

STUDIES ON THE REACTION OF MORITA-BAYLIS-HILLMAN WITH INDOLE AND CARBAZOLE DERIVATIVES

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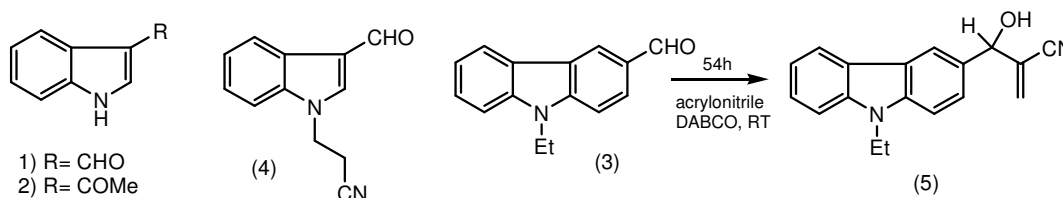
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The reaction of Morita-Baylis-Hillman (MBH) is a base catalysed reaction between an aldehyde or ketone and an activated alkene and it has proven to be very useful to build C-C bonds. Frequently DABCO is the base and it may occur neat or in different solvents, including water or aqueous mixtures [1].

Not many examples of application on heterocyclic compounds were described in the literature, namely with carbazole or indole derivatives [2].

In this presentation studies with 3-formyl- (1) or 3-acetyl-indole (2) and 3-formyl-9-methylcarbazole (3) as the carbonyl components will be described. Several alkenes, namely methyl vinyl ketone (MVK), acrylonitrile and methyl acrylate, were used.

Compounds (1) and (2) were *N*-ethylated with NaH and EtI or DABCO/diethylcarbonate. If the NH compounds are submitted to the MBH reaction, the Michael type product (e.g. 4) is formed in high yield. The MBH reaction is slow and the yields are low (e.g. 5, neat, 16%). When compound (2) (R=CO₂Et) was reacted with MVK, without solvent, a mixture of products was observed (¹H-NMR) including MVK *N*-alkylated compounds, due to the instability of the CO₂Et substituent. Details on the synthesis and characterization will be presented.



[1] R.O.M.A. de Souza, V.L.P. Pereira, P.M. Esteves, M.L.A.A. Vasconcelos, "The Morita-Baylis-Hillman reaction in aqueous-organic solvent system", *Tetrahedron Lett.* **49** (2008) 5902-5905.

[2] I.S. Biswas, V. Singh and S. Batra, "Morita-Baylis-Hillman reaction of indole-2-carboxaldehyde: New vistas for indoleannulated systems", *Tetrahedron* **66** (2010) 7781-7786.