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The substrates **1a-1k** and **1n-1p** were prepared according to Evans's aziridination procedure.¹² Other substrates **1l**¹³, **1q**, **1r**¹⁵ and **1s**⁸ were also prepared according to published procedures.

1-*p*-Tosyl-2-acetylaziridine (1a). mp 55-56 °C; R_f 0.39 (hexane/EtOAc; 4/1); ¹H NMR (300 MHz) δ 7.84 (d, J = 8.2 Hz, 2 H), 7.35 (d, J = 8.2 Hz, 2 H), 3.29 (dd, J = 7.4, 4.1 Hz, 1 H), 2.80 (d, J = 7.4 Hz, 1 H), 2.45-2.55 (m, 1 H), 2.48 (s, 3 H), 2.08 (s, 3 H); ¹³C NMR (75.4 MHz) δ 201.4, 145.3, 133.9, 129.9, 128.1, 41.9, 31.8, 25.8, 21.7. ¹H and ¹³C NMR data were identical with those reported.⁹ Anal. Calcd for C₁₁H₁₃NO₃S: C, 55.21; H, 5.48; N, 5.85; S, 13.40. Found: C, 55.28; H, 5.40; N, 5.89; S, 13.68. HRMS calcd for C₁₁H₁₃NO₃S 239.0616, found 239.0613.

1-*p*-Tosyl-3,3-dimethyl-2-acetylaziridine (1b). mp 70-73 °C; R_f 0.37 (hexane/EtOAc; 4/1); ¹H NMR (300 MHz) δ 7.83 (d, J = 7.8 Hz, 2 H), 7.31 (d, J = 7.8 Hz, 2 H), 3.44 (s, 1 H), 2.41 (s, 3 H), 1.93 (s, 3 H), 1.78 (s, 3 H), 1.27 (s, 3H); ¹³C NMR (75.4 MHz) δ 202.0, 144.4, 137.3, 129.6, 127.4, 56.2, 53.3, 29.7, 21.9, 21.6, 21.0. ¹H and ¹³C NMR data were identical with those reported.⁹ Anal. Calcd for C₁₃H₁₇NO₃S: C, 58.40; H, 6.41; N, 5.24; S, 11.99. Found: C, 58.58; H, 6.46; N, 5.42; S, 11.81. HRMS calcd for C₁₃H₁₇NO₃S 267.0929, found 267.0927.

1-*p*-Tosyl-2-methyl-3-phenyl-2-acetylaziridine (1c). mp 117-118 °C; R_f 0.37 (hexane/EtOAc; 4/1); ^1H NMR (500 MHz) δ 7.86 (d, $J = 8.3$ Hz, 2 H), 7.32 (d, $J = 8.3$ Hz, 2 H), 7.00-7.30 (m, 5 H), 4.66 (s, 1 H), 2.56 (s, 3 H), 2.42 (s, 3 H), 1.24 (s, 3 H); ^{13}C NMR (125.7 MHz) δ 201.5, 144.5, 136.3, 132.4, 129.7, 128.3, 128.0, 127.6, 127.0, 59.8, 50.0, 28.6, 21.6, 15.5. Anal. Calcd for $\text{C}_{18}\text{H}_{19}\text{NO}_3\text{S}$: C, 65.63; H, 5.81; N, 4.25; S, 9.73; Found: C, 65.98; H, 5.78; N, 4.23; S, 9.81. HRMS calcd for $\text{C}_{18}\text{H}_{19}\text{NO}_3\text{S}$ 329.1085, found 329.1084.

1-*p*-Tosyl-3-phenyl-2-acetylaziridine (1d). mp 85-86 °C; R_f 0.37 (hexane/EtOAc; 4/1); ^1H NMR (300 MHz) δ 7.67-7.78 (m, 2 H), 7.20-7.40 (m, 7 H), 4.27 (d, $J = 4.2$ Hz, 1 H), 3.73 (d, $J = 4.2$ Hz, 1 H), 2.42 (s, 3 H), 2.33 (s, 3 H); ^{13}C NMR (125.7 MHz) δ 200.6, 144.5, 136.5, 131.6, 129.6, 129.1, 128.6, 128.1, 127.6, 51.1, 48.8, 28.5, 21.6. Anal. Calcd for $\text{C}_{17}\text{H}_{17}\text{NO}_3\text{S}$: C, 64.74; H, 5.43; N, 4.44; S, 10.17. Found: C, 64.48; H, 5.24; N, 4.23; S, 10.41. HRMS calcd for $\text{C}_{17}\text{H}_{17}\text{NO}_3\text{S}$ 315.0929, found 315.0928.

1-*p*-Tosyl-3-phenyl-2-benzoylaziridine (1e). mp 139-140 °C; R_f 0.37 (hexane/EtOAc; 4/1); ^1H NMR (300 MHz) δ 7.15-8.15 (m, 14 H), 4.51 (d, $J = 4.2$ Hz, 1 H), 4.29 (d, $J = 4.2$ Hz, 1 H), 2.40 (s, 3 H); ^{13}C NMR (125.7 MHz) δ 190.3, 144.3, 136.6, 134.0, 132.9, 129.5, 128.9, 128.7, 128.6, 127.7, 127.5, 50.1, 47.5, 21.6. Anal. Calcd for $\text{C}_{22}\text{H}_{19}\text{NO}_3\text{S}$: C, 70.01; H, 5.07; N, 3.71; S, 8.49. Found: C, 69.98; H, 5.08; N, 3.68; S, 8.43. HRMS calcd for

$C_{22}H_{19}NO_3S$ 377.1085, found 377.1082.

1-*p*-Tosyl-2-methyl-3-phenyl-2-benzoylaziridine (1f). mp 155-156 °C; R_f 0.41 (hexane/EtOAc; 4/1); 1H NMR (500 MHz) δ 8.09-8.11 (m, 2 H), 7.80-7.90 (m, 2 H), 7.50-7.70 (m, 1 H), 7.50-7.60 (m, 2 H), 7.10-7.40 (m, 7 H), 4.48 (s, 1 H), 2.40 (s, 3 H), 1.30 (s, 3 H); ^{13}C NMR (125.7 MHz) δ 194.2, 144.6, 135.9, 134.4, 133.8, 132.2, 129.8, 129.5, 128.7, 128.5, 128.3, 128.1, 127.3, 59.6, 48.9, 21.6, 17.6. HRMS calcd for $C_{23}H_{21}NO_3S$ 391.1242, found 391.1244.

Methyl 1-*p*-Tosylaziridine-2-carboxylate (1g). oil; R_f 0.34 (hexane/EtOAc; 4/1); 1H NMR (200 MHz) δ 7.81 (d, $J = 8.1$ Hz, 2 H), 7.32 (d, $J = 8.1$ Hz, 2 H), 3.81 (s, 3 H), 3.31 (dd, $J = 7.8, 6.1$ Hz, 1 H), 2.75 (d, $J = 7.8$ Hz, 1 H), 2.55 (d, $J = 6.1$ Hz, 1 H), 2.40 (s, 3 H); ^{13}C NMR (75.4 MHz) δ 165.2, 146.1, 134.2, 130.1, 128.2, 54.1, 36.3, 32.2, 22.1. Anal. Calcd for $C_{11}H_{13}NO_4S$: C, 51.75; H, 5.13; N, 5.49; S, 12.56; Found: C, 51.71; H, 5.11; N, 5.41; S, 12.49.

Methyl 3-Methyl-1-*p*-tosylaziridine-2-carboxylate (1h). oil; R_f 0.37 (hexane/EtOAc; 4/1)0.37; 1H NMR (200 MHz) δ 7.80 (d, $J = 8.1$ Hz, 2 H), 7.32 (d, $J = 8.1$ Hz, 2 H), 3.65 (s, 3 H), 3.29 (d, $J = 3.9$ Hz, 1 H), 3.28 (m, 1 H), 3.02-3.18 (m, 1 H), 2.42 (s, 3 H), 2.67 (d, $J = 6.3$ Hz, 3 H); ^{13}C NMR (75.4 MHz) δ 167.4, 144.4, 136.9, 129.6, 127.5, 52.6, 44.6, 43.8,

21.5, 13.4. HRMS calcd for $C_{12}H_{15}NO_4S$ 269.0722, found 269.0724.

Methyl 3-Ethyl-2-methyl-1-*p*-tosylaziridine-2-carboxylate (1i). oil; R_f 0.37

(hexane/EtOAc; 4/1); 1H NMR (300 MHz) δ 7.82 (d, $J = 8.4$ Hz, 2 H), 7.32 (d, $J = 8.4$ Hz, 2 H), 3.83 (s, 3 H), 3.52 (dd, $J = 7.9, 5.7$ Hz, 1 H), 2.44 (s, 3 H), 1.30-1.65 (m, 2 H), 1.47 (s, 3 H), 0.93 (t, $J = 7.5$ Hz, 3 H); ^{13}C NMR (75.4 MHz) δ 168.5, 144.2, 136.5, 130.2, 128.2, 54.2, 53.5, 50.1, 22.2, 21.3, 16.2, 12.1. Anal. Calcd for $C_{14}H_{19}NO_4S$: C, 56.55; H, 6.44; N, 4.71; S, 10.78. Found: C, 56.44; H, 6.43; N, 4.58; S, 10.24.

Methyl 3-Phenyl-1-*p*-tosylaziridine-2-carboxylate (1j). oil; R_f 0.41 (hexane/EtOAc; 4/1);

1H NMR (300 MHz) δ 7.77 (d, $J = 8.2$ Hz, 2 H), 7.15-7.40 (m, 7 H), 4.44 (d, $J = 3.9$ Hz, 1 H), 3.85 (s, 3 H), 3.53 (d, $J = 3.9$ Hz, 1 H), 2.40 (s, 3 H); ^{13}C NMR (75.4 MHz) δ 166.2, 144.1, 139.2, 133.1, 131.2, 129.5, 129.3, 128.5, 128.2, 53.1, 48.2, 46.2, 22.1. Anal. Calcd for $C_{17}H_{17}NO_4S$: C, 61.62; H, 5.17; S, 9.67; N, 4.23. Found: C, 61.54; H, 5.12; S, 9.34; N, 4.34.

***tert*-Butyl 1-*p*-Tosylaziridine-2-carboxylate(1k).** oil; R_f 0.37 (hexane/EtOAc; 4/1); 1H

NMR (300 MHz) δ 7.85 (d, $J = 8.3$ Hz, 2 H), 7.35 (d, $J = 8.3$ Hz, 2 H), 3.22 (dd, $J = 7.0, 4.2$ Hz, 1 H), 2.69 (d, $J = 7.0$ Hz, 1 H), 2.50 (d, $J = 4.2$ Hz, 1 H), 2.45 (s, 3 H), 1.42 (s, 9 H); ^{13}C NMR (75.4 MHz) δ 165.7, 144.9, 134.3, 129.7, 128.1, 82.9, 36.8, 31.8, 22.8, 21.6.

Anal. Calcd for $C_{14}H_{19}NO_4S$: C, 56.55; H, 6.44; N, 4.71; S, 10.78. Found: C, 56.45; H, 6.33; N, 4.67; S, 10.92.

3-Phenyl-1-*p*-tosyl-2-cyanoaziridine (1n). oil; R_f 0.37 (hexane/EtOAc=3:1); 1H NMR (300 MHz) δ 7.84 (d, $J = 8.3$ Hz, 2 H), 7.41 (d, $J = 8.3$ Hz, 2 H), 3.23 (dd, $J = 7.0, 4.0$ Hz, 1 H), 2.89 (d, $J = 7.0$ Hz, 1 H), 2.65 (d, $J = 4.0$ Hz, 1 H), 2.49 (s, 3 H); ^{13}C NMR (75.4 MHz) δ 146.6, 134.3, 133.0, 130.9, 130.0, 129.0, 127.0, 114.0, 46.0, 32.0, 22.0. Anal. Calcd for $C_{16}H_{14}N_2O_2S$: C, 54.04; H, 4.54; N, 12.60; S, 14.43. Found: C, 54.08; H, 4.46; N, 12.16; S, 14.31. HRMS calcd for $C_{16}H_{14}N_2O_2S$ 298.0775, found 298.0777.

1-*p*-Tosyl-2-methyl-2-cyanoaziridine (1o). 1H NMR (300 MHz) δ 7.84 (d, $J = 7.8$ Hz, 2 H), 7.41 (d, $J = 7.8$ Hz, 2 H), 3.23 (dd, $J = 7.2, 4.2$ Hz, 1 H), 2.89 (d, $J = 7.2$ Hz, 1 H), 2.64 (d, $J = 4.2$ Hz, 1 H), 2.48 (s, 3 H); ^{13}C NMR (75.4 MHz) δ 146.1, 133.1, 130.2, 115.0, 128.2, 32.1, 23.4, 21.7. HRMS calcd for $C_{11}H_{12}N_2O_2S$ 236.0619, found 236.0617.

3-Phenyl-1-*p*-tosyl-2-cyanoaziridine (1p). 1H NMR (500 MHz) δ 7.85-8.00(m, 2 H), 7.21-7.41 (m, 7 H), 4.33 (d, $J = 4.2$ Hz, 1 H), 3.05 (d, $J = 4.2$ Hz, 1 H), 2.48 (s, 3 H); ^{13}C NMR (75.4 MHz) δ 146.4, 134.3, 133.0, 130.9, 129.0, 127.0, 114.0, 46.0, 32.0, 22.0. HRMS calcd for $C_{16}H_{14}N_2O_2S$ 298.0776, found 298.0777.

***N*-Benzyl-2-bromomethylaziridine (1q).** 1H NMR (300 MHz) δ 7.25-7.35 (m, 5 H), 3.55-

3.59 (m, 1 H), 3.28-3.42 (m, 4 H), 1.93-1.96 (m, 1 H), 1.78-1.81 (m, 1 H), 1.60-1.64 (m, 1 H); ^{13}C NMR (125.7 MHz) δ 138.4, 128.1, 128.0, 127.1, 64.1, 39.9, 35.4.

***N*-(*p*-Chlorobenzyl)-2-bromomethylaziridine (1r).** ^1H NMR (300 MHz) δ 7.25-7.31 (m, 4 H), 3.47-3.52 (m, 1 H), 3.23-3.39 (m, 4 H), 1.89-1.94 (m, 1 H), 1.79-1.82 (m, 1 H), 1.58-1.62 (m, 1 H); ^{13}C NMR (125.7 MHz) δ 136.9, 132.8, 129.4, 128.4, 63.4, 40.2, 35.6, 35.0.

3-Benzoyloxymethyl-*N*-(*tert*-butoxycarbonyl)-2-(phenylsulfonylmethyl)aziridine (1s). ^1H NMR (500 MHz) δ 7.92-7.94 (m, 2H), 7.60-7.63 (m, 1 H), 7.50-7.53 (m, 2 H), 7.29-7.34 (m, 2 H), 7.24-7.28 (m, 3 H), 4.54 (d, $J = 11.8$ Hz, 1 H), 4.44 (d, $J = 11.8$ Hz, 1 H), 3.31-3.44 (m, 4 H), 2.84-2.88 (m, 1 H), 2.62-2.66 (m, 1 H), 1.42 (s, 9 H); ^{13}C NMR (125.7 MHz) δ 161.1, 139.0, 137.5, 133.9, 129.2, 128.3, 127.8, 127.7, 82.1, 72.9, 67.0, 55.3, 39.8, 34.3, 27.8. HRMS (CI) calcd for $\text{C}_{12}\text{H}_{27}\text{NO}_5\text{S}$ 417.1610, found 417.1631.

4-*p*-Tosylamino-2-butanone(2a). oil; R_f 0.37 (hexane/EtOAc; 4/1); ^1H NMR (300 MHz) δ 7.71 (d, $J = 8.1$ Hz, 2 H), 7.31 (d, $J = 8.1$ Hz, 2 H), 5.11 (t, $J = 6.1$ Hz, 1 H), 3.10-3.16 (m, 2 H), 2.67 (t, $J = 6.1$ Hz, 1 H), 2.43 (s, 3 H), 2.11 (s, 3 H); ^{13}C NMR (125.7 MHz) δ 207.9, 143.5, 136.9, 129.8, 127.0, 42.9, 38.0, 30.0, 21.5. ^1H and ^{13}C NMR data were identical with those reported.⁹

4-*p*-Tosylamino-4-methyl-2-pentanone (2b). oil; R_f 0.37 (hexane/EtOAc; 4/1); ^1H NMR

(300 MHz) δ 7.75 (d, $J = 8.4$ Hz, 2 H), 7.27 (d, $J = 8.4$ Hz, 2 H), 5.81 (br s, 1 H), 2.63 (s, 3 H), 2.44 (s, 3 H), 2.60 (s, 3 H), 1.23 (s, 6 H); ^{13}C NMR (125.7 MHz) δ 208.4, 143.0, 140.1, 129.5, 127.1, 54.7, 53.3, 31.3, 27.8, 21.5. ^1H and ^{13}C NMR data were identical with those reported.⁹

Methyl 3-*p*-Tosylamino propanoate (2g). ^1H NMR (200 MHz) δ 7.75 (d, $J = 7.9$ Hz, 2 H), 7.32 (d, $J = 7.9$ Hz, 2 H), 5.18 (br t, $J = 6.4$ Hz, 1 H), 3.67 (s, 3 H), 3.19 (dt, $J = 6.4, 6.0$ Hz, 1 H), 2.54 (t, $J = 6.0$ Hz, 1 H), 2.43 (s, 3 H); ^{13}C NMR (75.4 MHz) δ 172.5, 143.6, 136.9, 129.8, 127.0, 52.0, 38.7, 33.8, 21.5. Anal. Calcd for $\text{C}_{11}\text{H}_{15}\text{NO}_4\text{S}$: C, 51.35; H, 5.88; N, 5.44; S, 12.46. Found: C, 51.24; H, 5.81 ; N, 5.25 ; S, 12.41. ^1H and ^{13}C NMR data were identical with those reported.⁹