

Supporting Information for

**Catalyst- and solvent-free regioselective ring opening of aziridines
with amines: application to gram-scale synthesis of α , β -diamino
propionic derivative, aspergillomarasmine A**

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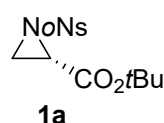
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General information

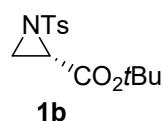
All starting reagents were purchased from commercial suppliers. Column chromatography was performed using 200-300 mesh silica gel, and ion-exchange chromatography was performed using ion-exchange resin Dowex 1×8. NMR spectra were recorded on Bruker Avance III HD 400 or Bruker Avance III HD 600 instruments and calibrated using residual solvent peaks as internal reference. HRMS were taken on Agilent1290 / Bruker maXis impact instrument.

Preparation of aziridines and the characterization data



tert-butyl (S)-1-((2-nitrophenyl)sulfonyl)aziridine-2-carboxylate (**1a**)

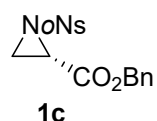
A suspension of *tert*-butyl *L*-serinate hydrochloride **6** (7.9064 g, 40 mmol), NaHCO₃ (10.0812 g, 120 mmol) and *o*-Nitrobenzenesulfonyl chloride (13.3008 g, 60 mmol) in dichloromethane/water (400 mL/16 mL) was stirred at r.t. for 12 h. After successive addition of another portion *o*-Nitrobenzenesulfonyl chloride (10.6406 g, 48 mmol) and NaOH (9.6000g, 240 mmol), the reaction mixture was stirred at r.t. for additional 30 min. Then the mixture was dried with anhydrous MgSO₄, and filtered with Celite, of which the filter residue was washed with dichloromethane. The filtrate was evaporated under reduced pressure, and the residue was subjected to column of silica gel to afford compound **1a** (12.2473 g, 93 %, yellowish oil, turning into white solid after standing for long time). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.26 – 8.22 (m, 1H), 7.84 – 7.69 (m, 3H), 3.49 (dd, *J* = 7.1, 4.5 Hz, 1H), 3.00 (d, *J* = 7.1 Hz, 1H), 2.71 (d, *J* = 4.4 Hz, 1H), 1.46 (s, 9H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 165.54, 148.46, 134.92, 132.60, 131.82, 131.55, 124.74, 83.42, 38.73, 34.09, 27.93.



tert-butyl (S)-1-tosylaziridine-2-carboxylate (**1b**)

A solution of *tert*-butyl *L*-serinate hydrochloride **6** (0.3953 g, 2.0 mmol), Et₃N (0.834 mL, 6.0 mmol) and 4-methylbenzenesulfonyl chloride (0.5720 g, 3.0 mmol) in dichloromethane (20 mL) was stirred at r.t. for 6 h. After successive addition of another portion 4-methylbenzenesulfonyl chloride (0.5720 g, 3.0 mmol) and NaOH (0.4800g, 12.0 mmol), the reaction mixture was stirred at r.t. for additional 1h. Then the mixture was dried with anhydrous MgSO₄, and filtered with Celite, of which the filter residue was washed with dichloromethane. The filtrate was evaporated under reduced pressure, and the residue was subjected to column of silica gel to afford compound **1b** (0.4087 g, 69 %, white solid). ¹H NMR (600 MHz, Chloroform-*d*) δ 7.87 – 7.81 (m, 2H), 7.37 – 7.30 (m, 2H), 3.21 (dd, *J* = 7.0, 4.2 Hz, 1H), 2.68 (d, *J* = 7.0 Hz, 1H), 2.49 (d, *J* = 4.2 Hz, 1H), 2.44 (s, 3H), 1.41 (s, 9H). ¹³C

NMR (151 MHz, Chloroform-*d*) δ 165.83, 145.15, 134.43, 129.87, 128.27, 83.11, 36.93, 31.96, 27.95, 21.78.



benzyl (*S*)-1-((2-nitrophenyl)sulfonyl)aziridine-2-carboxylate (**1c**)

A suspension of benzyl *L*-serinate hydrochloride (6.9504 g, 30 mmol), NaHCO₃ (7.5609 g, 90 mmol) and *o*-Nitrobenzenesulfonyl chloride (9.9756 g, 45 mmol) in dichloromethane/water (300 mL/12 mL) was stirred at r.t. for 12 h. After successive addition of another portion *o*-Nitrobenzenesulfonyl chloride (7.9805 g, 36 mmol) and NaOH (7.2000g, 180 mmol), the reaction mixture was stirred at r.t. for additional 30 min. Then the mixture was dried with anhydrous MgSO₄, and filtered with Celite, of which the filter residue was washed with dichloromethane. The filtrate was evaporated under reduced pressure, and the residue was subjected to column of silica gel to afford compound **1c** (8.8092 g, 81 %, yellowish oil). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.24 (dt, *J* = 7.3, 1.1 Hz, 1H), 7.85 – 7.68 (m, 3H), 7.40 – 7.30 (m, 5H), 5.22 (s, 2H), 3.65 (dd, *J* = 7.1, 4.4 Hz, 1H), 3.07 (d, *J* = 7.1 Hz, 1H), 2.81 (d, *J* = 4.4 Hz, 1H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 166.54, 148.55, 135.02, 134.87, 132.65, 131.71, 131.69, 128.76, 128.73, 128.48, 124.80, 67.95, 37.91, 34.27.

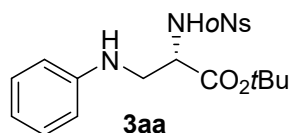
Procedure for the ring opening reactions and the characterization data

Method A (for liquid amines):

Mixture of amine (1.2 mmol, 1.2 equiv) and aziridine (1.0 mmol, 1.0 equiv) was stirred at T (°C) for t (h). The residue was directly purified by column chromatography on silica gel to give the corresponding product.

Method B (for solid amines):

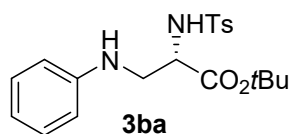
Mixture of amine (1.2 mmol, 1.2 equiv) and aziridine (1.0 mmol, 1.0 equiv) was ground with a pestle and mortar at r.t. for 30 min, followed by standing at T (°C) for t (h). The residue was directly purified by column chromatography on silica gel to give the corresponding product.



tert-butyl (*S*)-2-((2-nitrophenyl)sulfonamido)-3-(phenylamino)propanoate (**3aa**):

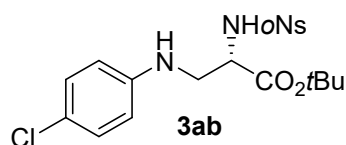
3aa was prepared in Method A. Mixture of amine **2a** (0.1176 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3aa** (0.3826 g, 91 %, yellowish brown solid). ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.61 (d, *J* = 9.0 Hz, 1H), 7.98 (dd, *J* = 7.5, 1.8 Hz, 1H), 7.94 (dd, *J* = 7.6, 1.6 Hz, 1H), 7.85 – 7.73 (m, 2H), 7.09 – 7.00 (m, 2H), 6.60 – 6.50 (m, 3H), 4.06 (ddd, *J* = 9.0, 6.9, 5.5 Hz, 1H), 3.43 (dd, *J* = 13.9, 5.6 Hz, 1H), 3.36 (dd, *J* = 13.9, 7.0 Hz, 1H), 1.19 (s, 9H). ¹³C NMR (101 MHz, DMSO-*d*₆) δ 168.87, 147.53, 147.32, 134.07, 133.14, 132.61, 129.90, 128.97, 124.34, 116.39, 112.19, 81.31,

55.79, 45.12, 27.30. HRMS: calculated for $C_{19}H_{24}N_3O_6S^+$ $[M+H]^+$ 422.1380, found 422.1389. The regioselectivity can be confirmed by the 1H NMR. ¹



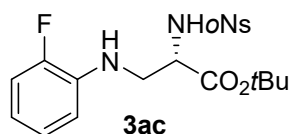
***tert*-butyl (S)-2-((4-methylphenyl)sulfonamido)-3-(phenylamino)propanoate (3ba)**

3ba was prepared in Method A. Mixture of amine **2a** (0.1176 g, 1.2 equiv) and aziridine **1b** (0.2974 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3ba** (0.1356 g, 35 %, white solid). 1H NMR (600 MHz, Chloroform-*d*) δ 7.66 – 7.62 (m, 2H), 7.18 (d, J = 8.0 Hz, 2H), 7.08 (dd, J = 8.6, 7.3 Hz, 2H), 6.66 (tt, J = 7.3, 1.1 Hz, 1H), 6.52 (dt, J = 7.0, 1.1 Hz, 2H), 5.50 (d, J = 8.2 Hz, 1H), 3.90 (ddd, J = 8.1, 6.3, 4.5 Hz, 1H), 3.41 (dd, J = 13.2, 4.6 Hz, 1H), 3.30 (dd, J = 13.2, 6.3 Hz, 1H), 2.31 (s, 3H), 1.20 (s, 9H). ^{13}C NMR (151 MHz, Chloroform-*d*) δ 169.34, 147.12, 143.90, 136.50, 129.83, 129.35, 127.41, 118.42, 113.66, 83.48, 55.98, 46.77, 27.79, 21.58. HRMS: calculated for $C_{20}H_{26}N_2NaO_4S^+$ $[M+Na]^+$ 413.1505, found 413.1519.



***tert*-butyl (S)-3-((4-chlorophenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (3ab):**

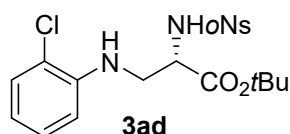
3ab was prepared in Method B. Mixture of amine **2b** (0.1531 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was ground with a pestle and mortar at r.t. for 30 min, followed by standing at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3ab** (0.3870 g, 85 %, reddish brown oil). 1H NMR (400 MHz, Chloroform-*d*) δ 8.03 (dd, J = 7.4, 1.9 Hz, 1H), 7.89 (dd, J = 7.3, 1.9 Hz, 1H), 7.76 – 7.63 (m, 2H), 7.17 – 7.03 (m, 2H), 6.62 – 6.51 (m, 2H), 6.40 (d, J = 8.0 Hz, 1H), 4.22 (ddd, J = 8.0, 6.5, 4.6 Hz, 1H), 3.57 (dd, J = 13.7, 4.7 Hz, 1H), 3.45 (dd, J = 13.6, 6.5 Hz, 1H), 1.27 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.63, 147.85, 145.43, 133.90, 133.86, 133.10, 130.72, 129.28, 125.78, 123.18, 114.74, 83.82, 56.75, 46.83, 27.83. HRMS: calculated for $C_{19}H_{22}ClN_3NaO_6S^+$ $[M+Na]^+$ 478.0810, found 478.0816.



***tert*-butyl (S)-3-((2-fluorophenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (3ac):**

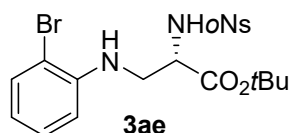
3ac was prepared in Method A. Mixture of amine **2c** (0.1333 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3ac** (0.3979 g, 91 %, brown oil). 1H NMR (400 MHz, Chloroform-*d*) δ 8.06 – 8.01 (m, 1H), 7.93 – 7.86 (m, 1H), 7.73 – 7.63 (m, 2H), 6.99 (td, J = 7.1, 1.2 Hz, 1H), 6.93 (ddd, J = 11.7, 8.1, 1.5 Hz, 2H), 6.75 (td, J = 8.4, 1.6 Hz, 1H), 6.66 (tdd, J = 7.8, 4.9, 1.6 Hz, 1H), 6.37 (d, J = 8.2 Hz, 1H), 4.27 (dt, J = 8.2, 5.6 Hz, 1H), 3.62 (dd, J = 13.7, 5.3 Hz, 1H), 3.57 (dd, J = 13.7, 5.9 Hz, 1H), 1.28 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.65, 151.84 (d, J = 239.2 Hz, 153.02, 150.65), 147.88, 135.36 (d, J = 11.3 Hz, 135.42, 135.31), 134.05, 133.82, 133.03, 130.64,

125.78, 124.74 (d, $J = 3.6$ Hz, 124.75, 124.72), 117.93 (d, $J = 7.0$ Hz, 117.97, 117.90), 114.89 (d, $J = 18.6$ Hz, 114.98, 114.80), 112.67 (d, $J = 2.8$ Hz, 112.68, 112.66), 83.88, 56.84, 46.53, 27.83. HRMS: calculated for $C_{19}H_{22}FN_3NaO_6S^+$ $[M+Na]^+$ 462.1106, found 462.1100.



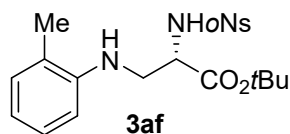
tert-butyl (S)-3-((2-chlorophenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (3ad):

3ad was prepared in Method A. Mixture of amine **2d** (0.1531 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3ad** (0.4016 g, 88 %, yellowish brown oil). 1H NMR (400 MHz, Chloroform-*d*) δ 8.04 (dd, $J = 7.4, 1.9$ Hz, 1H), 7.89 (dd, $J = 7.6, 1.7$ Hz, 1H), 7.71 – 6.62 (m, 2H), 7.21 (dd, $J = 7.9, 1.5$ Hz, 1H), 7.13 (ddd, $J = 8.6, 7.4, 1.5$ Hz, 1H), 6.72 (dd, $J = 8.2, 1.4$ Hz, 1H), 6.67 (td, $J = 7.6, 1.4$ Hz, 1H), 6.37 (d, $J = 8.2$ Hz, 1H), 4.29 (dt, $J = 8.2, 5.7$ Hz, 1H), 3.64 (dd, $J = 13.6, 5.5$ Hz, 1H), 3.59 (dd, $J = 13.6, 5.9$ Hz, 1H), 1.30 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.63, 147.80, 142.79, 134.01, 133.79, 133.05, 130.56, 129.46, 127.96, 125.78, 119.79, 118.34, 111.59, 83.95, 56.72, 46.38, 27.83. HRMS: calculated for $C_{19}H_{22}ClN_3NaO_6S^+$ $[M+Na]^+$ 478.0810, found 478.0810.



tert-butyl (S)-3-((2-bromophenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (3ae):

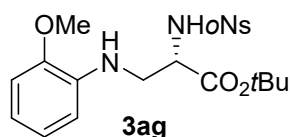
3ae was prepared in Method A. Mixture of amine **2e** (0.2064 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3ae** (0.4483 g, 90 %, yellowish brown oil). 1H NMR (400 MHz, Chloroform-*d*) δ 8.04 (dd, $J = 7.2, 2.1$ Hz, 1H), 7.93 – 7.85 (m, 1H), 7.71 – 7.61 (m, 2H), 7.38 (dd, $J = 7.9, 1.5$ Hz, 1H), 7.17 (ddd, $J = 8.5, 7.4, 1.5$ Hz, 1H), 6.69 (dd, $J = 8.2, 1.4$ Hz, 1H), 6.60 (td, $J = 7.6, 1.5$ Hz, 1H), 6.37 (d, $J = 8.2$ Hz, 1H), 4.60 (t, $J = 6.4$ Hz, 1H), 4.29 (dt, $J = 8.1, 5.6$ Hz, 1H), 3.68 – 3.54 (m, 2H), 1.30 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.61, 147.83, 143.80, 134.09, 133.77, 133.06, 132.75, 130.56, 128.66, 125.82, 118.90, 111.69, 110.36, 83.97, 56.74, 46.56, 27.86. HRMS: calculated for $C_{19}H_{22}BrN_3NaO_6S^+$ $[M+Na]^+$ 522.0305, found 522.0309.



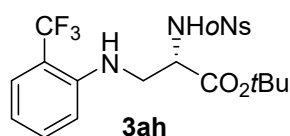
tert-butyl (S)-2-((2-nitrophenyl)sulfonamido)-3-(o-tolylamino)propanoate (3af):

3af was prepared in Method A. Mixture of amine **2f** (0.1286 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3af** (0.4079 g, 94 %, reddish brown oil). 1H NMR (400 MHz, Chloroform-*d*) δ 8.04 (dd, $J = 7.3, 2.0$ Hz, 1H), 7.92 – 7.84 (m, 1H), 7.74 – 7.59 (m, 2H), 7.11 (td, $J = 7.6, 1.8$ Hz, 1H), 7.04 (d, $J = 7.3$ Hz, 1H), 6.70 (td, $J = 7.4, 1.1$ Hz, 1H), 6.64 (d, $J = 8.0$ Hz, 1H), 6.43 (d, $J = 8.2$ Hz, 1H), 4.30 (ddd, $J = 8.1, 6.4, 4.7$ Hz, 1H), 3.66 (dd, $J = 13.3, 4.8$ Hz, 1H), 3.50 (dd, $J = 13.3, 6.5$ Hz, 1H), 2.11 (s, 3H), 1.28 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.81, 147.81,

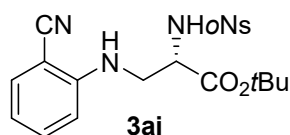
144.73, 133.93, 133.81, 133.05, 130.68, 130.48, 127.18, 125.69, 123.10, 118.23, 110.38, 83.68, 56.83, 46.53, 27.80, 17.45. HRMS: calculated for $C_{20}H_{26}N_3O_6S^+$ $[M+H]^+$ 436.1537, found 436.1548.



tert-butyl (S)-3-((2-methoxyphenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (3ag): **3ag** was prepared in Method A. Mixture of amine **2g** (0.1478 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3ag** (0.4068 g, 90 %, reddish brown oil). 1H NMR (400 MHz, Chloroform-*d*) δ 8.11 – 8.00 (m, 1H), 7.94 – 7.83 (m, 1H), 7.75 – 7.59 (m, 2H), 6.83 (td, $J = 7.5, 1.6$ Hz, 1H), 6.74 (dd, $J = 8.0, 1.6$ Hz, 1H), 6.69 (td, $J = 7.6, 1.5$ Hz, 1H), 6.63 (dd, $J = 7.8, 1.5$ Hz, 1H), 6.35 (d, $J = 8.4$ Hz, 1H), 4.28 (dt, $J = 8.4, 5.5$ Hz, 1H), 3.81 (s, 3H), 3.66 – 3.48 (m, 2H), 1.28 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.82, 147.68, 147.17, 136.73, 134.12, 133.54, 132.89, 130.55, 125.59, 121.18, 117.57, 110.40, 109.79, 83.41, 56.80, 55.46, 46.54, 27.70. HRMS: calculated for $C_{20}H_{25}N_3NaO_7S^+$ $[M+Na]^+$ 474.1305, found 474.1307.

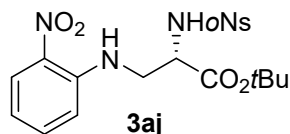


tert-butyl (S)-2-((2-nitrophenyl)sulfonamido)-3-((2-(trifluoromethyl)phenyl)amino)propanoate (3ah): **3ah** was prepared in Method A. Mixture of amine **2h** (0.1933 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at 50 °C for 24 h. The residue was directly purified by column chromatography on silica gel to give **3ah** (0.4480 g, 92 %, yellow solid). 1H NMR (400 MHz, Chloroform-*d*) δ 8.10 – 8.03 (m, 1H), 7.94 – 7.86 (m, 1H), 7.74 – 7.64 (m, 2H), 7.42 (d, $J = 7.9$ Hz, 1H), 7.39 (t, $J = 7.8$ Hz, 1H), 6.82 (d, $J = 8.4$ Hz, 1H), 6.77 (t, $J = 7.8$ Hz, 1H), 6.39 (d, $J = 8.1$ Hz, 1H), 4.63 (t, $J = 6.6$ Hz, 1H), 4.29 (dt, $J = 8.2, 5.5$ Hz, 1H), 3.70-3.60 (m, 2H), 1.26 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.48, 147.91, 144.57 (q, $J = 1.8$ Hz, 144.60, 144.58, 144.56, 144.54), 134.00, 133.89, 133.35, 133.11, 130.61, 126.92 (q, $J = 5.5$ Hz, 127.00, 126.95, 126.89, 126.84), 125.01 (q, $J = 272.3$ Hz, 129.07, 126.36, 123.66, 120.95), 125.83, 117.22, 114.38 (q, $J = 29.4$ Hz, 114.82, 114.53, 114.23, 113.94), 112.26, 84.15, 56.76, 46.29, 27.72. HRMS: calculated for $C_{20}H_{22}F_3N_3NaO_6S^+$ $[M+Na]^+$ 512.1074, found 512.1081.



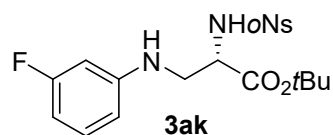
tert-butyl (S)-3-((2-cyanophenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (3ai): **3ai** was prepared in Method B. Mixture of amine **2i** (0.1418 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was ground with a pestle and mortar at r.t. for 30 min, followed by standing at 50 °C for 24 h. The residue was directly purified by column chromatography on silica gel to give **3ai** (0.3763 g, 84 %, yellowish solid). 1H NMR (400 MHz, Chloroform-*d*) δ 8.05 (dd, $J = 7.3, 1.9$ Hz, 1H), 7.90 (dd, $J = 7.6, 1.7$ Hz, 1H), 7.75 – 7.65 (m, 2H), 7.41 (ddd, $J = 8.8, 7.4, 1.6$ Hz, 1H), 7.36

(dd, $J = 7.7, 1.6$ Hz, 1H), 6.81 (d, $J = 8.5$ Hz, 1H), 6.73 (t, $J = 7.6$ Hz, 1H), 6.40 (d, $J = 8.0$ Hz, 1H), 4.88 (t, $J = 6.5$ Hz, 1H), 4.26 (dt, $J = 8.0, 5.9$ Hz, 1H), 3.74 – 3.62 (m, 2H), 1.31 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.34, 149.23, 147.87, 134.52, 133.94, 133.87, 133.10, 133.05, 130.61, 125.86, 117.76, 117.52, 111.08, 96.78, 84.39, 56.59, 46.19, 27.85. HRMS: calculated for $\text{C}_{20}\text{H}_{22}\text{N}_4\text{NaO}_6\text{S}^+ [\text{M}+\text{Na}]^+$ 469.1152, found 469.1164.



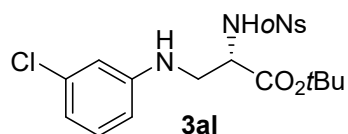
***tert*-butyl (*S*)-3-((2-nitrophenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (**3aj**):**

3aj was prepared in Method B. Mixture of amine **2j** (0.1857 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was ground with a pestle and mortar at r.t. for 30 min, followed by standing at 70 °C for 24 h. The residue was directly purified by column chromatography on silica gel to give **3aj** (0.3749 g, 80 %, reddish brown oil, turning into brown solid after standing for long time). ^1H NMR (400 MHz, Chloroform-*d*) δ 8.16 (t, $J = 6.0$ Hz, 1H), 8.13 (dd, $J = 8.6, 1.7$ Hz, 1H), 8.02 (dd, $J = 7.6, 1.6$ Hz, 1H), 7.89 (dd, $J = 7.8, 1.4$ Hz, 1H), 7.75 – 7.61 (m, 2H), 7.48 (ddd, $J = 8.6, 6.9, 1.6$ Hz, 1H), 6.99 (dd, $J = 8.7, 1.2$ Hz, 1H), 6.71 (ddd, $J = 8.4, 7.0, 1.2$ Hz, 1H), 6.40 (d, $J = 7.8$ Hz, 1H), 4.32 (dt, $J = 7.7, 5.8$ Hz, 1H), 3.87 – 3.70 (m, 2H), 1.32 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.17, 147.82, 144.58, 136.58, 133.95, 133.88, 133.06, 132.72, 130.47, 127.08, 125.83, 116.56, 113.84, 84.59, 56.58, 45.80, 27.84. HRMS: calculated for $\text{C}_{19}\text{H}_{22}\text{N}_4\text{NaO}_8\text{S}^+ [\text{M}+\text{Na}]^+$ 489.1051, found 489.1054.



***tert*-butyl (*S*)-3-((3-fluorophenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (**3ak**):**

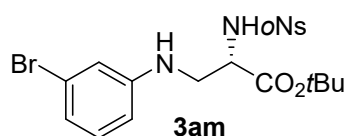
3ak was prepared in Method A. Mixture of amine **2k** (0.1333 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3ak** (0.4025 g, 92 %, brown oil). ^1H NMR (400 MHz, Chloroform-*d*) δ 8.10 – 8.04 (m, 1H), 7.96 – 7.89 (m, 1H), 7.76 – 7.68 (m, 2H), 7.11 (td, $J = 8.2, 6.7$ Hz, 1H), 6.48 – 6.38 (m, 3H), 6.32 (dt, $J = 11.4, 2.3$ Hz, 1H), 4.25 (ddd, $J = 8.0, 6.3, 4.6$ Hz, 1H), 3.61 (dd, $J = 13.6, 4.7$ Hz, 1H), 3.50 (dd, $J = 13.6, 6.4$ Hz, 1H), 1.30 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.58, 164.11 (d, $J = 243.3$ Hz, 165.32, 162.90), 148.68 (d, $J = 10.6$ Hz, 148.73, 148.62), 147.88, 133.91, 133.88, 133.09, 130.74, 130.58 (d, $J = 10.1$ Hz, 130.63, 130.53), 125.79, 109.48 (d, $J = 2.6$ Hz, 109.49, 109.46), 105.01 (d, $J = 21.4$ Hz, 105.12, 104.91), 100.25 (d, $J = 25.4$ Hz, 100.37, 100.12), 83.93, 56.81, 46.59, 27.84. HRMS: calculated for $\text{C}_{19}\text{H}_{22}\text{FN}_3\text{NaO}_6\text{S}^+ [\text{M}+\text{Na}]^+$ 462.1106, found 462.1101.



***tert*-butyl (*S*)-3-((3-chlorophenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (**3al**):**

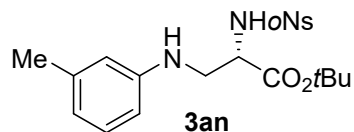
3al was prepared in Method A. Mixture of amine **2l** (0.1531 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography

on silica gel to give **3al** (0.4249 g, 93 %, reddish brown oil). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.07 – 8.01 (m, 1H), 7.91 – 7.85 (m, 1H), 7.74 – 7.63 (m, 2H), 7.06 (t, *J* = 8.0 Hz, 1H), 6.69 (dd, *J* = 8.0, 2.2 Hz, 1H), 6.56 (t, *J* = 2.1 Hz, 1H), 6.50 (dd, *J* = 8.1, 2.3 Hz, 1H), 6.40 (d, *J* = 8.0 Hz, 1H), 4.22 (ddd, *J* = 8.0, 6.4, 4.6 Hz, 1H), 3.58 (dd, *J* = 13.7, 4.6 Hz, 1H), 3.47 (dd, *J* = 13.7, 6.4 Hz, 1H), 1.29 (s, 9H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.57, 148.06, 147.77, 135.07, 133.91, 133.74, 133.08, 130.68, 130.40, 125.70, 118.26, 113.02, 111.86, 83.89, 56.73, 46.34, 27.80. HRMS: calculated for C₁₉H₂₂ClN₃NaO₆S⁺ [M+Na]⁺ 478.0810, found 478.0814.



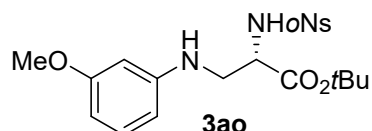
***tert*-butyl (S)-3-((3-bromophenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (3am):**

3am was prepared in Method A. Mixture of amine **2m** (0.2064 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3am** (0.4461 g, 89 %, yellowish brown oil). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.08 – 8.01 (m, 1H), 7.93 – 7.86 (m, 1H), 7.77 – 7.63 (m, 2H), 7.00 (t, *J* = 8.0 Hz, 1H), 6.84 (ddd, *J* = 7.9, 1.8, 0.8 Hz, 1H), 6.72 (t, *J* = 2.1 Hz, 1H), 6.54 (ddd, *J* = 8.2, 2.4, 0.9 Hz, 1H), 6.37 (d, *J* = 7.9 Hz, 1H), 4.21 (ddd, *J* = 7.9, 6.3, 4.6 Hz, 1H), 3.58 (dd, *J* = 13.7, 4.7 Hz, 1H), 3.47 (dd, *J* = 13.6, 6.3 Hz, 1H), 1.30 (s, 9H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.53, 148.27, 147.87, 133.92, 133.86, 133.08, 130.74, 130.74, 125.78, 123.41, 121.28, 115.92, 112.34, 83.99, 56.80, 46.44, 27.87. HRMS: calculated for C₁₉H₂₂BrN₃NaO₆S⁺ [M+Na]⁺ 522.0305, found 522.0304.



***tert*-butyl (S)-2-((2-nitrophenyl)sulfonamido)-3-(*m*-tolylamino)propanoate (3an):**

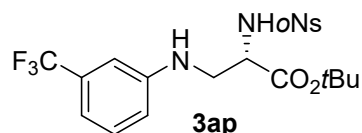
3an was prepared in Method A. Mixture of amine **2n** (0.1286 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3an** (0.3964 g, 91 %, reddish brown oil). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.09 – 8.02 (m, 1H), 7.93 – 7.85 (m, 1H), 7.73 – 7.63 (m, 2H), 7.10 – 7.00 (m, 1H), 6.58 (d, *J* = 7.5 Hz, 1H), 6.48 – 6.43 (m, 2H), 6.39 (d, *J* = 8.1 Hz, 1H), 4.26 (ddd, *J* = 8.1, 6.2, 4.7 Hz, 1H), 3.59 (dd, *J* = 13.5, 4.8 Hz, 1H), 3.49 (dd, *J* = 13.5, 6.3 Hz, 1H), 2.27 (s, 3H), 1.28 (s, 9H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.81, 147.82, 146.69, 139.18, 133.98, 133.76, 133.01, 130.71, 129.28, 125.68, 119.67, 114.57, 110.84, 83.60, 56.90, 46.89, 27.82, 21.68. HRMS: calculated for C₂₀H₂₆N₃O₆S⁺ [M+H]⁺ 436.1537, found 436.1540.



***tert*-butyl (S)-3-((3-methoxyphenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (3ao):**

3ao was prepared in Method A. Mixture of amine **2o** (0.1478 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3ao** (0.4008 g, 89 %, reddish brown oil). ¹H NMR (400 MHz, Chloroform-*d*)

^1H NMR (400 MHz, Chloroform-*d*) δ 8.08 – 8.00 (m, 1H), 7.92 – 7.84 (m, 1H), 7.72 – 7.64 (m, 2H), 7.06 (t, $J = 8.1$ Hz, 1H), 6.36 (d, $J = 8.1$ Hz, 1H), 6.30 (dd, $J = 8.1, 2.3$ Hz, 1H), 6.22 (dd, $J = 8.0, 2.2$ Hz, 1H), 6.17 (t, $J = 2.3$ Hz, 1H), 4.24 (ddd, $J = 8.2, 6.3, 4.8$ Hz, 1H), 3.76 (s, 3H), 3.58 (dd, $J = 13.6, 4.8$ Hz, 1H), 3.47 (dd, $J = 13.5, 6.3$ Hz, 2H), 1.28 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.77, 160.93, 148.34, 147.86, 133.98, 133.80, 133.04, 130.74, 130.20, 125.74, 106.50, 103.86, 99.55, 83.68, 56.91, 55.24, 46.73, 27.84. HRMS: calculated for $\text{C}_{20}\text{H}_{25}\text{N}_3\text{NaO}_7\text{S}^+$ $[\text{M}+\text{Na}]^+$ 474.1305, found 474.1314.

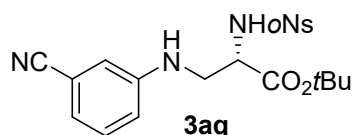


tert-butyl

(*S*)-2-((2-nitrophenyl)sulfonamido)-3-((3-

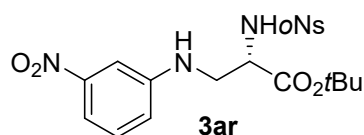
(trifluoromethyl)phenyl)amino)propanoate (**3ap**):

3ap was prepared in Method A. Mixture of amine **2p** (0.1933 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 4 h. The residue was directly purified by column chromatography on silica gel to give **3ap** (0.4449 g, 91 %, brown oil). ^1H NMR (400 MHz, Chloroform-*d*) δ 8.04 (dd, $J = 7.2, 2.0$ Hz, 1H), 7.92 – 7.86 (m, 1H), 7.72 – 7.64 (m, 2H), 7.24 (d, $J = 8.0$ Hz, 1H), 6.96 (d, $J = 7.7$ Hz, 1H), 6.80 – 6.75 (m, 2H), 6.41 (d, $J = 7.9$ Hz, 1H), 4.29 (t, $J = 6.6$ Hz, 1H), 4.23 (ddd, $J = 7.8, 6.3, 4.5$ Hz, 1H), 3.63 (dt, $J = 13.4, 5.3$ Hz, 1H), 3.52 (dt, $J = 13.0, 5.9$ Hz, 1H), 1.28 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.49, 147.88, 147.25, 133.94, 133.83, 133.10, 131.73 (q, $J = 31.8$ Hz, 132.20, 131.89, 131.57, 131.25), 130.71, 129.91, 124.35 (q, $J = 272.5$ Hz, 128.41, 125.70, 122.99, 120.28), 125.80, 116.71, 114.92 (q, $J = 3.9$ Hz, 114.98, 114.94, 114.90, 114.86), 109.41 (q, $J = 3.7$ Hz, 109.47, 109.43, 109.39, 109.35), 84.04, 56.80, 46.48, 27.82. HRMS: calculated for $\text{C}_{20}\text{H}_{22}\text{F}_3\text{N}_3\text{NaO}_6\text{S}^+$ $[\text{M}+\text{Na}]^+$ 512.1074, found 512.1070.



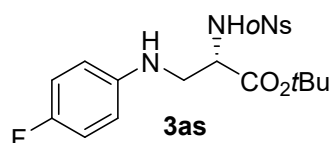
tert-butyl (*S*)-3-((3-cyanophenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (**3aq**):

3aq was prepared in Method B. Mixture of amine **2q** (0.1418 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was ground with a pestle and mortar at r.t. for 30 min, followed by standing at 50 °C for 12 h. The residue was directly purified by column chromatography on silica gel to give **3aq** (0.3922 g, 88 %, yellowish oil). ^1H NMR (400 MHz, Chloroform-*d*) δ 8.05 (dd, $J = 7.0, 2.3$ Hz, 1H), 7.92 (dd, $J = 7.1, 2.0$ Hz, 1H), 7.77 – 7.67 (m, 2H), 7.23 (t, $J = 7.9$ Hz, 2H), 7.00 (d, $J = 7.4$ Hz, 1H), 6.85 (dd, $J = 8.3, 2.5$ Hz, 1H), 6.80 (t, $J = 1.9$ Hz, 1H), 6.43 (d, $J = 8.0$ Hz, 1H), 4.21 (ddd, $J = 8.0, 6.6, 4.4$ Hz, 1H), 3.62 (dd, $J = 13.6, 4.5$ Hz, 1H), 3.49 (dd, $J = 13.6, 6.6$ Hz, 1H), 1.28 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.37, 147.84, 147.41, 134.03, 133.73, 133.16, 130.70, 130.19, 125.81, 121.80, 119.36, 118.10, 115.35, 113.11, 84.11, 56.71, 46.14, 27.82. HRMS: calculated for $\text{C}_{20}\text{H}_{22}\text{N}_4\text{NaO}_6\text{S}^+$ $[\text{M}+\text{Na}]^+$ 469.1152, found 469.1153.



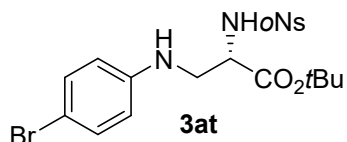
tert-butyl (*S*)-3-((3-nitrophenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (**3ar**):

3ar was prepared in Method B. Mixture of amine **2r** (0.1857 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was ground with a pestle and mortar at r.t. for 30 min, followed by standing at 70 °C for 12 h. The residue was directly purified by column chromatography on silica gel to give **3ar** (0.4359 g, 93 %, reddish brown oil). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.10 – 8.01 (m, 1H), 7.95 – 7.86 (m, 1H), 7.78 – 7.65 (m, 2H), 7.56 (ddd, *J* = 8.1, 2.2, 0.9 Hz, 1H), 7.40 (t, *J* = 2.3 Hz, 1H), 7.29 (t, *J* = 8.1 Hz, 1H), 6.94 (ddd, *J* = 8.3, 2.5, 0.9 Hz, 1H), 6.46 (d, *J* = 7.9 Hz, 1H), 4.53 (t, *J* = 6.5 Hz, 1H), 4.24 (ddd, *J* = 7.9, 6.6, 4.3 Hz, 1H), 3.68 (ddd, *J* = 13.6, 6.7, 4.4 Hz, 1H), 3.55 (dt, *J* = 13.4, 6.5 Hz, 1H), 1.29 (s, 9H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.32, 149.50, 147.97, 147.87, 134.03, 133.73, 133.16, 130.72, 130.05, 125.87, 119.80, 113.08, 106.73, 84.23, 56.75, 46.35, 27.83. HRMS: calculated for C₁₉H₂₂N₄NaO₈S⁺ [M+Na]⁺ 489.1051, found 489.1063.



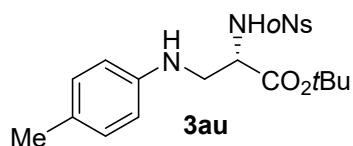
tert-butyl (S)-3-((4-fluorophenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (3as):

3as was prepared in Method A. Mixture of amine **2s** (0.1333 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3as** (0.3874 g, 88 %, reddish brown oil). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.07 – 8.00 (m, 1H), 7.94 – 7.85 (m, 1H), 7.74 – 7.64 (m, 2H), 6.87 (t, *J* = 8.7 Hz, 2H), 6.60 – 6.51 (m, 2H), 6.39 (d, *J* = 8.2 Hz, 1H), 4.22 (ddd, *J* = 8.2, 6.4, 4.5 Hz, 1H), 3.56 (dd, *J* = 13.5, 4.6 Hz, 1H), 3.43 (dd, *J* = 13.5, 6.4 Hz, 1H), 1.26 (s, 9H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.73, 156.50 (d, *J* = 236.3 Hz, 157.67, 155.32), 147.92, 143.25 (d, *J* = 1.9 Hz, 143.26, 143.24), 134.01, 133.85, 133.06, 130.73, 125.80, 115.92 (d, *J* = 22.4 Hz, 116.03, 115.81), 114.67 (d, *J* = 7.4 Hz, 114.71, 114.64), 83.74, 56.92, 47.54, 27.86. HRMS: calculated for C₁₉H₂₂FN₃NaO₆S⁺ [M+Na]⁺ 462.1106, found 462.1110.



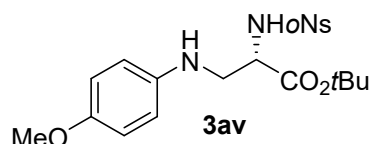
tert-butyl (S)-3-((4-bromophenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (3at):

3at was prepared in Method B. Mixture of amine **2t** (0.2064 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was ground with a pestle and mortar at r.t. for 30 min, followed by standing at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3at** (0.4153 g, 83 %, reddish brown oil). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.07 – 7.98 (m, 1H), 7.92 – 7.86 (m, 1H), 7.76 – 7.63 (m, 2H), 7.25 – 7.21 (m, 2H), 6.56 – 6.47 (m, 2H), 6.38 (d, *J* = 8.0 Hz, 1H), 4.21 (ddd, *J* = 8.0, 6.5, 4.6 Hz, 1H), 3.57 (dd, *J* = 13.6, 4.7 Hz, 1H), 3.45 (dd, *J* = 13.6, 6.5 Hz, 1H), 1.27 (s, 9H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.62, 147.83, 145.97, 133.90, 133.83, 133.10, 132.13, 130.70, 125.77, 115.11, 110.13, 83.82, 56.73, 46.65, 27.83. HRMS: calculated for C₁₉H₂₂BrN₃NaO₆S⁺ [M+Na]⁺ 522.0305, found 522.0306.



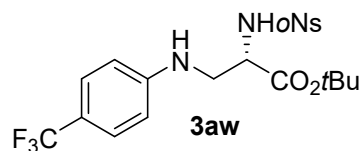
tert-butyl (S)-2-((2-nitrophenyl)sulfonamido)-3-(p-tolylamino)propanoate (3au):

3au was prepared in Method B. Mixture of amine **2u** (0.1286 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was ground with a pestle and mortar at r.t. for 30 min, followed by standing at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3au** (0.3742 g, 86 %, reddish brown oil). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.07 – 8.00 (m, 1H), 7.88 (dd, *J* = 7.5, 1.8 Hz, 1H), 7.72 – 7.64 (m, 2H), 6.97 (d, *J* = 8.1 Hz, 2H), 6.54 (d, *J* = 8.4 Hz, 2H), 6.37 (d, *J* = 8.2 Hz, 1H), 4.24 (ddd, *J* = 8.3, 6.2, 4.6 Hz, 1H), 3.58 (dd, *J* = 13.5, 4.8 Hz, 1H), 3.45 (dd, *J* = 13.5, 6.3 Hz, 1H), 2.24 (s, 3H), 1.27 (s, 9H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.87, 147.84, 144.59, 134.01, 133.76, 133.02, 130.71, 129.91, 127.81, 125.72, 113.84, 83.52, 56.93, 47.20, 27.83, 20.51. HRMS: calculated for C₂₀H₂₆N₃O₆S⁺ [M+H]⁺ 436.1537, found 436.1538.



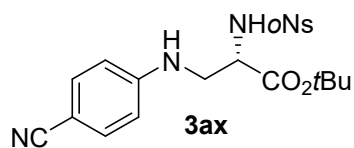
tert-butyl (S)-3-((4-methoxyphenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (3av):

3av was prepared in Method B. Mixture of amine **2v** (0.1478 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was ground with a pestle and mortar at r.t. for 30 min, followed by standing at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3av** (0.3809 g, 84 %, reddish brown oil). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.08 – 7.99 (m, 1H), 7.93 – 7.85 (m, 1H), 7.74 – 7.63 (m, 2H), 6.80 – 6.72 (m, 2H), 6.64 – 6.54 (m, 2H), 6.37 (d, *J* = 8.2 Hz, 1H), 4.23 (ddd, *J* = 8.2, 6.2, 4.5 Hz, 1H), 3.74 (s, 3H), 3.55 (dd, *J* = 13.4, 4.6 Hz, 1H), 3.42 (dd, *J* = 13.4, 6.3 Hz, 1H), 1.27 (s, 9H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.89, 152.95, 147.87, 140.94, 134.05, 133.77, 133.02, 130.71, 125.74, 115.22, 115.01, 83.52, 56.97, 55.86, 47.93, 27.85. HRMS: calculated for C₂₀H₂₆N₃O₇S⁺ [M+H]⁺ 452.1486, found 452.1488.



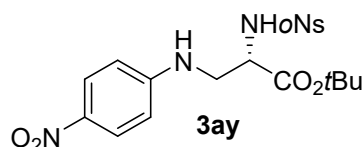
tert-butyl (S)-2-((2-nitrophenyl)sulfonamido)-3-((4-(trifluoromethyl)phenyl)amino)propanoate (3aw):

3aw was prepared in Method A. Mixture of amine **2w** (0.1933 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 4 h. The residue was directly purified by column chromatography on silica gel to give **3aw** (0.4436 g, 91 %, yellowish brown oil). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.07 – 8.00 (m, 1H), 7.93 – 7.85 (m, 1H), 7.75 – 7.63 (m, 2H), 7.38 (d, *J* = 8.5 Hz, 2H), 6.63 (d, *J* = 8.5 Hz, 2H), 6.41 (d, *J* = 7.9 Hz, 1H), 4.43 (t, *J* = 6.0 Hz, 1H), 4.23 (ddd, *J* = 7.9, 6.5, 4.6 Hz, 1H), 3.65 (dt, *J* = 13.7, 5.5 Hz, 1H), 3.53 (dt, *J* = 13.2, 6.2 Hz, 1H), 1.28 (s, 9H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.47, 149.58, 147.88, 133.98, 133.80, 133.12, 130.72, 126.81 (q, *J* = 3.9 Hz, 126.87, 126.83, 126.79, 126.76), 124.93 (q, *J* = 270.3 Hz, 128.96, 126.27, 123.58, 120.90), 125.81, 119.99 (q, *J* = 32.7 Hz, 120.48, 120.16, 119.83, 119.51), 112.61, 84.05, 56.77, 46.16, 27.83. HRMS: calculated for C₂₀H₂₂F₃N₃NaO₆S⁺ [M+Na]⁺ 512.1074, found 512.1079.



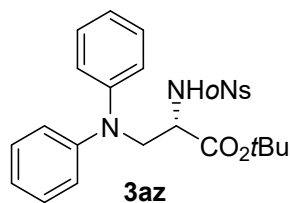
tert-butyl (S)-3-((4-cyanophenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (3ax):

3ax was prepared in Method B. Mixture of amine **2x** (0.1418 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was ground with a pestle and mortar at r.t. for 30 min, followed by standing at 50 °C for 12 h. The residue was directly purified by column chromatography on silica gel to give **3ax** (0.4230 g, 95 %, yellowish oil). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.08 – 8.01 (m, 1H), 7.94 – 7.87 (m, 1H), 7.78 – 7.66 (m, 2H), 7.44 – 7.37 (m, 2H), 6.66 – 6.58 (m, 2H), 6.46 (d, *J* = 7.9 Hz, 1H), 4.73 (t, *J* = 6.5 Hz, 1H), 4.22 (ddd, *J* = 8.0, 6.6, 4.6 Hz, 1H), 3.65 (ddd, *J* = 13.8, 6.3, 4.7 Hz, 1H), 3.54 (dt, *J* = 13.5, 6.5 Hz, 1H), 1.26 (s, 9H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.21, 150.43, 147.85, 134.07, 133.88, 133.67, 133.18, 130.69, 125.83, 120.24, 112.91, 100.00, 84.21, 56.74, 45.74, 27.79. HRMS: calculated for C₂₀H₂₂N₄NaO₆S⁺ [M+Na]⁺ 469.1152, found 469.1150.



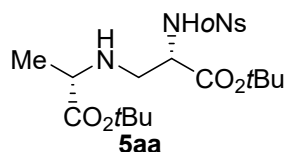
tert-butyl (S)-3-((4-nitrophenyl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (3ay):

3ay was prepared in Method B. Mixture of amine **2y** (0.1857 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was ground with a pestle and mortar at r.t. for 30 min, followed by standing at 70 °C for 12 h. The residue was directly purified by column chromatography on silica gel to give **3ay** (0.4239 g, 91 %, yellow solid). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.12 – 8.00 (m, 3H), 7.91 (dd, *J* = 7.3, 1.9 Hz, 1H), 7.77 – 7.67 (m, 2H), 6.63 – 6.56 (m, 2H), 6.50 (d, *J* = 7.8 Hz, 1H), 5.06 (t, *J* = 6.4 Hz, 1H), 4.24 (td, *J* = 7.1, 4.4 Hz, 1H), 3.72 (ddd, *J* = 13.9, 6.4, 4.6 Hz, 1H), 3.61 (dt, *J* = 13.6, 6.6 Hz, 1H), 1.26 (s, 9H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 168.07, 152.51, 147.84, 138.86, 134.15, 133.57, 133.24, 130.71, 126.45, 125.86, 111.81, 84.38, 56.72, 45.82, 27.80. HRMS: calculated for C₁₉H₂₂N₄NaO₈S⁺ [M+Na]⁺ 489.1051, found 489.1061.



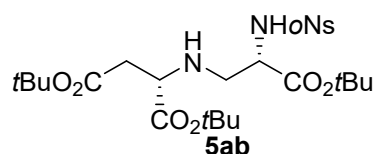
tert-butyl (S)-3-(diphenylamino)-2-((2-nitrophenyl)sulfonamido)propanoate (3az):

3az was prepared in Method B. Mixture of amine **2z** (0.2031 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was ground with a pestle and mortar at r.t. for 30 min, followed by standing at 70 °C for 24 h. The residue was directly purified by column chromatography on silica gel to give **3az** (0.4688 g, 94 %, yellow solid). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.02 – 7.95 (m, 1H), 7.90 – 7.84 (m, 1H), 7.72 – 7.59 (m, 2H), 7.30 – 7.19 (m, 4H), 7.05 – 6.91 (m, 6H), 6.20 (d, *J* = 9.0 Hz, 1H), 4.40 (dt, *J* = 9.1, 6.4 Hz, 1H), 4.18 (dd, *J* = 15.0, 6.2 Hz, 1H), 4.04 (dd, *J* = 15.0, 6.8 Hz, 1H), 1.14 (s, 9H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 169.12, 147.79, 147.56, 134.31, 133.67, 133.03, 130.65, 129.54, 125.71, 122.45, 121.55, 83.18, 56.53, 55.37, 27.67. HRMS: calculated for C₂₅H₂₇N₃NaO₆S⁺ [M+Na]⁺ 520.1513, found 520.1526.



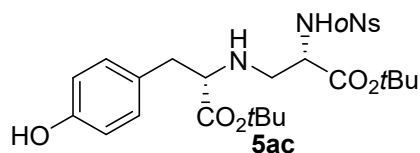
tert-butyl (S)-3-(((S)-1-(tert-butoxy)-1-oxopropan-2-yl)amino)-2-((2-nitrophenyl)sulfonamido)propanoate (5aa):

5aa was prepared in Method A. Mixture of amine **4a** (0.1742 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **5aa** (0.3982 g, 84 %, yellowish oil). ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.09 – 8.02 (m, 1H), 7.98 – 7.93 (m, 1H), 7.88 – 7.82 (m, 2H), 3.91 (t, *J* = 6.3 Hz, 1H), 3.09 (q, *J* = 6.9 Hz, 1H), 2.80 (dd, *J* = 12.3, 7.1 Hz, 1H), 2.72 (dd, *J* = 12.3, 5.4 Hz, 1H), 1.39 (s, 9H), 1.22 (s, 9H), 1.05 (d, *J* = 6.9 Hz, 3H). ¹³C NMR (101 MHz, DMSO-*d*₆) δ 173.77, 169.00, 147.36, 134.08, 133.24, 132.55, 130.05, 124.22, 81.05, 80.18, 56.72, 55.95, 48.38, 27.67, 27.34, 18.25. HRMS: calculated for C₂₀H₃₂N₃O₈S⁺ [M+H]⁺ 474.1905, found 474.1923.



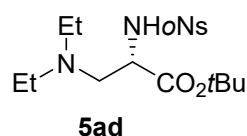
di-tert-butyl ((S)-3-(tert-butoxy)-2-((2-nitrophenyl)sulfonamido)-3-oxopropyl)-L-aspartate (5ab):

5ab was prepared in Method A. Mixture of amine **4b** (0.2944 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **5ab** (0.5494 g, 96 %, yellowish oil, turning into white solid after standing for long time). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.13 – 8.01 (m, 1H), 7.93 – 7.81 (m, 1H), 7.74 – 7.62 (m, 2H), 6.64 (d, *J* = 8.0 Hz, 1H), 4.16 – 4.06 (m, 1H), 3.38 (dd, *J* = 6.7, 5.5 Hz, 1H), 3.28 (dd, *J* = 12.5, 4.2 Hz, 1H), 2.78 (dd, *J* = 12.5, 4.4 Hz, 1H), 2.58 (dd, *J* = 16.1, 5.5 Hz, 1H), 2.49 (dd, *J* = 16.1, 6.8 Hz, 1H), 1.44 (s, 18H), 1.26 (s, 9H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 172.59, 170.22, 169.03, 147.91, 134.86, 133.43, 132.75, 130.65, 125.45, 82.72, 81.83, 81.34, 58.87, 57.66, 50.50, 39.49, 28.18, 28.14, 27.83. HRMS: calculated for C₂₅H₄₀N₃O₁₀S⁺ [M+H]⁺ 574.2429, found 574.2458.²



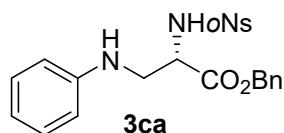
tert-butyl ((S)-3-(tert-butoxy)-2-((2-nitrophenyl)sulfonamido)-3-oxopropyl)-L-tyrosinate (5ac):

5ac was prepared in Method B. Mixture of amine **4c** (0.2848 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was ground with a pestle and mortar at r.t. for 30 min, followed by standing at 50 °C for 4 h. The residue was directly purified by column chromatography on silica gel to give **5ac** (0.4790 g, 85 %, yellowish oil). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.09 – 8.02 (m, 1H), 7.94 – 7.86 (m, 1H), 7.74 – 7.66 (m, 2H), 7.03 (d, *J* = 8.1 Hz, 2H), 6.72 (d, *J* = 8.0 Hz, 2H), 4.12 (t, *J* = 4.5 Hz, 1H), 3.26 (t, *J* = 6.6 Hz, 1H), 3.13 (dd, *J* = 12.4, 4.4 Hz, 1H), 2.80 (d, *J* = 6.6 Hz, 2H), 2.71 (dd, *J* = 12.4, 4.5 Hz, 1H), 1.38 (s, 9H), 1.24 (s, 9H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 173.53, 169.11, 154.78, 147.82, 134.71, 133.55, 132.90, 130.65, 130.62, 128.83, 125.56, 115.48, 115.42, 82.92, 81.78, 63.61, 57.35, 49.93, 38.90, 28.16, 27.78. HRMS: calculated for C₂₆H₃₆N₃O₉S⁺ [M+H]⁺ 566.2167, found 566.2179.



***tert*-butyl (S)-3-(diethylamino)-2-((2-nitrophenyl)sulfonamido)propanoate (5ad):**

5ad was prepared in Method A. Mixture of amine **4d** (0.0878 g, 1.2 equiv) and aziridine **1a** (0.3283 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **5ad** (0.3384 g, 84 %, reddish brown oil). ¹H NMR (400 MHz, Chloroform-*d*) δ 8.13 – 8.04 (m, 1H), 7.93 – 7.83 (m, 1H), 7.76 – 7.65 (m, 2H), 3.99 (t, *J* = 6.3 Hz, 1H), 2.77 (d, *J* = 6.3 Hz, 2H), 2.46 (qd, *J* = 7.1, 1.9 Hz, 4H), 1.30 (s, 9H), 0.92 (t, *J* = 7.1 Hz, 6H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 169.98, 147.84, 134.78, 133.43, 132.83, 130.74, 125.48, 82.29, 56.16, 55.04, 46.99, 27.85, 11.72. HRMS: calculated for C₁₇H₂₇N₃NaO₆S⁺ [M+Na]⁺ 424.1513, found 424.1521.



benzyl (S)-2-((2-nitrophenyl)sulfonamido)-3-(phenylamino)propanoate (3ca):

3ca was prepared in Method A. Mixture of amine **2a** (0.1176 g, 1.2 equiv) and aziridine **1b** (0.3624 g, 1.0 equiv) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **3ca** (0.3936 g, 86 %, brown solid). ¹H NMR (400 MHz, Chloroform-*d*) δ 7.97 (dd, *J* = 7.5, 1.7 Hz, 1H), 7.77 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.62 (td, *J* = 7.7, 1.7 Hz, 1H), 7.57 (td, *J* = 7.5, 1.5 Hz, 1H), 7.41 – 7.28 (m, 3H), 7.23 – 7.04 (m, 4H), 6.74 (t, *J* = 7.3 Hz, 1H), 6.57 (d, *J* = 8.0 Hz, 2H), 6.43 (d, *J* = 8.1 Hz, 1H), 4.96 (s, 2H), 4.41 (dt, *J* = 8.2, 5.3 Hz, 1H), 3.66 (dd, *J* = 13.8, 4.9 Hz, 1H), 3.57 (dd, *J* = 13.8, 5.9 Hz, 1H). ¹³C NMR (101 MHz, Chloroform-*d*) δ 169.80, 147.60, 146.67, 134.62, 133.80, 133.72, 132.98, 130.58, 129.47, 128.85, 128.80, 128.55, 125.79, 118.72, 113.57, 67.98, 56.35, 46.72. HRMS: calculated for C₂₂H₂₁N₃NaO₆S⁺ [M+Na]⁺ 478.1043, found 478.1036.

Crystallographic data

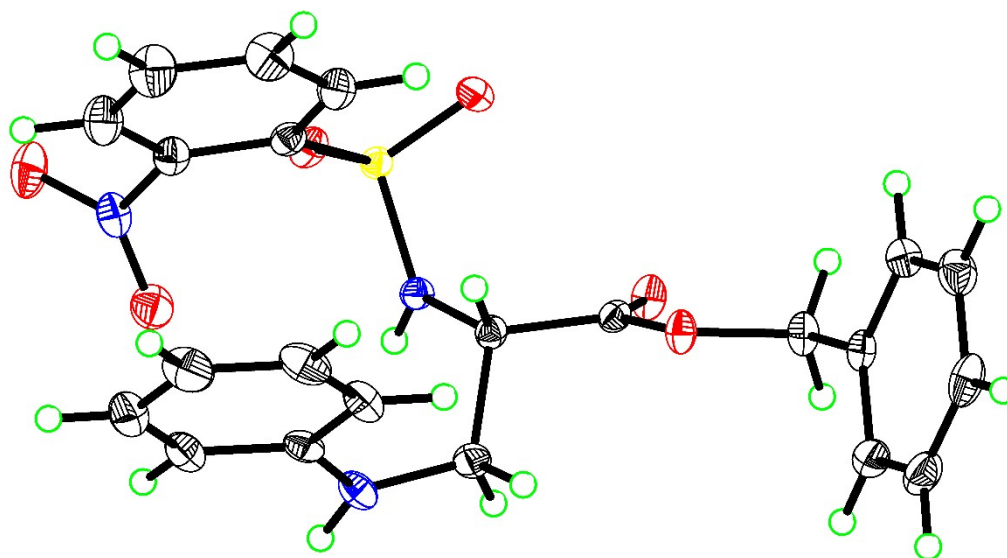


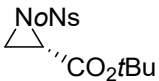
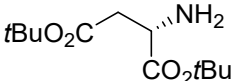
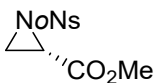
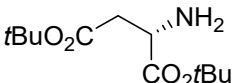
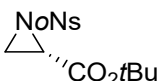
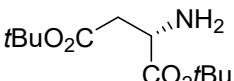
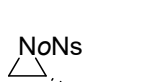
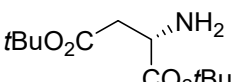
Figure S1. X-Ray structure of 3ca

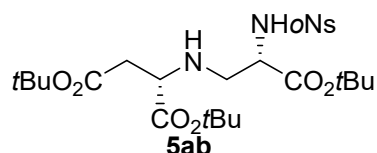
Table S1 Crystal data and structure refinement for 0001-ZDJ-1-100K_auto.

Identification code	0001-ZDJ-1-100K_auto
Empirical formula	C ₂₂ H ₂₁ N ₃ O ₆ S
Formula weight	455.48
Temperature/K	100.00(10)
Crystal system	orthorhombic
Space group	P2 ₁ 2 ₁ 2 ₁
a/Å	8.58560(10)
b/Å	12.11150(10)
c/Å	21.14980(10)
α /°	90
β /°	90
γ /°	90
Volume/Å ³	2199.25(3)
Z	4
ρ_{calc} /cm ³	1.376
μ /mm ⁻¹	1.692
F(000)	952.0
Crystal size/mm ³	0.34 × 0.32 × 0.24
Radiation	CuK α (λ = 1.54184)
2 Θ range for data collection/°	8.362 to 151.53
Index ranges	-10 ≤ h ≤ 10, -15 ≤ k ≤ 14, -26 ≤ l ≤ 26
Reflections collected	19124
Independent reflections	4520 [R _{int} = 0.0205, R _{sigma} = 0.0155]
Data/restraints/parameters	4520/0/289
Goodness-of-fit on F ²	1.041
Final R indexes [I ≥ 2 σ (I)]	R ₁ = 0.0257, wR ₂ = 0.0682
Final R indexes [all data]	R ₁ = 0.0259, wR ₂ = 0.0683
Largest diff. peak/hole / e Å ⁻³	0.34/-0.33
Flack parameter	0.008(4)

Gram-scale synthesis of AMA and the characterization data

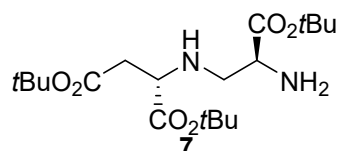
Table S2 Comparison of aziridines ring opening with amines between this method and conventional methods in synthesis of AMA

aziridines	amines	equiv of amine	catalyst	solvent	time	yield	references
		1.2 eq	/	/	2 h	96 %	this work
		2.0 eq	Et ₃ N	THF	16 h	80 %	2015 Wright's work ³
		2.0 eq	Et ₃ N	THF	16 h	60 %	2016 Wright's work ²
		2.0 eq	Et ₃ N	THF	20 h	70 %	2017 Lei's work ⁴



di-tert-butyl ((S)-3-(tert-butoxy)-2-((2-nitrophenyl)sulfonamido)-3-oxopropyl)-L-aspartate (5ab):

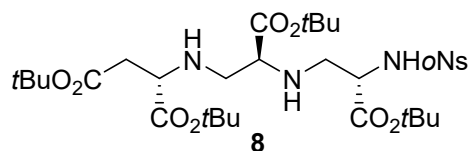
Mixture of amine **4b** (5.8877 g, 24 mmol) and aziridine **1a** (6.5668 g, 20 mmol) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **5ab** (11.0457 g, 96 %, yellowish oil, turning into white solid after standing for long time).



di-tert-butyl ((S)-2-amino-3-(tert-butoxy)-3-oxopropyl)-L-aspartate (7):

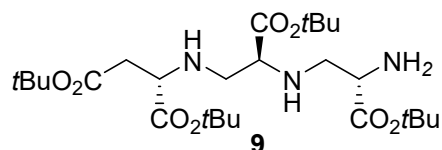
To a solution of compound **5ab** (9.1786 g, 16 mmol) in acetonitrile (80 mL) was added PhSNa (7.4010 g, 56 mmol). After stirring at r.t. for 30 min, the reaction mixture was diluted with ethyl acetate (80 mL), and quenched with water (80 mL). The water layer was further extracted with ethyl acetate (80 mL). The combined organic layers were dried over anhydrous Na₂SO₄, and the filtrate was evaporated under reduced pressure. The residue was purified by silica gel column chromatography to afford compound **7** (5.2968 g, 85 %, yellowish oil). ¹H NMR (400 MHz,

Chloroform-*d*) δ 3.43 (dd, $J = 7.3, 5.7$ Hz, 1H), 3.37 (dd, $J = 7.0, 4.1$ Hz, 1H), 2.87 (dd, $J = 11.9, 7.0$ Hz, 1H), 2.73 (dd, $J = 11.9, 4.2$ Hz, 1H), 2.57 (dd, $J = 15.7, 5.7$ Hz, 1H), 2.44 (dd, $J = 15.7, 7.3$ Hz, 1H), 2.09 (s, 3H), 1.49 – 1.40 (m, 27H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 173.94, 172.91, 170.26, 81.51, 81.31, 80.99, 58.73, 55.19, 51.30, 39.65, 28.17, 28.15, 28.13. HRMS: calculated for $\text{C}_{19}\text{H}_{37}\text{N}_2\text{O}_6\text{S}^+$ $[\text{M}+\text{H}]^+$ 389.2646, found 389.2654.



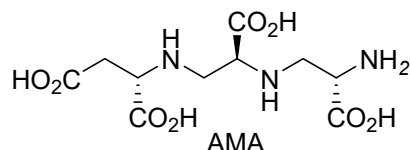
di-tert-butyl ((S)-3-(tert-butoxy)-2-(((S)-3-(tert-butoxy)-2-((2-nitrophenyl)sulfonamido)-3-oxopropyl)amino)-3-oxopropyl)-L-aspartate (8):

Mixture of amine **7** (5.2968 g, 13.6336 mmol) and aziridine **1a** (4.3422 g, 13.2246 mmol) was stirred at r.t. for 2 h. The residue was directly purified by column chromatography on silica gel to give **8** (7.8283g, 80 % based on amine **7**, yellowish oil). ^1H NMR (400 MHz, Chloroform-*d*) δ 8.08 – 8.03 (m, 1H), 7.89 – 7.83 (m, 1H), 7.74 – 7.64 (m, 2H), 4.10 (t, $J = 4.6$ Hz, 1H), 3.42 (t, $J = 6.4$ Hz, 1H), 3.20 – 3.08 (m, 2H), 2.86 – 2.66 (m, 3H), 2.58 (dd, $J = 16.0, 6.4$ Hz, 1H), 2.51 (dd, $J = 15.9, 6.5$ Hz, 1H), 1.51 – 1.38 (m, 27H), 1.26 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.75, 172.67, 170.27, 169.09, 147.87, 134.81, 133.42, 132.73, 130.56, 125.42, 82.62, 81.68, 81.47, 80.89, 62.31, 58.63, 57.75, 50.25, 49.99, 39.44, 28.15, 28.11, 28.09, 27.80. HRMS: calculated for $\text{C}_{32}\text{H}_{53}\text{N}_4\text{O}_{12}\text{S}^+$ $[\text{M}+\text{H}]^+$ 717.3375, found 717.3394.



di-tert-butyl ((S)-2-(((S)-2-amino-3-(tert-butoxy)-3-oxopropyl)amino)-3-(tert-butoxy)-3-oxopropyl)-L-aspartate (9):

To a solution of compound **8** (5.3764 g, 7.5 mmol) in dimethylformamide (20 mL) were added PhSNa (3.4692 g, 26.25 mmol). After stirring at r.t. for 30 min, the reaction mixture was diluted with ethyl acetate (150 mL), and quenched with water (150 mL). The water layer was further extracted with ethyl acetate (150 mL). The combined organic layers were washed with water (150 mL \times 5) and brine (150 mL), and dried over anhydrous Na_2SO_4 . Then the filtrate was evaporated under reduced pressure. The residue was purified by silica gel column chromatography to afford compound **9** (3.3163 g, 83 %, yellowish oil). ^1H NMR (400 MHz, Chloroform-*d*) δ 3.41 (t, $J = 6.5$ Hz, 1H), 3.38 (dd, $J = 7.2, 4.5$ Hz, 1H), 3.19 (dd, $J = 7.4, 4.9$ Hz, 1H), 2.81 – 2.65 (m, 4H), 2.55 (dd, $J = 15.8, 6.2$ Hz, 1H), 2.46 (dd, $J = 15.8, 6.7$ Hz, 1H), 2.01 (s, 4H), 1.47 – 1.34 (m, 36H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 174.03, 173.18, 172.74, 170.26, 81.41, 81.37, 81.28, 80.90, 62.29, 58.62, 55.43, 51.34, 50.38, 39.56, 28.20, 28.17, 28.14, 28.13. HRMS: calculated for $\text{C}_{26}\text{H}_{50}\text{N}_3\text{O}_8\text{S}^+$ $[\text{M}+\text{H}]^+$ 532.3592, found 532.3609.



((S)-2-(((S)-2-amino-2-carboxyethyl)amino)-2-carboxyethyl)-L-aspartic acid (AMA):

To a solution of compound **9** (3.3163 g, 6.2373 mmol) in dichloromethane (100 mL) were added

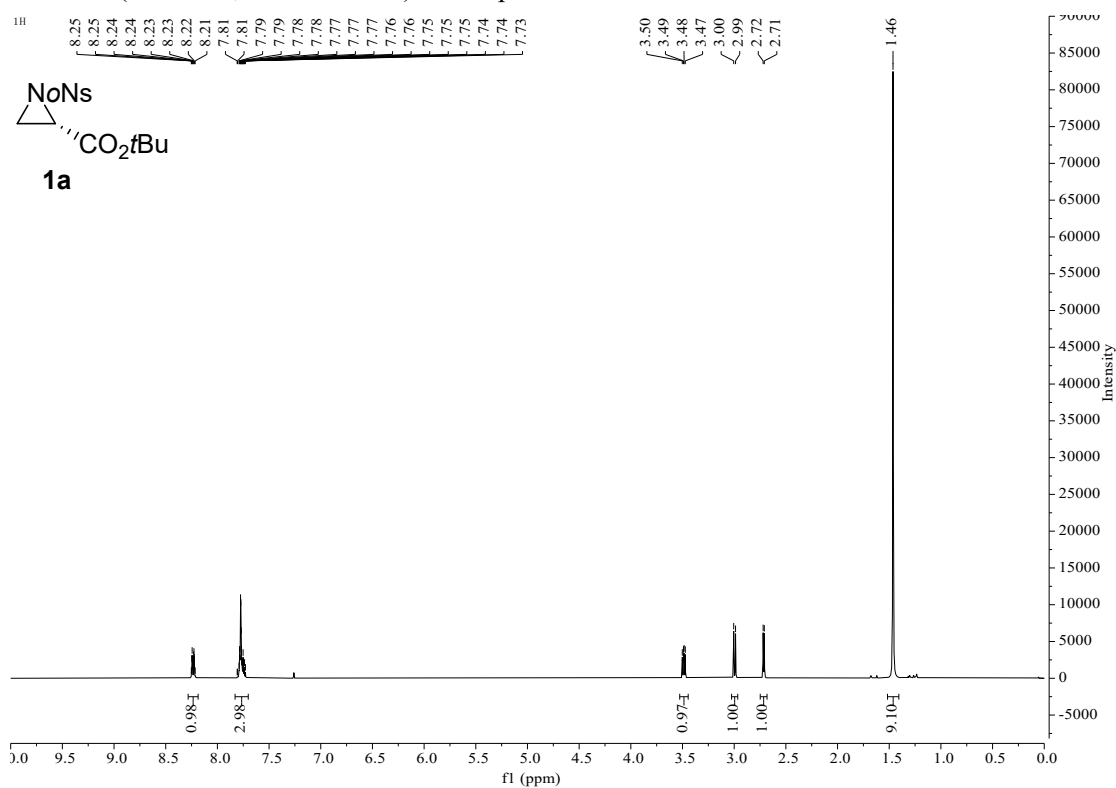
anisole (4.080 mL, 37.4238 mmol) and trifluoromethanesulfonic acid (2.745 mL, 31.1865 mmol) at 0 °C. After stirring at 0 °C for 30 min, the reaction was allowed to warm to r.t. and stir for 1 h. Then the reaction was quenched with a solution of NaHCO₃ (3.6680 g, 43.6611 mmol) in water (100 mL) at 0 °C and stirred for an additional 1 h. The water layer was washed with dichloromethane (100 mL × 3) and purified by ion-exchange chromatography to afford AMA (1.0975 g, 57 %, white solid).³ ¹H NMR (600 MHz, Deuterium Oxide) δ 3.89 – 3.83 (m, 2H), 3.43 (dd, *J* = 9.8, 4.2 Hz, 1H), 3.31 (dd, *J* = 12.9, 4.3 Hz, 1H), 3.29 (dd, *J* = 13.5, 5.8 Hz, 1H), 3.16 (dd, *J* = 12.9, 9.8 Hz, 1H), 2.89 (dd, *J* = 13.5, 3.9 Hz, 1H), 2.84 (dd, *J* = 17.5, 3.7 Hz, 1H), 2.71 (dd, *J* = 17.6, 9.4 Hz, 1H). ¹³C NMR (151 MHz, Deuterium Oxide) δ 177.43, 177.34, 173.26, 173.12, 59.79, 59.30, 54.54, 47.95, 47.06, 35.78. HRMS: calculated for C₁₀H₁₆N₃O₈S⁻ [M-H]⁻ 306.0943, found 306.0955.

References

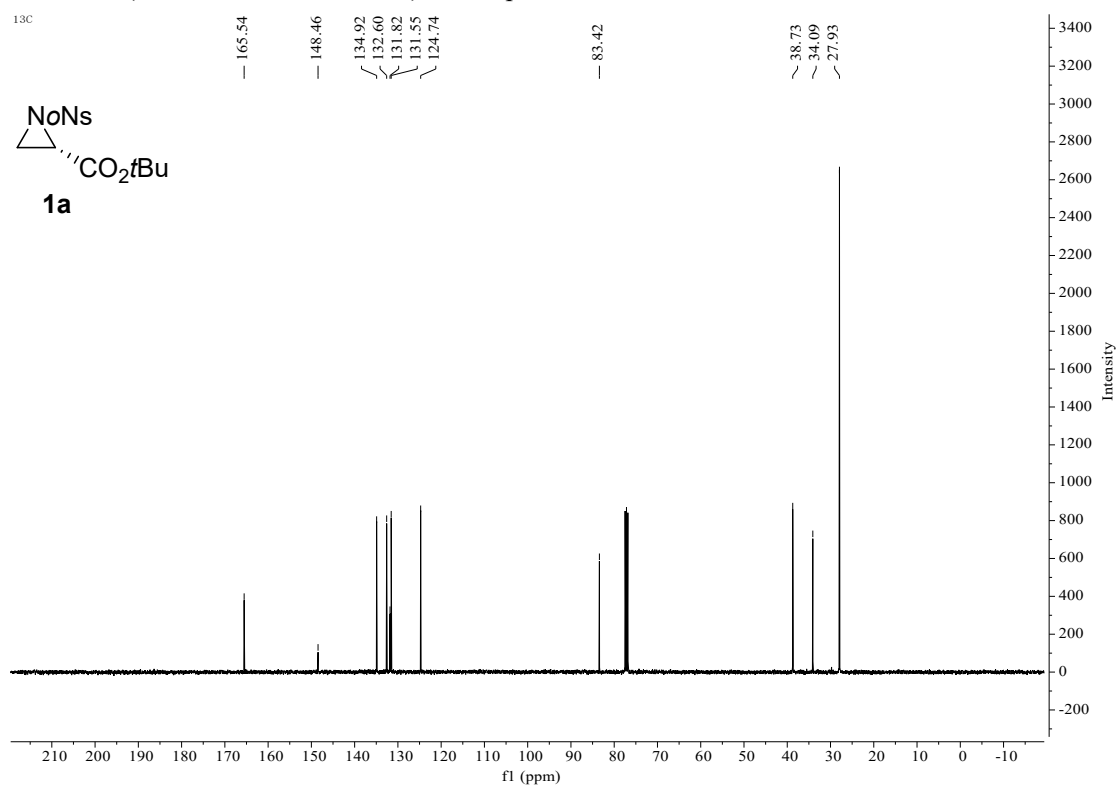
1. C. A. Olsen, C. Christensen, B. Nielsen, M. M. Farah, M. Witt, R. P. Clausen, J. L. Kristensen, H. Franzyk and J. W. Jaroszewski, *Org. Lett.*, 2006, **8**, 3371-3374.
2. S. A. Albu, K. Koteva, A. M. King, S. Al-Karmi, G. D. Wright and A. Capretta, *Angew. Chem. Int. Edit.*, 2016, **55**, 13259-13262. The NMR data of **5ab** was in accordance with the data in the page S18 in the supporting information of the reference. The reaction data was also from the page S18 in the supporting information of the reference.
3. K. Koteva, A. M. King, A. Capretta and G. D. Wright, *Angew. Chem. Int. Edit.*, 2016, **55**, 2210-2212.
4. J. Zhang, S. S. Wang, Y. J. Bai, Q. Q. Guo, J. Zhou and X. G. Lei, *J. Org. Chem.*, 2017, **82**, 13643-13648.

Copies of ^1H NMR, ^{13}C NMR spectra

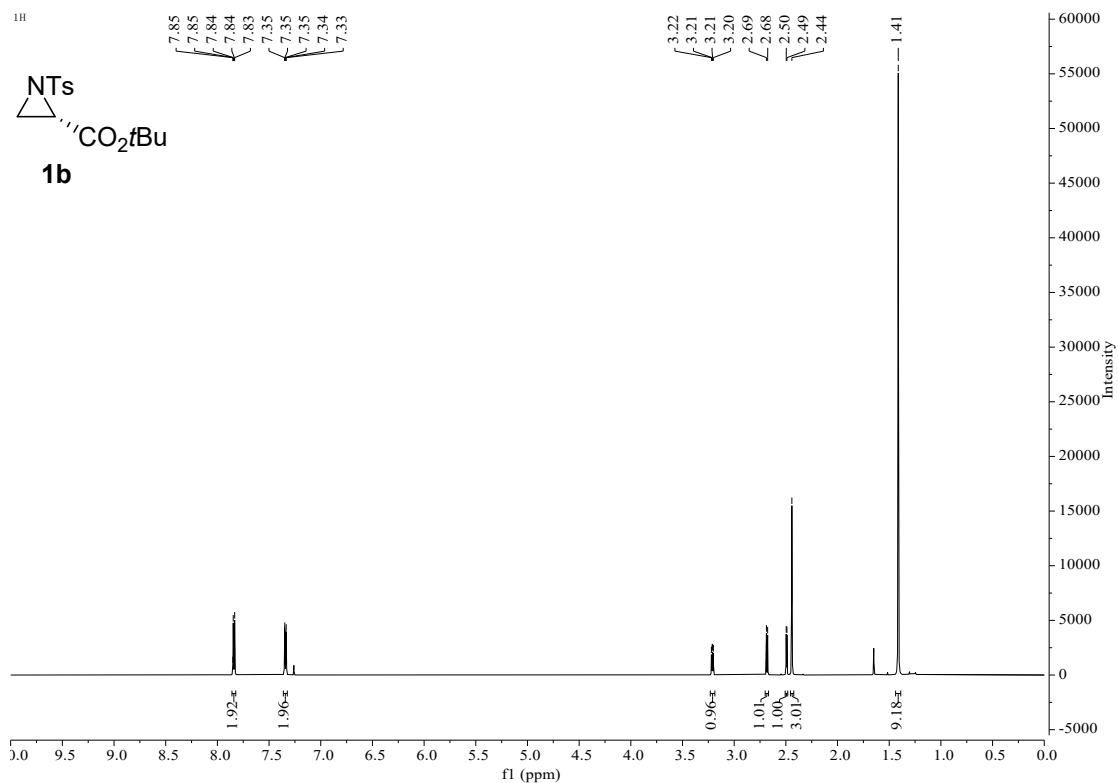
^1H NMR (400 MHz, Chloroform-*d*) of compound **1a**



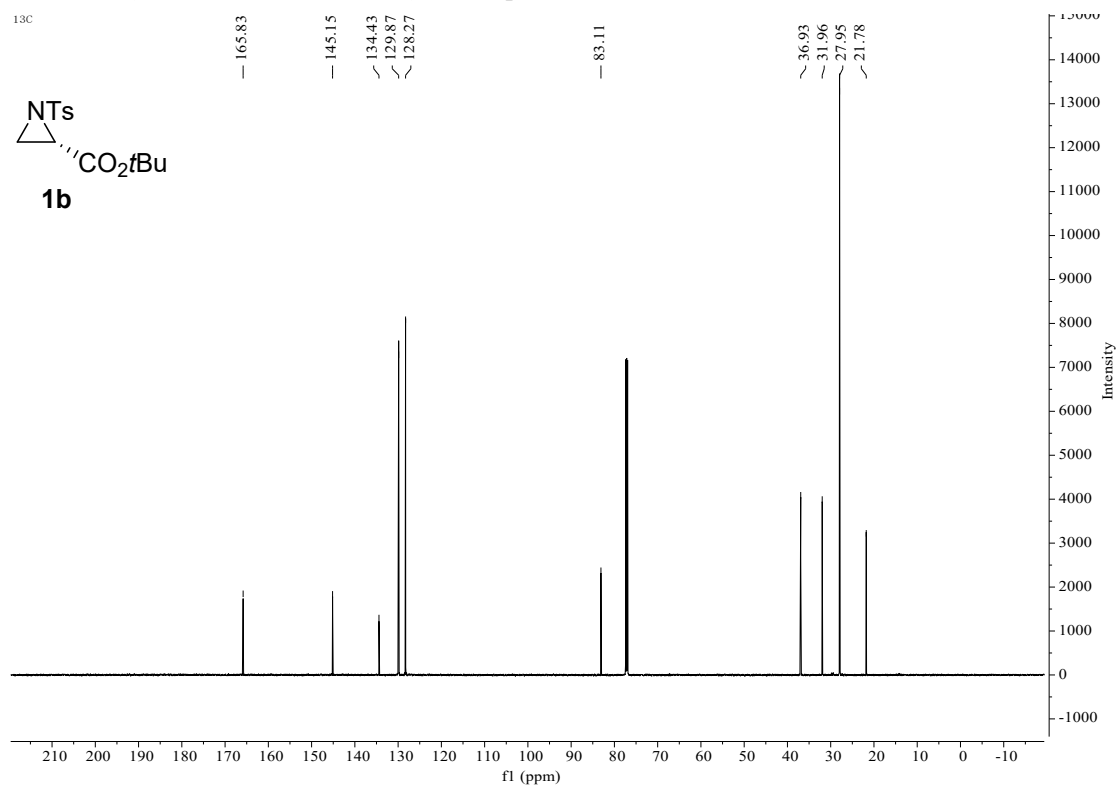
^{13}C NMR (101 MHz, Chloroform-*d*) of compound **1a**



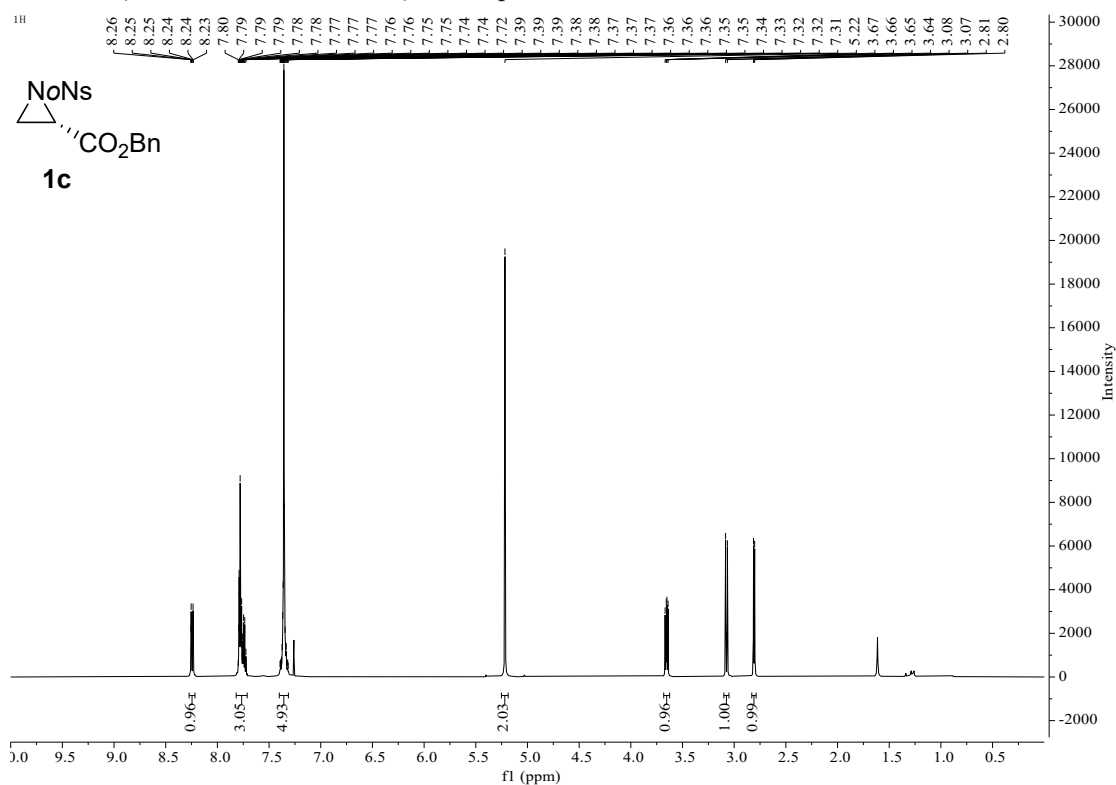
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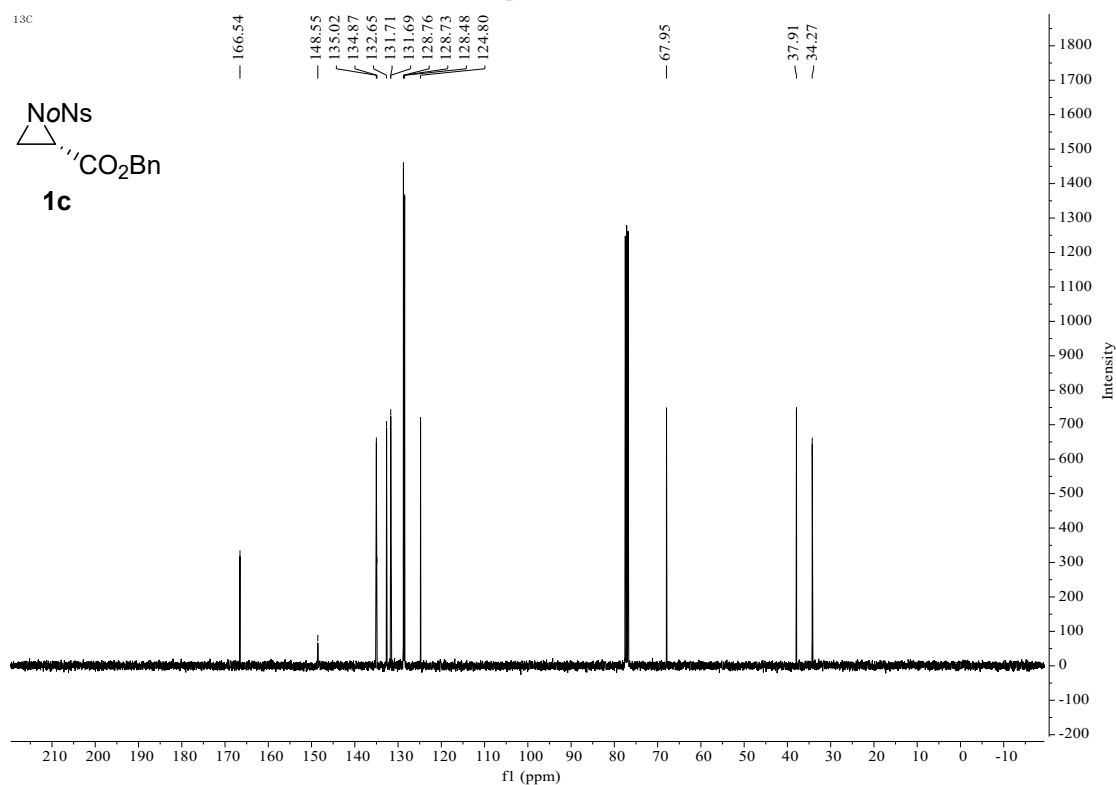
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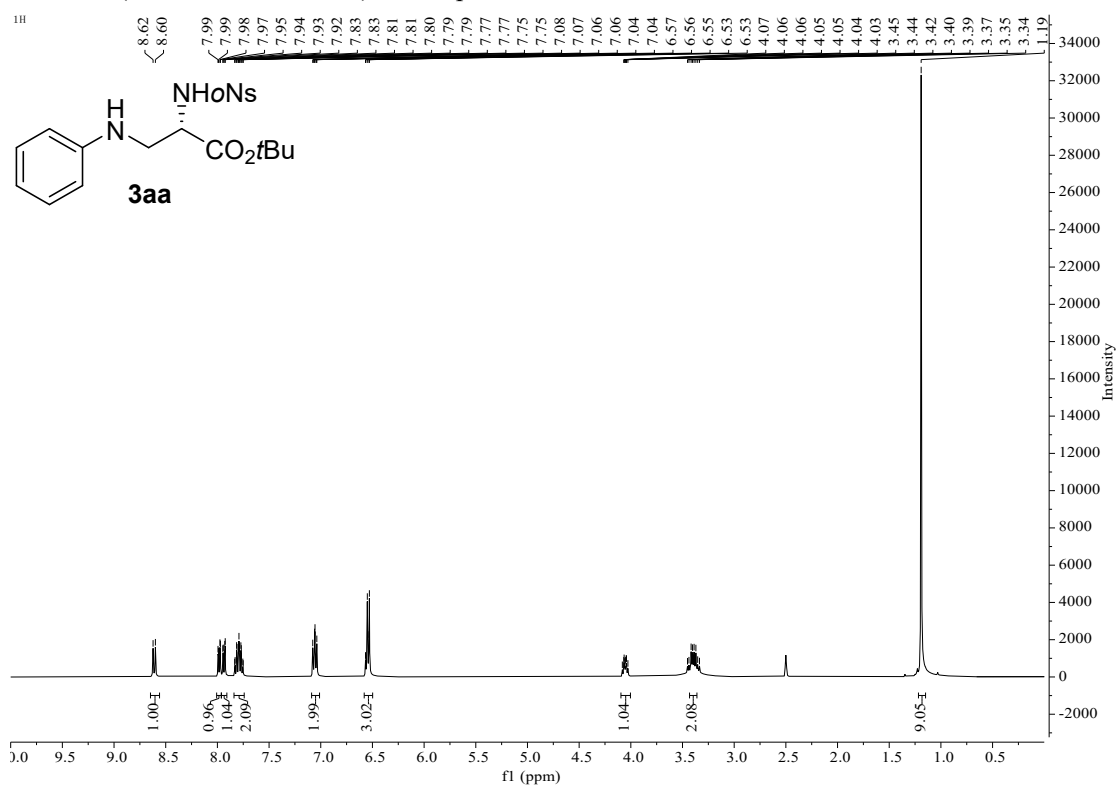
¹H NMR (400 MHz, Chloroform-*d*) of compound **1c**



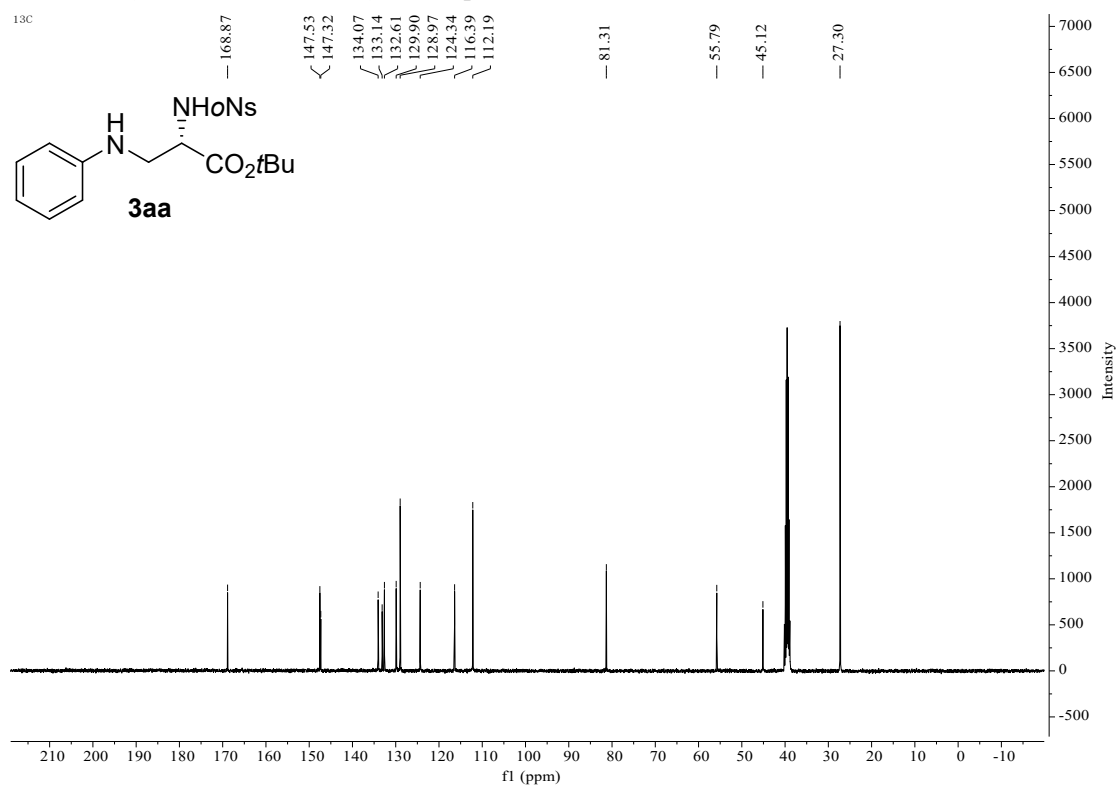
¹³C NMR (101 MHz, Chloroform-*d*) of compound **1c**



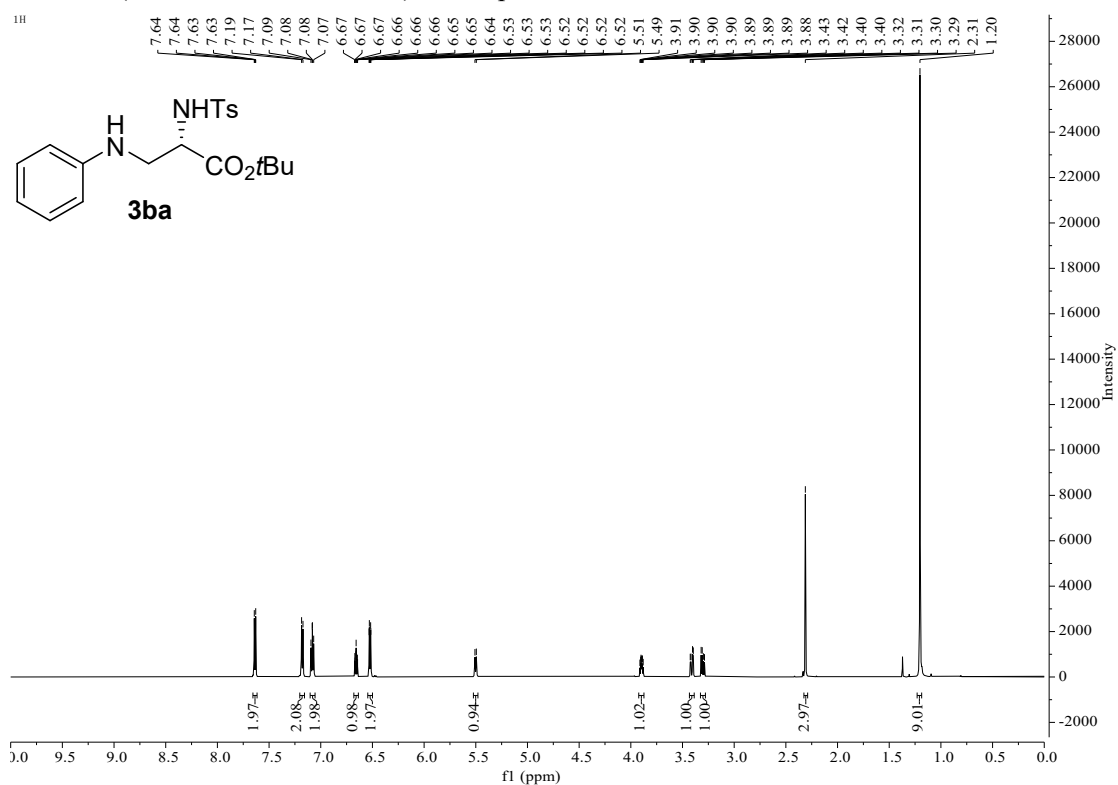
¹H NMR (400 MHz, DMSO-*d*₆) of compound **3aa**



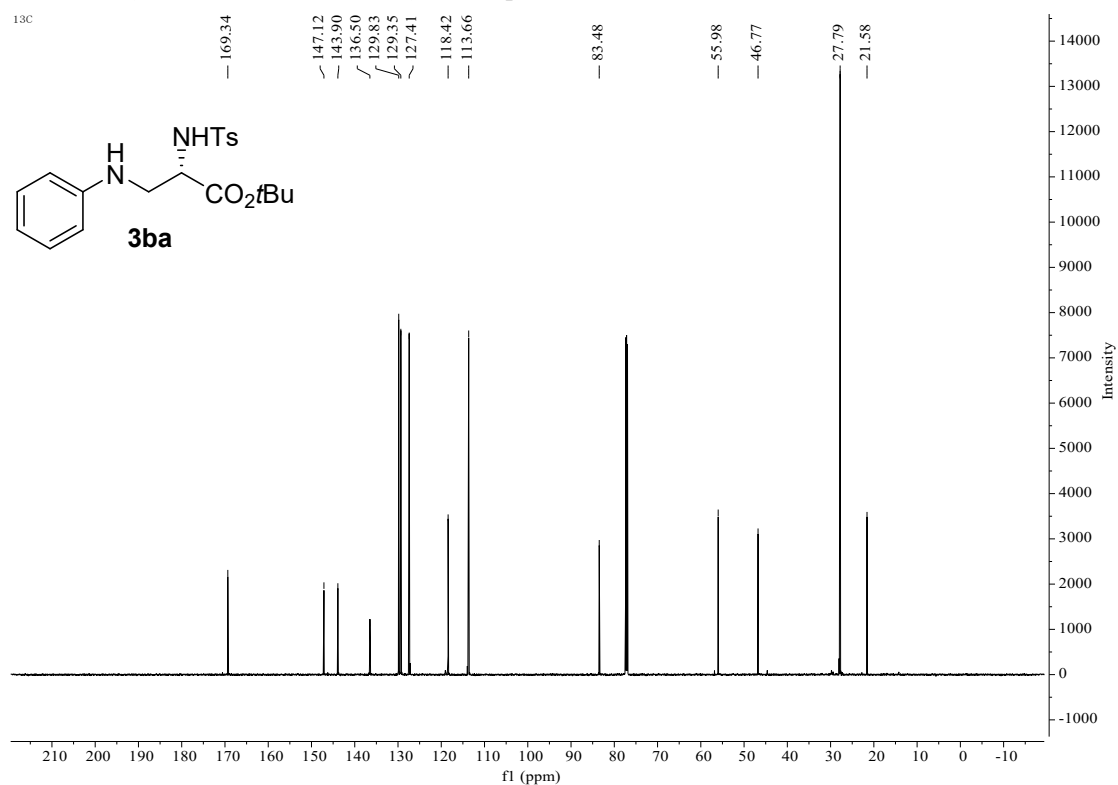
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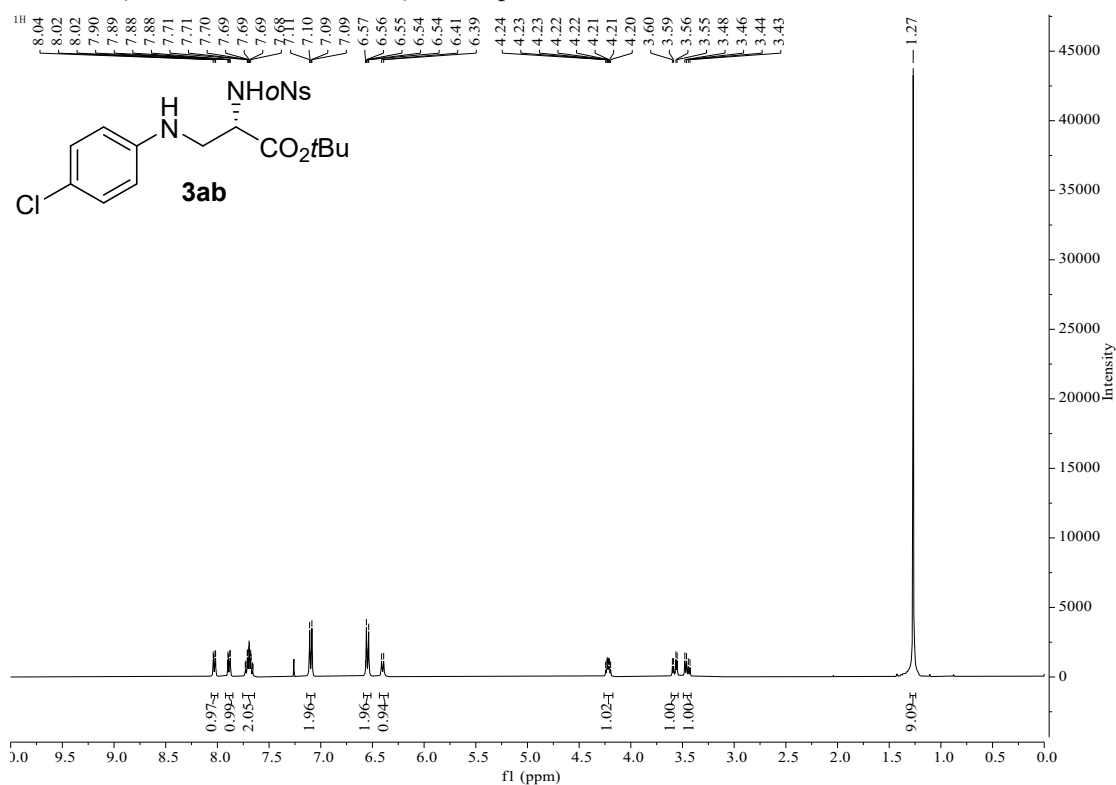
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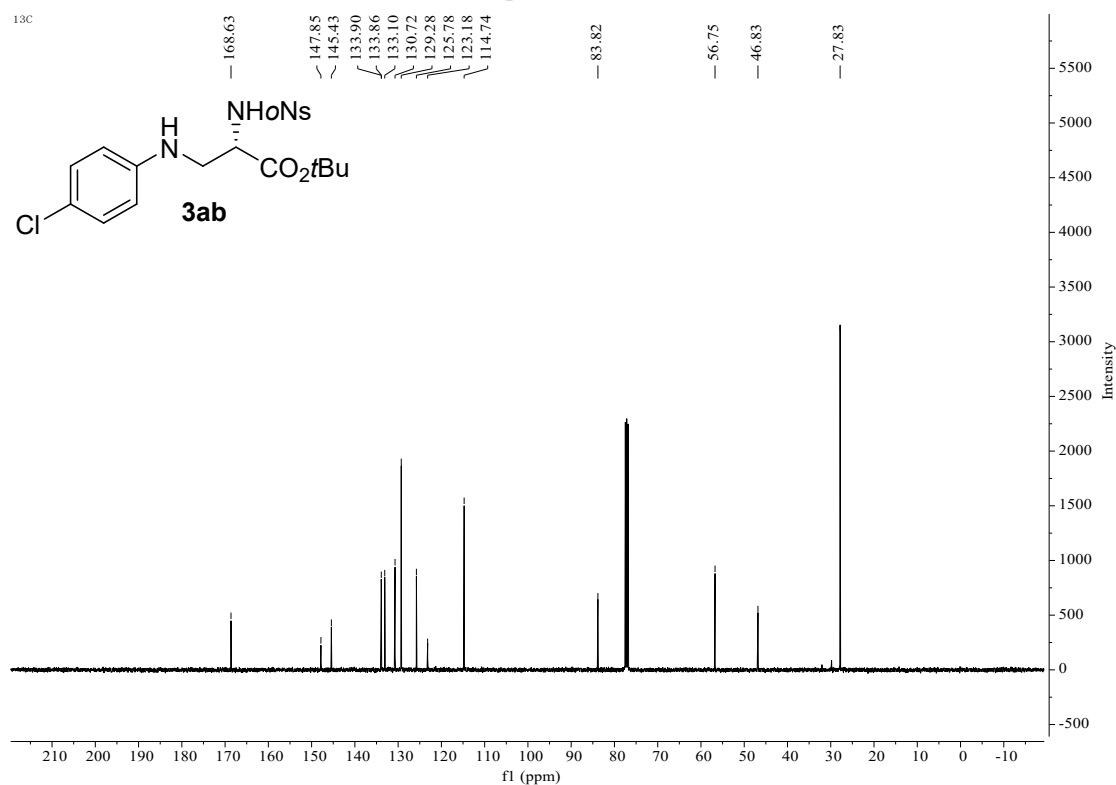
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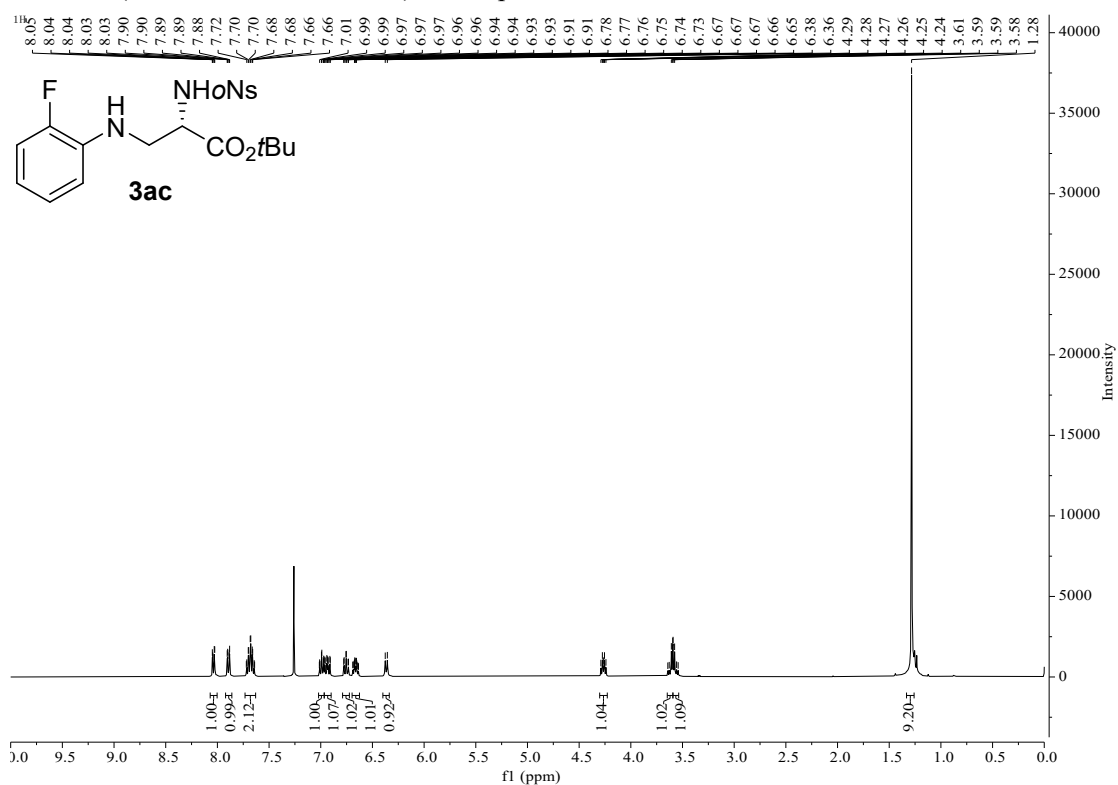
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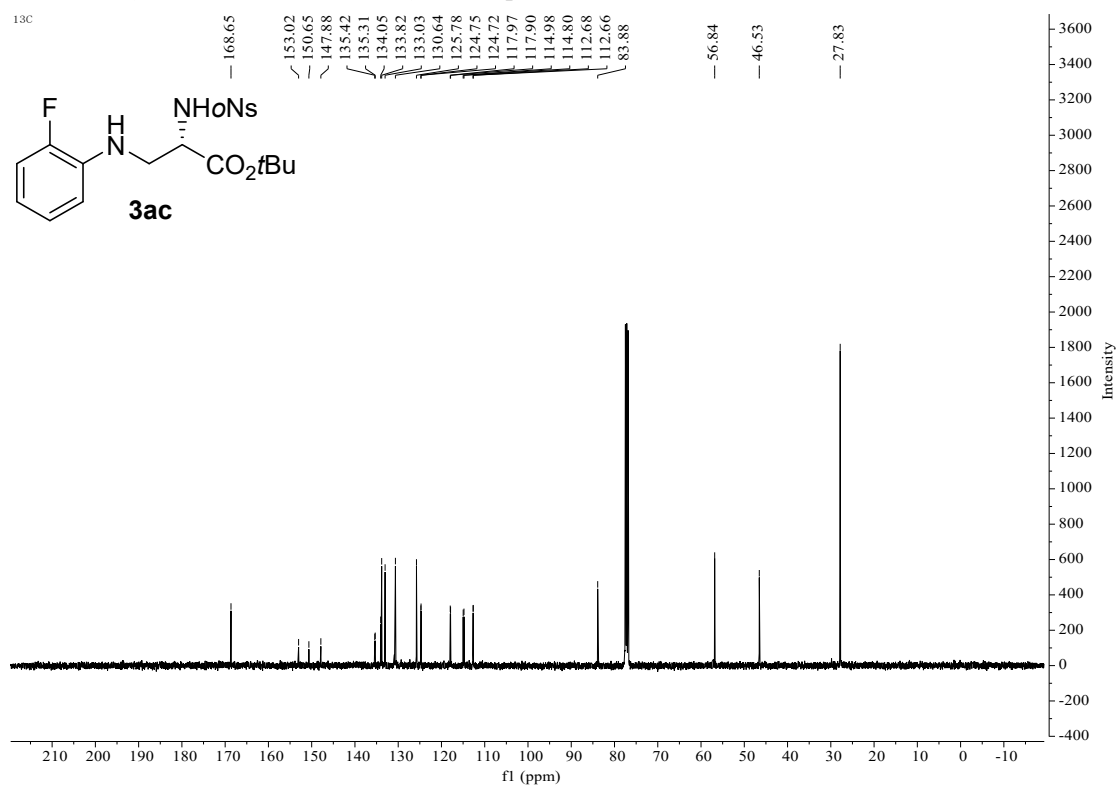
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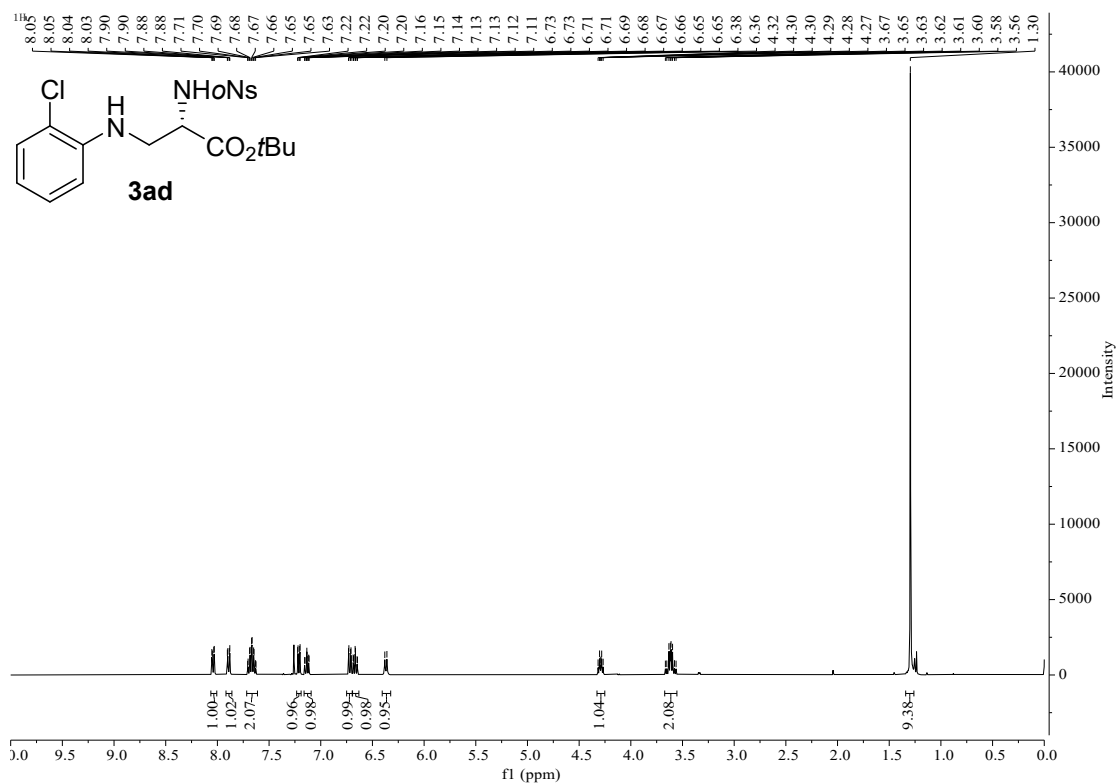
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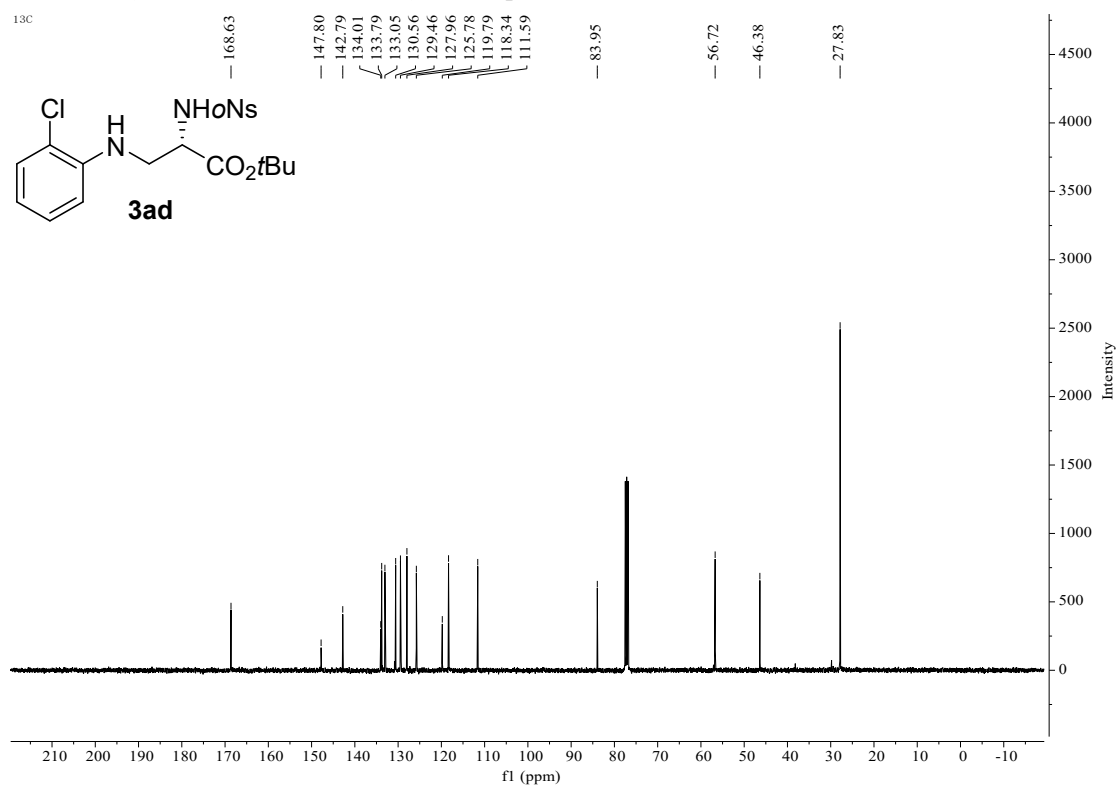
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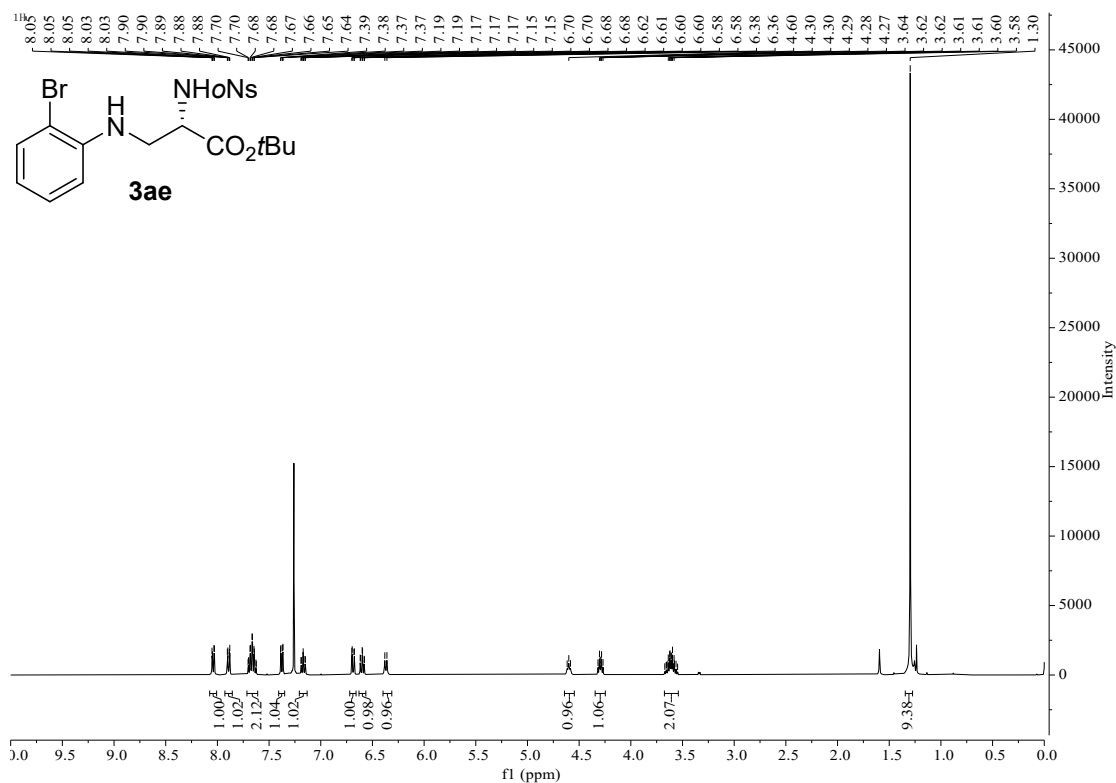
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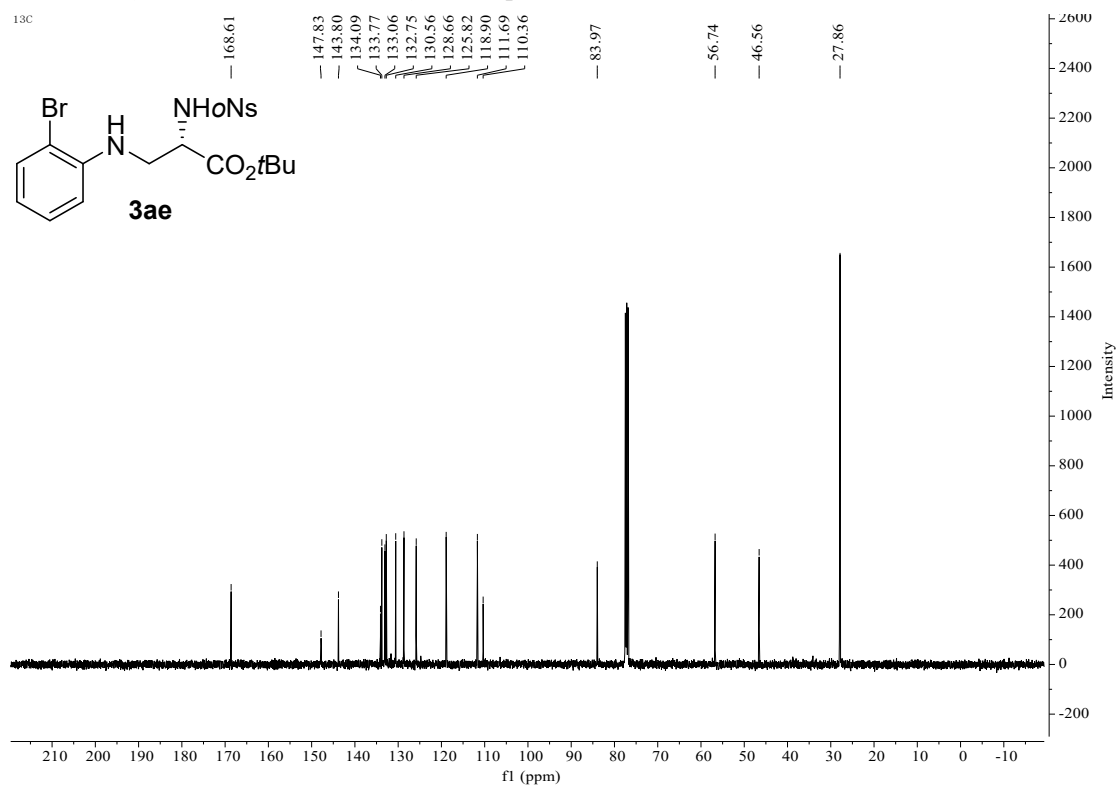
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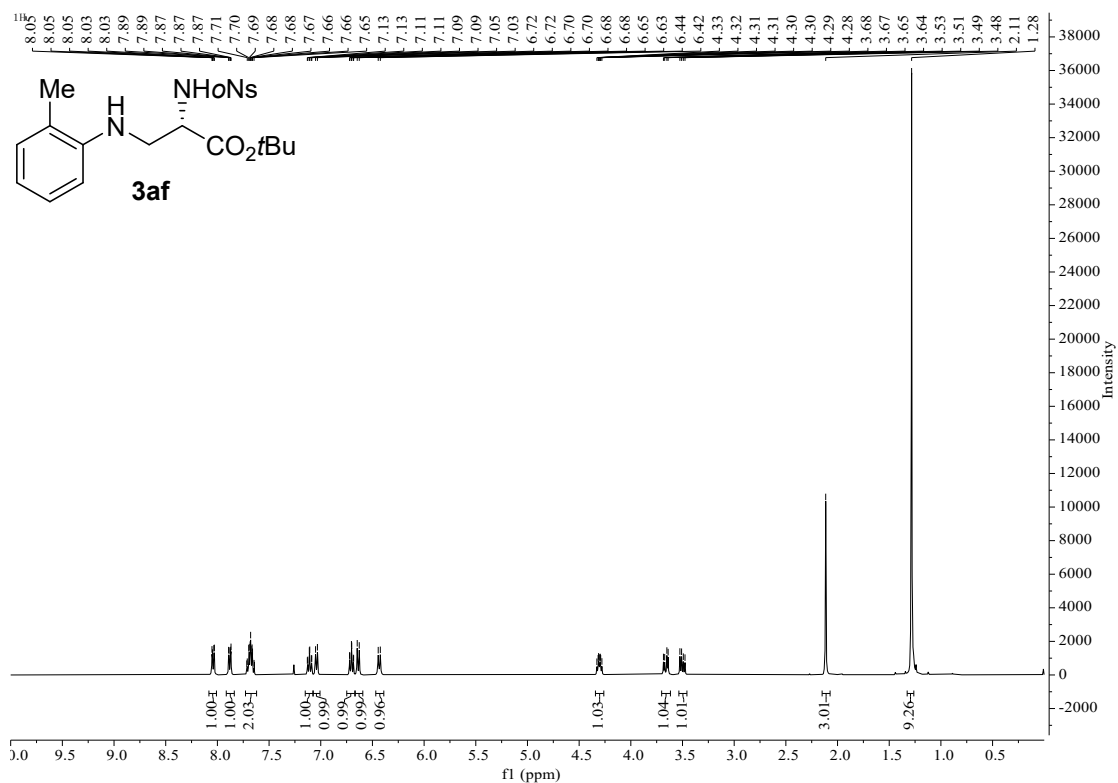
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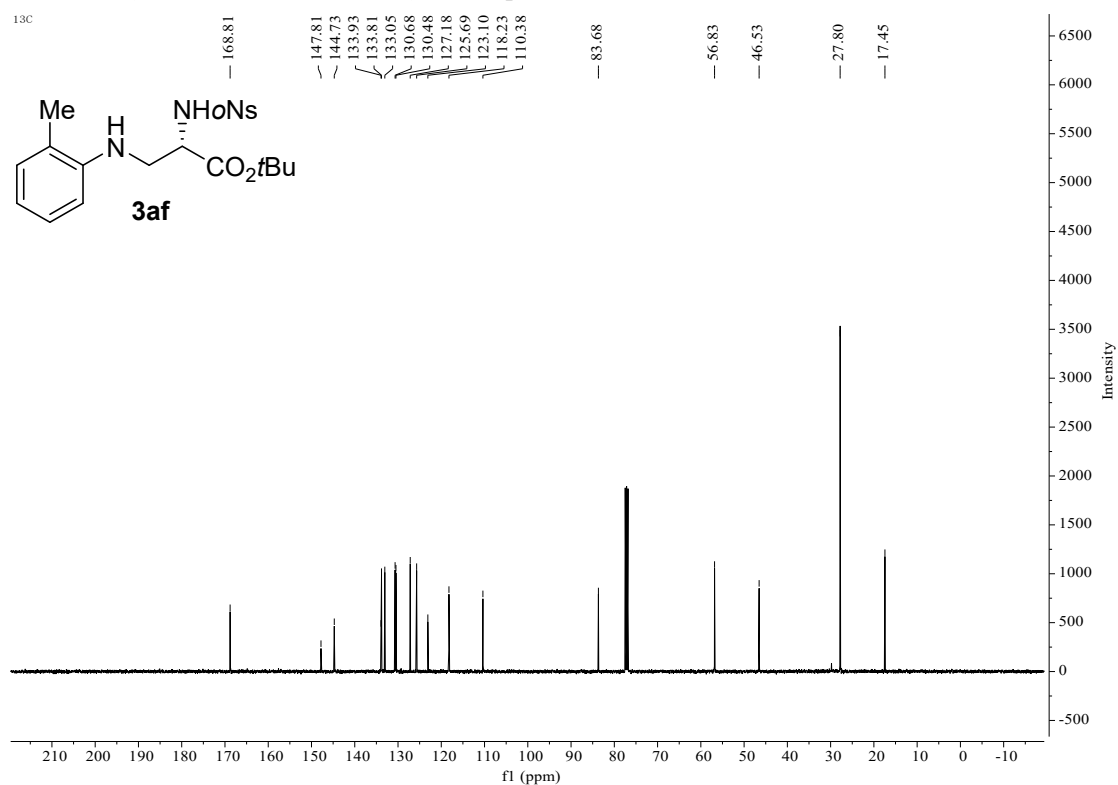
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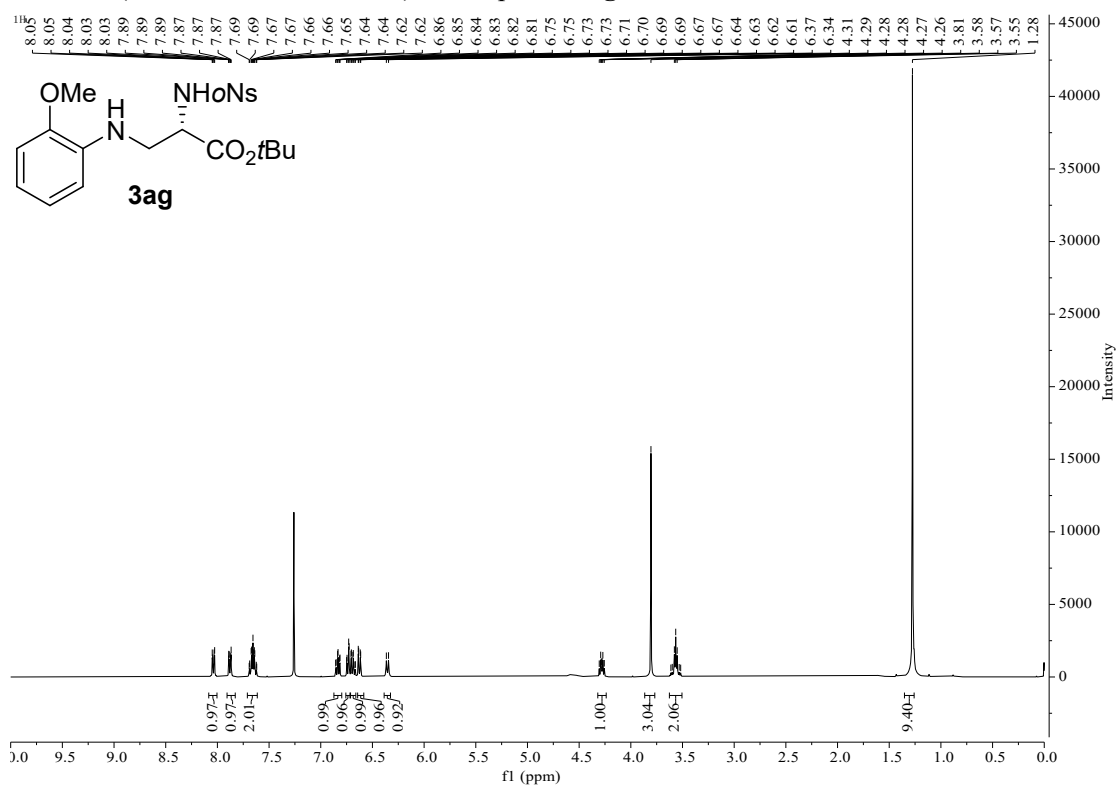
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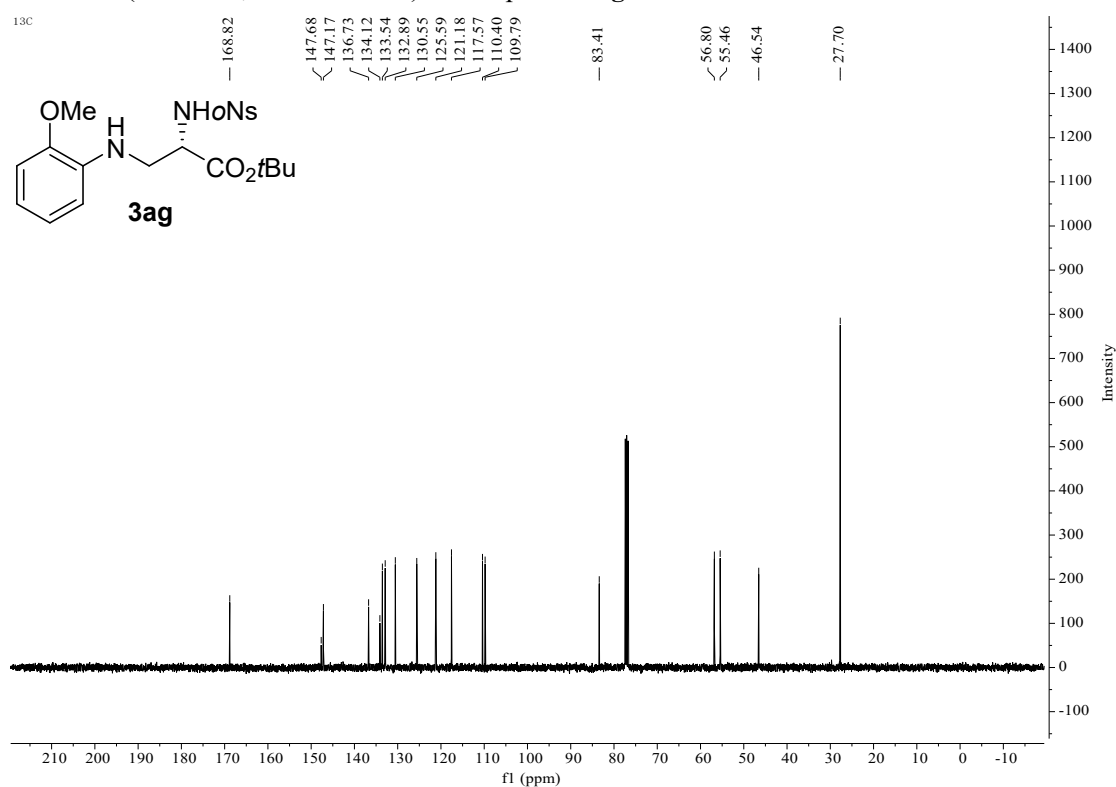
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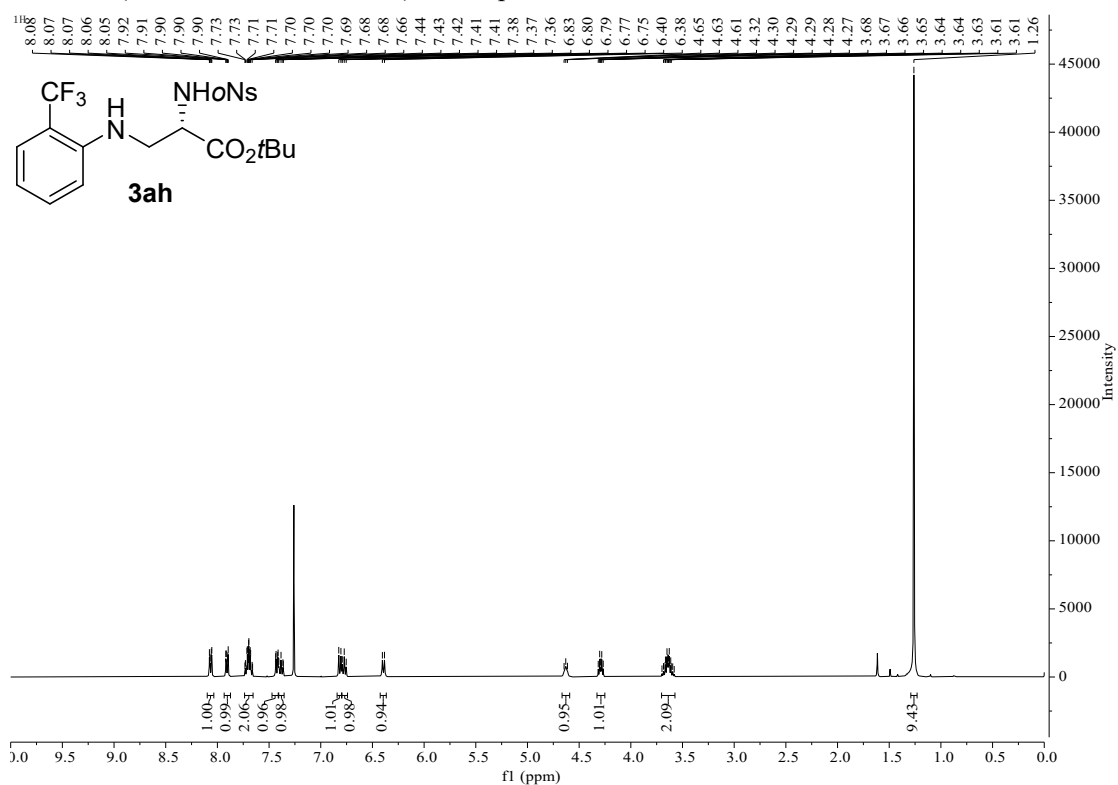
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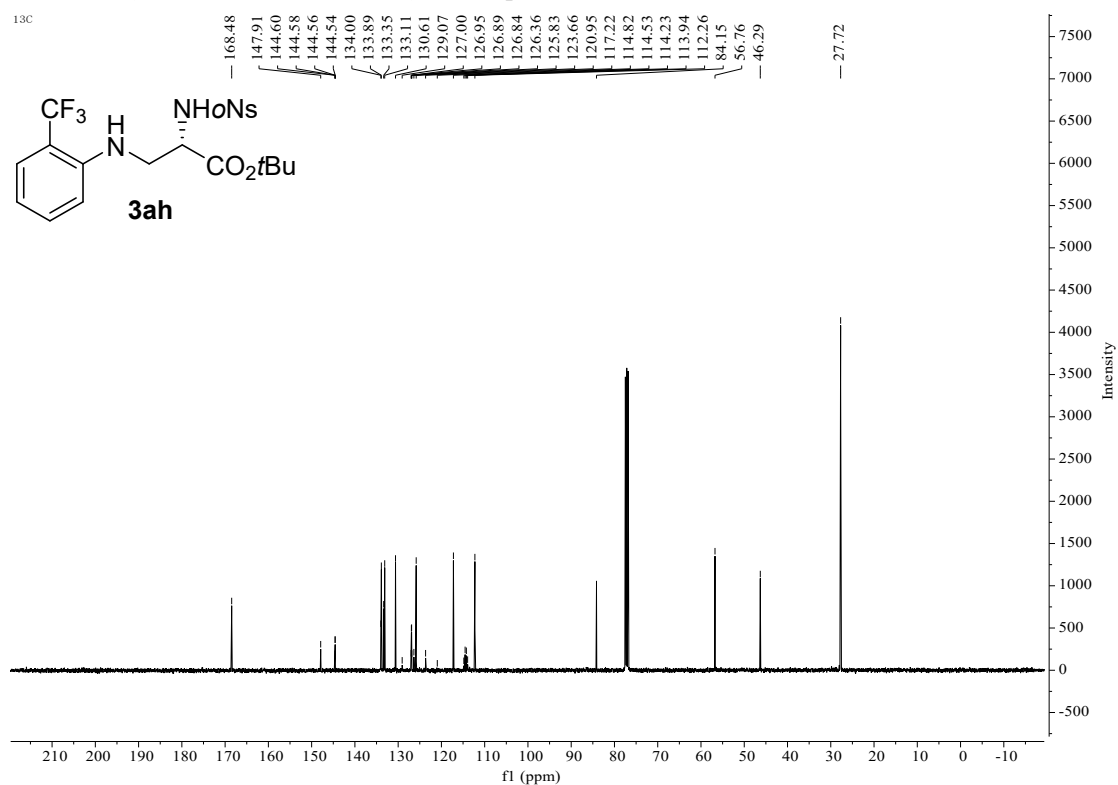
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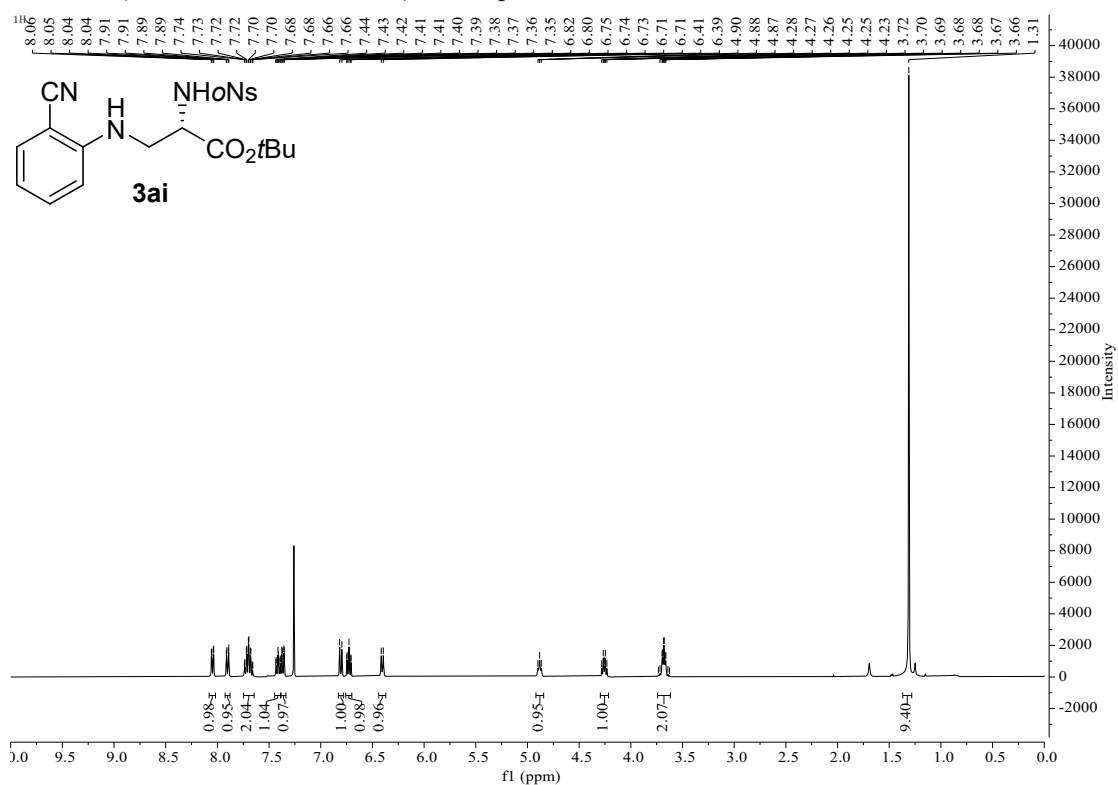
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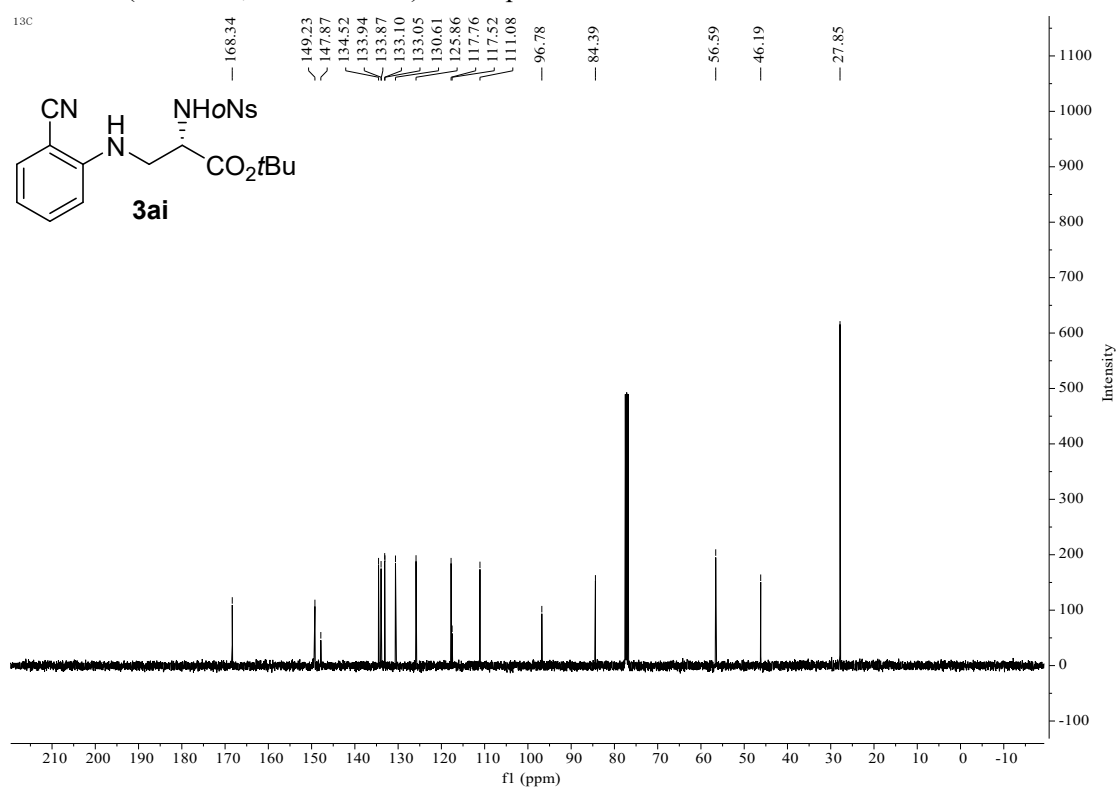
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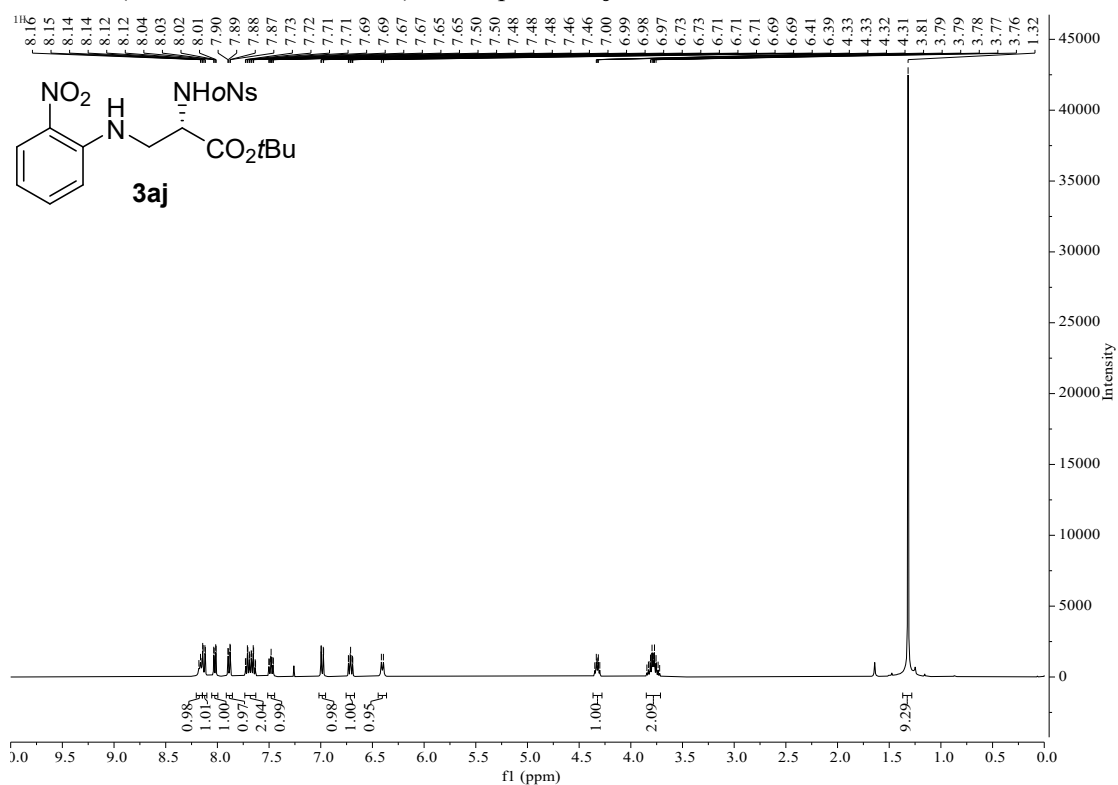
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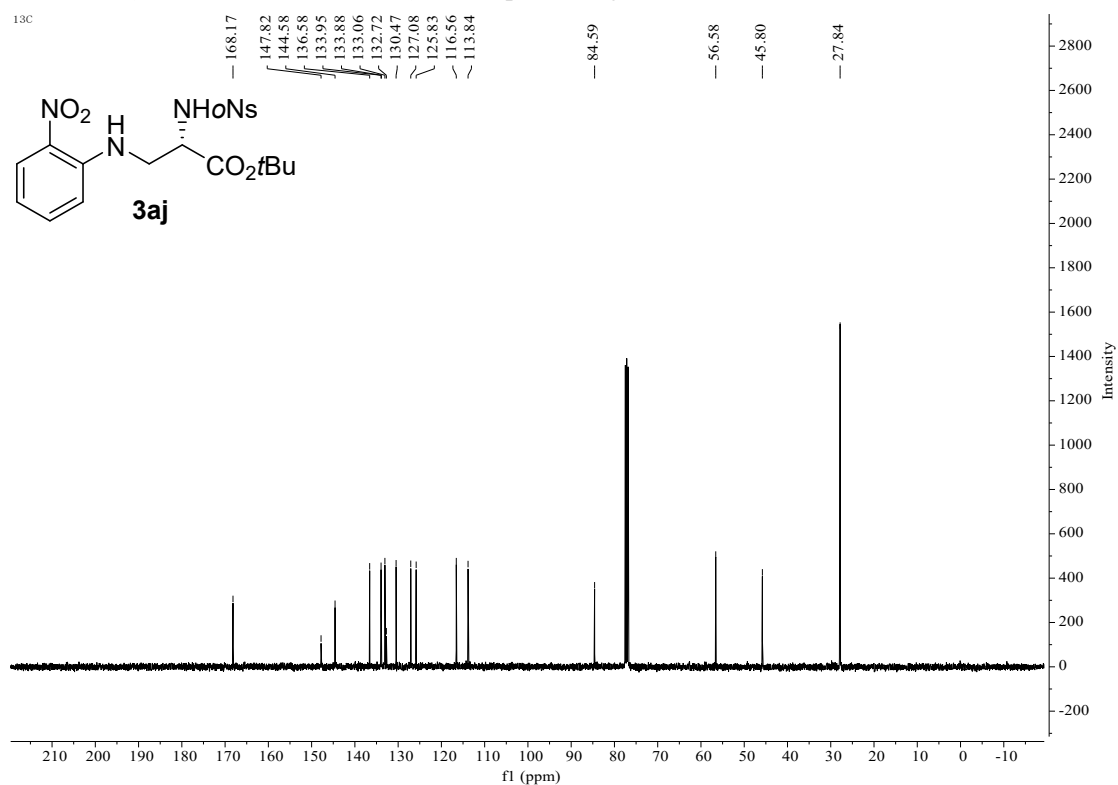
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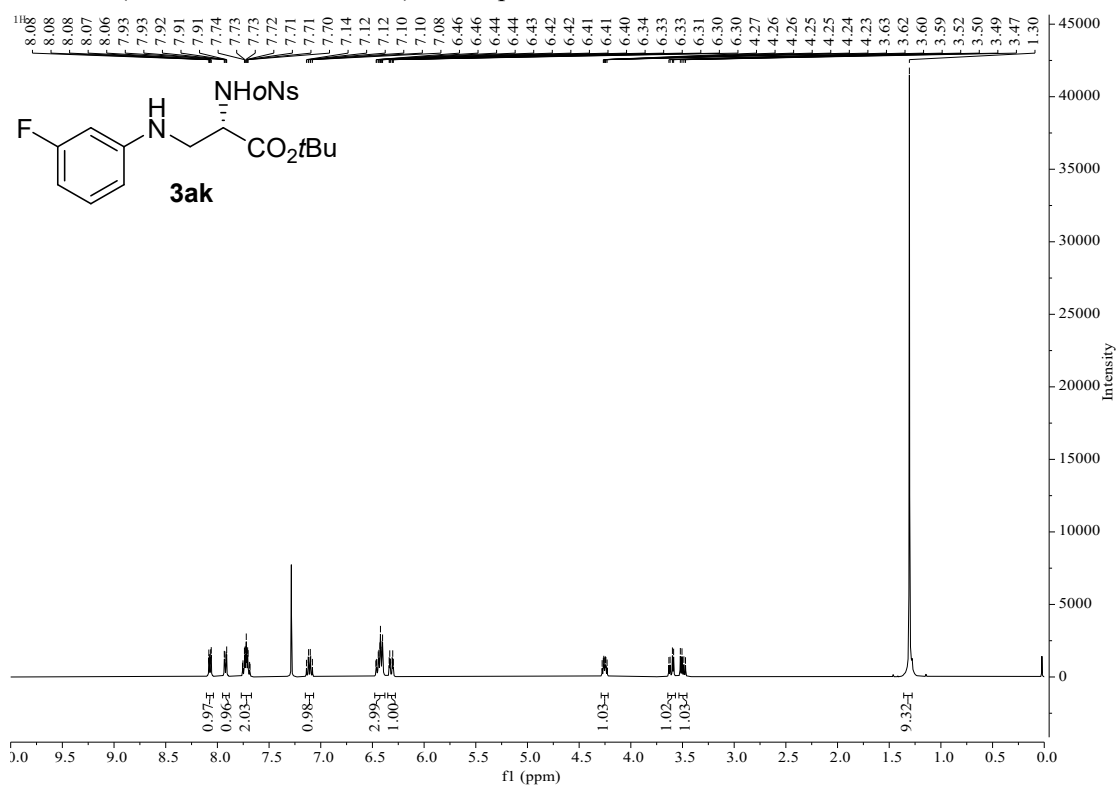
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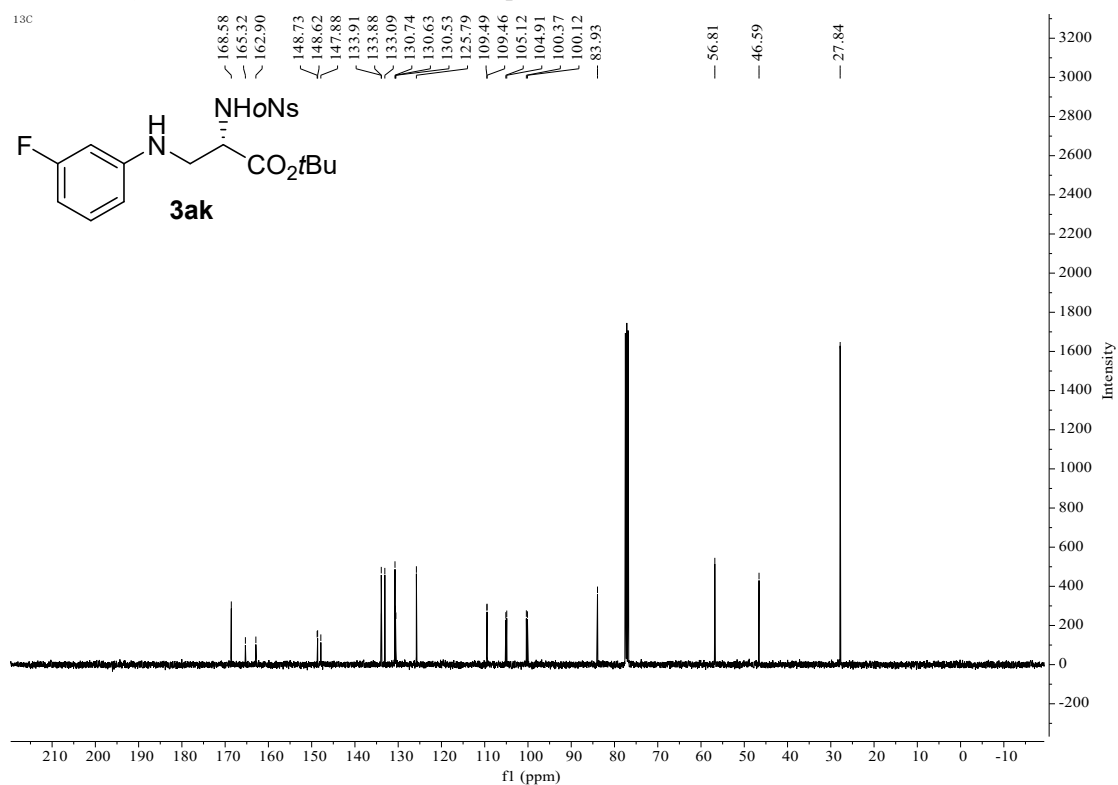
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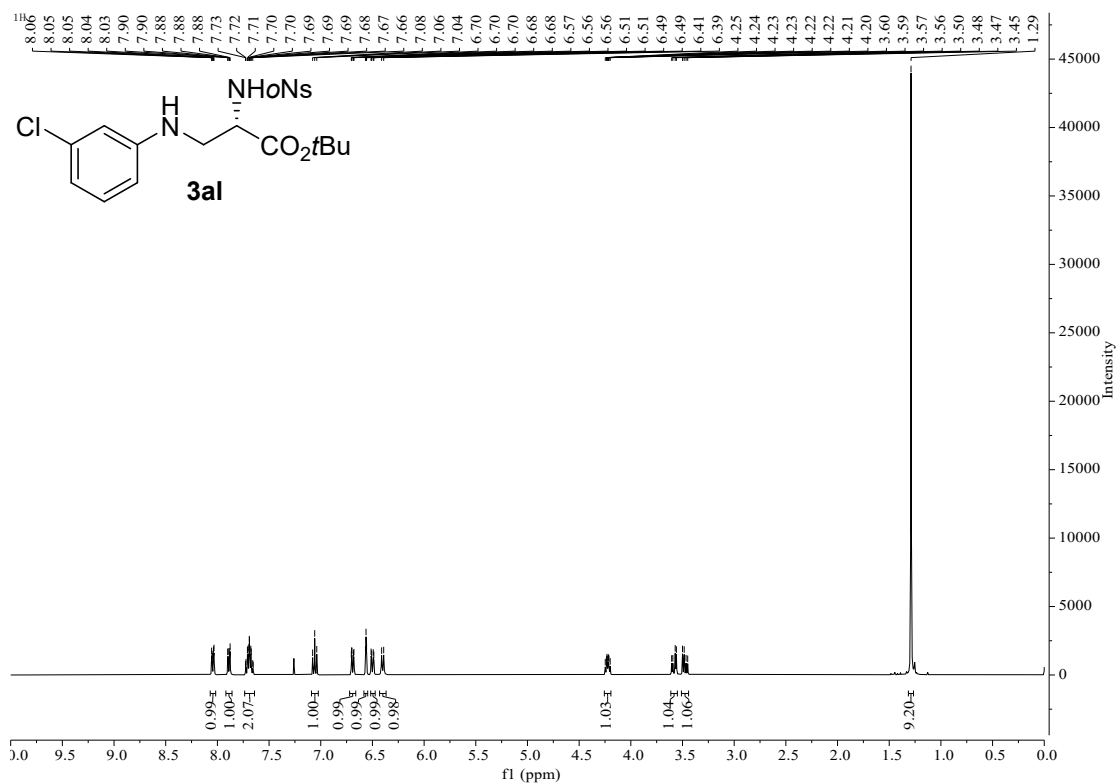
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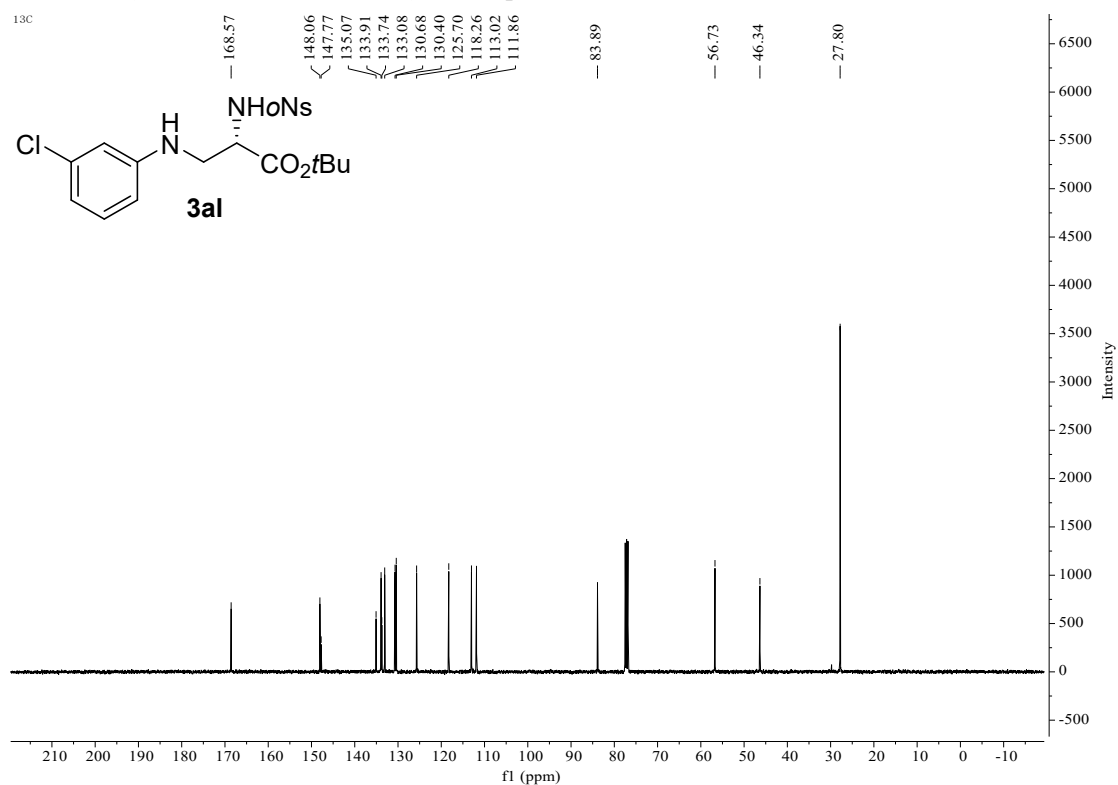
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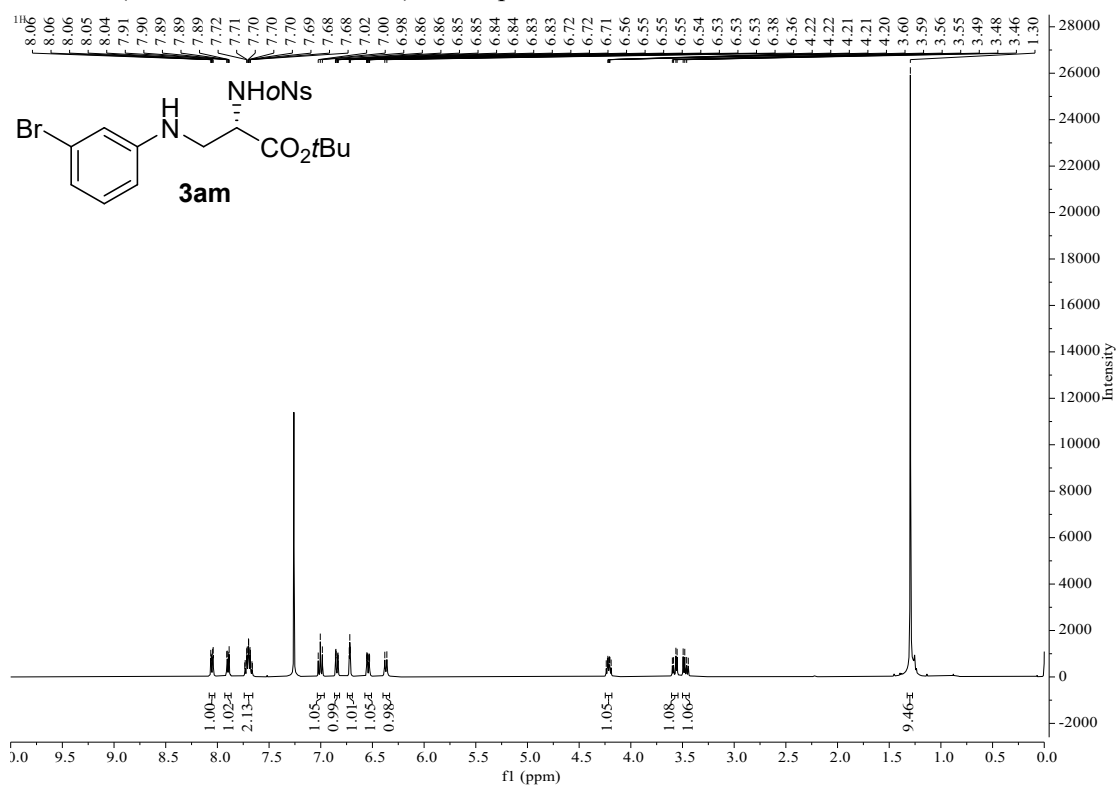
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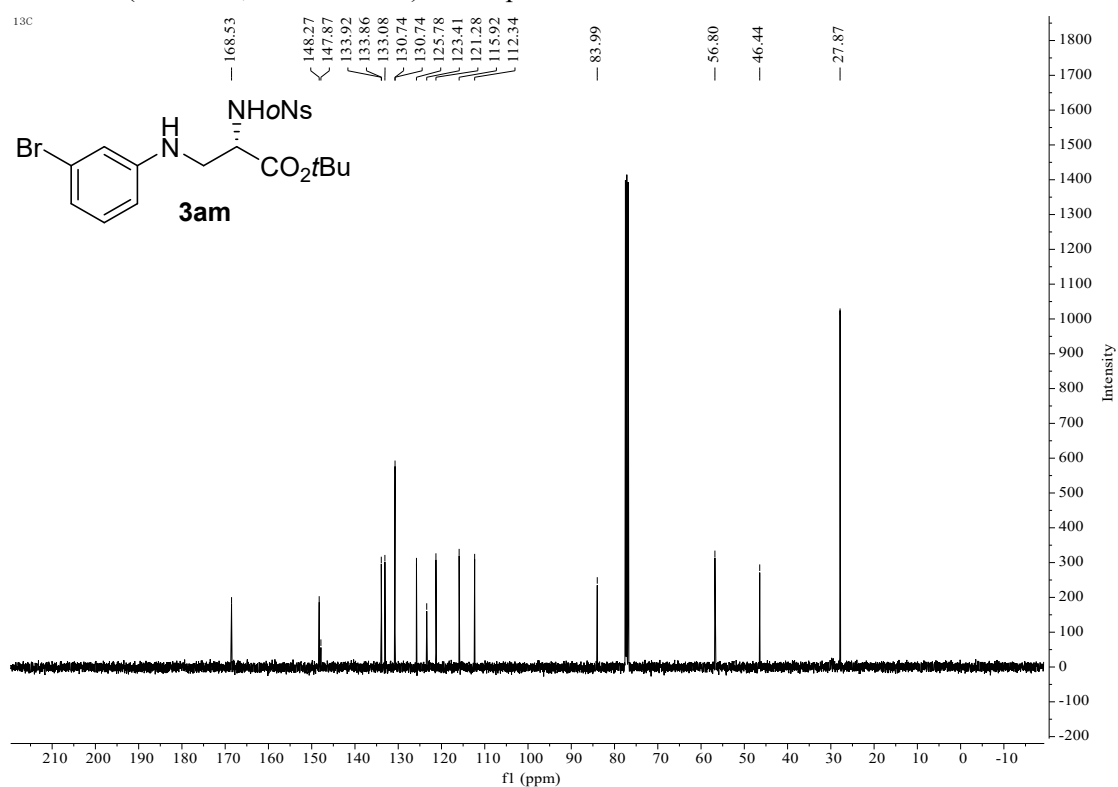
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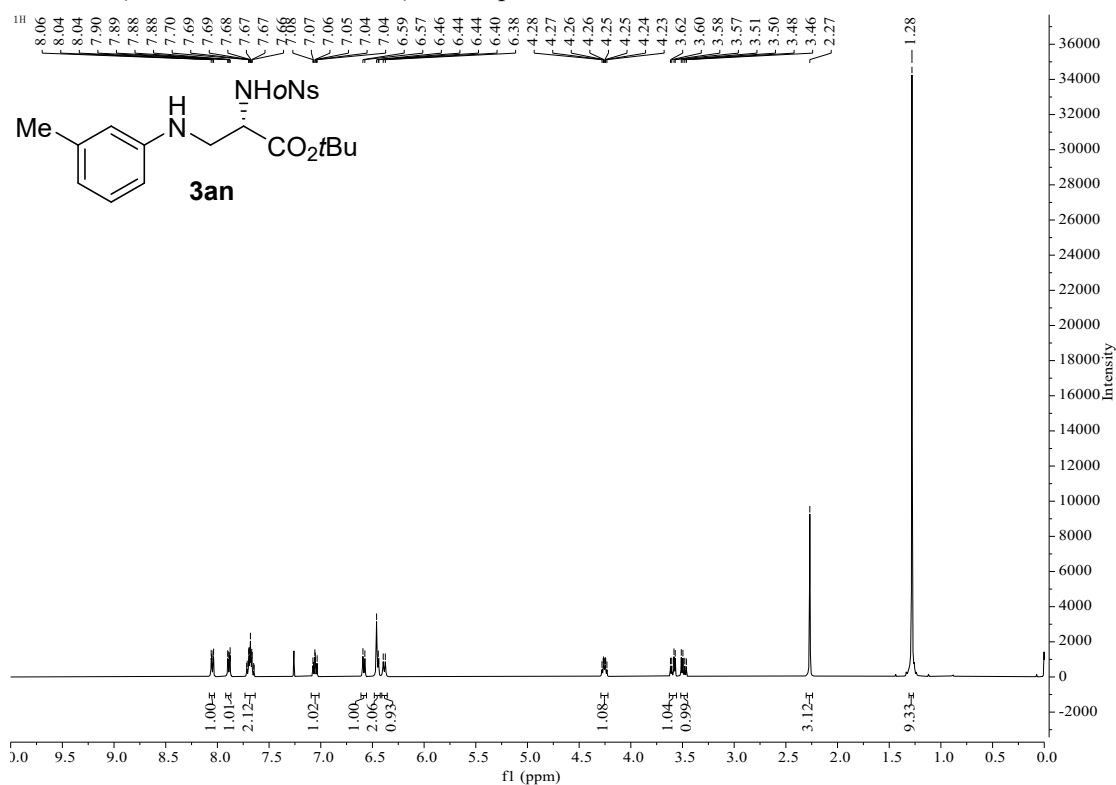
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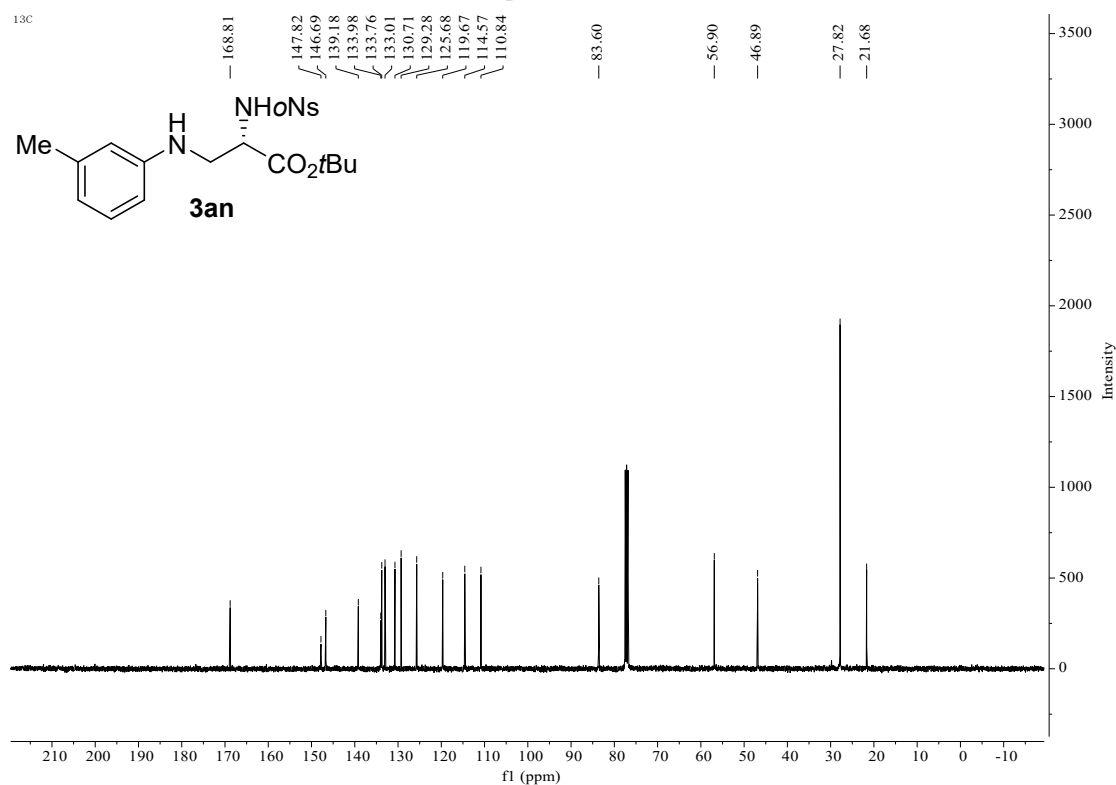
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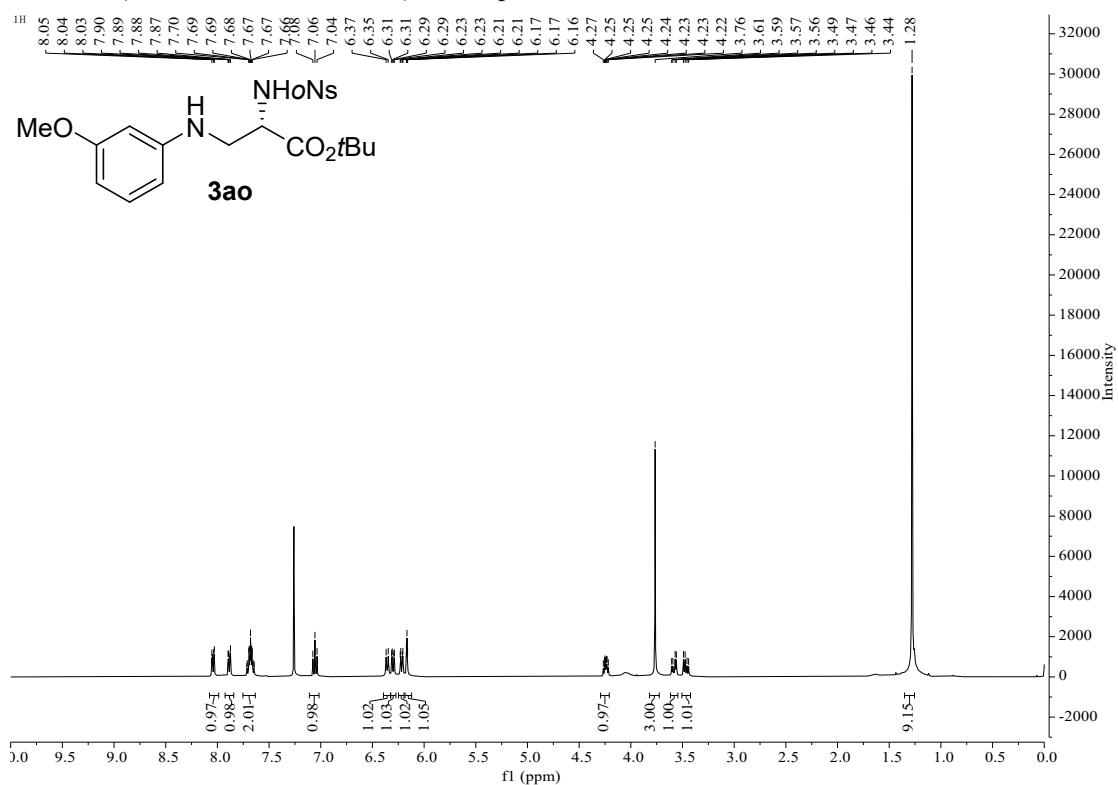
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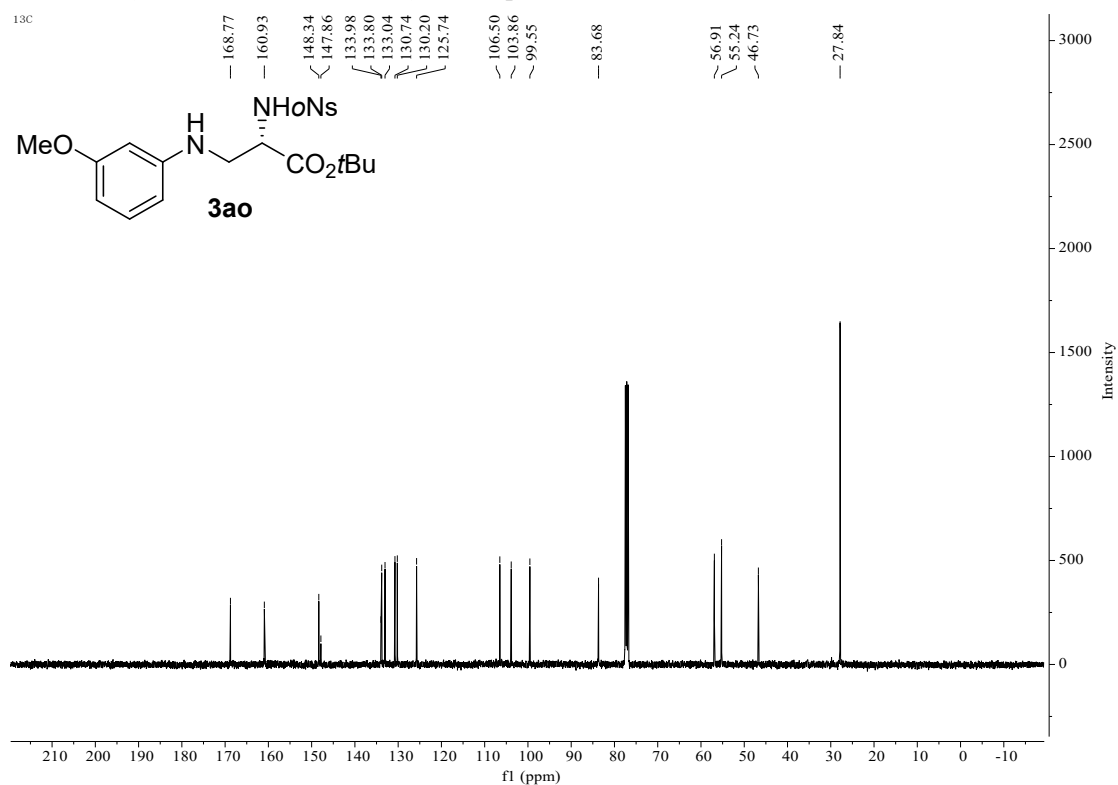
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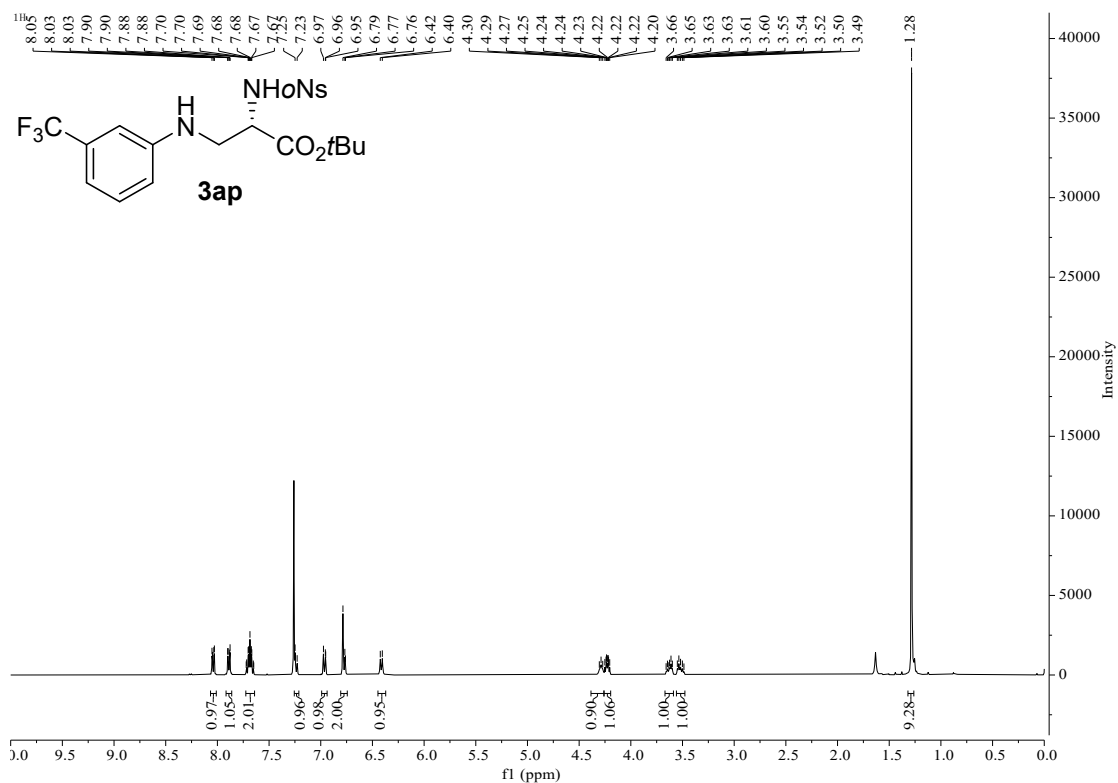
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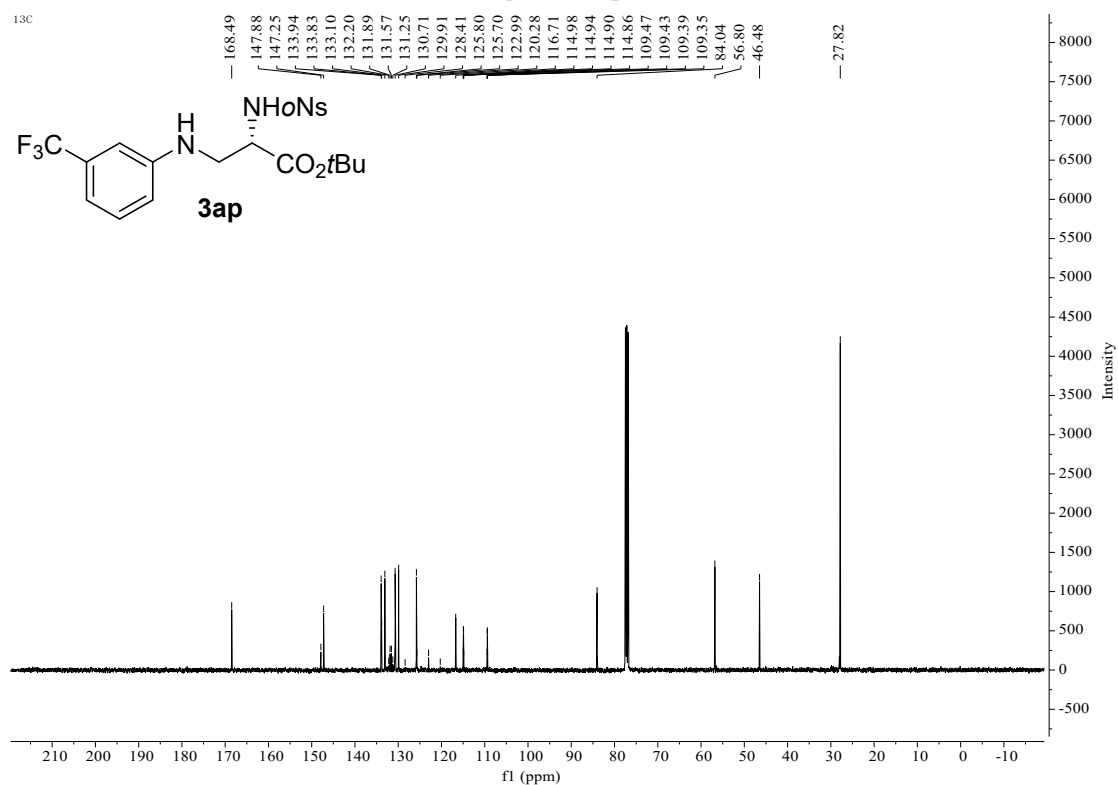
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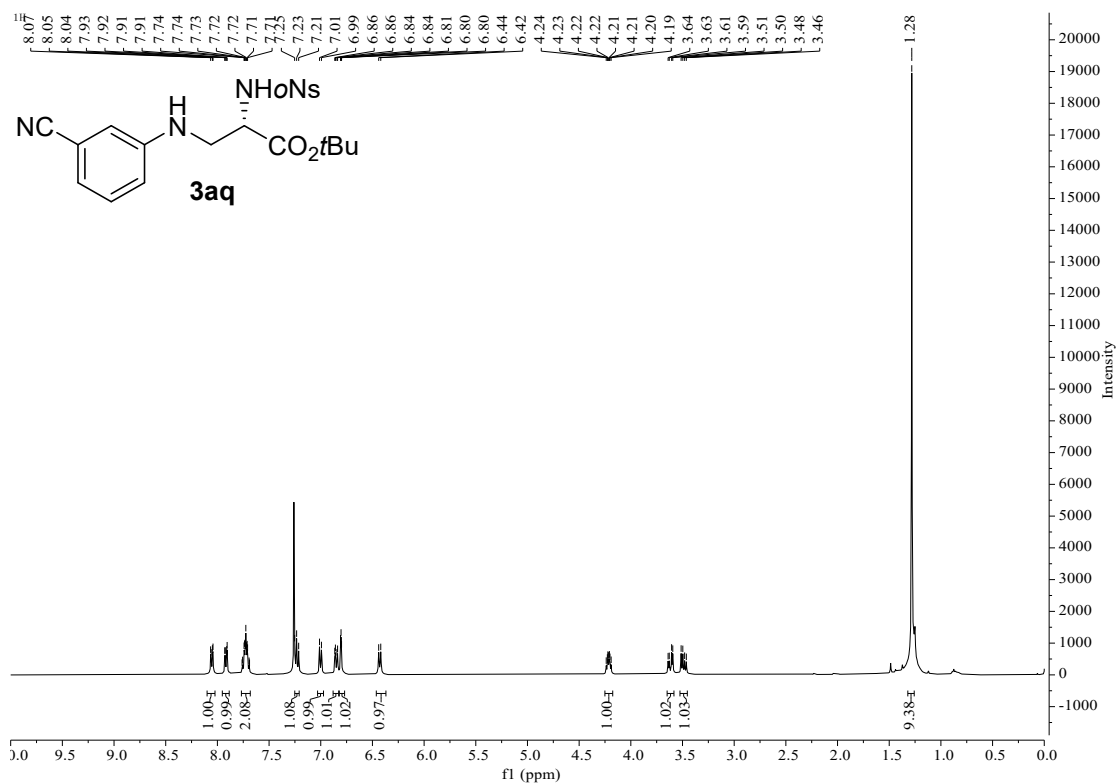
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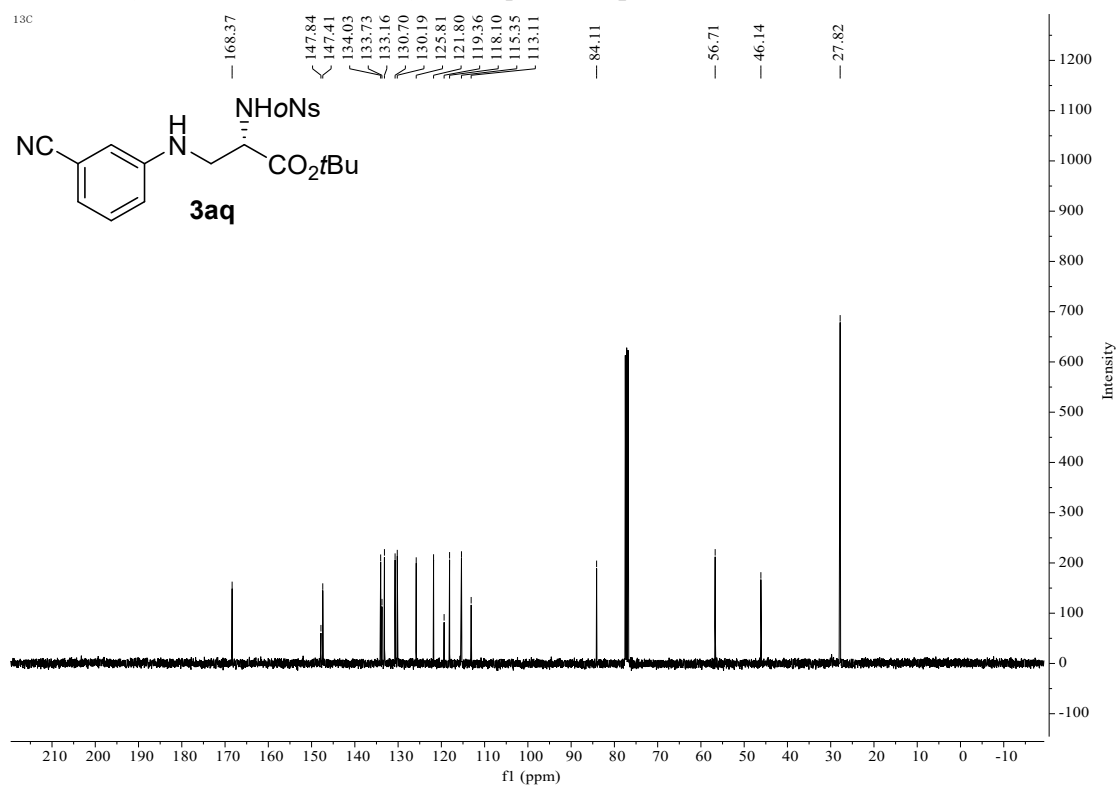
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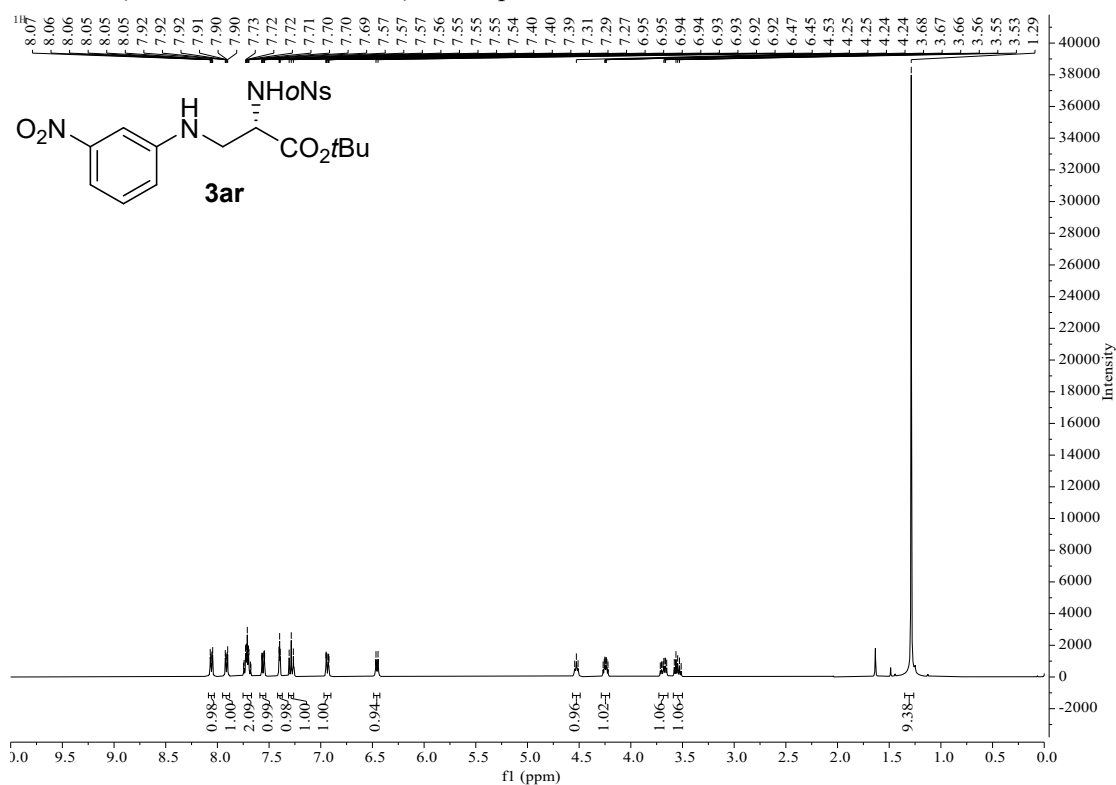
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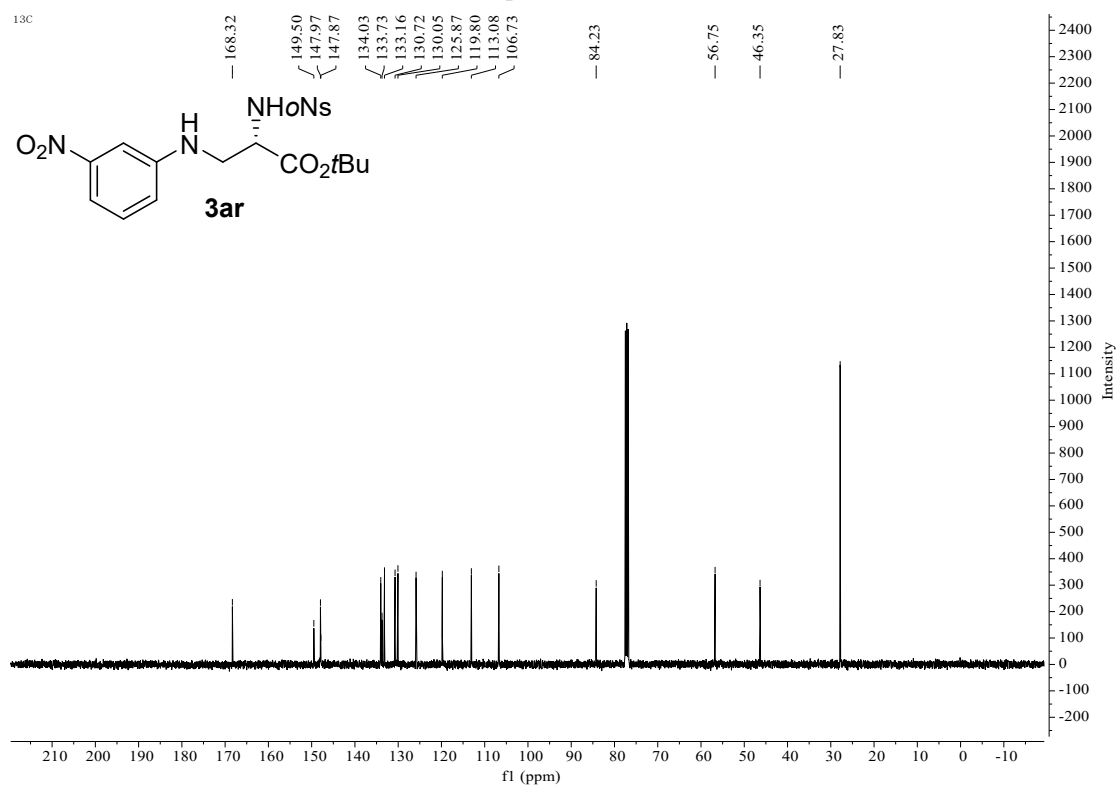
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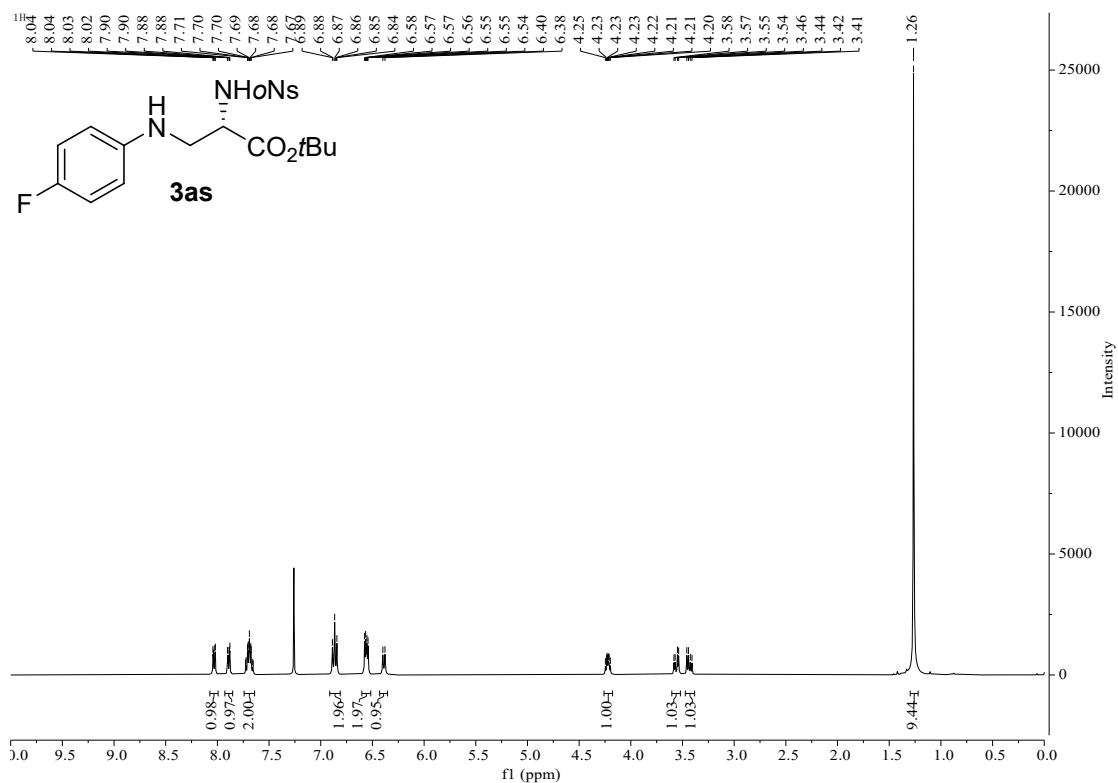
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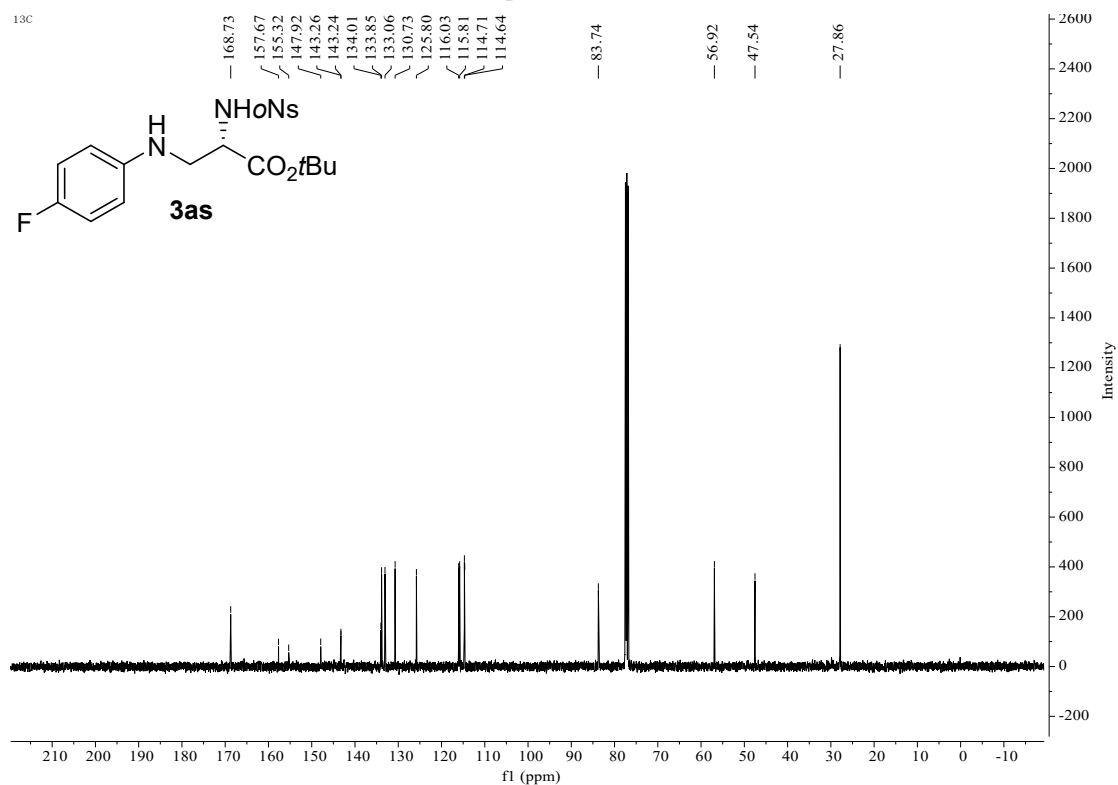
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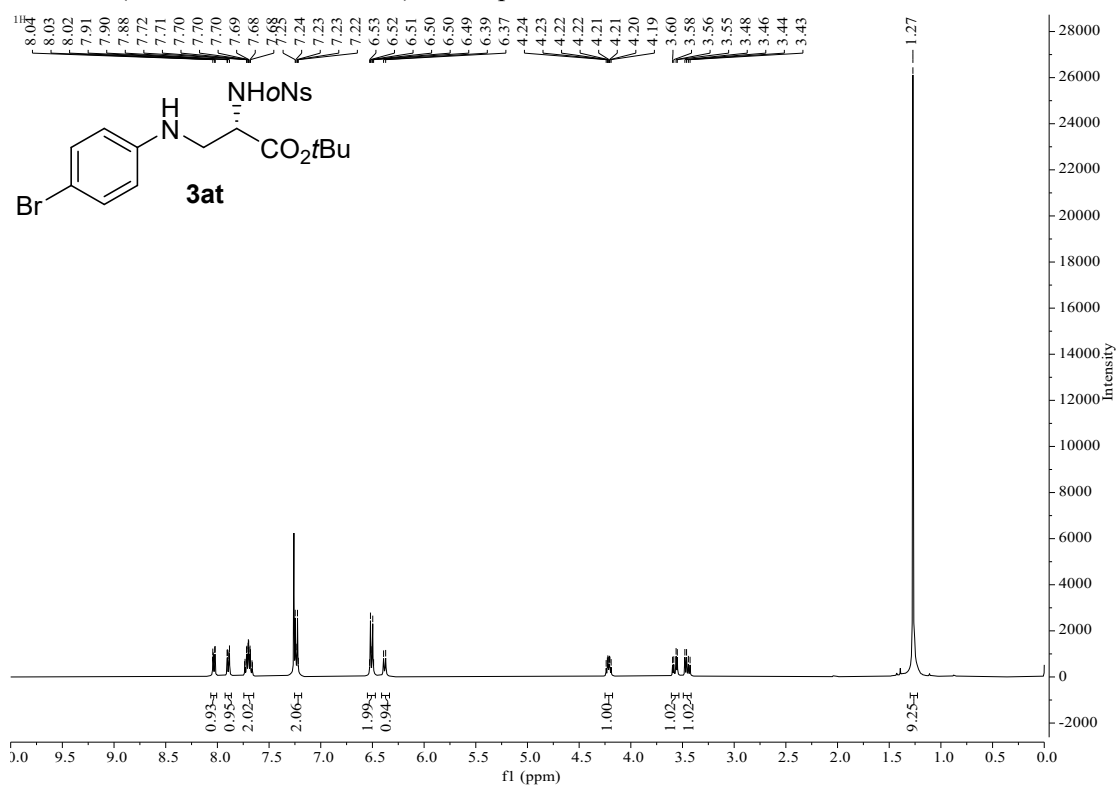
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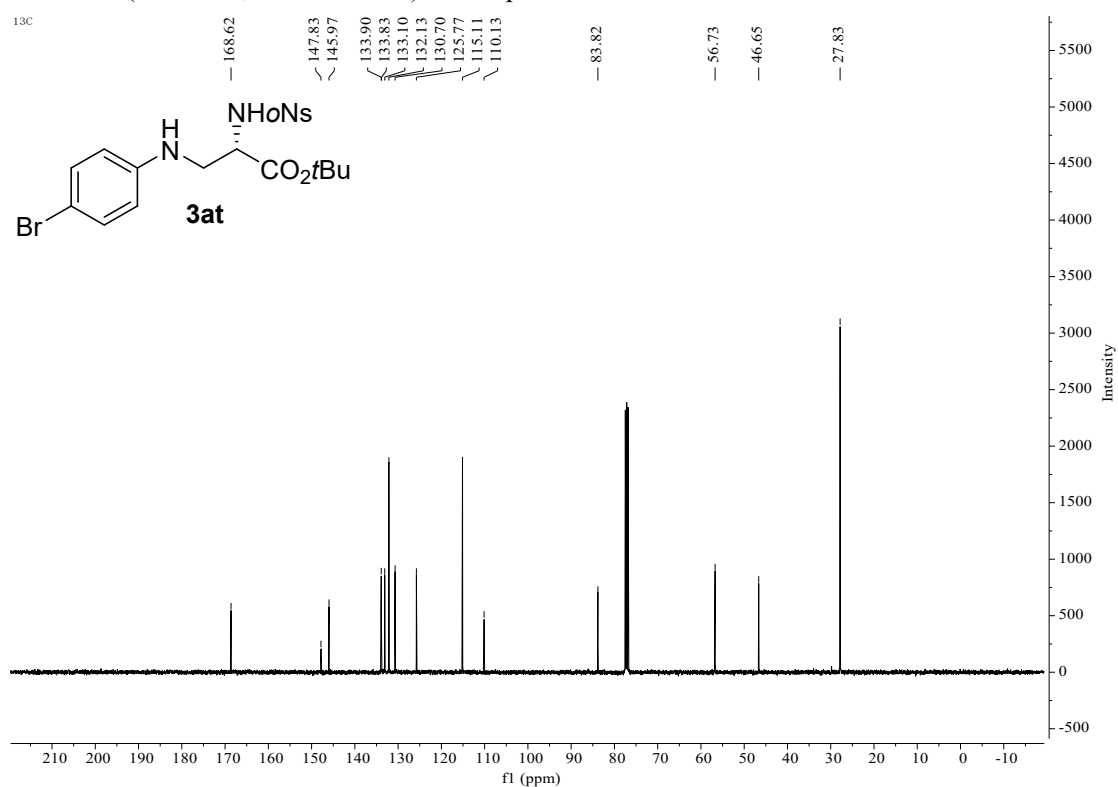
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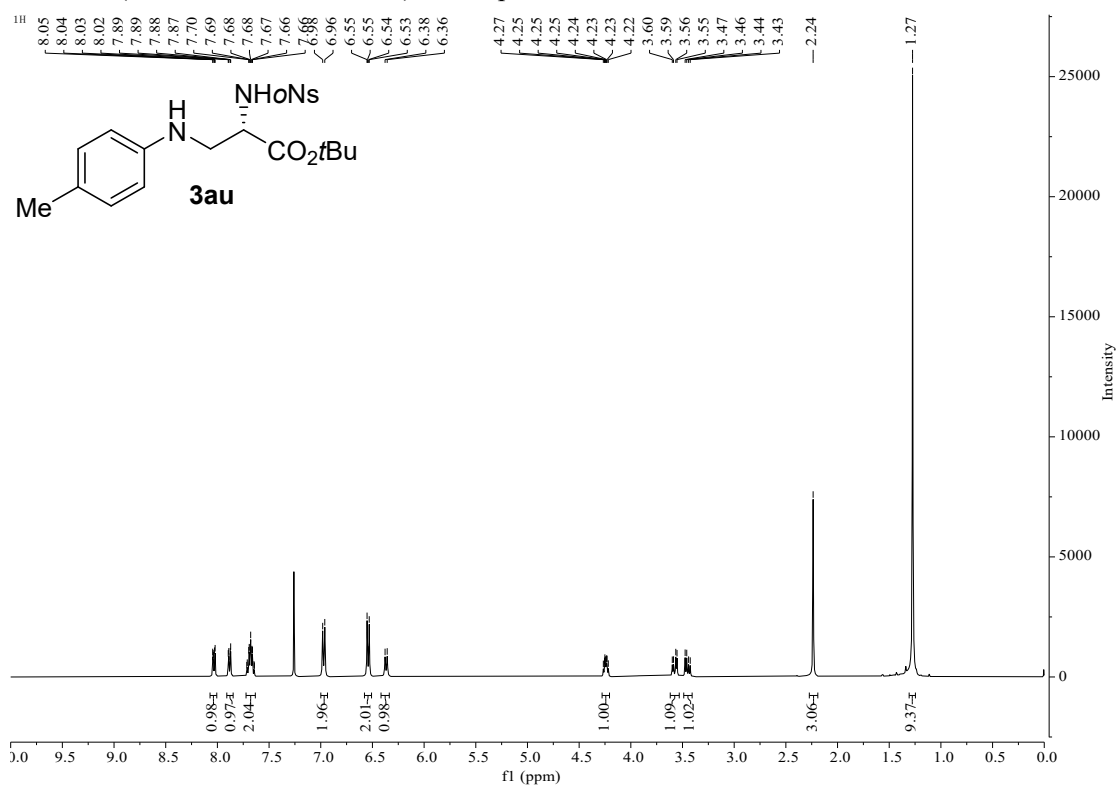
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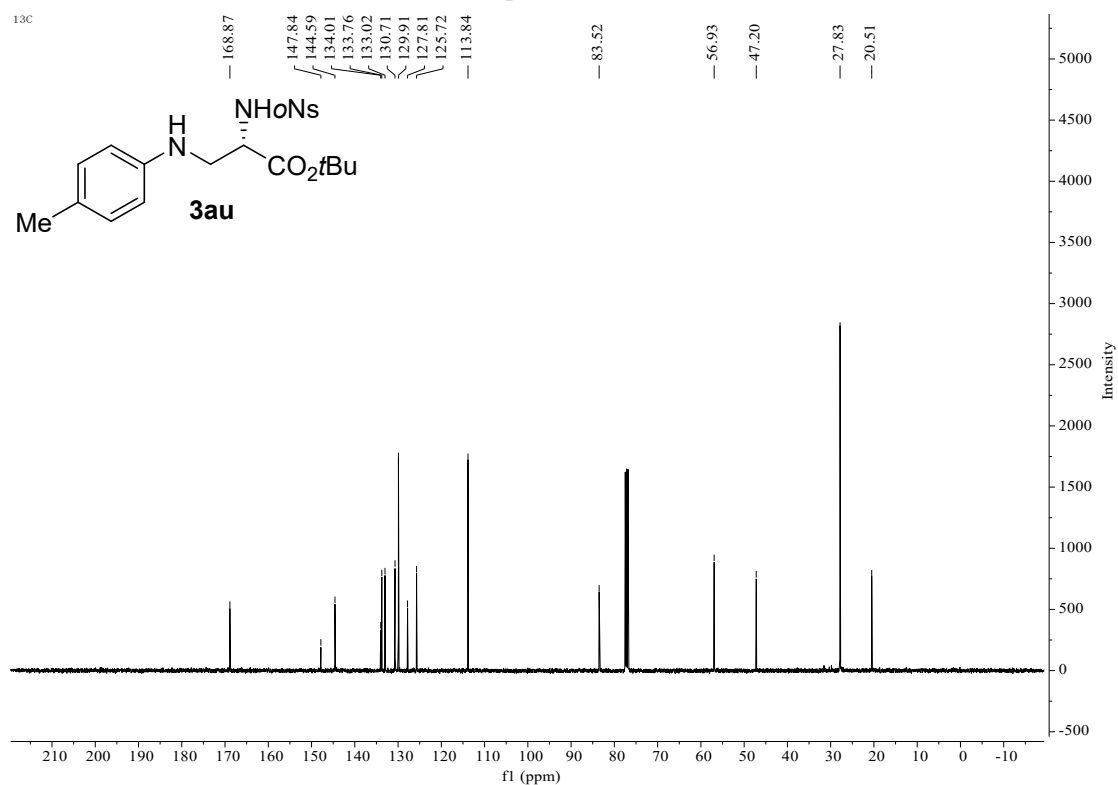
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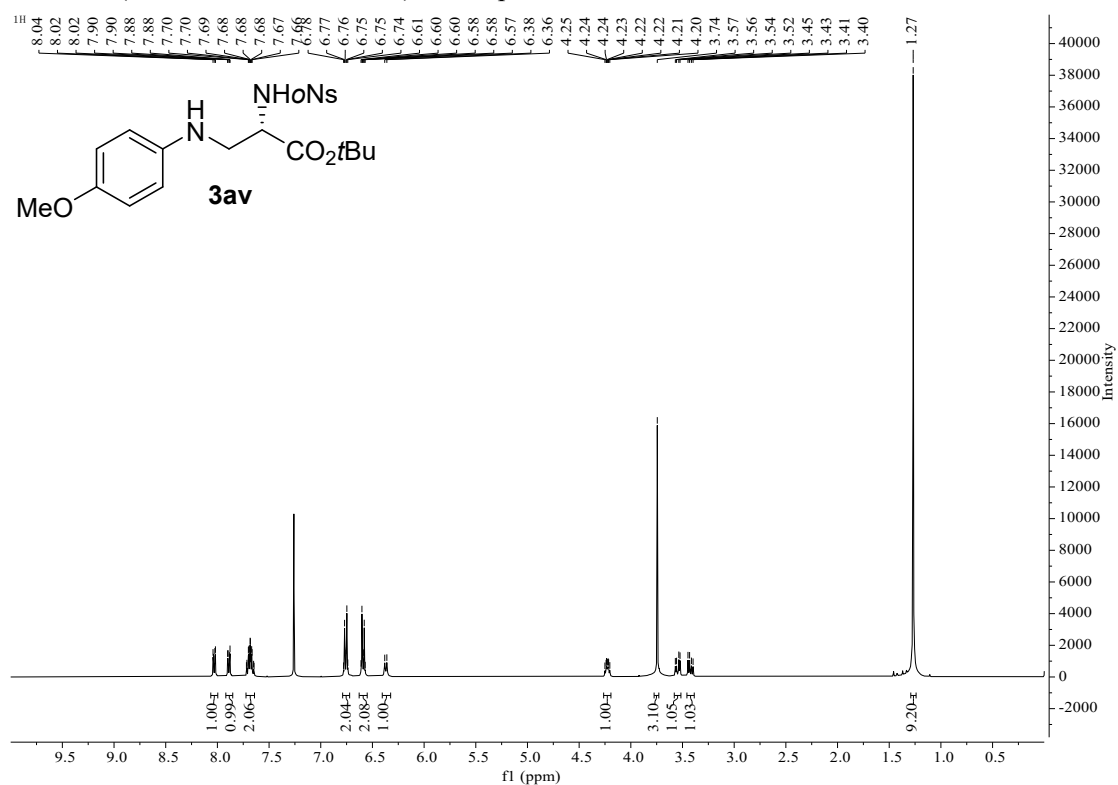
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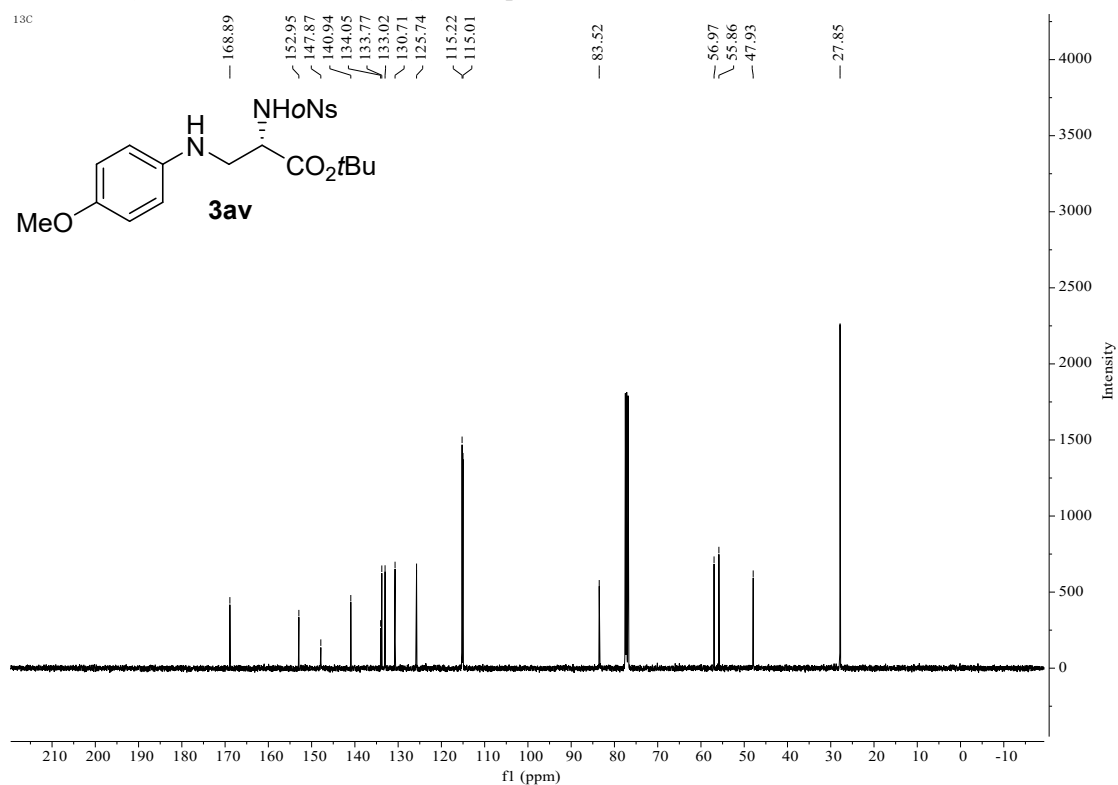
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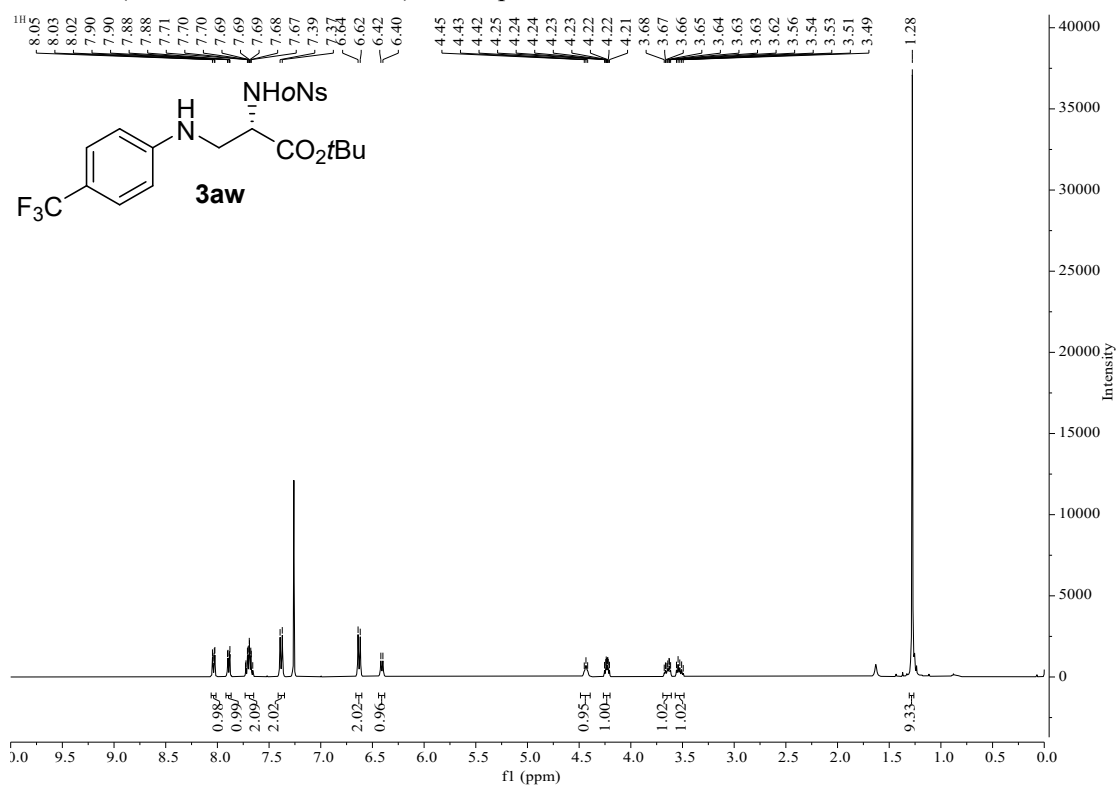
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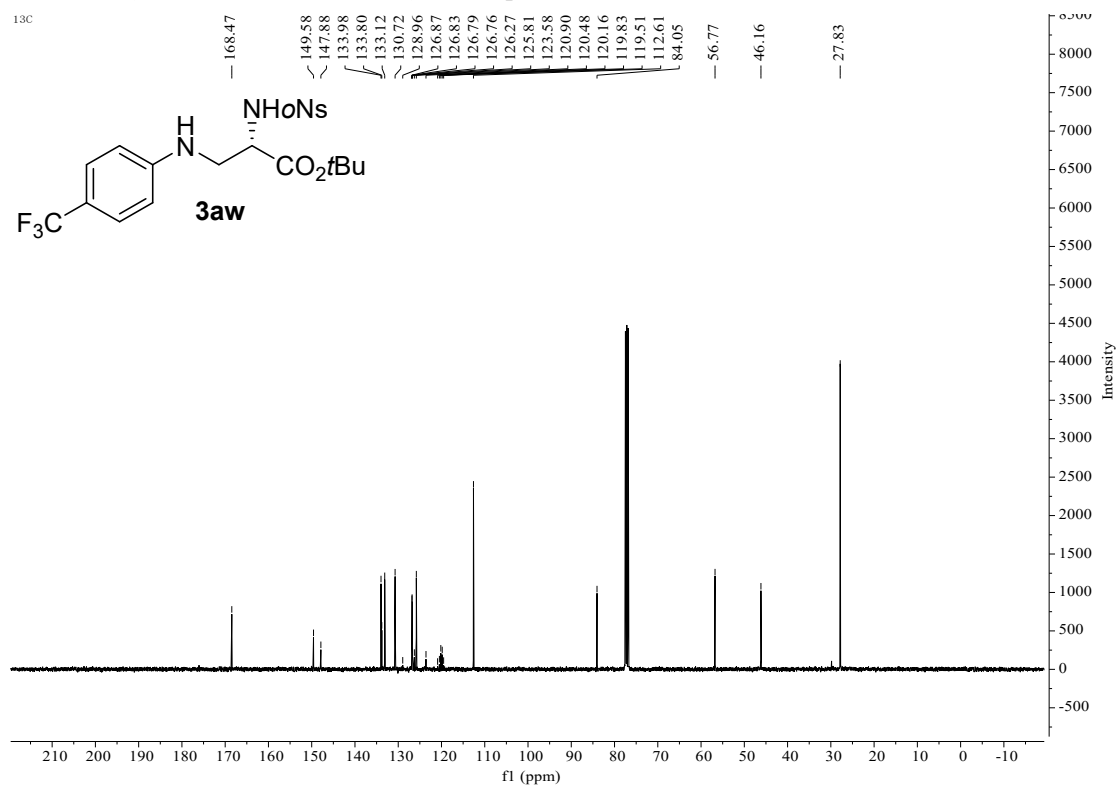
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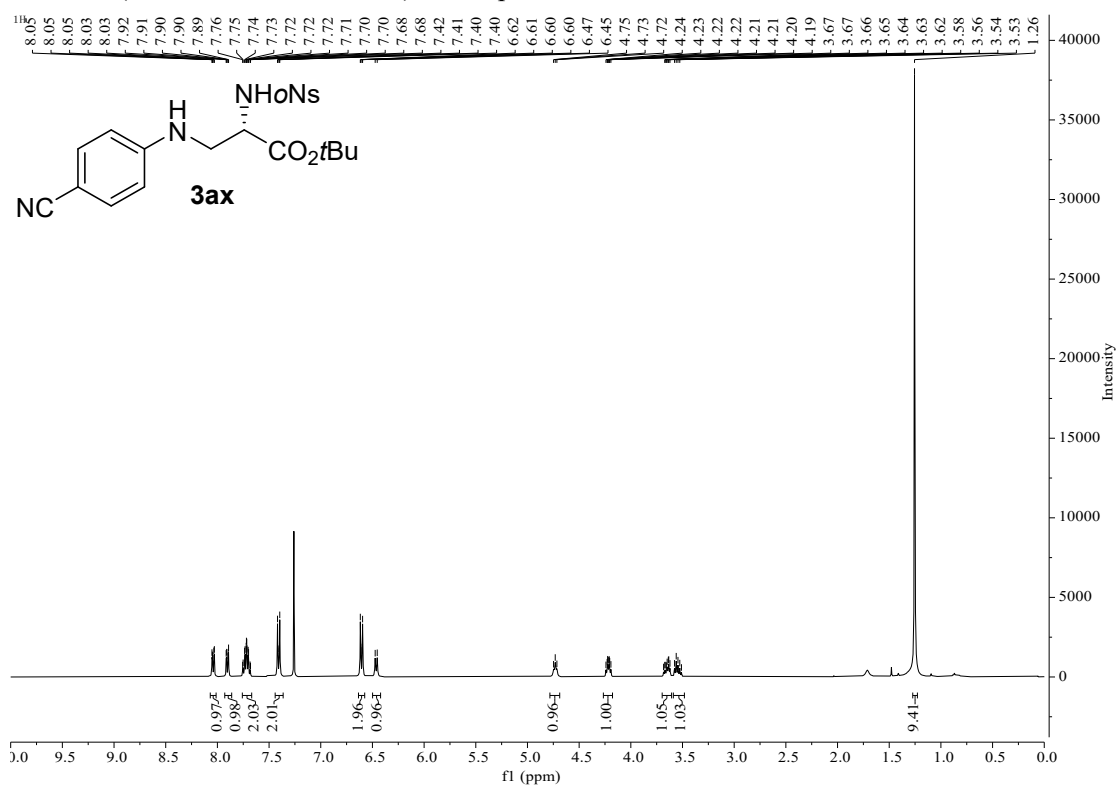
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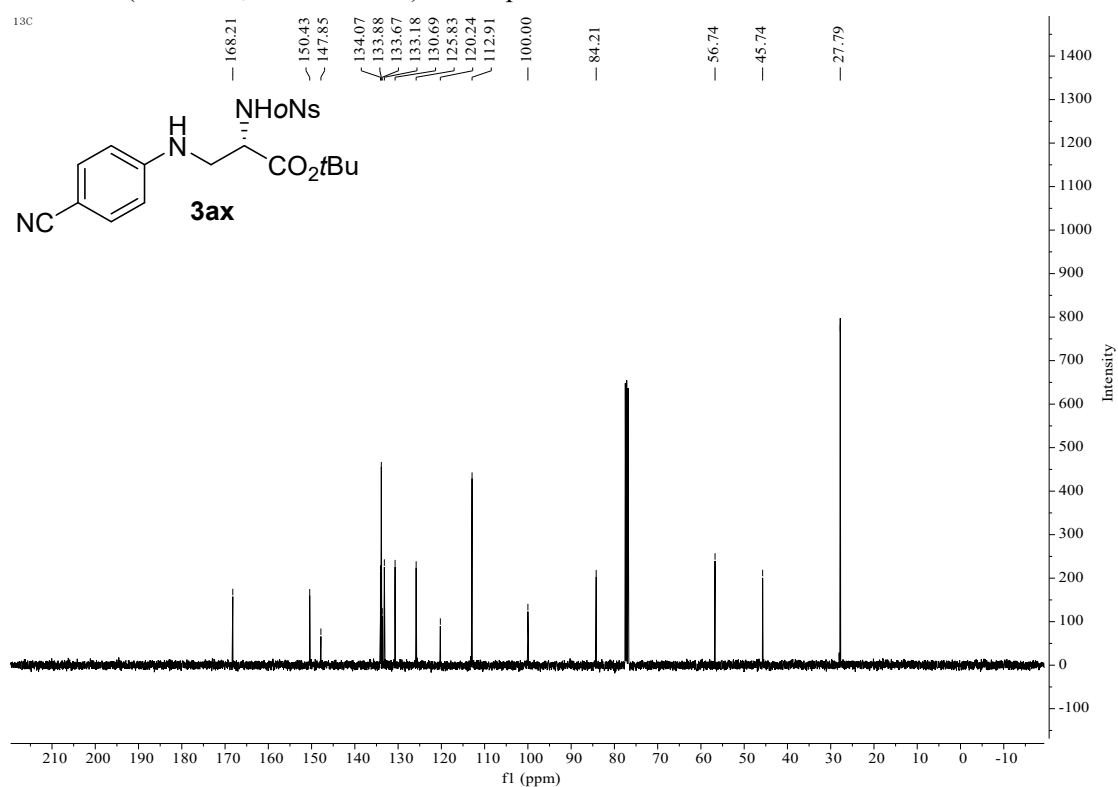
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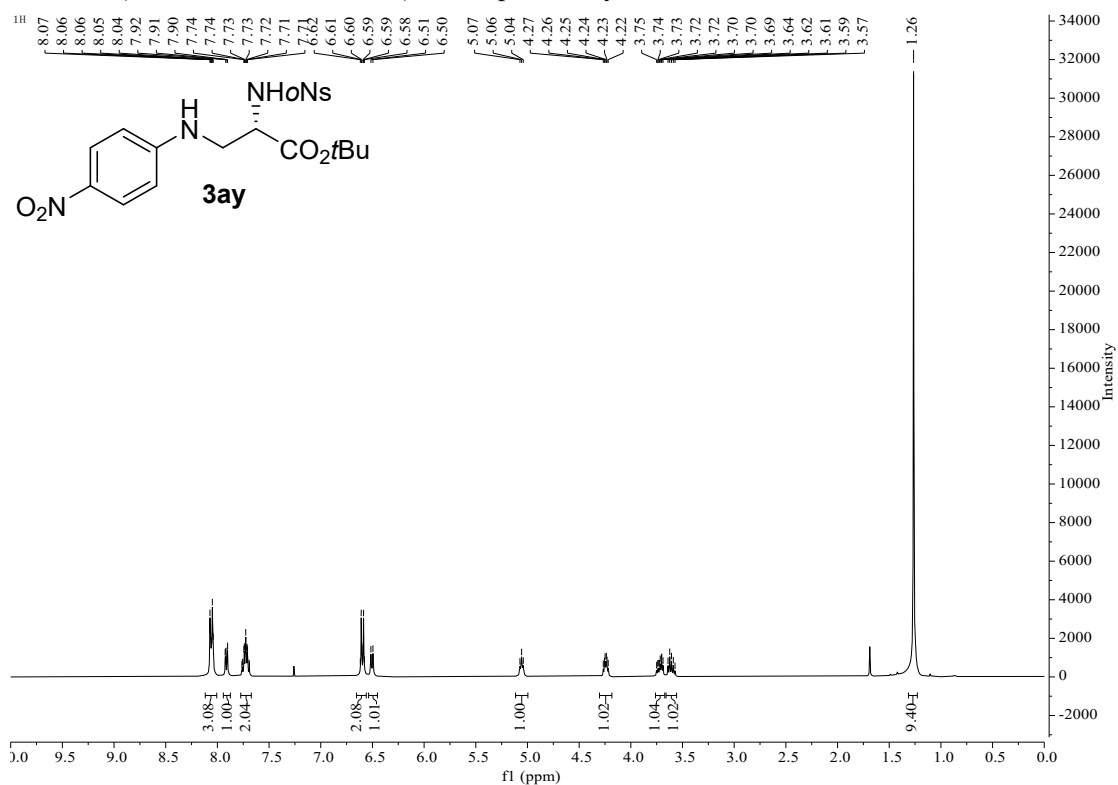
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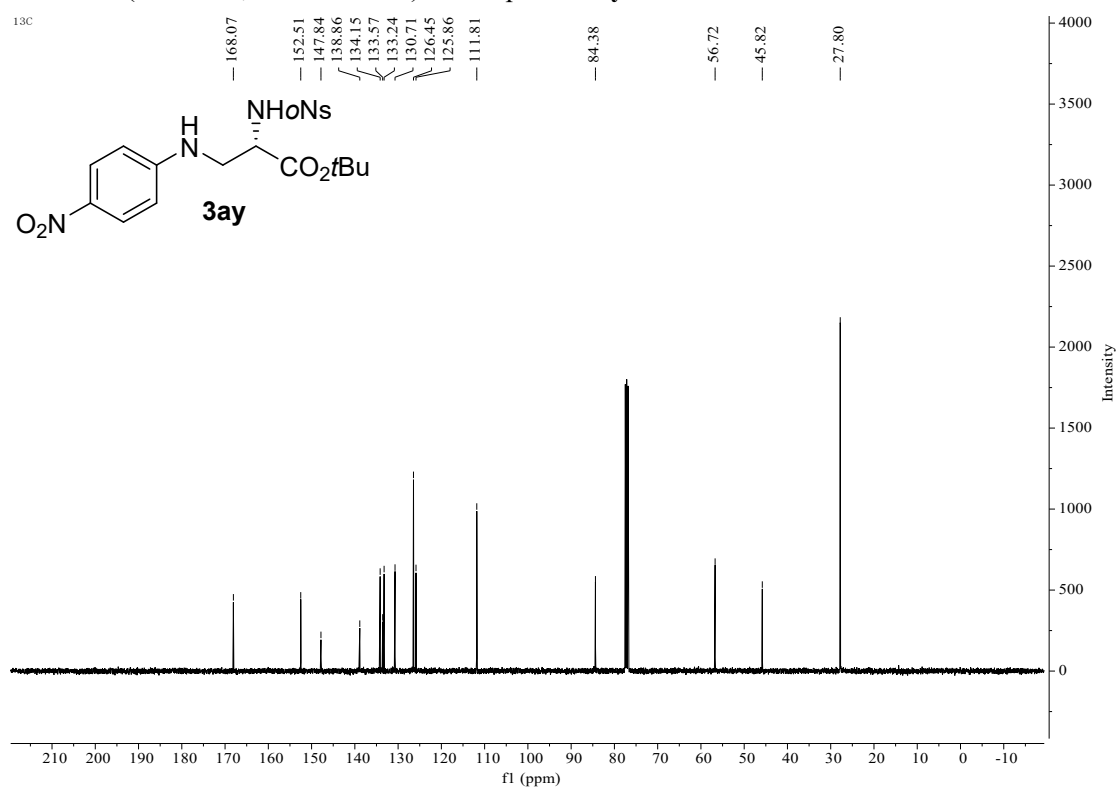
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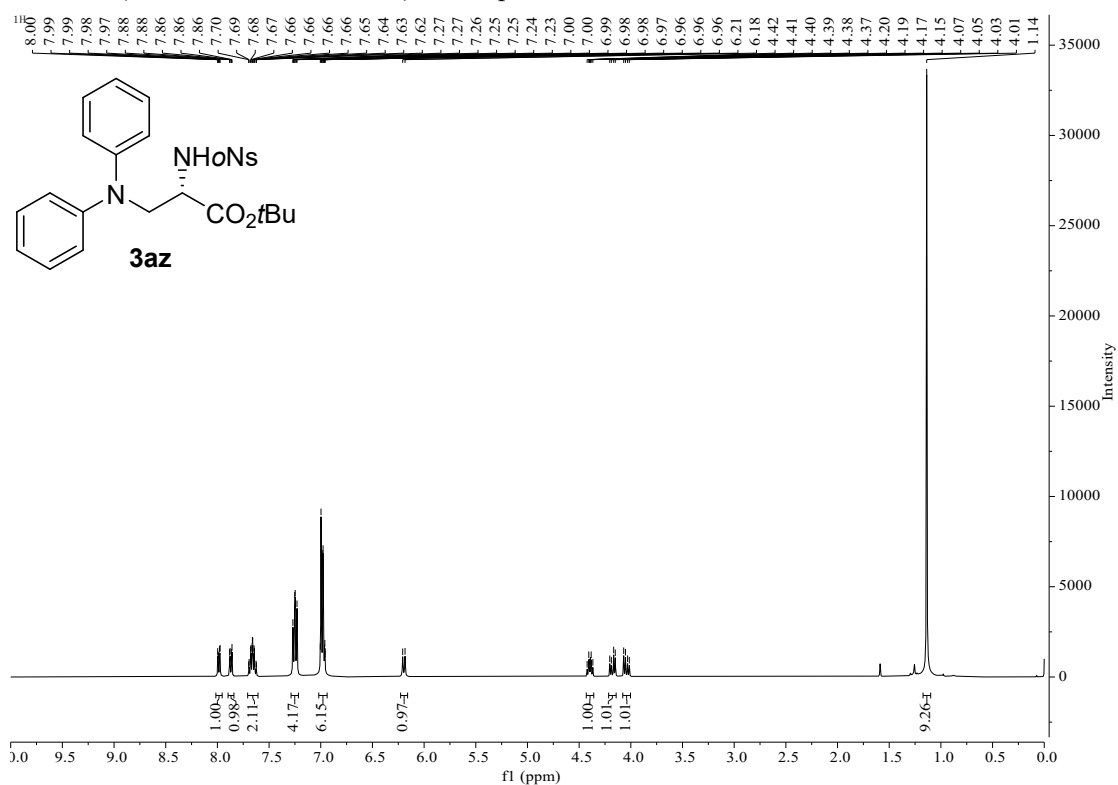
¹H NMR (400 MHz, Chloroform-*d*) of compound **3ay**



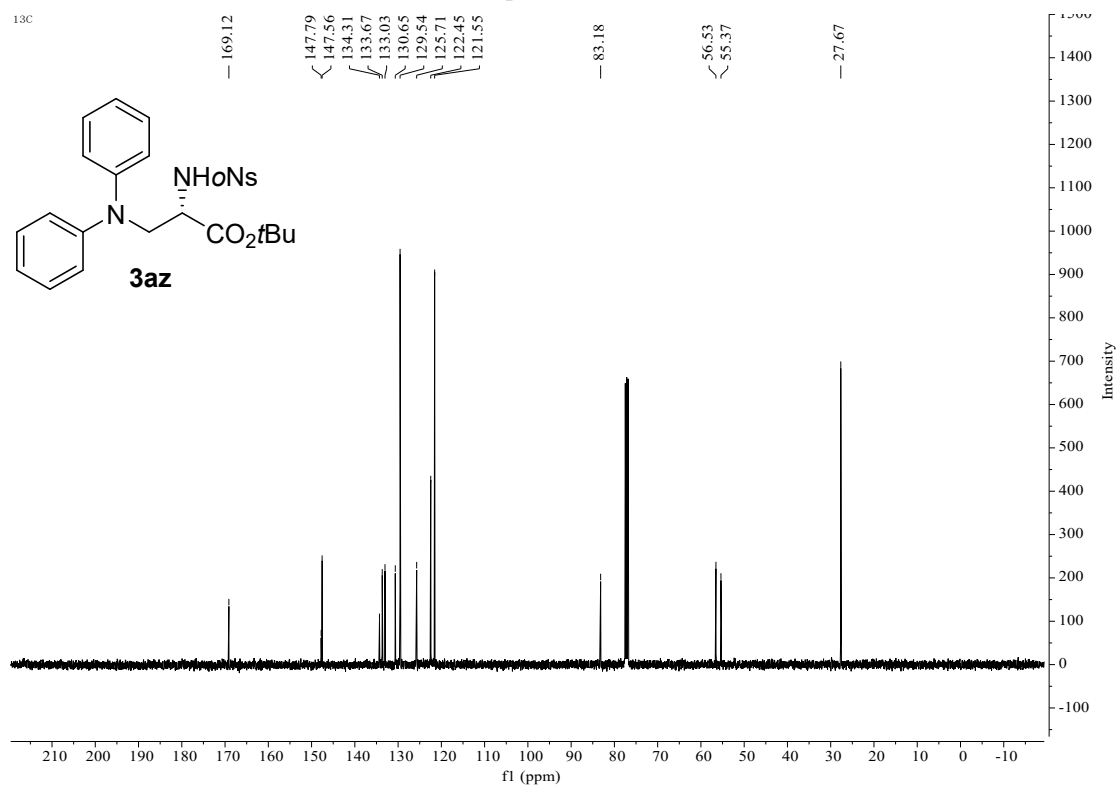
¹³C NMR (101 MHz, Chloroform-*d*) of compound **3ay**



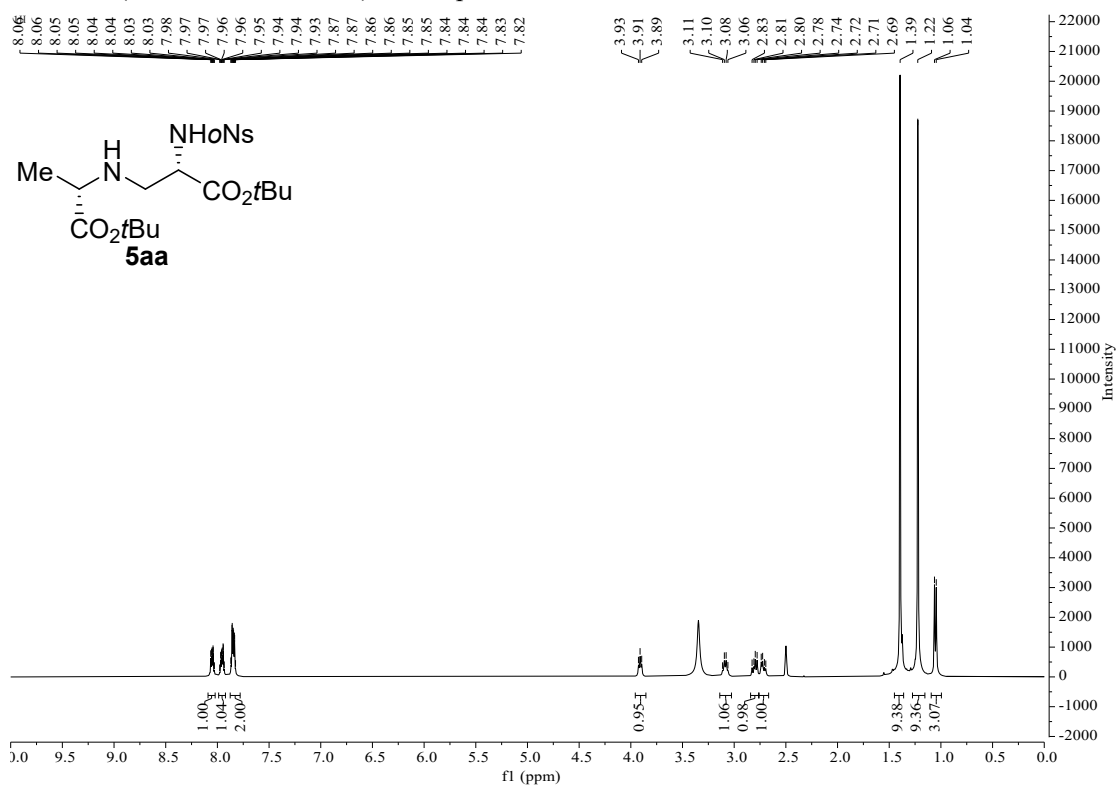
¹H NMR (400 MHz, Chloroform-*d*) of compound **3az**



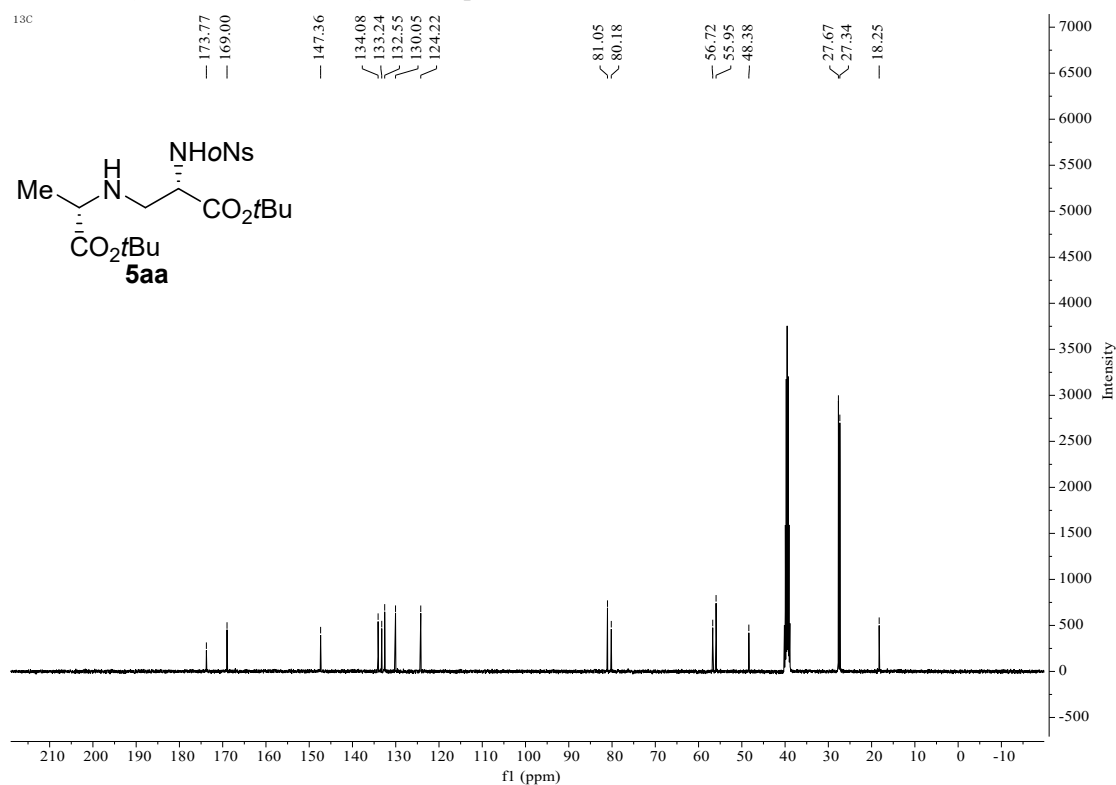
¹³C NMR (101 MHz, Chloroform-*d*) of compound **3az**



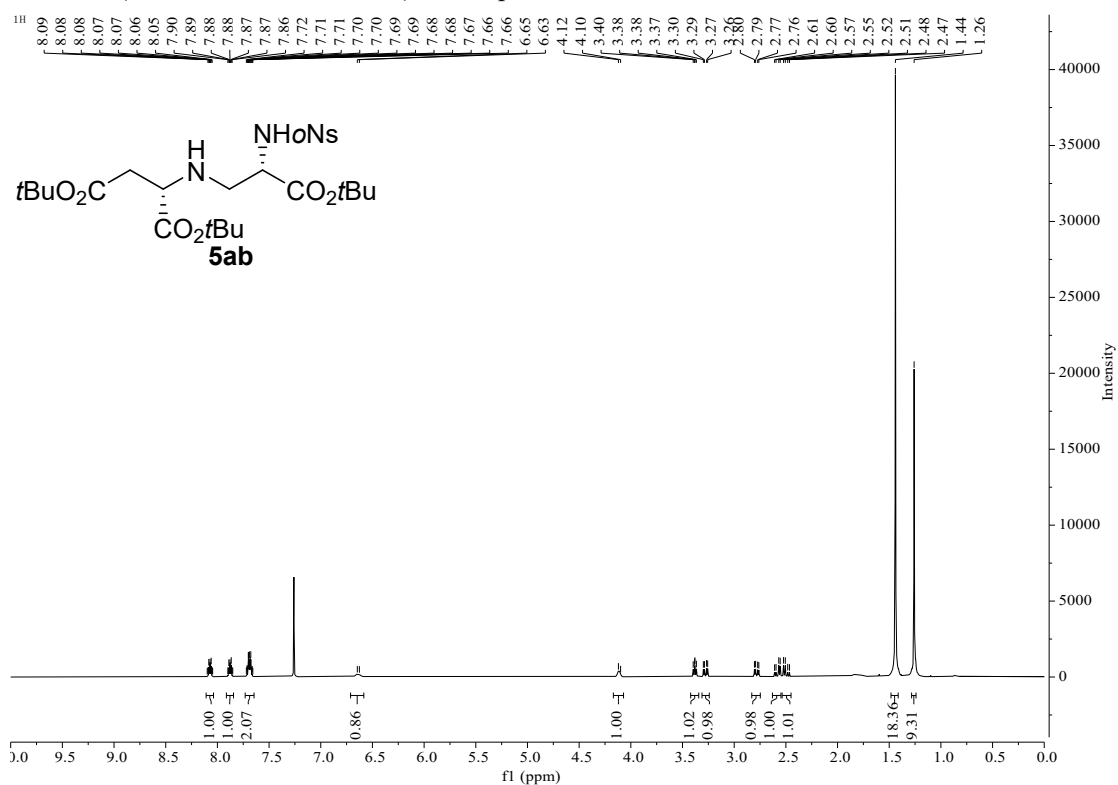
¹H NMR (400 MHz, DMSO-*d*₆) of compound **5aa**



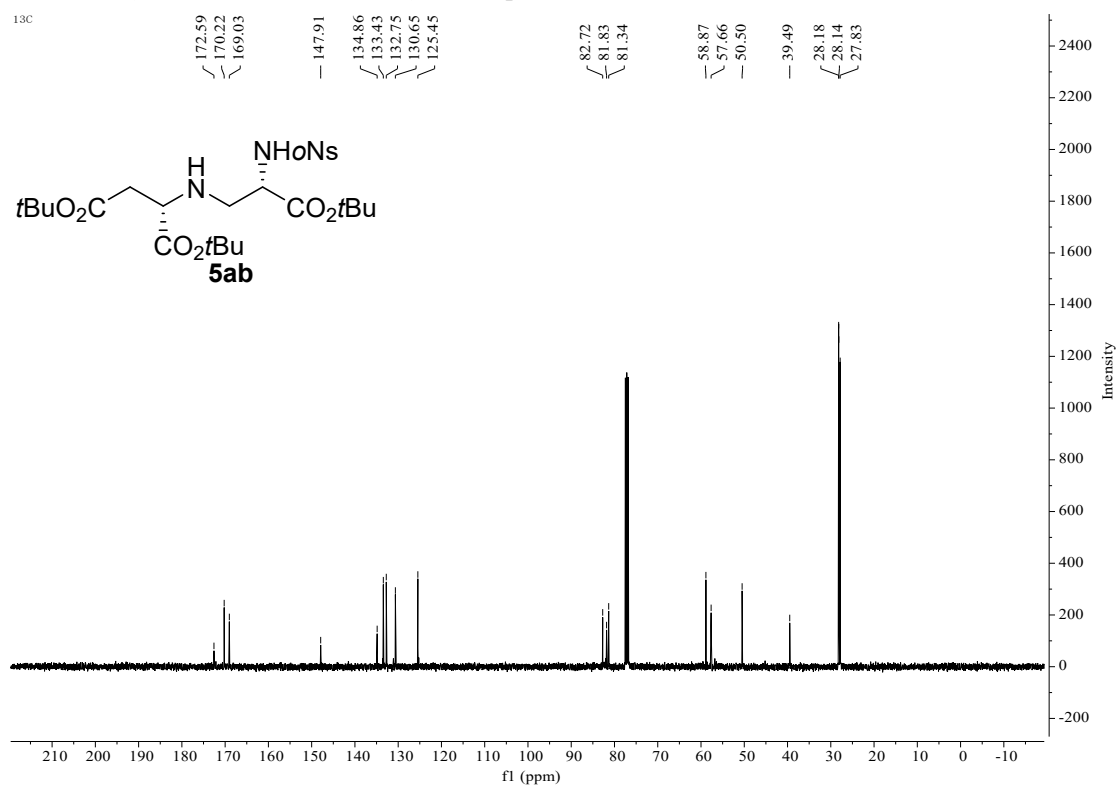
¹³C NMR (101 MHz, DMSO-*d*₆) of compound **5aa**



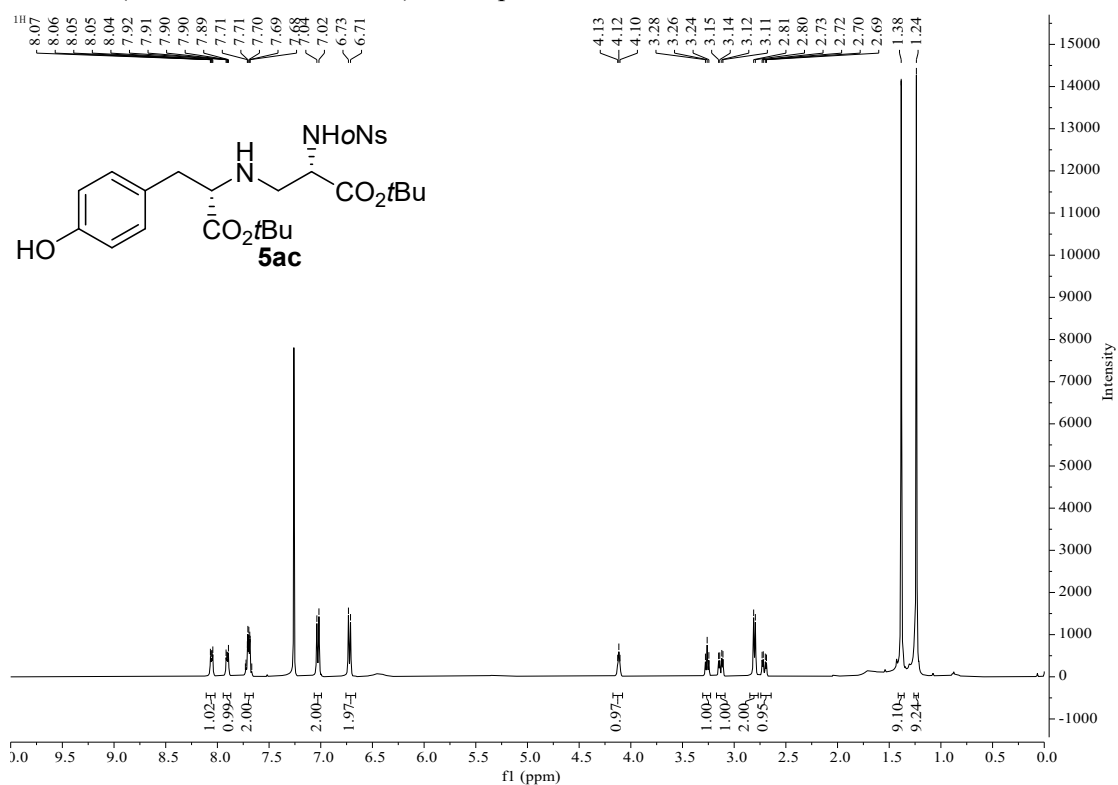
¹H NMR (400 MHz, Chloroform-*d*) of compound **5ab**



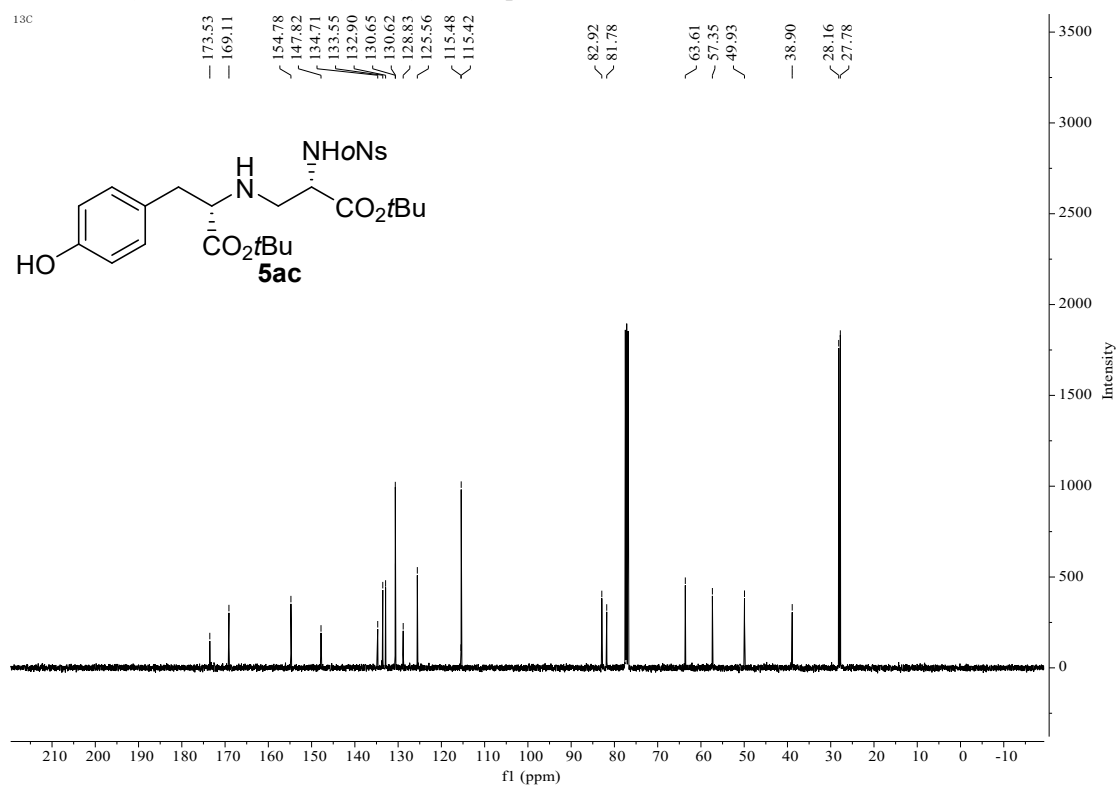
¹³C NMR (101 MHz, Chloroform-*d*) of compound **5ab**



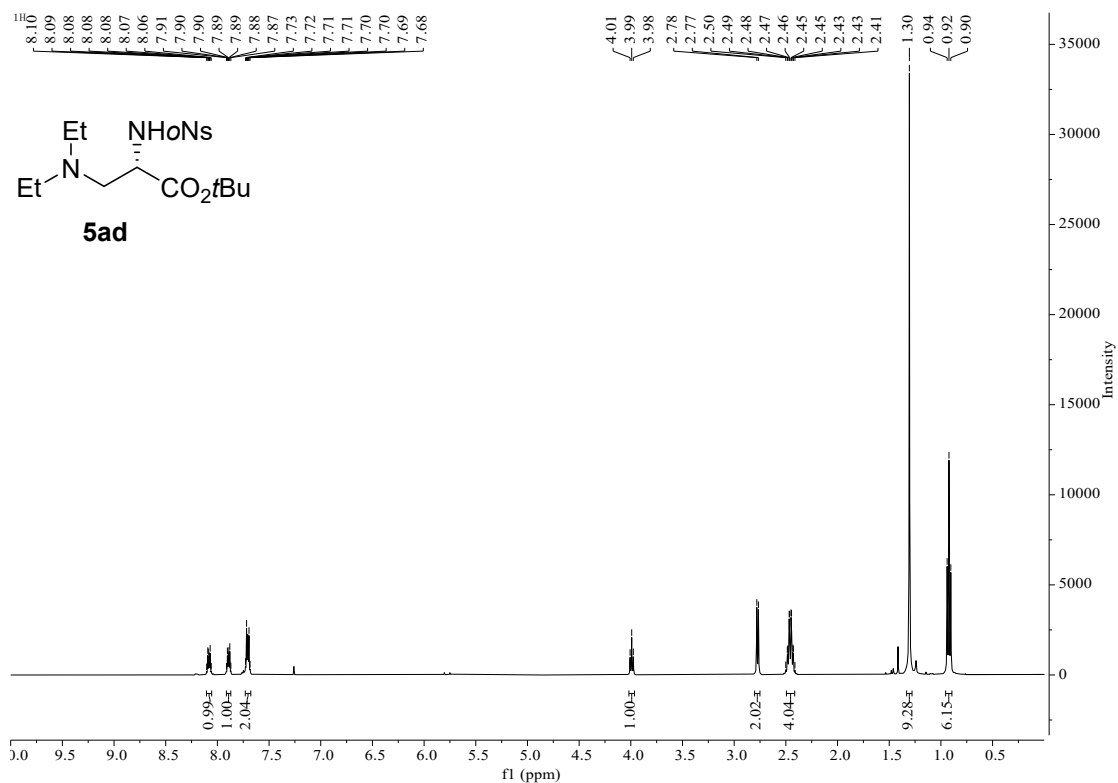
¹H NMR (400 MHz, Chloroform-*d*) of compound **5ac**



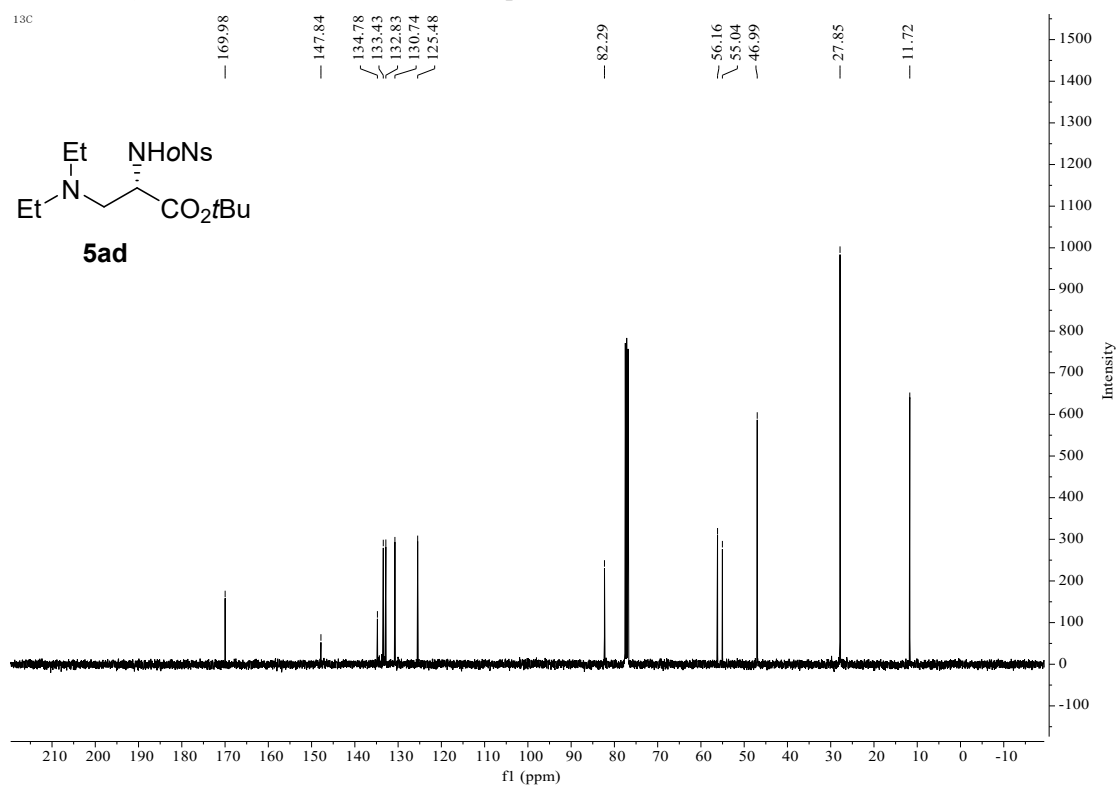
¹³C NMR (101 MHz, Chloroform-*d*) of compound **5ac**



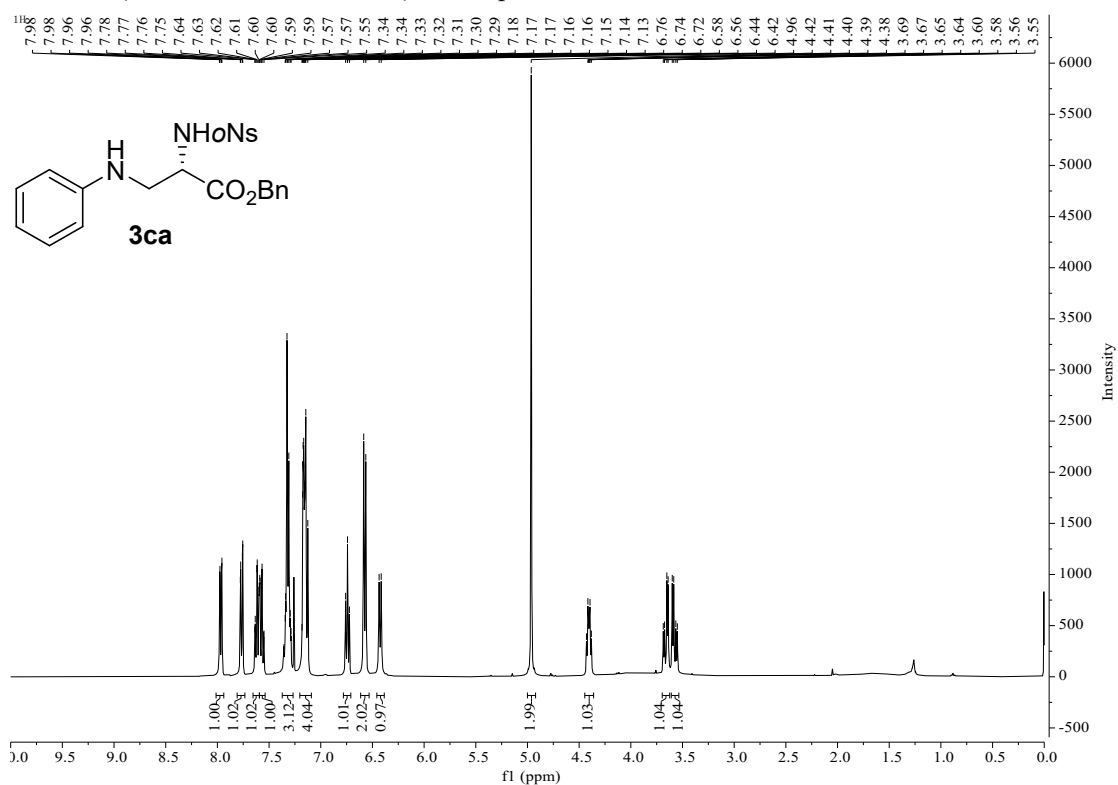
¹H NMR (400 MHz, Chloroform-*d*) of compound **5ad**



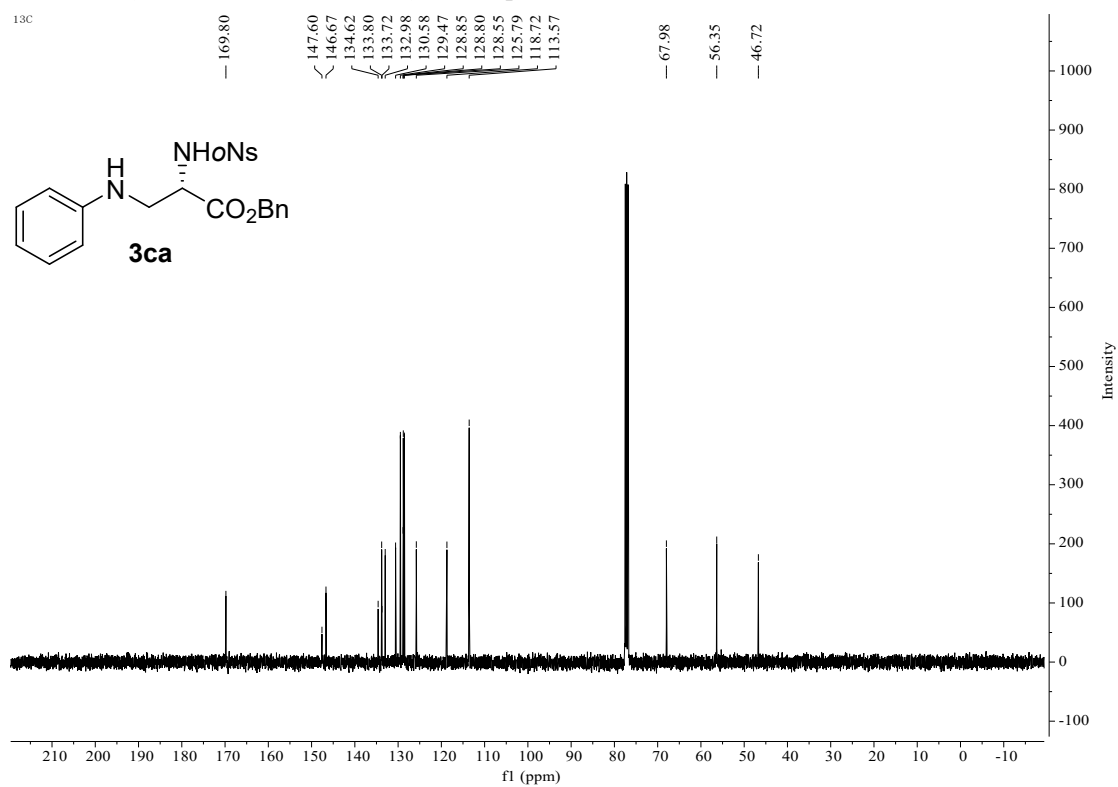
¹³C NMR (101 MHz, Chloroform-*d*) of compound **5ad**



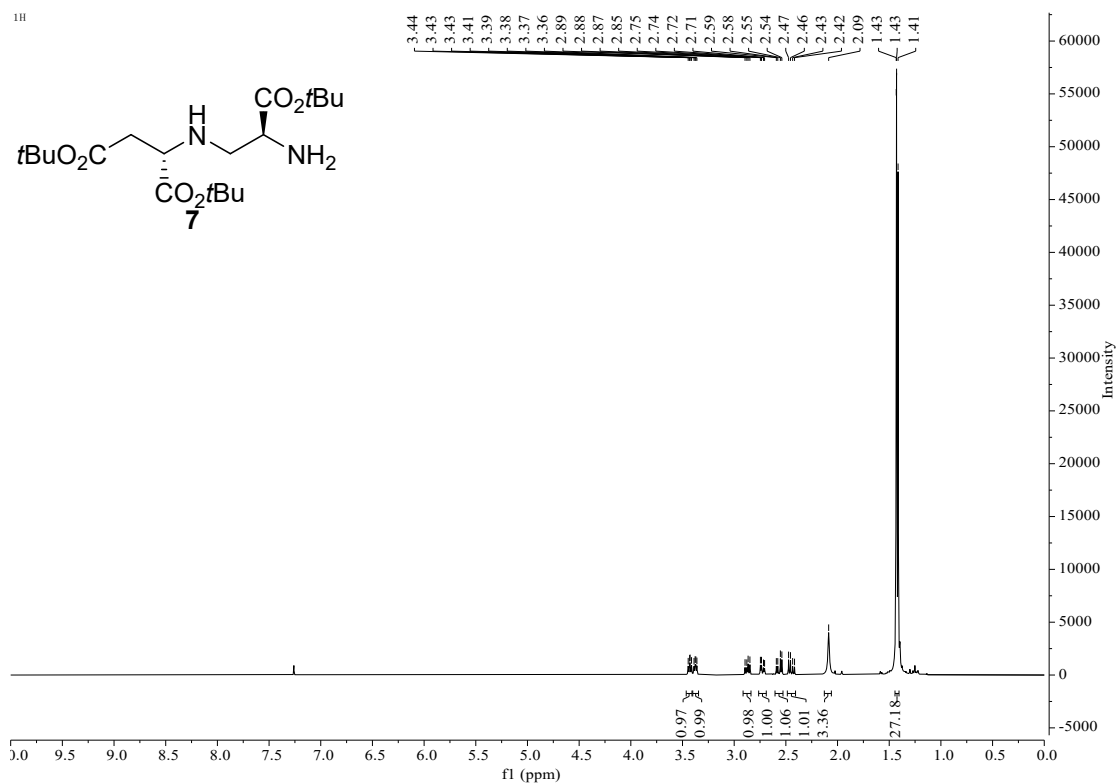
¹H NMR (400 MHz, Chloroform-*d*) of compound **3ca**



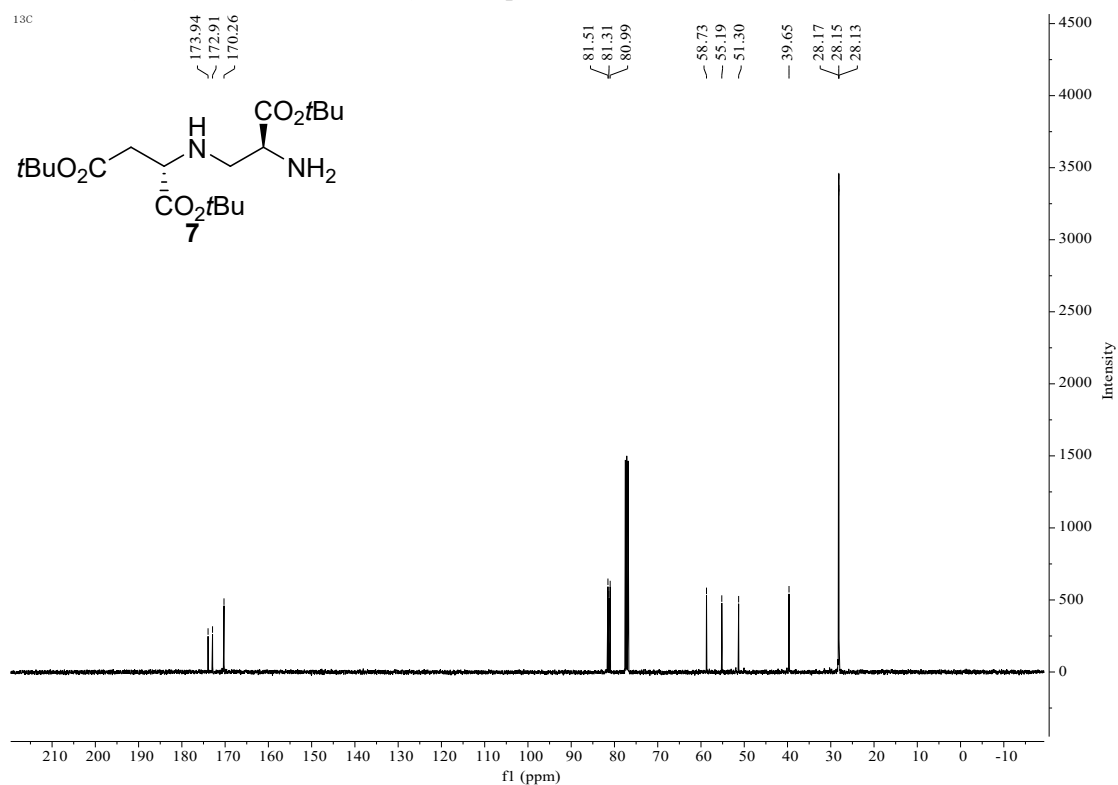
¹³C NMR (101 MHz, Chloroform-*d*) of compound **3ca**



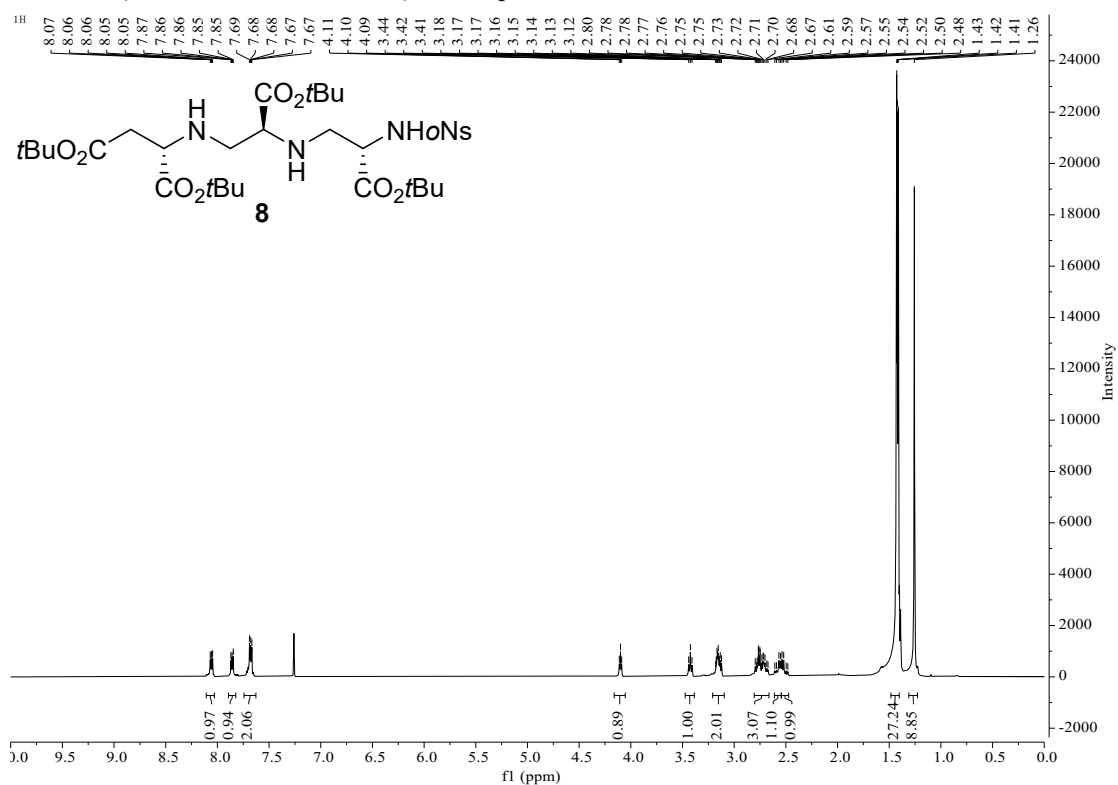
¹H NMR (400 MHz, Chloroform-*d*) of compound 7



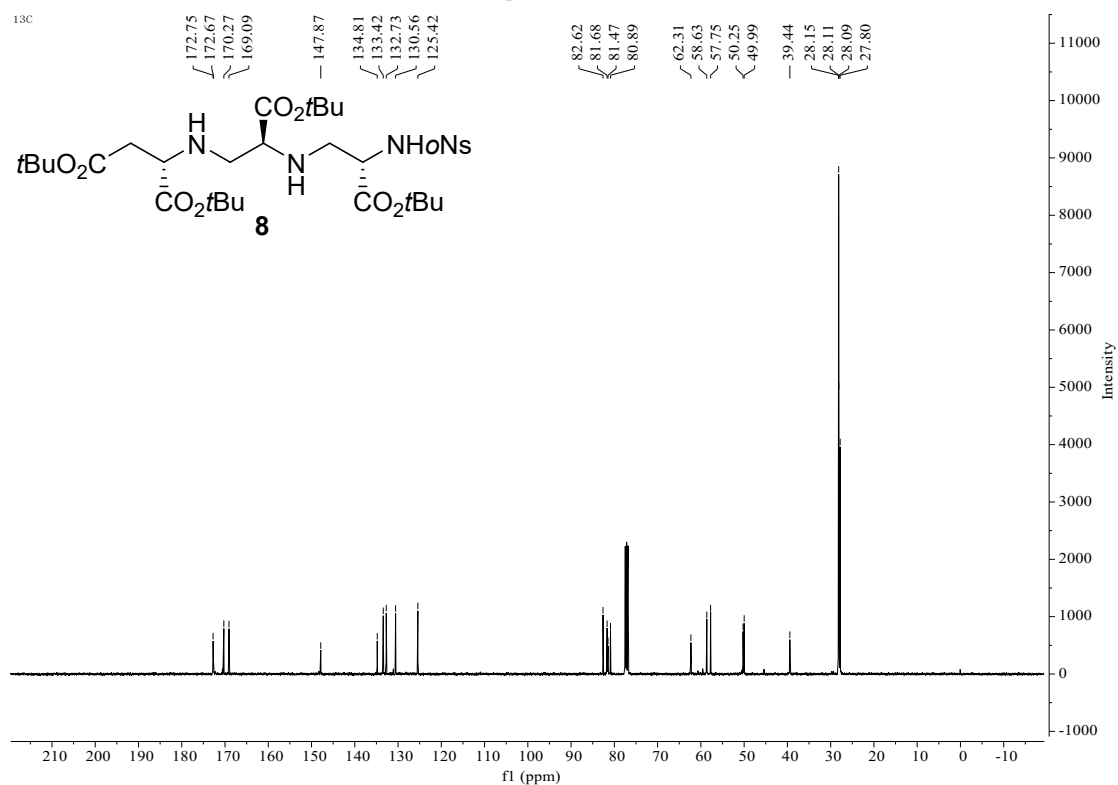
¹³C NMR (101 MHz, Chloroform-*d*) of compound 7



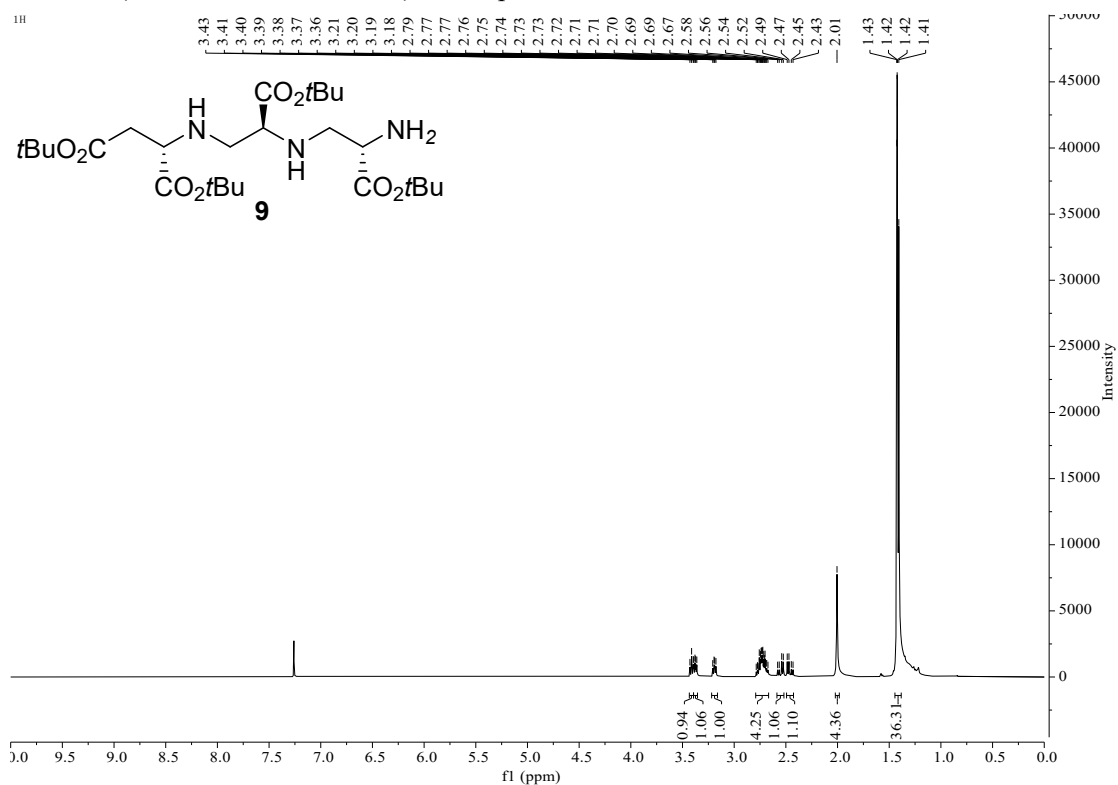
¹H NMR (400 MHz, Chloroform-*d*) of compound **8**



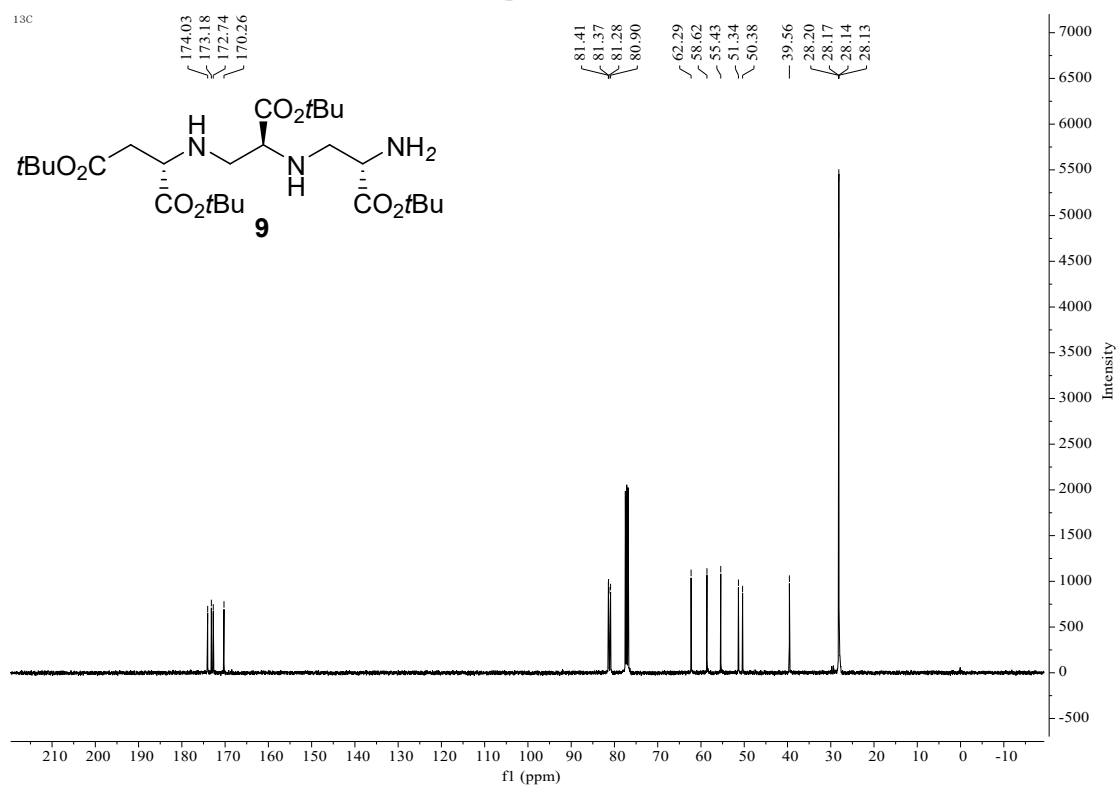
¹³C NMR (101 MHz, Chloroform-*d*) of compound **8**



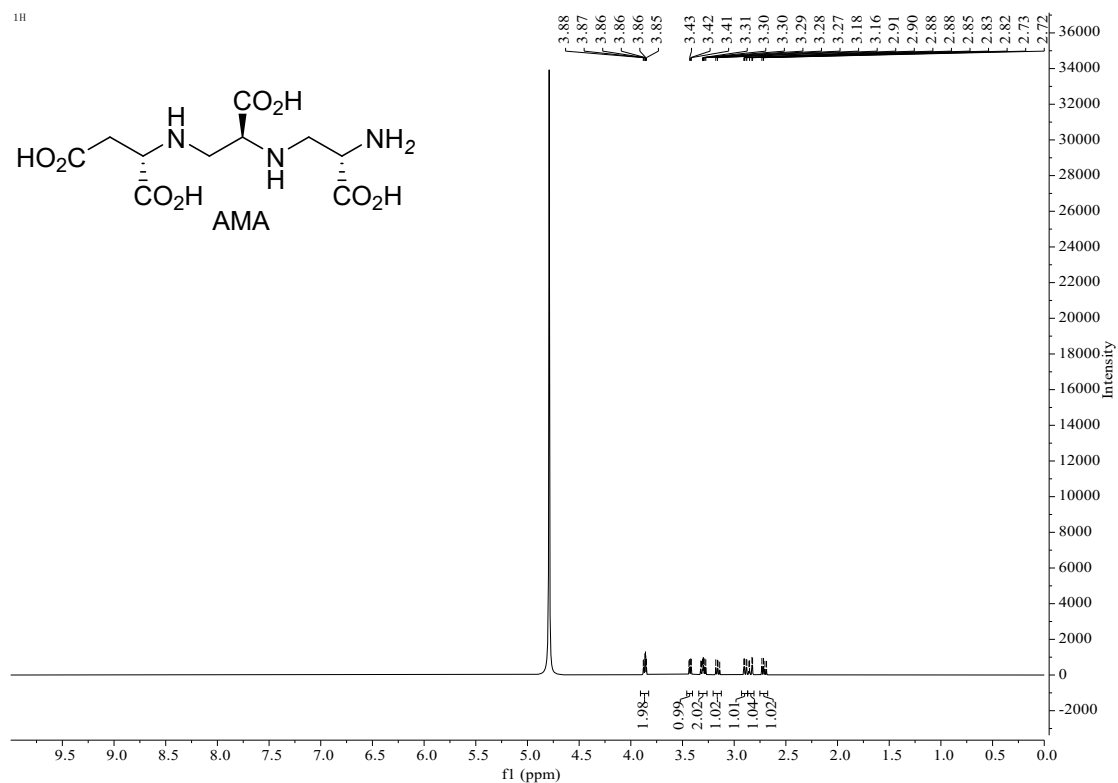
¹H NMR (400 MHz, Chloroform-*d*) of compound **9**



¹³C NMR (101 MHz, Chloroform-*d*) of compound **9**



¹H NMR (600 MHz, Deuterium Oxide) of compound AMA



¹³C NMR (151 MHz, Deuterium Oxide) of compound AMA

