Tetrahedron Letters No.22, pp. 2655-2657, 1968. Pergamon Press. Printed in Great Britain.

AMINOCYCLITOLS. XIX.
THE FACILE SYNTHESIS OF ACTINAMINE

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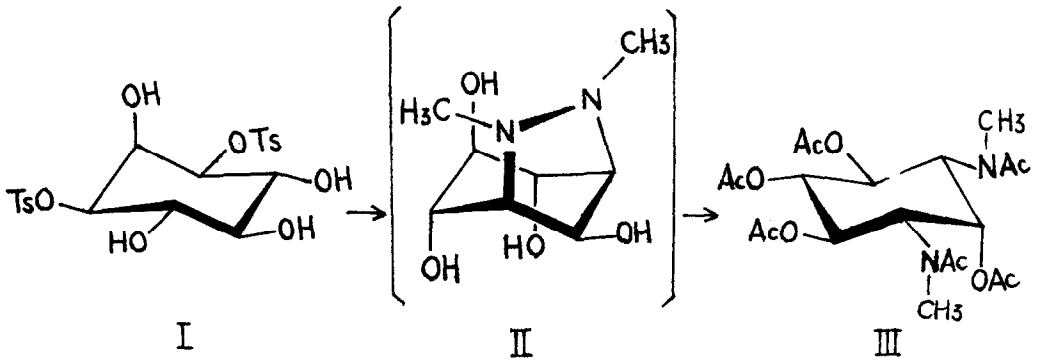
(Received in Japan 21 February 1968; accepted for publication 1 March 1968)

Actinamine has been found in the antibiotic actinospectacin[1] as its component, and the structure has been established to be N,N'-dimethyl-myo-inosadiamine-1,3.[2] The synthesis has been described by several authors.[3-7]

In the present communication, we wish to report a facile synthesis of actinamine from a readily available 1,3-di-O-p-toluenesulfonyl-myo-inositol (I).[8]



I II III

I (1.49 g) was heated in a mixture of N,N'-dimethylhydrazine dihydrochloride (2.18 g), sodium hydroxide (1.31 g) and 2-methoxyethanol (50 ml) containing 2 ml of water under reflux for 19 hours. Catalytic hydrogenation of the product in the presence of Raney nickel in an aqueous solution under 3.4 kg/cm^2 of initial hydrogen pressure for 22 hours at 40°, followed by acetylation, gave an oily product by evaporating a reaction mixture in vacuo.

The oily product was dissolved in chloroform and the chloroform solution was passed through a short column of alumina to remove a coloring substance. By evaporating an excess solvent in vacuo, the effluent afforded colorless crystals (507 mg) of m.p. 202-203° as a sole product in a yield of 33.8%. Further recrystallization of the product from methanol raised the melting point to 205-206°.

This compound (III) was identified with an authentic sample[6] of hexa-acetyl-actinamine by a mixed melting point determination and comparison of infrared spectra.

It has been described that a hydrazinolysis of I, followed by a catalytic hydrogenation, gave myo-inosadiamine-1,3 exclusively via an intermediary bridged bicyclic compound.[7] An analogous reaction mechanism could be proposed and N,N'-dimethyl-6,7-diazabicyclo[3.2.1]octane-2,3,4,8-tetrol (II) might be an intermediate in the present reaction. Only the reaction mechanism which assumed a formation of this bridged bicyclic compound could rationalized an exclusive formation of actinamine.

Acknowledgement: The authors are grateful to Professor Sumio Umezawa for his helpful advices. This research has been supported financially in part by grant of the Japanese Ministry of Education.

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