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AMINOCYCLITOLS. XV.

THE SYNTHESIS OF 2-DEOXYSTREPTAMINE

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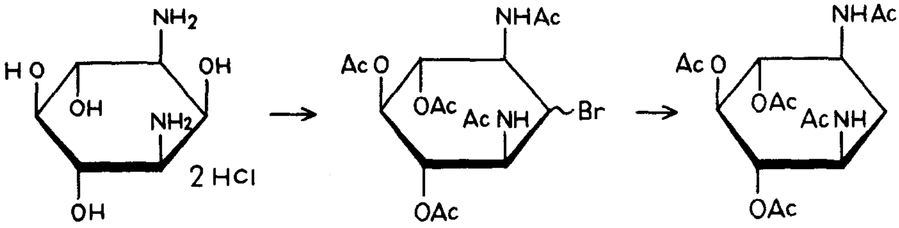
2-Deoxystreptamine was first found in the fragments of neomycin by Kuehl, Bishop and Folkers,$^{1)}$ and its structure has been shown to be 1,3-diamino-4,5,6-trihydroxycyclohexane with the all-trans configuration.$^{2)}$ Later this compound was isolated from paromomycin$^{3,4)}$ and kanamycin$^{5)}$ as their components. The synthesis has been done by Nakajima et al.$^{6)}$

In connection with our previous study of this series,$^{7)}$ the facile synthesis of 2-deoxystreptamine has been accomplished in our laboratory, which will be described in the present communication.



I II III

<u>myo</u>-Inosadiamine-1,3 dihydrochloride (I) (882 mg) which was obtained by acid hydrolysis of hexaacetyl-<u>myo</u>-inosadiamine-1,3,$^{7)}$ was heated in a mixture of acetyl bromide (1.2 ml) and acetic anhydride (2.6 ml) in a sealed tube at 130 - 135° for 6 hours. The product was acetylated with acetic anhydride in

pyridine to give colorless needles (II) (587 mg, 38.4%), m.p. 252 - 255° (decompose). Calcd. for $C_{16}H_{23}N_2O_8Br$: C, 42.58; H, 5.14; N, 6.21; Br, 17.71. Found: C, 42.92; H, 5.06; N, 6.24; Br, 17.52.

The structure of II was proposed as pentaacetyl-2-bromo-2-deoxy-scyllo (or myo)-inosadiamine-1,3 by its NMR spectrum (d_6-dimethylsulfoxide): two equatorial acetamido groups, τ 8.23 (6H); three equatorial acetoxy groups, τ 8.04 (9H).

A catalytic hydrogenolysis of II (236 mg) with Raney nickel and Amberlite IR-4B in aqueous ethanol (8 ml) under 60 p.s.i.g. of hydrogen pressure for 20 hours at 30° afforded the product, which was recrystallized from methanol to give colorless prisms of pentaacetyl-2-deoxystreptamine (III) (87 mg) in 44.6% yield.

This compound was identified with an authentic sample of pentaacetyl-2-deoxystreptamine which was obtained from kanamycin, by melting point, IR and NMR spectra.

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