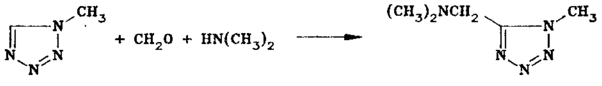
# AMINOMETHYLATION OF 1-METHYLTETRAZOLE

V. P. Karavai and P. N. Gaponik

UDC 547.796.1

Only a few examples of reactions involving the electrophilic substitution of the hydrogen atom in the CH group of N-substituted tetrazoles are known. The bromination of 1-phenyltetrazole [1], the mercuration of 1-methyl- and 1-phenyltetrazoles [1, 2], and processes involving isotope exchange in some N-substituted tetrazoles [3] have been described.

In the case of 1-methyltetrazole we have observed high activity of 1-substituted tetrazoles in the Mannich reaction as the CH-acid component. Thus 1-methyl-5-(dimethylaminomethyl)-tetrazole (I) is formed in greater than 60% yield when 1-methyltetrazole is refluxed with formalin and dimethylamine:



I

2-Methyltetrazole does not react under similar conditions; this is evidently due to the lower lability of the hydrogen atom [3].

A mixture of 8.40 g (0.1 mole) of 1-methyltetrazole, 8.15 g (0.1 mole) of dimethylamine hydrochloride, 7.6 ml of 37% formalin, and 25 ml of water was refluxed for 5 h, after which the solution was evaporated *in vacuo*, and the residue was crystallized from ethanol. The yield of I in the form of the hydrochloride was 10.8 g (61%) (mp 164-165°C). The free base was obtained by treatment of the hydrochloride with alkali in water. The organic layer was separated, the aqueous layer was extracted with ether (three 50-ml portions), and the extract was dried with potassium carbonate. The solvent was removed by distillation, and the residue was fractionated *in vacuo* to give 8.2 g (58%) of I with bp 113-115°C (1.73 hPa) and mp 32-33 °C. IR spectrum (thin layer): 985, 1027, 1081 (ring); 2725, 2785, 2825, 2864 [$CH_2$, $(CH_3)_2N$]; 2948, 2973 cm$^{-1}$ ($N-CH_3$). PMR spectrum ($d_6$-DMSO): 4.03 (3H, s, $N-CH_3$), 3.73 (2H, s, $CH_2$), and 2.08 ppm [6H, s, $(CH_3)_2N$]. The results of elementary analysis were in agreement with the calculated values.

## LITERATURE CITED

1. F. R. Benson, in: Heterocyclic Compounds [Russian translation], Vol. 8, R. Elderfield (ed.), Mir, Moscow (1969), p. 7.
2. G. I. Koldobskii, V. A. Ostrovskii, and V. S. Poplavskii, Khim. Geterosikl. Soedin., No. 10, 1299 (1981).
3. R. N. Butler, Adv. Heterocycl. Chem., 21, 323 (1977).

---

Scientific-Research Institute of Physicochemical Problems, V. I. Lenin Belorussian State University, Minsk 220080. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 4, p. 564, April, 1985. Original article submitted September 17, 1984.

0009-3122/85/2104-0474$09.50