

MODIFIED NUCLEOSIDE SYNTHESIS

Haruo Ogura, Hiroshi Takahashi, Kazuyoshi Takeda, Masakazu Sakaguchi,
Noriyuki Nimura, and Hitomi Sakai

School of Pharmaceutical Sciences, Kitasato University

Shirokane, Minato-ku, Tokyo 108

D-Gluconylisothiocyanate (1) and sugar isothiocyanate (2) were reacted with diamines (3) (o-phenylenediamine, 5,6-diamino-1,3-dimethyluracil) to obtain triazepine-2-thione nucleoside (5) and thiourea derivatives (6), respectively. An attempted preparation of 8 did not afford an expected cyclized product by the reaction of formaldehyde or ethyl orthoformate. However, on treatment of 6 with methyl iodide yielded 2-substituted aminobenzimidazole and aminotheophylline (7). The reaction of enamine (4) (6-amino-1,3-dimethyluracil, ethyl 3-aminocrotonate) with 1 or 2 gave the 4-thiopyrimidine nucleoside (9) and aminoisothiazolopyrimidine nucleoside (10), respectively.

