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A FACILE PROTECTING GROUP FOR THE SYNTHESIS OF LINEAR FURANO AND PYRANOCOUMARINS

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The occurrence of linear furano and pyranocoumarins (well known for their photodynamic activity) prompted us to devise a facile route for their syntheses. A few syntheses of such system are already known but these involve number of steps and the over all yield is poor. It has been observed that 7-allyl- or propargyl ethers of coumarins on Claisen migration followed by cyclisation resulted in the formation of angular furano or pyranocoumarins. But if the 8-position is substituted, the corresponding linear furano or pyranocoumarins are obtained. This method could not be used for the synthesis of linear furano or pyranocomarins having the 8-position free. A convenient method has now been developed for the synthesis of such compounds having 8-position blocked by an easily introduceable and removable group like iodide.

Thus, a convenient synthesis of psoralen derivatives viz., 4-methoxypsoralen, 3,4-dimethoxypsoralen (Halkendin), 4,5-dimethoxy psoralen and 3,4,5-trimethoxypsoralen (halfordin) has been carried out. The method consists in iodination of the appropriate 7-hydroxycoumarin to give the corresponding 8-iodocoumarin. Its allylation followed by Claisen migration and final ring closure

resul ted in the required linear furanocoumarins. The iodo grop was knocked out during Claisen migration.

Similarly, linear pyranocoumarins, viz., 3,4-dimethoxyxanthyletin and 3,4,5-trimethoxyxanthyletin have been synthesised by prenylation of the corresponding 7-hydroxy-8-iodocoumarins, followed by Claisen migration, which also resulted in the ring closure.

Using the above method numerous other naturally occurring linear furano and pyranocoumarins have been synthesised.