# DIRECT REPLACEMENT OF A NITRO GROUP BY A HALOGEN IN A NUMBER OF IMIDAZO[4,5-c]PYRIDINE DERIVATIVES

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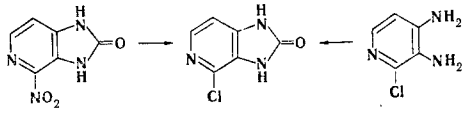
The corresponding 4-halo-1,3-dihydro-2H-imidazo[4,5-c]-2-pyridones (II, III) are obtained by heating 4-nitro-1,3-dihydro-2H-imidazo[4,5-c]-2-pyridone (I) with concentrated hydrochloric or hydrobromic acid. Compound II was also obtained by fusing 2-chloro-3,4-diaminopyridine with urea. Replacement of the nitro group by a halogen occurs particularly readily in the case of 4-nitro-1,3-dihydro-1,3-dimethyl-2H-imidazo-[4,5-c]-2-pyridone (IV). 4-Chloro- and 4-bromo-1,3-dihydro-1,3-dimethyl-2H-imidazo[4,5-c]-2-pyridones (V and VI) are obtained in high yields even when a solution of IV in the corresponding acid is evaporated on a water bath.

Replacement of the nitro group by a halogen could not be realized for the corresponding imidazo-[4,5-b]pyridine derivatives even under more severe conditions than those described above. Thus 5-nitro-1,3-dihydro-1,3-dimethyl-2H-imidazo[4,5-b]-2-pyridone remains unchanged when it is heated with hydrochloric acid up to 190° for 16 h.



I II

## EXPERIMENTAL

4-Chloro-1,3-dihydro-2H-imidazo[4,5-c]-2-pyridone (II). A mixture of 2 g (11 mmole) of I and 40 ml of concentrated HCl was heated at 150-160° for 15 h, after which the solution was evaporated and neutralized with ammonia to give 1.33 g (70%) of colorless prisms with mp 342° (after reprecipitation from hydrochloric acid solution by the addition of ammonia),

4-Bromo-1,3-dihydro-2H-imidazo[4,5-c]-2-pyridone (III). This compound was similarly obtained in 50% yield as prisms with mp 335-336° (the product was reprecipitated from weakly alkaline solution by the addition of hydrochloric acid).

4-Chloro-1,3-dihydro-1,3-dimethyl-2H-imidazo[4,5-c]-2-pyridone (V). A 2.08-g (10 mmole) sample of IV was refluxed with 50 ml of concentrated HCl for 3 h, after which the solution was evaporated and neutralized with ammonia to give 1.9 g (96%) of colorless prisms of V with mp 199-200° (from isopropyl alcohol).

4-Bromo-1,3-dihydro-1,3-dimethyl-2H-imidazo[4,5-c]-2-pyridone (VI). This compound was similarly obtained in 87% yield as colorless rods with mp 194° (from alcohol).

4-Nitro-1,3-dihydro-1,3-dimethyl-2H-imidazo[4,5-c]-pyridone (IV). A 26-ml sample of dimethyl sulfate was added at 25-30° to a solution of 20 g (111 mmole) of I in 260 ml of a 5% solution of KOH, after

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which the mixture was held at this temperature for 3 h, and the precipitate was removed by filtration to give 20.8 g (90%) of light-yellow prisms with mp 225° (from alcohol).

All of the compounds obtained were characterized by analysis for C, H, Br, and Cl.