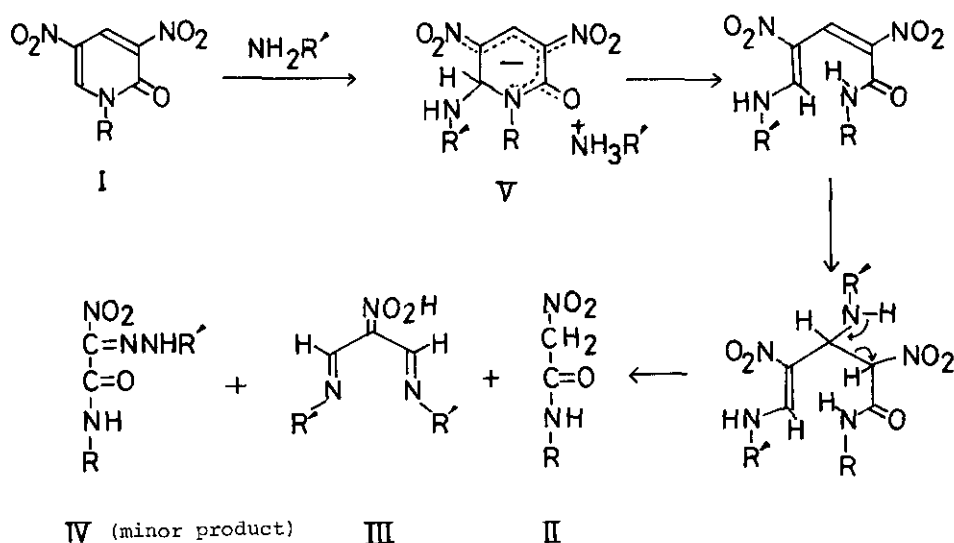


RING CLEAVAGE OF N-SUBSTITUTED 3,5-DINITRO-2-PYRIDONES
WITH PRIMARY AMINES

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Reaction of N-substituted 3,5-dinitro-2-pyridones [Ia: N-(p-nitrophenyl), Ib: N-(2-pyridyl), Ic: N-methyl] with primary amines at room temperature gave N-substituted α -nitroacetamides (II) and Schiff bases of α -nitromalonaldehyde (III). The product, II, is composed of the N(1)-C(2)-C(3) moiety of the pyridone ring, and III is derived from the C(4)-C(5)-C(6) fragment of I and the amines. The yields of II and III depend on the basicity of the amines and the electron-attracting force of the N-substituents. Thus the reaction of Ia with cyclohexylamine gave II and III in 88% and 81% yields, respectively. In aqueous pyridine, arylhydrazones of α -nitro-glyoxylamides (IV) were also afforded, though in poor yields, as well as II and III.

When the reactions in THF were quenched by addition of ether, Meisenheimer adducts, V, were isolated quantitatively. The structure of the adducts were determined by comparison of the NMR spectra of V with that of the adducts derived from 6-deutero-3,5-dinitro-2-pyridone. A possible course of the reaction is shown as following.



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