SYNTHESIS OF PYRIDINES AND PYRIDONES

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It is well known that pyridone derivatives possess acaricidal and insecticidal properties. On the other hand, benzofuran derivatives possess hypotensive, vasodilating and spasmolytic activities. Compounds having both pyridone and benzofuran moieties can be expected to possess marked biological activities.

Alkaline hydrolysis of the natural products visnagin and khellin forms visnaginone and khellinone which when treated with malononitrile in presence of ammonium acetate give the 6-cyano-7-imino-7H-furo|3,2-g||1|benzopyran derivatives. The latter compounds when heated with acetic acid yielded the corresponding 6-cyano-7H-furo|3,2-g||1|benzopyran-7-one derivatives. Also obtained by treating visnaginone or khellinone with ethyl cyanoacetate in presence of ammonium acetate or piperidine.

The reaction of visnaginone or khellinone with malononitrile and aromatic aldehydes in the presence of ammonium acetate gave the 2-amino-4,6-disubstituted pyridine-3-carbonitrile derivatives.

Claisen condensation of visnaginone or khellinone using ethyl oxalate affords the corresponding 3-substituted-1,3-diketobutyrates. The latter compounds yielded the respective 4,6-disubstituted cyanopyridones when treated with cyanoacetamide in presence of ammonium acetate.

However, the diketobutyrates when treated with malononitrile in presence of ammonium acetate afforded the corresponding 2-amino-4,6-disubstituted pyridine-3-carbonitriles.