MECHANISTIC AND SYNTHETIC STUDIES OF PYRIMIDINE RING FORMATION FROM α,β-UNSATURATED CARBONYL COMPOUNDS AND AMIDINES

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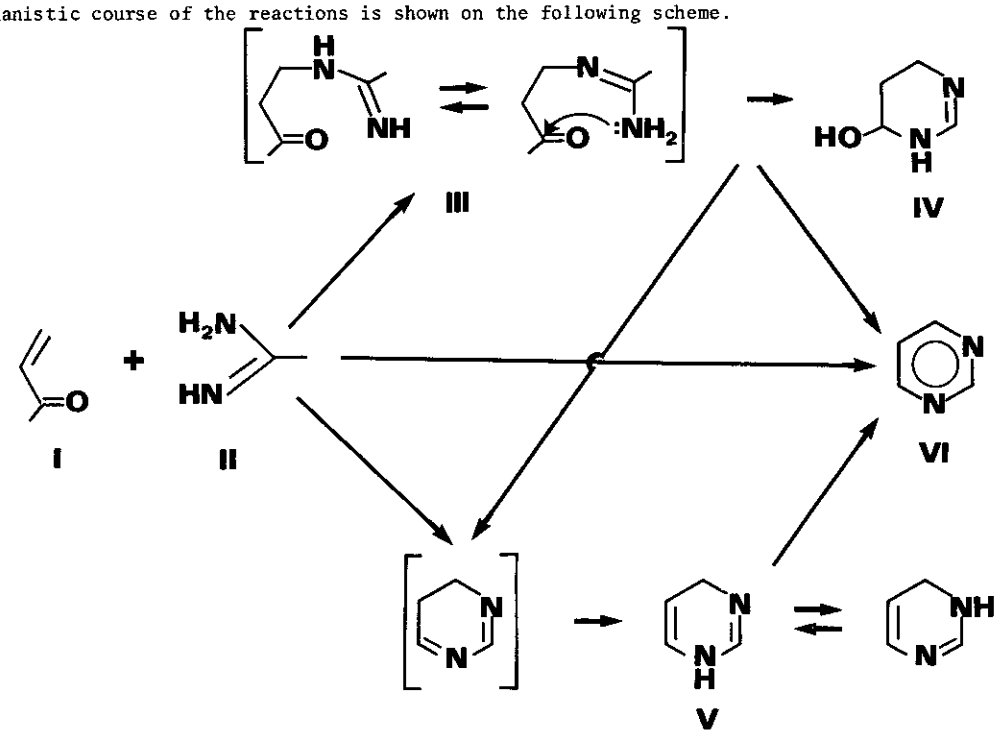
Although the synthesis of pyrimidines has been extensively studied, the reaction of amidines with readily available α,β-ethylenic carbonyl compounds, which is an attractive synthetic approach to the pyrimidine ring system construction, has been generally neglected. For a long time only a few fortuitous reactions of amidines with α,β-unsaturated ketones were published. The probable reason for the lack of further examination of this synthetic course may be attributed to the reported difficulties in isolating or even observing the desired pyrimidine derivatives, particularly, in the case of simple α,β-ethylenic aldehydes.

A thorough investigation of these reactions has enabled us to selectively prepare any of the cyclic products. Moreover, it can be demonstrated that IV and V are intermediates in the final formation of the pyrimidines. It should be emphasized that under the conditions developed even acrolein serves as a substrate allowing the synthesis of the corresponding parent compounds. A mechanistic course of the reactions is shown on the following scheme.



A detailed discussion of the mechanistic evidence will be presented.