A NEW HETROCYCLISATION BETWEEN MONOSUBSTITUTED AMIDINES AND α-BROMO α,β-UNSATURATED ESTERS AND KETONES.

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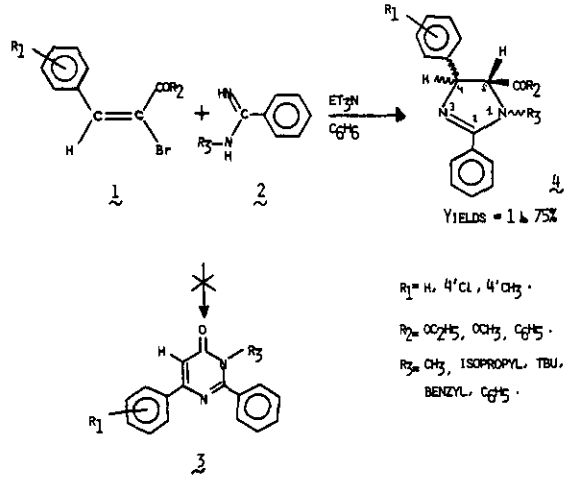
Among the synthesis of pyrimidinones heterocycles(1),(2),(3) interesting the medicinal chemistry field we proposed recently a new synthesis of 4(3H) pyrimidinones (4), Scheme I. In further experiments we observed that same condensation reactions with monosubstituted amidines lead to another heterocycles: the 1,2,4,5-tetrasubstituted Δ-2-imidazolines 4 but never to the expected trisubstituted pyrimidinones 3, Scheme I.



Scheme I

All products synthetized are original. Yields of heterocyclisation are function of steric hindrance at nitrogen amino group of amidine. The cyclisation are full stereospecific with ketones, but only stereoselective with esters. All structures are confirmed by n.m.r.,i.r.,mass and microanalytical data. Stereochemistry at carbon 4 and 5 are established by ^1H n.m.r. The coupling constants(JH_5-H_4) are 7Hz for trans isomers and 12Hz for cis(5),(6). Conformations around carbonyl groups were determined by A.S.I.S. effect(7), configurations at nitrogen are in progress.

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