SYNTHESIS OF 6-BUTOXYMETHYL-4-PHENYLTETRAHYDRO-1,4-THIAZIN-3-ONE

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A method for the synthesis of thiamorpholones that is based on the reaction of aminoethanethiol with halo carboxylic acid esters is known [1, 2]. However, an attempt to obtain thiamorpholone by a similar method form 1-anilino-3-butoxy-2-propanethiol (I) and chloroacetic acid ethyl and butyl esters did not lead to the desired result.

We have found that in the reaction of amino thiol I with chloroacetic acid in the presence of alkali at 30°C for 1 h 2-butoxymethyl-4-phenyltetrahydro-1,4-thiazin-3-one is formed in 30% yield [bp 161-162°C (0.1 mm), $n_D^{2°}$ 1.5531, mp 45°C, and ν C=0 1650-1670 cm⁻¹. PMR spectrum: 0.5-1.5 (m, C₃H₇), 3.3-3.6 (m, OCH₂, 5- and 6-H), 4.0 (s, 2-H), and 7.0 ppm (s, C₆H₅)].



The presence of sulfide sulfur in II was confirmed by its conversion upon oxidation with hydrogen peroxide in acetic acid to the corresponding sulfone III [in 90% yield, mp 71-72°C, ν C=0 1630 and 1720, and ν SO₂ 1340 and 1370 cm⁻¹. The PMR spectrum was similar to the spectrum of II, except that the signals of the 2-H and 5-H protons are superimposed and show up in the form of a singlet at 4 ppm].

The mass spectrum of thiazinone II contains a peak of a molecular ion, the fragmentation of which is in agreement with the proposed structure.

The results of elementary analysis of the compounds obtained were in agreement with the calculated values.

LITERATURE CITED

1. H. Bestian. Lieb. Ann., 566, 210 (1950).

2. M. W. Goldberg and H. H. Lehr, US Patent No. 2755278; Chem. Abstr., 51, 501 (1957).

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