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SHORT COMMUNICATIONS

Synthesis of Substituted 4-Arylsulfonylaminothiazoles from *N*-(2,2-Dichloro-2-phenyl-1-thioacetylaminoethyl)arenesulfonamides

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Schiff bases obtained from polyhalogenated aldehydes and amines having functional substituents, as well as numerous their derivatives, are widely used in the synthesis of various heterocyclic systems [1, 2]. While continuing our systematic studies in the field of polyfunctional halogen-containing arenesulfonamides, we have found that *N*-(1-arylsulfonylamino-2,2-dichloro-2-phenylethyl)thioacetamides (which are readily available from *N*,*N*-dichloroarenesulfonamides, phenylacetylene, and thioacetamide [3]), can be used in the synthesis of 4-arylsulfonylamino-2-methyl-5-phenyl-1,3-thiazoles **I** and **II**. The reaction occurs on heating in excess aqueous alkali.

It should be noted that the process cannot be stopped at the stage of formation of 5-chloro-4,5-dihydrothiazoles. In the presence of 1 equiv of a base we obtained an inseparable mixture of products which contained thiazole **I** or **II** (Scheme 1). 4-Arylsulfonylamino-5-phenylthiazoles **I** and **II** attract interest from the viewpoint of further modification at the benzene ring or methyl group with retention of the protected amino group.

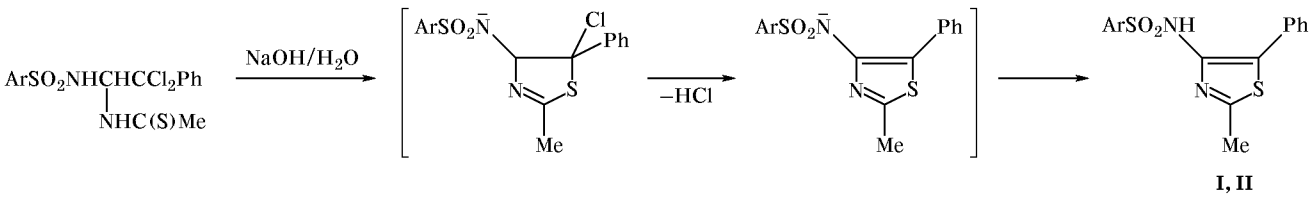
Taking into account that the proposed procedure for the preparation of 4-arylsulfonylamino-5-phenylthiazoles ensures fairly high yields of the target products, extension of the series of both thioamides and Schiff bases derived from dichloroacetaldehyde will give rise to a large number of 4-(R-sulfonyl, acyl-, alkoxycarbonyl)aminothiazoles as potential medicines, insectoacaricides, etc.

The structure of aminothiazoles **I** and **II** was confirmed by IR and ^{1}H and ^{13}C NMR spectroscopy and elemental analysis. The IR spectra of **I** and **II** contain bands belonging to vibrations of the SO_2 and NH groups and aromatic fragments. In the ^{1}H NMR spectra we observed signals from protons of the methyl group and aromatic rings, in keeping with the assumed structures. The ^{13}C NMR spectra of **I** and **II** lack signals from CCl_2, NHCHNH and C=S fragments, typical of the initial thioacetamide derivatives [3], but those belonging to thiazole ring carbon atoms were present.

Compounds **I** and **II** are weakly colored crystalline substances which are readily soluble in dimethyl sulfoxide, acetone, and aqueous alkalies but insoluble in aliphatic hydrocarbons and water.

2-Methyl-5-phenyl-4-phenylsulfonylamino-1,3-thiazole (I). A mixture of 0.20 g (0.5 mmol) of

Scheme 1.



I, II

I, Ar = Ph; **II**, Ar = 4-ClC_6H_4.

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N-(2,2-dichloro-2-phenyl-1-thioacetylaminoethyl)benzenesulfonamide [3], 0.08 g (2 mmol) of NaOH, and 10 ml of water was stirred for 4 h on heating at the boiling point. The mixture was then cooled to room temperature and neutralized with 10% hydrochloric acid until thiazole **I** no longer precipitated. The product was filtered off, dried, and recrystallized from ethanol. Yield 0.14 g (85%). mp 164–165°C. ^{1}H NMR spectrum (acetone-d_6), δ, ppm: 2.54 s (3H, Me), 7.35–7.85 m (10H, H_{arom}), 8.87 s (1H, NH). ^{13}C NMR spectrum (acetone-d_6), δ_C, ppm: 19.32 (Me); 96.15, 119.80, 163.15 (thiazole); 129.00–147.73 (C_{arom}). IR spectrum, ν, cm^{-1}: 1170, 1345 (SO_2); 2830 (C−H_{aliph}); 3090 (C−H_{arom}); 3250 (NH). Found, %: C 58.31; H 4.35; N 8.63; S 18.94. $C_{16}H_{14}N_2O_2S_2$. Calculated, %: C 58.16; H 4.27; N 8.48; S 19.41.

4-(4-Chlorophenylsulfonylamino)-2-methyl-5-phenyl-1,3-thiazole (II) was synthesized in a similar way from 0.22 g (0.5 mmol) of *N*-(2,2-dichloro-2-phenyl-1-thioacetylaminoethyl)-4-chlorobenzenesulfonamide [3]. Yield 0.15 g (77%). mp 147–148°C. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 2.54 s (3H, Me), 7.32 m (4H, *o*-H, *m*-H, Ph), 7.71 m (1H, *p*-H, Ph), 7.53 and 7.64 (4H, *AA'BB'* system, 4-ClC_6H_4), 9.13 s (1H, NH). ^{13}C NMR spectrum (DMSO-d_6), δ_C, ppm: 19.56 (Me); 98.55, 118.07, 162.94 (thiazole); 125.29–148.17 (C_{arom}). IR spectrum, ν, cm^{-1}: 1165, 1340 (SO_2); 2850 (C−H_{aliph}); 3080 (C−H_{arom}); 3250 (NH). Found, %: C 58.31; H 4.35; N 8.63; S 18.94. $C_{16}H_{13}ClN_2O_2S_2$. Calculated, %: C 52.67; H 3.59; N 7.68; S 17.57.

The NMR spectra were recorded on a Bruker DPX-400 instrument using HMDS as internal reference. The IR spectra were obtained on a Specord 75IR spectrometer in KBr.

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