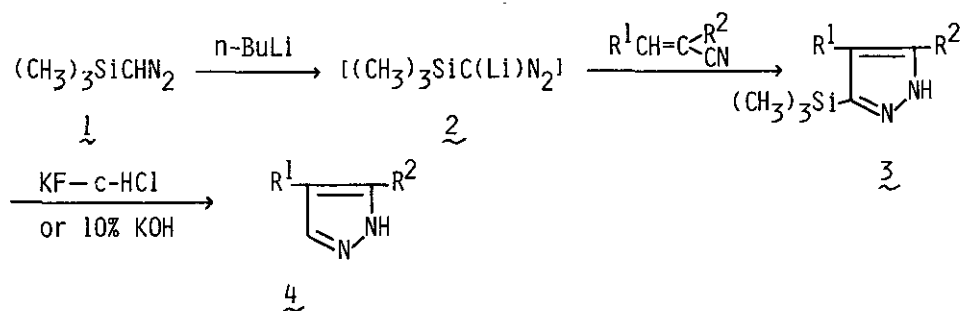


TRIMETHYLSILYLDIAZOMETHANE: A NEW SYNTHON FOR THE PREPARATION OF PYRAZOLES

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Recently we have reported that trimethylsilyldiazomethane ( $(\text{CH}_3)_3\text{SiCHN}_2$ , 1), as a stable and safe substitute for very hazardous diazomethane, can be effectively used as a [C-N-N] synthon for the preparation of tetrazoles<sup>1)</sup> and 1,2,3-triazoles<sup>2)</sup>. As an extension of these works<sup>3)</sup>, a new preparation of pyrazoles using 1 was investigated.

We have found that lithium trimethylsilyldiazomethane (2), easily prepared from 1 and n-butyl lithium, reacts smoothly with  $\alpha,\beta$ -unsaturated nitriles under mild reaction conditions in diethyl ether to give 4-substituted or 3,4-disubstituted 5-trimethylsilylpyrazoles (3) in good yields. Removal of the trimethylsilyl group of 3 has been easily achieved with potassium fluoride-hydrochloric acid or 10% potassium hydroxide in ethanol to afford the corresponding pyrazoles (4). The overall process provides a new method for the preparation of pyrazoles.



- 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) T. Aoyama, A. Fukushima, and T. Shioiri, to be presented in this Congress.