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Synthetic Studies on Aminosugar-glucosides and Their Derivatives

—On the Glucosides of Deoxy-streptamine—

Tsutomu TSUCHIYA*

Recently, some antibiotics which are of practical importance have been found to be the glucosides containing amino-sugars, and this stimulated the chemists to make investigations on them.

This thesis concerns with the relationships between the structures of the amino-sugar-glucosides and their antibacterial activities as well as their syntheses.

(1) A partial degradation product of kanamycin, 4-0-(6-Amino-6-deoxy-α-D-glucosyl)-deoxystreptamine has been isolated and found to have antibacterial activity; this led to the conclusion that this part of kanamycin was the origin of the activity of kanamycin.

(2) A number of combinations of aminosugars and deoxystreptmine were subjected to the procedure so called "acid reversion", and it has been found that some new α-glucosides obtained by the method showed antibacterial activity.

(3) One of the above products which has strong antibacterial activity was isolated by means of paperchromatographic technique followed by some procedures and proved to be 0-(2-Amino-2-deoxy-α-D-glucosyl) deoxystreptmine.

(4) Kanamycin has seven alcoholic hydroxyl groups, one of which is primary and others are all secondary. The ease of arylsulfonation of this primary hydroxyl group has been utilized to the synthesis of deoxykanamycin and chlorodeoxy-kanamycin. Both the newly synthesized kanamycin derivatives have almost the same antibacterial activity as kanamycin and it has been found that the former has lower toxicity than kanamycin itself.

(5) Many derivatives of substituted or nonsubstituted kanamycin-N-methane-sulfonates have been synthesized and the cause of the difference of their abilities of lowering the toxicity was discussed.

(6) Examination of the relationships between the conformations of the amino-sugar-glucosides and the antibacterial activities led to a conclusion that several special stereochemical coformations are essential to their antibacterial activities.

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