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Syntheses of Aminoalcohols and Related Compounds

Tetsuro YAMAZAKI*

Interest has recently been renewed in the antimicrobial potentialities of aminoalcohols largely because of the finding of "Ethambutol" as an antituberculous drug. The following compounds were prepared for the study of structure-activity relationships in the class of aminoalcohols.

- 1) Aliphatic and cyclic aminoalcohols containing the group C-NH- $(CH_2)_n$ -NH-C as the main chain were prepared by the condensation of simple α -aminoalcohols with polymethylene dihalides.
- 2) Quinoxaline derivatives containing aliphatic aminoalcohol groups as side-chains were prepared by the condensation of halogenoquinoxaline with simple aliphatic aminoalcohols.
- 3) Halogeno- and nitro-derivatives of diphendioxazine were prepared by the reaction of substituted aminophenols with oxalic acid.

The majority of the synthetic compounds of the above class 1) showed the antituberculous activity and it has been found that, (1) not only optically active structures but also inactive structures are antituberculous, (2) the compounds having only secondary alcoholic groups also are antituberculous, (3) trimethylene-dimino derivatives as well as dimethylene-dimino derivatives are active, (4) cyclohexyl-dimino derivatives are also active.