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**Supporting Information Available:** Characterization data and experimental procedures of **2a**, **2b**, **2e**, **2f**, *syn*-**2h**, **2i-2k** (3 pages).

**General procedure of iodoaziridination:** To tosylamide **1a** (212 mg, 1 mmol) in toluene (5 mL) was added *t*-BuOK (168 mg, 1.5 mmol) under argon atmosphere at rt. After the mixture was stirred for 30 min, I<sub>2</sub> (762 mg, 3 mmol) was added, and then the reaction mixture was stirred for 15 min at rt. The mixture was poured into aqueous Na<sub>2</sub>S<sub>2</sub>O<sub>3</sub> solution and extracted with AcOEt. The AcOEt extracts were washed with brine, dried over MgSO<sub>4</sub>, and evaporated to dryness. Purification of the residue by column chromatography (hexane / EtOAc = 9) gave **2a** (317 mg, 94 %).

***N*-Tosyl iodomethylaziridine (2a).** **2a:** colorless solid; mp 36 °C; IR (KBr) 1325, 1160 cm<sup>-1</sup>; <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ 7.84 (2H, d, *J* = 8.4 Hz), 7.36 (2H, d, *J* = 8.4 Hz), 2.99-3.14 (3H, m), 2.83 (1H, d, *J* = 6.6 Hz), 2.45 (3H, s), 2.17 (1H, d, *J* = 3.7 Hz); <sup>13</sup>C-NMR (CDCl<sub>3</sub>) δ 144.8, 134.4, 129.7, 128.2, 41.0, 36.1, 21.6, 2.3; MS (*m/z*) 337 (M<sup>+</sup>), 210; Anal. Calcd for C<sub>10</sub>H<sub>12</sub>INO<sub>2</sub>S: C, 35.62; H, 3.59; N, 4.15. Found; C, 35.66; H, 3.78; N, 4.11.

***N*-Mesyl iodomethylaziridine (2b).** **2b** was prepared from **1b** (68 mg, 0.5 mmol) in accordance with general procedure of iodoaziridination. Purification of the residue by column chromatography (hexane / EtOAc = 9 ) gave **2b** (59 mg, 45 %). **2b:** colorless solid; mp 46.0 °C; IR (KBr) 1312, 1160 cm<sup>-1</sup>; <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ 3.18 (3H, s), 3.00-3.29 (3H, m), 2.85 (1H, d, *J* = 6.3 Hz), 2.24 (1H, d, *J* = 3.4 Hz); <sup>13</sup>C-NMR (CDCl<sub>3</sub>) δ 41.2, 40.1, 35.6, 2.8; MS (*m/z*) 261 (M<sup>+</sup>), 182; Anal. Calcd for C<sub>4</sub>H<sub>8</sub>INO<sub>2</sub>S: C, 18.40; H, 3.09; N, 5.36. Found; C, 18.46; H, 3.30; N, 5.40.

***N*-Tosyl 1-iodomethy-1-methylaziridine (2e).** **2e** was prepared from **1e** (113 mg, 0.5 mmol) in accordance with general procedure of iodoaziridination. Purification of the residue by column chromatography (hexane / EtOAc = 9 ) gave **2e** (159 mg, 90 %). **2e:** colorless solid; mp 76.5 °C; IR (KBr) 1316, 1158 cm<sup>-1</sup>; <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ 7.83 (2H, d, *J* = 8.4 Hz), 7.33 (2H, d, *J* = 8.4 Hz), 3.33 (1H, d, *J* = 11.0 Hz), 3.31 (1H, d, *J* = 11.0 Hz), 2.77 (1H, s), 2.44 (3H, s), 2.40 (1H, s), 1.81 (3H, s);

$^{13}\text{C}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  144.2, 137.1, 129.5, 127.5, 49.5, 42.8, 21.6, 18.4, 12.0; MS ( $m/z$ ) 351 ( $\text{M}^+$ ), 224; Anal. Calcd for  $\text{C}_{12}\text{H}_{14}\text{INO}_2\text{S}$ : C, 37.60; H, 4.02; N, 3.99. Found; C, 37.77; H, 4.12; N, 4.01.

***N*-Tosyl 1,2-imino-3-iodo-3-methylbutene (2f).** **2f** was prepared from **1f** (120 mg, 0.5 mmol) in accordance with general procedure of iodoaziridination. Purification of the residue by column chromatography (hexane / EtOAc = 9) gave **2f** (135 mg, 74 %). **2f**: colorless solid; mp 63 °C; IR (KBr) 1326, 1161  $\text{cm}^{-1}$ ;  $^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  7.84 (2H, d,  $J$  = 8.2 Hz), 7.35 (2H, d,  $J$  = 8.2 Hz), 3.22 (1H, dd,  $J$  = 4.6, 7.0 Hz), 2.71 (1H, d,  $J$  = 7.0 Hz), 2.45 (3H, s), 2.33 (1H, d,  $J$  = 4.6 Hz), 1.76 (3H, s), 1.70 (3H, s);  $^{13}\text{C}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  144.8, 134.3, 129.7, 128.3, 51.2, 40.4, 35.2, 32.6, 31.4, 21.7; MS ( $m/z$ ) 366 ( $\text{M}^++1$ ), 238; Anal. Calcd for  $\text{C}_{12}\text{H}_{16}\text{INO}_2\text{S}$ : C, 39.46; H, 4.42; N, 3.84. Found; C, 39.67; H, 4.38; N, 3.89.

**(2*R*\*,3*R*\*)-N-Tosyl 1,2-imino-3-iodo-4-benzyloxybutane (syn-2h).** **syn-2h** was prepared from **Z-1h** (166 mg, 0.5 mmol) in accordance with general procedure of iodoaziridination. Purification of the residue by column chromatography (hexane / EtOAc = 9) gave **syn-2h** (179 mg, 78 %). **syn-2h**: colorless solid; mp 74.5 °C; IR (KBr) 1327, 1162  $\text{cm}^{-1}$ ;  $^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  7.86 (2H, d,  $J$  = 8.3 Hz), 7.27-7.39 (7H, m), 4.52 (2H, s), 3.59-3.80 (3H, m), 3.03 (1H, ddd,  $J$  = 4.1, 6.9, 7.8 Hz), 2.90 (1H, d,  $J$  = 6.9 Hz), 2.44 (3H, s), 2.37 (1H, d,  $J$  = 4.1 Hz);  $^{13}\text{C}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  144.8, 137.3, 134.3, 129.5, 128.5, 128.4, 127.8, 127.5, 73.2, 73.0, 44.1, 36.1, 27.0, 21.6; MS ( $m/z$ ) 458 ( $\text{M}^++1$ ), 330; Anal. Calcd for  $\text{C}_{18}\text{H}_{20}\text{INO}_3\text{S}$ : C, 47.27; H, 4.41; N, 3.06. Found; C, 47.17; H, 4.55; N, 3.03.

**(1*R*\*,2*R*\*,3*R*\*)-N-Tosyl 1,2-imino-3-iodocyclopentane (2i).** **2i** was prepared from **1i** (118 mg, 0.5 mmol) in accordance with general procedure of iodoaziridination. Purification of the residue by column chromatography (hexane / EtOAc = 9) gave **2i** (165 mg, 92 %). **2i**: colorless solid; mp 121 °C; IR (KBr) 1320, 1154  $\text{cm}^{-1}$ ;  $^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  7.79 (2H, d,  $J$  = 8.4 Hz), 7.34 (2H, d,  $J$  = 8.4 Hz), 4.28 (1H, d,  $J$  = 5.0 Hz), 3.74 (1H, d,  $J$  = 4.3 Hz), 3.50 (1H, brd,  $J$  = 4.3 Hz), 2.45 (3H, s), 1.80-2.08 (4H, m);  $^{13}\text{C}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  144.6, 135.0, 129.7, 127.6, 51.0,

46.4, 31.9, 24.8, 21.6; MS ( $m/z$ ) 364 ( $M^{++1}$ ), 236; Anal. Calcd for  $C_{12}H_{14}INO_2S$ : C, 39.68; H, 3.88; N, 3.85. Found; C, 39.69; H, 4.13; N, 3.98.

**(1*R*\*,2*R*\*,3*R*\*)-N-Tosyl 1,2-imino-3-iodocycloheptane (2j).** **2j** was prepared from **1j** (132 mg, 0.5 mmol) in accordance with general procedure of iodoaziridination. Purification of the residue by column chromatography (hexane / EtOAc = 9) gave **2j** (185 mg, 95 %). **2j**: colorless solid; mp 114 °C; IR (KBr) 1320, 1155  $cm^{-1}$ ;  $^1H$ -NMR ( $CDCl_3$ )  $\delta$  7.81 (2H, d,  $J$  = 8.3 Hz), 7.34 (2H, d,  $J$  = 8.3 Hz), 4.57 (1H, dt,  $J$  = 2.0, 5.7 Hz), 3.36 (1H, dd,  $J$  = 5.7, 7.6 Hz), 3.09 (1H, ddd,  $J$  = 2.7, 5.2, 7.6 Hz), 2.45 (3H, s), 1.38-1.97 (8H, m);  $^{13}C$ -NMR ( $CDCl_3$ )  $\delta$  144.5, 135.0, 129.7, 127.7, 49.8, 46.2, 35.4, 31.3, 28.6, 26.5, 24.2, 21.6; MS ( $m/z$ ) 392 ( $M^{++1}$ ), 264; Anal. Calcd for  $C_{14}H_{18}INO_2S$ : C, 42.98; H, 4.63; N, 3.58. Found; C, 43.09; H, 4.70; N, 3.67.

**(1*R*\*,2*R*\*,3*R*\*)-N-Tosyl 1,2-imino-3-iodocyclohexane (2k).** **2k** was prepared from **1k** (126 mg, 0.5 mmol) in accordance with general procedure of iodoaziridination. Purification of the residue by column chromatography (hexane / EtOAc = 9) gave **2k** (118 mg, 63 %). **2k**: colorless solid; mp 63 °C; IR (KBr) 1324, 1159  $cm^{-1}$ ;  $^1H$ -NMR ( $CDCl_3$ )  $\delta$  7.79 (2H, d,  $J$  = 8.5 Hz), 7.35 (2H, d,  $J$  = 8.5 Hz), 4.45 (1H, brt,  $J$  = 4.0 Hz), 3.46 (1H, d,  $J$  = 6.1 Hz), 3.09 (1H, t,  $J$  = 6.1 Hz), 2.46 (3H, s), 1.33-2.01 (6H, m);  $^{13}C$ -NMR ( $CDCl_3$ )  $\delta$  144.5, 135.0, 129.8, 127.7, 45.9, 40.0, 29.7, 24.7, 21.6, 21.3, 17.5; MS ( $m/z$ ) 378 ( $M^{++1}$ ), 250; Anal. Calcd for  $C_{13}H_{16}INO_2S$ : C, 41.39; H, 4.27; N, 3.71. Found; C, 41.55; H, 4.40; N, 3.71.