> (benzene), m.p. 120°.

R = 4-OMe, R' = 3', 4' (OMe)<sub>2</sub> (benzene) m.p. 118°.

When 4-methoxy- and 4-methyl- chalcones were treated with methyl magnesium iodide, compounds of the general structure (C) shown were obtained<sup>4</sup>. The i.r. spectra showed a carbonyl band around 1685 cm<sup>-1</sup>.

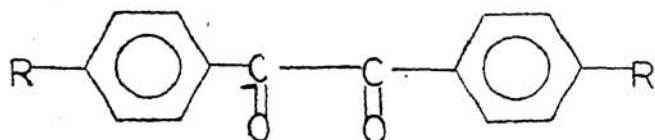


(C)

R = CH<sub>3</sub>; R' = 4-OCH<sub>3</sub>, m.p. 72° (dil. alcohol).

R = CH<sub>3</sub>; R' = 4-CH<sub>3</sub>, b.p. 200-205°/2 mm.

The oxidation of 4-methyl and 4'-methyl chalcones with thallium (III) nitrate in presence of glyme and perchloric acid (70%) afforded diketones of the structure<sup>5</sup> shown in (D).



(D)

R = 4-CH<sub>3</sub>, m.p. 136-37° (yellow needles, from alcohol).

r = H, R' = 4-CH<sub>3</sub>, m.p. 140° (colourless needles from alcohol).

All compounds gave satisfactory analysis for C, H and N wherever present.

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March 1, 1976.

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