1,3-BIS(ALKOXYMETHYL)IMIDAZOLINES

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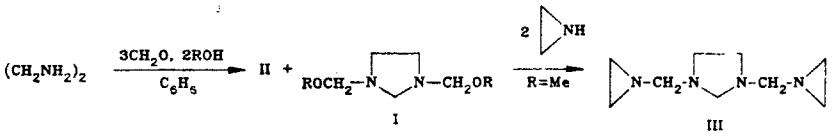
For the first time, 1,3-bis(alkoxymethyl)imidazolidines (Ia-e) and 1,4,6,9-tetraazatricyclo[4.4.1.$1^{4,9}$]dodecane (II) were obtained by reactions of ethylenediamine with alcoholic solutions of paraform [1]. The derivatives Ia-e are effective aminomethylating reagents, which was confirmed by the synthesis of bisaziridine III.



a R=Me, b Pr, c *i*-Pr, d *i*-Bu, e *i*-Am

The dithio analog of compounds Ia-e, 1,3-bis(ethylthiomethyl)imidazolidine, was described in [2]. In the preparation of compound Ia the yield of tricycle II was 73%, mp 182-183°C, proton NMR spectrum (400 MHz, $CDCl_3$ from TMS): 3.2 (singlet, CH_2N); 3.9 ppm (singlet, NCH_2N) (see [2])]. For the obtained imidazolidines we give the compound, the mp, °C (torr), and the yield, %: Ia, 65-67 (1); 20; Ib, 84-85 (1); 36; Ic, 78-80 (1); 40; Id, 100-102 (1); 56; Ie, 110-112 (1); 46. The proton NMR spectra of these compounds contain signals at ∿2.9 [singlet, $N(CH_2)_2N$]; ∿3.7 (singlet, NCH_2N); and ∿4.1 ppm (singlet, NCH_2O) and also signals corresponding to the R substituent. Bisaziridine III was obtained from imidazolidine Ia and 2 moles of ethyleneimine (12 h at 20°C), 80% yield, bp 89-91°C (1 torr). Proton NMR spectrum: 1.16 and 1.71 (multiplet, CH_2 of ring, AA'BB' spectrum); 2.96 [singlet $N(CH_2)_2N$]; 3.07 (singlet, NCH_2N ring); 3.72 (singlet, NCH_2N).

The data of the elemental analysis of compounds Ia-e, II, and III for C, H, and N correspond to the calculated ones.

LITERATURE CITED

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2. H. Schönenberger and A. Adam, Arzneim.-Forsch., 15, 30 (1965).

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