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SHORT COMMUNICATIONS

Synthesis of *N*-Acylarenesulfonamides

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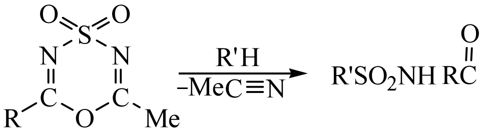
2,6-Disubstituted 1,4,3,5-oxathiadiazine-4,4-dioxides of type **I** are known to be used for preparation of N-sulfonyl-substituted ureas, guanidines, amidines and other acyclic and cyclic compounds containing N-sulfonyl group, some of which possess high biological activity [1–3].

We demonstrated that dioxides **I** readily and selectively reacted with aromatic and heteroaromatic compounds affording the corresponding *N*-acylarenesulfonamides **II**.

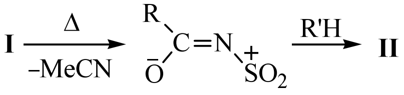


Ia, Ib **IIa–IIe**

R = CCl_3 (**Ia, IIa–d**), CBr_3 (**Ib, IIe**); R' = 2-thienyl (**IIa**), 4-MeC_6H_4 (**IIb**), 4-$Me_2NC_6H_4$ (**IIc**), 4-$MeOC_6H_4$ (**IId, e**).

This reaction is a convenient procedure for building up an amidosulfonyl group in arene (hetarene).

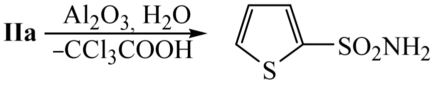
It is presumable that one among possible paths of arenes (hetarenes) amidosulfonylation is a route involving generation from dioxides **I** of reactive species, N-sulfonylamides (A) [4] followed by their reaction with arenes (hetarenes).



A

The composition and structure of sulfonamides **II** were confirmed by elemental analyses, values of neutralization equivalents, and IR spectra.

The structure of sulfonamide **IIa** was also proved by its selective hydrolysis on Al_2O_3 [5] to afford 2-thiophenesulfonamide **III**.



III

***N*-Trichloroacetyl-2-thiophenesulfonamide (IIa).** To a solution of 0.23 g (0.66 mmol) of 6-methyl-2-trichloromethyl-1,4,3,5-oxathiadiazine-4,4-dioxide **Ia** in 9 ml of benzene was added 0.06 г (0.77 mmol) of thiophene, and the mixture was heated to 50°C for 6 h. Then the solvent was distilled off, and the residue was neutralized with Na_2CO_3 solution, 0.20 ml of concn. hydrochloric acid was added, and 0.27 g (98%) of *N*-trichloroacetyl-2-thiophenesulfonamide **IIa** was isolated, mp 154°C (from ethanol). IR spectrum, ν, cm^{-1}: 3310 (NH), 1745 (C=O), 1360, 1150 (SO_2). Found, %: N 4.43. Neutralization equivalent 306.40. $C_6H_4Cl_3NO_3S_2$. Calculated, %: N 4.54. Neutralization equivalent 306.86.

***N*-Trichloroacetyl-4-methylbenzenesulfonamide (IIb).** A solution of 0.15 g (0.57 mmol) of dioxide **Ia** in 5 ml of toluene was heated to 110°C for 5 h. The residue after evacuation was neutralized with Na_2CO_3 solution, 0.10 ml of concn. HCl was added, and 0.16 g (89%) of sulfonamide **IIb** was filtered off, mp 141°C (from ethanol) (publ.: mp 140–142°C [7]). IR spectrum, ν, cm^{-1}: 3350 (NH), 1755 (C=O), 1400, 1185 (SO_2). Found, %: N 4.39. Neutralization equivalent 315.80. $C_9H_8Cl_3NO_3S$. Calculated, %: N 4.43. Neutralization equivalent 316.50.

***N*-Trichloroacetyl-4-dimethylaminebenzenesulfonamide (IIc).** A solution of 0.20 g (0.75 mmol) of dioxide **Ia** and 0.14 g (1.13 mmol) *N,N*-dimethylaniline in 7 ml of benzene was heated to 110°C for 5 h. From the reaction mixture was filterd off 0.22 g (85%) of sulfonamide **IIc**, mp (decomp.) 230°C (from ethanol). IR spectrum, ν, cm^{-1}: 3280 (NH), 1735 (C=O), 1360, 1135 (SO_2). Found, %: N 8.02. Neutralization equivalent 348.06. $C_{10}H_{11}Cl_3N_2O_3S$. Calculated, %: N 8.10. Neutralization equivalent 348.67.

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***N*-Trichloroacetyl-4-methoxybenzenesulfonamide (IId).** A solution of 0.10 g(0.38 mol) of dioxide **Ia** in 3 ml of anisole was heated to 100°C for 5 h. The residue after evacuation was neutralized with Na_2CO_3 solution, 0.10 ml of concn. HCl was added, and 0.11 g of sulfonamide **IId** was filtered off, mp 168°C (from ethanol). IR spectrum, ν, cm^{-1}: 3390 (NH), 1785 (C=O), 1380, 1174 (SO_2). Found, %: N 4.30. Neutralization equivalent 334.31. $C_9H_8Cl_3NO_4S$. Calculated, %: N 4.21. Neutralization equivalent 332.50.

The reaction of dioxide **Ib** with anisole under similar conditions afforded ***N*-tribromoacetyl-4-methoxybenzenesulfonamide (IIe)** (93%), mp 153°C (from ethanol). IR spectrum, ν, cm^{-1}: 3390 (NH), 1750 (C=O), 1380< 1150 (SO_2). Found, %: N 3.03. Neutralization equivalent 465.51. $C_9H_8Br_3NO_4S$. Calculated, %: N 3.01. Neutralization equivalent 465.70.

2-Thiophenesulfonamide (III). A solution of 0.20 g (0.64 mol) of sulfonamide **IIa** in 15 ml methylene chloride was maintained at stirring for 48 h at 15°C with 1.00 g of Al_2O_3. The precipitate of Al_2O_3 was filtered off and washed with acetone. The solvent was distilled off to afford 0.11 g (99%) of sulfonamide **III**, mp 142°C (from ethanol), mp 142°C [6]. IR spectrum, н, cm^{-1}: 3335 (NH), 1590 (C=C), 1360, 1170 (SO_2). The aluminum oxide was washed with 25% water solution of ammonia and filtered off. The water filtrate was evaporated to give 0.12 g (99%) of ammonium trichloroacetate IR spectra were recorded on spectrophotometer UR-20 from solutions in CH_2Cl_2.

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