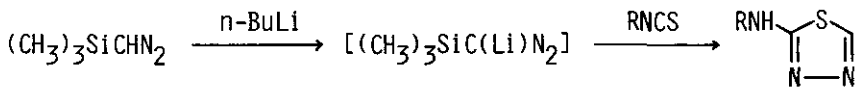
TRIMETHYLSILYLDIAZOMETHANE: A NEW SYNTHON FOR THE PREPARATION OF 2-AMINO-1,3,4-THIADIAZOLES

Toyohiko Aoyama, Atsuko Fukushima, and Takayuki Shioiri
Faculty of Pharmaceutical Sciences, Nagoya City University,
Tanabe-dori, Mizuho-ku, Nagoya 467, Japan

We have already reported that the lithium salt of trimethylsilyldiazomethane ($TMSCHN_2$, $(CH_3)_3SiCHN_2$, 1) reacts with methyl esters of carboxylic acids and various nitriles to give tetrazoles[1] and 1,2,3-triazoles[2], respectively. Very recently, lithium trimethylsilyldiazomethane (2) was found to react with α,β-unsaturated nitriles and isocyanates to give pyrazoles[3] and 5-hydroxy-1,2,3-triazoles[4], respectively.

As an extension of the utilization of $TMSCHN_2$ as a [C-N-N] synthon for the preparation of azoles, we now found that 2-amino-1,3,4-thiadiazoles (3) have been conveniently prepared by the reaction of 2 with isothiocyanates under mild reaction conditions. For example, 2 was treated with phenyl isothiocyanate in diethyl ether at 0°C for 2 h to give 2-phenylamino-1,3,4-thiadiazole in good yield. Various examples for this new azole synthesis will be presented.



1 2 3

1) T. Aoyama and T. Shioiri, Chem. Pharm. Bull., 30, 3450 (1982).
2) T. Aoyama, K. Sudo, and T. Shioiri, Chem. Pharm. Bull., 30, 3849 (1982).
3) S. Inoue, K. Sudo, T. Aoyama, and T. Shioiri, to be presented in this Congress.
4) T. Aoyama, A. Fukushima, and T. Shioiri, to be presented in this Congress.