

# Three-Component Reaction of Small-Ring Cyclic Amines with Arynes and Acetonitrile

David Stephens, Yu Zhang, Mathew Cormier, Gabriel Chavez, Hadi Arman and Oleg V. Larionov\*

*Department of Chemistry, University of Texas at San Antonio, San Antonio, TX 78249, United States.*  
*E-mail: oleg.larionov@utsa.edu*

## General Procedures

**Materials and methods:** Anhydrous dichloromethane, toluene and tetrahydrofuran were collected under argon from an LC Technologies solvent purification system, having been passed through two columns packed with molecular sieves. Acetonitrile and methanol were dried over 4Å molecular sieves. 3Å molecular sieves were freshly dried at 100 °C and allowed to cool to room temperature under positive argon pressure before use. All other chemicals were used as commercially available (Sigma-Aldrich, Acros, Alfa Aesar, Combi-Blocks, Strem). Triflates **16** and **19** were purchased from TCI America. Triflates **6**, **17**, **18** were prepared as described elsewhere.<sup>1</sup> All reactions were conducted with continuous magnetic stirring under an atmosphere of argon in oven-dried glassware. Reactions were monitored by TLC until deemed complete using silica gel-coated glass plates (Merck Kieselgel 60 F254). Plates were visualized under ultraviolet light (254 nm).

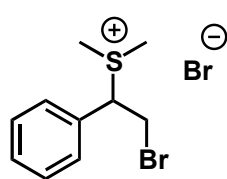
**Purification:** Column chromatography was performed using CombiFlash Rf-200 (Teledyne-Isco) automated flash chromatography system with self-packed RediSep columns.

**Characterization:** <sup>1</sup>H, <sup>13</sup>C NMR spectra were recorded at 300 and 500 (<sup>1</sup>H), and 75.5 and 125 MHz on Varian Mercury VX 300 and Agilent Inova 500 instruments in CDCl<sub>3</sub> solutions if not otherwise specified. Chemical shifts (δ) are reported in parts per million (ppm) from the residual solvent peak and coupling constants (J) in Hz. Proton multiplicity is assigned using the following abbreviations: singlet (s), doublet (d), triplet (t), quartet (quart), quintet (quint), septet (sept), multiplet (m), broad (br). Infrared measurements were carried out neat on a Bruker Vector 22 FT-IR spectrometer fitted with a Specac diamond attenuated total reflectance (ATR) module.

Specific optical rotations were measured on a Rudolph Research Analytical Autopol IV digital polarimeter using a 1 dm cell.

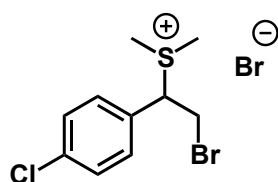
Chiral reverse-phase HPLC analysis was carried out using an Agilent 1260 Infinity LCMS equipped with Chiralcel OJ-RH, OD-RH and AD-RH columns (4.6 mm x 15 cm, Daicel Chemical Industries) with visualization at 254 or 210 nm.

**(2-Bromo-1-phenylethyl)dimethylsulfonium bromide (S1)**



**S1** was prepared according to literature procedure.<sup>2</sup>

**(2-Bromo-1-(4-chlorophenyl)ethyl)dimethylsulfonium bromide (S2)**



**S2** was prepared according to literature procedure.<sup>2</sup>

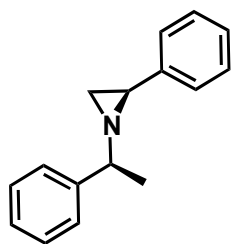
**General Procedure 1 (GP1) for the synthesis of S3-S11:**

To a solution of dimethylsulfonium salt **S1** or **S2** (10 g) in water (150 mL) was added corresponding amine (2–3 equiv.) and *N,N*-diisopropylethylamine (0–2 equiv.) in methanol (50 mL) over the course of 1 h. The reaction was allowed to stir for 12 h before being basified with a saturated aqueous solution of sodium bicarbonate (2 mL) and the aqueous layer was then extracted with CH<sub>2</sub>Cl<sub>2</sub> (6 x 20 mL). The combined organic fractions were dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resulting yellow oil was purified by column chromatography [hexanes/5% triethylamine/EtOAc] to give the desired product.

**General Procedure 2 (GP2) for the synthesis of 7-15, 20-23:**

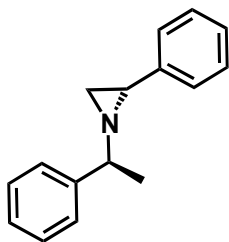
An oven dried storage tube was charged with 3Å molecular sieves (30 mg), acetonitrile (0.5 mL), toluene (1.5 mL), cesium fluoride (6 equiv., 1.5 mmol), triflate (3 equiv., 0.75 mmol) and the corresponding aziridine (0.25 mmol). Argon was bubbled through the reaction mixture for 5 minutes followed by heating to 65 °C for 12 h. The reaction mixture was filtered through celite, washed with CH<sub>2</sub>Cl<sub>2</sub> (3 x 5 mL), concentrated and purified by column chromatography [hexanes/EtOAc] to give the desired product.

### (2*R*)-phenyl-1-(1*S*)-phenylethylaziridine<sup>3</sup> (**S3**)



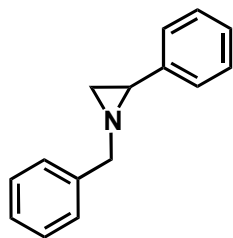
According to GP1, dimethylsulfonium salt **S1** (2.5 g, 7.73 mmol) in H<sub>2</sub>O (40 mL) was reacted with 1-(*S*)-phenylethylamine (1.4 mL, 23.19 mmol, 1.2 equiv.) and *N,N*-diisopropylethylamine (2.7 mL, 15.46 mmol, 2 equiv.) in methanol (13 mL). The crude product was purified by column chromatography to give aziridine **S3** (800 mg, 89%) as a colorless oil. – [α]<sub>D</sub><sup>23</sup> –45° (c 1.5, CHCl<sub>3</sub>). – <sup>1</sup>H NMR (300 MHz): 1.55 (3 H, dd, *J* = 2, 6.5 Hz), 1.75 (1 H, d, *J* = 6.5 Hz), 1.91 (1 H, d, *J* = 2 Hz), 2.58 – 2.62 (1 H, m), 2.73 (1 H, quart, *J* = 6.5 Hz), 7.28 – 7.46 (8 H, m), 7.50 – 7.58 (2 H, m) ppm. – <sup>13</sup>C NMR (75 MHz): 23.72, 37.33, 41.69, 70.34, 126.50, 126.71, 126.89, 126.97, 127.06, 128.36, 140.64, 144.77 ppm. – IR: 1001, 1069, 1196, 1350, 1448, 1493, 1603, 2925, 3027 cm<sup>–1</sup>.

### (2*S*)-Phenyl-1-((1*S*)-phenylethyl)aziridine<sup>3</sup> (**S4**)



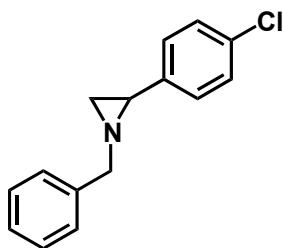
According to GP1, dimethylsulfonium salt **S1** (2.5 g, 7.73 mmol) in H<sub>2</sub>O (40 mL) was reacted with 1-(*S*)-phenylethylamine (1.4 mL, 23.19 mmol, 1.2 equiv.) and *N,N'*-diisopropylethylamine (2.7 mL, 15.46 mmol, 2 equiv.) in methanol (13 mL). The crude product was purified by column chromatography to give aziridine **S4** (600 mg, 67%) as a colorless oil. – [α]<sub>D</sub><sup>23</sup> +83° (c 1.3, CHCl<sub>3</sub>). – <sup>1</sup>H NMR (500 MHz): 1.50 (3 H, d, *J* = 9 Hz), 1.84 (1 H, d, *J* = 6.5 Hz), 2.05 (1 H, d, *J* = 3 Hz), 2.42 (1 H, dd, *J* = 3, 6.5 Hz), 2.70 (1 H, quart., *J* = 6.5 Hz), 7.18 – 7.29 (8 H, m), 7.36 – 7.39 (2 H, m) ppm. – <sup>13</sup>C NMR (125 MHz): 23.38, 37.57, 40.87, 70.39, 126.33, 126.63, 126.65, 126.78, 128.11, 128.23, 140.14, 144.71 ppm. – IR: 982, 1092, 1284, 1390, 1585, 1605, 2971, 3061 cm<sup>–1</sup>.

### 1-Benzyl-2-phenylaziridine<sup>4</sup> (**S5**)



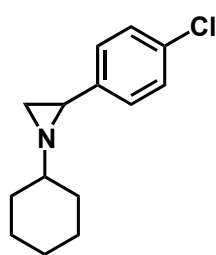
According to GP1, dimethylsulfonium salt **S1** (2.5 g, 7.73 mmol) in H<sub>2</sub>O (40 mL) was reacted with benzylamine (2.7 mL, 23.19 mmol, 3 equiv.). The crude product was purified by column chromatography to yield **S5** (1.3 g, 69 %) as a colorless oil. – <sup>1</sup>H NMR (300 MHz): 1.91 (1 H, d, *J* = 6.5 Hz), 2.07 (1 H, d, *J* = 3.5 Hz), 2.58 (1 H, dd, *J* = 3.5, 6.5 Hz), 3.68 (1 H, d, *J* = 14 Hz), 3.78 (1 H, d, *J* = 14 Hz), 7.31 – 7.50 (10 H, m) ppm. – <sup>13</sup>C NMR (75 MHz): 38.10, 41.59, 64.81, 126.34, 126.97, 127.06, 127.93, 128.39, 128.45, 139.23, 140.26 ppm. – IR: 1019, 1027, 1253, 1453, 1603, 3028 cm<sup>-1</sup>.

### 1-Benzyl-2-(4-chlorophenyl)aziridine<sup>5</sup> (**S6**)



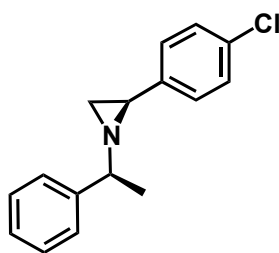
According to GP1, dimethylsulfonium salt **S2** (1.3 g, 4.40 mmol) in H<sub>2</sub>O (22 mL) was reacted with benzylamine (1.55 mL, 13.20 mmol, 3 equiv.). The crude product was purified by column chromatography to yield **S6** (740 mg, 80%) as colorless oil. – <sup>1</sup>H NMR (300 MHz): 1.86 (1 H, d, *J* = 6.5 Hz), 1.94 (1 H, d, *J* = 3.5 Hz), 2.46 (1 H, dd, *J* = 3.5, 6.5 Hz), 3.56 (1 H, d, *J* = 14 Hz), 3.70 (1 H, d, *J* = 14 Hz), 7.15 – 7.36 (9 H, m) ppm. – <sup>13</sup>C NMR (125 MHz): 38.18, 40.80, 64.64, 127.09, 127.63, 127.83, 128.42, 128.44, 132.53, 138.85, 139.00 ppm. – IR: 953, 1088, 1143, 1355, 1482, 1492, 1600, 2823, 2975, 3028 cm<sup>-1</sup>.

### 2-(4-Chlorophenyl)-1-cyclohexylaziridine (**S7**)



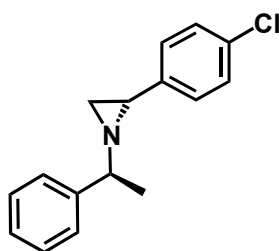
According to GP1, dimethylsulfonium salt **S2** (1.3g, 4.40 mmol) in H<sub>2</sub>O (22 mL) was reacted with cyclohexylamine (1.51 mL, 13.20 mmol, 3 equiv.). The crude product was purified by column chromatography to yield **S7** (839 mg, 81%) as a colorless oil. – <sup>1</sup>H NMR (300 MHz): 1.17 – 1.87 (12 H, m), 2.17 (1 H, s), 2.31 (1 H, dd, *J* = 3, 6 Hz), 7.19 (2 H, d, *J* = 8.5 Hz), 7.24 (2 H, d, *J* = 7 Hz) ppm. – <sup>13</sup>C NMR (125 MHz): 24.77, 26.12, 32.27, 32.93, 36.16, 39.39, 69.52, 127.52, 128.68, 132.28, 139.37 ppm. – IR: 991, 1130, 1233, 1366, 1426, 1491, 2853, 2926 ppm. – MS (ESI): 236.1, HRMS: calcd: 236.1201; found 235.1126 [M + H<sup>+</sup>].

### 2*R*-(4-Chlorophenyl)-1-(1*S*-phenylethyl)aziridine (**S8**)



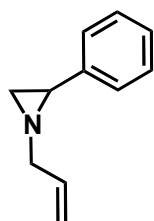
According to GP1, dimethylsulfonium salt **S2** (2.97 g, 10.06 mmol) in H<sub>2</sub>O (50 mL) was reacted with 1-(*S*)-phenylethylamine (1.8 mL, 12.08 mmol, 2 equiv.) and *N,N'*-diisopropylethylamine (3.5 mL, 20.12 mmol, 3 equiv.) in methanol (17 mL). The crude product was purified by column chromatography to give aziridine **S8** (732 mg, 56%) as a colorless oil. –  $[\alpha]_{\text{D}}^{25} -24^{\circ}$  (*c* 1.4, CHCl<sub>3</sub>). – <sup>1</sup>H NMR (500 MHz): 1.45 (3 H, d, *J* = 6.5 Hz), 1.70 (1 H, d, *J* = 6.5 Hz), 1.78 (1 H, d, *J* = 3.5 Hz), 2.50 (1 H, dd, *J* = 3, 8 Hz), 2.66 (1 H, quart., *J* = 6.5 Hz), 7.10 – 7.22 (4 H, m), 7.28 – 7.46 (5 H, m) ppm. – <sup>13</sup>C NMR (75 MHz): 23.70, 37.60, 40.95, 70.21, 126.94, 127.17, 127.74, 127.85, 128.42, 132.52, 139.30, 144.59 ppm. – IR: 1049, 1283, 1346, 1426, 1491, 2866, 2966 ppm. – MS (ESI): 258.1, HRMS: calcd: 258.1044; found 257.0969 [M + H<sup>+</sup>].

### 2*S*-(4-Chlorophenyl)-1-(1*S*-phenylethyl)aziridine (**S9**)



According to GP1, dimethylsulfonium salt **S2** (2.97 g, 10.06 mmol) in H<sub>2</sub>O (50 mL) was reacted with 1-(*S*)-phenylethylamine (1.8 mL, 12.08 mmol, 2 equiv.) and diisopropylethylamine (3.5 mL, 20.12 mmol, 3 equiv.) in methanol (17 mL). The crude product was purified by column chromatography to give aziridine **S9** (687 mg, 53%) as a colorless oil. –  $[\alpha]_{\text{D}}^{25} +12.5^{\circ}$  (*c* 1.2, CHCl<sub>3</sub>). – <sup>1</sup>H NMR (500 MHz): 1.53 (3 H, d, *J* = 6.5 Hz), 1.87 (1 H, d, *J* = 6.5 Hz), 2.03 (1 H, d, *J* = 3 Hz), 2.39 (1 H, dd, *J* = 3.5, 6.5 Hz), 2.71 (1 H, quart., *J* = 6.5 Hz), 7.15 (2 H, d, *J* = 8.5 Hz), 7.23 (2 H, d, *J* = 8.5 Hz), 7.29 – 7.40 (5 H, m) ppm. – <sup>13</sup>C NMR (125 MHz): 23.44, 37.85, 40.18, 70.36, 126.61, 126.93, 127.69, 128.30, 128.27, 132.33, 138.84, 144.62 ppm. – IR: 1053, 1114, 1233, 1369, 1458, 2865, 2926 cm<sup>-1</sup>. – MS (ESI): 258.1, HRMS: calcd: 258.1044; found 257.0974 [M + H<sup>+</sup>].

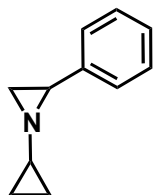
### 1-Allyl-2-phenylaziridine<sup>5</sup> (**S10**)



According to GP1, dimethylsulfonium salt **S1** (3.0 g, 9.25 mmol) in H<sub>2</sub>O (20 mL) was reacted with allylamine (917 μL, 12.02 mmol, 1.3 equiv.). The crude product was purified by column chromatography to give aziridine **S10** (1.2 g, 82%) as a colorless oil. – <sup>1</sup>H NMR (500 MHz): 1.73 (1 H, d, *J* = 6.5 Hz), 1.94 (1 H, d, *J* = 3 Hz), 2.36 (1 H, dd, *J* = 3.5, 6.5 Hz), 2.99 (1 H, ddd, *J* = 1.5, 5.5, 14.5 Hz), 3.13 (1 H, dt, *J* = 1.5, 14.5 Hz), 5.11 (1 H,

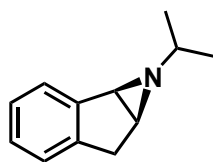
dt,  $J = 1.5, 10.5$  Hz), 5.23 (1 H, dt,  $J = 1.5, 10.5$  Hz), 5.93 – 6.01 (1 H, m), 7.22 – 7.32 (5 H, m) ppm. –  $^{13}\text{C}$  NMR (75 Hz): 37.66, 41.34, 63.57, 116.41, 126.15, 126.88, 128.29, 135.14, 140.16 ppm. – IR: 1030, 1205, 1451, 1644, 2988  $\text{cm}^{-1}$ .

### 1-Cyclopropyl-2-phenylaziridine<sup>6</sup> (**S11**)



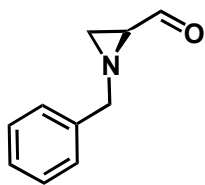
According to GP1, dimethylsulfonium salt **S1** (4 g, 12.38 mmol) in  $\text{H}_2\text{O}$  (61 mL) was reacted with cyclopropylamine (2.58 mL, 37.15 mmol, 3 equiv.). The crude product was purified by column chromatography to yield **S11** (1.2 g, 63 %) as colorless oil. –  $^1\text{H}$  NMR (300 MHz): 0.44 – 0.50 (2 H, m), 0.61 – 0.66 (2 H, m), 1.64 (1 H, sept.,  $J = 6$  Hz), 1.88 (1 H, d,  $J = 5.5$  Hz), 1.90 (1 H, s), 2.59 (1 H, dd,  $J = 6, 11$  Hz), 7.18 – 7.32 (5 H, m) ppm. –  $^{13}\text{C}$  NMR (75 MHz): 4.73, 5.13, 36.73, 40.49, 40.80, 126.24, 126.78, 128.24, 128.33, 140.26 ppm. – IR: 1014, 1223, 1362, 1452, 3005  $\text{cm}^{-1}$ .

### 1-Isopropyl-1,(1*aR*\*),6,(6*aS*\*)-tetrahydroindeno[1,2-*b*]azirine (**S12**)



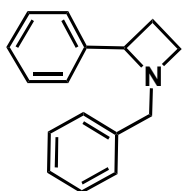
To a solution of indene oxide (1.88 g, 14.31 mmol) in isopropylamine (5 mL, 3M) was added samarium triflate (100 mg, 0.167 mmol, 1.2 mol %) and the reaction mixture was allowed to stir at 23 °C for 12 h before being concentrated. The crude product was then diluted with tetrahydrofuran (60 mL, 0.3M) and reacted with triethylamine (4.6 mL, 33 mmol, 2.2 equiv.) and *p*-toluenesulfonyl chloride (3.13 g, 16.5 mmol, 1.1 equiv.) at 65 °C for 12 h. The crude reaction was cooled to 23 °C and filtered through Celite with the solids being washed with tetrahydrofuran (3 x 10 mL). The crude product was then purified by column chromatography [hexanes/triethylamine/EtOAc] to yield **S12** (1.43 g, 57%) as a brown oil. –  $^1\text{H}$  NMR (300 MHz): 1.17 (3 H, d,  $J = 9.5$  Hz), 1.19 (3 H, d,  $J = 9.5$  Hz), 1.69 (1 H, sept.,  $J = 6$  Hz), 2.55 (1 H, td,  $J = 1, 1, 5$  Hz), 2.91 (1 H, dd,  $J = 0.5, 5$  Hz), 3.03 (1 H, d,  $J = 4$  Hz), 3.06 (1 H, s), 7.11 – 7.63 (4 H, m) ppm. –  $^{13}\text{C}$  NMR (75 MHz): 21.53, 21.96, 35.39, 43.36, 58.44, 123.95, 125.85, 125.99, 127.02, 128.74, 143.98 ppm. – IR: 909, 1144, 1336, 1424, 1567, 2927, 3023  $\text{cm}^{-1}$ . – MS (ESI): 174.1, HRMS: calcd: 174.1277; found 174.1375 [ $\text{M} + \text{H}^+$ ].

### (1*R*)-Benzylaziridine-2-carbaldehyde (**S13**)



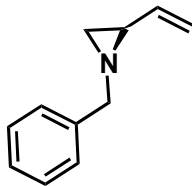
**S13** was prepared from methyl *N*-benylaziridine-2-carboxylate according to literature procedure.<sup>7</sup>

### 1-Benzyl-2-phenylazetidine (**S14**)



**S16** was prepared from styrene and *N*-chlorosulfonyl isocyanate according to a literature procedure.<sup>8</sup>

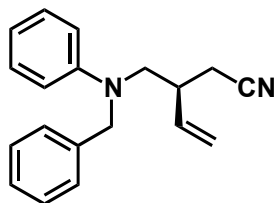
### (1*S*)-Benzyl-2-vinylaziridine (**5**)<sup>9</sup>



Sodium bis(trimethylsilyl)amide (9.91 g, 54.18 mmol, 1.2 equiv.) in tetrahydrofuran (100 mL) was reacted with methyl triphenylphosphonium bromide (20.95 g, 58.69 mmol, 1.3 equiv.) at 0 °C for 2 h. Aldehyde **S13** (7.27 g, 45.15 mmol) in tetrahydrofuran (50 mL) was added to the reaction and allowed to warm to 23 °C over 4 h. The reaction was diluted with H<sub>2</sub>O (30 mL) and CH<sub>2</sub>Cl<sub>2</sub> (30 mL) and the organic and aqueous layers were separated. CH<sub>2</sub>Cl<sub>2</sub> (4 x 15 mL) was used to extract the aqueous layer. The organic layers were combined and dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resulting yellow soils were purified by column chromatography [hexanes/triethylamine/EtOAc] to yield **5** (5.3 g, 74 %) as yellow oil. – <sup>1</sup>H NMR (500 MHz): 1.63 (1 H, d, *J* = 6.5 Hz), 1.86 (1 H, d, *J* = 3 Hz), 2.03 (1 H, dt, *J* = 3, 3 Hz), 3.49 (1 H, d, *J* = 14 Hz), 3.53 (1 H, d, *J* = 14 Hz), 5.14 (1 H, dd, *J* = 1, 10 Hz), 5.34 (1 H, dd, *J* = 1.5, 17.5 Hz), 5.60 – 5.68 (1 H, m), 7.25 – 7.42 (5 H, m) ppm. – <sup>13</sup>C NMR (125 MHz): 35.40, 41.40, 64.40, 116.26, 126.98, 127.82, 128.35, 138.29 ppm. – IR: 846, 1156, 1342, 1536, 1606, 1692, 1712, 2829, 3027 cm<sup>-1</sup>.

### (3*S*)-((Benzyl(phenyl)amino)methyl)pent-4-enenitrile ((**S**)-**7**)

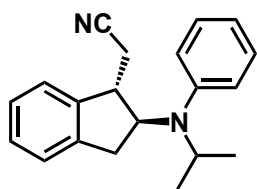




According to GP2, aziridine **5** (40 mg, 0.25 mmol) was reacted with cesium fluoride (228 mg, 1.5 mmol, 6 equiv.), acetonitrile (0.5 mL), triflate **6** (223 mg, 0.75 mmol, 3 equiv.) in toluene (1.5 mL). The crude product was purified by column chromatography to yield nitrile (*S*)-**7** (58 mg, 84%) as a yellow oil. –

$[\alpha]_D^{23} -50^\circ$  (*c* 1.1,  $\text{CHCl}_3$ ). –  $^1\text{H}$  NMR (300 MHz): 2.42 (1 H, dd,  $J = 7, 7$  Hz), 2.50 (1 H, dd,  $J = 5.5, 5.5$  Hz), 2.94 (1 H, quart.,  $J = 7$  Hz), 3.46 (1 H, dd,  $J = 7, 7$  Hz), 3.57 (1 H, dd,  $J = 7, 7$  Hz), 4.61 (2 H, s), 5.24 (1 H, dd,  $J = 0.5, 3.5$  Hz), 5.29 (1 H, d, 0.5 Hz), 5.74 – 5.86 (1 H, m), 6.75 – 6.80 (3 H, m), 7.18 – 7.38 (7 H, m) ppm. –  $^{13}\text{C}$  NMR (75 MHz): 20.49, 38.82, 54.67, 55.98, 113.37, 115.37, 117.55, 118.21, 126.77, 127.05, 128.65, 129.40, 136.24, 138.00, 147.98 ppm. – IR: 1028, 1165, 1296, 1418, 1597, 1642, 2246, 2874, 3026  $\text{cm}^{-1}$ . – MS (ESI): 276.1, HRMS: calcd: 277.1699; found 276.1629  $[\text{M} + \text{H}^+]$ .

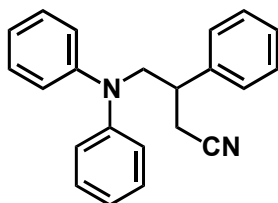
#### (2*S*<sup>\*</sup>)-2-(Isopropyl(phenyl)amino)-(2*S*<sup>\*</sup>),3-dihydro-1*H*-inden-1-yl)acetonitrile (**8**)



According to GP2, aziridine **S12** (43 mg, 0.25 mmol) was reacted with cesium fluoride (228 mg, 1.5 mmol, 6 equiv.), acetonitrile (0.5 mL), triflate **6** (223 mg, 0.75 mmol, 3 equiv.) in toluene (1.5 mL). The crude product was purified by column chromatography to yield nitrile **8** (53 mg, 73 %) as a yellow oil –  $^1\text{H}$  NMR

(300 MHz): 1.23 (3 H, d,  $J = 6.5$  Hz), 1.31 (3 H, d,  $J = 6.5$  Hz), 2.64 (1 H, dd,  $J = 6.5, 17$  Hz), 2.87 (1 H, dd,  $J = 6.5, 17$  Hz), 3.12 (1 H, dd,  $J = 9, 17$  Hz), 3.35 (1 H, dd,  $J = 9, 17$  Hz), 3.78 – 3.85 (1 H, ddd,  $J = 6, 7, 9$  Hz), 3.96 (1 H, sept.,  $J = 6.5$  Hz), 4.00 – 4.12 (1 H, ddd,  $J = 9, 9, 9$  Hz), 6.81 – 6.84 (2 H, m), 7.16 – 7.22 (3 H, m), 7.27 – 7.34 (4 H, m) ppm. –  $^{13}\text{C}$  NMR (75 MHz): 20.70, 21.34, 22.49, 35.33, 42.26, 50.22, 62.25, 119.31, 119.48, 123.61, 125.30, 127.15, 127.87, 128.31, 128.92, 130.58, 140.99, 147.06 ppm. – IR: 1052, 1074, 1213, 1383, 1499, 1597, 2931, 2968  $\text{cm}^{-1}$ . – MS (ESI): 291.0, HRMS: calcd: 291.1856; found 291.1984  $[\text{M} + \text{H}^+]$ .

#### 4-(Diphenylamino)-3-phenylbutanenitrile (**9**)

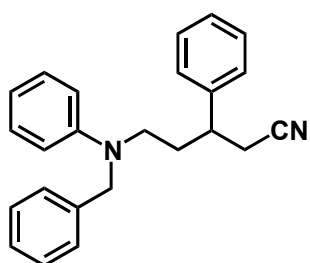


According to GP2, aziridine **S5** (49 mg, 0.25 mmol) was reacted with cesium fluoride (228 mg, 1.5 mmol, 6 equiv.), acetonitrile (0.5 mL), triflate **6** (223 mg, 0.75 mmol, 3 equiv.) in toluene (1.5 mL). The crude product was purified by



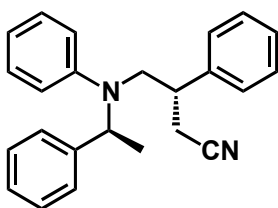
column chromatography to yield nitrile **9** (52 mg, 67 %) as a yellow oil. –  $^1\text{H}$  NMR (500 MHz): 2.74 (1 H, dd,  $J = 8, 17$  Hz), 2.83 (1 H, dd,  $J = 8, 17$  Hz), 3.42 – 3.46 (1 H, m), 3.97 (1 H, dd,  $J = 6, 15$  Hz), 4.10 (1 H, dd,  $J = 6, 15$  Hz), 6.88 (1 H, dd,  $J = 1, 12.5$  Hz), 6.91 (2 H, dd,  $J = 0.5, 8$  Hz), 7.00 (2 H, td,  $J = 0.5, 7.5, 7.5$  Hz), 7.21 – 7.47 (10 H, m) ppm. –  $^{13}\text{C}$  NMR (125 MHz): 22.04, 40.52, 57.01, 118.40, 121.45, 127.46, 127.92, 128.57, 129.00, 129.27, 139.45, 137.98 ppm. – IR: 908, 1060, 1144, 1271, 1363, 1353, 1588, 2855, 2924, 3027  $\text{cm}^{-1}$ . – MS (ESI): 312.9, HRMS: 313.1699 calcd; found 312.1733  $[\text{M} + \text{H}^+]$ .

### 5-(Benzyl(phenyl)amino)-3-phenylpentanenitrile (**10**)



According to GP2, azetidine **S14** (33 mg, 0.25 mmol) was reacted with cesium fluoride (228 mg, 1.5 mmol, 6 equiv.), acetonitrile (0.5 mL), triflate **6** (223 mg, 0.75 mmol, 3 equiv.) in toluene (1.5 mL). The crude product was purified by column chromatography to yield nitrile **10** (63 mg, 74 %) as a yellow oil. –  $^1\text{H}$  NMR (500 MHz): 2.04 – 2.12 (1 H, m), 2.16 – 2.23 (1 H, m), 2.58 (2 H, d,  $J = 7$  Hz), 2.92 – 2.99 (1 H, m), 3.21 – 3.32 (2 H, m), 4.30 (1 H, d,  $J = 17$  Hz), 4.47 (1 H, d,  $J = 17$  Hz), 6.56 (1 H, dd,  $J = 1, 8.5$  Hz), 7.13 – 7.40 (14 H, m) ppm. –  $^{13}\text{C}$  NMR (125 MHz): 25.57, 31.86, 40.17, 48.77, 54.64, 112.43, 116.62, 120.86, 126.63, 127.14, 127.82, 128.32, 128.57, 129.10, 129.25, 129.32, 138.66, 140.76, 138.11 ppm. – IR: 1015, 1170, 1356, 1453, 1600, 2926, 3066  $\text{cm}^{-1}$ . – MS (ESI): 341.8, HRMS: calcd: 341.2012; found 340.1941  $[\text{M} + \text{H}^+]$ .

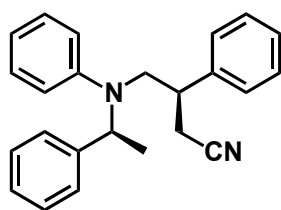
### (3S)-Phenyl-4-(phenyl((1S)-phenylethyl)amino)butanenitrile ((S,S)-**11**)



According to GP2, aziridine **S3** (167 mg, 0.75 mmol) was reacted with cesium fluoride (684 mg, 4.5 mmol, 6 equiv.), acetonitrile (1.5 mL), triflate **6** (669 mg, 2.25 mmol, 3 equiv.) in toluene (4.5 mL). The crude product was purified by column chromatography to yield nitrile (S,S)-**11** (208 mg, 82%) as a yellow oil. –  $[\alpha]_{\text{D}}^{23} -61^\circ$  (c 1.1,  $\text{CHCl}_3$ ). –  $^1\text{H}$  NMR (500 MHz): 1.26 (3 H, d,  $J = 7$  Hz), 2.00 (1

H, dd,  $J = 9, 17$  Hz), 2.48 (1 H, dd,  $J = 4.5, 17$  Hz), 3.02 – 3.1 (2 H, m), 3.29 (1 H, dd,  $J = 4, 13$  Hz), 4.91 (1 H, dd,  $J = 7, 14$  Hz), 6.96 – 7.08 (4 H, m), 7.25 – 7.42 (11 H, m) ppm. –  $^{13}\text{C}$  NMR (125 MHz): 14.46, 21.44, 39.63, 49.51, 61.71, 118.73, 119.49, 120.69, 127.30, 127.53, 127.63, 127.98, 128.45, 128.83, 129.33, 140.25, 142.06, 148.42 ppm. – IR: 1056, 1252, 1363, 1495, 1597, 2857, 2969, 3029  $\text{cm}^{-1}$ . – MS (ESI): 341.8, HRMS: calcd: 341.2012; found 340.1939  $[\text{M} + \text{H}^+]$ .

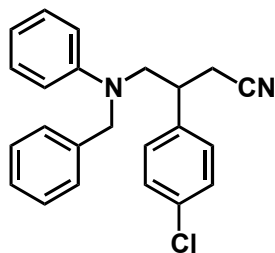
### (3*R*)-Phenyl-4-(phenyl((1*S*)-phenylethyl)amino)butanenitrile ((*S,R*)-11)



According to GP2, aziridine **S4** (111 mg, 0.50 mmol) was reacted with cesium fluoride (456 mg, 3.0 mmol, 6 equiv.), acetonitrile (1 mL), triflate **6** (447 mg, 1.5 mmol, 3 equiv.) in toluene (3 mL). The crude product was purified by column chromatography to yield nitrile (*S,R*)-**11** (123 mg, 72%) as a yellow oil. –  $[\alpha]_{\text{D}}^{23}$

–5.0° ( $c$  1.1,  $\text{CHCl}_3$ ). –  $^1\text{H}$  NMR (500 MHz): 1.61 (3 H, d,  $J = 12$  Hz), 2.64 (1 H, dd,  $J = 13, 28$  Hz), 2.79 (1 H, dd,  $J = 13, 28$  Hz), 3.09 – 3.33 (3 H, m), 4.86 (1 H, quart.,  $J = 12$  Hz), 7.02 – 7.49 (15 H, m) ppm. –  $^{13}\text{C}$  NMR (125 MHz): 21.87, 39.48, 50.64, 62.40, 118.91, 120.58, 121.29, 127.28, 127.40, 127.95, 128.37, 129.43, 140.06, 141.69, 149.06 ppm. – IR: 1142, 1259, 1365, 1452, 1495, 1597, 2972, 3029, 3060  $\text{cm}^{-1}$ . – MS (ESI): 341.8, HRMS: calcd: 341.2012; found 340.1939  $[\text{M} + \text{H}^+]$ .

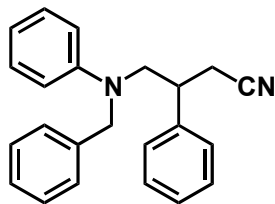
### 4-(Benzyl(phenyl)amino)-3-(4-chlorophenyl)butanenitrile (**12**)



According to GP2, aziridine **S6** (60 mg, 0.25 mmol) was reacted with cesium fluoride (228 mg, 1.5 mmol, 6 equiv.), acetonitrile (0.5 mL), triflate **6** (223 mg, 0.75 mmol, 3 equiv.) in toluene (1.5 mL). The crude product was purified by column chromatography to yield nitrile **12** (76 mg, 84%) as a yellow oil. –  $^1\text{H}$  NMR (300 MHz): 2.30 (1 H, dd,  $J = 7.5, 17$  Hz), 2.70 (1 H, dd,  $J = 7.5, 17$  Hz), 3.46 (1 H, quint.,  $J = 6.5$  Hz), 3.60 (1 H, dd,  $J = 6.5, 15$  Hz), 3.78 (1 H, dd,  $J =$

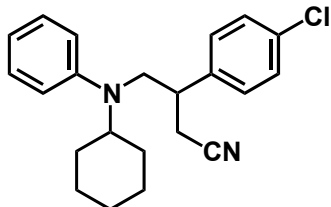
6.5, 15 Hz), 4.30 (1 H, d,  $J = 17$  Hz), 4.47 (1 H, d,  $J = 17$  Hz), 6.76 – 6.84 (3 H, m), 7.10 – 7.18 (2 H, m), 7.24 – 7.36 (9 H, m) ppm. –  $^{13}\text{C}$  NMR (75 MHz): 21.83, 39.79, 55.88, 56.11, 113.60, 117.94, 118.15, 127.11, 128.35, 128.66, 128.80, 129.22, 129.54, 133.75, 137.87, 138.18, 147.81 ppm. – IR: 1028, 1166, 1283, 1391, 1452, 1504, 1598, 2919, 3026  $\text{cm}^{-1}$ . – MS (ESI): 360.1, HRMS: calcd: 361.1466; found 360.1397  $[\text{M} + \text{H}^+]$ .

### 4-(Benzyl(phenyl)amino)-3-phenylbutanenitrile (**13**)



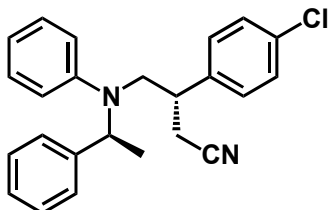
According to GP2, aziridine **S5** (52 mg, 0.25 mmol) was reacted with cesium fluoride (228 mg, 1.5 mmol, 6 equiv.), acetonitrile (0.5 mL), triflate **6** (223 mg, 0.75 mmol, 3 equiv.) in toluene (1.5 mL). The crude product was purified by column chromatography to yield nitrile **13** (71 mg, 88%) as a yellow oil. –  $^1\text{H}$  NMR (500 MHz): 2.69 (1 H, dd,  $J = 7.5, 7.5$  Hz), 2.76 (1 H, dd,  $J = 6.5, 6.5$  Hz), 3.54 (1 H, quint.,  $J = 7$  Hz), 3.70 (1 H, dd,  $J = 6.5, 6.5$  Hz), 3.87 (1 H, dd,  $J = 7.5, 7.5$  Hz), 4.36 (1 H, d,  $J = 16.5$  Hz), 4.53 (1 H, d,  $J = 16.5$  Hz), 6.85 – 6.88 (2 H, m), 7.19 (2 H, d,  $J = 6.5$  Hz), 7.27 – 7.45 (12 H, m) ppm. –  $^{13}\text{C}$  NMR (125 MHz): 21.87, 40.44, 55.87, 56.17, 113.54, 117.74, 118.57, 126.98, 127.11, 127.99, 128.45, 128.72, 129.14, 129.58, 138.18, 139.90, 148.01 ppm. – IR: 1086, 1249, 1389, 1453, 1505, 1598, 2252, 2867, 3030  $\text{cm}^{-1}$ . – MS (ESI): 328.5, HRMS: calcd: 327.1856; found: 326.1789  $[\text{M} + \text{H}^+]$ .

### 3-(4-Chlorophenyl)-4-(cyclohexyl(phenyl)amino)butanenitrile (**14**)



According to GP2, aziridine **S7** (59 mg, 0.25 mmol) was reacted with cesium fluoride (228 mg, 1.5 mmol, 6 equiv.), acetonitrile (0.5 mL), triflate **6** (223 mg, 0.75 mmol, 3 equiv.) in toluene (1.5 mL). The crude product was purified by column chromatography to yield nitrile **14** (79 mg, 90%) as a yellow oil. –  $^1\text{H}$  NMR (300 MHz): 1.11 – 1.95 (11 H, m), 2.65 (1 H, dd,  $J = 8, 16.5$  Hz), 2.76 (1 H, dd,  $J = 8, 16.5$  Hz), 3.19 – 3.26 (1 H, m), 3.30 – 3.45 (2 H, m), 6.91 – 6.97 (3 H, m), 7.19 – 7.40 (6 H, m) ppm. –  $^{13}\text{C}$  NMR (75 MHz): 21.76, 25.79, 26.17, 26.38, 29.88, 31.68, 39.15, 48.88, 63.42, 118.68, 119.44, 120.31, 128.36, 128.45, 128.86, 129.05, 129.17, 133.46, 138.75, 148.69 ppm. – IR: 1033, 1145, 1413, 1596, 2852, 2928  $\text{cm}^{-1}$ . – MS (ESI): 355.1, HRMS: calcd: 353.1779; found 352.1710  $[\text{M} + \text{H}^+]$ .

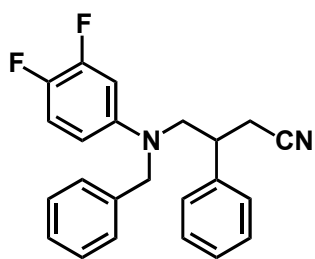
### (3*S*)-(4-Chlorophenyl)-4-(phenyl((1*S*)-phenylethyl)amino)butanenitrile ((*S,S*)-**15**)



According to GP2, aziridine **S3** (64 mg, 0.25 mmol) was reacted with cesium fluoride (228 mg, 1.5 mmol, 6 equiv.), acetonitrile (0.5 mL), triflate **6** (223 mg,

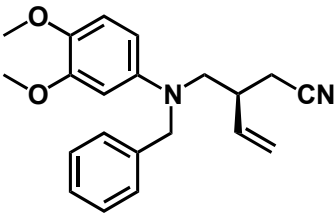
0.75 mmol, 3 equiv.) in toluene (1.5 mL). The crude product was purified by column chromatography to yield nitrile (S,S)-**15** (73 mg, 79 %) as a yellow oil.  $[\alpha]_D^{25} +45^\circ$  (c 1.1, CHCl<sub>3</sub>). – <sup>1</sup>H NMR (300 MHz): 1.29 (3 H, d, *J* = 7 Hz), 2.02 (1 H, dd, *J* = 9, 17 Hz), 2.50 (1 H, dd, *J* = 5, 17 Hz), 3.02 – 3.13 (2 H, m), 3.28 (1 H, d, *J* = 8.5 Hz), 4.90 (1 H, quart., 7 Hz), 7.01 – 7.87 (14 H, m) ppm. – <sup>13</sup>C NMR (75 MHz): 14.83, 21.48, 39.16, 49.54, 61.88, 118.50, 119.77, 121.06, 127.66, 128.00, 128.33, 128.39, 128.56, 128.80, 128.93, 129.05, 129.46, 133.46, 138.77, 142.03, 138.36 ppm. – IR: 910, 1028, 1142, 1251, 1413, 1492, 1596, 2930, 3027 cm<sup>-1</sup>. – MS (ESI): 341.8, HRMS: calcd: 375.1623; found [M + H<sup>+</sup>].

#### 4-(Benzyl(3,4-difluorophenyl)amino)-3-phenylbutanenitrile (**20**)



According to GP2, aziridine **S5** (52 mg, 0.25 mmol) was reacted with cesium fluoride (228 mg, 1.5 mmol, 6 equiv.), acetonitrile (0.5 mL), triflate **16** (250 mg, 0.75 mmol, 3 equiv.) in toluene (1.5 mL). The crude product was purified by column chromatography to yield nitrile **20** (73 mg, 81 %) as a yellow oil – <sup>1</sup>H NMR (300 MHz): 2.62 (1 H, dd, *J* = 4, 17 Hz), 2.69 (1 H, dd, *J* = 4, 17 Hz), 3.39 (1 H, quint., *J* = 7 Hz), 3.54 (1 H, dd, *J* = 7, 15 Hz), 3.74 (1 H, dd, *J* = 7, 15 Hz), 4.21 (1 H, d, *J* = 17 Hz), 4.37 (1 H, d, *J* = 17 Hz), 6.28 – 6.44 (1 H, m), 6.48 – 6.55 (1 H, m), 6.98 – 7.45 (11 H, m) ppm. – 25.57, 40.17, 48.77, 54.64, 112.43, 116.62, 118.20, 120.86, 126.63, 127.14, 127.82, 128.32, 129.10, 129.25, 129.32, 138.66, 140.77 ppm. – IR: 1056, 1212, 1287, 1453, 1496, 1597, 2930, 2969 cm<sup>-1</sup>. – MS (ESI): 364.9, HRMS: calcd: 363.1667; found [M + H<sup>+</sup>].

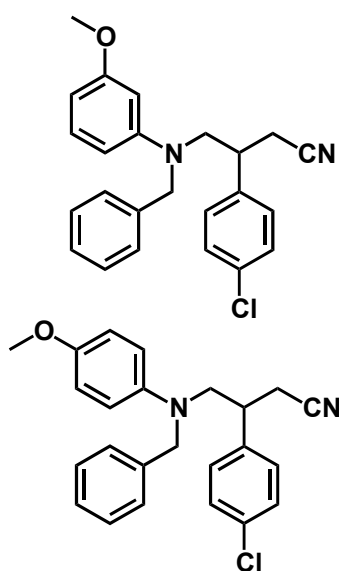
#### (3S)-((Benzyl(3,4-dimethoxyphenyl)amino)methyl)pent-4-enenitrile ((S)-**21**)



According to GP2, aziridine **5** (40 mg, 0.25 mmol) was reacted with cesium fluoride (228 mg, 1.5 mmol, 6 equiv.), acetonitrile (0.5 mL), triflate **17** (268 mg, 0.75 mmol, 3 equiv.) in toluene (1.5 mL). The crude product was purified by column chromatography to yield nitrile (S)-**21** (72 mg, 86 %) as a yellow oil. –  $[\alpha]_D^{22} +7.7^\circ$  (c 3.3, CHCl<sub>3</sub>). – <sup>1</sup>H NMR (300 MHz): 2.17 (1 H, s), 2.47 (1 H, t, *J* = 5.5 Hz), 2.77 – 2.86 (1 H, m), 3.50 (1 H, dd, *J* = 3, 9 Hz), 3.79 (3 H, s), 3.81 (3 H, s), 4.45 (2 H, s), 5.19 (1 H, d, *J* = 7.5 Hz), 5.23 (1 H, s), 5.70 – 5.82 (2 H, m), 6.32 (1 H, dd, *J* = 3, 9

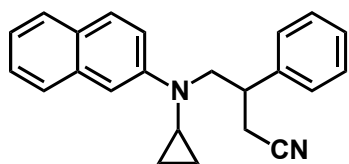
Hz), 6.44 (1 H, d,  $J = 3$  Hz), 6.76 (1 H, d,  $J = 9$  Hz), 7.18 – 7.33 (5 H, m) ppm. –  $^{13}\text{C}$  NMR (75 MHz): 20.47, 38.78, 55.30, 55.80, 56.36, 57.89, 101.37, 107.00, 112.53, 118.14, 118.34, 127.10, 127.22, 127.29, 128.44, 128.53, 136.51, 138.27, 142.33, 143.20, 149.69 ppm. – IR: 960, 1144, 1419, 1518, 1614, 2830, 2931  $\text{cm}^{-1}$ . – MS (ESI): 337.0, HRMS: calcd: 337.1911; found 336.1836  $[\text{M} + \text{H}^+]$ .

#### 4-(Benzyl(4-methoxyphenyl)amino)-3-phenylbutanenitrile and 4-(Benzyl(3-methoxyphenyl)amino)-3-(4-chlorophenyl)butanenitrile (22)



According to GP2, aziridine **S16** (122 mg, 0.5 mmol) was reacted with cesium fluoride (456 mg, 3 mmol, 6 equiv.), acetonitrile (1 mL), triflate **18** (492 mg, 1.5 mmol, 3 equiv.) in toluene (3 mL). The crude product was purified by column chromatography to yield nitrile **22** (1:1 mixture of *m*- and *p*-isomers) (152 mg, 78 %) as a yellow oil. –  $^1\text{H}$  NMR (300 MHz): 2.53 – 2.76 (2 H, m), 3.22 – 3.45 (1 H, m), 3.40 – 3.60 (2 H, m), 3.76 (3 H, s), 4.18 – 4.46 (2 H, m), 6.30 (1 H, t,  $J = 2.5$  Hz), 6.36 (2 H, m), 6.77 – 6.85 (2H, m), 7.07– 7.37 (8 H, m) ppm. –  $^{13}\text{C}$  NMR (75 MHz): 21.86, 39.77, 55.14, 55.60, 55.95, 56.30, 58.47, 100.12, 102.44, 106.40, 114.74, 114.83, 117.66, 118.10, 118.30, 126.26, 126.73, 127.04, 127.08, 127.13, 127.47, 128.33, 128.37, 128.44, 128.50, 128.64, 128.76, 129.09, 129.22, 130.23, 133.57, 137.81, 138.12, 138.15, 138.36, 149.17, 153.23, 160.86 ppm. – IR: 1015, 1093, 1142, 1247, 1416, 1512, 1611, 2835  $\text{cm}^{-1}$ . – MS (ESI): 391.1, HRMS: calcd: 391.1572; found 391.1570  $[\text{M} + \text{H}^+]$ .

#### 4-(Cyclopropyl(naphthalen-2-yl)amino)-3-phenylbutanenitrile (23)



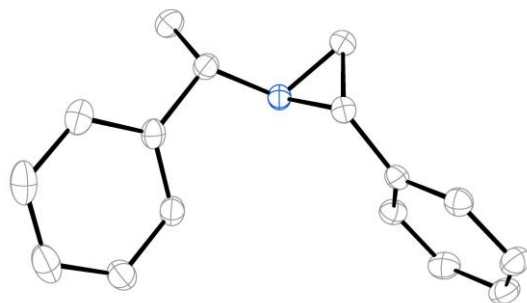
According to GP2, aziridine **5** (80 mg, 0.5 mmol) was reacted with cesium fluoride (456 mg, 3 mmol, 6 equiv.), acetonitrile (1 mL), triflate **19** (507 mg, 1.5 mmol, 3 equiv.) in toluene (3 mL). The crude product was purified by column chromatography to yield nitrile **23** (152 mg, 94 %) as a yellow oil –

$^1\text{H}$  NMR (500 MHz): 0.51 – 0.62 (2 H, m), 0.74 – 0.79 (1 H, m), 0.85 – 0.91 (1 H, m), 2.26 (1 H, sept.,  $J = 3$  Hz), 2.64 (1 H, dd,  $J = 7.5, 17$  Hz), 2.68 (1 H, dd,  $J = 7.5, 17$  Hz), 3.55 (1 H, quint.,  $J = 7$  Hz), 3.74 (1 H, dd,  $J = 7.5, 15$  Hz), 4.02 (1 H, dd,  $J = 7.5, 15$  Hz), 7.19 – 7.42 (9 H, m), 7.69 (1 H, d,  $J = 8$  Hz), 7.72 – 7.75 (2 H, m) ppm. –  $^{13}\text{C}$  NMR (125 MHz): 9.63, 10.42, 22.21, 32.67, 39.77, 55.39,

109.15, 117.19, 118.33, 122.65, 126.27, 126.41, 127.46, 127.48, 127.81, 128.75, 128.93, 134.72, 130.10, 146.09 ppm. – IR: 838, 1146, 1273, 1353, 1627, 2923, 3026  $\text{cm}^{-1}$ . – MS (ESI): 327.0, HRMS: calcd: 327.1856; found 326.1786  $[\text{M} + \text{H}^+]$ .

### X-ray Data for Crystallographic Analysis of Aziridine **S3**

A crystal was mounted in Paratone oil onto a MiTeGen MicorMount. Data collections were performed at  $-175\text{ }^{\circ}\text{C}$  on a AFC12k/SATURN724 diffractometer with a CCD area detector using Mo K $\alpha$  radiation ( $\lambda = 0.71073\text{ \AA}$ ).<sup>10</sup> The determination of crystal class and unit cell was carried out by CrystalClear<sup>10</sup> program package. Data collection and unit cell refinement were performed using *Crystal Clear* software. The total number of data was measured in the range  $5.32 < 2\theta < 54.96^{\circ}$  using  $\omega$  scans. Data processing and absorption correction, giving minimum and maximum transmission factors (0.795, 1.000), were accomplished with *Crystal Clear* and *ABSCOR*,<sup>11</sup> respectively. The structure, using *SHELXL-97*, was solved by direct methods and refined (on  $F^2$ ) using full-matrix, least-squares techniques.<sup>12,13</sup> Refinements were performed on  $F^2$  anisotropically for non-hydrogen atoms by the full-matrix least-squares method. The hydrogen atoms were located in a difference Fourier map and refined with and with  $U_{\text{iso}} = 1.2U_{\text{eq}}(\text{carrier atom})$ . The residual electron densities were of no chemical significance. CCDC 934181 contains the supplementary crystallographic data for this paper. These data can be obtained free of charge from The Cambridge Crystallographic Data Centre via [www.ccdc.cam.ac.uk/data\\_request/cif](http://www.ccdc.cam.ac.uk/data_request/cif).



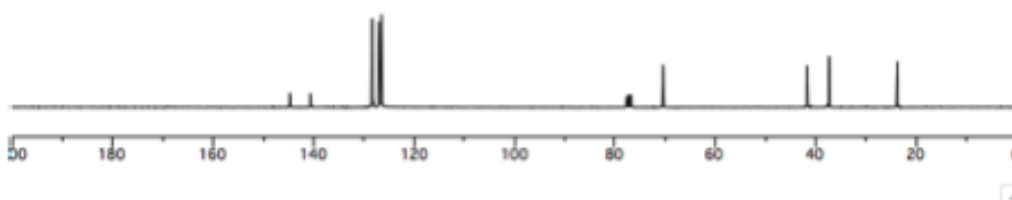
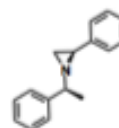
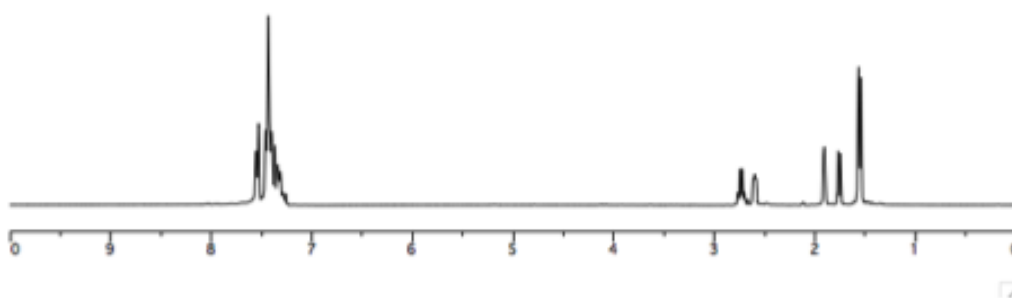
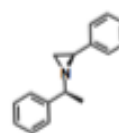
ORTEP drawing of **S3**. Thermal ellipsoids set at 50% probability. Hydrogen atoms have been omitted for clarity.



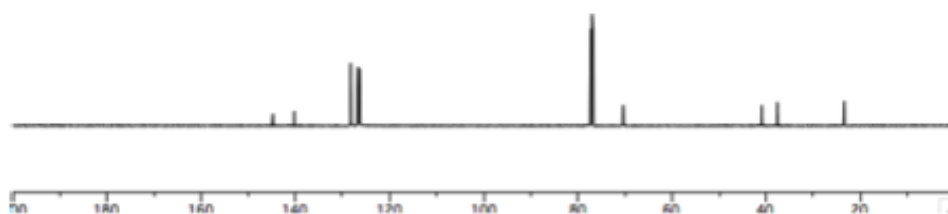
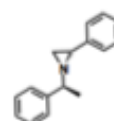
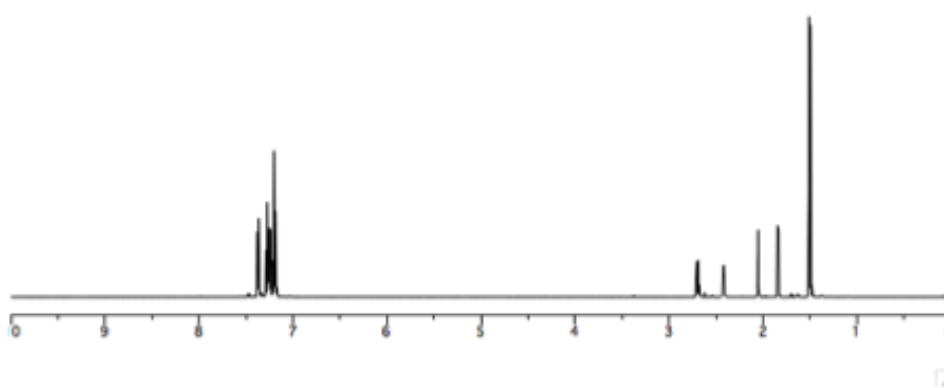
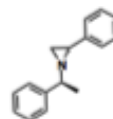
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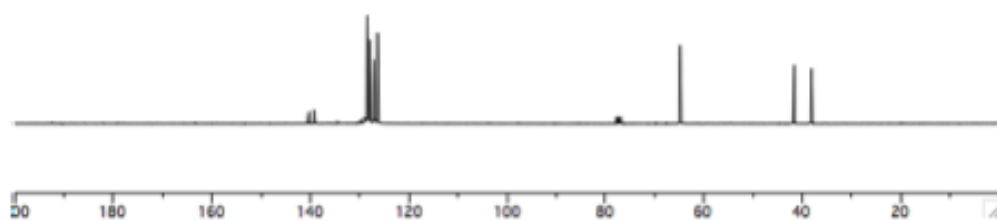
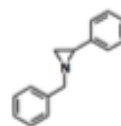
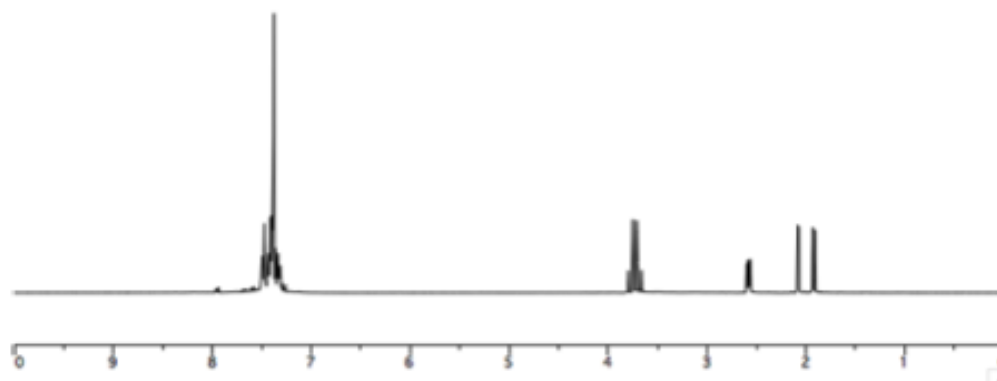
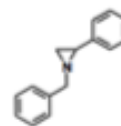
**(2*R*)-phenyl-1-(1*S*)-phenylethylaziridine<sup>3</sup> (S3)**



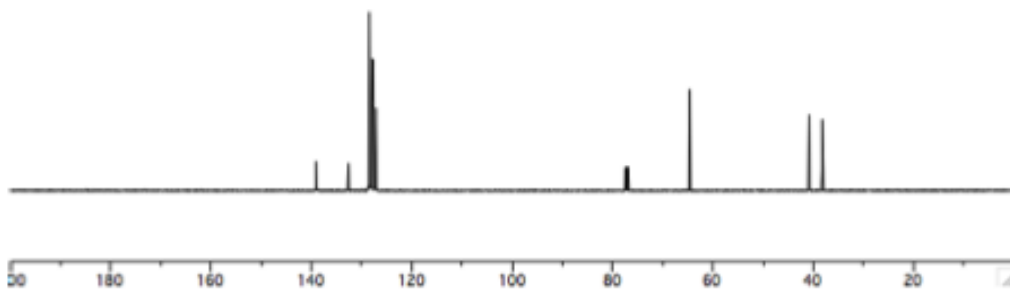
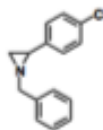
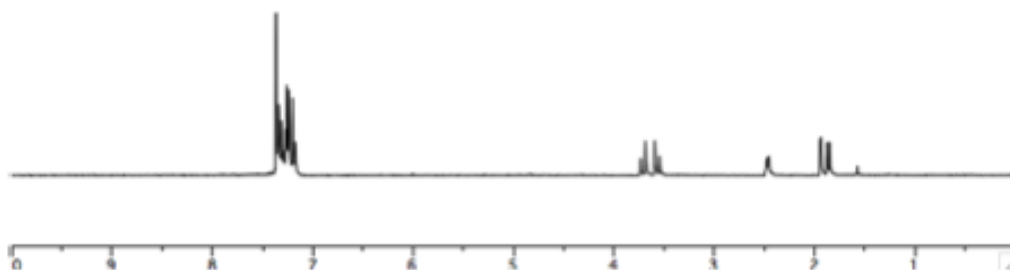
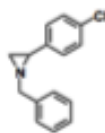
**(2S)-Phenyl-1-((1S)-phenylethyl)aziridine<sup>3</sup> (S4)**



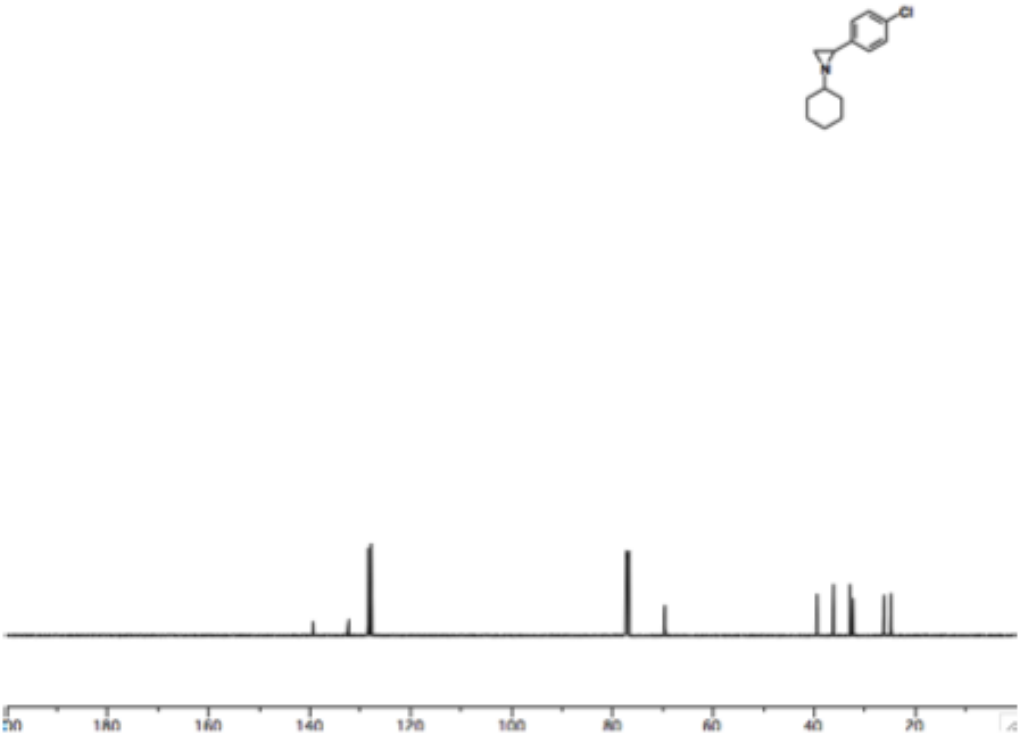
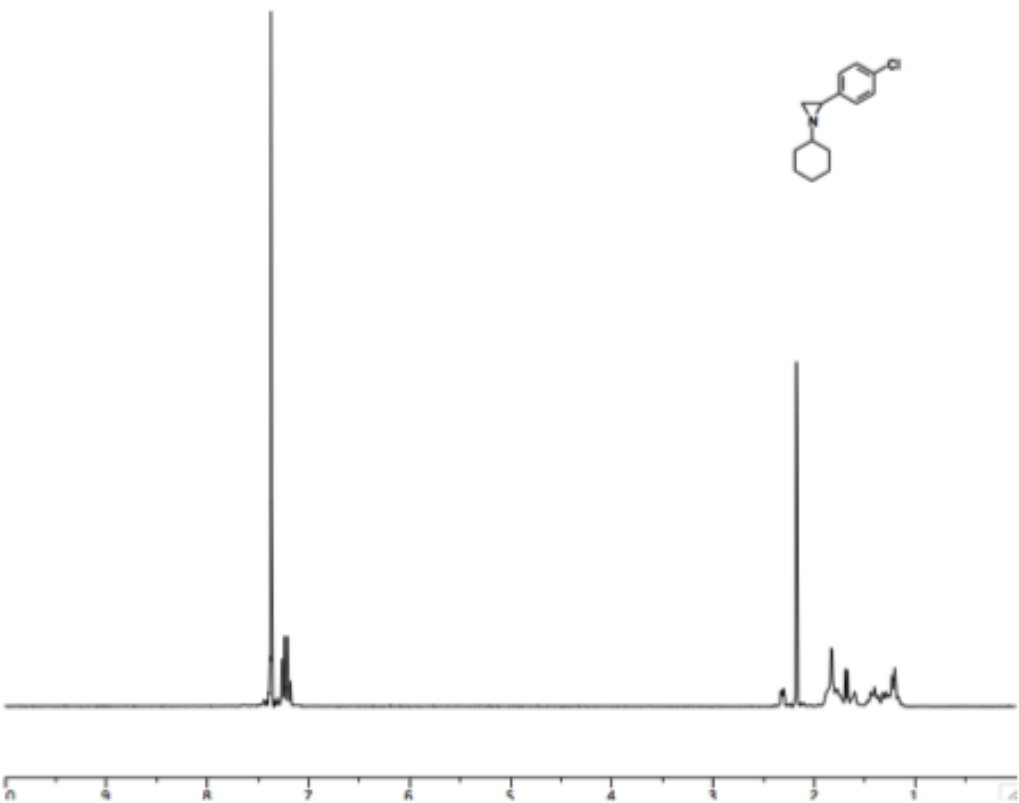
**1-Benzyl-2-phenylaziridine<sup>4</sup> (S5)**



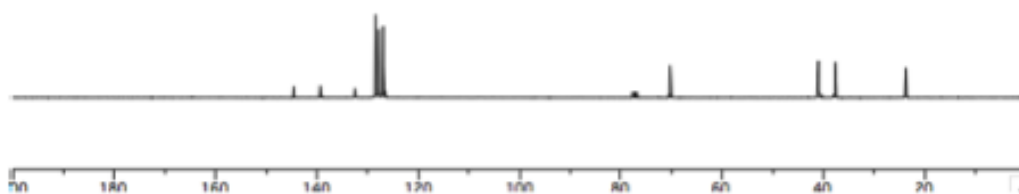
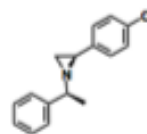
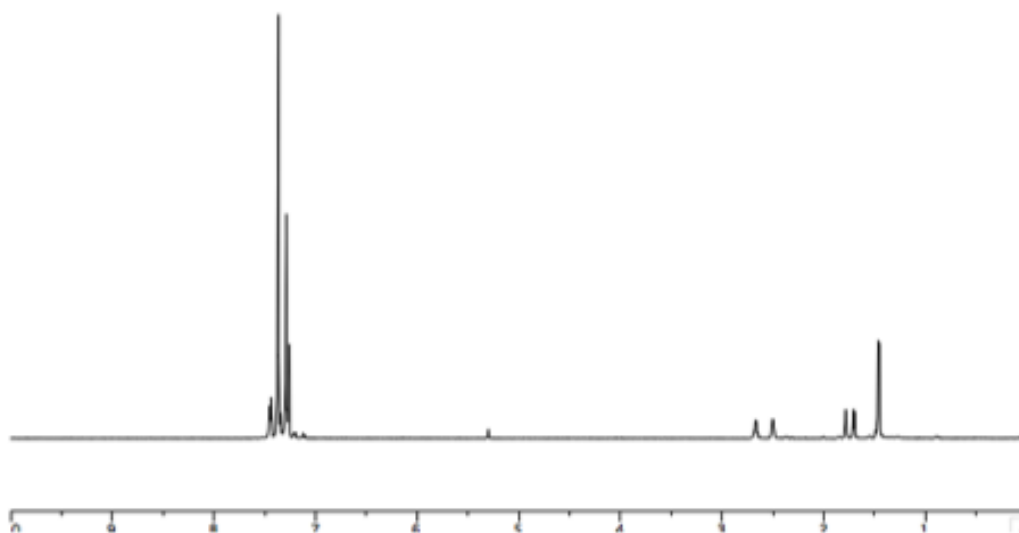
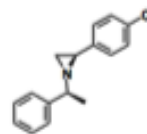
**1-Benzyl-2-(4-chlorophenyl)aziridine<sup>5</sup> (S6)**



2-(4-Chlorophenyl)-1-cyclohexylaziridine (S7)

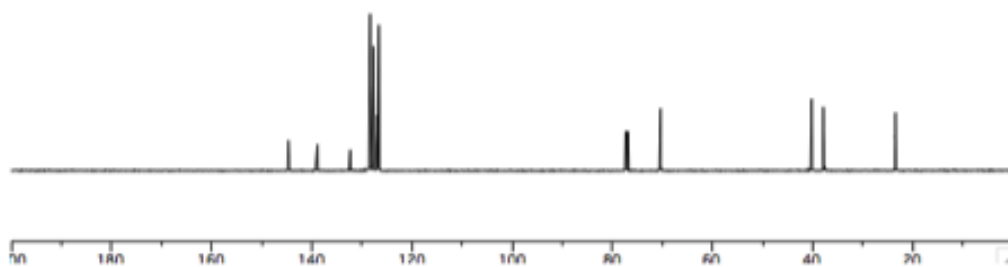
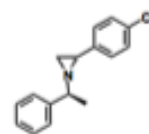
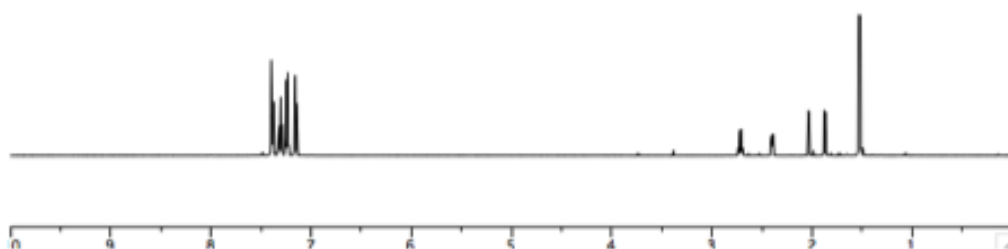
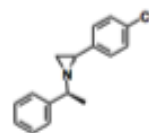


**2*R*-(4-Chlorophenyl)-1-(1*S*-phenylethyl)aziridine (S8)**

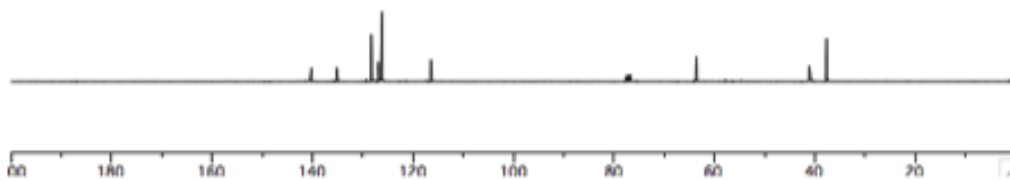
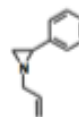
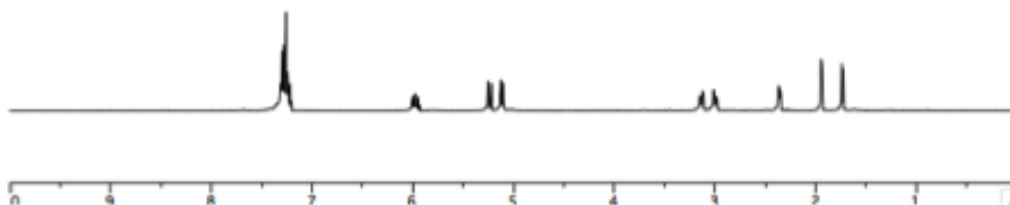
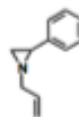




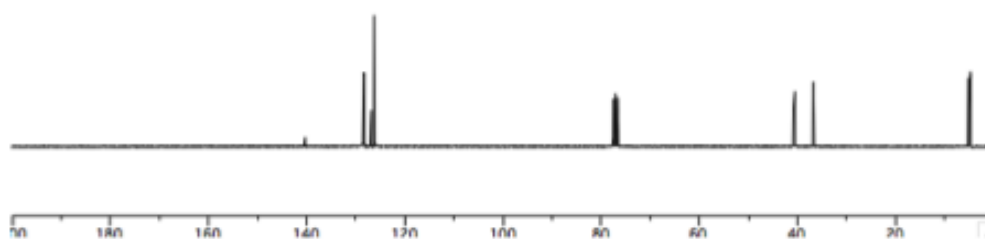
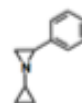
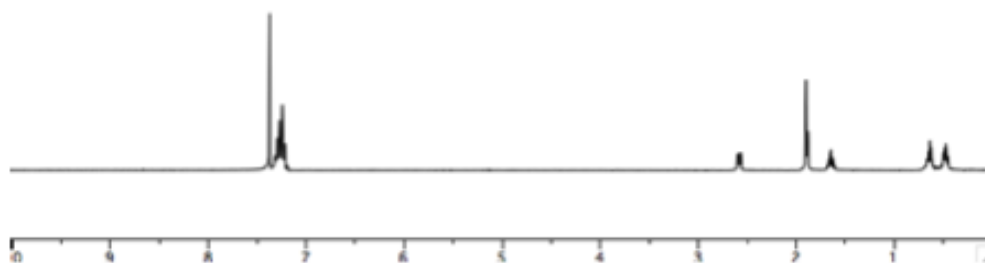
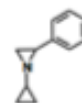
**2S-(4-Chlorophenyl)-1-(1S-phenylethyl)aziridine (S9)**



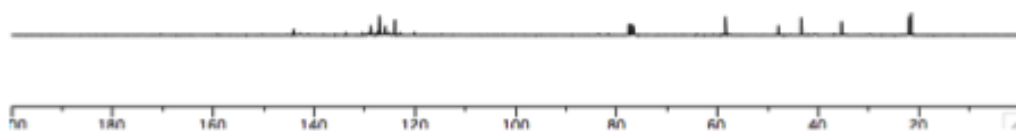
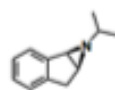
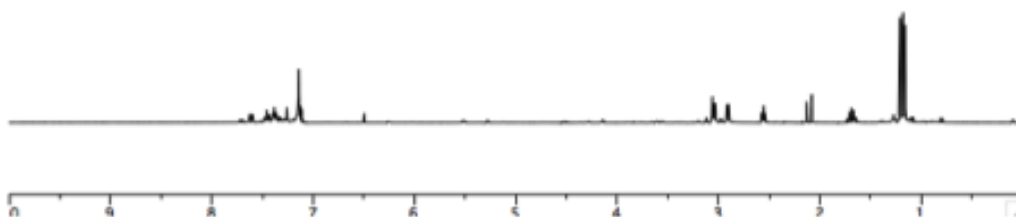
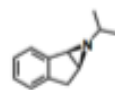
**1-Allyl-2-phenylaziridine<sup>5</sup> (S10)**



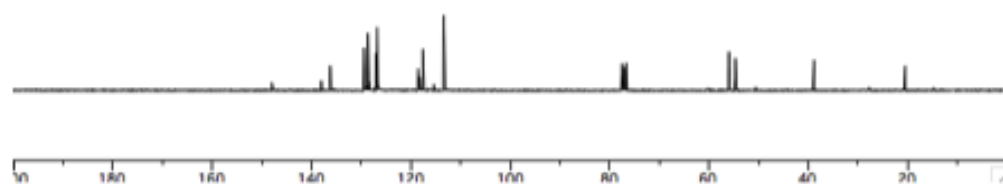
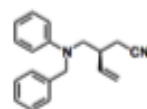
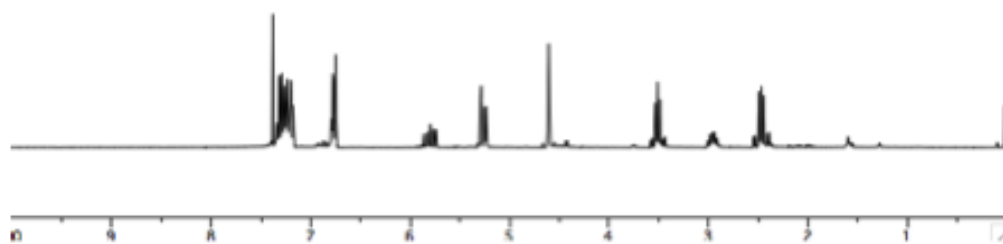
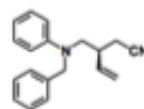
### 1-Cyclopropyl-2-phenylaziridine<sup>6</sup> (S11)



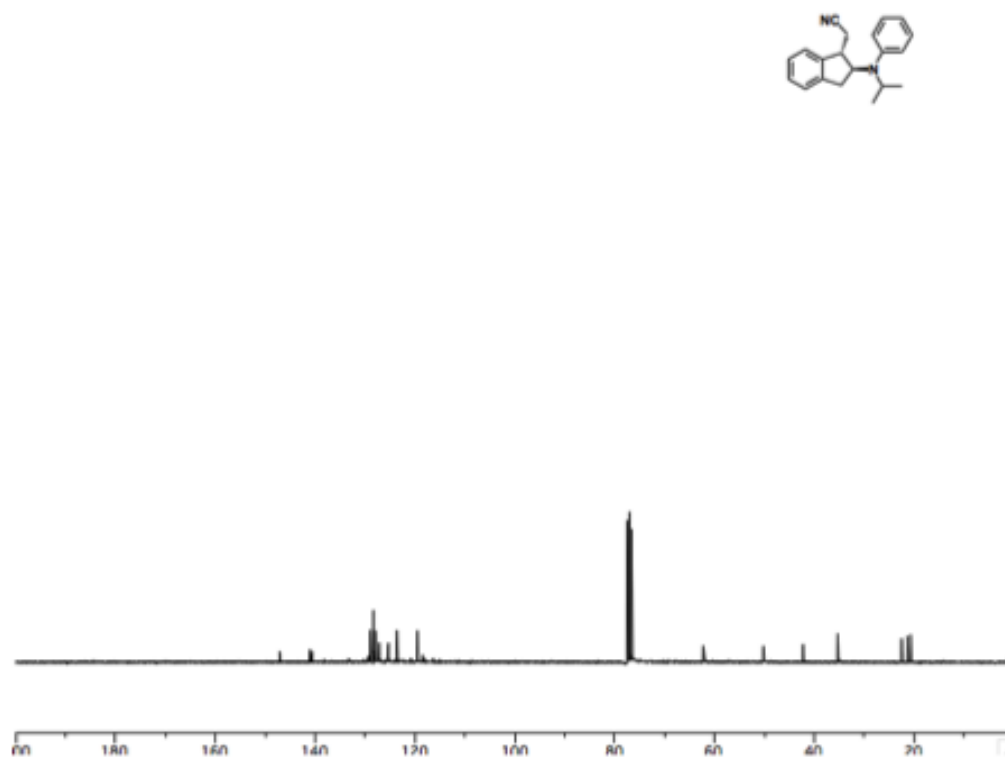
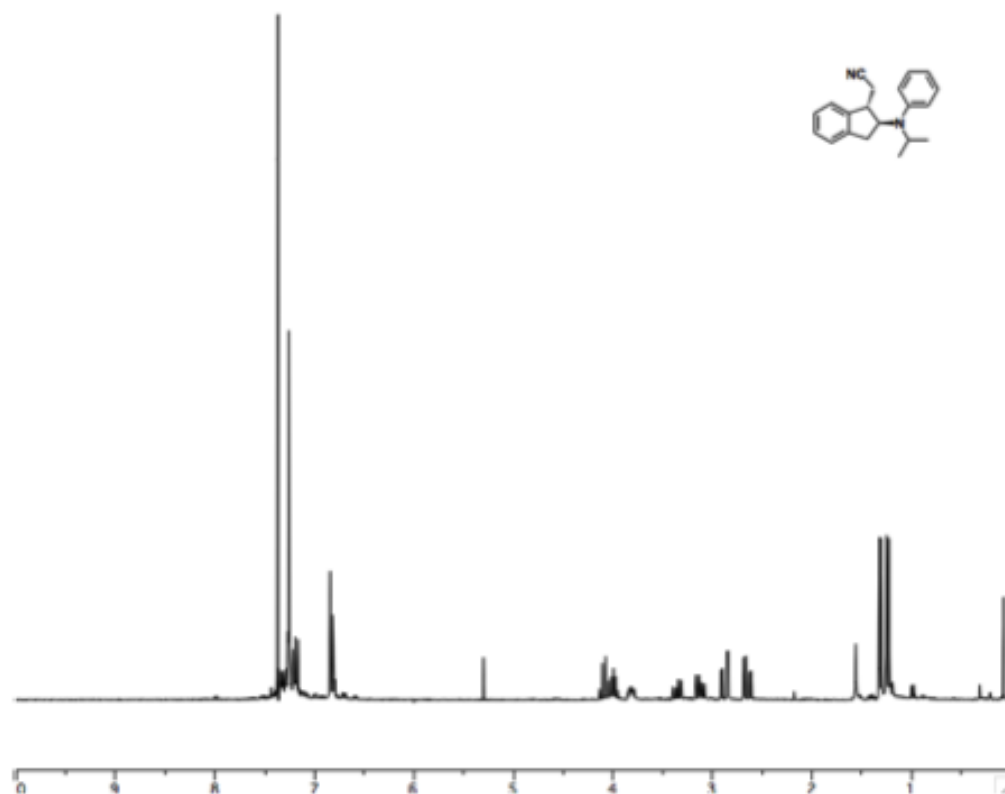
**1-Isopropyl-1,(1a*R*\*),6,(6a*S*\*)-tetrahydroindeno[1,2-*b*]azirine (S12)**



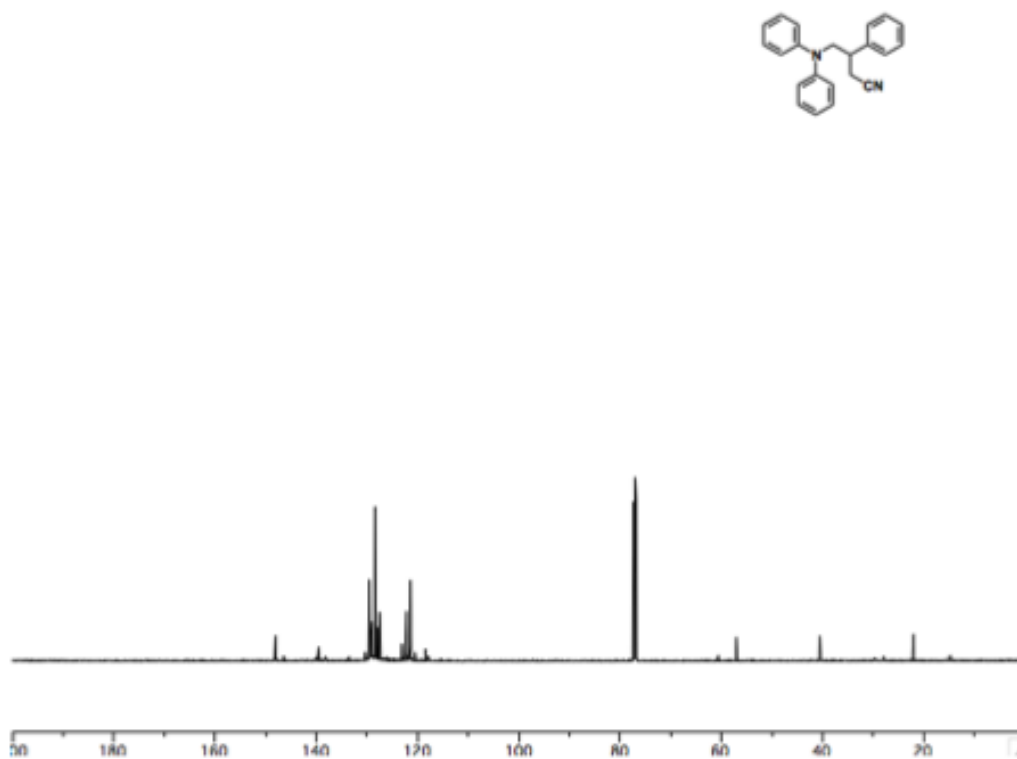
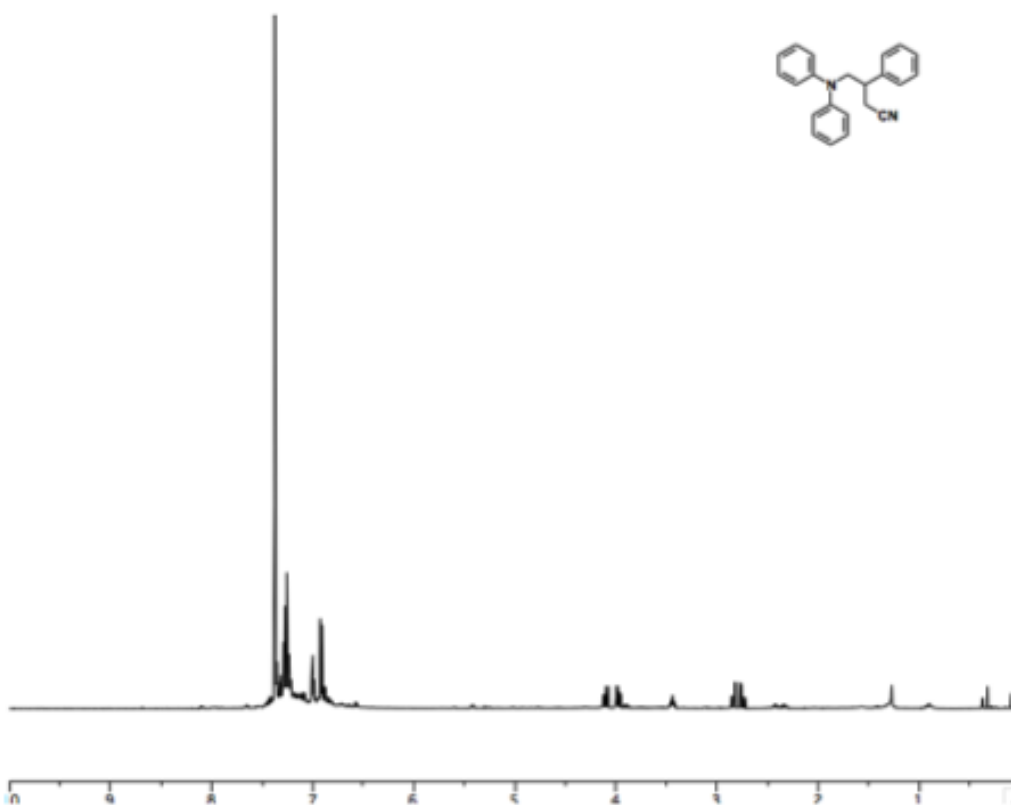
**(3S)-((Benzyl(phenyl)amino)methyl)pent-4-enenitrile ((S)-7)**



**(2*S*<sup>\*</sup>)-2-(Isopropyl(phenyl)amino)-(2*S*<sup>\*</sup>),3-dihydro-1*H*-inden-1-yl)acetonitrile (8)**

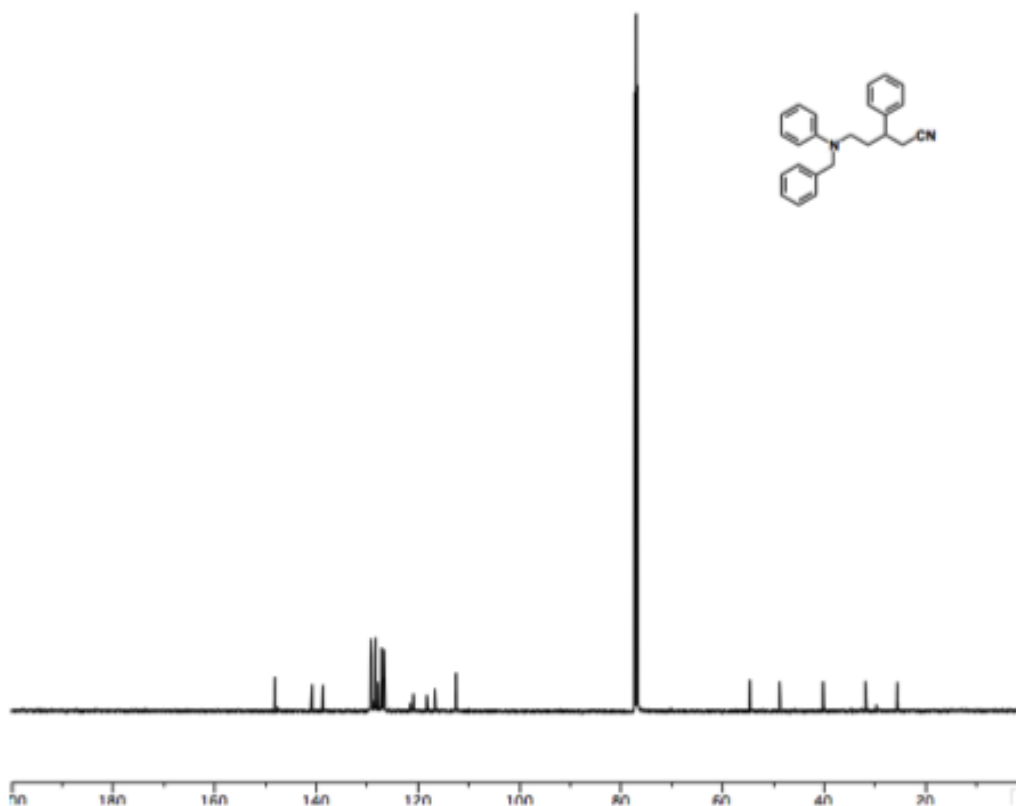
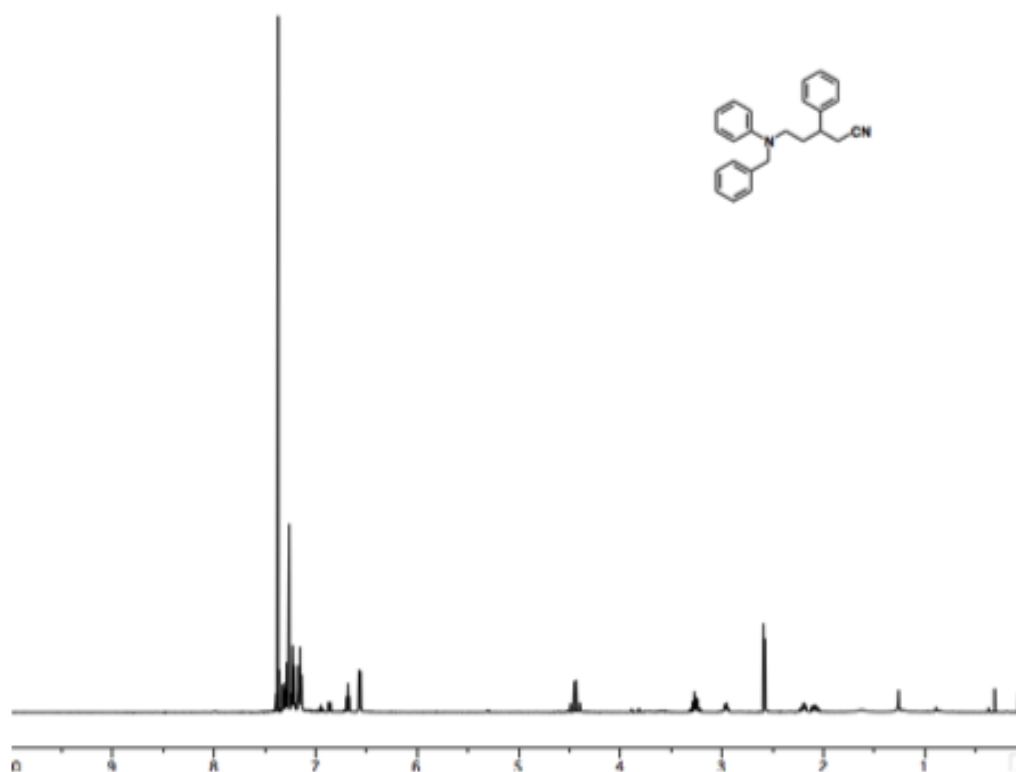


### 4-(Diphenylamino)-3-phenylbutanenitrile (9)

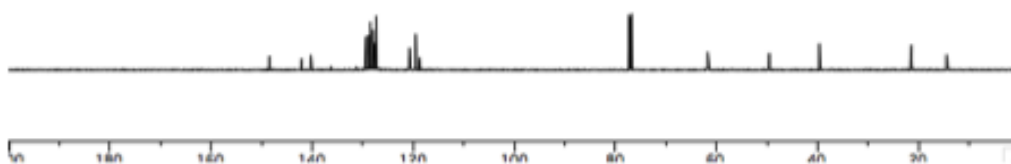
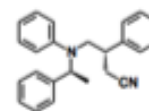
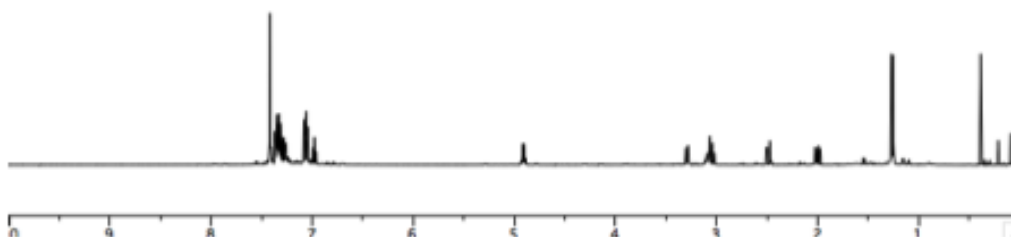
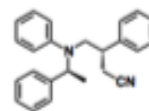




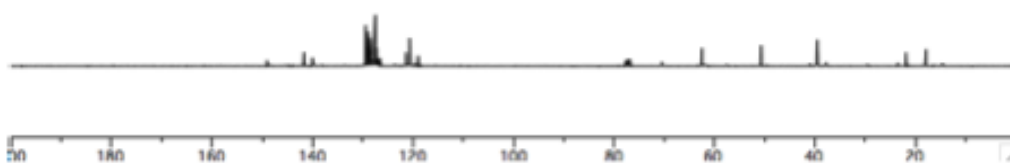
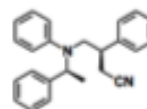
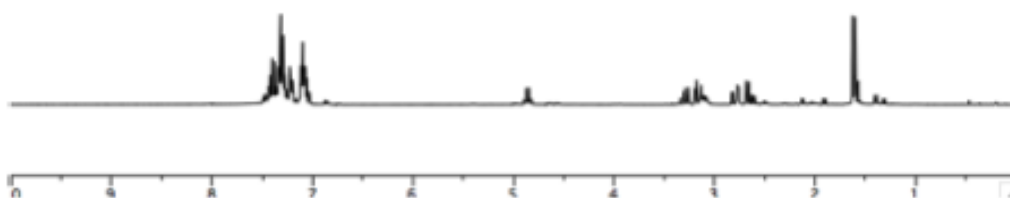
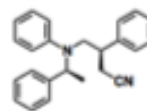
**5-(Benzyl(phenyl)amino)-3-phenylpentanenitrile (10)**



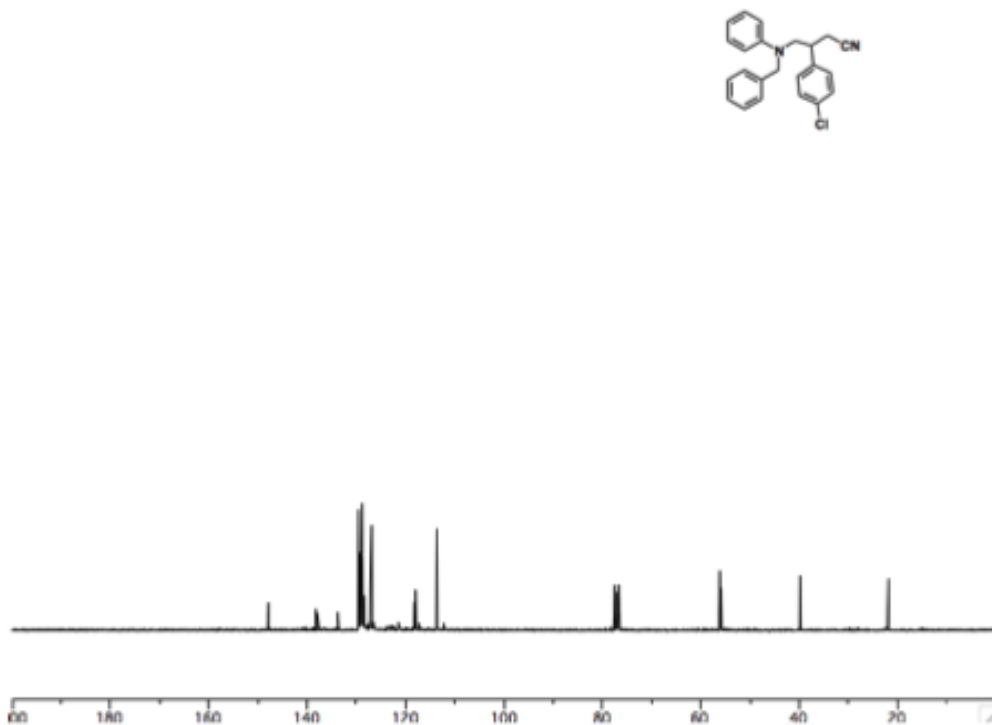
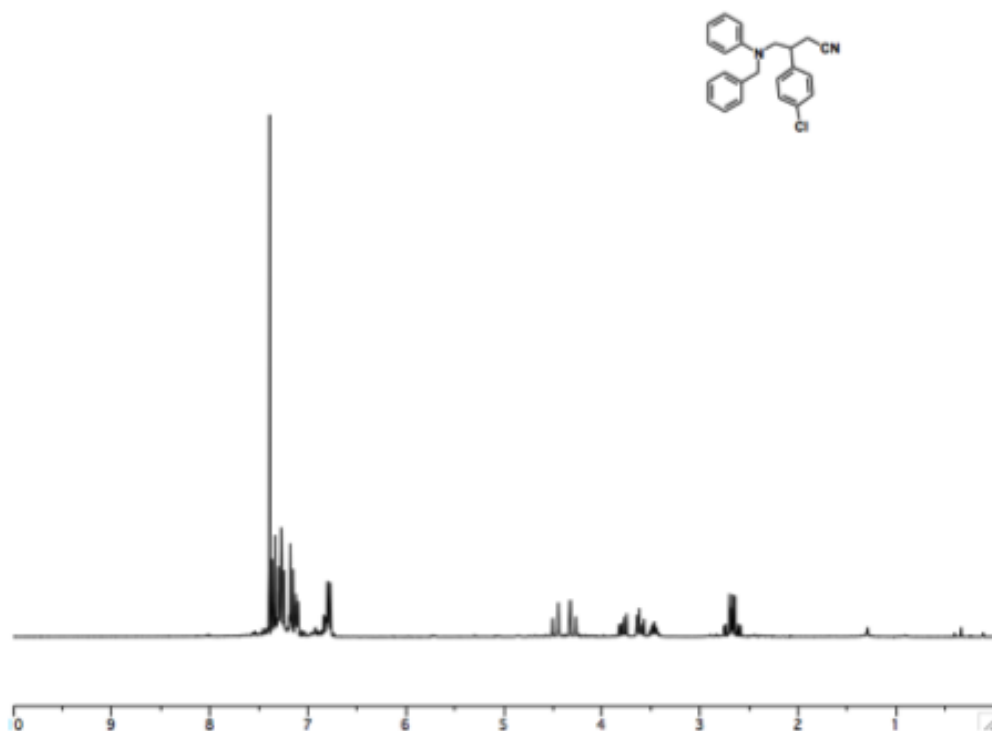
**(3S)-Phenyl-4-(phenyl((1S)-phenylethyl)amino)butanenitrile ((S,S)-11)**



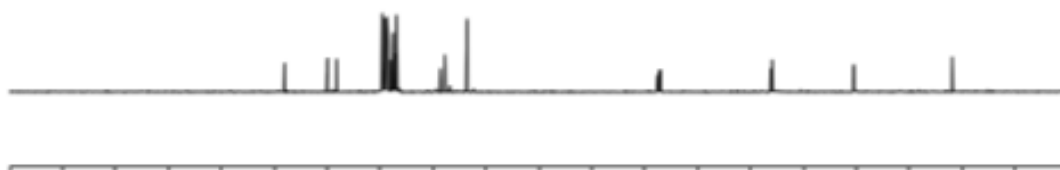
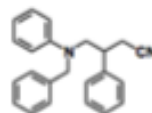
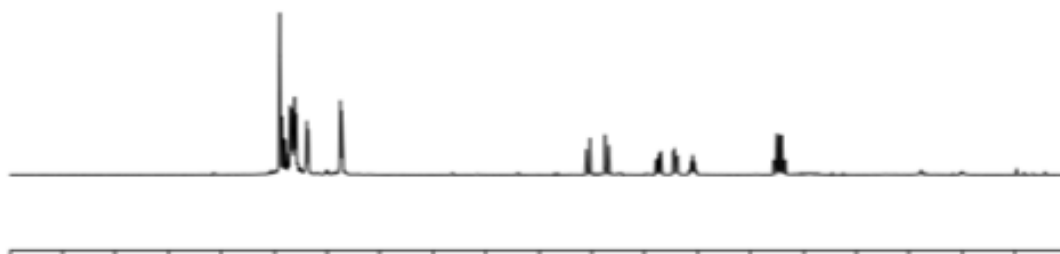
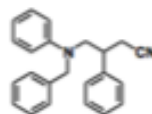
**(3*R*)-Phenyl-4-(phenyl((1*S*)-phenylethyl)amino)butanenitrile ((*S,R*)-11)**



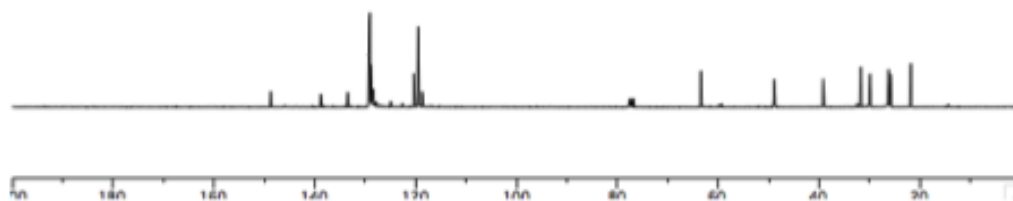
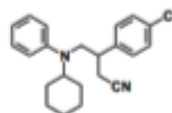
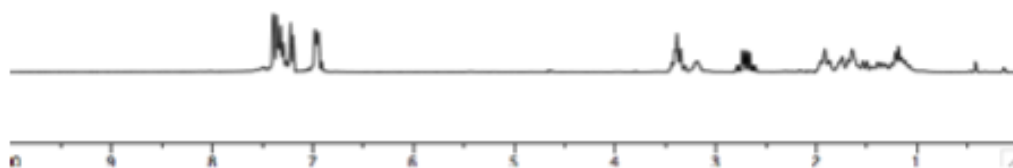
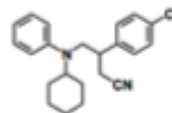
**4-(Benzyl(phenyl)amino)-3-(4-chlorophenyl)butanenitrile (12)**



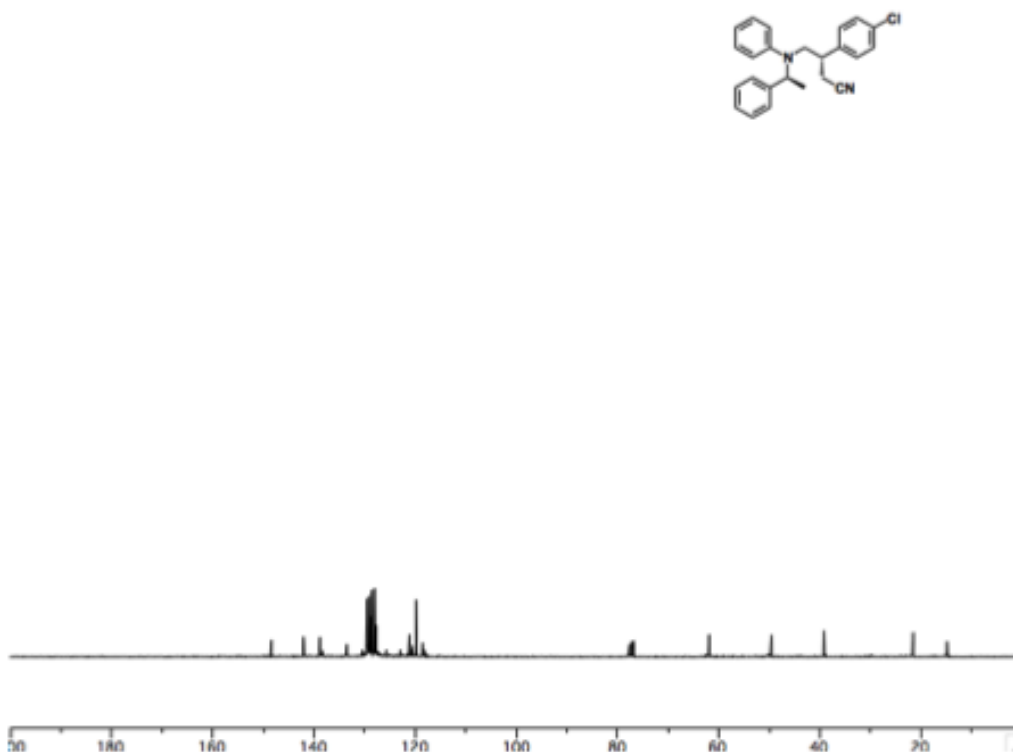
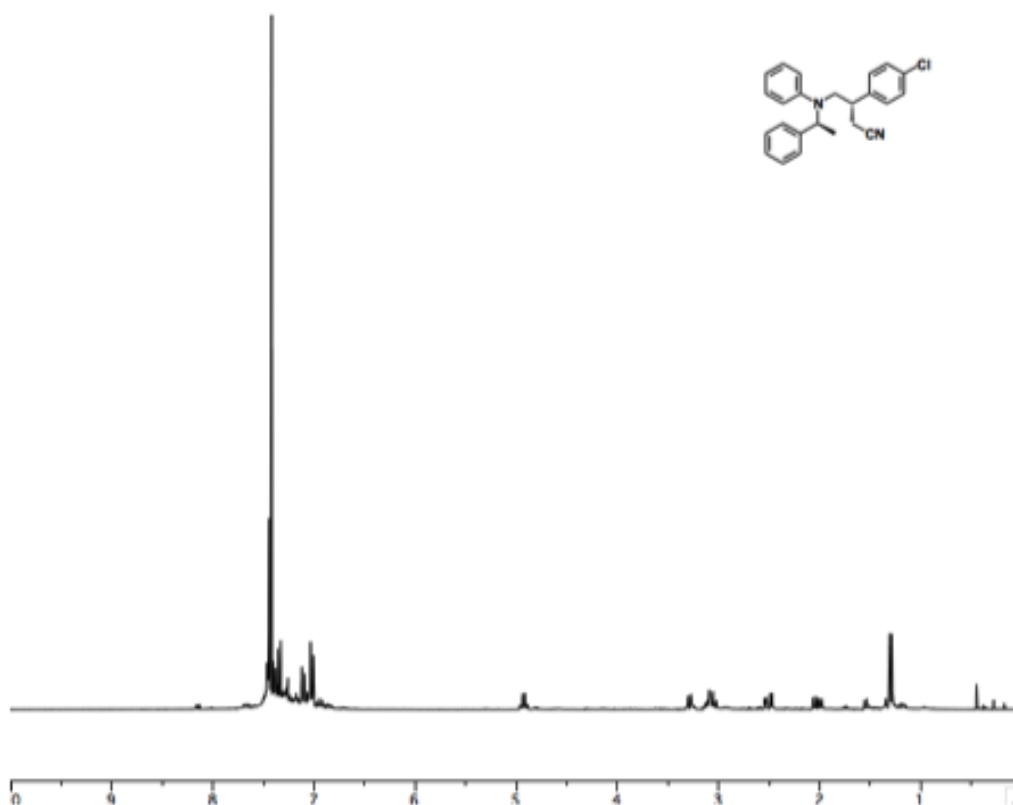
**4-(Benzyl(phenyl)amino)-3-phenylbutanenitrile (13)**



**3-(4-Chlorophenyl)-4-(cyclohexyl(phenyl)amino)butanenitrile (14)**

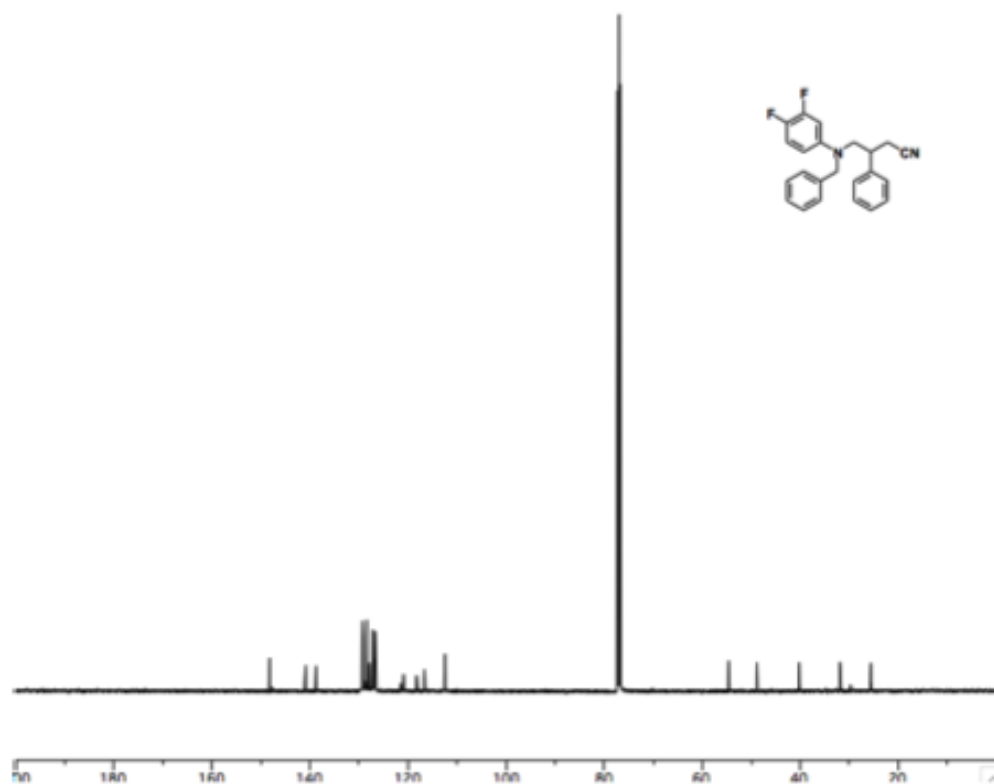
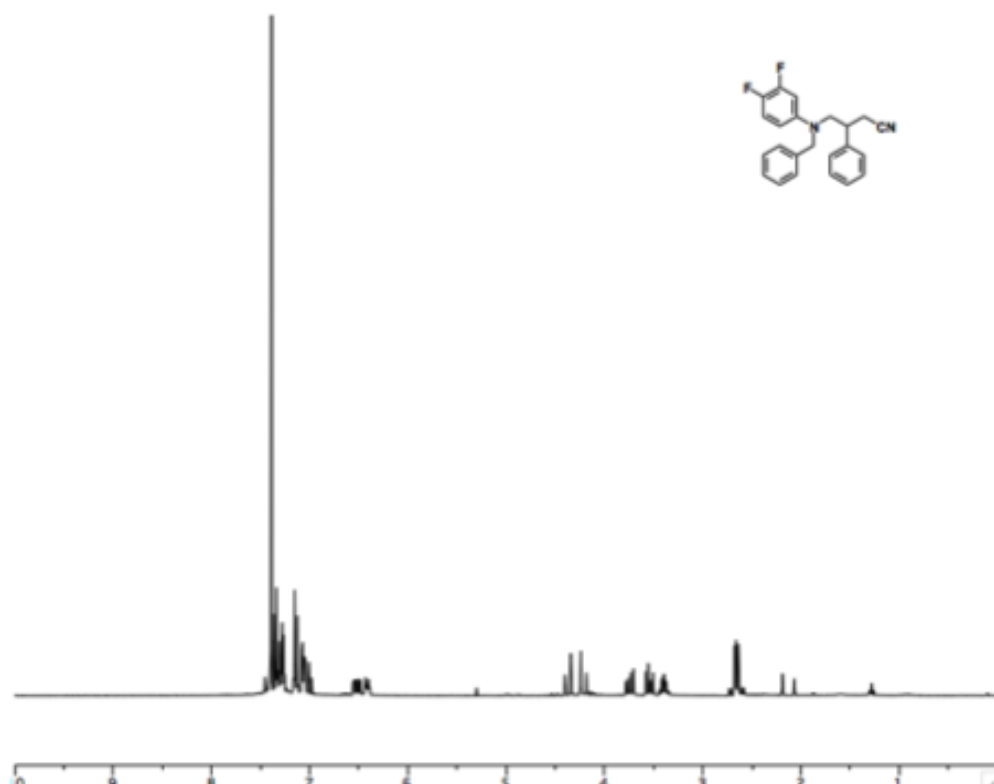


**(3S)-4-(4-Chlorophenyl)-4-(phenyl((1S)-phenylethyl)amino)butanenitrile ((S,S)-15)**

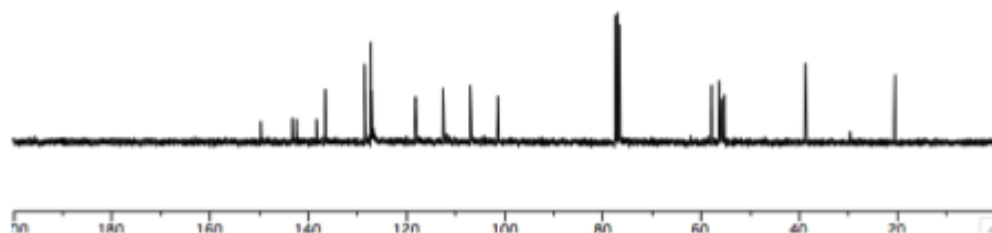
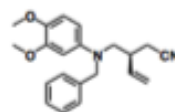
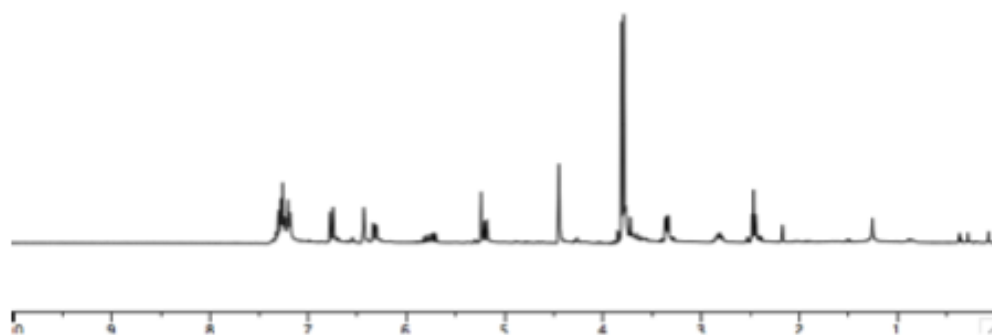
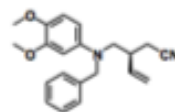




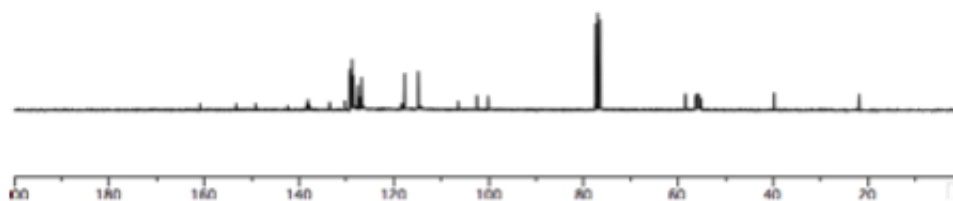
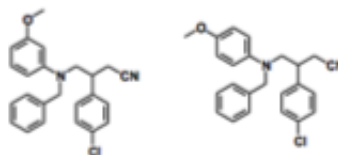
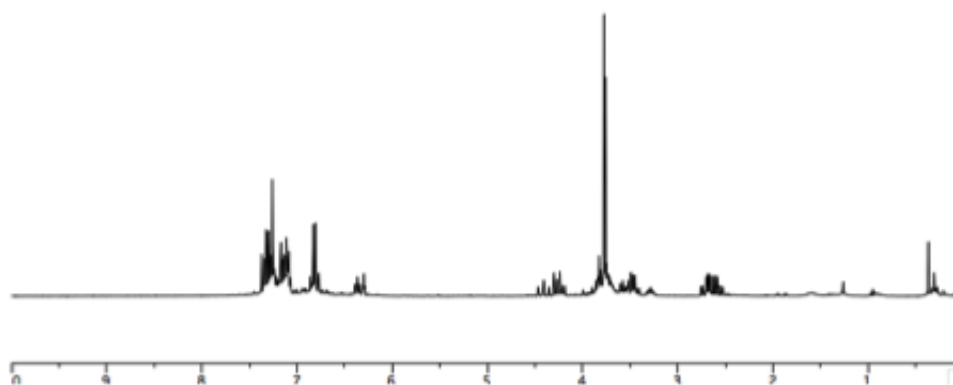
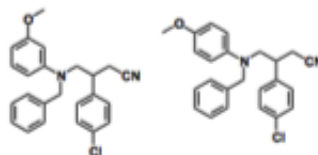
**4-(Benzyl(3,4-difluorophenyl)amino)-3-phenylbutanenitrile (20)**



**(3*S*)-((Benzyl(3,4-dimethoxyphenyl)amino)methyl)pent-4-enenitrile ((*S*)-21)**



**4-(Benzyl(4-methoxyphenyl)amino)-3-phenylbutanenitrile and 4-(Benzyl(3-methoxyphenyl)amino)-3-(4-chlorophenyl)butanenitrile (22)**



**4-(Cyclopropyl(naphthalen-2-yl)amino)-3-phenylbutanenitrile (23)**

