Synthesis and some Reactions of 2'-Tosyloxychalcone Oxide

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The preparation of epoxides of 2'-hydroxychalcones and of 2'-acyloxychalcones by alkaline peroxide oxidation of the corresponding chalcones has not been reported. Instead, under these conditions (Algar-Flynn-Oyamada reaction), flavonols, dihydroflavonols, aurones and other cyclisation products are obtained.1 Chalcone oxides are postulated intermediates in this reaction.1

We report now the preparation of 2'-tosyloxychalcone oxide (II) in 80% yield from 2'-tosyloxychalcone (I) by reaction with alkaline hydrogen peroxide at room temperature. This epoxide was converted quantitatively into flavonol (III) by reaction with 2N sodium hydroxide at 65°C. At lower temperatures and with more dilute alkali smaller yields of flavonol were obtained.

The action of boron trifluoride etherate on compound (II), under nitrogen, gave dihydroflavonol (IV) and flavonol (III) in yields of 70% and 10% respectively. When air was not excluded from the reaction the yields were 33% of (IV) and 37% of (III). This reaction may prove to be a new convenient method for the preparation of dihydroflavonols. The work on these reactions is being continued.

A satisfactory analysis was obtained for epoxide (II). The identities of compounds (I),2 (III) and (IV) were confirmed by mixed melting point determinations with authentic samples and by infrared spectral measurements.

Received July 27, 1962

References
1 Wheeler, T. S., Rec. of Chem. Progr., 1957, 18, 133
2 Authentic sample supplied by Miss R. M. Lawless of the Department