Synthesis of 2-Chloro-2-imidoylaziridines via Aza-Darzens-type Reaction of 3,3-Dichloro-1-azaallylic Anions and *N*-(Arylsulfonyl)imines

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General experimental methods

Flame-dried glassware was used for all non-aqueous reactions. Commercially available solvents and reagents were purchased from common chemical suppliers and used without further purification, unless stated otherwise. Diethyl ether, toluene and tetrahydrofuran were distilled from sodium and sodium benzophenone ketyl. Petroleum ether was dried

and purified by washing with concentrated sulfuric acid and distilled. Methanol was dried with magnesium and distilled.

Flash chromatography was carried out using a glass column filled with silica gel (particle size 0.035-0.070 mm, pore diameter ca. 6 nm). Solvent systems were determined via initial TLC analysis.

^1H NMR spectra were recorded at 270 MHz or 300 MHz and ^{13}C NMR spectra were recorded at 75 MHz. Peak assignment were performed with the aid of DEPT, 2D-HETCOR and 2D-COSY NMR techniques when required. The compounds were diluted in deuterated chloroform, quoted in parts per million (ppm) and referenced to tetramethylsilane (TMS, $\delta = 0$) or the appropriate residual solvent peak.

For liquid samples, the IR spectra were recorded by preparing a thin film of compound between sodium chloride plates. Solid compounds were mixed with potassium bromide and pressed at high pressure until a transparent disc was obtained. Only selected absorbance ($\nu_{\text{max}} / \text{cm}^{-1}$) were reported.

MS was performed by electron-spray ionization at 4kV (positive mode) or 3.5 kV (negative mode) and fragmentation at 70 eV, with only molecular ions ($[\text{MH}]^+$ or $[\text{M-H}]^-$), and major peaks being reported with intensities quoted as percentage of the base peak, using either a LC-MS coupling or a direct inlet system.

Melting points of crystalline compounds are not corrected.

Synthesis of α,α -Dichloroketimines 10a-c and 20

The α,α -dichloroketimines **10a-c** and **20** were prepared¹ by treatment of a solution of α,α -dichloroacetophenone **9** or 1,1-dichloroacetone (40 mmol) in dry diethyl ether (100 mL), stirred at 0°C (ice-bath), with alkylamine (160 mmol) in one portion, followed by dropwise addition of titanium(IV) chloride (4.55 g, 24 mmol) in pentane (10 mL) at 0 °C. After stirring at 0 °C for 30 min the reaction was allowed to stir at room temperature for an additional 2-3 h. Then the mixture was filtered and diluted with ice-cooled diethyl ether (100 mL), followed by washing with 0.5 N sodium hydroxide (100 mL) and diethyl ether (2 x 100 mL). The combined organic layers were dried (K₂CO₃), filtered and evaporated to afford α,α -dichloroketimines **10** as pale yellow crystals, which were recrystallized from diethyl ether, or compound **20** as a colorless liquid that was distilled, bp. 48-53°C/11 mmHg.²

N-(2,2-Dichloro-1-phenylethylidene)isopropylamine 10a. For spectroscopic data of imine **10a** see reference 3.

N-[2,2-Dichloro-1-(4-chlorophenyl)ethylidene]isopropylamine 10b.

¹H NMR (CDCl₃, 270 MHz): δ = 1.07 (d, 3H, J = 6.3 Hz, 2xCH₃), 3.31 (sept, 1H, J = 6.3 Hz, CHN), 6.34 (s, 1H, CHCl), 7.21-7.24 (m, 2H, 2xCH), 7.42-7.45 (m, 2H, 2xCH); ¹³C NMR (CDCl₃, 75 MHz): δ = 23.3, 53.2, 73.9, 128.6, 130.3, 130.1, 135.5, 162.1; IR (KBr): ν = 1639 (C=N); MS (ES⁺): m/z = 264/266/268/270. M.p. (Et₂O) = 71.7-73.0 °C. Yield = 89%, pale yellow crystals. Anal. Calcd. for C₁₁H₁₂Cl₃N: C, 49.94; H, 4.57; N, 5.29. Found: C, 49.79; H, 4.69; N, 5.10.

N-(2,2-Dichloro-1-phenylethylidene)cyclohexylamine 10c. For spectroscopic data of imine **10c** see reference 4.

Synthesis of Sulfonylimines 7

Commercial (dimethoxymethyl)benzene (50 mmol) was condensed with benzenesulfonamide (or *p*-toluenesulfonamide) (50 mmol) on heating at 170 °C (neat) until methanol evolution stopped. After cooling the reaction mixture at room temperature, the crude *N*-sulfonylimines were recrystallized from diethyl ether as white crystalline products.⁵ Imines **7a**,^{5,6} **7b**,⁶ **7c**,⁶ **7d**^{5,6} have been reported previously.

***N*-[(3*E*)-2,2-dichloro-3-(4-chlorophenyl)-3-(isopropylimino)-1-phenylpropyl]phenylsulfonamide 11b**

¹H NMR (CDCl₃, 300 MHz): δ = 1.09 (d, 3H, *J* = 6.3 Hz, CH₃), 1.16 (d, 3H, *J* = 6.3 Hz, CH₃), 3.24 (sept, 1H, *J* = 6.3 Hz, CHN=), 5.54 (d, 1H, *J* = 8.3 Hz, CHNH), 6.89 (brd, 1H, NH), 6.92 (d, 2H, *J* = 8.5 Hz, CH_{arom.}), 7.08-7.35 (m, 10H, CH_{arom.}), 7.51-7.58 (m, 2H, CH_{arom.}); ¹³C NMR (CDCl₃, 75 MHz): δ = 23.1, 23.2, 54.5, 67.5, 90.5, 126.5, 127.0, 127.6, 128.4, 128.6, 129.3, 130.6, 132.2, 134.7, 135.2, 140.5, 164.4; IR (KBr): ν = 3248 (NH), 1644 (C=N), 1328 and 1171 (S=O); MS (ES⁺): *m/z* (%) = 509/511/513/515 (MH⁺, 10), 264/266/268 (25), 246 (100). M.p. (Et₂O - pentane 9:1) = 140.2-140.4 °C. Yield = 85% (crude), pale yellow crystals. Anal. Calcd. for C₂₄H₂₃Cl₃N₂O₂S: C, 56.53; H, 4.55; N, 5.49. Found: C, 56.40; H, 4.46; N, 5.59.

***N*-[(3*E*)-2,2-dichloro-1-(4-chlorophenyl)-3-(isopropylimino)-3-phenylpropyl]phenylsulfonamide 11c**

¹H NMR (CDCl₃, 300 MHz): δ = 1.11 (d, 3H, J = 6.0 Hz, CH₃), 1.17 (d, 3H, J = 6.0 Hz, CH₃), 3.27 (sept, 1H, J = 6.0 Hz, CHN=), 5.50 (d, 1H, J = 8.4 Hz, CHNH), 6.83 (brs, 1H, NH), 6.92 (d, 4H, J = 8.5 Hz, CH_{arom.}), 7.20-7.43 (m, 8H, CH_{arom.}), 7.56-7.60 (m, 2H, CH_{arom.}); ¹³C NMR (CDCl₃, 75 MHz): δ = 23.2, 23.3, 54.4, 67.9, 90.1, 127.0, 127.7, 128.2, 128.7, 129.1, 132.0, 132.4, 133.5, 133.7, 134.3, 140.6, 165.5; IR (KBr): ν = 3263 (NH), 1643 (C=N), 1328 and 1169 (S=O); MS (ES⁺): m/z (%) = 509/511/513/515 (MH⁺, 14), 297/299 (100), 280/282 (60), 230/232/234 (80). M.p. (MeOH) = 163.3-163.9 °C. Yield = 80%, pale yellow crystals. Anal. Calcd. for C₂₄H₂₃Cl₃N₂O₂S: C, 56.53; H, 4.55; N, 5.49. Found: C, 56.36; H, 4.68; N, 5.37.

***N*-[*(3E)*-2,2-dichloro-1-(4-chlorophenyl)-3-(cyclohexylimino)-3-phenylpropyl]phenylsulfonamide 11d**

¹H NMR (CDCl₃, 300 MHz): δ = 1.11-1.35 (m, 3H, CH₂), 1.51-1.76 (m, 7H, CH₂), 2.95 (quint., 1H, J = 7.1 Hz, CHN=), 5.47 (d, 1H, J = 8.8 Hz, CHNH), 6.99 (brs, 1H, NH), 7.01-7.09 (m, 4H, CH_{arom.}), 7.22-7.45 (m, 8H, CH_{arom.}), 7.56-7.59 (m, 2H, CH_{arom.}); ¹³C NMR (CDCl₃, 75 MHz): δ = 23.9, 24.0, 25.3, 33.0, 33.1, 62.1, 67.4, 89.8, 126.9, 127.6, 127.8, 128.1, 128.6, 129.0, 131.9, 132.2, 133.3, 133.7, 134.2, 140.5, 165.8; IR (KBr): ν = 3257 (NH), 1644 (C=N), 1329 and 1170 (S=O); MS (ES⁺): m/z (%) = 549/551/553/555 (MH⁺, 80), 270/272/274 (100). M.p. (MeOH - Et₂O 95:5) = 123.6-123.8 °C. Yield = 47%, pale yellow crystals. Anal. Calcd. for C₂₇H₂₇Cl₃N₂O₂S: C, 58.97; H, 4.95; N, 5.09. Found: C, 58.80; H, 4.77; N, 5.17.

***N*-[*(3E)*-2,2-dichloro-3-(isopropylimino)-1-(4-methoxyphenyl)-3-phenylpropyl]phenylsulfonamide 11e**

¹H NMR (CDCl₃, 300 MHz): δ = 1.10 (d, 3H, J = 6.1 Hz, CH₃), 1.14 (d, 3H, J = 6.1 Hz, CH₃), 3.26 (sept, 1H, J = 6.1 Hz, CHN=), 3.75 (s, 3H, OCH₃), 5.47 (d, 1H, J = 8.2 Hz, CHNH), 6.82 (brs, 1H, NH), 6.99-7.01 (m, 2H, CH_{arom.}), 7.18-7.38 (m, 8H, CH_{arom.}), 7.57 (d, 2H, J = 8.5 Hz, CH_{arom.}); ¹³C NMR (CDCl₃, 75 MHz): δ = 23.2, 23.3, 54.3, 55.3, 67.4, 90.7, 112.9, 127.0, 128.1, 128.6, 127.8, 129.0, 129.2, 131.8, 132.1, 133.8, 140.8, 159.5, 165.7; IR (KBr): ν = 3258 (NH), 1645 (C=N), 1328 and 1170 (S=O); MS (ES⁺): m/z = no M⁺, 276 (M⁺-229). M.p. (MeOH) = 116.5-116.7 °C. Yield = 90% (crude), pale yellow crystals. Anal. Calcd. for C₂₅H₂₆Cl₂N₂O₃S: C, 59.41; H, 5.18; N, 5.54. Found: C, 59.20; H, 5.29; N, 5.59.

***N*-[*(3E)*-2,2-dichloro-3-(4-chlorophenyl)-3-(isopropylimino)-1-phenylpropyl](4-methylphenyl)sulfonamide 11f**

¹H NMR (CDCl₃, 300 MHz): δ = 1.10 (d, 3H, J = 6.3 Hz, CH₃), 1.16 (d, 3H, J = 6.3 Hz, CH₃), 3.24 (sept, 1H, J = 6.3 Hz, CHN=), 5.52 (d, 1H, J = 9.1 Hz, CHNH), 6.58 (brd, 1H, NH), 6.91-7.32 (m, 11H, CH_{arom.}), 7.44 (d, 2H, J = 8.3 Hz, CH_{arom.}); ¹³C NMR (CDCl₃, 75 MHz): δ = 21.4, 23.0, 23.1, 54.4, 67.4, 90.6, 127.0, 127.5, 128.1, 128.4, 129.1, 129.2, 130.5, 132.1, 134.8, 135.0, 137.6, 142.8, 164.3; IR (KBr): ν = 3265 (NH), 1646 (C=N), 1330 and 1169 (S=O); MS (ES⁺): m/z (%) = 523/525/527/529 (MH⁺, 10), 260 (100). M.p. (Et₂O) = 154.2-155.4 °C. Yield = 34%, pale yellow crystals. Anal. Calcd. for C₂₅H₂₅Cl₃N₂O₂S: C, 57.31; H, 4.81; N, 5.35. Found: C, 57.20; H, 4.90; N, 5.46.

***N*-[2,2-dichloro-3-(4-chlorophenyl)-3-oxo-1-phenylpropyl]phenylsulfonamide 12b**

¹H NMR (CDCl₃, 300 MHz): δ = 5.52 (d, 1H, J = 9.6 Hz, CHNH), 6.28 (d, 1H, J = 9.6 Hz, NH), 7.09-7.60 (m, 12H, CH_{arom.}), 7.96 (m, 2H, CH_{arom.}); ¹³C NMR (CDCl₃, 75

MHz): δ = 65.8, 87.7, 127.1, 128.0, 128.6, 128.7, 129.9, 130.9, 132.0, 132.5, 133.7, 140.1, 140.2, 187.4; IR (KBr): ν = 3290 (NH), 1694 (C=O), 1341 and 1165 (S=O); MS (ES⁺): m/z (%) = 468/470/472/474 (MH⁺, 8), 246 (100). M.p. (MeOH) = 148.0-151.5 °C. Yield = 95%, pale yellow crystals. Anal. Calcd. for C₂₁H₁₆Cl₃NO₃S: C, 53.80; H, 3.44; N, 2.99. Found: C, 53.72; H, 3.30; N, 2.80.

***N*-[2,2-dichloro-1-(4-chlorophenyl)-3-oxo-3-phenylpropyl]phenylsulfonamide 12c**

¹H NMR (CDCl₃, 300 MHz): δ = 5.46 (d, 1H, J = 9.9 Hz, CHNH), 5.80 (d, 1H, J = 9.9 Hz, NH), 7.09-7.12 (m, 2H, CH_{arom.}), 7.16-7.20 (m, 2H, CH_{arom.}), 7.21-7.29 (m, 3H, CH_{arom.}), 7.41-7.48 (m, 3H, CH_{arom.}), 7.58-7.60 (m, 2H, CH_{arom.}), 8.01 (d, 2H, CH_{arom.}); ¹³C NMR (CDCl₃, 75 MHz): δ = 65.3, 87.3, 127.1, 128.2, 128.3, 128.8, 130.5, 131.4, 132.3, 132.6, 132.7, 133.8, 134.8, 140.1, 188.3; IR (KBr): ν = 3278 (NH), 1703 (C=O), 1329 and 1170 (S=O); MS (ES⁺): m/z (%) = 468/470/472/474 (MH⁺, 10), 280/282 (100), 105 (25). M.p. (MeOH) = 155.3-156.5 °C. Yield = 99%, white crystals. Anal. Calcd. for C₂₁H₁₆Cl₃NO₃S: C, 53.80; H, 3.44; N, 2.99. Found: C, 53.65; H, 3.52; N, 2.86.

***N*-{(1*E*)-1-[*cis*-2-chloro-3-phenyl-1-(phenylsulfonyl)aziridin-2-yl](4-chlorophenyl)methylidene}isopropylamine 19b**

¹H NMR (CDCl₃, 300 MHz): δ = 1.13 (d, 3H, J = 6.0 Hz, CH₃), 1.26 (d, 3H, J = 6.0 Hz, CH₃), 3.59 (sept, 1H, J = 6.0 Hz, CHN=), 5.04 (s, 1H, CHPh), 7.10-7.12 (m, 2H, CH_{arom.}), 7.25-7.27 (m, 3H, CH_{arom.}), 7.46-7.60 (m, 7H, CH_{arom.}), 7.99-8.01 (m, 2H, CH_{arom.}); ¹³C NMR (CDCl₃, 75 MHz): δ = 22.8, 23.4, 51.0, 53.6, 73.3, 127.5, 127.6, 128.1, 128.6, 128.7, 129.1, 129.7, 131.5, 133.0, 133.6, 135.1, 140.0, 158.4; IR (KBr): ν = 1639 (C=N), 1346 and 1168 (S=O); MS (ES⁺): m/z (%) = 473/475/477 (MH⁺, 30),

332/334/336 (100). M.p. (MeOH) = 49.5-50.7 °C. Yield = 89%, pale yellow crystals. Anal. Calcd. for C₂₄H₂₂Cl₂N₂O₂S: C, 60.89; H, 4.68; N, 5.92. Found: C, 60.71; H, 4.77; N, 6.01.

***N*-{(1*E*)-1-[*cis*-2-chloro-3-(4-chlorophenyl)-1-(phenylsulfonyl)aziridin-2-yl](phenyl)methylidene}isopropylamine 19c**

¹H NMR (CDCl₃, 300 MHz): δ = 1.12 (d, 3H, *J* = 6.1 Hz, CH₃), 1.27 (d, 3H, *J* = 6.1 Hz, CH₃), 3.65 (sept, 1H, *J* = 6.1 Hz, CHN=), 4.91 (s, 1H, CHAr), 7.01-7.04 (m, 2H, CH_{arom.}), 7.20-7.24 (m, 2H, CH_{arom.}), 7.42-7.65 (m, 8H, CH_{arom.}), 7.99-8.02 (m, 2H, CH_{arom.}); ¹³C NMR (CDCl₃, 75 MHz): δ = 23.0, 23.4, 50.3, 53.6, 73.4, 127.5, 128.1, 128.4, 128.6, 129.0, 129.2, 130.3, 133.7, 134.5, 134.7, 139.9, 159.3; IR (KBr): ν = 1638 (C=N), 1348 and 1169 (S=O); MS (ES⁺): *m/z* (%) = 473/475/477 (MH⁺, 38), 332/334/336 (100). M.p. (MeOH) = 70.0 °C. Yield = 97%, pale yellow crystals. Anal. Calcd. for C₂₄H₂₂Cl₂N₂O₂S: C, 60.89; H, 4.68; N, 5.92. Found: C, 60.71; H, 4.82; N, 5.84.

***N*-{(1*E*)-1-[*cis*-2-chloro-3-(4-chlorophenyl)-1-(phenylsulfonyl)aziridin-2-yl](phenyl)methylidene}cyclohexylamine 19d**

¹H NMR (CDCl₃, 300 MHz): δ = 1.09-1.31 (m, 2H, CH₂), 1.43-1.81 (m, 8H, CH₂), 3.33-3.77 (m, 1H, CHN=), 4.95 (s, 1H, CHAr), 7.03 (d, 2H, *J* = 8.5 Hz, CH_{arom.}), 7.21 (d, 2H, *J* = 8.5 Hz, CH_{arom.}), 7.46-7.64 (m, 8H, CH_{arom.}), 7.99-8.02 (m, 2H, CH_{arom.}); ¹³C NMR (CDCl₃, 75 MHz): δ = 23.9, 24.0, 25.7, 32.7, 33.3, 50.3, 61.5, 73.5, 127.5, 128.1, 128.4, 129.0, 129.1, 130.3, 133.6, 134.5, 134.7, 140.0, 159.4; IR (KBr): ν = 1639 (C=N), 1349 and 1169 (S=O); MS (ES⁺): *m/z* (%) = 513/515/517 (MH⁺, 100), 372/374/376 (99).

M.p. (MeOH) = 55.0-57.1 °C. Yield = 81%, pale yellow crystals. Anal. Calcd. for C₂₇H₂₆Cl₂N₂O₂S: C, 63.16; H, 5.10; N, 5.46. Found: C, 63.02; H, 5.22; N, 5.54.

***Syn-N*-{1-[*cis*-2-Chloro-1-(phenylsulfonyl)-3-phenylaziridin-2-yl](4-chlorophenyl)methyl}isopropylamine 27b**

¹H NMR (CDCl₃, 300 MHz): δ = 1.10 (d, 3H, *J* = 6.3 Hz, CH₃), 1.15 (d, 3H, *J* = 6.3 Hz, CH₃), 2.16 (brs, 1H, CHNH), 2.74 (sept, 1H, *J* = 6.3 Hz, CHNH), 4.34 (s, 1H, CCHPh), 4.75 (s, 1H, NHCHAr), 6.71-6.73 (m, 2H, CH_{arom.}), 7.17-7.28 (m, 3H, CH_{arom.}), 7.35-7.68 (m, 7H, CH_{arom.}), 8.04-8.07 (m, 2H, CH_{arom.}); ¹³C NMR (CDCl₃, 75 MHz): δ = 21.7, 24.4, 46.3, 52.4, 63.7, 76.6, 127.2, 127.8, 128.3, 128.6, 128.8, 129.3, 130.0, 130.9, 134.1, 134.2, 137.9, 139.4; IR (KBr): ν = 3322 (NH); MS (ES⁺): *m/z* = 475/477/479 (MH⁺). M.p. (MeOH) = 144.0-145.4 °C. Yield = 70%, white crystals. Anal. Calc. for C₂₄H₂₄Cl₂N₂O₂S: C, 60.63; H, 5.09; N, 5.89. Found: C, 60.85; H, 5.19; N, 5.77.

***Syn-N*-{1-[*cis*-2-Chloro-1-(phenylsulfonyl)-3-(4-chlorophenyl)aziridin-2-yl](phenyl)methyl}isopropylamine 27c**

¹H NMR (CDCl₃, 300 MHz): δ = 1.09 (d, 3H, *J* = 6.3 Hz, CH₃), 1.14 (d, 3H, *J* = 6.3 Hz, CH₃), 2.18 (brs, 1H, CHNH), 2.79 (sept, 1H, *J* = 6.3 Hz, CHNH), 4.31 (s, 1H, CCHAr), 4.79 (s, 1H, NHCHPh), 6.63-6.66 (m, 2H, CH_{arom.}), 7.06-7.09 (m, 3H, CH_{arom.}), 7.35-7.67 (m, 7H, CH_{arom.}), 8.04-8.07 (m, 2H, CH_{arom.}); ¹³C NMR (CDCl₃, 75 MHz): δ = 21.7, 24.3, 45.9, 51.5, 63.8, 76.6, 127.6, 128.4, 128.4, 128.5, 129.2, 129.6, 133.6, 134.4, 139.0, 139.4; IR (KBr): ν = 3324 (NH); MS (ES⁺): *m/z* = 475/477/479 (MH⁺). M.p. (MeOH) = 120.8-121.7 °C. Yield = 80%, white crystals. Anal. Calc. for C₂₄H₂₄Cl₂N₂O₂S: C, 60.63; H, 5.09; N, 5.89. Found: C, 60.50; H, 5.21; N, 5.98.

Anti-N*-{1-[*cis*-2-chloro-1-(phenylsulfonyl)-3-phenylaziridin-2-yl](4-chlorophenyl)methyl}isopropylamine **28** invertomer **1*

^1H NMR (CDCl_3 , 300 MHz): δ = 0.98 (d, 3H, J = 5.6 Hz, CH_3), 1.00 (d, 3H, J = 5.6 Hz, CH_3), 2.93 (sept, 1H, J = 6.2 Hz, CHNH), 3.64 (s, 1H, CCHAr), 4.32 (s, 1H, NHCHPh), 6.74 (d, 2H, J = 7.43 Hz, $\text{CH}_{\text{arom.}}$), 6.99-7.27 (m, 7H, $\text{CH}_{\text{arom.}}$), 7.55-7.70 (m, 3H, $\text{CH}_{\text{arom.}}$), 8.02-8.06 (m, 2H, $\text{CH}_{\text{arom.}}$); ^{13}C NMR (CDCl_3 , 75 MHz): δ = 23.1, 45.6, 53.5, 62.2, 71.1, 128.0, 128.1, 128.2, 128.7, 129.0, 129.4, 130.1, 134.1, 134.9, 137.5, 139.3; IR (KBr): ν = 3325 (NH); MS (ES^+): m/z = 475/477/479 (MH^+). M.p. = 134.5-136.2°C. Yield = 16% (combined yield of the two invertomers), white crystals. Anal. Calc. for $\text{C}_{24}\text{H}_{24}\text{Cl}_2\text{N}_2\text{O}_2\text{S}$: C, 60.63; H, 5.09; N, 5.89. Found: C, 60.47; H, 5.27; N, 5.93.

Anti-N*-{1-[*cis*-2-chloro-1-(phenylsulfonyl)-3-phenylaziridin-2-yl](4-chlorophenyl)methyl}isopropylamine **28** invertomer **2*

^1H NMR (CDCl_3 , 300 MHz): δ = 0.39 (d, 3H, J = 6.2 Hz, CH_3), 0.69 (d, 3H, J = 6.2 Hz, CH_3), 1.92 (brs, 1H, NH), 2.32 (sept, 1H, J = 6.2 Hz, CHNH), 3.37 (s, 1H, CCHAr), 4.49 (s, 1H, NHCHPh), 7.16-7.41 (m, 12H, $\text{CH}_{\text{arom.}}$), 7.75-7.78 (m, 2H, $\text{CH}_{\text{arom.}}$); ^{13}C NMR (CDCl_3 , 75 MHz): δ = 21.6, 23.3, 44.8, 53.4, 60.3, 71.8, 127.8, 127.9, 128.0, 128.1, 128.7, 128.8, 128.9, 130.2, 133.7, 134.7, 137.4, 138.5; IR (KBr): ν = 3307 (NH), 1453 and 1170 (S=O); MS (ES^+): m/z = 475/477/479 (MH^+). M.p. (MeOH) = 121.6-122.2 °C. Yield = 16% (combined yield of the 2 invertomers), white crystals. Anal. Calc. for $\text{C}_{24}\text{H}_{24}\text{Cl}_2\text{N}_2\text{O}_2\text{S}$: C, 60.63; H, 5.09; N, 5.89. Found: C, 60.53; H, 5.19; N, 5.99.

N*-[(4-Chlorophenyl)(1-isopropyl-3-phenyl-aziridin-2-yl)methyl]benzenesulfonamide **29*

^1H NMR (CDCl_3 , 300 MHz): δ = 1.10 (d, 3H, J = 6.2 Hz, CH_3), 1.24 (d, 3H, J = 6.2 Hz, CH_3), 1.73 (sept, 1H, J = 6.2 Hz, CHNH), 1.83 (dd, 1H, J = 7.8 and 6.5 Hz, CHCHNi-Pr), 2.69 (d, 1H, J = 6.5 Hz, $\text{C}_6\text{H}_5\text{CHNi-Pr}$), 3.41 (dd, 1H, J = 2.3 and 7.8 Hz, CHNSO_2), 5.11 (d, 1H, J = 2.3 Hz, NH), 6.73-6.77 (m, 2H, $\text{CH}_{\text{arom.}}$), 6.98-7.09 (m, 4H, $\text{CH}_{\text{arom.}}$), 7.16-7.26 (m, 3H, $\text{CH}_{\text{arom.}}$), 7.36-7.40 (m, 2H, $\text{CH}_{\text{arom.}}$), 7.51-7.57 (m, 3H, $\text{CH}_{\text{arom.}}$); ^{13}C NMR (CDCl_3 , 75 MHz): δ = 22.0, 22.4, 46.9, 50.3, 55.8, 60.5, 127.3, 127.5, 127.6, 128.3, 128.5, 128.6, 128.8, 132.6, 133.5, 136.0, 137.5, 139.6; IR (KBr): ν = 3345 (NH), 1494 and 1156 (S=O); MS (ES^+): m/z = 441/443 (MH^+). M.p. = 151.0-151.3 $^\circ\text{C}$. Yield = 6%, colorless needles. Anal. Calc. for $\text{C}_{24}\text{H}_{25}\text{ClN}_2\text{O}_2\text{S}$: C, 65.37; H, 5.71; N, 6.35. Found: C, 65.19; H, 5.89; N, 6.24.

X-ray structure of 2-(aminomethyl)-2-chloroaziridine **27c**

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