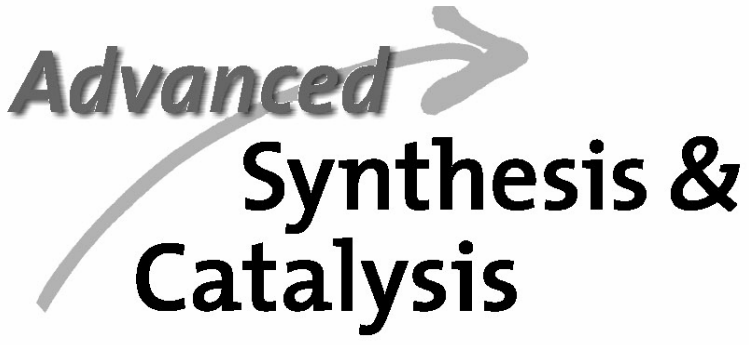


Supporting Information

# Supporting Information

# Iodobenzene Diacetate/Tetrabutylammonium Iodide-Induced Aziridination of *N*-Tosylimines with Activated Methylene Compounds under Mild Conditions

Renhua Fan* and Yang Ye

**General experimental procedure**: A solution of imine (0.25 mmol) and $CH_2E_2$ (0.3 mmol) in anhydrous $CH_3CN$ was cooled to 0 $^oC$, and treated with $PhI(OAc)_2$ (161 mg, 0.5 mmol), $Bu_4NBr$ (161 mg, 0.5 mmol) and *tert*-BuOK (14mg, 0.125 mmol). The resulted mixture was warmed up and stirred at 30 $^oC$. After imine disappeared (determined by TLC), the mixture was concentrated, and directly purified by flash column chromatography (10-20% Ethyl acetate in Hexane) to provide the corresponding aziridine.

**Diethyl 3-phenyl-1-tosylaziridine-2,2-dicarboxylate 2a:**

Colorless oil. $^1H$ NMR (400 MHz, $CDCl_3$) *d (ppm)* 7.96 (d, *J* = 8.2 Hz, 2 H), 7.35 (d, *J* = 8.2 Hz, 2 H), 7.20-7.27 (m, 5 H), 4.89 (s, 1 H), 4.36-4.42 (m, 2 H), 3.95 (q, *J* = 7.2 Hz, 2 H), 2.44 (s, 3 H), 1.37 (t, *J* = 7.1 Hz, 3 H), 0.88 (t, *J* = 7.1 Hz, 3 H); $^{13}C$ NMR (100 MHz, $CDCl_3$) *d (ppm)* 163.2, 162.6, 144.9, 136.6, 131.1, 129.8, 128.9, 128.5, 127.8, 127.1, 63.5, 62.2, 57.6, 49.8, 21.8, 13.9, 13.7; IR (KBr) 3053, 2986, 2925, 1749,

1593 $cm^{-1}$; ESI-MS for $C_{21}H_{23}NO_6S$ *m/z* (relative intensity) 440 ($(M+Na)^+$, 100), 284 (60); HRMS *m/z* calcd for $C_{21}H_{24}NO_6S^+$ ($MH^+$) required 418.1319, found 418.1327.

**Diethyl 3-*p*-tolyl-1-tosylaziridine-2,2-dicarboxylate 2b:**

Colorless oil. $^1H$ NMR (400 MHz, $CDCl_3$) *d (ppm)* 7.95 (d, *J* = 8.3 Hz, 2 H), 7.34 (d, *J* = 8.2 Hz, 2 H), 6.99-7.14 (m, 4 H), 4.84 (s, 1 H), 4.32-4.44 (m, 2 H), 3.97 (q, *J* = 7.0 Hz, 2 H), 2.44 (s, 3 H), 2.28 (s, 3H), 1.37 (t, *J* = 7.1 Hz, 3 H), 0.93 (t, *J* = 7.1 Hz, 3 H); $^{13}C$ NMR (100 MHz, $CDCl_3$) *d (ppm)* 163.3, 162.7, 144.7, 138.8, 136.7, 129.8, 129.1, 128.1, 127.8, 127.0, 63.4, 62.2, 57.6, 49.9, 21.8, 21.3, 13.9, 13.8; IR (KBr) 3053, 2986, 2919, 1748, 1593 $cm^{-1}$; ESI-MS for $C_{22}H_{25}NO_6S$ *m/z* (relative intensity) 454 ($(M+Na)^+$, 100), 432 ($(M+H)^+$, 20), 298 (80); HRMS *m/z* calcd for $C_{22}H_{26}NO_6S^+$ ($MH^+$) required 432.1475, found 432.1480.

**Diethyl 3-m-tolyl-1-tosylaziridine-2,2-dicarboxylate 2c:**

Colorless oil. $^1H$ NMR (400 MHz, $CDCl_3$) *d (ppm)* 7.96 (d, *J* = 8.3 Hz, 2 H), 7.35 (d, *J* = 8.2 Hz, 2 H), 6.99-7.17 (m, 4 H), 4.84 (s, 1 H), 4.31-4.43 (m, 2 H), 3.97 (q, *J* = 7.0 Hz, 2 H), 2.45 (s, 3 H), 2.27 (s, 3 H), 1.37 (t, *J* = 7.3 Hz, 3 H), 0.90 (t, *J* = 7.1 Hz, 3 H); $^{13}C$ NMR (100 MHz, $CDCl_3$) *d (ppm)* 163.3, 162.7, 144.8, 138.2, 136.6, 131.0, 129.8, 129.7, 128.4, 127.8, 124.0, 63.5, 62.2, 57.5, 49.8, 21.8, 21.4, 13.9, 13.7; IR (KBr) 2981, 2925, 1748, 1639, 1598 $cm^{-1}$; ESI-MS for $C_{22}H_{25}NO_6S$ *m/z* (relative intensity) 454 ($(M+Na)^+$, 100), 432 ($(M+H)^+$, 30), 298 (70); HRMS *m/z* calcd for $C_{22}H_{26}NO_6S^+$ ($MH^+$) requires 432.1475, found 432.1488.

**Diethyl 3-(4-chlorophenyl)-1-tosylaziridine-2,2-dicarboxylate 2d:**

Colorless oil. $^{1}$H NMR (400 MHz, $CDCl_3$) *d (ppm)* 7.94 (d, *J* = 8.3 Hz, 2 H), 7.35 (d, *J* = 8.3 Hz, 2 H), 7.15-7.28 (m, 4 H), 4.83 (s, 1 H), 4.32-4.42 (m, 2 H), 3.94-4.11 (m, 2 H), 2.45 (s, 3 H), 1.36 (t, *J* = 7.3 Hz, 3 H), 0.94 (t, *J* = 7.1 Hz, 3 H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d (ppm)* 163.0, 162.4, 145.0, 136.4, 135.0, 129.9, 129.7, 128.7, 128.6, 127.8, 63.6, 62.4, 57.5, 49.0, 21.8, 13.9, 13.8; IR (KBr) 3056, 2985, 2939, 1751, 1599 $cm^{-1}$; ESI-MS for $C_{21}H_{22}NO_6SCl$ *m/z* (relative intensity) 474 ($(M+Na)^+$, 90), 318 (100); HRMS *m/z* calcd for $C_{21}H_{23}NO_6SCl^+$ ($MH^+$) requires 452.0929, found 452.0926.

**Diethyl 3-(2-chlorophenyl)-1-tosylaziridine-2,2-dicarboxylate 2e:**

Colorless oil. $^{1}$H NMR (400 MHz, $CDCl_3$) *d (ppm)* 7.97 (d, *J* = 8.2 Hz, 2 H), 7.31-7.39 (m, 3 H), 7.20-7.24 (m, 1 H), 7.09-7.13 (m, 2 H), 5.05 (s, 1 H), 4.41 (q, *J* = 7.2 Hz, 2 H), 3.88-3.98 (m, 2 H), 2.46 (s, 3 H), 1.38 (t, *J* = 7.1 Hz, 3 H), 0.86 (t, *J* = 7.1 Hz, 3 H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d (ppm)* 162.7, 162.3, 145.0, 136.1, 134.1, 130.0, 129.8, 129.3, 129.1, 128.5, 127.8, 126.6, 63.3, 62.2, 56.6, 48.1, 21.7, 13.8, 13.5; IR (KBr) 3055, 2987, 1751, 1593 $cm^{-1}$; ESI-MS for $C_{21}H_{22}NO_6SCl$ *m/z* (relative intensity) 474 ($(M+Na)^+$, 20), 318 (100); HRMS *m/z* calcd for $C_{21}H_{23}NO_6SCl^+$ ($MH^+$) requires 452.0929, found 452.0942.

**Diethyl 3-(2-bromophenyl)-1-tosylaziridine-2,2-dicarboxylate 2f:**

Colorless oil. $^{1}$H NMR (400 MHz, $CDCl_3$) *d (ppm)* 7.98 (d, *J* = 8.3 Hz, 2 H), 7.50-7.53 (m, 1 H), 7.37 (d, *J* = 8.2 Hz, 2 H), 7.13-7.19 (m, 2 H), 7.06-7.11 (m, 1 H), 5.00 (s, 1 H), 4.41 (q, *J* = 6.9 Hz, 2 H), 3.86-3.98 (m, 2 H), 2.47 (s, 3 H), 1.38 (t, *J* = 7.1 Hz, 3 H), 0.86 (t, *J* = 7.1 Hz, 3 H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d (ppm)* 162.8, 162.3, 145.1, 136.3, 132.4, 131.1, 130.3, 129.9, 128.9, 127.9, 127.2, 123.4, 63.4, 62.3, 56.7, 50.2,

21.8, 13.9, 13.6; IR (KBr) 2983, 2926, 1751, 1597 $cm^{-1}$; ESI-MS for $C_{21}H_{22}BrNO_6S$ *m/z* (relative intensity) 520 ($(M+Na)^+$, 70), 364 (100); HRMS *m/z* calcd for $C_{21}H_{23}BrNO_6S^+$ ($MH^+$) requires 496.0424, found 496.0427.

**Diethyl 3-(4-cyanophenyl)-1-tosylaziridine-2,2-dicarboxylate 2g:**

Colorless oil. $^1H$ NMR (400 MHz, $CDCl_3$) *d (ppm)* 7.94 (d, *J* = 8.3 Hz, 2 H), 7.58 (d, *J* = 8.2 Hz, 2 H), 7.31-7.41 (m, 4 H), 4.88 (s, 1 H), 4.34-4.45 (m, 2 H), 3.90-3.99 (m, 2 H), 2.46 (s, 3 H), 1.37 (t, *J* = 7.1 Hz, 3 H), 0.93 (t, *J* = 7.1 Hz, 3 H); $^{13}C$ NMR (100 MHz, $CDCl_3$) *d (ppm)* 162.7, 162.1, 145.3, 136.4, 136.0, 132.3, 130.0, 129.9, 128.0, 127.7, 118.3, 112.9, 63.8, 62.6, 57.6, 48.6, 21.8, 13.9, 13.8; IR (KBr) 2984, 2925, 2230, 1751, 1597 $cm^{-1}$; ESI-MS for $C_{22}H_{22}N_2O_6S$ *m/z* (relative intensity) 465 ($(M+Na)^+$, 60), 309 (100); HRMS *m/z* calcd for $C_{22}H_{23}N_2O_6S^+$ ($MH^+$) requires 443.1271, found 443.1288.

**Diethyl 3-(4-fluorophenyl)-1-tosylaziridine-2,2-dicarboxylate 2h:**

Colorless oil. $^1H$ NMR (400 MHz, $CDCl_3$) *d (ppm)* 7.95 (d, *J* = 8.2 Hz, 2 H), 7.36 (d, *J* = 8.2 Hz, 2 H), 7.21-7.28 (m, 2 H), 6.91-6.99 (m, 2 H), 4.85 (s, 1 H), 4.35-4.43 (m, 2 H), 3.97 (q, *J* = 7.2 Hz, 2 H), 2.45 (s, 3 H), 1.37 (t, *J* = 7.1 Hz, 3 H), 0.93 (t, *J* = 7.1 Hz, 3 H); $^{13}C$ NMR (100 MHz, $CDCl_3$) *d (ppm)* 164.3, 163.1, 162.5, 161.9, 145.0, 136.4, 129.8, 129.0, 127.8, 127.0, 115.6, 115.4, 63.5, 62.3, 57.6, 49.0, 21.8, 13.9, 13.8; IR (KBr) 2975, 2924, 1750, 1598 $cm^{-1}$; ESI-MS for $C_{21}H_{22}FNO_6S$ *m/z* (relative intensity) 458 ($(M+Na)^+$, 30), 439 (90), 302 (100); HRMS *m/z* calcd for $C_{21}H_{23}FNO_6S^+$ ($MH^+$) requires 436.1225, found 436.1235.

**Diethyl 3-(3-nitrophenyl)-1-tosylaziridine-2,2-dicarboxylate 2i:**

Pale yellow oil. $^{1}$H NMR (400 MHz, $CDCl_3$) *d (ppm)* 8.09-8.18 (m, 2 H), 7.97 (d, *J* = 8.3 Hz, 2 H), 7.63 (d, *J* = 7.8 Hz, 1 H), 7.49 (t, *J* = 7.8 Hz, 1 H), 7.39 (d, *J* = 8.2 Hz, 2 H), 4.91 (s, 1 H), 4.36-4.47 (m, 2 H), 3.93-4.03 (m, 2 H), 2.47 (s, 3 H), 1.39 (t, *J* = 7.1 Hz, 3 H), 0.96 (t, *J* =7.1 Hz, 3 H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d (ppm)* 162.7, 162.1, 148.2, 145.5, 135.8, 133.5, 133.4, 130.0, 129.7, 127.9, 124.0, 122.4, 63.8, 62.7, 57.5, 48.1, 21.8, 13.9, 13.8; IR (KBr) 3083, 2984, 2925, 1753, 1597, 1534 $cm^{-1}$; ESI-MS for $C_{21}H_{22}N_2O_8S$ *m/z* (relative intensity) 485 ($(M+Na)^+$, 20), 329 (60), 141 (100); HRMS *m/z* calcd for $C_{21}H_{23}N_2O_8S^+$ ($MH^+$) requires 463.1170, found 463.1183.

**Diethyl 3-(naphthalen-1-yl)-1-tosylaziridine-2,2-dicarboxylate 2j:**

Colorless oil. $^{1}$H NMR (400 MHz, $CDCl_3$) *d (ppm)* 8.24 (d, *J* = 8.2 Hz, 1 H), 8.03 (d, *J* = 8.2 Hz, 2 H), 7.83 (d, *J* = 7.8 Hz, 1 H), 7.74-7.78 (m, 1 H), 7.55-7.60 (m, 1 H), 7.48-7.52 (m, 1 H), 7.39 (d, *J* = 7.8 Hz, 2 H), 7.28-7.33 (m, 2 H), 5.30 (s, 1 H), 4.49 (q, *J* = 7.2 Hz, 2 H), 3.70 (q, *J* = 7.0 Hz, 2 H), 2.47 (s, 3 H), 1.42 (t, *J* = 7.1 Hz, 3 H), 0.45 (t, *J* = 7.1 Hz, 3 H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d (ppm)* 163.4, 162.4, 145.2, 135.9, 133.3, 131.4, 129.9, 128.6, 128.2, 126.9, 126.2, 125.2, 125.1, 123.4, 63.5, 62.1, 56.9, 48.3, 21.8, 13.9, 13.2; IR (KBr) 3055, 2987, 1747, 1598 $cm^{-1}$; ESI-MS for $C_{25}H_{25}NO_6S$ *m/z* (relative intensity) 490 ($(M+Na)^+$, 10), 468 ($(M+H)^+$, 60), 422 (100), 312 (50); HRMS *m/z* calcd for $C_{25}H_{26}NO_6S^+$ ($MH^+$) requires 468.1475, found 468.1482.

**Dimethyl 3-phenyl-1-tosylaziridine-2,2-dicarboxylate 2m:**

Colorless oil. $^{1}$H NMR (400 MHz, $CDCl_3$) *d (ppm)* 7.94 (d, *J* = 8.2 Hz, 2 H), 7.36 (d, *J* = 8.2 Hz, 2 H), 7.21-7.29 (m, 5 H), 4.89 (s, 1 H), 3.93 (s, 3 H), 3.48 (s, 3 H), 2.45 (s, 3 H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d (ppm)* 163.7, 163.1, 145.0, 136.3, 131.0, 129.9,

129.1, 128.6, 127.8, 127.0, 57.3, 54.1, 53.1, 49.9, 21.8; IR (KBr) 2956, 2924, 1753, 1593 $cm^{-1}$; ESI-MS for $C_{19}H_{19}NO_6S$ *m/z* (relative intensity) 412 ($(M+Na)^+$, 100), 390 ($(M+H)^+$, 30), 324 (80), 256 (80); HRMS *m/z* calcd for $C_{19}H_{20}NO_6S^+$ ($MH^+$) requires 390.1006, found 390.1013.

**Di-tert-butyl 3-phenyl-1-tosylaziridine-2,2-dicarboxylate 2n:**

Colorless oil. $^1H$ NMR (400 MHz, $CDCl_3$) *d (ppm)* 7.98 (d, *J* = 8.2 Hz, 2 H), 7.34 (d, *J* = 8.3 Hz, 2 H), 7.22-7.24 (m, 5 H), 4.80 (s, 1 H), 2.44 (s, 3 H), 1.57 (s, 9 H), 1.12 (s, 9 H); $^{13}C$ NMR (100 MHz, $CDCl_3$) *d (ppm)* 162.0, 161.5, 144.5, 137.2, 131.5, 129.7, 128.7, 128.3, 127.7, 127.1, 84.4, 83.0, 59.0, 49.7, 27.8, 27.5, 21.8; IR (KBr) 2979, 2932, 1744, 1598 $cm^{-1}$; ESI-MS for $C_{25}H_{31}NO_6S$ *m/z* (relative intensity) 496 ($(M+Na)^+$, 50), 486 (100), 298 (60), 170 (60); HRMS *m/z* calcd for $C_{25}H_{31}NO_6SNa^+$ ($(M+Na)^+$) requires 496.1764, found 496.1770.

**Ethyl 2-acetyl-3-phenyl-1-tosylaziridine-2-carboxylate 2o:**

Colorless oil. $^1H$ NMR (400 MHz, $CDCl_3$) *d (ppm)* 7.76 (d, *J* = 8.2 Hz, 2 H), 7.42-7.50 (m, 2 H), 7.32-7.38 (m, 5 H), 6.80 (s, 1 H), 4.19-4.35 (m, 2 H), 2.46 (s, 3 H), 2.03 (s, 3 H), 1.33 (t, *J* = 7.1 Hz, 3 H); $^{13}C$ NMR (100 MHz, $CDCl_3$) *d (ppm)* 162.1, 161.2, 144.9, 136.3, 132.6, 129.6, 129.5, 129.2, 128.6, 128.5, 128.2, 125.8, 111.0, 94.2, 60.9, 21.8, 21.7, 14.3, 12.9; IR (KBr) 3055, 2986, 2919, 1707, 1642, 1598 $cm^{-1}$; ESI-MS for $C_{20}H_{21}NO_5S$ *m/z* (relative intensity) 410 ($(M+Na)^+$, 100), 388 ($(M+H)^+$, 20), 254 (80); HRMS *m/z* calcd for $C_{20}H_{22}NO_5S^+$ ($MH^+$) requires 388.1213, found 388.1222.

**2,2-diacetyl-3-phenyl-1-tosylaziridine 2p:**

Colorless oil. $^{1}$H NMR (400 MHz, $CDCl_3$) *d (ppm)* 7.70 (d, *J* = 8.7 Hz, 2 H), 7.47-7.51 (m, 2 H), 7.33-7.42 (m, 5 H), 6.70 (s, 1 H), 2.47 (s, 3 H), 2.43 (s, 3 H), 1.99 (s, 3 H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d (ppm)* 193.3, 161.1, 145.4, 136.2, 130.9, 129.7, 129.6, 128.7, 125.4, 118.8, 94.3, 28.6, 21.9, 13.0; IR (KBr) 3055, 2986, 2925, 1752, 1679, 1599, 1577 $cm^{-1}$; ESI-MS for $C_{19}H_{19}NO_4S$ *m/z* (relative intensity) 380 ($(M+Na)^+$, 100), 224 (80); HRMS *m/z* calcd for $C_{19}H_{20}NO_4S^+$ ($MH^+$) requires 358.1108, found 358.1113

**Diethyl 3-isobutyl-1-tosylaziridine-2,2-dicarboxylate 2q:**

Colorless oil. $^{1}$H NMR (400 MHz, $CDCl_3$) *d (ppm)* 7.89 (d, *J* = 8.2 Hz, 2 H), 7.33 (d, *J* = 8.2 Hz, 2 H), 4.19-4.37 (m, 4 H), 3.72-3.77 (m, 1 H), 2.44 (s, 3 H), 1.63-1.71 (m, 1 H), 1.38-1.46 (m, 1 H), 1.22-1.35 (m, 7 H), 0.88-0.96 (m, 6 H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d (ppm)* 163.8, 163.7, 144.7, 136.4, 129.4, 127.9, 63.1, 62.5, 55.4, 47.5, 36.6, 26.6, 21.9, 21.7, 14.1, 13.8; IR (KBr) 2961, 2925, 1749, 1640, 1465 $cm^{-1}$; ESI-MS for $C_{19}H_{27}NO_6S$ *m/z* (relative intensity) 420 ($(M+Na)^+$, 100), 398 ($(M+H)^+$, 70); HRMS *m/z* calcd for $C_{19}H_{28}NO_6S^+$ ($MH^+$) requires 398.1632, found 398.1640.

**Diethyl 3-tert-butyl-1-tosylaziridine-2,2-dicarboxylate 2r:**

Colorless oil. $^{1}$H NMR (400 MHz, $CDCl_3$) *d (ppm)* 7.93 (d, *J* = 8.3 Hz, 2 H), 7.33 (d, *J* = 8.2 Hz, 2 H), 4.28-4.36 (m, 2 H), 4.23 (q, *J* = 7.2 Hz, 2 H), 3.70 (s, 1 H), 2.44 (s, 3 H), 1.22-1.34 (m, 6 H), 0.89 (s, 9 H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d (ppm)* 164.5, 164.1, 144.4, 137.0, 129.6, 127.8, 63.4, 62.3, 58.4, 54.8, 31.8, 26.5, 21.8, 13.9, 13.8; IR (KBr) 2963, 2926, 1749, 1644, 1593 $cm^{-1}$; ESI-MS for $C_{19}H_{27}NO_6S$ *m/z* (relative

intensity) 420 ($(M+Na)^+$, 20), 398 ($(M+H)^+$, 30), 170 (100); HRMS *m/z* calcd for $C_{19}H_{28}NO_6S^+$ ($MH^+$) requires 398.1632, found 398.1640.

**Diethyl 3-heptyl-1-tosylaziridine-2,2-dicarboxylate 2s:**

Colorless oil. $^1$H NMR (400 MHz, $CDCl_3$) *d (ppm)* 7.89 (d, *J* = 8.2 Hz, 2 H), 7.33 (d, *J* = 8.2 Hz, 2 H), 4.19-4.37 (m, 4 H), 3.65-3.69 (m, 1 H), 2.44 (s, 3 H), 1.12-1.38 (m, 18 H), 0.87 (t, *J* =7.1 Hz, 3 H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d (ppm)* 163.8, 163.6, 144.7, 136.3, 129.6, 127.9, 63.1, 62.5, 55.6, 48.6, 31.6, 29.1, 28.9, 28.0, 26.7, 22.6, 21.7, 14.1, 13.8; IR (KBr) 2929, 2858, 1749, 1598 $cm^{-1}$; ESI-MS for $C_{22}H_{33}NO_6S$ *m/z* (relative intensity) 462 ($(M+Na)^+$,40), 440 ($(M+H)^+$,10), 346 (40), 219 (40), 156 (100); HRMS *m/z* calcd for $C_{22}H_{34}NO_6S^+$ ($MH^+$) requires 440.2101, found 440.2107.

**Diethyl 2-((4-methylphenylsulfonamido)(phenyl)methyl)malonate 3a:** $^1$H NMR (400 MHz, $CDCl_3$) *d* 7.49 (d, *J* = 8.2 Hz, 2H), 7.05-7.18 (m, 7H), 6.38 (d, *J* = 9.6 Hz, 1H), 5.15 (dd, *J* = 9.6, 5.6 Hz, 1H), 3.98-4.12 (m, 4H), 3.75 (d, *J* = 5.6 Hz, 1H), 2.29 (s, 3H), 1.15 (t, *J* = 6.8 Hz, 3H), 1.09 (t, *J* = 6.8 Hz, 3H). (ref. : R. Fan, D. Pu, F. Wen, *J. Org. Chem.* **2007**, *72*, 8994.)

**Diethyl 2-(3-methyl-1-(4-methylphenylsulfonamido)butyl)malonate 3q:** $^1$H NMR (400 MHz, $CDCl_3$) *d* 7.74 (d, *J* = 8.2 Hz, 2 H), 7.28 (d, *J* = 8.2 Hz, 2 H), 5.49 (d, *J* = 9.6 Hz, 1 H), 4.15-4.23 (m, 2 H), 3.90-4.10 (m, 3 H), 3.55 (d, *J* = 3.7 Hz, 1 H), 2.41 (s, 3 H), 1.43-1.54 (m, 2 H), 1.24-1.33 (m, 4 H), 1.20 (t, *J* = 7.1 Hz, 3 H), 0.83 (d, *J* = 6.4 Hz, 3 H), 0.74 (d, *J* = 6.4 Hz, 3 H); $^{13}$C NMR (100 MHz, $CDCl_3$) *d* 168.1, 167.6, 143.3, 138.6, 129.6, 127.1, 61.8, 61.7, 54.8, 51.8, 42.6, 24.8, 22.7, 21.9, 21.5, 14.1, 13.9; IR

(KBr) 2959, 2928, 2871, 1735, 1598, 1466 $cm^{-1}$. HRMS *m/z* calcd for $C_{19}H_{30}NO_6S^+$ ($MH^+$) requires 400.1788, found 400.1794.

**Diethyl 2-(2,2-dimethyl-1-(4-methylphenylsulfonamido)propyl)malonate 3r:** $^1H$ NMR (400 MHz, $CDCl_3$) *d* 7.73 (d, *J* = 8.2 Hz, 2 H), 7.25 (d, *J* = 8.3 Hz, 2 H), 6.50 (d, *J* = 9.6 Hz, 1 H), 4.14-4.22 (m, 2 H), 3.97 (dd, *J* = 9.6, 2.3 Hz, 1 H), 3.77-3.88 (m, 2 H), 3.58 (d, *J* = 2.3 Hz, 1 H), 2.39 (s, 3 H), 1.27 (t, *J* = 7.1 Hz, 3 H), 1.16 (t, *J* = 7.1 Hz, 3 H), 0.89 (s, 9 H); $^{13}C$ NMR (100 MHz, $CDCl_3$) *d* 169.8, 167.9, 142.7, 139.4, 129.3, 126.9, 62.1, 61.9, 50.4, 36.3, 27.2, 21.5, 14.0; IR (KBr) 2963, 2930, 2868, 1726, 1593, 1446 $cm^{-1}$. HRMS *m/z* calcd for $C_{19}H_{30}NO_6S^+$ ($MH^+$) requires 400.1788, found 400.1794.

**Diethyl 2-(1-(4-methylphenylsulfonamido)octyl)malonate 3s:** $^1H$ NMR (400 MHz, $CDCl_3$) *d* 7.66 (d, *J* = 8.1 Hz, 2 H), 7.21 (d, *J* = 7.9 Hz, 2 H), 5.52 (d, *J* = 10.1 Hz, 1 H), 4.06-4.17 (m, 2 H), 3.85-4.02 (m, 2 H), 3.74-3.81 (m, 1 H), 3.50 (d, *J* = 4.1 Hz, 1 H), 2.33 (s, 3 H), 1.34-1.52 (m, 2 H), 0.94-1.20 (m, 16 H), 0.78 (t, *J* = 7.1 Hz, 3 H); $^{13}C$ NMR (100 MHz, $CDCl_3$) *d* 168.1, 167.6, 143.2, 138.6, 129.6, 127.1, 65.3, 61.9, 61.7, 55.0, 53.7, 33.7, 31.7, 29.1, 26.1, 22.7, 21.5, 14.1, 14.0; IR (KBr) 2955, 2925, 2855, 1735, 1593, 1460 $cm^{-1}$. HRMS *m/z* calcd for $C_{22}H_{36}NO_6S^+$ ($MH^+$) requires 442.2258, found 442.2262.