

SYNTHESES AND REACTIONS OF 1,2-BENZODIAZEPINES

Jyōji Kurita and Takashi Tsuchiya

School of Pharmacy, Hokuriku University,
Kanagawa-machi, Kanazawa 920-11

Hiroshi Igeta

School of Pharmaceutical Sciences, Showa University,
Hatanodai, Shinagawa-ku, Tokyo 142

The photolysis of the N-iminoquinolinium ylide dimers (1) in methylene chloride in the presence of acetic acid gave the previously unknown, fully unsaturated 1H-1,2-benzodiazepines (2) in moderate yields by ring expansion via the diaziridine intermediates (3), and chemical properties of the diazepines (2) were examined.

3H-1,2-Benzodiazepines (4) were prepared from the 1H-isomers (2) in high yields and the energy barriers to ring inversion were estimated from n.m.r. spectral data.

The diazepines (4) were readily isomerized to 3-vinylindazoles on irradiation or by refluxing in xylene, and were oxygenated with m-chloroperbenzoic acid to give their 1- and 2-oxides (5 and 6), which on treatment with hydrogen chloride, acetic acid, or sodium alkoxide gave the corresponding 3-chloro-, 3-acetoxy, or 3-alkoxy-1H-1,2-benzodiazepines.

The mechanisms of the reactions are also discussed.