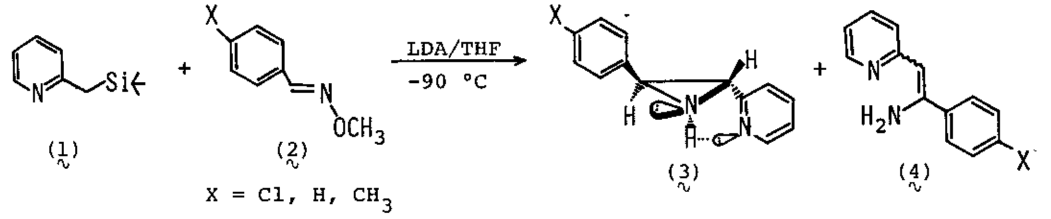
SYNTHESIS OF AZIRIDINE DERIVATIVES FROM α-SILYL CARBANION AND OXIME ETHERS

Takeo KONAKAHARA, Kenji SATO and Masayuki MATSUKI

Department of Industrial and Engineering Chemistry, Faculty of Science and
Technology, Science University of Tokyo, Noda, Chiba 278, Japan

In the previous work, we stereospecifically prepared (*E*)-alkenylpyridines from lithiated 2-(trimethylsilylmethyl)pyridine and aldimines of aniline by a reaction analogous to the Peterson Reaction,[1] which has been investigated by many workers in the unstereoselective syntheses of alkenes from α-silyl carbanion and carbonyl compounds.[2]

As an extension of the investigation mentioned above, we wish to report the reaction of lithiated 2-(trimethylsilylmethyl)pyridine **1** with oxime methyl ethers **2**. The compound **1** lithiated with lithium diisopropylamide (LDA) in THF at -90 °C, reacted with **2** at -90 °C to give a mixture of *trans*-2-(2-pyridyl)-3-arylaziridines **3** and 1-amino-1-aryl-2-(2-pyridyl)ethenes **4** (Eq. 1) in moderate yields (X, yields % of **3** and **4**: Cl, 12, 30; H, 38, 11; CH_3, 15, 30 by NMR). In crystal, the inversion of nitrogen atom in **3** is fixed in *syn* form because of the intramolecular hydrogen bond, as shown in Eq. 1.



(1)

Aziridine derivatives have attracted considerable attention, because of their easy conversion into high polymers, their use as aminoalkylating agents, and their powerful physiological action; especially, some aziridine derivatives are strongly carcinostatic *in vivo*.[3]

References

1) Takeo Konakahara and Y. Takagi, *Tetrahedron Lett.*, 21, 2073(1980). 2) D. J. Peterson, *J. Org. Chem.*, 33, 780(1968); T. Konakahara and Y. Takagi, *Synthesis*, 1979, 192; and references cited therein. 3) R. Livingstone, "Rodd's Chemistry of Carbon Compounds", 2nd ed, ed by S. Coffey, Elsevier Scientific Pub., Amsterdam(1973), Vol. IV^A, p. 15.