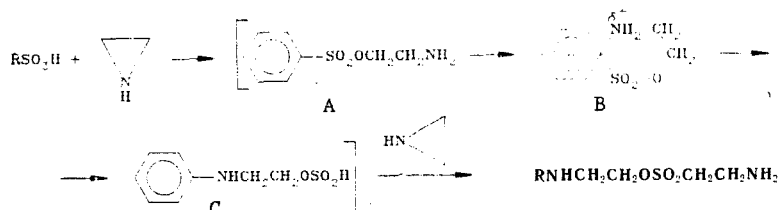


NOVEL REACTION OF AROMATIC AND HETEROAROMATIC SULFONIC ACIDS
WITH AZIRIDINE

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We have found a novel reaction of aromatic and heteroaromatic sulfonic acids with aziridine in basic solvents affording N-arylaminoethyl esters of 2-aminoethanesulfonic acids (I-III) [1].



The reaction of the sulfonic acids with 2 moles of aziridine is carried out in DMFA or Hexametapol at 20-25°C for 4 h. The reaction occurs via cleavage of the aziridine ring by the sulfonic acid group and formation of adduct A, nucleophilic attack of the terminal amino group by the carbon atom of the benzene ring bonded to the sulfonyl group, affording intermediate spirocyclic σ -complex B, the decomposition of the latter with transition to hypothetical sulfonate C, and its reaction with a second aziridine molecule with the formation of final ester I.

2-(Phenylamino)ethyl 2-aminoethanesulfonate (I), yield 80%. Oil. Infrared spectrum (microlayer): 3200-3070, 1640 (NH, NH₂), 1590 (C₆H₅), 1220, 1180 cm⁻¹ (SO₃). Proton NMR spectrum (CD₃OD): 2.80 (multiplet, NCH₂); 2.91 (multiplet, SO₃CH₂); 4.96 (singlet, NH, NH₂); 7.84-7.44 ppm (multiplet, C₆H₅).

2-(3-Nitrophenylamino)ethyl 2-aminoethanesulfonate (II), yield 92%. Oil. Infrared spectrum (microlayer): 3200-3060, 1640 (NH, NH₂); 1590 (C₆H₄); 1200, 1180 cm⁻¹ (SO₃). Proton NMR spectrum (CD₃OD): 2.81 (multiplet, NCH₂); 2.93 (multiplet, SO₃CH₂); 5.22 (singlet, NH, NH₂); 8.53-7.68 ppm (multiplet, C₆H₄).

2-(8-Mercapto-5-quinolinylamino)ethyl 2-aminoethanesulfonate (III), yield 90%. Decomposes at 300°C. Infrared spectrum (KBr): 3200-3060, 1650 (NH, NH₂); 2560 (SH); 1225, 1185 cm⁻¹ (SO₃). Proton NMR spectrum (DMSO-D₆): 2.76 (multiplet, NCH₂); 3.45 (multiplet, SO₃-CH₂); 4.17 (singlet, NH, NH₂); 9.43-7.80 ppm (multiplet, C₉H₅N).

The data of elemental analysis of compounds I-III correspond to the calculated values.

LITERATURE CITED

1. M. G. Voronkov, V. I. Knutov, and O. N. Shevko, "Inventor's Certificate 1,298,208 USSR," Byull. Izobret., No. 11, 99 (1987).