

NOVEL REACTIONS OF XANTHINE DERIVATIVES

Mikio Hori, Tadashi Kataoka, Hiroshi Shimizu, Eiji Imai, Yukiharu Matsumoto, and

Masanori Kawachi

6-1, Mitahora-higashi 5-Chome, Gifu, 502, Japan

Novel xanthinium ylides were generated and their reactions were investigated.

[1] Approach to 1,3,7-trimethylxanthinium- N_9 -methylides (1).

Attempts to prepare 1 from uracil or uric acid derivatives were unsuccessful, and gave only unexpected products such as pteridine, pyridodipyrimidine, and pyrrolopyrimidine derivatives.

[2] Generation and reactions of 1,3,9-trimethylxanthinium- N_7 -methylides (3).

7-Alkyl-1,3,9-trimethylxanthinium p-toluenesulfonates (2) were prepared from 7-alkyltheophyllines and were converted to the corresponding xanthinium- N_7 -methylides (3) by deprotonation with n -BuLi or Et_3N . Compounds (3) reacted with dimethyl acetylenedicarboxylate (DMAD) or methyl propiolate (MP) to give novel ring-transformed compounds, 6-methylamino-5-pyrrolouracil derivatives (4) and pyrrolo[1,2-f]pteridine derivatives (5). This reaction may proceed by Michael addition of ylide to acetylenic compounds, followed by the cleavage of C_8-N_9 bond of purine ring. Compounds (5) may be obtained from 4 with the elimination of methanol. Moreover, 3 reacted with dimethyl fumarate or ethyl acrylate to give 1:1 adducts 6 or 7 without the cleavage of purine ring. On the contrary, reaction of 3 and dimethyl maleate gave another product, which may also be produced with the elimination of methanol from the 1:1 adduct.

