

SYNTHESIS AND REACTIVITY
OF 7- AND 9-DEAZAXANTHINE DERIVATIVES

Shigeo Senda and Kosaku Hirota

Gifu College of Pharmacy,

Mitahora, Gifu

7-Deazaxanthine and 9-deazaxanthine derivatives were synthesized to investigate the structure-activity relationship of xanthine derivatives. 7-Deazaxanthines were prepared from the corresponding 6-aminouracils and chloroacetaldehyde, and a new method for their synthesis was found by heating 6-hydrazinouracils with aldehydes or ketones. 9-Deazaxanthines were prepared by condensation of 5-nitro-6-methyluracils with DMF-diethylacetal followed by reductive cyclization. The Vilsmeier reaction and the Mannich reaction of 7-deazaxanthines gave the 8-formyl and 8-dimethylaminomethyl 7-deazaxanthines, respectively.