

SHORT
COMMUNICATIONS

Arylsulfonylaziridines and Arylsulfonylaminoethenes from *N*-(1-Aryl-2,2-dichloro-2-phenylethyl)arenesulfonamides

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While continuing our systematic studies on the properties of *N*-(1-aryl-2,2-dichloro-2-phenylethyl)arenesulfonamides, which were prepared in two steps from accessible *N,N*-dichloroarenesulfonamides, phenylacetylene, and aromatic compounds [1, 2], we have found that amides **Ia**, **Ib**, and **II** behave unexpectedly differently under the action of NaOH in organic solvents. The reaction result depends on the aromatic substituent in the α -position of the ethyl fragment. Toluyl derivatives **Ia** and **Ib** were converted into the corresponding 1-arylsulfonyl-2-chloro-2-phenyl-3-(4-tolyl)aziridines **IIIa** and **IIIb** in up to 60% yield. Under the same conditions, the reaction with *p*-methoxyphenyl derivative **II** involved dehydrochlorination to afford 2-chloro-1-(4-chlorophenylsulfonylamino)-1-(4-methoxyphenyl)-2-phenylethene (**IV**) (Scheme (1). No such transformations occurred with *N*-(2,2,2-trichloro-1-arylethyl)- and *N*-(2,2-dichloro-1-arylethyl)sulfonamides.

The ^1H and ^{13}C NMR spectra of aziridines **IIIa** and **IIIb** contained, respectively, a singlet from the

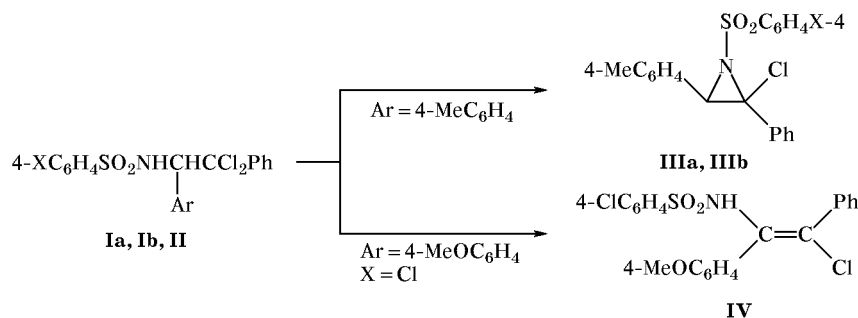
aziridine ring proton and one set of signals from C^2 and C^3 , indicating that these compounds exist as a single isomer. According to the X-ray diffraction data, the aryl groups in positions 2 and 3 of the aziridine ring in molecule **IIIb** are arranged *trans*.

The NOESY spectrum of enamide **IV** showed the absence of dipole–dipole interaction between aromatic protons in the *p*-methoxyphenyl and phenyl rings. This fact may also be interpreted in favor of *trans* arrangement of these substituents.

We are now studying factors determining the direction of transformations of *N*-(1-aryl-2,2-dihalo-2-phenylethyl)arenesulfonamides by the action of bases and the structure of aziridines and *N*-(2-halovinyl) amides thus formed.

2-Chloro-2-phenyl-1-phenylsulfonyl-3-(4-tolyl)-aziridine (IIIa). A mixture of 2.10 g (0.005 mol) of amide **Ia**, 0.80 g (0.02 mol) of NaOH, and 10 ml of DMF was stirred at 5–10°C for 3–5 min (until the mixture turned red–brown). The mixture was then diluted with 5 ml of cold water and neutralized with

Scheme 1.



I–III, X = H (**a**), Cl (**b**); **I**, Ar = 4-MeC₆H₄; **II**, Ar = 4-MeOC₆H₄.

5% hydrochloric acid. The precipitate was separated by decanting, washed with water, and recrystallized from carbon tetrachloride. Yield 0.48 g (25%), mp 121–123°C. IR spectrum, ν , cm^{-1} : 1160, 1330 (SO_2); 1580 ($\text{C}=\text{C}_{\text{arom}}$); 2910 ($\text{C}-\text{H}_{\text{aliph}}$); 3020–3090 ($\text{C}-\text{H}_{\text{arom}}$). ^1H NMR spectrum (CDCl_3), δ , ppm: 2.27 s (3H, Me), 4.75 s (1H, 3-H), 7.11 and 7.39 (4H, AA'BB' system, 4-tolyl), 7.24–7.77 m (10H, Ph). ^{13}C NMR spectrum (CDCl_3), δ_{C} , ppm: 21.17 (Me), 51.15 (C^3), 72.51 (C^2), 127.30–139.05 (C_{arom}). Found, %: C 65.58; H 4.65; Cl 9.01; N 3.72; S 8.40. $\text{C}_{21}\text{H}_{18}\text{ClNO}_2\text{S}$. Calculated, %: C 65.70; H 4.73; Cl 9.24; N 3.65; S 8.35.

2-Chloro-1-(4-chlorophenylsulfonyl)-2-phenyl-3-(4-tolyl)aziridine (IIIb). A mixture of 2.21 g (0.005 mol) of amide **Ib**, 0.80 g (0.02 mol) of NaOH, and 20 ml of acetonitrile was stirred for 15–20 min. The precipitate was filtered off, the filtrate was evaporated, and the solid residue was recrystallized from carbon tetrachloride. Yield 1.22 g (60%), mp 125–128°C. IR spectrum, ν , cm^{-1} : 1160, 1330 (SO_2); 1590 ($\text{C}=\text{C}_{\text{arom}}$); 2910 ($\text{C}-\text{H}_{\text{aliph}}$), 3010–3080 ($\text{C}-\text{H}_{\text{arom}}$). ^1H NMR spectrum (CDCl_3), δ , ppm: 2.33 s (3H, Me), 4.64 s (1H, 3-H), 7.13 and 7.40 (4H, AA'BB' system, 4-tolyl), 7.41 and 7.71 (4H, AA'BB' system, 4-ClC₆H₄), 7.38–7.73 m (5H, Ph). ^{13}C NMR spectrum (CDCl_3), δ_{C} , ppm: 21.34 (Me), 51.12 (C^3), 72.06 (C^2), 127.63–140.50 (C_{arom}). Found, %: C 60.12; H 4.03; Cl 17.09; N 3.51; S 9.83. $\text{C}_{21}\text{H}_{17}\text{Cl}_2\text{NO}_2\text{S}$. Calculated, %: C 60.29; H 4.10; Cl 16.95; N 3.35; S 7.66.

2-Chloro-1-(4-chlorophenylsulfonylamino)-1-(4-methoxyphenyl)-2-phenylethene (IV). A mixture

of 2.35 g (0.005 mol) of amide **II**, 0.80 g (0.02 mol) of NaOH, and 10 ml of DMF was stirred for 10 min. The mixture was then diluted with 10 ml of cold water and neutralized with 5% hydrochloric acid, and the precipitate was filtered off, washed with water, dried, and recrystallized from chloroform–acetone (10:1). Yield 1.17 g (54%), mp 131–133°C. IR spectrum, ν , cm^{-1} : 1155, 1330 (SO_2); 1570 ($\text{C}=\text{C}_{\text{arom}}$); 1600 ($\text{C}=\text{C}$); 2920–2960 ($\text{C}-\text{H}_{\text{aliph}}$); 3030–3090 ($\text{C}-\text{H}_{\text{arom}}$). ^1H NMR spectrum ($\text{DMSO}-d_6$), δ , ppm: 3.70 s (3H, Me), 6.60 and 6.98 (4H, AA'BB' system, 4-MeOC₆H₄), 7.00 m (4H, *o*-H, *m*-H, Ph), 7.10 m (1H, *p*-H, Ph), 7.37 and 7.53 (4H, AA'BB' system, 4-ClC₆H₄), 9.18 s (1H, NH). ^{13}C NMR spectrum ($\text{DMSO}-d_6$), δ_{C} , ppm: 55.14 (Me), 113.66, 159.06, 131.04 (OC₆H₄); 127.73, 127.97, 130.15, 135.44 (Ph); 128.38, 129.00, 131.82, 140.03 (ClC₆H₄SO₂); 126.71 (=CCl); 137.41 (=CNH). Found, %: C 57.95; H 3.88; Cl 16.48; N 3.34; S 7.51. $\text{C}_{21}\text{H}_{17}\text{Cl}_2\text{NO}_3\text{S}$. Calculated, %: C 58.07; H 3.95; Cl 16.33; N 3.22; S 7.38.

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

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