TRIMETHYLSILYLDIAZOMETHANE: A NEW SYNTHON FOR THE PREPARATION OF 5-HYDROXY-1,2,3-TRIAZOLES

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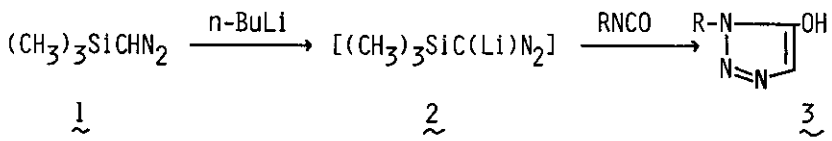
Our continuous interest on the utilization of trimethylsilyldiazomethane ($TMSCHN_2$, $(CH_3)_3SiCHN_2$, 1) as a [C-N-N] synthon for the preparation of azoles[1] have led us to investigate its use for the preparation of 5-hydroxy-1,2,3-triazoles.

Lithium trimethylsilyldiazomethane (2), easily prepared from 1 and n-butyl lithium, reacts with isocyanates under mild reaction conditions giving 1-substituted 5-hydroxy-1,2,3-triazoles (3) in good yields. For example, 2 was treated with phenyl isocyanate in diethyl ether at 0°C for 2 h to afford 1-phenyl-5-hydroxy-1,2,3-triazole in excellent yield. This procedure provides a new and convenient methodology for the 5-hydroxy-1,2,3-triazole synthesis.



1 2 3

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