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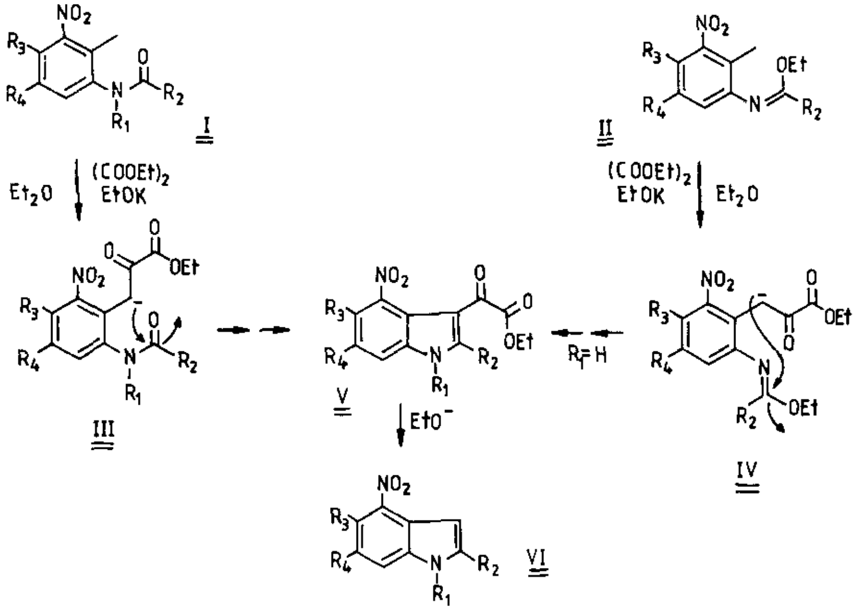
# A NEW VERSATILE SYNTHESIS OF 4-NITROINDOLES

Jan Bergman, Peter J.O. Sand and Ulf Tilstam
Royal Institute of Technology, Department of Organic Chemistry
S-100 44 STOCKHOLM, Sweden

A variety of 1,2,5 and/or 6-substituted 4-nitroindoles are prepared from the corresponding 3-nitro-o-toluidides (I) or their iminoether derivatives (II) by treatment with alkoxide/oxalic ester in ethyl ether. The oxalic ester serves as a catalyst, generating an intermediate phenylpyruvic carbanion (III or IV). Intramolecular cyclization of these intermediates yields a 4-nitroindolyl-oxoacetate (V) which is subsequently cleaved into the title compounds (VI) by action of the alkoxide present.

In one instance ($R_1=R_3=R_4=H$, $R_2=Et$) compound V was isolated and characterized.



The rates of the reactions seem to increase when performed in polar aprotic solvents e.g. DMF and DMSO, although the yields become somewhat lower. The anilides I with $R_2=H$ fail to react, probably due to deprotonation on nitrogen which will alter the electrophilicity of the amide group.

The different R-groups used were alkyl. phenyl, alkoxy and alkoxycarbonyl ($R_2$ only), the yields varied from 30 to 95 per cent.