SYNTHESIS AND SOME REACTIONS OF 2,4-BENZODIAZEPINES

Hiroyuki Sawanishi and Takashi Tsuchiya

School of Pharmacy, Hokuriku University, Kanagawa-machi,

Kanazawa, 920-11, Japan

We have already reported the first photochemical syntheses of fully unsaturated 1,2-, 1,3-, and 2,3-benzodiazepines and related fused diazepines condensed with aromatic heterocyclic rings. As part of our continuous studies on benzodiazepines, we now report the synthesis of 2,4-benzodiazepines and some of their thermal and photochemical reactions.

Irradiation of the 4-azidoisoquinolines (1) in the presence of bases such as sodium methoxide resulted in the ring-expansion to give the corresponding 2,4-benzodiazepines (2) or (3) via nitrene and azirine intermediates. The structures of the diazepines thus obtained were confirmed by the spectral data and the results of various chemical studies; some of them are shown below.

Suschitzky et al. have also reported the synthesis of the diazepine (2a) by the similar method. However, the melting point and spectral data (IR and ^{1}H-NMR) of 2a obtained by us are quite different from those described in their report (J. Chem. Soc. Parkin I, 1982, 431).