Two-Step Synthesis of N-Sulfonyl Aziridines from Epoxides

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Abstract: A convenient and high-yielding two-step synthesis of N-sulfonyl aziridines starting from epoxides is described. The method, which involves epoxide ring opening with sulfonamides and subsequent mesylation–cyclisation, is particularly suitable for variation of the N-sulfonyl substituent; 18 examples are presented.

Key words: epoxides, ring opening, sulfonamides, aziridines

Aziridines have an ever-improving reputation as useful intermediates in synthetic organic chemistry. As such, several different approaches and methodologies have been developed for the synthesis of aziridines. With reference to the preparation of N-sulfonyl aziridines, close inspection of the different approaches reveals that they mostly generate N-toluenesulfonyl (N-tosyl) aziridines. Methodology for the synthesis of ‘non-tosyl’ aziridines is far less developed and/or typically low yielding. We are interested in developing new organolithium-mediated reactions of aziridines and our previous work has focused on the use of N-tosyl aziridines. With a view to investigating other N-sulfonyl aziridines in the organolithium chemistry, we needed a reliable, high yielding and simple route to a range of N-sulfonyl aziridines 1 and 2 (Figure 1).

Figure 1

The most straightforward route to N-sulfonyl aziridines 1 and 2 would be sulfonylation of the NH aziridine, which can be produced by Staudinger reduction of the azido alcohol (generated by ring opening of the corresponding epoxide). In our hands, this three-step route is often low yielding. Alternatively, different iodinanes PhI=NSO2R in combination with Cu(I) or Cu(II) salts can be used in the direct aziridination of alkenes, and we have exploited this in previous studies. Some different iodinanes were prepared by Andersson and co-workers and Protasiewicz and co-workers, the iodinanes can also be generated in situ, as reported by Dauban and Dodd. In addition, N-SES-protected (SES: trimethylsilylethanesulfonyl) aziridines have been prepared using this approach. Overall, however, the iodinane methodology mostly employs PhI=NTs, is generally moderate to low yielding, and often works best with an excess of the alkene. N-Sulfonyl aziridines can also be prepared from alkenes using electrophilic Br+ and N-chloramine sulfonamide salts (RSO2NCI–Na+), as pioneered by Sharpless and Komatsu. These reactions almost always use commercially available chloramine-T (introduction of an N-tosyl group), but Sharpless and co-workers have also used t-BuSO2NCI–Na+. Finally, three-step routes from epoxides to N-sulfonyl aziridines via epoxide ring opening using sulfonamides, activation, and cyclisation have been reported by Albanese and Jacobsen. Although both routes had not varied the sulfonamide significantly, the Albanese route appeared ideal for our purposes of generating a range of N-sulfonyl aziridines 1 and 2 from the corresponding epoxides. The general approach we have optimised is summarised in Scheme 1. In particular, we have streamlined this approach into a two-step synthesis by cyclising the crude amino mesylate directly to the aziridine. In this paper, we present the scope and limitations of our two-step synthesis of aziridines from epoxides. There is emphasis on the synthesis of aziridines from cyclic epoxides and on the preparation of N-2,4,6-trisopropylbenzenesulfonyl aziridines, since these compounds are the optimal substrates for our subsequent organolithium-mediated chemistry.

Scheme 1

Our studies began with an optimisation of the Albanese method for the synthesis of N-sulfonyl aziridines 4 derived from cyclohexene oxide. These initial results are summarised in Scheme 2 and Table 1. Key differences include: (i) the need for a longer reaction time for the epoxide ring opening with sulfonamides and (ii) mesylation/base-mediated cyclisation to the aziridine without isolation of the intermediate amino mesylate.

In our protocol, cyclohexene oxide and the sulfonamides were heated at reflux in dioxane for 72 hours in the presence of K2CO3/BnNET3+Cl to produce 75–94% yields of...
the amino alcohols 3a–g after purification by column chromatography. Then, amino alcohols 3a–g were mesylated using excess pyridine/mesyl chloride (CH$_2$Cl$_2$, reflux, 5 hours) and, after aqueous work-up, the crude amino mesylates were cyclised using K$_2$CO$_3$ (MeCN, reflux, 3–5 h) to give aziridines 4a–g in 61–82% isolated yields (from 3a–g). A range of aryl sulfonamides, including sterically hindered examples (Table 1, entries 2, 5, and 6) and tert-butylsulfonamide (Table 1, entry 7) worked well. In comparison to Albanese’s method, 20 this approach to aziridines 4a–g benefits from the use of fewer equivalents of sulfonamides (1.2 equiv), a shorter mesylation reaction time, and the need for only two chromatographic purifications. Furthermore, for the synthesis of N-tosyl aziridine 4a, our yield of 75% over the two steps is higher.

Next, we extended our study to epoxides derived from cyclopentene (5a), 2,5-dihydropyran (5b) and 3-pyrroline (5c–e). Epoxides 5a and 5b are commercially available; epoxides 5c–e are known, whereas epoxide 5e has not been prepared before. The general route, which we used to prepare epoxides 5c–e, involved alkene metathesis of the N-protected diallyl amine and subsequent MCPBA epoxidation.24–27 In this study, we have used p-toluene sulfonamide, 2,4,6-trisopropylbenzenesulfonamide and tert-butylsulfonamide, as these are the most useful for our proposed organolithium-mediated chemistry. The results are shown in Scheme 3 and Table 2.

With certain epoxides and the more sterically hindered sulfonamides, it was necessary to increase the reaction time for the ring-opening step to 96 hours (Table 2, entries 4, 5, 8, and 9). The yields of amino alcohols 6a–i ranged from 47–95% after purification by column chromatography. For the mesylation–cyclisation steps, it was found that both reaction times could be extended to 16 hours without detrimental effects on the yields and, by way of convenience and comparison, these conditions were adopted for all of the examples depicted in Table 2. Isolated yields of aziridines 7a–i were 54–82% (from 6a–i), after purification by column chromatography. Our approaches to aziridines 7c and 7e are higher yielding than the recently reported methods.28

We briefly examined the preparation of N-sulfonyl aziridines from a terminal epoxide 8 (Scheme 4). The two-step synthesis of aziridines 10a–b (via amino alcohols 9a–b) proceeded smoothly and in similarly good yields. As expected,20,21 sulfonamide ring opening of epoxide 8 generated only one regiosymmetric amino alcohol 9a–b due to attack at the least hindered end of the epoxide.

Finally, we did uncover some limitations with our methodology. The attempted ring opening of the three epoxides shown in Figure 2 using p-toluenesulfonamide was completely unsuccessful: no ring-opened products were generated.
CH₂Cl₂ (5 mL) was added and the solids were removed by filtration through Celite. The filtrate was dried and evaporated under reduced pressure to give the crude product.

**General Method B: Mesylation–Cyclisation of Hydroxy Sulphonamides**

A solution of the hydroxy sulfonamide (1.36 mmol) in CH₂Cl₂ (7.5 mL) was added dropwise to a stirred soln of pyridine (5 equiv) and MsCl (5 equiv) in CH₂Cl₂ (7.5 mL) at 0 °C under N₂. After 20 min, the soln was heated at reflux for 5–16 h. After cooling, the soln was washed with brine (15 mL), dried (MgSO₄) and evaporated under reduced pressure to give the crude mesylate. Then, a stirred mixture of the crude mesylate and K₂CO₃ (4 equiv) in MeCN (15 mL) was heated at 45 °C under N₂ for 3–16 h. After cooling, CH₂Cl₂ (15 mL) was added and the organic soln was washed with H₂O (20 mL) and brine (20 mL), dried (MgSO₄) and evaporated under reduced pressure to give the crude product.

**N-(1R*,2R*)-2-Hydroxycyclohexyl]-4-methylbenzenesulfonylamide (3a)**

Using general method A with a reaction time of 72 h, cyclohexene oxide (98 mg, 1.0 mmol), K₂CO₃ (14 mg, 0.10 mmol), BnEt₃N⁺Cl⁻ (23 mg, 0.10 mmol), and p-toluensulfonylamide (205 mg, 1.20 mmol) in dioxane (0.5 mL) gave the crude product. Purification by flash chromatography on silica with PE–Et₂O (3:7) as eluent gave hydroxy sulfonamide 3a (244 mg, 91%) as a white solid; mp 128–129 °C (lit. 131–132 °C).

**7-(4-Methylbenzenesulfonyl)-7-azabicyclo[4.1.0]heptane (4a)**

Using general method B, hydroxyl sulfonamide 3a (200 mg, 0.74 mmol), pyridine (0.30 mL, 3.7 mmol), and MsCl (0.29 mL, 3.7 mmol) were reacted in CH₂Cl₂ (7 mL) for 5 h. After addition of K₂CO₃ (409 mg, 2.96 mmol) in MeCN (7 mL) and further reaction for 3 h, the crude product was obtained. Purification by flash chro-

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**Table 2 Conversion of Epoxides into N-Sulfonyl Aziridines (Scheme 3)**

<table>
<thead>
<tr>
<th>Entry</th>
<th>X</th>
<th>R</th>
<th>Step (i) Product</th>
<th>Yield (%)</th>
<th>Step (ii) Product</th>
<th>Yield (%)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>CH₂</td>
<td>4-MeC₆H₄</td>
<td>6a</td>
<td>79⁺</td>
<td>7a</td>
<td>77</td>
</tr>
<tr>
<td>2</td>
<td>CH₃</td>
<td>2,4,6-i-Pr₂C₆H₄</td>
<td>6b</td>
<td>47⁺</td>
<td>7b</td>
<td>62</td>
</tr>
<tr>
<td>3</td>
<td>O</td>
<td>4-MeC₆H₄</td>
<td>6c</td>
<td>75⁺</td>
<td>7c</td>
<td>74</td>
</tr>
<tr>
<td>4</td>
<td>O</td>
<td>2,4,6-i-Pr₂C₆H₄</td>
<td>6d</td>
<td>86⁺</td>
<td>7d</td>
<td>80</td>
</tr>
<tr>
<td>5</td>
<td>O</td>
<td>t-Bu</td>
<td>6e</td>
<td>95⁺</td>
<td>7e</td>
<td>82</td>
</tr>
<tr>
<td>6</td>
<td>TsN</td>
<td>2,4,6-i-Pr₂C₆H₄</td>
<td>6f</td>
<td>79⁺</td>
<td>7f</td>
<td>71</td>
</tr>
<tr>
<td>7</td>
<td>BocN</td>
<td>4-MeC₆H₄</td>
<td>6g</td>
<td>59⁺</td>
<td>7g</td>
<td>54</td>
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<tr>
<td>8</td>
<td>BocN</td>
<td>2,4,6-i-Pr₂C₆H₄</td>
<td>6h</td>
<td>65⁺</td>
<td>7h</td>
<td>72</td>
</tr>
<tr>
<td>9</td>
<td>2,4,6-i-Pr₂C₆H₂SO₂N</td>
<td>2,4,6-i-Pr₂C₆H₂</td>
<td>6i</td>
<td>70⁺</td>
<td>7i</td>
<td>82</td>
</tr>
</tbody>
</table>

* Ring opening reaction time = 72 h.
* Ring opening reaction time = 96 h.

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**Figure 2**

In summary, we have developed a convenient and high yielding two-step synthesis of N-sulfonyl aziridines starting from epoxides. Our route is particularly amenable to variation of the N-sulfonyl substituent. As such, it is complementary to existing routes to N-sulfonyl aziridines and should find widespread use. The methodology is illustrated with 18 examples (covering a range of structures) and the organolithium-mediated reactions of some of these compounds will be reported in due course.²⁹

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**General Method A: Ring Opening of Epoxides with Sulfonylamides**

A stirred mixture of the epoxide (2.33 mmol), K₂CO₃ (0.1 equiv), BnEt₃N⁺Cl⁻ (0.1 equiv) and the sulfonamide (1.2 equiv) in dioxane (1 mL) was heated at 90 °C under N₂ for 68–96 h. After cooling, CH₂Cl₂ (5 mL) was added and the solids were removed by filtration through Celite. The filtrate was dried and evaporated under reduced pressure to give the crude product.
matography on silica with PE–Et2O (5:1) as eluent gave aziridine 4a (154 mg, 82%) as a white solid; mp 55–56 °C (lit. 55.3–55.9 °C).

1H NMR (400 MHz, CDCl3): δ = 7.82 (d, J = 8.0 Hz, 2 H, Ar), 7.33 (d, J = 8.0 Hz, 2 H, Ar), 2.97 (br s, 2 H, CHN), 2.44 (m, 3 H, Me), 1.80–1.77 (m, 2 H, CH2), 1.45–1.36 (m, 2 H, CH2), 1.25–1.17 (m, 4 H, CH2). Spectroscopic data are identical with those reported in the literature.

2-Chloro-N-[(1R,2R)-2-hydroxy cyclohexyl]benzenesulfonamide (3b)

Using general method A with a reaction time of 72 h, cyclohexene oxide (98 mg, 1.0 mmol), K2CO3 (14 mg, 0.10 mmol), BnEt3N+Cl– (23 mg, 0.10 mmol), and 2-chlorobenzensulfonamide (230 mg, 1.20 mmol) in dioxane (0.5 mL) gave the crude product. Purification by flash chromatography on silica with PE–Et2O (3:7) as eluent gave hydroxy sulfonamide 3b (241 mg, 83%) as a yellow solid; mp 105–107 °C; Rf = 0.2 (PE–Et2O, 1:1).

IR (CH2Cl2): 3310, 2937, 1454, 1329, 1162, 1070 cm–1.

1H NMR (400 MHz, CDCl3): δ = 8.12 (dd, J = 8.0, 1.0 Hz, 1 H, Ar), 7.54–7.52 (m, 2 H, Ar), 7.45–7.41 (m, 1 H, Ar), 5.30 (d, J = 7.0 Hz, 1 H, NH), 3.37–3.32 (m, 1 H, CH2), 2.86–2.83 (m, 1 H, CHN), 2.66 (br s, 1 H, CH2), 2.03–1.98 (m, 1 H, CH2), 1.76–1.71 (m, 1 H, CH2), 1.66–1.63 (m, 1 H, CH2), 1.60–1.56 (m, 1 H, CH2), 1.25–1.05 (m, 4 H, CH3).

13C NMR (100.6 MHz, CDCl3): δ = 137.5, 133.8, 131.6, 131.4, 131.2, 127.3, 73.2, 60.0, 33.2, 31.5, 24.5, 23.6.

MS (CI, NH3): m/z (% = 290 (100) [M + H+]).

HRMS: m/z [M + H+] calc for C12H1435ClNO2S: 290.0623; found: 290.0618.

7-(2-Chlorobenzensulfonyl)-7-azabicyclo[4.1.0]heptane (4b)

Using general method B, hydroxy sulfonamide 3b (200 mg, 0.69 mmol), pyridine (0.28 mL, 3.45 mmol), and MSiCl (0.27 mL, 3.45 mmol) were reacted in CH2Cl2 (5 mL) for 5 h. After addition of K2CO3 (386 mg, 2.76 mmol) in MeCN (7 mL) and further reaction for 4 h, the crude product was obtained. Purification by flash chromatography on silica with PE–Et2O (5:1) as eluent gave aziridine 4b (133 mg, 71%) as a pale yellow solid; mp 58–60 °C; Rf = 0.6 (PE–Et2O, 1:1).

IR (CH2Cl2): 3070, 2939, 2862, 1326, 1160, 963, 792, 717, 670 cm–1.

1H NMR (400 MHz, CDCl3): δ = 7.92 (J = 1.5 Hz, 1 H, Ar), 7.82 (br d, J = 8.0 Hz, 1 H, Ar), 7.58 (br d, J = 8.0 Hz, 1 H, Ar), 7.48 (t, J = 8.0 Hz, 1 H, Ar), 3.03 (br s, 2 H, CHN), 1.81–1.78 (m, 4 H, CH2), 1.43–1.36 (m, 2 H, CH2), 1.27–1.20 (m, 2 H, CH2).

13C NMR (100.6 MHz, CDCl3): δ = 140.6, 135.1, 133.3, 130.3, 127.6, 125.6, 40.4, 22.7, 19.3.

MS (CI, NH3): m/z (% = 272 (90) [M + H+]).

HRMS: m/z [M + H+] calc for C12H1435ClNO2S: 272.0511; found: 272.0512.

4-Chloro-N-[(1R,2R)-2-hydroxy cyclohexyl]benzenesulfonamide (3d)

Using general method A with a reaction time of 72 h, cyclohexene oxide (98 mg, 1.0 mmol), K2CO3 (14 mg, 0.10 mmol), BnEt3N+Cl– (23 mg, 0.10 mmol), and 4-chlorobenzensulfonamide (230 mg, 1.2 mmol) in dioxane (0.5 mL) gave the crude product. Purification by flash chromatography on silica with PE–Et2O (3:7) as eluent gave hydroxy sulfonamide 3d (233 mg, 81%) as a white solid; mp 140–142 °C; Rf = 0.2 (PE–Et2O, 1:1).

IR (CH2Cl2): 3504, 3276, 2937, 2862, 1352, 1151, 1086, 829, 753 cm–1.

1H NMR (400 MHz, CDCl3): δ = 7.80 (d, J = 9.0 Hz, 2 H, Ar), 7.50 (d, J = 9.0 Hz, 2 H, Ar), 5.00 (d, J = 7.0 Hz, 1 H, NH), 3.31 (br s, 1 H, CH2O), 2.91–2.83 (m, 1 H, CHN), 2.49 (d, J = 2.5 Hz, 1 H, OH), 2.04–2.00 (m, 1 H, CH2), 1.81–1.60 (m, 3 H, CH3), 1.25–1.11 (m, 4 H, CH3).

13C NMR (100.6 MHz, CDCl3): δ = 139.3, 138.9, 129.4, 128.6, 73.3, 59.8, 33.6, 31.9, 24.5, 23.8.

MS (CI, NH3): m/z (% = 307 (100) [M + NH4+]2, 290 (25) [M + H+]).


7-(4-Chlorobenzensulfonyl)-7-azabicyclo[4.1.0]heptane (4d)

Using general method B, hydroxy sulfonamide 3d (200 mg, 0.69 mmol), pyridine (0.28 mL, 3.45 mmol), and MSiCl (0.27 mL, 3.45 mmol) were reacted in CH2Cl2 (7 mL) for 5 h. After addition of K2CO3 (386 mg, 2.76 mmol) in MeCN (7 mL) and further reaction for 4 h, the crude product was obtained. Purification by flash chromatography on silica with PE–Et2O (5:1) as eluent gave aziridine 4d (140 mg, 74%) as a white solid; mp 105–106 °C; Rf = 0.6 (PE–Et2O, 1:1).

IR (CH2Cl2): 2920, 2856, 1321, 1157, 1088 cm\(^{-1}\).

\(^1\)H NMR (400 MHz, CDCl3): \(\delta = 7.87\) (d, \(J = 8.0\) Hz, 2 H, Ar), 7.50 (d, \(J = 8.0\) Hz, 2 H, Ar), 7.01 (br s, 2 H, CHN), 1.80–1.77 (m, 4 H, CH2), 1.40–1.36 (m, 2 H, CH2), 1.24–1.19 (m, 2 H, CH3).

\(^1\)C NMR (100.6 MHz, CDCl3): \(\delta = 139.7, 137.4, 129.3, 129.0, 140.2, 22.7, 19.3\).

MS (CI, NH3): \(m/z\) (%) = 272 (55) [M + H\(^+\)]

HRMS: \(m/z\) [M + H\(^+\)] calcd for C10H21NO3S: 236.1320; found: 236.1319.

**7-(4,6-Diisopropylbenzenesulfonyl)-7-azabicyclo[4.1.0]heptane (4f)**

Using general method B, 2,4,6-triisopropylbenzenesulfonyl chloride (4.44 g, 32.2 mmol) in MeCN (60 mL) and further reaction for 4 h, the crude product was obtained. Purification by flash chromatography on silica with PE–EtOAc (60:40) and further reaction for 4 h, the crude product was obtained. Purification by flash chromatography on silica with PE–EtOAc (9:1) and further reaction for 4 h, 4f.

IR (CH2Cl2): 2934, 2862, 1313, 1149, 960, 722 cm\(^{-1}\).

\(^1\)H NMR (400 MHz, CDCl3): \(\delta = 7.16\) (s, 2 H, Ar), 4.38 (sept, \(J = 7.0\) Hz, 2 H, ArCH3), 3.03 (br s, 2 H, CH2), 2.90 (sept, \(J = 7.0\) Hz, 1 H, ArCH), 1.82–1.79 (m, 4 H, CH2), 1.46–1.37 (m, 2 H, CH2), 1.26 (d, \(J = 7.0\) Hz, 12 H, Me), 1.25 (d, \(J = 7.0\) Hz, 6 H, Me), 1.24–1.17 (m, 2 H, CH2).

MS (CI, NH3): \(m/z\) (%) = 382 (100) [M + H\(^+\)].

HRMS: \(m/z\) [M + H\(^+\)] calcd for C21H33NO2S: 382.2312; found: 382.2416.

**8-(2,4,6-Triisopropylbenzenesulfonyl)-7-azabicyclo[4.1.0]heptane (4g)**

Using general method B, hydroxy sulfonamide 3f (164 mg, 1.20 mmol) and tert-butylsulfonamide (164 mg, 1.20 mmol) in dioxane (0.5 mL) gave the crude product. Purification by flash chromatography on silica with PE–EtOAc (9:1) as eluent gave aziridine 4e (226 mg, 61%) as a white solid; mp 78–80 °C; \(R_f\) = 0.6 (PE–EtOAc, 1:1).

IR (CH2Cl2): 3307, 2938, 1318, 1152, 967, 731 cm\(^{-1}\).

\(^1\)H NMR (400 MHz, CDCl3): \(\delta = 6.94\) (s, 2 H, Ar), 2.98–2.97 (m, 2 H, CH2), 2.70 (s, 6 H, Me), 2.30 (s, 3 H, Me), 1.76–1.75 (m, 4 H, CH2), 1.41–1.35 (m, 2 H, CH2), 1.26–1.19 (m, 2 H, CH2).

\(^1\)C NMR (100.6 MHz, CDCl3): \(\delta = 142.5, 139.7, 133.4, 131.6, 39.0, 22.92, 22.91, 21.0, 19.6\).

MS (CI, NH3): \(m/z\) (%) = 253 (100) [M + H\(^+\)].

HRMS: \(m/z\) [M + H\(^+\)] calcd for C10H21NO3S: 253.1637; found: 253.1637.

**N-[(1R*,2R*)-2-Hydroxyxycyclohexyl]-2,4,6-trisopropylbenzenesulfonamide (3f)**

Using general method A with a reaction time of 72 h, cyclohexene oxide (98 mg, 1.0 mmol), K2CO3 (44 mg, 0.31 mmol), MeCN (12 mL) and further reaction for 5 h, the crude product was obtained. Purification by flash chromatography on silica with PE–EtOAc (9:1) as eluent gave aziridine 4e (226 mg, 61%) as a white solid; mp 78–80 °C; \(R_f\) = 0.6 (PE–EtOAc, 1:1).

IR (CH2Cl2): 2934, 2862, 1313, 1149, 960, 722 cm\(^{-1}\).

\(^1\)H NMR (400 MHz, CDCl3): \(\delta = 4.49\) (d, \(J = 7.0\) Hz, 2 H, Ar), 4.02–3.75 (m, 1 H, CH2CO), 3.05–2.92 (m, 1 H, CH2), 2.98–2.85 (m, 1 H, CH2), 2.80–2.70 (m, 1 H, CH2), 2.70–2.60 (m, 2 H, CH2), 2.60–2.50 (m, 2 H, CH2), 2.50–2.40 (m, 2 H, CH2), 2.40–2.30 (m, 2 H, CH2).

\(^1\)C NMR (100.6 MHz, CDCl3): \(\delta = 38.1, 34.2, 30.6, 29.6, 24.9, 24.3, 24.0\).

MS (CI, NH3): \(m/z\) (%) = 298 (100) [M + H\(^+\)].

HRMS: \(m/z\) [M + H\(^+\)] calcd for C21H33NO2S: 382.2312; found: 382.2416.
1H NMR (400 MHz, CDCl₃): δ = 2.91–2.90 (m, 2 H, CH₂), 1.91–1.78 (m, 4 H, CH₂), 1.44 (s, 9 H, Me), 1.42–1.37 (m, 2 H, CH₂), 1.30–2.00 (m, 2 H, CH₂).

13C NMR (100.6 MHz, CDCl₃): δ = 58.6, 39.0, 24.0, 22.8, 19.4.

MS (CI, NH₃): m/z (%) = 218 (100) [M + H⁺].

HRMS: m/z [M + H⁺] calcd for C₉H₁₃NO₂S: 218.1214; found: 218.1215.

3-(2,4,6-Triisopropylbenzenesulfonyl)-6-oxa-3-azabicyclo[3.1.0]hexane (5e)

MCPBA (1.67 g of 70% pure material, 6.78 mmol) was added in one portion to a stirred soln of 2,5-dihydroxy-1-(2,4,6-triisopropylbenzenesulfonyl)-1H-pyrrole (1.59 g, 4.52 mmol) in CH₂Cl₂ (30 mL) at r.t. under N₂. After stirring for 16 h, 20% aq Na₂SO₃ soln (10 mL) and sat. NaHCO₃ soln (10 mL), dried (MgSO₄), and evaporated under reduced pressure to give the crude product. Purification by flash chromatography on silica with PE–EtOAc (1:1) as eluent gave epoxide 7e (317 mg, 1.20 mmol) in dioxane (0.5 mL) gave the crude product.

IR (CH₂Cl₂): 3491, 3272, 2965, 2878, 1598, 1452, 1322, 1155, 814, 705 cm⁻¹.

1H NMR (400 MHz, CDCl₃): δ = 7.16 (s, 2 H, Ar), 4.72 (d, J = 7.0 Hz, 1 H, NH), 4.14 (sept, J = 7.0 Hz, 2 H, ArCH₂), 3.25–3.18 (m, 1 H, CH₂), 3.00 (br s, 1 H, CH₂), 2.99 (br s, 1 H, CH₂), 2.41 (s, 3 H, Me), 1.98–1.90 (m, 1 H, CH₃), 1.87–1.79 (m, 1 H, CH₃), 1.62–1.47 (m, 3 H, CH₂), 1.38–1.28 (m, 1 H, CH₂).

13C NMR (100.6 MHz, CDCl₃): δ = 143.6, 136.8, 129.7, 127.1, 78.1, 61.7, 31.2, 30.0, 21.5, 19.8.

MS (CI, NH₃): m/z (%) = 352 (100) [M + H⁺].


N-[(1R*,2R*)-2-Hydroxycyclopentyl]-4-methylbenzenesulfonamide (6a)

Using general method A with a reaction time of 72 h, cyclopentene oxide (84 mg, 1.0 mmol), K₂CO₃ (14 mg, 0.10 mmol), BnEt₃N⁺Cl⁻ (23 mg, 0.10 mmol), and 2,4,6-triisopropylbenzenesulfonamide (317 mg, 1.20 mmol) in dioxane (0.5 mL) gave the crude product. Purification by flash chromatography on silica with PE–EtOAc (4:1) as eluent gave hydroxy sulfonamide 6b (173 mg, 47%) as a white solid; mp 129–131 °C; Rf = 0.2 (PE–Et₂O, 1:1).

IR (CH₂Cl₂): 3469, 3232, 1309, 1149 cm⁻¹.

1H NMR (400 MHz, CDCl₃): δ = 7.17 (s, 2 H, Ar), 4.72 (d, J = 7.0 Hz, 1 H, CH₂), 4.04 (q, J = 7.0 Hz, 6 H, Me), 3.81 (s, 3 H, OMe), 3.61 (d, J = 7.0 Hz, 2 H, ArCH₂), 3.29 (sept, J = 7.0 Hz, 1 H, ArCH₂), 2.04–1.91 (m, 3 H, CH₃), 1.72–1.50 (m, 3 H, CH₃), 1.43–1.33 (m, 1 H, CH₂), 1.28 (d, J = 7.0 Hz, 6 H, Me), 1.26 (d, J = 7.0 Hz, 6 H, Me), 1.25 (d, J = 7.0 Hz, 6 H, Me).

13C NMR (100.6 MHz, CDCl₃): δ = 152.9, 150.3, 132.3, 123.9, 78.5, 61.6, 34.1, 31.5, 30.7, 29.6, 24.8, 24.0, 25.3, 20.0.

MS (CI, NH₃): m/z (%) = 385 (100) [M + NH₃⁺].

HRMS: m/z [M + H⁺] calcd for C₂₀H₃₁NO₂S: 368.2247; found: 368.2259.

6-(2,4,6-Triisopropylbenzenesulfonyl)-6-azabicyclo[3.1.0]hexane (7b)

Using general method B, hydroxy sulfonamide 6b (200 mg, 0.55 mmol), pyridine (0.25 mL, 2.75 mmol), and MsCl (0.23 mL, 2.75 mmol) were reacted in CH₂Cl₂ (6 mL) for 16 h. After addition of K₂CO₃ (304 mg, 2.20 mmol) in MeCN (6 mL) and further reaction for 16 h, the crude product was obtained. Purification by flash chromatography on silica with PE–EtOAc (9:1) as eluent gave aziridine 7b (119 mg, 62%) as a white solid; mp 88–90 °C; Rf = 0.7 (PE–Et₂O, 1:1).

IR (CH₂Cl₂): 1315, 1153 cm⁻¹.

1H NMR (400 MHz, CDCl₃): δ = 7.16 (s, 2 H, Ar), 4.38 (sept, J = 7.0 Hz, 2 H, ArCH₂), 3.37 (br s, 2 H, CH₂), 2.90 (sept, J = 7.0 Hz, 1 H, CHO), 1.98–1.93 (m, 2 H, CH₂), 1.67–1.54 (m, 3 H, CH₃), 1.43–1.35 (m, 1 H, CH₂), 1.26 (d, J = 7.0 Hz, 6 H, Me), 1.25 (d, J = 7.0 Hz, 6 H, Me).

13C NMR (100.6 MHz, CDCl₃): δ = 151.0, 150.7, 132.1, 123.6, 46.2, 34.2, 29.5, 27.1, 24.8, 23.5, 19.7.

MS (CI, NH₃): m/z (%) = 352 (100) [M + H⁺].


N-[(3R*,4R*)-4-Hydroxytetrahydrofuiran-3-yl]-4-methylbenzenesulfonamide (6c)

Using general procedure A with a reaction time of 72 h, 2,5-dihydrofuran oxide (50 mg, 0.58 mmol), K₂CO₃ (8 mg, 0.06 mmol), BnEt₃N⁺Cl⁻ (13 mg, 0.060 mmol), and p-toluenesulfonamide (119 mg, 0.700 mmol) in dioxane (0.3 mL) gave the crude product. Purification by flash chromatography on silica with PE–EtOAc (1:2) as eluent gave hydroxy sulfonamide 6c (112 mg, 75%) as a white solid; mp 80–82 °C; Rf = 0.2 (PE–EtOAc, 1:2).

IR (CH₂Cl₂): 3409, 3281, 1313, 1160, 1091, 814, 705 cm⁻¹.

1H NMR (400 MHz, CDCl3); δ = 7.76 (d, J = 8.0 Hz, 2 H, Ar), 7.33 (d, J = 8.0 Hz, 2 H, Ar), 5.08 (d, J = 9.0, 1 H, NH), 4.36–4.33 (m, 1 H, CHO), 4.02 (dd, J = 10.0, 5.5 Hz, 1 H, CH2O), 3.90 (dd, J = 10.0, 5.5 Hz, 1 H, CH2O), 3.65–3.61 (m, 1 H, CHN), 3.24 (dd, J = 10.0, 3.0 Hz, 1 H, CH2O), 2.70 (br s, 1 H, OH), 2.44 (s, 3 H, Me).

3.65 (dd, J = 10.0, 5.0 Hz, 1 H, CH2O), 3.75–3.66 (m, 1 H, CHN), 3.65 (dd, J = 10.0, 3.0 Hz, 1 H, CH2O), 2.91 (sept, J = 7.0 Hz, 2 H, Me), 2.44 (s, 3 H, Me).

3.65 (dd, J = 10.0, 5.0 Hz, 1 H, CH2O), 3.75–3.66 (m, 1 H, CHN), 3.65 (dd, J = 10.0, 3.0 Hz, 1 H, CH2O), 2.91 (sept, J = 7.0 Hz, 2 H, Me), 2.44 (s, 3 H, Me)

MS (CI, NH4+); m/z (%) = 275 (50) [M + NH4+], 258 (100) [M + H+].
HRMS: m/z [M + H+] calcd for C15H17NO3S: 370.0528; found: 370.0525.

6-(4-Methylenesulfonyl)-3-oxa-6-azabicyclo[3.1.0]hexane (7e)

Using general procedure B, hydroxy sulfonamide (6e) (500 mg, 1.36 mmol), pyridine (0.47 mL, 6.78 mmol), and MsCl (0.45 mL, 6.78 mmol) were reacted in CH2Cl2 (15 mL) for 16 h. After addition of K2CO3 (750 mg, 5.44 mmol) in MeCN (15 mL) and further reaction for 16 h, the crude product was obtained. Purification by flash chromatography on silica with PE–EtOAc (9:1) as eluent gave hydroxy sulfonamide (6f) (387 mg, 82%) as a white solid; mp 152–154 °C; [α]D = 85.5 (c 4.0, CH2Cl2).

IR (CH2Cl2): 3372, 3285, 1295, 1108 cm–1.

13C NMR (100.6 MHz, CDCl3): δ = 153.3, 150.3, 132.3, 124.0, 77.3, 73.6, 71.3, 61.1, 34.1, 29.7, 24.8, 24.76, 23.5.

MS (CI, NH4+); m/z (%) = 287 (25) [M + NH4+], 370 (100) [M + H+].
HRMS: m/z [M + H+] calcd for C15H17NO3S: 370.0528; found: 370.0525.

6-(2,4,6-Trisopropylbenzenesulfonyl)-3-oxa-6-azabicyclo[3.1.0]hexane (7d)

Using general method A with a reaction time of 96 h, 2,5-dihydrofuran epoxide (86 mg, 1.0 mmol), K2CO3 (11 mg, 0.080 mmol), BnEt3N+Cl– (0.23 mg, 0.10 mmol), and tert-butylsulfonylamide (164 mg, 1.20 mmol) in dioxane (0.5 mL) gave the crude product. Further reaction for 16 h, the crude product was obtained. Purification by flash chromatography on silica with PE–EtOAc (9:1) as eluent gave aziridine (6e) (211 mg, 95%) as a white solid; mp 177–180 °C; [α]D = 0.3 (PE–EtOAc, 1:1).

IR (CH2Cl2): 2869, 1326, 1161, 744 cm–1.

13C NMR (100.6 MHz, CDCl3): δ = 144.7, 135.0, 129.7, 127.8, 67.7, 44.7, 21.6.

MS (CI, NH4+); m/z (%) = 240 (100) [M + H+].

HRMS: m/z [M + H+] calcd for C15H17NO3S: 240.0694; found: 240.0693.

N-(3R*,4R*)-4-Hydroxytetrahydrofuran-3-yl-2,4,6-trisopropylbenzenesulfonamide (6d)

Using general procedure A with a reaction time of 96 h, 2,5-dihydrofuran epoxide (200 mg, 2.33 mmol), K2CO3 (32 mg, 0.23 mmol), BnEt3N+Cl– (52 mg, 0.23 mmol), and 2,4,6-trisopropylbenzenesulfonamide (784 mg, 2.80 mmol) gave crude product. Purification by flash chromatography on silica with PE–EtOAc (4:1) as eluent gave hydroxy sulfonamide (6d) (737 mg, 86%) as a white solid; mp 141–143 °C; Rf = 0.2 (PE–EtOAc, 1:1).

IR (CH2Cl2): 2846, 3250, 1314, 1150, 881 cm–1.

1H NMR (400 MHz, CDCl3); δ = 7.81 (s, 2 H, Ar), 4.83 (d, J = 8.0 Hz, 1 H, NH), 4.41 (td, J = 5.0, 3.0 Hz, 1 H, CHO), 4.11 (sept, J = 7.0 Hz, 2 H, ArCH), 4.04 (dd, J = 10.0, 5.0 Hz, 1 H, CH2O), 3.96 (dd, J = 10.0, 5.0 Hz, 1 H, CH2O), 3.75–3.66 (m, 1 H, CHN), 3.65 (dd, J = 10.0, 3.0 Hz, 1 H, CH2O), 2.92 (sept, J = 7.0 Hz, 2 H, ArCH), 1.29–1.25 (m, 18 H, Me).

13C NMR (67.9 MHz, CDCl3); δ = 153.3, 150.3, 132.3, 124.0, 77.3, 73.6, 71.3, 61.1, 34.1, 29.7, 24.8, 24.76, 23.5.

MS (CI, NH4+); m/z (%) = 287 (25) [M + NH4+], 370 (100) [M + H+].
HRMS: m/z [M + H+] calcd for C15H17NO3S: 370.0528; found: 370.0525.

N-(3R*,4R*)-4-Hydroxy-1-(4-methylbenzenesulfonyl)pyrroolidin-3-yl-2,4,6-trisopropylbenzenesulfonamide (6f)

Using general procedure A with a reaction time of 72 h, epoxide (6e) (200 mg, 0.905 mmol), pyridine (0.38 mL, 4.53 mmol), and MsCl (0.36 mL, 4.53 mmol) were reacted in CH2Cl2 (10 mL) for 16 h. After addition of K2CO3 (500 mg, 3.62 mmol) in MeCN (10 mL) and further reaction for 16 h, the crude product was obtained. Purification by flash chromatography on silica with PE–EtOAc (9:1) as eluent gave aziridine (6e) (211 mg, 95%) as a white solid; mp 152–154 °C; [α]D = 85.5 (c 4.0, CH2Cl2).

IR (CH2Cl2): 1305, 1128 cm–1.

1H NMR (400 MHz, CDCl3); δ = 4.05 (d, J = 10.0 Hz, 2 H, CH2O), 3.75 (d, J = 10.0 Hz, 2 H, CH2O), 3.61 (s, 2 H, CHN), 1.49 (s, 9 H, Me).

13C NMR (100.6 MHz, CDCl3); δ = 67.8, 59.5, 44.1, 24.0.

MS (CI, NH4+); m/z (%) = 223 (100) [M + NH4+].
HRMS: m/z [M + NH4+] calcd for C15H17NO3S: 223.1116; found: 223.1116.
IR (CHCl₃): 3434, 1314, 1162 cm⁻¹.

¹H NMR (400 MHz, CDCl₃): δ = 7.66 (d, J = 8.0 Hz, 2 H, Ar), 7.31 (d, J = 8.0 Hz, 2 H, Ar), 7.16 (2 H, Ar), 4.83 (d, J = 7.5 Hz, 1 H, NH), 4.29 (d, J = 7.0 Hz, 1 H, ArCH), 3.62 (dd, J = 11.0, 6.0 Hz, 1 H, CHN), 3.58–3.53 (m, 1 H, CHN), 3.41 (dd, J = 11.0, 6.0 Hz, 1 H, CHN), 3.08 (dd, J = 10.0, 4.5 Hz, 1 H, CHN), 3.04 (dd, J = 10.0, 4.0 Hz, 1 H, CHN), 2.90 (sept, J = 7.0 Hz, 1 H, ArCH), 2.61 (br s, 1 H, OH), 2.41 (s, 3 H, Me), 1.26 (d, J = 7.0 Hz, 6 H, Me), 1.24 (d, J = 7.0 Hz, 12 H, Me).

¹³C NMR (100.6 MHz, CDCl₃): δ = 153.5, 150.3, 144.1, 132.7, 131.8, 129.8, 127.6, 124.0, 79.8, 58.8, 52.8, 52.1, 51.1, 29.6, 24.8, 21.5.

MS (CI, NH₃): m/z (%) = 540 (100) [M + NH₄⁺].

HRMS: m/z [M + NH₄⁺] calcld for C₁₆H₂₄N₂O₅S: 357.1484; found: 357.1484.

3-(4-Methylbenzenesulfonyl)-6-(2,4,6-triisopropylbenzenesulfonyl)-3,6-diazabicyclo[3.1.0]hexane (7f)

Using general procedure B, hydroxy sulfonamide 6f (200 mg, 0.385 mmol), pyridine (0.18 mL, 2.19 mmol), and MsCl (0.16 mL, 2.19 mmol) were reacted in CH₂Cl₂ (5 mL) for 16 h. After addition of K₂CO₃ (213 mg, 1.54 mmol) in MeCN (5 mL) and further reaction for 16 h, the crude product was obtained. Purification by flash chromatography on silica with PE–EtOAc (3:2) as eluent gave aziridine 7f (374 mg, 72%) as a white solid; mp 144–148 °C; Rₗ = 0.3 (PE–EtOAc, 1:1).

IR (CHCl₃): 3431, 1675, 1322, 1164 cm⁻¹.

¹H NMR (400 MHz, CDCl₃): δ = 7.17 (s, 2 H, Ar), 4.30 (d, J = 0.5 Hz, NH), 4.22 (br s, 0.5 H, CHO), 2.42 (s, 2 H, CHO), 3.70–3.63 (m, 3 H, NCH₂), 3.26–3.19 (m, 2 H, NCH₂), 2.90 (sept, J = 7.0 Hz, 1 H, ArCH), 1.41 (s, 4.5 H, Me), 1.38 (s, 4.5 H, Me), 1.27 (d, J = 7.0 Hz, 6 H, Me), 1.25 (d, J = 7.0 Hz, 12 H, Me).

¹³C NMR (100.6 MHz, CDCl₃): δ = 153.8, 151.0, 143.8, 134.2, 131.0, 129.7, 127.2, 123.9, 48.8, 43.4, 34.2, 29.7, 24.8, 23.5, 21.5.

MS (CI, NH₃): m/z (%) = 356 (25) [M + H⁺].

HRMS: m/z [M + H⁺] calcld for C₁₆H₂₄N₂O₅S: 356.1640; found: 356.1644.

tert-Butyl (2R⁺,3R⁺)-3-Hydroxy-4-[(4-methylbenzenesulfonyl)amino]-1-pyrrolidinecarboxylate (6g)

Using general procedure A with a reaction time of 72 h, epoxide 5d (192 mg, 1.04 mmol), K₂CO₃ (14 mg, 0.10 mmol), BnEt₃N⁺Cl⁻ (24 mg, 0.10 mmol), and 2,4,6-triisopropylbenzenesulfonamide (348 mg, 1.23 mmol) in dioxane (1.2 mL) gave the crude product. Purification by flash chromatography on silica with PE–EtOAc (3:2) as eluent gave hydroxyl sulfonamide 6g (316 mg, 65%) as a white solid; mp 66–68 °C; Rₗ = 0.3 (PE–EtOAc, 1:2).

IR (CHCl₃): 3413, 1675, 1322, 1164 cm⁻¹.

¹H NMR (400 MHz, CDCl₃): approx. 50:50 mixture of rotamers: δ = 7.15 (s, 2 H, Ar), 4.99 (br s, 0.5 H, NH), 4.92 (br s, 0.5 H, NH), 4.32 (br s, 0.5 H, CHO), 4.22 (br s, 0.5 H, CHO), 4.10 (br s, 2 H, ArCH), 3.70–3.63 (m, 3 H, NCH₂), 3.26–3.08 (m, 2 H, NCH₂), 2.90 (sept, J = 7.0 Hz, 1 H, ArCH), 1.41 (s, 4.5 H, Me), 1.38 (s, 4.5 H, Me), 1.27 (d, J = 7.0 Hz, 6 H, Me), 1.25 (d, J = 7.0 Hz, 12 H, Me).

¹³C NMR (100.6 MHz, CDCl₃): δ = 154.3, 153.3, 150.3, 131.8, 124.0, 79.9, 74.6, 73.7, 58.6, 58.0, 50.9, 50.6, 49.4, 48.7, 34.1, 29.6, 28.3, 24.8, 23.50, 23.49; rotamers observed for some signals.

MS (CI, NH₃): m/z (%) = 469 (15) [M + H⁺].

HRMS: m/z [M + H⁺] calcld for C₁₆H₂₄N₂O₅S: 469.2746; found: 469.2756.

tert-Butyl 6-(4-Methylbenzenesulfonyl)-3,6-diazabicyclo[3.1.0]hexane-3-carboxylate (7g)

Using general procedure B, hydroxy sulfonamide 6g (200 mg, 0.56 mmol), pyridine (0.23 mL, 2.8 mmol), and MsCl (0.22 mL, 2.8 mmol) were reacted in CH₂Cl₂ (5 mL) for 16 h. After addition of K₂CO₃ (309 mg, 2.24 mmol) in MeCN (5 mL) and further reaction for 16 h, the crude product was obtained. Purification by flash chromatography on silica with PE–EtOAc (1:2) as eluent gave aziridine 7g (102 mg, 54%) as a white solid; mp 114–116 °C; Rₗ = 0.5 (PE–EtOAc, 1:2).

IR (CHCl₃): 1697, 1328, 1162, 791 cm⁻¹.

¹H NMR (400 MHz, CDCl₃): approx. 50:50 mixture of rotamers: δ = 7.15 (s, 2 H, Ar), 5.36 (d, J = 6.0 Hz, 0.5 H, NH), 5.25 (d, J = 6.0 Hz, 0.5 H, NH), 4.31 (br s, 0.5 H, CHO), 4.21 (br s, 0.5 H, CHO), 4.13–4.07 (m, 2 H, ArCH), 3.78–3.06 (m, 6 H, CH₂N, CHN, and OH), 2.89 (sept, J = 7.0 Hz, 1 H, ArCH), 1.39 (s, 4.5 H, Me), 1.35 (s, 4.5 H, Me), 1.26 (d, J = 7.0 Hz, 6 H, Me), 1.24 (d, J = 7.0 Hz, 12 H, Me).

¹³C NMR (100.6 MHz, CDCl₃): δ = 154.1, 144.8, 134.9, 129.8, 127.7, 80.0, 47.3, 46.9, 44.1, 44.0, 28.3, 21.6.

MS (CI, NH₃): m/z (%) = 356 (25) [M + H⁺].

HRMS: m/z [M + H⁺] calcld for C₁₆H₂₄N₂O₅S: 356.1640; found: 356.1644.
Using general method A with a reaction time of 96 h, epoxide 5e (150 mg, 0.427 mmol), K2CO3 (6 mg, 0.04 mmol), BnEt3N+Cl– (9 mg, 0.04 mmol), and 2,4,6-triisopropylbenzenesulfonamide (145 mg, 0.513 mmol) in dioxane (0.5 mL) gave the crude product. Purification by flash chromatography on silica with PE–Et2O (3:7) as eluent gave hydroxy sulfonamide 6i (191 mg, 70%) as a white solid; mp 173–175 °C; Rf = 0.8 (PE–EtOAc, 1:1).

IR (CH2Cl2): 3045, 2923, 1494, 1462, 1363, 1107, 742 cm–1.


Using general method B, hydroxy sulfonamide 9a (200 mg, 0.660 mmol), pyridine (0.28 mL, 3.28 mmol), and MsCl (0.26 mL, 2.82 mmol) were reacted in CH2Cl2 (6 mL) for 16 h. After addition of K2CO3 (364 mg, 2.64 mmol) in MeCN (6 mL) and further reaction for 16 h, the crude product was obtained. Purification by flash chromatography on silica with PE–EtOAc (9:1) as eluent gave aziridine 10a (138 mg, 73%) as a yellow solid; mp 67–70 °C; Rf = 0.6 (PE–EtOAc, 1:1).

IR (CH2Cl2): 2932, 1323, 1161, 939, 742 cm–1.

HRMS: m/z [M + H+] calcd for C16H19NO3S: 306.1157; found: 306.1146.
2-Benzyl-1-(2,4,6-triisopropylbenzenesulfonyl)aziridine (10b)

Using general procedure B, hydroxy sulfonamide 9b (200 mg, 0.48 mmol), pyridine (0.22 mL, 2.4 mmol), and MsCl (0.20 mL, 2.4 mmol) were reacted in CH2Cl2 (6 mL) for 16 h. After addition of K2CO3 (265 mg, 1.92 mmol) in MeCN (6 mL) and further reaction for 16 h, the crude product was obtained. Purification by flash chromatography on silica with PE–EtOAc (9:1) as eluent gave aziridine 10b (165 mg, 86%) as a colorless oil; Rf = 0.8 (PE–Et2O; 1:1).

IR (CH2Cl2): 1318, 1162 cm⁻¹.

1H NMR (400 MHz, CDCl3): δ = 7.14 (s, 2 H, Ph), 7.13–7.11 (m, 3 H, Ph), 7.04–7.02 (m, 2 H, Ar), 4.27 (sept, J = 7.0 Hz, 1 H, ArCH), 2.71 (d, J = 15.0 Hz, 6 H, Me), 2.75 (dd, J = 15.0, 7.0 Hz, 1 H, CH2Ar), 2.10 (d, J = 4.0 Hz, 1 H, CH2NMe), 1.27 (d, J = 7.0 Hz, 6 H, Me), 1.25 (d, J = 7.0 Hz, 6 H, Me), 1.17 (d, J = 7.0 Hz, 6 H, Me).

13C NMR (100.6 MHz, CDCl3): δ = 153.2, 151.0, 136.9, 131.4, 128.6, 128.3, 126.3, 126.5, 123.7, 40.4, 37.4, 34.2, 32.0, 29.6, 24.8, 24.7, 23.6, 23.5.

MS (Cl): m/z (%) = 400 (100) [M + H⁺].

HRMS: m/z [M + H⁺] calcd for C24H33NO2S: 400.2310; found: 400.2310.

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References

(1) Dauban, P.; Dodd, R. H. Synlett 2003, 1571.
(22) For a preliminary communication on the synthesis of N-2,4,6-triisopropylbenzenesulfonyl aziridines, see: Huang, J.; O’Brien, P. Tetrahedron Lett. 2005, 46, 3253.