CONVERSION OF 5-NITRO-PYRIDONE-2 TO A PYRAZOLE DERIVATIVE

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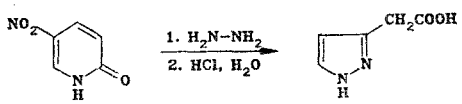
It has previously been shown [1, 2] that the reaction of condensed 5-nitropyridones-2 with hydrazine is peculiar and gives pyridazinine derivatives. During recyclization one of the methine groups of the pyridine ring is displaced to the side chain and is reduced to methyl.

Continuting the study of this reaction, we have determined that when 5-nitropyridone-2 (I) is heated at 95-110° with hydrazine hydrate (20 mmole per mmole of pyridone I) for 2 h, the excess reagent is distilled off, and the residue is boiled for 3 h with dilute (20%) hydrochloric acid, the product is not 6-methylpyridazinine-3 (as might be expected), but pyrazolyl-3-acetic acid (II), which was isolated as the hydrochloride in 75% yield (mp 138-140°, from propanol-2). IR spectrum (mineral oil): 1725 cm^{-1} (C=O); PMR spectrum (CF_3COOH): 4.16 (2H, s, 3-CH_2); 6.83 (1H, d, J = 2.5 Hz, 4-H); 8.13 ppm (1H, d, J = 2.5 Hz, 5-H).



I II

In this case two ring carbons are displaced into the side chain ($C_{(2)}$ and $C_{(3)}$), and the methine $C_{(3)}$ is reduced to the saturated state.

The identity of the recyclization product II with an authentic sample of pyrazolyl-3-acetic acid hydrochloride [3] was established by the absence of melting point depression in a mixed sample, and by the identity of the IR and PMR spectra.

LITERATURE CITED

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