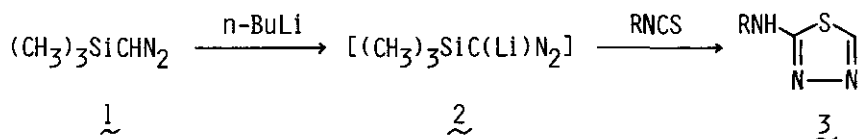


TRIMETHYLSILYLDIAZOMETHANE: A NEW SYNTHON FOR THE PREPARATION OF 2-AMINO-1,3,4-THIADIAZOLES

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We have already reported that the lithium salt of trimethylsilyldiazomethane (TMSCHN_2 , $(\text{CH}_3)_3\text{SiCHN}_2$, 1) reacts with methyl esters of carboxylic acids and various nitriles to give tetrazoles¹⁾ and 1,2,3-triazoles²⁾, respectively. Very recently, lithium trimethylsilyldiazomethane (2) was found to react with α,β -unsaturated nitriles and isocyanates to give pyrazoles³⁾ and 5-hydroxy-1,2,3-triazoles⁴⁾, 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) 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.