## **Supplementary Material**

for

# Brønsted Base-Mediated Aziridination of 2-Alkyl Substituted-1,3-Dicarbonyl Compounds and 2-Acyl-1,4-Dicarbonyl Compounds by Iminoiodanes

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#### 1. General Experimental

Starting material 1 was purchased from commercial sources and used as received or prepared following literature procedures.<sup>S1</sup> p-TsN=IPh, p-NsN=IPh and o-NsN=IPh were prepared following literature procedure.<sup>S2</sup> Analytical thin layer chromatography (TLC) was performed using pre-coated silica gel plates. Visualization was achieved by UV-vis light (254 nm) followed by staining with ninhydrin or KMnO<sub>4</sub> and heating. Flash chromatography was performed using silica gel and gradient solvent system (eluent: *n*-hexane:EtOAc). <sup>1</sup>H and <sup>13</sup>C NMR spectra were measured on Bruker Avance 300 and 400 MHz spectrometers. Chemical shifts (ppm) were recorded with tetramethylsilane (TMS) as the internal reference standard. Multiplicities are given as: s (singlet), brs (broad singlet), d (doublet), t (triplet), g (quartet), dd (doublet of doublets), dt (doublet of triplets) or m (multiplet). The number of protons (*n*) for a given resonance is indicated by nH and coupling constants are reported as a J value in Hz. Infrared spectra were recorded on a Shimadzu IR Prestige-21 FTIR spectrometer. All samples were examined as a thin film between NaCl salt plates. Solid samples were examined as a thin film between NaCl salt plates using dichloromethane as the solvent. Low resolution mass spectra (LCMS) were determined on a Finnigan LCQ XP MAX mass spectrometer. High resolution mass spectra (HRMS) were obtained using a Q-Tof Premier LC/HRMS mass spectrometer using simultaneous electrospray (ESI).

# 2. Experimental Procedure for the Brønsted Base-Mediated Aziridination of 1 by *o*-NsN=IPh

#### Method (a)

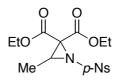
To a 4 mL screw-capped vial charged with magnetic stir bar, was added *o*-NsN=IPh (0.88 mmol, 356 mg) and acetonitrile (2 mL). Successively, 2-alkyl substituted-1,3-dicarbonyl compound **1** (0.4 mmol) and DBU (0.08 mmol,  $12 \mu$ L) was added and the reaction mixture was stirred at 23 °C for 18 h. The reaction mixture was then filtered and washed with EtOAc and concentrated to dryness. The crude mixture was purified by flash column chromatography (eluent: *n*-hexane/EtOAc = 3:1) to give the aziridine **2**.

#### Method (b)

To a 4 mL screw-capped vial charged with magnetic stir bar, was added *o*-NsN=IPh (1.2 mmol, 356 mg) and dichloromethane (2 mL). Successively, 2-acyl-substituted-1,4-dicarbonyl compound **1** (0.4 mmol) and  $K_2CO_3$  (0.44 mmol, 61 mg) was added and the reaction mixture was stirred at 40 °C for 18 h. On cooling to room temperature, the reaction mixture was filtered and washed with EtOAc and concentrated to dryness. The crude mixture was purified by flash column chromatography (eluent: *n*-hexane/EtOAc = 3:1) to give the aziridine **2**.

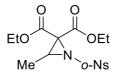
#### 3. Characterization Data

Diethyl 3-Methyl-1-((4-nitrophenyl)sulfonyl)aziridine-2,2-dicarboxylate 2a

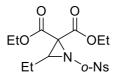


Yield 70%; white solid; mp 101–102 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  8.39 (d, J = 8.8 Hz, Ar H, 2H), 8.21 (d, J = 8.8 Hz, Ar H, 2H), 4.36–4.34 (m, –OCH<sub>2</sub>CH<sub>3</sub>, 4H), 3.92 (q, J = 5.6 Hz, –CHNNs, 1H), 1.34–1.21 (m, –OCH<sub>2</sub>CH<sub>3</sub> and –CHCH<sub>3</sub>, 9H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>)  $\delta$  163.2, 163.1, 150.6, 145.2, 128.9, 124.3, 63.5, 62.8, 56.0, 44.9, 14.1, 13.7, 13.6; IR (NaCl, neat) v 3109, 2984, 1745, 1734, 1535 cm<sup>-1</sup>; LCMS (ESI) m/z 409 [M+Na]<sup>+</sup>; HRMS (ESI) calcd for C<sub>15</sub>H<sub>19</sub>N<sub>2</sub>O<sub>8</sub>S [M + H]<sup>+</sup> 387.0862, found 387.0873.

#### Diethyl 3-Methyl-1-((2-nitrophenyl)sulfonyl)aziridine-2,2-dicarboxylate 2b

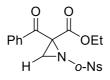


Yield 97%; yellow oil, <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  8.36–8.25 (m, Ar H, 1H), 7.95–7.93 (m, Ar H, 1H), 7.86–7.73 (m, Ar H, 2H), 4.38–4.26 (m, –OC*H*<sub>2</sub>CH<sub>3</sub>, 4H), 4.08 (q, *J* = 5.7 Hz, –C*H*NNs, 1H), 1.40 (d, *J* = 5.7 Hz, –CHC*H*<sub>3</sub>, 3H), 1.36–1.27 (m, –OCH<sub>2</sub>C*H*<sub>3</sub>, 6H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>)  $\delta$  163.5, 163.7, 134.2, 132.9, 130.7, 124.9, 63.4, 62.5, 56.0, 48.0, 14.1, 14.0, 13.7; IR (NaCl, neat) *v* 2985, 2983, 1745, 1734, 1546 cm<sup>-1</sup>; LCMS (ESI) *m/z* 409 [M+Na]<sup>+</sup>; HRMS (ESI) calcd for C<sub>15</sub>H<sub>18</sub>N<sub>2</sub>NaO<sub>8</sub>S [M + Na]<sup>+</sup> 409.0682, found 409.0691.

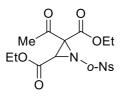


Yield 80%; yellow oil, <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.31–8.29 (m, Ar H, 1H),7.86–7.84 (m, Ar H, 1H), 7.79–7.76 (m, Ar H, 2H), 4.35–4.27 (m, –OC*H*<sub>2</sub>CH<sub>3</sub>, 4H), 3.92 (t, *J* = 6.6 Hz, –*CH*NNs, 1H), 1.94–1.84 (m, –CHC*H*<sub>2</sub>CH<sub>3</sub>, 1H), 1.44–1.36 (m, –CHC*H*<sub>2</sub>CH<sub>3</sub>, 1H), 1.34–1.30 (m, –OCH<sub>2</sub>CH<sub>3</sub>, 6H), 1.05 (t, *J* = 7.2 Hz, –CHCH<sub>2</sub>CH<sub>3</sub>, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  163.5, 163.5, 147.9, 134.4, 133.7, 132.7, 130.8, 124.8, 63.4, 62.5, 56.2, 22.0, 14.0, 13.7, 10.3; IR (NaCl, neat) *v* 3098, 2984, 2940, 1747, 1734, 1549 cm<sup>-1</sup>; LCMS (ESI) *m/z* 401 [M+H]<sup>+</sup>; HRMS (ESI) calcd for C<sub>16</sub>H<sub>21</sub>N<sub>2</sub>O<sub>8</sub>S [M + H]<sup>+</sup> 401.1019, found 401.1014.

#### Ethyl 2-Benzoyl-1-((4-nitrophenyl)sulfonyl)aziridine-2-carboxylate 2e

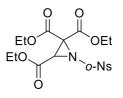


Yield 25%; pale yellow solid; mp 109–111 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.23–8.21 (m, Ar H, 1H), 8.12 (d, *J* = 7.2 Hz, Ar H, 2H), 7.82–7.80 (m, Ar H, 1H), 7.75–7.73 (m, Ar H, 2H), 7.61 (t, *J* = 7.2 Hz, Ar H, 2H), 7.50 (t, *J* = 7.6 Hz, Ar H, 2H), 4.35–4.23 (m, –OCH<sub>2</sub>CH<sub>3</sub>, 2H), 3.77 (s, –CH<sub>2</sub>NNs, 1H), 3.20 (s, –CH<sub>2</sub>NNs, 1H), 1.20 (t, *J* = 7.2 Hz, –OCH<sub>2</sub>CH<sub>3</sub>, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>)  $\delta$  188.8, 164.9, 147.9, 134.4, 134.2, 134.1, 132.7, 130.3, 129.5, 129.2, 128.6, 124.9, 63.5, 54.4, 13.7; IR (NaCl, neat) *v* 3055, 2984, 1734, 1670, 1545 cm<sup>-1</sup>; LCMS (ESI) *m/z* 405 [M+H]<sup>+</sup>; HRMS (ESI) calcd for C<sub>18</sub>H<sub>17</sub>N<sub>2</sub>O<sub>7</sub>S [M + H]<sup>+</sup> 405.0756, found 405.0740.

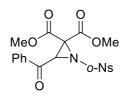


Yield 61%; pale yellow solid; mp 83–85 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.29–8.27 (m, Ar H, 1H), 7.95–7.93 (m, Ar H, 1H), 7.85–7.82 (m, Ar H, 2H), 4.56 (s, –*CH*NNs, 1H), 4.42–4.32 (m, –*OCH*<sub>2</sub>CH<sub>3</sub>, 2H), 4.28–4.19 (m, –*OCH*<sub>2</sub>CH<sub>3</sub>, 2H), 2.41 (s, –*COCH*<sub>3</sub>, 3H), 1.36 (t, *J* = 7.2 Hz, –*OCH*<sub>2</sub>CH<sub>3</sub>, 3H), 1.30 (t, *J* = 7.2 Hz, –*OCH*<sub>2</sub>CH<sub>3</sub>, 3H); <sup>13</sup>C NMR  $\delta$  197.4, 164.3, 162.8, 147.8, 134.9, 133.9, 133.2, 130.4, 125.6, 64.0, 62.8, 58.3, 49.4, 28.3, 13.9, 13.7; IR (NaCl, neat) *v* 3055, 2986, 2926, 1734, 1549 cm<sup>-1</sup>; LCMS (ESI) *m/z* 415 [M+H]<sup>+</sup>; HRMS (ESI) calcd for C<sub>16</sub>H<sub>19</sub>N<sub>2</sub>O<sub>9</sub>S [M + H]<sup>+</sup> 415.0811, found 415.0820.

#### Triethyl 1-((2-Nitrophenyl)sulfonyl)aziridine-2,2,3-tricarboxylate 2h

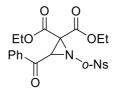


Yield 45% (isolated with small amount of unidentified compound), pale yellow solid; mp 90– 92 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.29–8.27 (m, Ar H, 1H), 7.91–7.88 (m, Ar H, 1H), 7.80–7.78 (m, Ar H, 2H), 4.57 (s, –*CH*NNs, 1H), 4.39 (q, *J* = 7.2 Hz, –*OCH*<sub>2</sub>CH<sub>3</sub>, 2H), 4.32– 4.21 (m, –*OCH*<sub>2</sub>CH<sub>3</sub>, 4H), 1.36 (t, *J* = 7.2 Hz, –*OCH*<sub>2</sub>CH<sub>3</sub>, 3H), 1.31 (t, *J* = 7.2 Hz, – OCH<sub>2</sub>CH<sub>3</sub>, 3H), 1.28 (t, *J* = 7.2 Hz, –*OCH*<sub>2</sub>CH<sub>3</sub>, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  164.0, 162.3, 161.9, 147.6, 71.7, 64.2, 62.8, 62.7, 54.9, 48.2, 14.0, 13.8, 13.7; IR (NaCl, neat) *v* 3055, 2986, 2940, 1748, 1547 cm<sup>-1</sup>; LCMS (ESI) *m/z* 445 [M+H]<sup>+</sup>; HRMS (ESI) calcd for C<sub>17</sub>H<sub>21</sub>N<sub>2</sub>O<sub>10</sub>S [M + H]<sup>+</sup> 445.0917, found 445.0919.

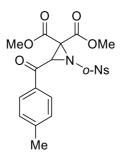


Yield 56%; white solid; mp 54–56 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.38–8.36 (m, Ar H, 1H), 8.09–8.07 (m, Ar H, 2H), 7.89–7.87 (m, Ar H, 1H), 7.81–7.78 (m, Ar H, 2H), 7.69–7.67 (m, Ar H, 1H), 7.56–7.52 (m, Ar H, 2H), 5.42 (s, –*CH*NNs, 1H), 3.98 (s, –*OCH*<sub>3</sub>, 3H), 3.77 (s, –*OCH*<sub>3</sub>, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  188.3, 163.1, 162.7, 135.4, 134.8, 134.6, 133.7, 133.2, 131.1, 129.0, 128.9, 125.4, 56.0, 54.7, 53.6, 49.8; IR (NaCl, neat) *v* 2986, 2984, 1734, 1454 cm<sup>-1</sup>; LCMS (ESI) *m/z* 449 [M+H]<sup>+</sup>; HRMS (ESI) calcd for C<sub>19</sub>H<sub>17</sub>N<sub>2</sub>O<sub>9</sub>S [M + H]<sup>+</sup> 449.0655, found 449.0646.

#### Diethyl 3-Benzoyl-1-((2-nitrophenyl)sulfonyl)aziridine-2,2-dicarboxylate 2j

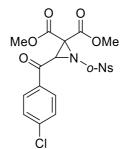


Yield 58%; Yellow solid; mp 89–90 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.38–8.36 (m, Ar H, 1H), 8.08 (d, J = 7.2 Hz, Ar H, 2H), 7.86–7.84 (m, Ar H, 1H), 7.80–7.77 (m, Ar H, 2H), 7.66 (t, J = 7.6 Hz, Ar H, 2H), 7.54 (t, J = 7.6 Hz, Ar H, 2H), 5.40 (s, –CHNNs, 1H), 4.47–4.41 (m, –OCH<sub>2</sub>CH<sub>3</sub>, 2H), 4.25–4.14 (m, –OCH<sub>2</sub>CH<sub>3</sub>, 2H), 1.39 (t, J = 7.2 Hz, –OCH<sub>2</sub>CH<sub>3</sub>, 3H), 1.14 (t, J = 7.1 Hz, –OCH<sub>2</sub>CH<sub>3</sub>, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  188.2, 162.6, 162.0, 147.7, 135.5, 134.8, 134.5, 133.7, 133.2, 131.0, 129.0, 128.8, 125.3, 64.3, 62.8, 56.3, 50.0, 29.7, 13.7; IR (NaCl, neat) v 3055, 2986, 2984, 2926, 1749, 1700, 1545 cm<sup>-1</sup>; LCMS (ESI) m/z 477 [M+H]<sup>+</sup>; HRMS (ESI) calcd for C<sub>21</sub>H<sub>21</sub>N<sub>2</sub>O<sub>9</sub>S [M + H]<sup>+</sup> 477.0968, found 477.0960.



Yield 50%; pale yellow solid; mp 116–118 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  8.39–8.36 (m, Ar H, 1H), 7.90 (d, J = 8.1 Hz, Ar H, 2H), 7.88–7.85 (m, Ar H, 2H), 7.81–7.77 (m, Ar H, 2H), 7.33 (d, J = 8.1 Hz, Ar H, 2H), 5.39 (s, –*CH*NNs, 1H), 3.97 (s, –*OCH*<sub>3</sub>, 3H), 3.76 (s, – OC*H*<sub>3</sub>, 3H), 2.45 (s, ArC*H*<sub>3</sub>, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>)  $\delta$  187.8, 163.2, 162.8, 147.7, 145.9, 134.8, 133.6, 133.2, 133.0, 131.0, 129.7, 129.1, 125.4, 55.9, 54.7, 53.6, 49.8, 29.7, 21.9; IR (NaCl, neat) v 3055, 2984, 2926, 1755, 1690, 1545 cm<sup>-1</sup>; LCMS (ESI) *m/z* 445 [M+Na]<sup>+</sup>; HRMS (ESI) calcd for C<sub>20</sub>H<sub>19</sub>N<sub>2</sub>O<sub>9</sub>S [M + H]<sup>+</sup> 463.0811, found 463.0803.

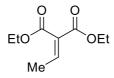
#### Dimethyl 3-(4-Chlorobenzoyl)-1-((2-nitrophenyl)sulfonyl)aziridine-2,2-dicarboxylate 21



Yield 41%, pale yellow solid; mp 146–148 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.36–8.34 (m, Ar H, 1H), 8.03 (d, *J* = 8.1 Hz, Ar H, 2H), 7.89–7.86 (m, Ar H, 1H), 7.81–7.78 (m, Ar H, 2H), 7.52 (d, *J* = 8.1 Hz, Ar H, 2H), 5.36 (s, –*CH*NNs, 1H), 3.98 (s, –*OCH*<sub>3</sub>, 3H), 3.77 (s, – OC*H*<sub>3</sub>, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  187.4, 163.0, 162.7, 147.7, 141.4, 134.9, 133.7, 133.5, 133.3, 131.0, 130.3, 129.4, 125.5, 56.0, 54.8, 53.7, 49.6; IR (NaCl, neat) *v* 3055, 2984,

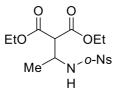
2926, 1753, 1694, 1589, 1545 cm<sup>-1</sup>; LCMS (ESI) m/z 505 [M+Na]<sup>+</sup>; HRMS (ESI) calcd for  $C_{19}H_{15}^{35}ClN_2NaO_9S$  [M + Na]<sup>+</sup> 505.0084, found 505.0080.

Diethyl 2-Ethylidene Malonate 3a



Yield 23%; colourless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  6.92 (q, J = 7.2 Hz, =CHCH<sub>3</sub>, 1H), 4.19–4.04 (m, –OCH<sub>2</sub>CH<sub>3</sub>, 4H), 1.80 (d, J = 7.2 Hz, =CHCH<sub>3</sub>, 3H), 1.20–1.10 (m, – OCH<sub>2</sub>CH<sub>3</sub> and =CHCH<sub>3</sub>, 6H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>)  $\delta$  165.1, 163.7, 61.0, 60.9, 15.2, 14.0, 13.9.

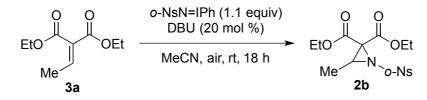
#### Diethyl 2-(1-((2-Nitrophenyl)sulfonamido)ethyl)malonate 4a



Yield 27%; yellow oil; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.18–8.16 (m, Ar H, 1H), 7.88–7.86 (m, Ar H, 1H), 7.78–7.71 (m, Ar H, 2H), 6.44 (d, J = 8.8 Hz, –CHN*H*Ns, 1H), 4.30–4.19 (m, –OC*H*<sub>2</sub>CH<sub>3</sub> and –CHC*H*NHNs, 3H), 4.06 (q, J = 7.2 Hz, –OC*H*<sub>2</sub>CH<sub>3</sub>, 2H), 3.52 (d, J = 4.4 Hz, –C*H*CH(CH<sub>3</sub>)NHNs, 1H), 1.29 (t, J = 7.2 Hz, –CHC*H*<sub>3</sub>, 3H), 1.26–1.20 (m, –OCH<sub>2</sub>C*H*<sub>3</sub>, 6H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  167.6, 166.9, 147.7, 135.4, 133.4, 132.9, 130.4, 125.3, 62.0, 56.6, 50.0, 19.8, 14.0, 13.9; IR (NaCl, neat) v 3354, 3098, 2984, 2940, 1734, 1732, 1537 cm<sup>-1</sup>; LCMS (ESI) *m/z* 411 [M+Na]<sup>+</sup>; HRMS (ESI) calcd for C<sub>15</sub>H<sub>20</sub>N<sub>2</sub>NaO<sub>8</sub>S [M + Na]<sup>+</sup> 411.0838, found 411.0829.

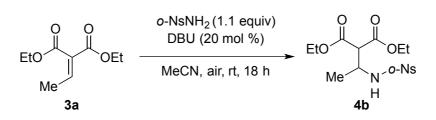
#### 4. Procedure for Control Experiments with 3a

Experimental Procedure for the Brønsted Base-Mediated Aziridination of 3a to 2b with *o*-NsN=IPh (Scheme 2a)



To a 4 mL screw-capped vial charged with a magnetic stir bar, was added *o*-NsN=IPh (0.22 mmol, 89 mg) and MeCN (1 mL). Successively, diethyl ethylidene malonate **3a** (0.2 mmol, 37  $\mu$ L) and DBU (0.04 mmol, 6  $\mu$ L) was added and the reaction mixture was stirred at room temperature under atmospheric conditions for 18 h. The reaction mixture was then filtered and washed with EtOAc and concentrated to dryness. The crude mixture was purified by flash column chromatography (eluent: *n*-hexane/EtOAc = 3:1) to give the aziridine product **2b**.

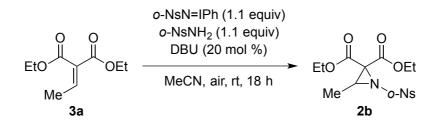
## Experimental Procedure for the Brønsted Base-Mediated Amination of 3a to 4b with *o*-NsNH<sub>2</sub> (Scheme 2b)



To a 4 mL screw-capped vial charged with a magnetic stir bar, was added *o*-NsNH<sub>2</sub> (0.22 mmol, 45 mg) and MeCN (1 mL). Successively, diethyl ethylidene malonate **3a** (0.2 mmol, 37  $\mu$ L) and DBU (0.04 mmol, 6  $\mu$ L) was added and the reaction mixture was stirred at room temperature under atmospheric conditions for 18 h. The reaction mixture was then filtered and washed with EtOAc and concentrated to dryness. The crude mixture was purified by flash column chromatography (eluent: *n*-hexane/EtOAc = 3:1) to give the title compound **4b**.

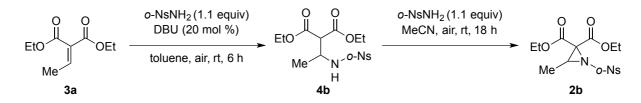
#### Experimental Procedure for the Brønsted Base-Mediated Aziridination of 3a to 2b with

*o*-NsN=IPh and *o*-NsNH<sub>2</sub> (Scheme 2c)



To a 4 mL screw-capped vial charged with a magnetic stir bar, was added *o*-NsN=IPh (0.22 mmol, 89 mg), *o*-NsNH<sub>2</sub> (0.22 mmol, 45 mg) and MeCN (1 mL). Successively, diethyl ethylidene malonate **3a** (0.2 mmol, 37  $\mu$ L) and DBU (0.04 mmol, 6  $\mu$ L) was added and the reaction mixture was stirred at room temperature under atmospheric conditions for 18 h. The reaction mixture was then filtered and washed with EtOAc and concentrated to dryness. The crude mixture was purified by flash column chromatography (eluent: *n*-hexane/EtOAc, 3:1) to give the title compound **2b**.

### Experimental Procedure for the Brønsted Base-Mediated Amination of 3a to 4b with *oo*-NsNH<sub>2</sub> and Subsequent Aziridination to 2b with NsN=IPh (Scheme 2d)



To a 4 mL screw-capped vial charged with a magnetic stir bar, was added *o*-NsNH<sub>2</sub> (0.22 mmol, 45 mg) and toluene (1 mL). Successively, diethyl ethylidene malonate **3a** (0.2 mmol, 37  $\mu$ L) and DBU (0.04 mmol, 6  $\mu$ L) was added and the reaction mixture was stirred at room temperature under atmospheric conditions for 6 h. The reaction mixture was then filtered and washed with EtOAc and concentrated to dryness. The crude mixture containing the title compound **4b** was placed in a 4 mL screw-capped vial charged with a magnetic stir bar, was added *o*-NsN=IPh (0.22 mmol, 89 mg) and MeCN (1 mL) and the reaction mixture was

stirred at room temperature under atmospheric conditions for 18 h. The reaction mixture was then filtered and washed with EtOAc and concentrated to dryness. The crude mixture was purified by flash column chromatography (eluent: *n*-hexane/EtOAc, 3:1) to give the title compound **2b**.

### 5. NMR Spectra

Figure S1. <sup>1</sup>H and <sup>13</sup>C NMR Spectra of Diethyl 3-Methyl-1-((4nitrophenyl)sulfonyl)aziridine-2,2-dicarboxylate 2a

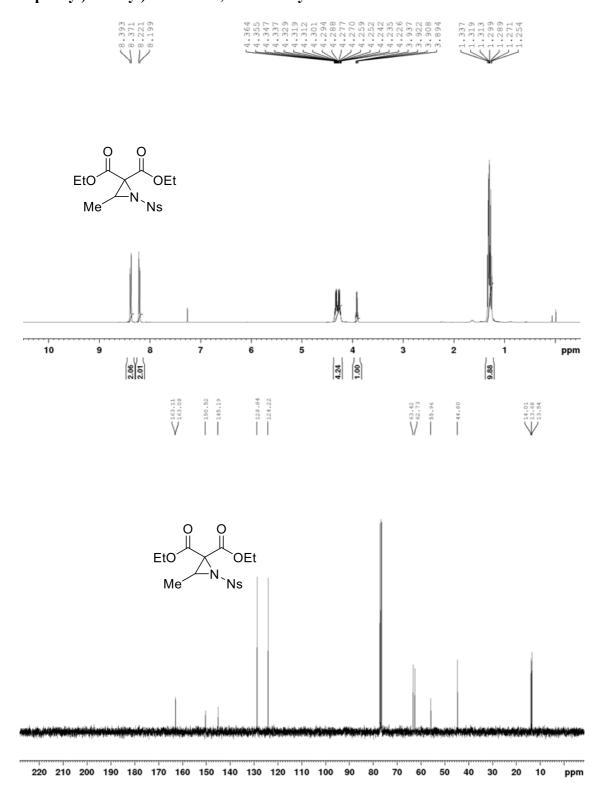


Figure S2. <sup>1</sup>H and <sup>13</sup>C NMR Spectra of Diethyl 3-Methyl-1-((2nitrophenyl)sulfonyl)aziridine-2,2-dicarboxylate 2b

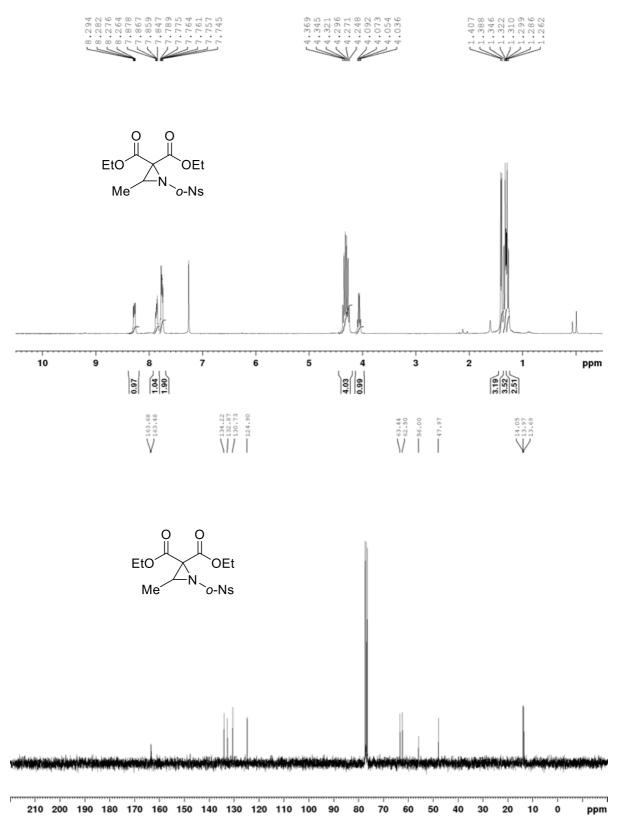
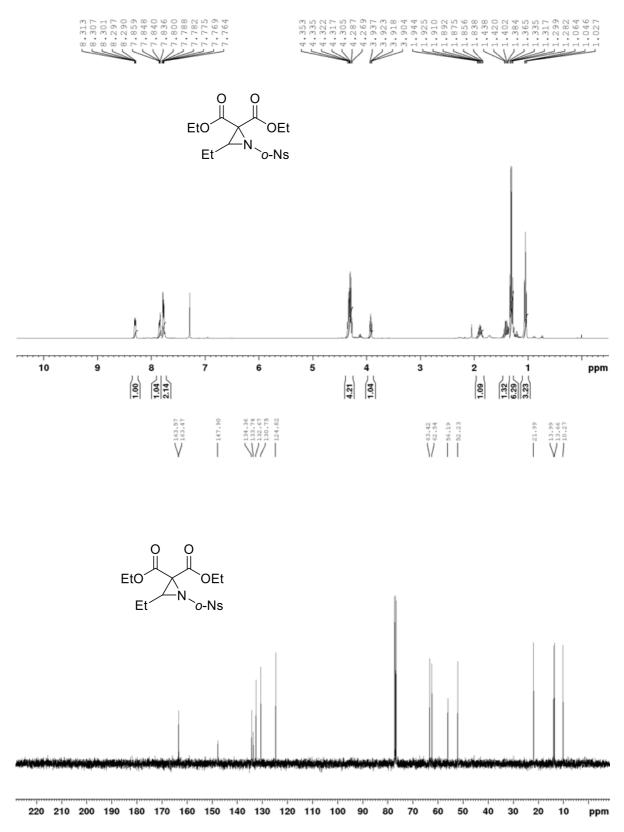
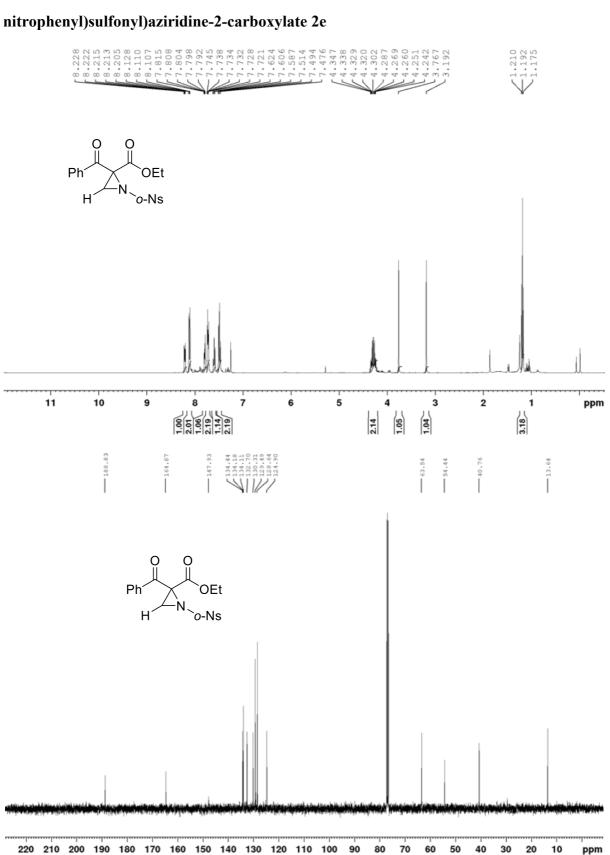


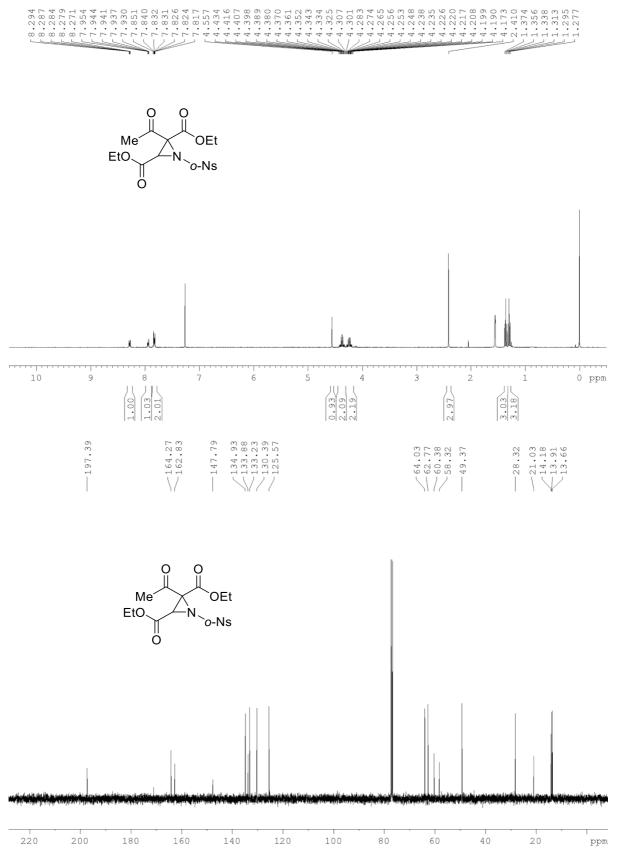
Figure S3. <sup>1</sup>H and <sup>13</sup>C NMR Spectra of Diethyl 3-Ethyl-1-((2nitrophenyl)sulfonyl)aziridine-2,2-dicarboxylate 2d





 $^{1}H$ <sup>13</sup>C Figure **S4**. and NMR Spectra Ethyl 2-Benzoyl-1-((4of

Figure S5. <sup>1</sup>H and <sup>13</sup>C NMR Spectra of Diethyl 2-Acetyl-1-((2nitrophenyl)sulfonyl)aziridine-2,3-dicarboxylate 2g



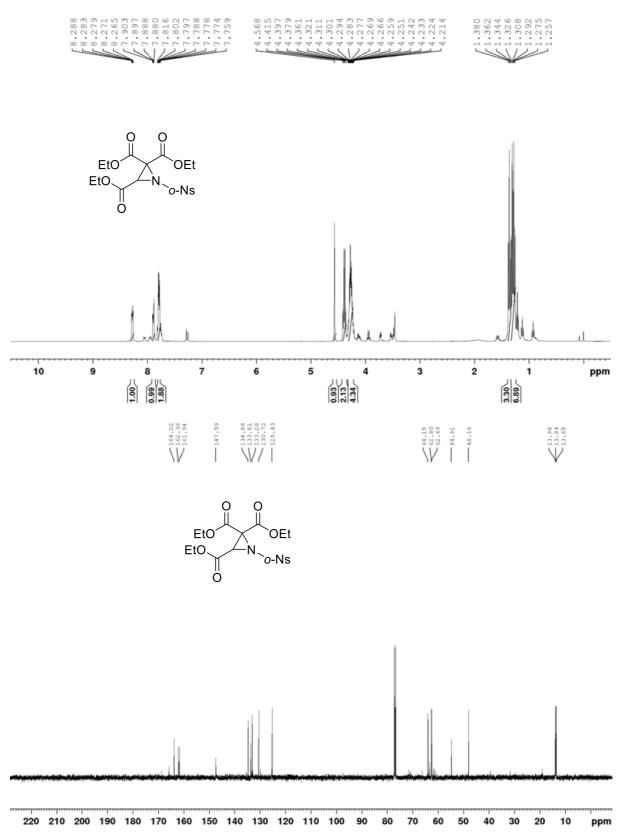


Figure S6. <sup>1</sup>H and <sup>13</sup>C NMR Spectra of Triethyl 1-((2-Nitrophenyl)sulfonyl)aziridine-2,2,3-tricarboxylate 2h Figure S7. <sup>1</sup>H and <sup>13</sup>C NMR Spectra of Dimethyl 3-Benzoyl-1-((2nitrophenyl)sulfonyl)aziridine-2,2-dicarboxylate 2i

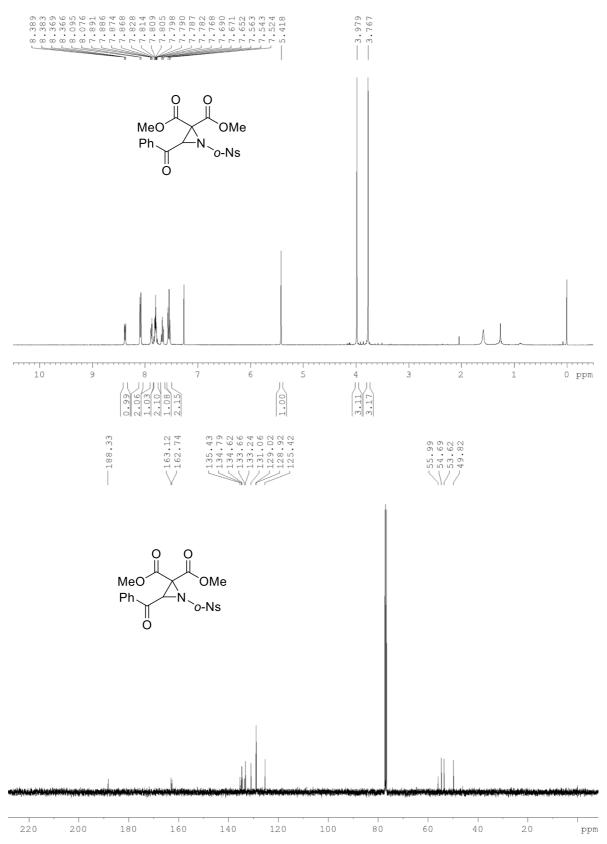


Figure S8. <sup>1</sup>H and <sup>13</sup>C NMR Spectra of Diethyl 3-Benzoyl-1-((2nitrophenyl)sulfonyl)aziridine-2,2-dicarboxylate 2j

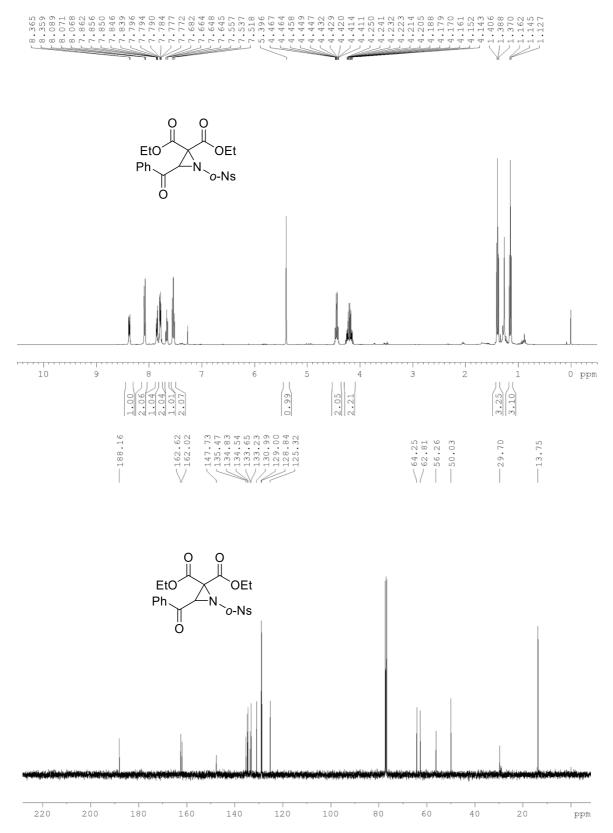


Figure S9. <sup>1</sup>H and <sup>13</sup>C NMR Spectra of Dimethyl 3-(4-Methylbenzoyl)-1-((2nitrophenyl)sulfonyl)aziridine-2,2-dicarboxylate 2k

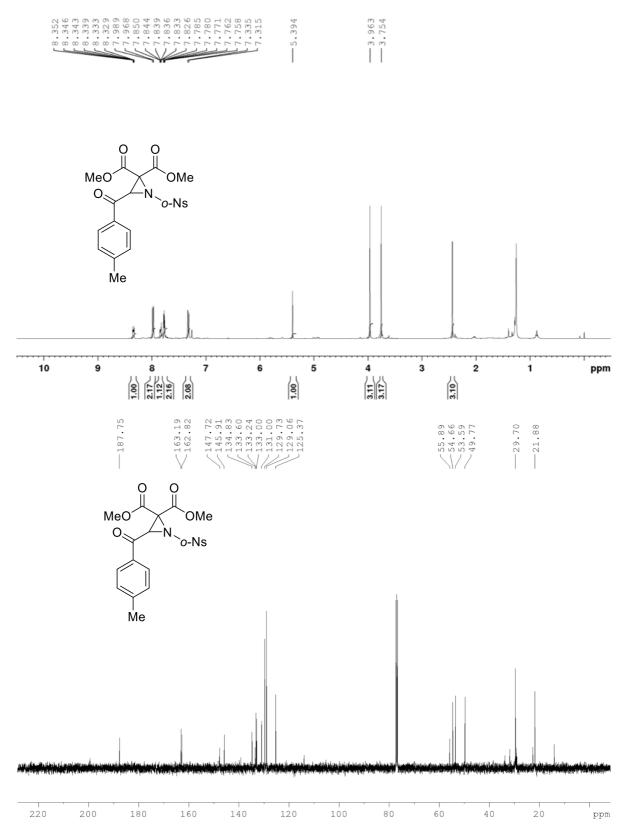
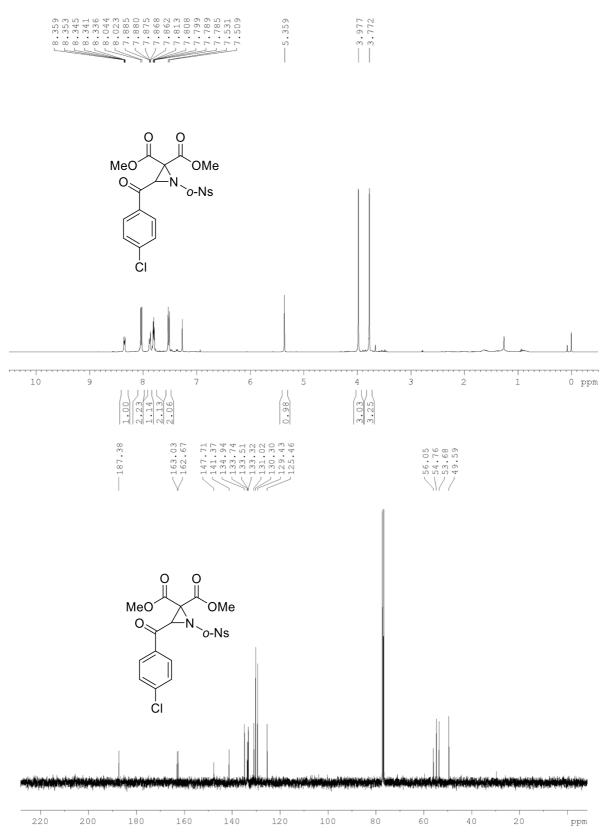
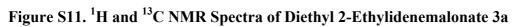
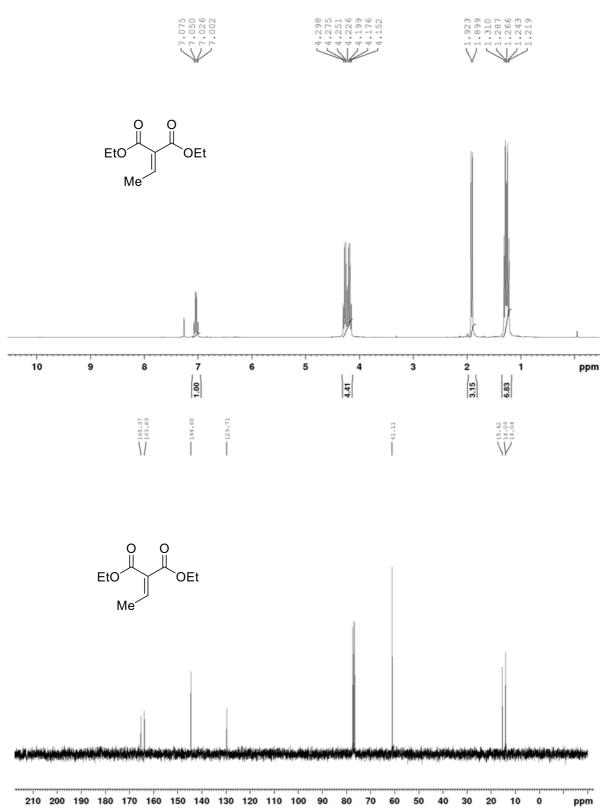
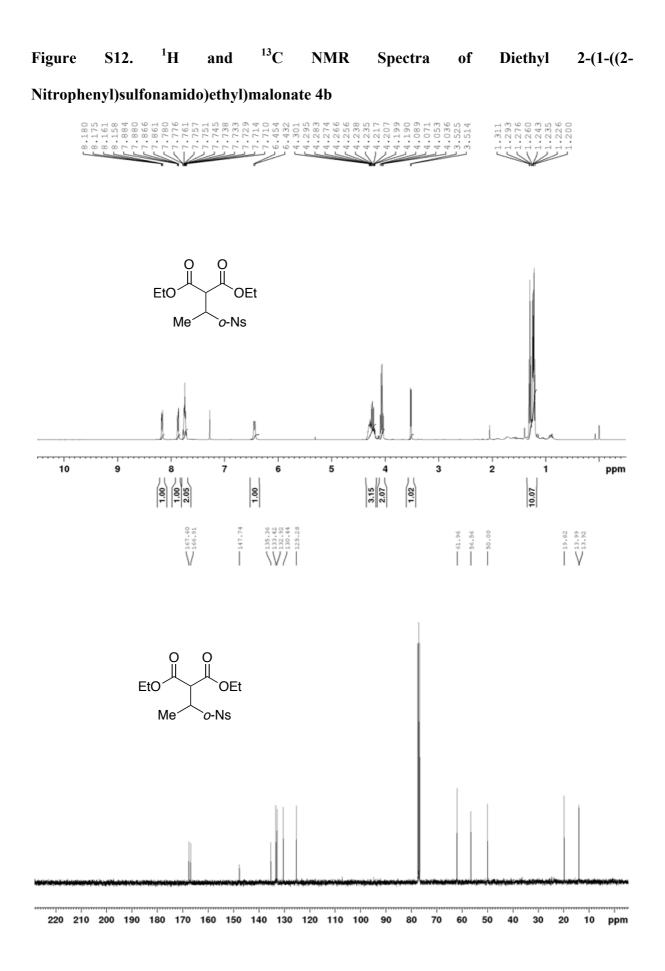


Figure S10. <sup>1</sup>H and <sup>13</sup>C NMR Spectra of Dimethyl 3-(4-Chlorobenzoyl)-1-((2nitrophenyl)sulfonyl)aziridine-2,2-dicarboxylate 2l









### Reference

- S1. Ton, T. M. U.; Tejo, C.; Tiong, D. L. Y.; Chan, P. W. H. J. Am. Chem. Soc. 2012, 134, 7344.
- S2. Yamada, A.; Yamamoto, T.; Okawara, M. Chem. Lett. 1975, 361.