Supporting Information

Backbone-Enabled Modification of Peptides with Benzoquinone via Palladium-Catalyzed *δ*-C(sp^2)-H Functionalization

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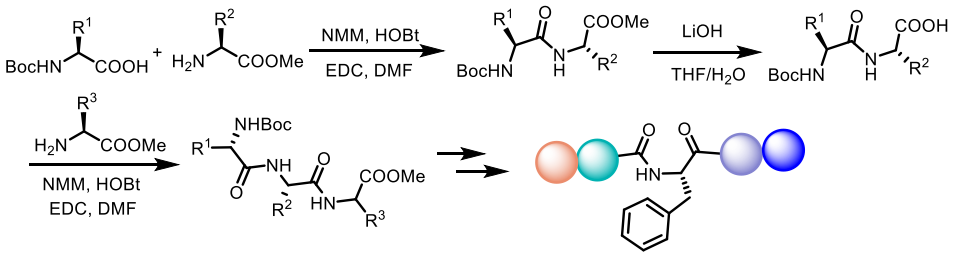
1. General Information

All the solvents were obtained from Sigma-Aldrich, Alfa-Aesar and Acros, and used directly without further purification. Amino acids and derivatives were obtained from commercial sources. EDCI (N-(3-Dimethylaminopropyl)-N′-ethylcarbodiimide hydrochloride), palladium diacetate, silver acetate, HFIP (hexafluoro-2-propanol) and sodium acetate were commercially available and used without any purification. Analytical thin layer chromatography was performed on 0.25 mm silica gel 60-F254. Visualization was carried out with UV light. For flash chromatography silica gel (60 Å, 200-400 mesh) was used. NMR spectra were recorded on Bruker AMX-500 instrument for ^{1}HNMR at 500 MHz and ^{13}CNMR at 126 MHz, using TMS as internal standard. The following abbreviations (or combinations thereof) were used to explain multiplicities: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, br = broad. Coupling constants, J, were reported in Hertz unit (Hz). High-resolution mass spectra (HRMS) were recorded on an Agilent Mass spectrometer using ESI-TOF (electrospray ionization-time of flight).

2. Experimental Section

2.1 General procedure for synthesis of peptides



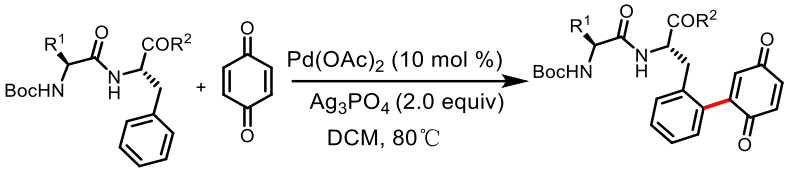
(I) To a stirring solution of Boc-AA-OH (1.0 mmol) in DMF (20 mL) were added 4-Methylmorpholine (NMM, 1.7 mmol, 1.7 equiv.), 1-Hydroxybenzotriazole (HOBt, 1.2 mmol, 1.2 equiv.), H-AA-OMe (1.2 mmol, 1.2 equiv.) and 1-(3-Dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (EDCI, 1.2 mmol, 1.2 equiv.) successively. The reaction mixture was stirred at 0 ℃ for 2 h, then removed the ice bath and stirred at

room temperature for 10 h. Upon reaction completion, quenched the reaction mixture with 20 mL water, afterwards, the solution was extracted with ethyl acetate for three times. The combined organic extracts were washed with 1M HCl, sat. $NaHCO_3$ and sat. NaCl, then dried over anhydrous Na_2SO_4, filtered and concentrated under vacuum to afford the desired substrate Boc-AA-AA-OMe.

(II) To the solution of Boc-AA-AA-OMe (1.0 mmol) in THF (10 mL) and H_2O (5 mL) was added LiOH (2.0 mmol). After stirring at room temperature for 3 h, the mixture was acid to pH=2 with 0.5 M HCl. Afterwards, the solution was extracted with ethyl acetate for three times. The organic layer was combined and dried over anhydrous Na_2SO_4, the desired product Boc-AA-AA-OH was obtained after concentrated under vacuum.

Typically, the above procedure I and II was repeated to elongated oligopeptides until the desired peptide was achieved.

2.2 General procedure for modification of peptide with BQ



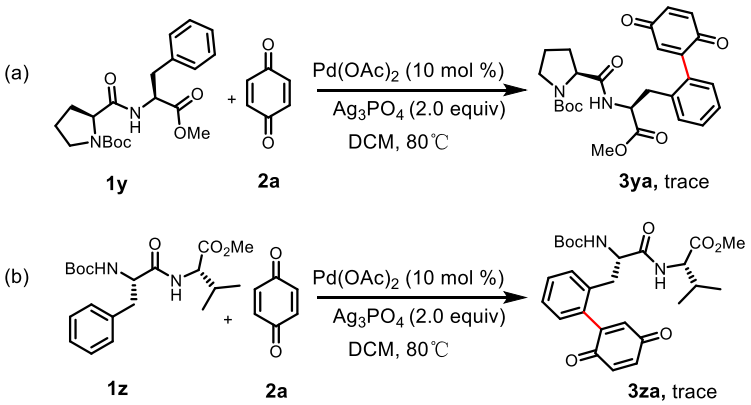
Typically, substrate Boc-AA-Phe-OR (0.1 mmol), BQ (0.2 mmol, 2.0 equiv), $Pd(OAc)_2$ (0.01 mmol, 10 mmol%), Ag_3PO_4 (0.2 mmol, 2.0 equiv.), and DCM (2 mL) was added to a 35 mL sealed tube. The reaction mixture was heat to 80 ℃ in an oil bath for 6 h under air atmosphere. Upon completion, the reaction mixture was diluted by DCM. The result solution was filtered through celite pad. Concentrated under vacuum and further purified by flash column chromatography (petroleum ether: ethyl acetate=6:1-4:1 as the

eluent, Rf=0.52). The corresponding desired product was obtained in good isolated yield as viscous liquid.

2.3 Gram-Scale Experiments

According to the detailed general experimental procedure, to a 150 mL sealed Schlenk tube, dipeptide **1a** (2.0 mmol), BQ (4.0 mmol, 2.0 equiv.), $Pd(OAc)_2$ (0.1mmol, 10 mmol%), Ag_3PO_4 (4.0 mmol, 2.0 equiv.) were dissolved in 25 mL DCM and the reaction mixture was stirred at 80 ℃ in an oil bath for 6 h. After completion, diluted the reaction mixture with ethyl acetate and filtered with celite pad, then concentrate the filtrate under reduced pressure. The resulting residue was purified by flash column chromatography (petroleum ether: ethyl acetate=6:1-4:1 as the eluent), affording **3aa** in 83% (0.83 g) isolated yield.

2.4 Control Experiments



Generally, according to the detailed experimental procedure, a mixture of substrate Boc-AA-Phe-OR (**1y** or **1z**, 0.1 mmol), BQ (**2a**, 0.2 mmol, 2.0 equiv), $Pd(OAc)_2$ (0.01

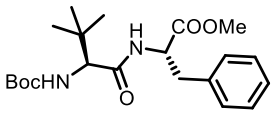
mmol, 10 mmol%), Ag_3PO_4 (0.2 mmol, 2.0 equiv.), and DCM (2 mL) in a 35 mL sealed tube was heated at 80 ℃ in an oil bath for 6 h under air atmosphere. Upon completion, the reaction mixture was cooled to room temperature, and monitored with thin layer chromatography (TLC), which was found only trace mount of desired product was obtained. These results demonstrate that the peptide coordinate to Pd through two nitrogen atoms, which offer a low energy pathway for C-H activation when the Phe residues is not at N-terminal of the peptides.

2.5 Measurement of cytotoxicity of peptide by MTT assays

Generally, Hela and U87 cells were cultured in DMEM medium supplemented with 10% FBS and 1% penicillin/streptomycin at 37 °C and 5% CO_2. Cells were seeded into 96-well plates at a density of 10000 cells/well and grown over night. The next day, the cells were treated with a serial 2-fold dilution of benzoquinone-containing peptides, ranging from 200 to 3.125 μM. After 24 h of incubation at 37 °C and 5% CO_2, 50 μL of MTT ((3-(4,5-dimethyl-2-thiazolyl)-2,5-diphenyl-2H-tetrazolium bromide) was added to each well and incubated for 4 h at 37 °C. After 4 h of incubation, the supernatant was removed and formazan crystals were dissolved by adding 150 μL/well of DMSO. Plates were shaken for 10 min, and then absorbance was read in a Tecan Infinite M200 Pro microplate reader at 490 nm. Relative viabilities were calculated by dividing average absorbance values of duplicate wells containing treated cells by values of wells containing untreated cells (100%). All experiments were performed three times independently in duplicate. Errors are given as +/– S.E.M. in the graphic representation of the data.

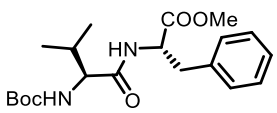
3. Characterization

methyl ((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanoyl)-L-phenylalaninate (1a)



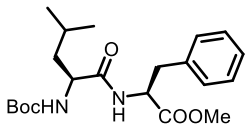
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.56) to produce compound **1a** (1.41 g, 91% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.30 – 7.21 (m, 3H), 7.14-7.07 (m, 2H), 6.27 (d, *J* = 7.0 Hz, 1H), 5.26 (d, *J* = 9.1 Hz, 1H), 4.86 (dd, *J* = 13.4, 6.1 Hz, 1H), 3.85 (d, *J* = 9.2 Hz, 1H), 3.70 (s, 3H), 3.10 (qd, *J* = 13.9, 5.9 Hz, 2H), 1.45 (s, 9H), 0.95 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 171.7, 170.6, 155.7, 135.6, 129.2, 128.6, 127.2, 79.6, 62.3, 53.1, 52.3, 37.8, 34.5, 28.3, 26.5. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{21}H_{32}N_2O_5Na$ 415.2209, found 415.2205.

methyl (tert-butoxycarbonyl)-L-valyl-L-phenylalaninate (1b)



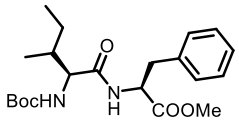
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.52) to produce compound **1b** (1.45 g, 86% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.27 (dd, *J* = 14.3, 7.3 Hz, 2H), 7.21 (dd, *J* = 8.5, 6.0 Hz, 1H), 7.12 (d, *J* = 7.1 Hz, 2H), 6.67 (d, *J* = 7.3 Hz, 1H), 5.23 (d, *J* = 8.8 Hz, 1H), 4.86 (dd, *J* = 13.7, 6.3 Hz, 1H), 3.97 (s, 1H), 3.68 (s, 3H), 3.08 (tt, *J* = 13.8, 7.1 Hz, 2H), 2.07 (dd, *J* = 12.6, 6.2 Hz, 1H), 1.44 (s, 9H), 0.89 (dd, *J* = 22.7, 6.4 Hz, 6H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 171.8, 171.5, 155.8, 135.8, 129.2, 128.6, 127.1, 79.7, 59.8, 53.2, 52.2, 38.0, 30.9, 28.3, 19.1, 17.8. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{20}H_{30}N_2O_5Na$ 401.2052, found 401.2055.

methyl (tert-butoxycarbonyl)-L-valyl-L-phenylalaninate (1c)



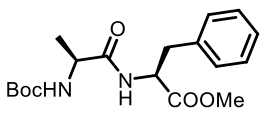
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f= 0.49) to produce compound **1c** (1.50 g, 87% yield) as white oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.28 (d, *J* = 4.9 Hz, 2H), 7.24 – 7.19 (m, 1H), 7.11 (d, *J* = 7.0 Hz, 2H), 6.66 (s, 1H), 4.99 (s, 1H), 4.84 (d, *J* = 6.4 Hz, 1H), 4.12 (s, 1H), 3.69 (s, 3H), 3.11 (ddd, *J* = 35.4, 13.8, 5.8 Hz, 2H), 1.62 (dt, *J* = 32.8, 13.7 Hz, 2H), 1.43 (s, 9H), 1.31 (s, 1H), 0.91 (t, *J* = 6.7 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.1, 155.6, 135.8, 129.2, 128.6, 127.1, 80.0, 53.1, 52.3, 41.2, 37.9, 28.3, 24.7, 22.9, 21.9. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{21}H_{32}N_2O_5Na$ 415.2209, found 415.2207.

methyl (tert-butoxycarbonyl)-L-alloisoleucyl-L-phenylalaninate (1d)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f= 0.53) to produce compound **1d** (1.42 g, 81% yield) as white oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.25 (dt, *J* = 25.0, 7.0 Hz, 3H), 7.12 (d, *J* = 7.4 Hz, 2H), 6.47 (d, *J* = 7.5 Hz, 1H), 5.09 (s, 1H), 4.87 (dd, *J* = 13.0, 6.3 Hz, 1H), 3.96 (s, 1H), 3.70 (s, 3H), 3.10 (dd, *J* = 11.2, 5.3 Hz, 2H), 1.82 (d, *J* = 4.4 Hz, 1H), 1.44 (s, 9H), 1.42 – 1.34 (m, 1H), 1.08 (d, *J* = 6.7 Hz, 1H), 0.93 – 0.80 (m, 6H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 171.7, 171.3, 155.7, 135.7, 129.3, 128.6, 127.1, 79.8, 59.2, 53.1, 52.3, 38.0, 37.2, 28.3, 24.7, 15.4, 11.4. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{21}H_{32}N_2O_5Na$ 415.2209, found 415.2204.

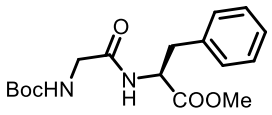
methyl (tert-butoxycarbonyl)-L-alanyl-L-phenylalaninate (1e)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.50) to produce compound **1e** (1.45 g, 83% yield) as white oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.28 –

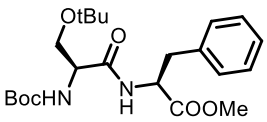
7.19 (m, 3H), 7.11 (d, *J* = 7.1 Hz, 2H), 6.80 (s, 1H), 5.23 (d, *J* = 6.2 Hz, 1H), 4.84 (d, *J* = 7.0 Hz, 1H), 4.18 (s, 1H), 3.68 (s, 3H), 3.14 (dd, *J* = 13.8, 5.9 Hz, 1H), 3.07 (dd, *J* = 13.8, 6.3 Hz, 1H), 1.43 (s, 9H), 1.30 (d, *J* = 7.0 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 172.5, 171.8, 155.4, 135.9, 129.3, 128.5, 127.1, 79.9, 53.3, 52.3, 50.0, 37.9, 28.3, 18.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{18}H_{26}N_2O_5Na$ 373.1739, found 373.1736.

methyl (tert-butoxycarbonyl)glycyl-L-phenylalaninate (1f)



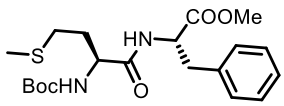
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.46) to produce compound **1f** (1.33 g, 75% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.25 (qd, *J* = 7.1, 3.4 Hz, 3H), 7.10 (d, *J* = 6.9 Hz, 2H), 6.79 (s, 1H), 5.34 (s, 1H), 4.86 (dd, *J* = 13.6, 6.1 Hz, 1H), 3.76 (dt, *J* = 16.8, 11.8 Hz, 2H), 3.69 (s, 3H), 3.10 (qd, *J* = 13.9, 6.0 Hz, 2H), 1.44 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 171.8, 169.4, 156.0, 135.8, 129.2, 128.6, 127.1, 80.1, 53.2, 52.4, 44.1, 37.9, 28.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{17}H_{24}N_2O_5Na$ 359.1583, found 359.1580.

methyl N-(tert-butoxycarbonyl)-O-(tert-butyl)-L-seryl-L-phenylalaninate (1g)



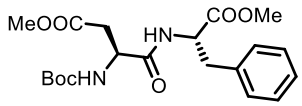
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.42) to produce compound **1g** (1.28 g, 79% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.32 – 7.19 (m, 4H), 7.11 (d, *J* = 7.1 Hz, 2H), 5.44 (s, 1H), 4.88 (dd, *J* = 13.1, 5.7 Hz, 1H), 4.15 (d, *J* = 24.4 Hz, 1H), 3.78 (s, 1H), 3.68 (s, 3H), 3.37 (t, *J* = 7.7 Hz, 1H), 3.15 – 3.04 (m, 2H), 1.44 (s, 9H), 1.16 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 171.4, 170.3, 155.5, 135.9, 129.3, 128.5, 127.1, 80.0, 74.0, 61.7, 53.7, 53.3, 52.1, 38.0, 28.3, 27.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{22}H_{34}N_2O_6Na$ 445.2315, found 445.2312.

methyl (tert-butoxycarbonyl)-L-methionyl-L-phenylalaninate (1h)



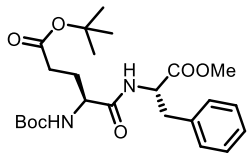
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.40) to produce compound **1h** (1.35 g, 82% yield) as white oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.28 (t, *J* = 7.2 Hz, 2H), 7.25 – 7.21 (m, 1H), 7.12 (d, *J* = 7.0 Hz, 2H), 6.74 (s, 1H), 5.29 (s, 1H), 4.85 (dd, *J* = 13.3, 6.3 Hz, 1H), 4.27 (s, 1H), 3.70 (s, 3H), 3.11 (qd, *J* = 13.9, 6.0 Hz, 2H), 2.52 (t, *J* = 7.0 Hz, 2H), 2.05 (s, 3H), 2.00 (dd, *J* = 13.8, 7.0 Hz, 1H), 1.94 – 1.84 (m, 1H), 1.44 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.6, 171.3, 155.4, 135.7, 129.2, 128.6, 127.2, 80.1, 53.2, 52.3, 37.9, 31.7, 30.1, 28.3, 15.1. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{20}H_{30}N_2O_5SNa$ 433.1773, found 433.1769.

methyl (S)-3-((tert-butoxycarbonyl)amino)-4-(((S)-1-methoxy-1-oxo-3-phenylpropan-2-yl)amino)-4-oxobutanoate (1i)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.52) to produce compound **1i** (1.46 g, 88% yield) as white oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.28 (t, *J* = 7.2 Hz, 2H), 7.22 (dd, *J* = 13.3, 6.1 Hz, 1H), 7.12 (t, *J* = 12.1 Hz, 2H), 7.02 (d, *J* = 6.7 Hz, 1H), 5.80 – 5.62 (m, 1H), 4.80 (dd, *J* = 13.0, 6.0 Hz, 1H), 4.52 (s, 1H), 3.67 (d, *J* = 4.8 Hz, 6H), 3.16 – 3.03 (m, 2H), 2.93 (d, *J* = 16.8 Hz, 1H), 2.68 (dd, *J* = 16.8, 5.5 Hz, 1H), 1.42 (s, 9H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 172.1, 171.4, 170.5, 155.4, 135.8, 129.3, 128.5, 127.1, 80.3, 53.4, 52.2, 52.0, 50.5, 37.8, 35.8, 28.2. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{20}H_{28}N_2O_7Na$ 431.1794, found 431.1792.

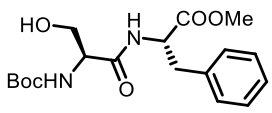
tert-butyl (S)-4-((tert-butoxycarbonyl)amino)-5-(((S)-1-methoxy-1-oxo-3-phenylpropan-2-yl)amino)-5-oxopentanoate (1j)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.53) to produce compound **1j** (1.51

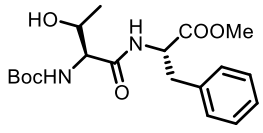
g, 85% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.26 (t, *J* = 7.3 Hz, 2H), 7.21 (t, *J* = 7.2 Hz, 1H), 7.13 (d, *J* = 7.2 Hz, 2H), 6.98 (s, 1H), 5.45 (d, *J* = 5.2 Hz, 1H), 4.83 (dd, *J* = 13.2, 6.4 Hz, 1H), 4.15 (s, 1H), 3.68 (s, 3H), 3.14 (dd, *J* = 13.8, 5.7 Hz, 1H), 3.05 (dd, *J* = 13.8, 6.6 Hz, 1H), 2.36 – 2.22 (m, 2H), 2.02 (dd, *J* = 13.4, 6.4 Hz, 1H), 1.85 (dd, *J* = 13.7, 7.0 Hz, 1H), 1.44 (s, 9H), 1.42 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 172.6, 171.6, 171.5, 155.5, 135.9, 129.2, 128.5, 127.0, 80.7, 79.8, 53.9, 53.3, 52.2, 37.9, 31.7, 28.3, 28.0, 27.8. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{24}H_{36}N_2O_7Na$ 487.2420, found 487.2417.

methyl (tert-butoxycarbonyl)-L-seryl-L-phenylalaninate (1k)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.39) to produce compound **1k** (1.40 g, 75% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.28 (s, 1H), 7.26 (d, *J* = 7.5 Hz, 2H), 7.22 (t, *J* = 7.2 Hz, 1H), 7.21 (s, 1H), 7.13 (d, *J* = 7.1 Hz, 2H), 5.65 (d, *J* = 5.1 Hz, 1H), 4.83 (d, *J* = 6.2 Hz, 1H), 4.18 (s, 1H), 3.92 (d, *J* = 9.1 Hz, 1H), 3.69 (s, 3H), 3.62 (dd, *J* = 10.1, 5.0 Hz, 1H), 3.17 – 3.10 (m, 1H), 3.05 (dd, *J* = 13.9, 6.9 Hz, 1H), 1.42 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 171.9, 171.1, 155.9, 135.8, 129.2, 128.6, 127.1, 80.3, 62.8, 55.4, 53.5, 52.4, 37.7, 28.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{18}H_{26}N_2O_6Na$ 389.1689, found 389.1685.

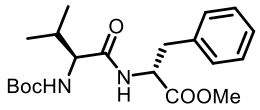
methyl (tert-butoxycarbonyl)-L-threonyl-L-phenylalaninate (1l)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.41) to produce compound **1l** (1.45 g, 73% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.26 (dd, *J* = 15.9, 8.4 Hz, 3H), 7.21 (d, *J* = 7.2 Hz, 1H), 7.15 (d, *J* = 1.5 Hz, 1H), 7.14 – 7.11 (m, 2H), 5.53 (d, *J* = 4.9 Hz, 1H), 4.84 (d, *J* = 6.3 Hz, 1H), 4.27 (d, *J* = 4.4 Hz, 1H), 4.10 (t, *J* = 7.3 Hz, 1H), 3.70 (s, 3H), 3.16 – 3.10 (m, 1H), 3.08 – 3.02 (m, 1H), 1.43 (s, 9H),

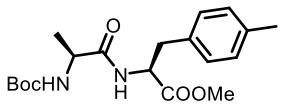
1.15 (d, *J* = 6.4 Hz, 3H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 171.7, 171.0, 156.2, 135.8, 129.2, 128.6, 127.1, 80.2, 67.0, 58.4, 53.4, 52.4, 37.8, 28.3, 18.1. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{19}H_{28}N_2O_6Na$ 403.1845, found 403.1841.

methyl (tert-butoxycarbonyl)-L-valyl-D-phenylalaninate (1m)



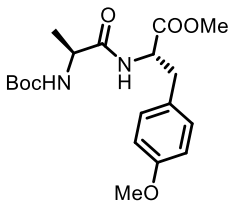
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.57) to produce compound **1m** (1.56 g, 88% yield) as white oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.27 (dd, *J* = 9.3, 5.0 Hz, 2H), 7.22 (t, *J* = 7.2 Hz, 1H), 7.15 – 7.07 (m, 2H), 6.65 (s, 1H), 5.09 (d, *J* = 7.9 Hz, 1H), 4.89 (dd, *J* = 13.5, 7.1 Hz, 1H), 4.00 (s, 1H), 3.69 (s, 3H), 3.13 (dd, *J* = 13.9, 5.6 Hz, 1H), 3.05 (dd, *J* = 13.9, 7.0 Hz, 1H), 2.13 – 2.02 (m, 1H), 1.43 (s, 9H), 0.86 (d, *J* = 6.2 Hz, 3H), 0.79 (d, *J* = 6.7 Hz, 3H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 171.9, 171.4, 155.8, 135.9, 129.2, 128.6, 127.1, 79.8, 59.6, 53.1, 52.3, 38.0, 30.8, 28.3, 19.2, 17.2. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{20}H_{30}N_2O_5Na$ 401.2052, found 401.2050.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(p-tolyl)propanoate (1n)



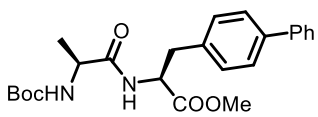
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.45) to produce compound **1n** (1.46 g, 83% yield) as white oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.08 (d, *J* = 7.8 Hz, 2H), 6.98 (d, *J* = 7.8 Hz, 2H), 6.58 (d, *J* = 7.4 Hz, 1H), 5.04 (s, 1H), 4.81 (dd, *J* = 13.3, 5.9 Hz, 1H), 4.15 (s, 1H), 3.71 (s, 3H), 3.07 (ddd, *J* = 32.3, 13.9, 5.8 Hz, 2H), 2.30 (s, 3H), 1.43 (s, 9H), 1.31 (d, *J* = 7.0 Hz, 3H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 172.3, 171.8, 155.3, 136.7, 132.6 129.2, 80.0, 53.3, 52.3, 50.1, 37.4, 28.3, 21.1, 18.4. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{19}H_{28}N_2O_5Na$ 387.1896, found 387.1892.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(4-methoxyphenyl)propanoate (1o)



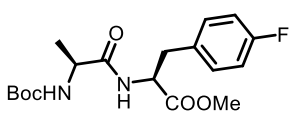
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f= 0.46) to produce compound **1o** (1.40 g, 80% yield) as white oil. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.02 (d, *J* = 8.5 Hz, 2H), 6.81 (d, *J* = 8.5 Hz, 2H), 6.65 (d, *J* = 6.9 Hz, 1H), 5.09 (d, *J* = 6.8 Hz, 1H), 4.80 (dd, *J* = 13.4, 6.0 Hz, 1H), 4.16 (s, 1H), 3.77 (s, 3H), 3.70 (s, 3H), 3.12 – 2.98 (m, 2H), 1.43 (s, 9H), 1.31 (d, *J* = 7.0 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.4, 171.8, 162.7, 158.7, 155.3, 130.3, 127.7, 114.0, 80.1, 55.2, 53.4, 52.3, 37.0, 28.3, 18.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{19}H_{28}N_2O_6Na$ 403.1845, found 403.1841.

methyl(S)-3-([1,1'-biphenyl]-4-yl)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)propanoate (1p)



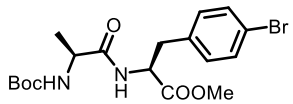
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f= 0.50) to produce compound **1p** (1.53 g, 85% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.53 (d, *J* = 7.3 Hz, 2H), 7.48 (d, *J* = 8.1 Hz, 2H), 7.38 (t, *J* = 7.6 Hz, 2H), 7.29 (t, *J* = 7.4 Hz, 1H), 7.19 (d, *J* = 8.1 Hz, 2H), 7.09 (s, 1H), 5.47 (s, 1H), 4.87 (d, *J* = 6.6 Hz, 1H), 4.26 (s, 1H), 3.66 (s, 3H), 3.18 (dd, *J* = 13.8, 5.7 Hz, 1H), 3.09 (dd, *J* = 13.9, 6.5 Hz, 1H), 1.42 (d, *J* = 9.8 Hz, 9H), 1.30 (d, *J* = 7.0 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 172.8, 171.9, 155.5, 140.7, 139.8, 135.1, 129.8, 128.8, 127.3, 127.2, 126.9, 79.8, 53.4, 52.3, 50.1, 37.5, 28.4, 18.4. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{24}H_{30}N_2O_5Na$ 449.2052, found 449.2047.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(4-fluorophenyl)propanoate (1q)



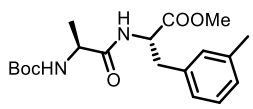
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.46) to produce compound **1q** (1.46 g, 80% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.08 (dd, *J* = 8.3, 5.5 Hz, 2H), 6.96 (t, *J* = 8.6 Hz, 2H), 6.72 (s, 1H), 5.08 (t, *J* = 7.0 Hz, 1H), 4.82 (dd, *J* = 13.2, 6.1 Hz, 1H), 4.16 (s, 1H), 3.71 (s, 3H), 3.14 (dd, *J* = 14.0, 5.8 Hz, 1H), 3.04 (dd, *J* = 14.0, 6.1 Hz, 1H), 1.44 (s, 9H), 1.31 (d, *J* = 7.0 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 172.4, 171.6, 163.0, 161.0, 155.4, 131.6 (d, *J* = 204.1 Hz), 130.8, 115.5, 115.3, 80.1, 53.2, 52.4, 50.1, 37.1, 28.3, 18.2. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{18}H_{25}FN_2O_5Na$ 391.1645, found 391.1642.

methyl(S)-3-(4-bromophenyl)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)propanoate (1r)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.43) to produce compound **1r** (1.49 g, 83% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.38 (d, *J* = 8.3 Hz, 2H), 7.10 (s, 1H), 7.02 (d, *J* = 8.3 Hz, 2H), 5.46 (s, 1H), 4.81 (d, *J* = 6.6 Hz, 1H), 4.22 (s, 1H), 3.70 (s, 3H), 3.11 (dt, *J* = 17.1, 8.6 Hz, 1H), 3.01 (dd, *J* = 13.9, 6.5 Hz, 1H), 1.43 (s, 9H), 1.30 (d, *J* = 7.1 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 173.9, 172.8, 171.5, 155.4, 155.2, 135.0, 131.5, 131.0, 120.9, 79.7, 53.1, 52.3, 50.0, 37.1, 28.3, 18.2. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{18}H_{25}BrN_2O_5Na$ 451.0845, found 451.0840.

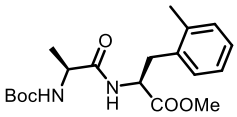
methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(m-tolyl)propanoate (1s)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum

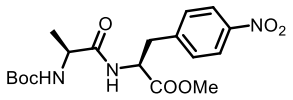
ether: ethyl acetate= 5:1; R_f = 0.55) to produce compound **1s** (1.53 g, 87% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.15 (dd, *J* = 9.6, 5.5 Hz, 1H), 7.03 (d, *J* = 7.4 Hz, 1H), 6.93 (s, 1H), 6.90 (d, *J* = 7.6 Hz, 1H), 6.82 (s, 1H), 5.26 (s, 1H), 4.87 – 4.74 (m, 1H), 4.19 (s, 1H), 3.68 (s, 3H), 3.10 (dd, *J* = 13.8, 5.8 Hz, 1H), 3.03 (dd, *J* = 13.8, 6.2 Hz, 1H), 2.30 (s, 3H), 1.42 (s, 9H), 1.30 (d, *J* = 6.9 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 172.6, 171.9, 155.4, 138.1, 135.8, 130.1, 128.4, 127.8, 126.2, 79.9, 53.3, 52.2, 50.1, 37.8, 28.3, 21.3, 18.4. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{19}H_{28}N_2O_5Na$ 387.1896, found 387.1893.

<u>methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(o-tolyl)propanoate (1t)</u>



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.56) to produce compound **1t** (1.42 g, 80% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.17 – 7.07 (m, 3H), 7.03 (d, *J* = 7.2 Hz, 1H), 6.80 (s, 1H), 5.09 (d, *J* = 5.2 Hz, 1H), 4.81 (d, *J* = 7.1 Hz, 1H), 4.18 (s, 1H), 3.66 (s, 3H), 3.14 (dd, *J* = 14.0, 6.7 Hz, 1H), 3.01 (dd, *J* = 14.0, 7.5 Hz, 1H), 2.33 (s, 3H), 1.44 (s, 9H), 1.28 (d, *J* = 5.9 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 172.4, 172.3, 155.4, 136.6, 134.3, 130.5, 129.8, 127.2, 125.9, 80.1, 52.3, 50.0, 35.9, 28.3, 19.3, 18.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{19}H_{28}N_2O_5Na$ 387.1896, found 387.1898.

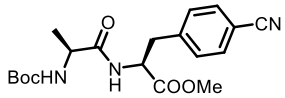
<u>methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(4-nitrophenyl)propanoate (1u)</u>



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.47) to produce compound **1u** (1.43 g, 82% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.12 (d, *J* = 5.8 Hz, 2H), 7.53 – 7.31 (m, 2H), 7.19 (s, 1H), 5.43 (s, 1H), 4.90 (s, 1H), 4.21 (s, 1H), 3.74 (s, 3H), 3.37 – 3.27 (m, 1H), 3.16 (dd, *J* = 12.8, 5.4 Hz, 1H), 1.43 (d, *J* = 5.3 Hz, 9H), 1.31 (d, *J* = 4.7 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 173.9, 172.9, 171.2,

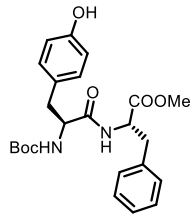
155.5, 155.2, 147.0, 144.0, 130.3, 123.5, 80.0, 52.9, 52.5, 37.6, 28.3, 28.2, 18.0. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{18}H_{25}N_3O_7Na$ 418.1590, found 418.1587.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(4-cyanophenyl)propanoate (1v)



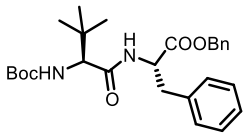
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.43) to produce compound **1v** (1.33 g, 72% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.57 (d, *J* = 8.2 Hz, 2H), 7.30 (d, *J* = 8.1 Hz, 2H), 7.24 – 7.19 (m, 1H), 5.47 (s, 1H), 4.86 (d, *J* = 6.0 Hz, 1H), 4.20 (s, 1H), 3.72 (s, 3H), 3.25 (dt, *J* = 12.8, 6.4 Hz, 1H), 3.13 – 3.07 (m, 1H), 1.43 (s, 9H), 1.30 (d, *J* = 6.5 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 173.9, 172.9, 171.3, 155.4, 155.2, 141.9, 132.1, 130.2, 118.6, 110.7, 79.9, 52.4, 50.0, 37.8, 28.3, 18.1. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{19}H_{25}N_3O_5Na$ 398.1692, found 398.1689.

methyl (tert-butoxycarbonyl)-L-tyrosyl-L-phenylalaninate (1w)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.43) to produce compound **1w** (1.28 g, 75% yield) as white oil. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.22 (d, *J* = 6.4 Hz, 4H), 6.98 (dd, *J* = 22.9, 5.2 Hz, 4H), 6.73 (d, *J* = 7.0 Hz, 2H), 6.52 (s, 1H), 5.12 (s, 1H), 4.77 (s, 1H), 4.28 (s, 1H), 3.63 (s, 3H), 3.03 (d, *J* = 5.9 Hz, 2H), 2.93 (d, *J* = 14.4 Hz, 2H), 1.39 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.4, 155.6, 135.6, 130.4, 129.2, 128.6, 127.1, 115.7, 80.5, 56.0, 53.4, 52.3, 38.0, 37.5, 28.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{24}H_{30}N_2NaO_6$ 465.2002, found 465.2001.

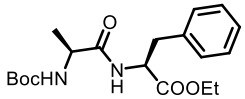
benzyl ((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanoyl)-L-phenylalaninate (1xa)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.58) to produce compound **1xa**

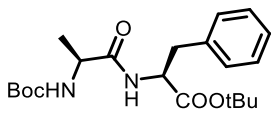
(1.63 g, 87% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.34 (d, *J* = 5.0 Hz, 3H), 7.30 – 7.24 (m, 2H), 7.24 – 7.18 (m, 3H), 7.01 (dd, *J* = 6.5, 2.7 Hz, 2H), 6.25 (d, *J* = 5.9 Hz, 1H), 5.26 (d, *J* = 7.5 Hz, 1H), 5.11 (dd, *J* = 33.2, 12.1 Hz, 2H), 4.90 (dd, *J* = 13.6, 6.0 Hz, 1H), 3.84 (d, *J* = 8.4 Hz, 1H), 3.09 (d, *J* = 5.6 Hz, 2H), 1.44 (s, 9H), 0.93 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 171.1, 170.6, 155.7, 135.5, 135.0, 129.3, 128.7, 128.6, 128.5, 127.1, 79.6, 67.3, 62.4, 53.2, 37.8, 34.6, 28.4, 26.5. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{27}H_{36}N_2O_5Na$ 491.2522, found 491.2520.

ethyl (tert-butoxycarbonyl)-L-alanyl-L-phenylalaninate (1ya)



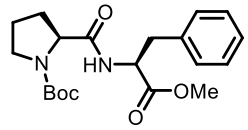
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.51) to produce compound **1ya** (1.63 g, 87% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.27 (t, *J* = 5.5 Hz, 2H), 7.23 – 7.19 (m, 1H), 7.14 – 7.10 (m, 2H), 6.66 (s, 1H), 5.09 (s, 1H), 4.82 (dd, *J* = 13.6, 6.2 Hz, 1H), 4.19 – 4.09 (m, 3H), 3.11 (qd, *J* = 13.8, 6.0 Hz, 2H), 1.43 (d, *J* = 4.1 Hz, 9H), 1.31 (d, *J* = 6.9 Hz, 3H), 1.21 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 172.3, 171.3, 155.4, 135.9, 129.4, 129.3, 128.6, 128.5, 127.1, 80.0, 61.5, 53.3, 50.1, 38.0, 28.3, 18.4, 14.1. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{19}H_{28}N_2O_5Na$ 387.1896, found 387.1891.

tert-butyl (tert-butoxycarbonyl)-L-alanyl-L-phenylalaninate (1za)



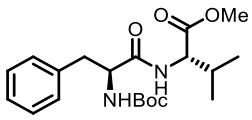
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.55) to produce compound **1za** (1.63 g, 87% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.28 – 7.20 (m, 3H), 7.16 (d, *J* = 7.1 Hz, 2H), 6.68 (d, *J* = 7.6 Hz, 1H), 5.16 (d, *J* = 7.4 Hz, 1H), 4.71 (dd, *J* = 13.5, 6.3 Hz, 1H), 4.17 (s, 1H), 3.08 (dd, *J* = 6.0, 1.7 Hz, 2H), 1.43 (s, 9H), 1.39 (s, 9H), 1.32 (d, *J* = 7.1 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 172.2, 170.3, 155.3, 136.2, 129.5, 128.3, 126.9, 82.3, 79.9, 53.6, 50.1, 38.0, 28.3, 27.9, 18.5. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{21}H_{32}N_2O_5Na$ 415.2209, found 415.2206.

tert-butyl (S)-2-(((S)-1-methoxy-1-oxo-3-phenylpropan-2-yl)carbamoyl)pyrrolidine-1-carboxylate (1y)



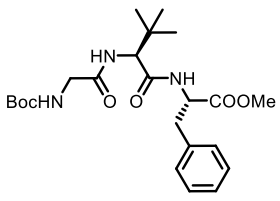
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.44) to produce compound **1y** (1.41 g, 83% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.25 (d, *J* = 6.9 Hz, 2H), 7.22 (dd, *J* = 15.2, 8.4 Hz, 2H), 7.10 (d, *J* = 7.2 Hz, 2H), 4.86 (s, 1H), 4.24 (d, *J* = 40.1 Hz, 1H), 3.71 (s, 3H), 3.38 (s, 1H), 3.30 (s, 1H), 3.20 – 3.14 (m, 1H), 3.01 (dd, *J* = 13.9, 7.0 Hz, 1H), 2.38 – 1.87 (m, 2H), 1.77 (s, 2H), 1.42 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 171.6, 154.5, 136.0, 129.2, 129.1, 128.5, 127.0, 80.6, 60.9, 52.2, 46.9, 38.0, 30.6, 28.2, 23.4. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{20}H_{28}N_2O_5Na$ 399.1896, found 399.1892.

methyl (tert-butoxycarbonyl)-L-phenylalanyl-L-valinate (1z)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f= 0.52) to produce compound **1z** (1.55 g, 87% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.28 – 7.23 (m, 2H), 7.23 – 7.17 (m, 3H), 6.66 (s, 1H), 5.30 (s, 1H), 4.47 (dd, *J* = 8.6, 5.2 Hz, 1H), 4.42 (s, 1H), 3.68 (s, 3H), 3.13 – 2.97 (m, 2H), 2.10 (dt, *J* = 13.5, 6.8 Hz, 1H), 1.40 (s, 9H), 0.87 (dd, *J* = 15.3, 6.9 Hz, 6H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 171.8, 171.4, 155.5, 136.8, 129.3, 128.5, 126.8, 80.0, 57.3, 55.8, 52.0, 38.0, 31.2, 28.2, 18.8, 17.8. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{20}H_{30}N_2O_5Na$ 401.2052, found 401.2051.

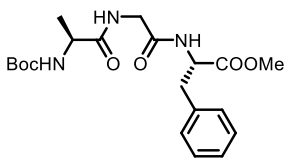
methyl ((S)-2-(2-((tert-butoxycarbonyl)amino)acetamido)-3,3-dimethylbutanoyl)-L-phenylalaninate (1ab)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.45) to produce compound **1ab** (1.32 g, 77% yield) as white oil. **^{1}H NMR (500**

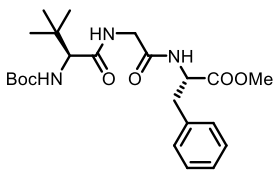
MHz, $CDCl_3$) δ 7.44-7.29 (m, 1H), 7.28 – 7.15 (m, 4H), 7.10 (d, *J* = 7.1 Hz, 2H), 5.65 (s, 1H), 4.88 (dd, *J* = 13.7, 6.6 Hz, 1H), 4.51 (d, *J* = 4.9 Hz, 1H), 3.75 (dd, *J* = 28.1, 13.5 Hz, 2H), 3.68 (s, 3H), 3.06 (ddd, *J* = 32.0, 13.7, 6.5 Hz, 2H), 1.45 (s, 9H), 0.97 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 173.5, 172.2, 171.9, 168.7, 155.5, 135.9, 129.2, 128.6, 127.1, 80.1, 53.5, 53.2, 52.3, 50.2, 43.0, 37.9, 28.3, 18.5. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{23}H_{35}N_3O_6Na$ 472.2424, found 472.2422.

methyl (tert-butoxycarbonyl)-L-alanylglycyl-L-phenylalaninate (1bb)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.40) to produce compound **1bb** (1.35 g, 79% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.26 (d, *J* = 7.5 Hz, 2H), 7.23 – 7.19 (m, 2H), 7.11 (d, *J* = 7.1 Hz, 2H), 7.05 (s, 1H), 5.32 (s, 1H), 4.84 – 4.78 (m, 1H), 4.20 (s, 1H), 3.98 (dd, *J* = 16.7, 5.6 Hz, 1H), 3.84 (dd, *J* = 16.8, 5.2 Hz, 1H), 3.68 (s, 3H), 3.09 (dt, *J* = 13.9, 7.5 Hz, 2H), 1.43 (s, 9H), 1.33 (d, *J* = 7.1 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 172.9, 171.8, 170.9, 168.3, 155.6, 135.9, 129.29, 128.6, 127.1, 79.9, 58.4, 53.3, 52.2, 37.9, 31.0, 28.3, 19.1. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{20}H_{29}N_3O_6Na$ 430.1954, found 430.1950.

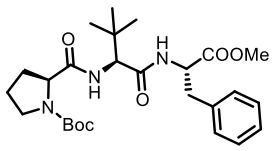
methyl ((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanoyl)glycyl-L-phenylalaninate (1cb)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.42) to produce compound **1cb** (1.40 g, 83% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.37 – 7.30 (m, 1H), 7.28 – 7.19 (m, 4H), 7.15 – 7.11 (m, 2H), 5.60 – 5.52 (m, 1H), 4.85 (dd, *J* = 14.0, 6.8 Hz, 1H), 3.99 (d, *J* = 9.2 Hz, 1H), 3.94 (d, *J* = 5.4 Hz, 2H), 3.67 (s, 3H), 3.08 (ddd, *J* = 20.7, 13.8, 6.5 Hz, 2H), 1.42 (s, 9H), 0.98 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 172.0, 171.6, 168.6, 162.7, 155.9, 136.0, 129.2, 128.5, 127.0, 79.6, 62.2, 53.6, 52.3, 42.9, 38.0, 34.5, 28.4, 26.6. **HRMS (ESI)** $[M+Na]^+$ m/z

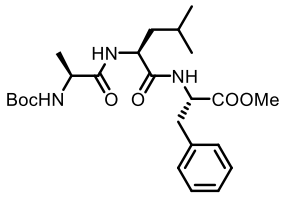
calcd for $C_{23}H_{35}N_3O_6Na$ 472.2424, found 472.2420.

tert-butyl (S)-2-(((S)-1-(((S)-1-methoxy-1-oxo-3-phenylpropan-2-yl)amino)-3,3-dimethyl-1-oxobutan-2-yl)carbamoyl)pyrrolidine-1-carboxylate (1db)



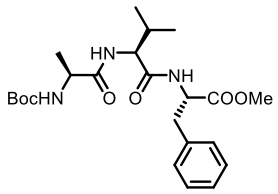
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.37) to produce compound **1db** (1.40 g, 83% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.26 (dd, *J* = 7.0, 5.0 Hz, 2H), 7.19 (d, *J* = 7.5 Hz, 1H), 7.12 (d, *J* = 6.9 Hz, 2H), 6.96 (d, *J* = 54.1 Hz, 1H), 4.85 – 4.79 (m, 1H), 4.37 (d, *J* = 65.0 Hz, 2H), 3.68 (s, 1H), 3.65 (d, *J* = 7.7 Hz, 3H), 3.44 (dd, *J* = 52.3, 23.1 Hz, 2H), 3.06 (d, *J* = 6.3 Hz, 2H), 2.14 (dd, *J* = 50.9, 40.1 Hz, 2H), 1.88 (s, 2H), 1.47 (s, 9H), 0.96 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 172.4, 171.8, 170.0, 155.6, 136.1, 135.9, 129.1, 128.5, 127.0, 80.3, 59.9, 53.3, 52.2, 47.0, 37.8, 37.7, 28.3, 26.5, 26.4, 22.9. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{26}H_{39}N_3O_6Na$ 512.2737, found 512.2733.

methyl (tert-butoxycarbonyl)-L-alanyl-L-leucyl-L-phenylalaninate (1eb)



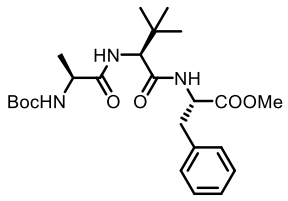
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.46) to produce compound **1eb** (1.32 g, 76% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.26 (dd, *J* = 15.1, 7.5 Hz, 3H), 7.21 – 7.18 (m, 2H), 7.11 (d, *J* = 7.6 Hz, 2H), 5.52 (d, *J* = 5.4 Hz, 1H), 4.82 (d, *J* = 7.1 Hz, 1H), 4.52 (d, *J* = 5.2 Hz, 1H), 4.25 (s, 1H), 3.67 (s, 3H), 3.07 (ddd, *J* = 20.3, 12.1, 5.6 Hz, 2H), 1.63 (dd, *J* = 13.3, 7.2 Hz, 2H), 1.56 – 1.49 (m, 1H), 1.43 (s, 9H), 1.28 (d, *J* = 6.8 Hz, 3H), 0.88 (dd, *J* = 12.7, 5.7 Hz, 6H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 173.0, 172.4, 171.9, 171.8, 170.0, 155.6, 136.0, 129.2, 128.5, 127.0, 79.9, 53.4, 52.2, 51.7, 37.8, 28.3, 24.6, 22.8, 22.0, 18.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{24}H_{37}N_3O_6Na$ 486.2580, found 486.2581.

methyl (tert-butoxycarbonyl)-L-alanyl-L-valyl-L-phenylalaninate (1fb)



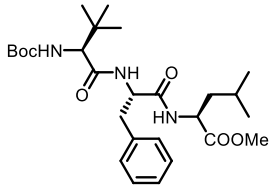
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.42) to produce compound **1fb** (1.32 g, 76% yield) as white oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.28 – 7.25 (m, 1H), 7.22 (d, *J* = 10.9 Hz, 1H), 7.20 – 7.15 (m, 1H), 7.12 – 7.09 (m, 2H), 7.05 (s, 2H), 5.45 (s, 1H), 4.86 (dd, *J* = 14.2, 6.6 Hz, 1H), 4.38 – 4.31 (m, 1H), 4.25 (s, 1H), 3.68 (s, 3H), 3.14 – 3.04 (m, 2H), 2.08 (dd, *J* = 13.4, 6.7 Hz, 1H), 1.43 (s, 9H), 1.30 (d, *J* = 7.0 Hz, 3H), 0.90 (dd, *J* = 12.6, 6.8 Hz, 6H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 171.8, 170.2, 169.5, 156.1, 135.9, 129.3, 129.2, 128.5, 127.0, 79.9, 60.1, 53.5, 53.3, 52.2, 44.3, 38.0, 34.9, 28.3, 26.5. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{23}H_{35}N_3O_6Na$ 472.2424, found 472.2426.

methyl ((S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3,3-dimethylbutanoyl)-L-phenylalaninate (1gb)



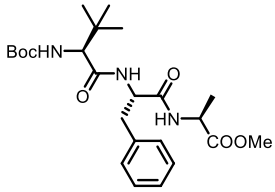
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.49) to produce compound **1gb** (1.32 g, 76% yield) as white oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.32 – 7.15 (m, 4H), 7.12 (d, *J* = 26.1 Hz, 2H), 6.86 (s, 1H), 5.06 (dd, *J* = 25.6, 19.1 Hz, 1H), 4.87 (dd, *J* = 20.2, 7.0 Hz, 1H), 4.23 – 4.17 (m, 1H), 3.79 – 3.69 (m, 3H), 3.69 (s, 1H), 3.17 – 3.03 (m, 2H), 1.44 (s, 9H), 1.33 (d, *J* = 6.8 Hz, 3H), 0.95 (s, 9H). **^{13}C NMR (126 MHz, DMSO)** δ 172.1, 170.4, 155.6, 137.5, 129.6, 129.5, 129.3, 128.7, 126.9, 78.6, 59.3, 53.8, 52.1, 50.3, 36.9, 35.2, 28.6, 26.8, 18.1.**HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{24}H_{37}N_3O_6Na$ 486.2580, found 486.2577.

methyl ((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanoyl)-L-phenylalanyl-L-leucinate (1hb)



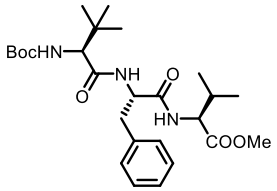
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.47) to produce compound **1hb** (1.36 g, 80% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.23 (d, *J* = 7.0 Hz, 2H), 7.18 (t, *J* = 7.1 Hz, 3H), 7.08 (d, *J* = 7.6 Hz, 1H), 6.93 (d, *J* = 7.9 Hz, 1H), 5.48 (d, *J* = 9.0 Hz, 1H), 4.77 (dd, *J* = 14.7, 7.3 Hz, 1H), 4.52 (td, *J* = 8.6, 5.0 Hz, 1H), 3.99 (d, *J* = 9.0 Hz, 1H), 3.66 (s, 3H), 3.07 (dd, *J* = 13.7, 7.4 Hz, 1H), 2.99 (dd, *J* = 13.7, 6.6 Hz, 1H), 1.70 – 1.61 (m, 1H), 1.58-1.51 (m, 2H), 1.43 (s, 9H), 0.94 (s, 9H), 0.87 (d, *J* = 5.9 Hz, 6H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 174.2, 172.7, 170.9, 170.2, 162.7, 155.7, 136.4, 129.3, 128.4, 126.8, 79.5, 62.2, 54.2, 52.1, 50.8, 41.1, 38.1, 34.6, 28.3, 26.5, 24.6, 21.8. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{27}H_{43}N_3O_6Na$ 528.3050, found 528.3046.

methyl ((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanoyl)-L-phenylalanyl-L-alaninate (1ib)



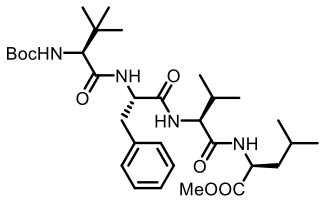
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.43) to produce compound **1ib** (1.30 g, 74% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.25 – 7.20 (m, 2H), 7.19 – 7.13 (m, 3H), 7.08 (dd, *J* = 17.5, 7.2 Hz, 2H), 5.50 (d, *J* = 9.0 Hz, 1H), 4.80 (dd, *J* = 14.6, 7.1 Hz, 1H), 4.56 – 4.43 (m, 1H), 4.00 (d, *J* = 8.7 Hz, 1H), 3.69 (s, 3 H), 3.09 (dd, *J* = 13.9, 7.0 Hz, 1H), 3.01 (dd, *J* = 13.9, 6.8 Hz, 1H), 1.43 (s, 9H), 1.32 (d, *J* = 7.2 Hz, 3H), 0.94 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 172.8, 171.0, 170.4, 162.7, 155.8, 148.5, 136.4, 129.3, 128.5, 126.8, 79.6, 62.4, 54.1, 52.3, 48.1, 38.1, 34.5, 28.4, 26.6, 18.0. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{24}H_{37}N_3O_6Na$ 486.2580, found 486.2578.

methyl ((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanoyl)-L-phenylalanyl-L-valinate (1jb)



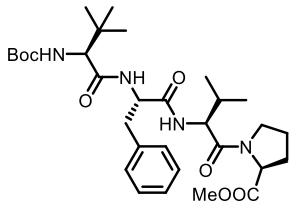
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.48) to produce compound **1jb** (1.33 g, 72% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.46 (d, *J* = 7.6 Hz, 1H), 7.21 (t, *J* = 7.6 Hz, 3H), 7.14 (t, *J* = 8.9 Hz, 3H), 5.76 (d, *J* = 9.5 Hz, 1H), 4.87 (q, *J* = 7.4 Hz, 1H), 4.48 (dd, *J* = 8.5, 6.0 Hz, 1H), 4.15 (d, *J* = 9.8 Hz, 1H), 3.65 (s, 3H), 3.04 – 2.98 (m, 1H), 2.97 (d, *J* = 6.6 Hz, 1H), 2.06 (dd, *J* = 13.1, 6.6 Hz, 1H), 1.44 (s, 9H), 0.95 (s, 9H), 0.85 (dd, *J* = 17.8, 6.8 Hz, 6H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 173.2, 171.8, 171.1, 170.39, 162.7, 155.8, 136.4, 129.3, 128.3, 126.6, 79.2, 61.9, 57.3, 54.4, 51.9, 38.3, 34.8, 31.0, 28.4, 26.6, 18.9, 18.0. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{26}H_{41}N_3O_6Na$ 514.2893, found 514.2891.

methyl ((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanoyl)-L-phenylalanyl-L-valyl-L-leucinate (1kb)



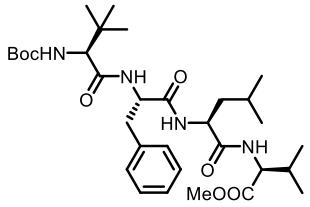
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.39) to produce compound **1kb** (1.29 g, 70% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.03 (d, *J* = 16.7 Hz, 1H), 7.81 (s, 1H), 7.58 (s, 1H), 7.14 (d, *J* = 11.2 Hz, 5H), 5.63 (s, 1H), 5.08 (d, *J* = 7.1 Hz, 1H), 4.66 (d, *J* = 7.6 Hz, 2H), 4.27 (s, 1H), 3.74 (s, 3H), 2.95 (s, 2H), 2.05 – 2.01 (m, 1H), 1.72 – 1.65 (m, 2H), 1.62 – 1.57 (m, 1H), 1.45 (s, 9H), 0.93 (d, *J* = 8.1 Hz, 9H), 0.92 – 0.91 (m, 6H), 0.89 (d, *J* = 6.6 Hz, 6H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 173.3, 171.4, 171.3, 170.8, 162.6, 155.7, 136.6, 129.4, 129.3, 128.3, 126.5, 78.9, 61.6, 58.3, 52.1, 50.7, 40.8, 39.0, 36.5, 34.9 , 31.1, 28.5, 26.7, 25.0, 22.7, 22.1, 18.8. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{32}H_{52}N_4O_7Na$ 627.3734, found 627.3733.

methyl ((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanoyl)-L-phenylalanyl-L-valyl-L-prolinate (1lb)



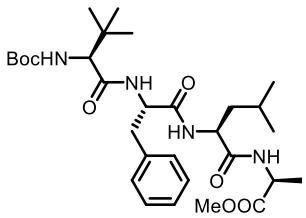
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.37) to produce compound **1lb** (1.29 g, 70% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.25 (d, *J* = 7.3 Hz, 1H), 7.19 (dd, *J* = 16.3, 8.8 Hz, 3H), 7.13 (dd, *J* = 14.3, 7.2 Hz, 2H), 7.03 – 6.89 (m, 1H), 6.84 (dd, *J* = 30.4, 8.1 Hz, 1H), 4.80 (td, *J* = 14.3, 7.1 Hz, 1H), 4.58 – 4.43 (m, 1H), 3.93 (d, *J* = 15.4 Hz, 1H), 3.70 (s, 3H), 3.54 (dd, *J* = 8.3, 5.4 Hz, 1H), 3.07 (dd, *J* = 16.2, 9.7 Hz, 1H), 3.04 – 2.96 (m, 1H), 2.72 (d, *J* = 33.7 Hz, 1H), 2.26 – 2.14 (m, 1H), 2.12 – 1.90 (m, 4H), 1.44 (s, 9H), 1.03 – 0.90 (m, 9H), 0.91 – 0.73 (m, 6H), 0.72 (d, *J* = 6.6 Hz, 1H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 172.3, 170.7, 170.1, 155.7, 136.5, 136.3, 129.3, 128.6, 128.3, 126.7, 79.4, 62.3, 58.9, 55.9, 54.2, 52.1, 47.2, 38.4, 34.5, 31.4, 29.1, 28.3, 26.5, 24.9, 19.4, 18.0, 14.2. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{31}H_{48}N_4O_7Na$ 611.3421, found 611.3423.

methyl ((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanoyl)-L-phenylalanyl-L-leucyl-L-valinate (1mb)



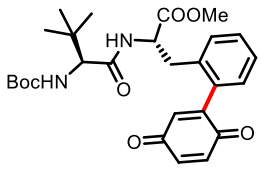
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.36) to produce compound **1mb** (1.37 g, 76% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.84 (d, *J* = 7.8 Hz, 1H), 7.73 (s, 1H), 7.56 (d, *J* = 8.3 Hz, 1H), 7.18 (d, *J* = 6.5 Hz, 2H), 7.13 (s, 3H), 5.49 (d, *J* = 8.2 Hz, 1H), 4.99 (d, *J* = 5.3 Hz, 1H), 4.84 (d, *J* = 7.5 Hz, 1H), 4.59 (d, *J* = 4.5 Hz, 1H), 4.17 (d, *J* = 8.5 Hz, 1H), 3.76 (s, 3H), 3.02 (d, *J* = 8.7 Hz, 1H), 2.96 (d, *J* = 7.5 Hz, 1H), 2.13 (d, *J* = 5.9 Hz, 1H), 1.69 – 1.64 (m, 1H), 1.63 – 1.52 (m, 2H), 1.43 (s, 9H), 0.94 (d, *J* = 16.0 Hz, 9H), 0.90 (s, 6H), 0.85 – 0.79 (m, 6H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 172.9, 172.5, 172.2, 171.2, 170.9, 170.5, 155.6, 136.6, 129.3, 128.3, 126.6, 79.1, 61.8, 57.3, 53.9, 52.1, 41.4, 38.6, 34.6, 28.4, 26.6, 24.6, 22.5, 19.0, 18.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{32}H_{52}N_4O_7Na$ 627.3734, found 627.3736.

methyl ((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanoyl)-L-phenylalanyl-L-leucyl-L-alaninate (1nb)



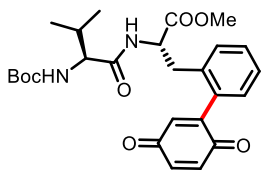
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 5:1; R_f = 0.33) to produce compound **1nb** (1.30 g, 71% yield) as white oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.54 (s, 1H), 7.38 (s, 1H), 7.22 (dd, *J* = 9.5, 4.6 Hz, 3H), 7.17 (d, *J* = 7.2 Hz, 1H), 7.14 (d, *J* = 7.3 Hz, 2H), 5.37 (d, *J* = 7.2 Hz, 1H), 4.84 (d, *J* = 6.5 Hz, 1H), 4.68 (dt, *J* = 14.7, 7.5 Hz, 1H), 4.61 – 4.55 (m, 1H), 4.04 (d, *J* = 7.2 Hz, 1H), 3.74 (s, 3H), 3.06 – 2.95 (m, 2H), 1.73 – 1.66 (m, 1H), 1.58 (dd, *J* = 13.3, 6.7 Hz, 1H), 1.46 (dd, *J* = 10.4, 5.3 Hz, 1H), 1.41 (s, 9H), 1.37 (d, *J* = 7.3 Hz, 3H), 0.93 (s, 9H), 0.85 (dd, *J* = 13.6, 6.4 Hz, 6H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 173.2, 171.6, 171.0, 170.8, 170.2, 156.0, 136.3, 129.3, 128.6, 127.0, 79.9, 62.8, 54.2, 52.3, 51.6, 47.9, 41.0, 38.2, 34.2, 28.3, 26.7, 24.5, 22.8, 17.8. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{30}H_{48}N_4O_7Na$ 599.3421, found 599.3417.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3aa)



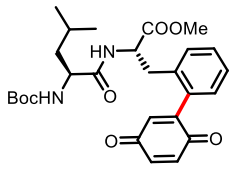
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.43) to produce compound **3aa** (89 mg, 87% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.37 (d, *J* = 7.6 Hz, 1H), 7.29 (t, *J* = 7.1 Hz, 2H), 7.21 (t, *J* = 4.2 Hz, 1H), 7.11 – 7.06 (m, 1H), 6.89 (dt, *J* = 10.4, 6.3 Hz, 2H), 6.76 (d, *J* = 2.3 Hz, 1H), 5.18 (d, *J* = 9.0 Hz, 1H), 4.81 (dd, *J* = 14.2, 8.0 Hz, 1H), 3.81 (d, *J* = 9.2 Hz, 1H), 3.65 (s, 3H), 3.05 (dd, *J* = 14.7, 5.8 Hz, 1H), 2.77 (d, *J* = 9.4 Hz, 1H), 1.42 (s, 9H), 0.94 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 187.3, 171.8, 170.8, 155.5, 147.9, 136.9, 136.6, 135.6, 134.3, 133.4, 129.9, 129.2, 128.7, 127.4, 79.5, 62.2, 52.4, 35.3, 34.8, 28.3, 26.4. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{27}H_{34}N_2O_7Na$ 521.2264, found 521.2262.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3-methylbutanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3ba)



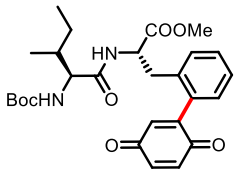
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.45) to produce compound **3ba** (81 mg, 82% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.41 – 7.35 (m, 1H), 7.30 (d, *J* = 7.3 Hz, 2H), 7.10 (d, *J* = 7.3 Hz, 1H), 6.89 (dt, *J* = 10.2, 6.3 Hz, 2H), 6.76 (d, *J* = 2.3 Hz, 1H), 6.67 (s, 1H), 5.06 (d, *J* = 6.9 Hz, 1H), 4.82 (d, *J* = 5.9 Hz, 1H), 3.92 (s, 1H), 3.65 (s, 3H), 3.06 (dd, *J* = 14.6, 5.8 Hz, 1H), 2.77 (s, 1H), 2.11 – 2.03 (m, 1H), 1.43 (s, 9H), 0.90 (d, *J* = 6.7 Hz, 3H), 0.78 (d, *J* = 4.6 Hz, 3H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.3, 187.1, 171.8, 171.5, 155.7, 147.8, 136.9, 136.6, 135.6, 134.4, 133.5, 129.9, 129.8, 129.4, 127.3, 79.7, 59.5, 52.4, 35.4, 31.0, 28.3, 27.1, 19.1, 17.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{26}H_{32}N_2O_7Na$ 507.2107, found 507.2103.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)-4-methylpentanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3ca)



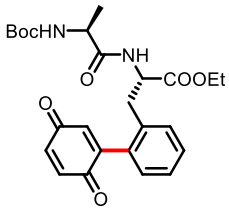
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f= 0.40) to produce compound **3ca** (79 mg, 78% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.37 (t, *J* = 7.5 Hz, 1H), 7.29 (dd, *J* = 8.8, 6.5 Hz, 2H), 7.10 (d, *J* = 7.4 Hz, 1H), 6.92 – 6.85 (m, 2H), 6.77 (d, *J* = 2.2 Hz, 1H), 6.71 (s, 1H), 4.81 (d, *J* = 35.2 Hz, 2H), 4.11 – 4.02 (m, 1H), 3.64 (s, 3H), 3.04 (dd, *J* = 14.3, 5.8 Hz, 1H), 2.80 (s, 1H), 1.62 (d, *J* = 7.5 Hz, 1H), 1.57 – 1.49 (m, 1H), 1.42 (s, 9H), 1.33 (d, *J* = 6.5 Hz, 1H), 0.90 (d, *J* = 6.2 Hz, 6H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.3, 172.4, 171.8, 147.8, 136.9, 136.6, 135.6, 134.5, 133.5, 129.9, 129.7, 127.2, 79.9, 60.4, 52.4, 41.4, 35.7, 28.3, 24.6, 22.9, 14.2. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{27}H_{34}N_2O_7Na$ 521.2264, found 521.2260.

methyl (S)-2-((2S,3R)-2-((tert-butoxycarbonyl)amino)-3-methylpentanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3da)



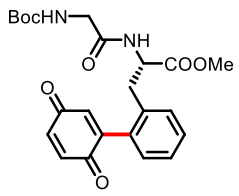
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.41) to produce compound **3da** (82 mg, 83% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.38 (t, *J* = 7.5 Hz, 1H), 7.34 – 7.28 (m, 2H), 7.10 (d, *J* = 7.6 Hz, 1H), 6.93 – 6.87 (m, 2H), 6.76 (d, *J* = 2.2 Hz, 1H), 6.69 (s, 1H), 5.04 (d, *J* = 7.7 Hz, 1H), 4.83 (s, 1H), 3.95 (s, 1H), 3.65 (s, 3H), 3.06 (dd, *J* = 14.4, 5.6 Hz, 1H), 2.77 (s, 1H), 1.43 (s, 9H), 1.33 – 1.18 (m, 2H), 0.99 (d, *J* = 9.9 Hz, 1H), 0.89 – 0.80 (m, 6H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.3, 171.8, 171.5, 155.4, 147.8, 136.9, 136.6, 135.6, 134.4, 133.5, 129.8, 129.5, 127.3, 79.8, 59.1, 52.4, 37.4, 35.5, 28.3, 24.4, 15.4, 11.5. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{27}H_{34}N_2O_7Na$ 521.2264, found 521.2261.

ethyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3ea)



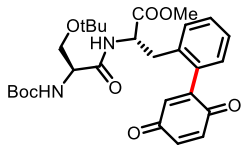
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.43) to produce compound **3ea** (83 mg, 85% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.33 – 7.28 (m, 1H), 7.27 – 7.21 (m, 3H), 7.18 (d, *J* = 7.2 Hz, 1H), 7.03 – 7.00 (m, 1H), 6.89 (dd, *J* = 12.3, 6.2 Hz, 1H), 6.76 (t, *J* = 5.7 Hz, 1H), 5.06 – 4.94 (m, 1H), 4.72 (dd, *J* = 13.7, 6.3 Hz, 1H), 4.12 (dd, *J* = 13.1, 7.0 Hz, 2H), 4.08 – 4.04 (m, 1H), 3.04 (dd, *J* = 4.8, 2.2 Hz, 1H), 3.02 – 2.97 (m, 1H), 1.43 (t, *J* = 3.5 Hz, 9H), 1.25 (d, *J* = 7.0 Hz, 3H), 1.20 – 1.13 (m, 3H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.3, 172.5, 171.4, 170.9, 170.2, 147.8, 136.9, 136.6, 135.6, 134.6, 133.5, 129.9, 129.3, 128.5, 127.2, 61.6, 53.5, 37.9, 35.9, 28.3, 18.5, 14.1. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{25}H_{30}N_2O_7Na$ 493.1951, found 493.1949.

methyl (S)-2-(2-((tert-butoxycarbonyl)amino)acetamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3fa)



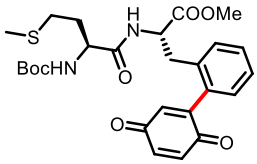
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f= 0.35) to produce compound **3fa** (71 mg, 68% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.38 (td, *J* = 7.5, 0.9 Hz, 1H), 7.30 (t, *J* = 7.2 Hz, 1H), 7.25 (d, *J* = 7.6 Hz, 1H), 7.10 (d, *J* = 6.8 Hz, 1H), 6.91 – 6.84 (m, 2H), 6.76 (d, *J* = 1.8 Hz, 2H), 5.10 (s, 1H), 4.75 (d, *J* = 6.5 Hz, 1H), 3.71 (d, *J* = 5.2 Hz, 2H), 3.65 (s, 3H), 3.05 (dd, *J* = 14.5, 6.3 Hz, 1H), 2.89-2.79 (m, 1H), 1.42 (s, 9H).**^{13}C NMR (126 MHz, $CDCl_3$)** δ 187.3, 187.0, 171.8, 169.3, 147.7, 136.9, 136.6, 135.5, 134.4, 133.6, 129.9, 129.7, 129.6, 127.3, 100.0, 80.2, 52.7, 52.5, 44.1, 35.5, 28.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{23}H_{26}N_2O_7Na$ 465.1638, found 465.1636.

methyl (S)-2-((S)-3-(tert-butoxy)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3ga)



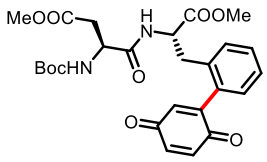
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f= 0.36) to produce compound **3ga** (75 mg, 72% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.37 (t, *J* = 7.5 Hz, 1H), 7.30 (t, *J* = 7.5 Hz, 1H), 7.27 – 7.18 (m, 2H), 7.11 (d, *J* = 7.5 Hz, 1H), 6.91 – 6.83 (m, 2H), 6.76 (d, *J* = 2.2 Hz, 1H), 5.35 (s, 1H), 4.72 (d, *J* = 7.0 Hz, 1H), 4.09 (s, 1H), 3.72 (d, *J* = 5.8 Hz, 1H), 3.59 (s, 3H), 3.31 (t, *J* = 7.9 Hz, 1H), 2.94 (ddd, *J* = 31.3, 14.4, 7.1 Hz, 2H), 1.45 (s, 9H), 1.14 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 187.4, 186.6, 171.6, 170.4, 147.8, 136.9, 136.4, 135.3, 134.6, 133.5, 129.9, 129.6, 128.5, 127.2, 80.1, 74.0, 61.7, 54.1, 52.9, 52.3, 36.3, 28.3, 27.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{28}H_{36}N_2O_8Na$ 551.2369, found 551.2365.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)-4-(methylthio)butanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3ha)



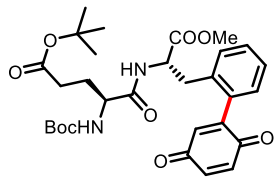
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.41) to produce compound **3ha** (78 mg, 79% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.39 (t, *J* = 7.3 Hz, 1H), 7.35 – 7.29 (m, 2H), 7.11 (d, *J* = 7.1 Hz, 1H), 6.89 (dt, *J* = 10.1, 6.2 Hz, 3H), 6.78 (t, *J* = 3.4 Hz, 1H), 5.18 (d, *J* = 6.5 Hz, 1H), 4.78 (s, 1H), 4.22 (d, *J* = 5.4 Hz, 1H), 3.66 (s, 3H), 3.07 (dd, *J* = 14.6, 5.6 Hz, 1H), 2.83 – 2.72 (m, 1H), 2.47 (s, 2H), 2.07 (s, 3H), 2.00 – 1.94 (m, 1H), 1.89 – 1.80 (m, 1H), 1.42 (s, 9H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.2, 171.7, 171.4, 147.8, 136.9, 136.6, 135.6, 134.4, 133.5, 130.0, 129.8, 129.5, 129.3, 128.7, 127.3, 80.0, 53.3, 52.6, 52.5, 35.5, 31.9, 29.8, 28.3, 15.2. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{26}H_{32}N_2O_7SNa$ 539.1828, found 539.1823.

methyl (S)-3-((tert-butoxycarbonyl)amino)-4-(((S)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)-1-methoxy-1-oxopropan-2-yl)amino)-4-oxobutanoate (3ia)



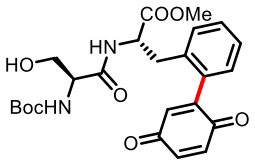
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.38) to produce compound **3ia** (75 mg, 70% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.38 (d, *J* = 7.5 Hz, 1H), 7.30 (dd, *J* = 13.1, 5.4 Hz, 2H), 7.11 (d, *J* = 7.5 Hz, 1H), 7.03 (d, *J* = 6.7 Hz, 1H), 6.91 – 6.84 (m, 2H), 6.78 (d, *J* = 1.7 Hz, 1H), 5.52 (s, 1H), 4.68 (d, *J* = 7.2 Hz, 1H), 4.44 (d, *J* = 12.4 Hz, 1H), 3.66 (s, 3H), 3.62 (s, 3H), 3.01 (dd, *J* = 14.6, 6.5 Hz, 1H), 2.94 – 2.83 (m, 2H), 2.61 (dd, *J* = 17.1, 6.2 Hz, 1H), 1.43 (s, 9H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.4, 171.6, 170.5, 147.7, 136.9, 136.5, 135.4, 134.5, 133.5, 129.9, 129.8, 129.7, 127.2, 80.3, 52.9, 52.5, 52.0, 50.4, 36.0, 35.8, 28.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{26}H_{30}N_2O_9Na$ 537.1849, found 537.1846.

tert-butyl (S)-4-((tert-butoxycarbonyl)amino)-5-(((S)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)-1-methoxy-1-oxopropan-2-yl)amino)-5-oxopentanoate (3ja)



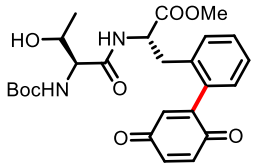
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.43) to produce compound **3ja** (77 mg, 73% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.38 (t, *J* = 7.5 Hz, 1H), 7.31 – 7.28 (m, 2H), 7.10 (d, *J* = 7.4 Hz, 1H), 6.95 (d, *J* = 6.2 Hz, 1H), 6.92 – 6.85 (m, 2H), 6.78 (d, *J* = 2.2 Hz, 1H), 5.26 (d, *J* = 7.9 Hz, 1H), 4.75 (d, *J* = 5.1 Hz, 1H), 4.13 – 4.04 (m, 1H), 3.64 (s, 3H), 3.05 (dd, *J* = 14.5, 5.8 Hz, 1H), 2.81 (dd, *J* = 13.8, 8.6 Hz, 1H), 2.26 (dd, *J* = 15.9, 8.3 Hz, 2H), 1.97 (s, 1H), 1.80 (d, *J* = 6.3 Hz, 1H), 1.44 (s, 9H), 1.42 (s, 9H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.3, 187.0, 172.7, 171.7, 171.5, 147.8, 136.9, 136.6, 135.5, 134.5, 133.5, 129.9, 129.7, 127.2, 80.8, 79.9, 53.8, 52.4, 35.7, 31.6, 29.7, 28.3, 28.0, 27.8. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{30}H_{38}N_2O_9Na$ 593.2475, found 593.2472.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3-hydroxypropanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3ka)



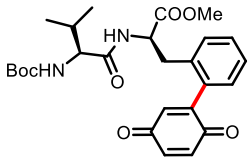
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.40) to produce compound **3ka** (70 mg, 66% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.40 – 7.36 (m, 1H), 7.30 (dd, *J* = 14.5, 7.7 Hz, 2H), 7.13 (s, 1H), 7.12 – 7.10 (m, 1H), 6.93 – 6.84 (m, 2H), 6.78 (d, *J* = 2.3 Hz, 1H), 5.43 (s, 1H), 4.88 (d, *J* = 6.2 Hz, 1H), 4.77 (s, 1H), 4.17 – 4.08 (m, 1H), 3.95 (s, 1H), 3.67 (s, 3H), 3.61 – 3.56 (m, 1H), 3.11 – 3.06 (m, 1H), 2.79 (dd, *J* = 14.5, 9.0 Hz, 1H), 1.43 (s, 9H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.3, 187.0, 172.0, 171.2, 147.7, 136.9, 136.7, 135.6, 134.5, 133.5, 130.0, 129.7, 129.6, 128.7, 127.4, 80.3, 63.1, 55.3, 52.7, 35.4, 28.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{24}H_{28}N_2O_8Na$ 495.1743, found 495.1739.

methyl (S)-2-((2S,3R)-2-((tert-butoxycarbonyl)amino)-3-hydroxybutanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3la)



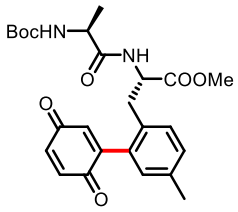
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.45) to produce compound **3la** (73 mg, 69% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.38 (dd, *J* = 7.4, 1.2 Hz, 1H), 7.33 – 7.28 (m, 2H), 7.11 (dd, *J* = 7.5, 1.1 Hz, 2H), 6.91 – 6.85 (m, 2H), 6.78 (d, *J* = 2.3 Hz, 1H), 5.36 (d, *J* = 7.6 Hz, 1H), 4.97 – 4.78 (m, 1H), 4.77 (d, *J* = 6.2 Hz, 1H), 4.25 (d, *J* = 5.2 Hz, 1H), 4.03 (d, *J* = 7.4 Hz, 1H), 3.66 (s, 3H), 3.10 (dd, *J* = 14.2, 8.2 Hz, 1H), 2.79 (dd, *J* = 14.6, 9.0 Hz, 1H), 1.44 (s, 9H), 1.12 (d, *J* = 6.5 Hz, 3H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.3, 171.8, 171.2, 147.7, 136.9, 136.6, 135.6, 134.5, 133.5, 130.0, 129.6, 128.6, 127.3, 79.9, 67.1, 58.3, 52.6, 35.5, 28.3, 18.0. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{25}H_{30}N_2O_8Na$ 509.1900, found 509.1902.

methyl (R)-2-((S)-2-((tert-butoxycarbonyl)amino)-3-methylbutanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3ma)



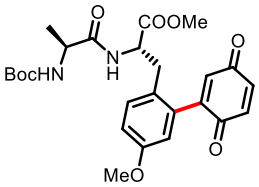
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.46) to produce compound **3ma** (83 mg, 81% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.40 – 7.35 (m, 1H), 7.29 (t, *J* = 7.7 Hz, 2H), 7.11 (d, *J* = 7.2 Hz, 1H), 6.89 (dt, *J* = 10.1, 6.2 Hz, 2H), 6.82 (dd, *J* = 19.3, 8.9 Hz, 1H), 6.77 (d, *J* = 2.1 Hz, 1H), 5.06 (d, *J* = 6.9 Hz, 1H), 4.81 (dd, *J* = 14.8, 8.0 Hz, 1H), 3.95 (s, 1H), 3.65 (s, 3H), 3.07 (dd, *J* = 14.7, 5.9 Hz, 1H), 2.71 (dd, *J* = 14.5, 9.8 Hz, 1H), 1.40 (s, 9H), 0.93 – 0.82 (m, 1H), 0.74 (dd, *J* = 34.8, 5.9 Hz, 6H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.3, 171.7, 155.7, 147.9, 136.9, 136.6, 135.7, 134.6, 133.5, 129.9, 129.3, 127.3, 79.7, 59.5, 52.5, 35.4, 30.9, 28.3, 27.2, 19.0, 17.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{26}H_{32}N_2O_7Na$ 507.2107, found 507.2103.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(5-methyl-2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3na)



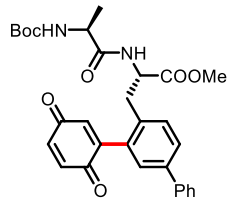
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f= 0.42) to produce compound **3na** (89 mg, 86% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.17 (dd, *J* = 17.4, 7.9 Hz, 2H), 6.94 – 6.84 (m, 3H), 6.74 (d, *J* = 2.3 Hz, 2H), 5.04 (s, 1H), 4.73 (s, 1H), 4.12 (d, *J* = 7.1 Hz, 1H), 3.65 (s, 3H), 3.01 (dd, *J* = 14.5, 6.0 Hz, 1H), 2.77 (d, *J* = 7.9 Hz, 1H), 2.33 (s, 3H), 1.42 (s, 9H), 1.27 (d, *J* = 7.1 Hz, 3H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.3, 187.2, 172.5, 171.9, 155.2, 147.9, 136.9, 136.6, 135.4, 133.3, 131.3, 130.5, 129.5, 79.9, 52.4, 49.9, 35.1, 28.3, 20.9, 18.5. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{25}H_{30}N_2O_7Na$ 493.1951, found 493.1950.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(5-methoxy-2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3oa)



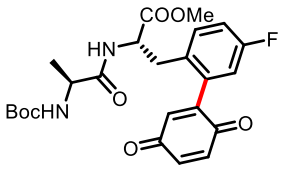
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.43) to produce compound **3oa** (79 mg, 76% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.16 (d, *J* = 8.5 Hz, 1H), 6.92 – 6.87 (m, 3H), 6.75 (d, *J* = 2.2 Hz, 1H), 6.62 (d, *J* = 2.7 Hz, 2H), 4.97 (s, 1H), 4.71 (d, *J* = 5.7 Hz, 1H), 4.12 (d, *J* = 7.1 Hz, 1H), 3.79 (s, 3H), 3.65 (s, 3H), 2.97 (dd, *J* = 14.7, 6.2 Hz, 1H), 2.78 – 2.68 (m, 1H), 1.42 (d, *J* = 3.2 Hz, 9H), 1.27 (d, *J* = 7.0 Hz, 3H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.3, 171.9, 158.4, 147.6, 136.9, 136.6, 135.5, 134.5, 130.8, 126.2, 115.3, 80.0, 55.4, 52.7, 52.4, 34.8, 28.3, 18.4. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{25}H_{30}N_2NaO_8$ 509.1900, found 509.1901.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(2'',5''-dioxo-2'',5''-dihydro-[1,1':3',1''-terphenyl]-4'-yl)propanoate (3pa)



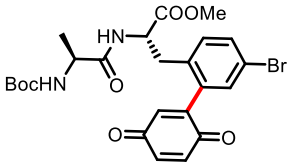
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f= 0.43) to produce compound **3pa** (93 mg, 82% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.60 (dd, *J* = 8.0, 1.8 Hz, 1H), 7.55 (d, *J* = 7.5 Hz, 2H), 7.43 (t, *J* = 7.6 Hz, 2H), 7.37 – 7.31 (m, 3H), 6.90 (dt, *J* = 10.1, 6.1 Hz, 2H), 6.82 (d, *J* = 2.3 Hz, 1H), 6.79 (s, 1H), 5.00 (s, 1H), 4.81 (s, 1H), 4.15-4.05 (m, 1H), 3.66 (s, 3H), 3.08 (dd, *J* = 14.6, 6.1 Hz, 1H), 2.86 (d, *J* = 8.2 Hz, 1H), 1.44-1.41 (m, 3H), 1.40 (s, 9H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.3, 171.8, 147.8, 140.3, 139.7, 136.9, 136.6, 135.7, 134.0, 133.4, 130.9, 130.1, 128.9, 128.5, 128.3, 127.8, 127.3, 127.0, 79.9, 52.5, 49.9, 35.3, 29.6, 28.3, 18.4. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{30}H_{32}N_2O_7Na$ 555.2107, found 555.2103.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(5-fluoro-2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3qa)



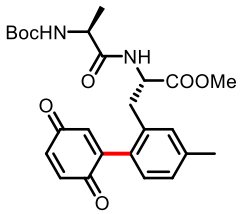
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.39) to produce compound **3qa** (66 mg, 62% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.24 (dd, *J* = 8.5, 5.6 Hz, 1H), 7.09 – 7.05 (m, 1H), 6.92 – 6.87 (m, 2H), 6.84 (dd, *J* = 8.8, 2.6 Hz, 1H), 6.78 (d, *J* = 1.9 Hz, 1H), 6.69 (d, *J* = 4.7 Hz, 1H), 4.93 (s, 1H), 4.72 (s, 1H), 4.12 – 4.04 (m, 1H), 3.65 (s, 3H), 3.02 – 2.96 (m, 1H), 2.79 (dd, *J* = 14.4, 8.1 Hz, 1H), 1.43 (s, 9H), 1.26 (s, 3H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 186.9, 172.5, 171.6, 162.1, 160.3, 146.5, 136.8, 136.7, 135.8 (d, *J* = 226.8 Hz), 135.1, 130.3 117.0, 116.8, 116.6, 116.5, 80.3, 52.5, 49.7, 35.1, 28.3, 18.2. **^{19}F NMR (471 MHz, CDCl$_3$)** δ -93.87 (s, 1F). **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{24}H_{27}N_2O_7FNa$ 497.1700, found 497.1698.

methyl (S)-3-(5-bromo-2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)propanoate (3ra)



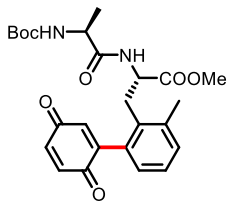
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.37) to produce compound **3ra** (73 mg, 65% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.49 (dd, *J* = 8.3, 1.9 Hz, 1H), 7.26 (d, *J* = 2.0 Hz, 1H), 7.15 (d, *J* = 8.3 Hz, 1H), 6.91 – 6.86 (m, 2H), 6.77 (t, *J* = 5.2 Hz, 2H), 4.97 (s, 1H), 4.72 (s, 1H), 4.10 (s, 1H), 3.65 (s, 3H), 2.98 (dd, *J* = 14.6, 6.3 Hz, 1H), 2.77 (dd, *J* = 13.9, 8.1 Hz, 1H), 1.43 (s, 9H), 1.26 (d, *J* = 5.8 Hz, 3H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.0, 186.5, 172.5, 171.6, 146.3, 136.7, 136.3, 135.8, 135.3, 133.7, 132.5, 131.3, 129.6, 129.5, 120.9, 80.3, 52.6, 49.8, 35.3, 28.3, 18.2. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{24}H_{27}N_2O_7BrNa$ 557.0899, found 557.0896.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(4-methyl-2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3sa)



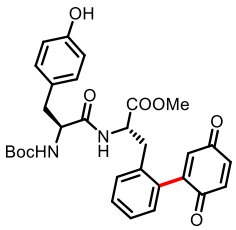
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f= 0.40) to produce compound **3sa** (85 mg, 83% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.15 – 7.07 (m, 2H), 6.99 (d, *J* = 7.7 Hz, 1H), 6.92 – 6.85 (m, 2H), 6.78 (d, *J* = 4.2 Hz, 1H), 6.73 (d, *J* = 2.3 Hz, 1H), 5.02 (s, 1H), 4.75 (s, 1H), 4.12 (d, *J* = 6.8 Hz, 1H), 3.64 (s, 3H), 3.01 (dd, *J* = 14.5, 6.1 Hz, 1H), 2.80 – 2.66 (m, 1H), 2.36 (s, 3H), 1.42 (s, 9H), 1.26 (s, 3H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.4, 187.3, 172.5, 171.9, 147.9, 139.8, 136.9, 136.6, 135.5, 134.3, 130.6, 130.3, 129.9, 128.1, 79.5, 52.4, 35.4, 28.3, 25.6, 21.3, 18.5. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{25}H_{30}N_2O_7Na$ 493.1951, found 493.1950.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(3-methyl-2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3ta)



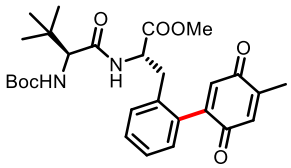
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f= 0.42) to produce compound **3ta** (81 mg, 80% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.23 (d, *J* = 6.9 Hz, 1H), 7.19 (t, *J* = 7.5 Hz, 1H), 7.17 – 6.99 (m, 1H), 6.92 (d, *J* = 7.4 Hz, 1H), 6.89 (d, *J* = 3.1 Hz, 1H), 6.87 – 6.81 (m, 1H), 6.76 (d, *J* = 7.0 Hz, 1H), 4.93 – 4.83 (m, 1H), 4.06 (s, 1H), 3.72 (t, *J* = 7.3 Hz, 1H), 3.70 – 3.48 (m, 3H), 3.09 – 2.98 (m, 1H), 2.88 (s, 1H), 2.41 (s, 3H), 1.43 (d, *J* = 6.2 Hz, 9H), 1.24 (d, *J* = 14.2 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 187.1, 172.1, 161.5, 155.3, 148.5, 139.5, 138.2, 136.9, 136.2, 132.5, 131.9, 130.6, 127.5, 127.1, 80.0, 52.5, 46.1, 33.8, 29.9, 28.3, 20.1, 18.3. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{25}H_{30}N_2O_7Na$ 493.1951, found 493.1953.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3-(4-hydroxyphenyl)propanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (3wa)



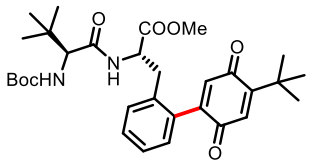
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f= 0.42) to produce compound **3wa** (78 mg, 73% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.28 (d, *J* = 7.5 Hz, 1H), 7.25 – 7.20 (m, 3H), 7.09 (d, *J* = 6.9 Hz, 2H), 7.03 – 6.99 (m, 2H), 6.97 (d, *J* = 1.7 Hz, 1H), 6.83 – 6.78 (m, 3H), 6.38 (d, *J* = 7.4 Hz, 1H), 5.11 (s, 1H), 4.78 (d, *J* = 6.0 Hz, 1H), 4.29 (s, 1H), 3.66 (s, 3H), 3.12 (dd, *J* = 14.4, 5.8 Hz, 1H), 3.10 – 3.05 (m, 1H), 3.02 (t, *J* = 6.9 Hz, 1H), 2.95 (d, *J* = 6.7 Hz, 1H), 1.41 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 187.4, 172.1, 171.4, 170.8, 169.9, 137.1, 136.3, 135.8, 135.6, 135.1, 131.8, 129.2, 128.6, 127.2, 121.2, 117.8, 53.2, 52.4, 37.9, 37.5, 28.3, 23.1. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{30}H_{32}N_2O_8Na$ 571.2056, found 571.2052.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanamido)-3-(4'-methyl-2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (4ab)



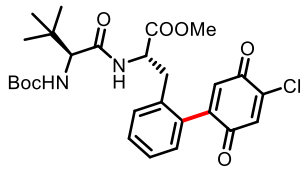
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.52) to produce compound **4ab** (83 mg, 79% yield) as yellow oil.**^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.39 – 7.35 (m, 1H), 7.29 (dd, *J* = 7.3, 3.3 Hz, 2H), 7.25 – 7.13 (m, 1H), 7.09 (d, *J* = 7.5 Hz, 1H), 6.75 – 6.68 (m, 2H), 5.18 (d, *J* = 8.8 Hz, 1H), 4.82 (dd, *J* = 14.3, 8.0 Hz, 1H), 3.83 (t, *J* = 9.5 Hz, 1H), 3.66 (s, 3H), 3.10 – 3.01 (m, 1H), 2.72 (s, 1H), 2.13 (s, 3H), 1.42 (s, 9H), 0.95 (s, 9H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.8, 171.6, 170.9, 155.2, 147.8, 135.9, 133.6, 130.0, 129.3, 129.2, 127.3, 79.9, 52.5, 52.4, 34.8, 28.3, 26.4, 16.3, 15.6. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{28}H_{36}N_2O_7Na$ 535.2420, found 535.2417.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanamido)-3-(4'-(tert-butyl)-2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (4ac)



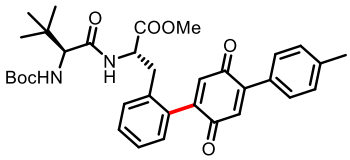
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.50) to produce compound **4ac** (87 mg, 83% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.38 – 7.33 (m, 1H), 7.30 (s, 2H), 7.27 – 7.18 (m, 1H), 7.11 (t, *J* = 8.2 Hz, 1H), 6.71 – 6.64 (m, 2H), 5.21 (dd, *J* = 21.8, 11.6 Hz, 1H), 4.83 (dt, *J* = 15.5, 8.1 Hz, 1H), 3.87 – 3.77 (m, 1H), 3.66 (s, 3H), 3.05 (dt, *J* = 15.6, 8.0 Hz, 1H), 2.76 – 2.61 (m, 1H), 1.42 (s, 9H), 1.35 (s, 9H), 0.95 (s, 9H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.4, 171.9, 170.9, 156.4, 155.5, 149.7, 146.3, 137.7, 131.9, 129.8, 130.0, 129.7, 129.2, 128.6, 127.3, 79.4, 62.2, 52.5, 52.3, 35.5, 35.3, 34.8, 29.2, 28.3, 26.4. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{31}H_{42}N_2O_7Na$ 577.2890, found 577.2885.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanamido)-3-(4'-chloro-2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (4ad)



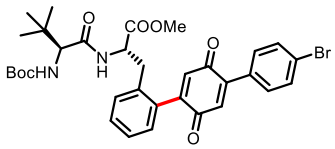
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.49) to produce compound **4ad** (73 mg, 72% yield) as yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.38 (d, *J* = 7.4 Hz, 1H), 7.30 (t, *J* = 7.5 Hz, 2H), 7.14 (s, 1H), 7.10 (t, *J* = 5.2 Hz, 2H), 6.39 (s, 1H), 5.15 (d, *J* = 7.3 Hz, 1H), 4.77 (dd, *J* = 14.6, 7.0 Hz, 1H), 3.79 (d, *J* = 8.6 Hz, 1H), 3.65 (s, 3H), 3.06 – 2.97 (m, 1H), 2.78 (dd, *J* = 14.4, 8.1 Hz, 1H), 1.42 (s, 9H), 0.94 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 184.8, 179.4, 171.8, 170.8, 155.6, 148.3, 144.5, 135.7, 135.1, 134.5, 133.9, 133.7, 129.9, 127.4, 79.6, 62.2, 52.4, 31.9, 29.7, 28.3, 26.4, 22.7. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{27}H_{33}ClN_2O_7Na$ 555.1874, found 555.1872.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanamido)-3-(4''-methyl-2',5'-dioxo-2',5'-dihydro-[1,1':4',1''-terphenyl]-2-yl)propanoate (4ae)



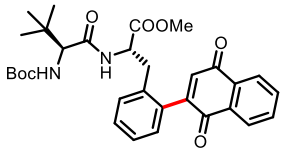
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.41) to produce compound **4ae** (76 mg, 75% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.48 (d, *J* = 8.0 Hz, 1H), 7.42 (d, *J* = 8.1 Hz, 1H), 7.38 (d, *J* = 7.4 Hz, 1H), 7.33 – 7.28 (m, 3H), 7.25 (d, *J* = 8.0 Hz, 1H), 7.16 (t, *J* = 7.5 Hz, 1H), 6.98 – 6.93 (m, 1H), 6.84 – 6.80 (m, 1H), 6.62 (s, 1H), 5.17 (dd, *J* = 19.8, 8.9 Hz, 1H), 4.83 (dd, *J* = 15.0, 8.0 Hz, 1H), 3.82 (dd, *J* = 28.1, 9.2 Hz, 1H), 3.66 (s, 3H), 3.09 (dd, *J* = 14.1, 6.9 Hz, 1H), 2.78 (s, 1H), 2.42 (s, 3H), 1.40 (s, 9H), 0.89 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 186.9, 172.0, 170.9, 155.5, 147.6, 146.4, 140.8, 140.6, 136.2, 134.4, 134.0, 133.4, 132.1, 130.0, 129.3, 127.4, 79.4, 62.1, 52.6, 52.4, 35.0, 34.7, 34.5, 28.3, 26.4, 21.4. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{34}H_{40}N_2O_7Na$ 611.2733, found 611.2732.

methyl (S)-3-(4''-bromo-2',5'-dioxo-2',5'-dihydro-[1,1':4',1''-terphenyl]-2-yl)-2-((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanamido)propanoate (4af)



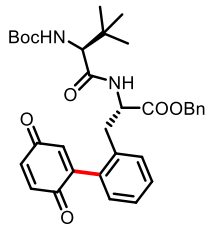
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.41) to produce compound **4af** (75 mg, 70% yield) as yellow oil.^{1}H NMR (500 MHz, $CDCl_3$) δ 7.60 (dd, *J* = 16.3, 8.4 Hz, 2H), 7.46 (d, *J* = 8.4 Hz, 1H), 7.42 – 7.36 (m, 2H), 7.31 (dd, *J* = 13.2, 6.8 Hz, 2H), 7.16 (t, *J* = 7.5 Hz, 1H), 6.98 (d, *J* = 28.0 Hz, 1H), 6.84 (d, *J* = 5.0 Hz, 1H), 6.49 (s, 1H), 5.18 – 5.07 (m, 1H), 4.86 – 4.75 (m, 1H), 3.79 (dd, *J* = 25.5, 8.5 Hz, 1H), 3.66 (s, 3H), 3.07 (dd, *J* = 14.4, 9.0 Hz, 1H), 2.78 (s, 1H), 1.40 (s, 9H), 0.89 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 186.3, 171.7, 156.0, 148.6, 147.7, 146.9, 140.8, 138.1, 134.9, 133.1, 132.8, 131.9, 131.0, 127.6, 79.2, 52.4, 31.9, 29.7, 28.3, 26.4, 14.1. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{33}H_{37}BrN_2O_7Na$ 675.1682, found 675.1678.

methyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanamido)-3-(2-(1,4-dioxo-1,4-dihydronaphthalen-2-yl)phenyl)propanoate (4ag)



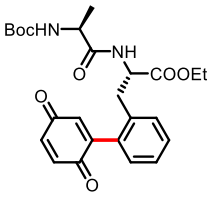
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f = 0.41) to produce compound **4ag** (72 mg, 71% yield) as yellow oil.^{1}H NMR (500 MHz, $CDCl_3$) δ 8.20 – 8.13 (m, 2H), 7.82 – 7.77 (m, 2H), 7.44 – 7.38 (m, 2H), 7.33 (dd, *J* = 17.0, 9.5 Hz, 2H), 7.17 (d, *J* = 7.4 Hz, 1H), 6.97 (s, 1H), 5.28 (d, *J* = 21.8 Hz, 1H), 4.85 (s, 1H), 3.91 (d, *J* = 8.9 Hz, 1H), 3.62 (s, 3H), 3.12 (dd, *J* = 14.8, 4.9 Hz, 1H), 2.73 (s, 1H), 1.40 (s, 9H), 0.96 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 196.6, 191.0, 188.4, 172.2, 170.7, 149.9, 148.0, 134.4, 129.9, 128.5, 127.4, 126.3, 79.3, 67.0, 58.9, 52.3, 34.4, 28.4, 26.5. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{31}H_{36}N_2O_7Na$ 571.2420, found 571.2417.

benzyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (4xa)



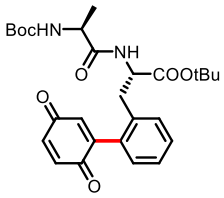
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f= 0.45) to produce compound **4xa** (91 mg, 85% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.37 – 7.28 (m, 5H), 7.24 – 7.18 (m, 3H), 7.07 (dd, *J* = 7.5, 1.2 Hz, 1H), 6.85 – 6.77 (m, 2H), 6.70 (d, *J* = 2.3 Hz, 1H), 6.46 (dd, *J* = 47.6, 15.9 Hz, 1H), 5.18 (t, *J* = 8.2 Hz, 1H), 5.07 (d, *J* = 2.3 Hz, 2H), 4.84 (dd, *J* = 14.3, 8.0 Hz, 1H), 3.80 (d, *J* = 9.2 Hz, 1H), 3.02 (dd, *J* = 14.5, 5.9 Hz, 1H), 2.77 (s, 1H), 1.42 (s, 9H), 0.91 (s, 9H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.3, 171.2, 170.8, 155.5, 147.9, 136.8, 136.5, 135.6, 135.0, 134.3, 133.5, 129.9, 129.8, 128.6, 128.5, 128.4, 127.3, 79.5, 67.3, 62.1, 52.6, 34.8, 29.7, 28.3, 26.4. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{33}H_{38}N_2O_7Na$ 597.2577, found 597.2575.

ethyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (4ya)



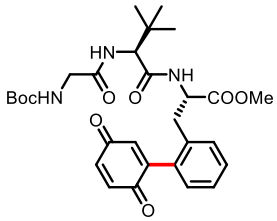
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f= 0.40) to produce compound **4ya** (86 mg, 83% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.33 – 7.28 (m, 1H), 7.27 – 7.21 (m, 3H), 7.18 (d, *J* = 7.2 Hz, 1H), 7.03 – 7.00 (m, 1H), 6.89 (dd, *J* = 12.3, 6.2 Hz, 1H), 6.76 (t, *J* = 5.7 Hz, 1H), 5.06 – 4.94 (m, 1H), 4.72 (dd, *J* = 13.7, 6.3 Hz, 1H), 4.12 (dd, *J* = 13.1, 7.0 Hz, 2H), 4.08 – 4.04 (m, 1H), 3.04 (dd, *J* = 4.8, 2.2 Hz, 1H), 3.02 – 2.97 (m, 1H), 1.43 (t, *J* = 3.5 Hz, 9H), 1.25 (d, *J* = 7.0 Hz, 3H), 1.20 – 1.13 (m, 3H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.3, 172.5, 171.4, 170.9, 170.2, 147.8, 136.9, 136.6, 135.6, 134.6, 133.5, 129.9, 129.3, 128.5, 127.2, 61.6, 53.5, 37.9, 35.9, 28.3, 18.5, 14.1. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{25}H_{30}N_2O_7Na$ 493.1951, found 493.1955.

tert-butyl (S)-2-((S)-2-((tert-butoxycarbonyl)amino)propanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoate (4za)



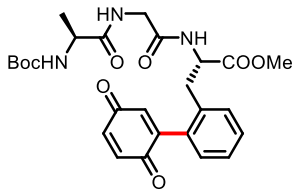
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 4:1; R_f= 0.44) to produce compound **4za** (78 mg, 76% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.38 – 7.34 (m, 1H), 7.29 (dd, *J* = 14.0, 5.5 Hz, 2H), 7.10 (d, *J* = 7.5 Hz, 1H), 6.92 – 6.83 (m, 2H), 6.79 (d, *J* = 2.1 Hz, 1H), 6.66 (s, 1H), 5.00 (s, 1H), 4.59 (d, *J* = 6.1 Hz, 1H), 4.09 (s, 1H), 2.97 – 2.76 (m, 2H), 1.43 (s, 9H), 1.33 (s, 9H), 1.26 (s, 3H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.4, 186.9, 172.2, 170.5, 155.4, 147.9, 137.0, 136.5, 135.5, 134.8, 133.6, 130.0, 129.8, 129.5, 127.1, 82.4, 80.1, 53.0, 50.0, 36.6, 28.3, 27.8, 18.5. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{27}H_{34}N_2O_7Na$ 521.2264, found 521.2262.

methyl (9S,12S)-9-(tert-butyl)-12-((2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)methyl)-2,2-dimethyl-4,7,10-trioxo-3-oxa-5,8,11-triazatridecan-13-oate (3ab)



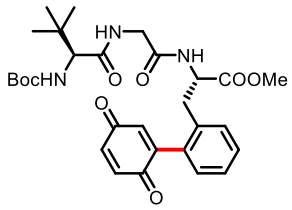
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.41) to produce compound **3ab** (76 mg, 70% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.33 (dd, *J* = 18.7, 11.2 Hz, 1H), 7.26 – 7.19 (m, 2H), 7.17 (d, *J* = 7.0 Hz, 1H), 7.12 – 7.03 (m, 1H), 7.00 (s, 1H), 6.92 – 6.83 (m, 2H), 6.75 (d, *J* = 2.2 Hz, 1H), 5.44 – 5.36 (m, 1H), 4.87 – 4.75 (m, 1H), 4.31 (dd, *J* = 16.0, 9.5 Hz, 1H), 3.84 (dd, *J* = 15.9, 10.2 Hz, 1H), 3.80 – 3.69 (m, 2H), 3.66 (s, 3H), 3.05 (dd, *J* = 14.3, 5.9 Hz, 1H), 1.45 (s, 9H), 0.94 (s, 9H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.4, 172.0, 171.8, 170.2, 169.2, 147.7, 136.9, 136.6, 136.1, 135.5, 134.4, 133.4, 129.9, 129.2, 128.6, 127.3, 80.2, 60.4, 60.2, 53.5, 52.4, 44.3, 38.0, 35.0, 28.3, 26.4. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{29}H_{37}N_3O_8Na$ 578.2478, found 578.2475.

methyl (6S,12S)-12-((2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)methyl)-2,2,6-trimethyl-4,7,10-trioxo-3-oxa-5,8,11-triazatridecan-13-oate (3bb)



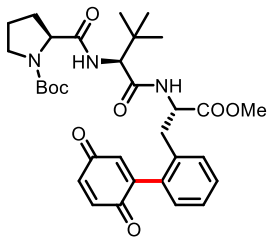
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.36) to produce compound **3bb** (71 mg, 69% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.39 (t, *J* = 7.7 Hz, 1H), 7.31 (t, *J* = 7.5 Hz, 1H), 7.21 (d, *J* = 5.6 Hz, 1H), 7.10 (d, *J* = 7.6 Hz, 1H), 6.92 – 6.84 (m, 3H), 6.76 (t, *J* = 4.3 Hz, 2H), 5.06 (d, *J* = 6.2 Hz, 1H), 4.73 (dd, *J* = 14.4, 7.7 Hz, 1H), 4.14 (s, 1H), 3.97 – 3.90 (m, 1H), 3.80 – 3.74 (m, 1H), 3.66 (s, 3H), 3.09 – 3.04 (m, 1H), 2.81 (dd, *J* = 14.4, 8.8 Hz, 1H), 1.43 (s, 9H), 1.31 (d, *J* = 7.1 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 187.3, 173.0, 171.7, 168.7, 147.7, 136.9, 136.7, 135.6, 134.4, 133.5, 129.9, 129.8, 127.3, 80.5, 65.5, 52.9, 52.6, 42.9, 35.2, 29.8, 28.4. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{26}H_{31}N_3O_8Na$ 536.2009, found 536.2005.

methyl (6S,12S)-6-(tert-butyl)-12-((2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)methyl)-2,2-dimethyl-4,7,10-trioxo-3-oxa-5,8,11-triazatridecan-13-oate (3cb)



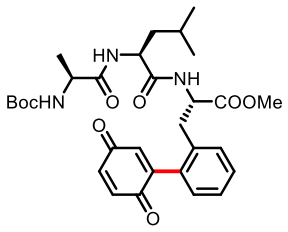
According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.47) to produce compound **3cb** (69 mg, 66% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.38 (t, *J* = 7.5 Hz, 1H), 7.31 (d, *J* = 7.3 Hz, 1H), 7.25 (d, *J* = 7.6 Hz, 1H), 7.10 (d, *J* = 7.3 Hz, 1H), 7.02 (s, 1H), 6.88 (dt, *J* = 10.1, 6.2 Hz, 2H), 6.76 (d, *J* = 2.2 Hz, 1H), 6.64 (s, 1H), 5.31 (d, *J* = 8.5 Hz, 1H), 4.72 (dd, *J* = 14.4, 7.7 Hz, 1H), 3.87 (dd, *J* = 14.7, 5.1 Hz, 3H), 3.64 (s, 3H), 3.04 (dd, *J* = 14.5, 6.2 Hz, 1H), 2.84 (dd, *J* = 14.3, 8.2 Hz, 1H), 1.42 (s, 9H), 0.96 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 187.3, 171.7, 171.3, 168.5, 147.7, 136.9, 136.6, 135.6, 134.5, 133.5, 129.9, 129.7, 129.4, 128.6, 127.3, 79.8, 53.0, 52.5, 42.7, 35.5, 34.5, 28.4, 26.6, 25.5. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{29}H_{37}N_3O_8Na$ 578.2478, found 578.2476.

tert-butyl (S)-2-(((S)-1-(((S)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)-1-methoxy-1-oxopropan-2-yl)amino)-3,3-dimethyl-1-oxobutan-2-yl)carbamoyl)pyrrolidine-1-carboxylate (3db)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.45) to produce compound **3db** (70 mg, 68% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.48 (s, 1H), 7.37 (d, *J* = 7.6 Hz, 1H), 7.31 (s, 1H), 7.22 (dd, *J* = 13.6, 6.1 Hz, 1H), 7.10 (d, *J* = 7.4 Hz, 1H), 6.88 (dd, *J* = 13.1, 7.9 Hz, 2H), 6.76 (d, *J* = 8.7 Hz, 1H), 4.75 (dd, *J* = 14.2, 7.3 Hz, 1H), 4.26 (d, *J* = 19.0 Hz, 1H), 4.13 (dd, *J* = 25.6, 18.4 Hz, 1H), 3.76 – 3.67 (m, 1H), 3.65 (d, *J* = 13.2 Hz, 3H), 3.48 (d, *J* = 7.0 Hz, 1H), 3.34 (s, 1H), 3.01 (dt, *J* = 12.6, 6.3 Hz, 1H), 2.79 (s, 1H), 2.31 (s, 1H), 2.09 (s, 1H), 1.88 (s, 2H), 1.46 (s, 9H), 0.94 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 187.2, 171.8, 170.2, 156.1, 147.9, 146.4, 136.9, 136.6, 135.5, 135.1, 133.4, 131.4, 129.8, 129.1, 80.5, 60.1, 53.6, 52.4, 46.8, 35.5, 28.4, 26.5, 24.8. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{32}H_{41}N_3O_8Na$ 618.2791, found 618.2787.

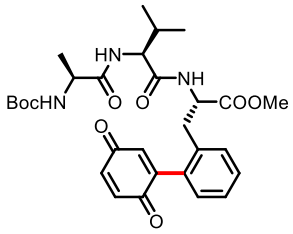
methyl (6S,9S,12S)-12-((2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)methyl)-9-isobutyl-2,2,6-trimethyl-4,7,10-trioxo-3-oxa-5,8,11-triazatridecan-13-oate (3eb)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.40) to produce compound **3eb** (68 mg, 72% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.36 (d, *J* = 7.6 Hz, 1H), 7.30 (s, 1H), 7.25 – 7.21 (m, 2H), 7.13 – 7.10 (m, 2H), 6.88 (dd, *J* = 6.3, 4.6 Hz, 2H), 6.77 (d, *J* = 2.2 Hz, 1H), 5.05 (d, *J* = 7.5 Hz, 1H), 4.81 (d, *J* = 6.8 Hz, 1H), 4.42 – 4.39 (m, 1H), 4.11 – 4.08 (m, 1H), 3.64 (s, 3H), 3.14 (dd, *J* = 10.3, 5.7 Hz, 1H), 2.78 (dd, *J* = 14.4, 8.8 Hz, 1H), 1.45 (s, 3H), 1.43 (s, 9H), 0.93 (d, *J* = 3.0 Hz, 1H), 0.89 (s, 2H), 0.87 (d, *J* = 4.8 Hz, 6H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 187.3, 172.5, 171.8, 147.8, 136.9,

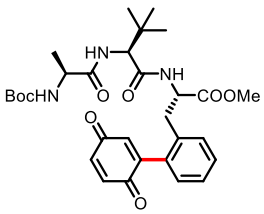
136.7, 135.6, 134.4, 133.5, 129.9, 129.8, 129.3, 128.5, 127.3, 80.6, 52.5, 51.6, 37.8, 35.3, 28.3, 24.6, 23.0, 21.8, 17.8. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{30}H_{39}N_3O_8Na$ 592.2635, found 592.2633.

methyl (6S,9S,12S)-12-((2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)methyl)-9-isopropyl-2,2,6-trimethyl-4,7,10-trioxo-3-oxa-5,8,11-triazatridecan-13-oate (3fb)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.49) to produce compound **3fb** (65 mg, 67% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.39 – 7.34 (m, 1H), 7.30 (t, *J* = 7.7 Hz, 2H), 7.24 – 7.15 (m, 2H), 7.10 (d, *J* = 7.5 Hz, 1H), 6.93 – 6.86 (m, 2H), 6.77 (d, *J* = 2.3 Hz, 1H), 5.05 (d, *J* = 7.3 Hz, 1H), 4.79 (d, *J* = 6.2 Hz, 1H), 4.26 (dd, *J* = 8.7, 5.8 Hz, 1H), 4.14 (d, *J* = 11.5 Hz, 1H), 3.65 (s, 3H), 3.17 (dt, *J* = 22.8, 11.4 Hz, 1H), 3.00 (dd, *J* = 14.0, 8.3 Hz, 1H), 1.43 (s, 9H), 1.31 (d, *J* = 7.1 Hz, 3H), 0.90 (t, *J* = 8.2 Hz, 3H), 0.86 (d, *J* = 7.5 Hz, 1H), 0.80 (dd, *J* = 6.5, 3.6 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 187.3, 172.6, 171.8, 170.9, 147.8, 136.9, 136.7, 135.7, 134.4, 133.5, 130.0, 129.9, 129.2, 128.6, 127.4, 127.0, 100.0, 63.6, 58.0, 52.5, 38.0, 35.2, 28.3, 19.1. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{29}H_{37}N_3O_8Na$ 578.2478, found 578.2476.

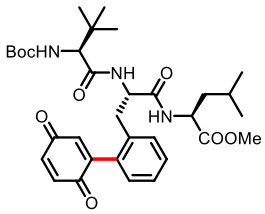
methyl (6S,9S,12S)-9-(tert-butyl)-12-((2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)methyl)-2,2,6-trimethyl-4,7,10-trioxo-3-oxa-5,8,11-triazatridecan-13-oate (3gb)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.39) to produce compound **3gb** (65 mg, 67% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.36 (dd, *J* = 7.6, 1.3 Hz, 1H), 7.31 – 7.27 (m, 2H), 7.20 (dd, *J* = 9.1, 2.6 Hz, 1H), 7.09 (dd, *J* = 7.6, 1.1 Hz, 1H), 6.88 (dt, *J* = 10.1, 6.2 Hz, 3H), 6.76 (d, *J* = 2.3 Hz, 1H), 5.04 (d, *J* = 7.3 Hz, 1H), 4.79 (dd, *J* = 14.2, 8.2 Hz, 1H), 4.19 (d, *J* = 9.2 Hz, 1H), 4.13 (s, 1H), 3.65 (s, 3H), 3.06 – 3.02 (m, 1H), 2.74 (dd, *J* = 14.4, 9.1 Hz, 1H),

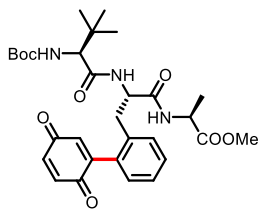
1.43 (s, 9H), 1.29 (d, J = 7.0 Hz, 3H), 0.94 (s, 9H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.3, 172.2, 171.8, 170.1, 147.8, 136.9, 136.7, 135.6, 134.3, 133.4, 130.0, 129.8, 129.2, 128.5, 127.4, 80.1, 60.5, 52.4, 37.9, 35.9, 35.2, 34.9, 28.3, 26.4. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{30}H_{39}N_3O_8Na$ 592.2635, found 592.2632.

methyl ((S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoyl)-L-leucinate (3hb)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.46) to produce compound **3hb** (72 mg, 71% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.34 (dd, J = 14.0, 7.2 Hz, 2H), 7.24 (d, J = 15.4 Hz, 1H), 7.09 (d, J = 7.5 Hz, 1H), 6.96 (dd, J = 17.8, 9.1 Hz, 1H), 6.90 (d, J = 10.5 Hz, 2H), 6.84 – 6.64 (m, 2H), 5.15 (d, J = 8.0 Hz, 1H), 4.71 – 4.64 (m, 1H), 4.42 (dd, J = 7.9, 5.3 Hz, 1H), 3.81 (d, J = 8.2 Hz, 1H), 3.68 (s, 3H), 3.01 (dd, J = 14.6, 6.4 Hz, 1H), 2.78 (dd, J = 14.5, 9.7 Hz, 1H), 1.64 (dd, J = 7.9, 5.7 Hz, 1H), 1.62 – 1.58 (m, 1H), 1.52 (d, J = 8.2 Hz, 1H), 1.42 (s, 9H), 0.91 (dd, J = 22.6, 5.1 Hz, 6H), 0.86 (d, J = 8.6 Hz, 9H). **^{13}C NMR (126 MHz, CDCl$_3$)** δ 187.2, 173.8, 172.5, 171.4, 170.4, 169.8, 148.0, 136.9, 135.9, 135.1, 133.6, 130.0, 129.2, 129.1, 128.7, 127.2, 79.7, 62.8, 53.3, 52.2, 51.0, 41.7, 34.2, 28.3, 26.5, 24.7, 22.7, 21.9. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{33}H_{45}N_3O_8Na$ 634.3104, found 634.3101.

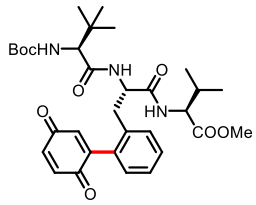
methyl ((S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoyl)-L-alaninate (3ib)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.49) to produce compound **3ib** (75 mg, 78% yield) as yellow oil. **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.35 (q, J = 7.6 Hz, 2H), 7.26 (d, J = 6.7 Hz, 1H), 7.08 (t, J = 7.6 Hz, 2H), 6.95 (d, J = 9.9 Hz, 1H), 6.89 (s, 2H), 6.74 (s, 1H), 5.18 (d, J = 7.3 Hz, 1H), 4.75

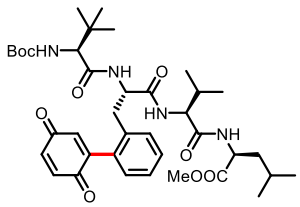
(d, *J* = 7.4 Hz, 1H), 4.44 – 4.37 (m, 1H), 3.81 (d, *J* = 7.9 Hz, 1H), 3.70 (s, 3H), 3.06 (dd, *J* = 14.3, 6.2 Hz, 1H), 2.80 – 2.70 (m, 1H), 1.42 (s, 9H), 1.35 (s, 3H), 0.85 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 187.2, 172.6, 171.3, 170.3, 155.7, 148.0, 136.8, 135.9, 135.2, 133.5, 129.9, 129.1, 127.2, 79.7, 63.0, 53.2, 52.4, 48.3, 34.1, 28.3, 26.6, 17.9. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{30}H_{39}N_3O_8Na$ 592.2635, found 592.2633.

methyl ((S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoyl)-L-valinate (3jb)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.43) to produce compound **3jb** (71 mg, 79% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.33 (dd, *J* = 12.7, 7.3 Hz, 2H), 7.25 (dd, *J* = 14.9, 5.7 Hz, 2H), 7.09 (d, *J* = 7.6 Hz, 1H), 6.99 (d, *J* = 11.9 Hz, 1H), 6.89 (d, *J* = 2.0 Hz, 2H), 6.74 (d, *J* = 1.8 Hz, 1H), 5.23 (d, *J* = 8.7 Hz, 1H), 4.74 – 4.64 (m, 1H), 4.35 (dd, *J* = 8.4, 5.2 Hz, 1H), 3.86 (d, *J* = 8.9 Hz, 1H), 3.68 (s, 3H), 2.97 (dd, *J* = 14.7, 7.0 Hz, 1H), 2.81 (dd, *J* = 14.5, 9.1 Hz, 1H), 2.10 – 2.05 (m, 1H), 1.41 (s, 9H), 0.88 (d, *J* = 5.0 Hz, 9H), 0.87 – 0.80 (m, 6H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 187.2, 171.5, 171.4, 170.5, 155.5, 147.9, 136.9, 135.8, 134.9, 133.5, 129.9, 129.4, 129.0, 128.7, 128.6, 127.2, 79.6, 62.6, 57.5, 53.5, 52.1, 34.4, 31.1, 28.3, 26.5, 18.9, 17.8. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{32}H_{43}N_3O_8Na$ 620.2948, found 620.2945.

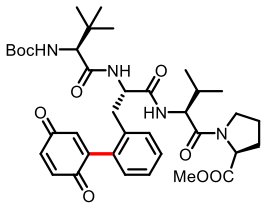
methyl ((S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoyl)-L-valyl-L-leucinate (3kb)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.33) to produce compound **3kb** (65 mg, 68% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.50 (d, *J* = 13.1 Hz, 1H), 7.31 (s, 2H), 7.15 (s, 2H), 7.09 (d, *J* = 7.6 Hz, 1H), 6.92 (d, *J* = 10.4 Hz, 1H), 6.91 – 6.84 (m, 2H), 6.75 (d, *J* = 2.2 Hz, 1H), 5.29 (s, 1H), 4.76 (dd, *J* = 15.6, 7.5 Hz, 1H), 4.54 (dd, *J* = 14.6, 7.3 Hz, 1H), 4.33 (t, *J*

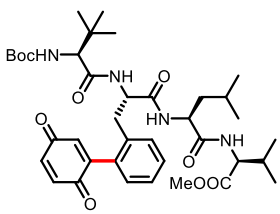
= 6.8 Hz, 1H), 3.97 (s, 1H), 3.73 (s, 3H), 2.97 (d, *J* = 11.8 Hz, 1H), 2.75 (dd, *J* = 14.2, 9.1 Hz, 1H), 2.08 (s, 1H), 1.42 (s, 9H), 1.40 (d, *J* = 7.1 Hz, 6H), 0.91 (dd, *J* = 7.2, 4.2 Hz, 6H), 0.88 (s, 3H), 0.86 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 187.3, 173.2, 171.3, 170.8, 170.3, 155.7, 147.9, 136.8, 136.7, 135.7, 135.0, 133.4, 129.9, 129.8, 129.3, 127.2, 79.6, 62.6, 58.5, 53.9, 52.4, 47.9, 34.4, 30.9, 28.4, 27.2, 26.6, 19.0, 18.2, 18.0. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{38}H_{54}N_4O_9Na$ 733.3788, found 733.3785.

methyl ((S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoyl)-L-valyl-L-prolinate (3lb)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.36) to produce compound **3lb** (69 mg, 65% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.40 – 7.31 (m, 2H), 7.25 (d, *J* = 6.8 Hz, 1H), 7.07 (d, *J* = 7.0 Hz, 1H), 6.88 (dd, *J* = 14.6, 6.3 Hz, 2H), 6.85 (s, 2H), 6.71 (d, *J* = 2.0 Hz, 1H), 5.26 – 5.15 (m, 1H), 4.68 (dd, *J* = 15.6, 7.5 Hz, 1H), 4.52 – 4.41 (m, 2H), 3.82 (s, 1H), 3.71 (d, *J* = 13.1 Hz, 3H), 3.64 (dd, *J* = 12.0, 7.7 Hz, 2H), 2.96 – 2.86 (m, 1H), 2.77 (dd, *J* = 14.5, 9.0 Hz, 1H), 2.27 – 2.15 (m, 2H), 2.06 – 1.92 (m, 6H), 1.43 (s, 2H), 1.41 (s, 9H), 0.88 (d, *J* = 7.1 Hz, 9H), 0.84 (d, *J* = 6.8 Hz, 1H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 187.3, 172.3, 170.4, 169.8, 155.5, 147.9, 136.9, 136.7, 135.6, 134.9, 133.5, 129.9, 129.2, 127.1, 79.5, 62.4, 58.9, 58.8, 55.6, 53.7, 52.2, 47.2, 34.6, 31.4, 29.0, 28.3, 26.5, 24.9, 19.1, 17.7. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{37}H_{50}N_4O_9Na$ 717.3475, found 717.3472.

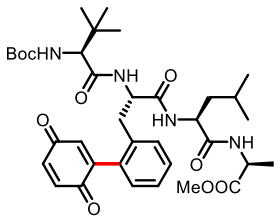
methyl ((S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoyl)-L-leucyl-L-valinate (3mb)



According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.38) to produce compound **3mb** (64 mg, 63% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.37 (d, *J* = 4.0 Hz, 2H), 7.28 – 7.25 (m, 1H), 7.23 (d, *J* = 6.0 Hz,

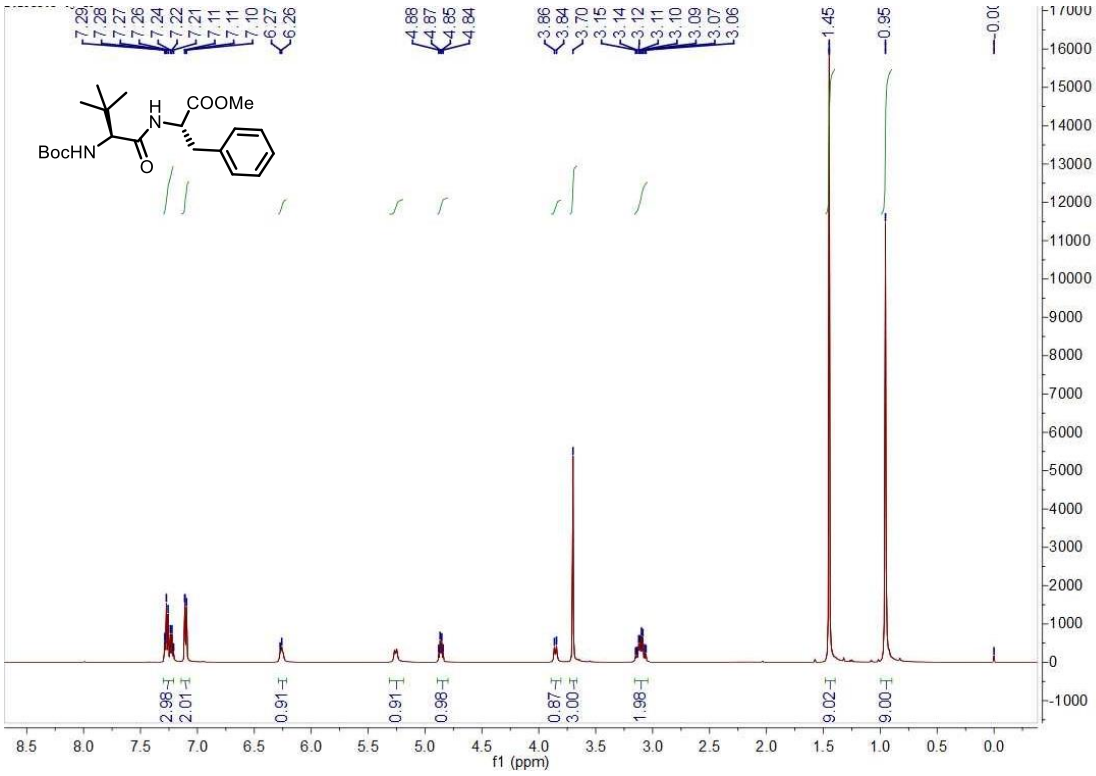
1H), 7.16 (s, 1H), 7.09 (d, *J* = 7.6 Hz, 1H), 7.03 (d, *J* = 7.8 Hz, 1H), 6.92 – 6.86 (m, 2H), 6.75 (d, *J* = 2.0 Hz, 1H), 5.08 (d, *J* = 5.4 Hz, 1H), 4.77 (s, 1H), 4.53 – 4.41 (m, 2H), 3.74 (d, *J* = 4.9 Hz, 1H), 3.72 (s, 3H), 3.20 (s, 1H), 2.60 (s, 1H), 2.18 (dd, *J* = 12.8, 6.4 Hz, 1H), 1.78 (s, 1H), 1.57 (dt, *J* = 22.3, 8.5 Hz, 2H), 1.43 (s, 9H), 0.93 (d, *J* = 6.8 Hz, 6H), 0.88 (t, *J* = 6.0 Hz, 6H), 0.82 (d, *J* = 14.9 Hz, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 188.0, 187.0, 172.2, 171.9, 171.6, 170.8, 156.2, 148.0, 137.0, 136.6, 133.5, 130.1, 130.0, 128.7, 127.3, 80.1, 64.0, 57.6, 54.1, 52.2, 52.0, 40.6, 30.8, 28.4, 26.6, 24.8, 22.9, 21.8, 19.0, 18.1. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{38}H_{54}N_4O_9Na$ 733.3788, found 733.3787.

methyl ((S)-2-((S)-2-((tert-butoxycarbonyl)amino)-3,3-dimethylbutanamido)-3-(2',5'-dioxo-2',5'-dihydro-[1,1'-biphenyl]-2-yl)propanoyl)-L-leucyl-L-alaninate (3nb)

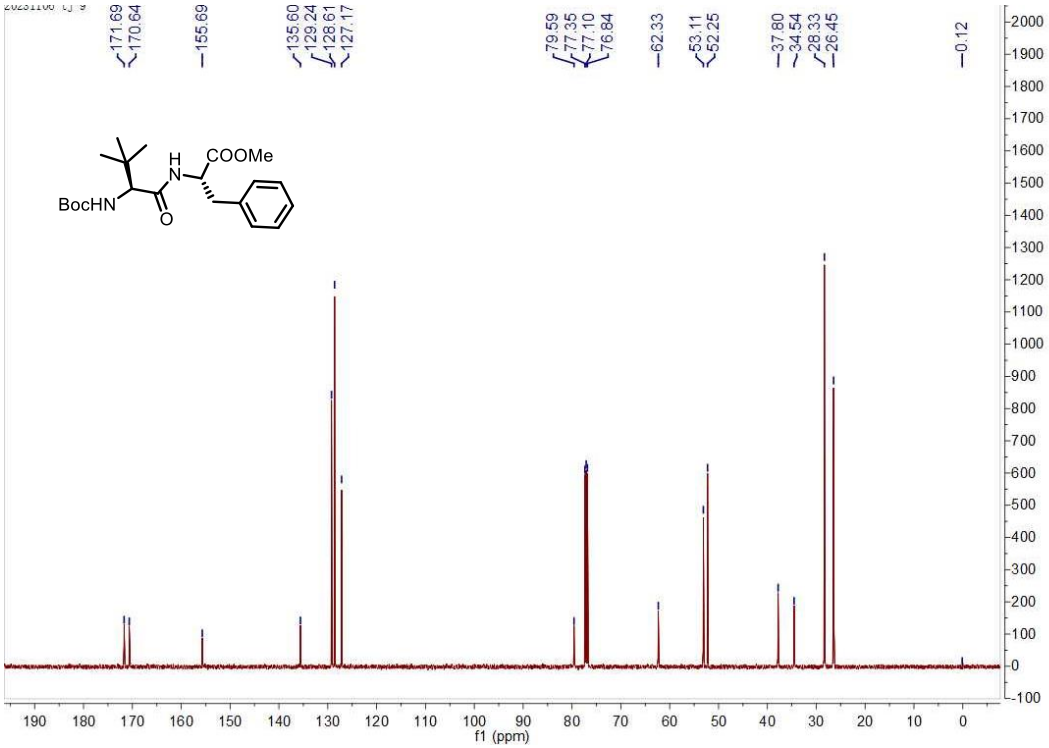


According to the general procedure, the crude residue was purified by flash column chromatography on silica gel (petroleum ether: ethyl acetate= 3:1; R_f = 0.32) to produce compound **3nb** (72 mg, 69% yield) as yellow oil. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.38 (d, *J* = 3.8 Hz, 2H), 7.26 (d, *J* = 5.3 Hz, 1H), 7.22 (d, *J* = 7.0 Hz, 1H), 7.17 (d, *J* = 7.2 Hz, 2H), 7.09 (d, *J* = 7.6 Hz, 1H), 6.90 (dt, *J* = 22.8, 6.2 Hz, 2H), 6.75 (d, *J* = 2.3 Hz, 1H), 5.09 (s, 1H), 4.76 (s, 1H), 4.51 (dt, *J* = 14.6, 7.2 Hz, 2H), 3.72 (s, 3H), 3.68 (d, *J* = 4.6 Hz, 1H), 3.23 (s, 1H), 2.58 (s, 1H), 1.82 (s, 1H), 1.60 – 1.50 (m, 2H), 1.43 (s, 9H), 0.92 (s, 3H), 0.88 (dd, *J* = 6.2, 4.0 Hz, 6H), 0.80 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 188.1, 186.9, 173.2, 172.0, 171.6, 170.7, 156.4, 148.0, 137.1, 136.5, 136.2, 136.2, 133.5, 130.2, 130.0, 129.1, 128.9, 127.3, 80.2, 64.5, 54.5, 52.3, 51.8, 48.2, 40.5, 33.7, 28.4, 26.6, 24.8, 22.9, 21.7, 17.5. **HRMS (ESI)** $[M+Na]^+$ m/z calcd for $C_{36}H_{50}N_4O_9Na$ 705.3475, found 705.3472.

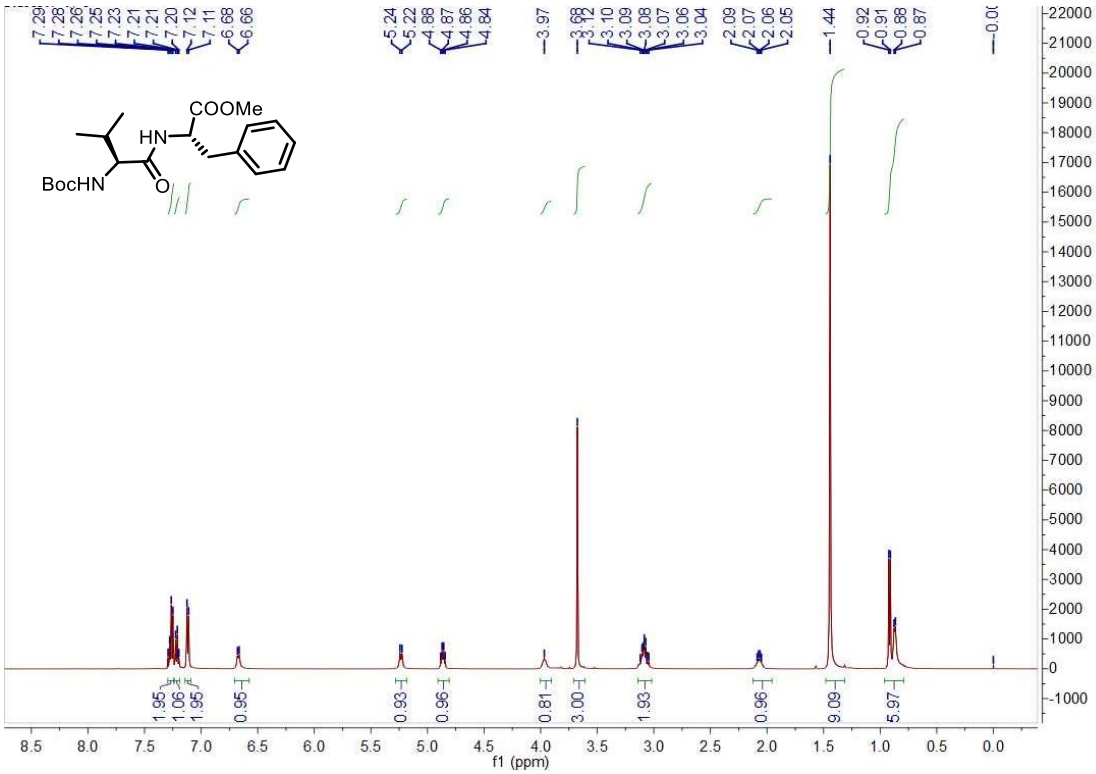
4. Copies of NMR spectra



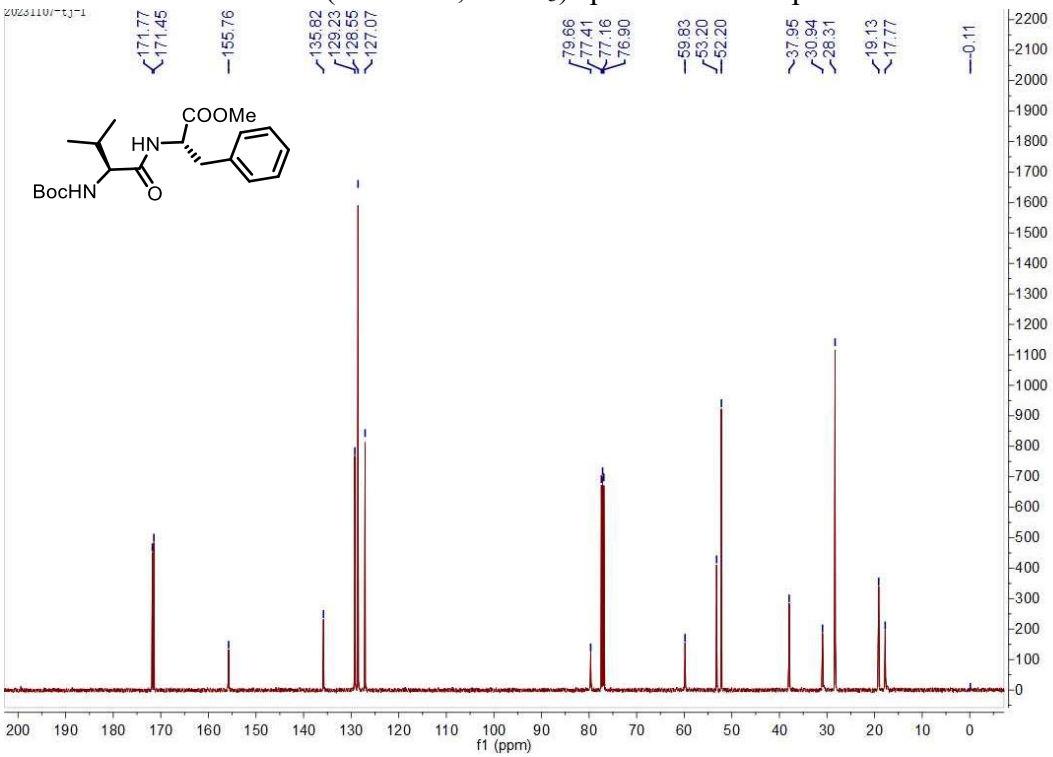
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1a**



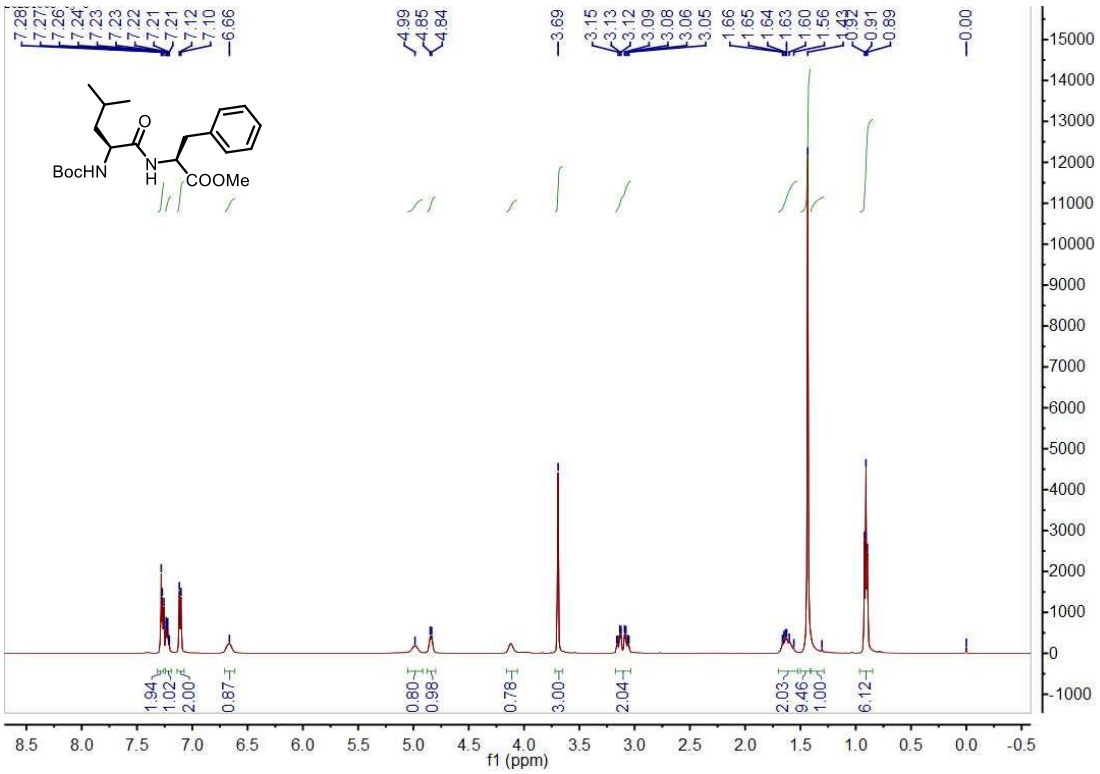
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **1a**



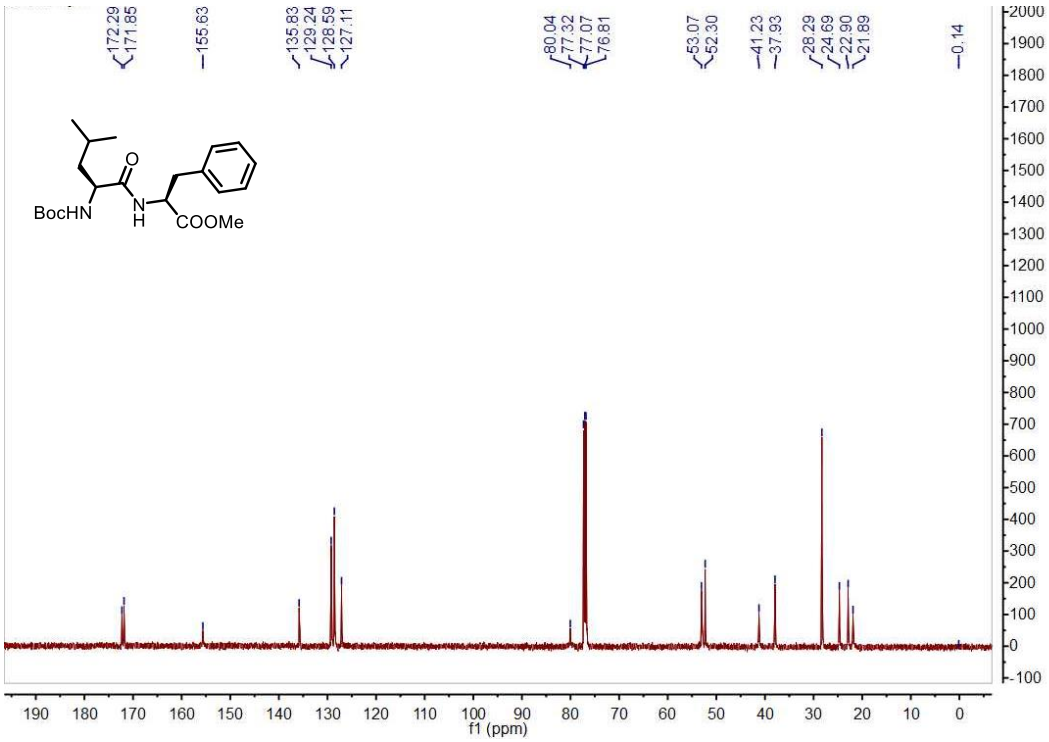
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1b**



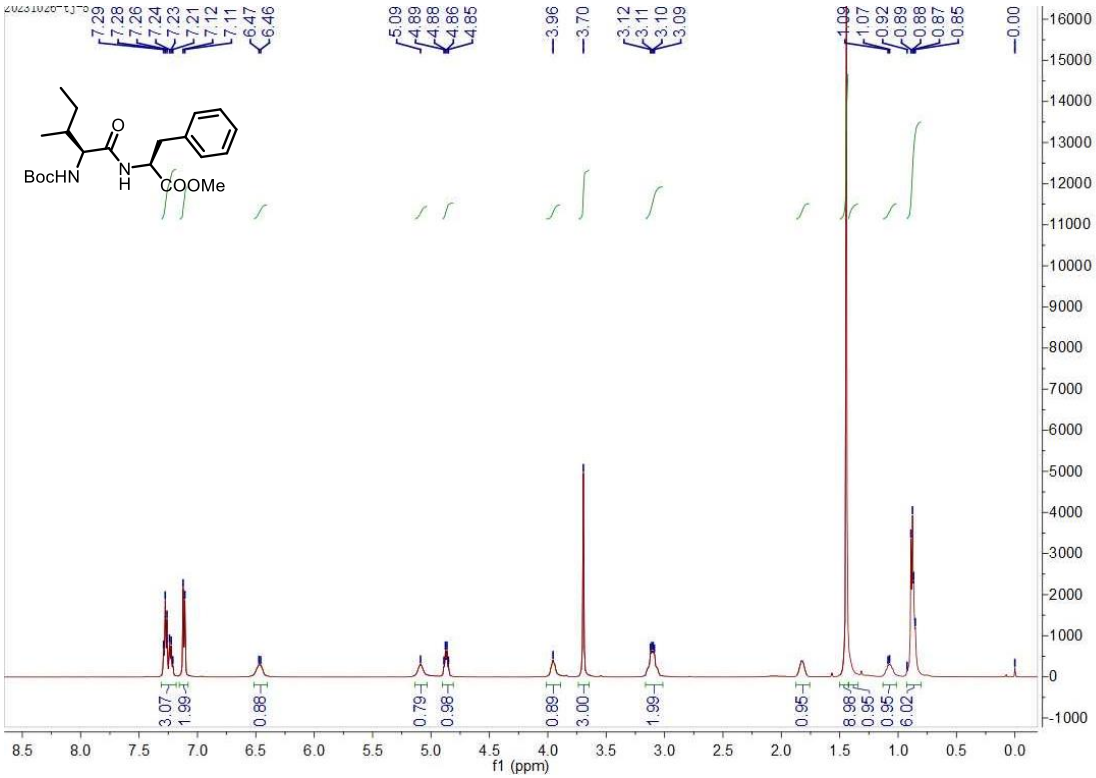
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **1b**



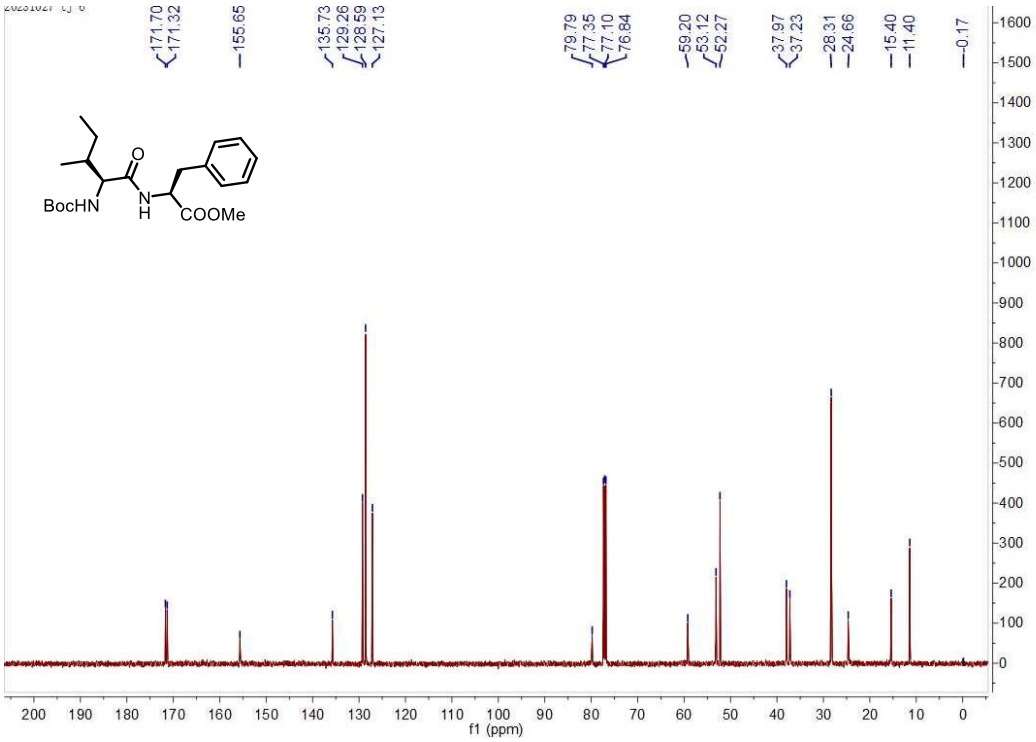
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1c**



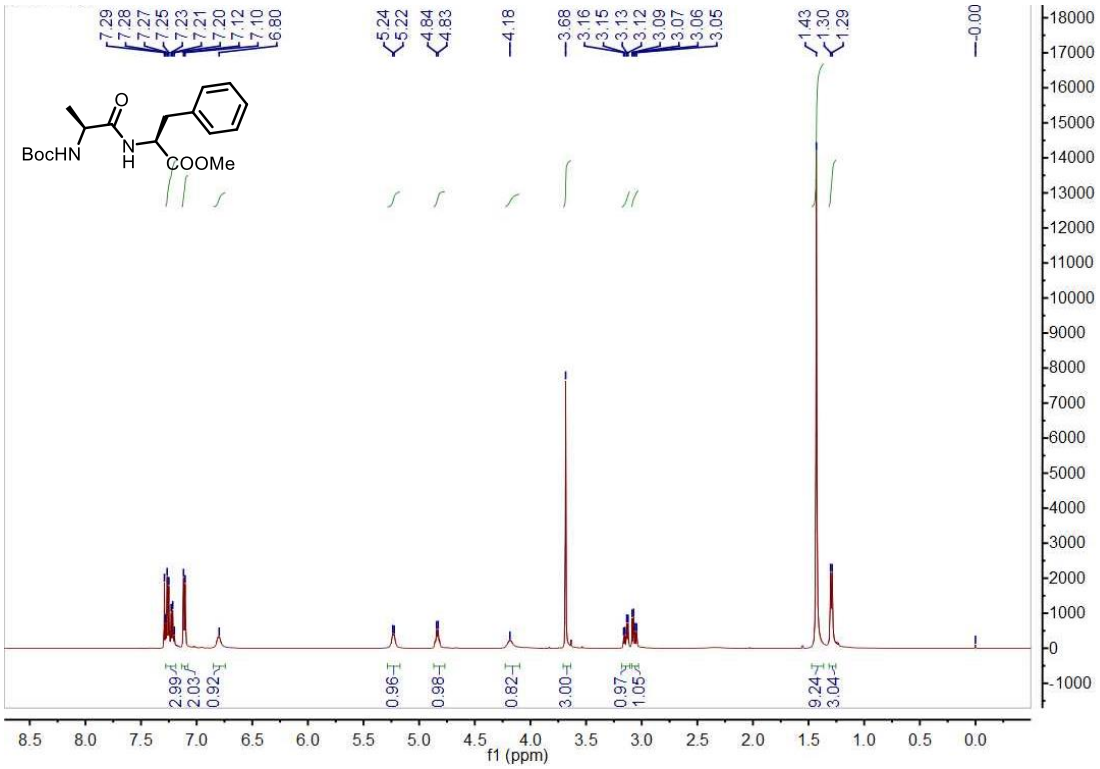
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1c**



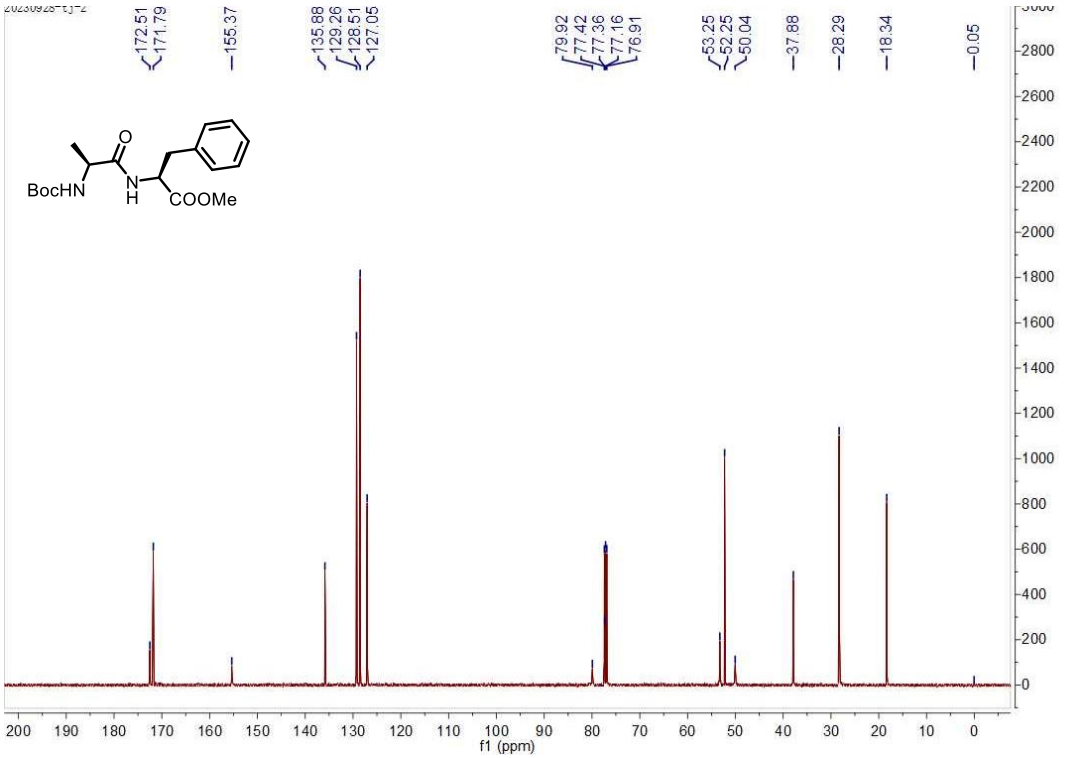
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1d**



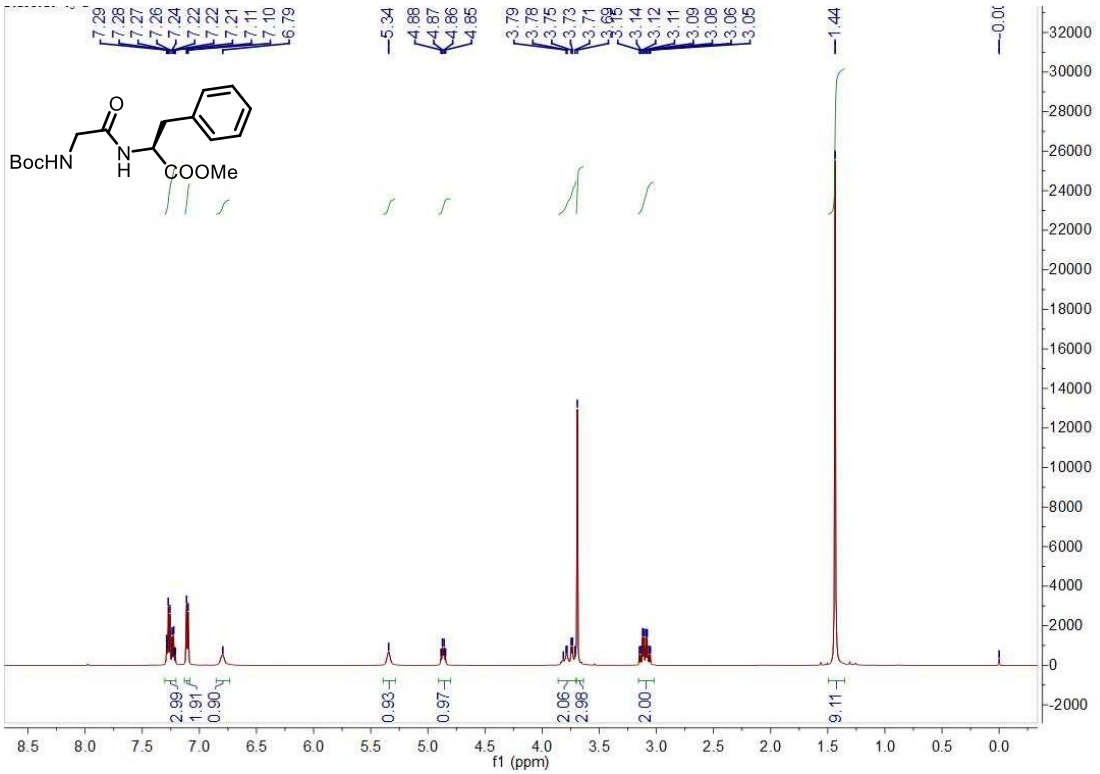
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1d**



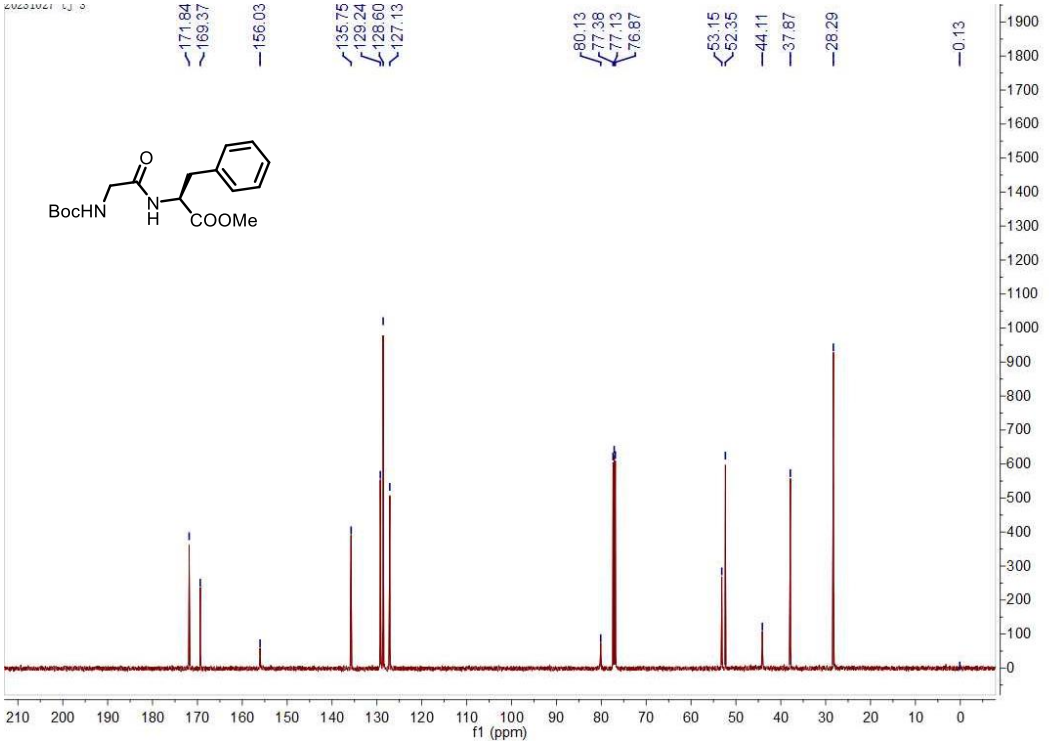
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1e**



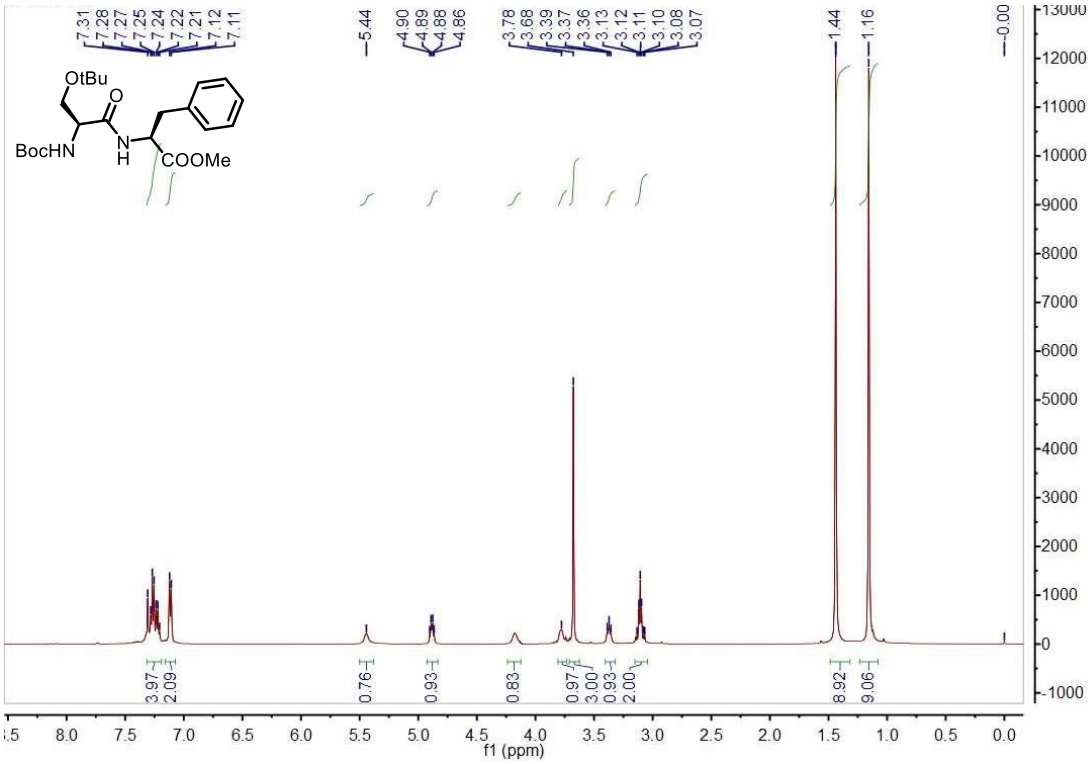
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1e**



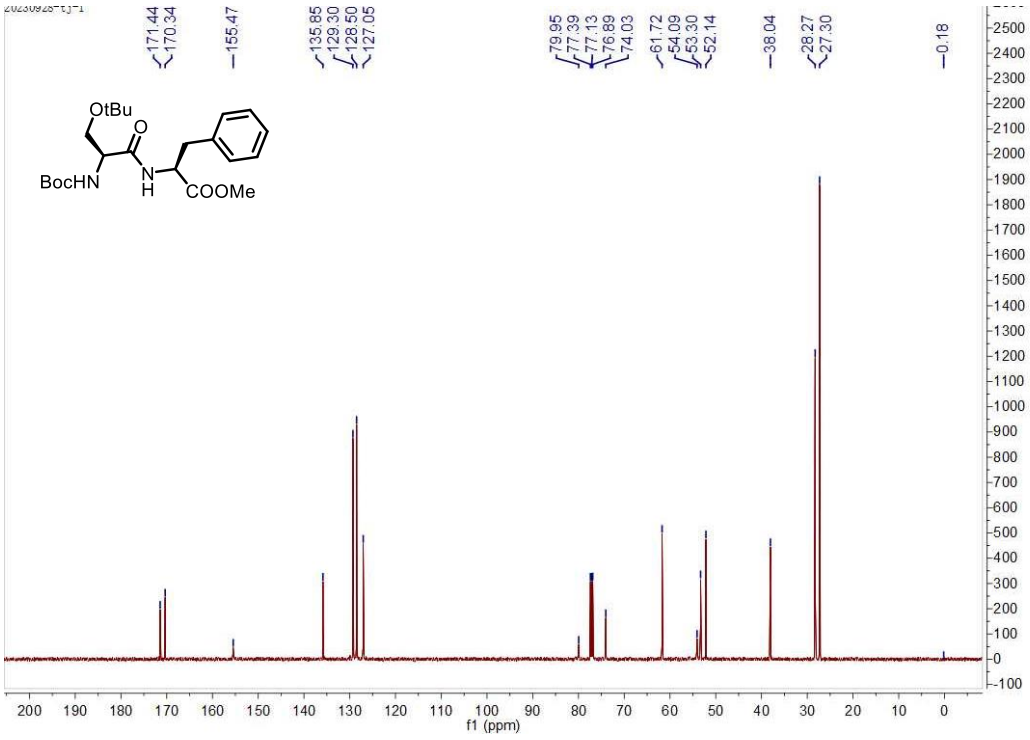
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1f**



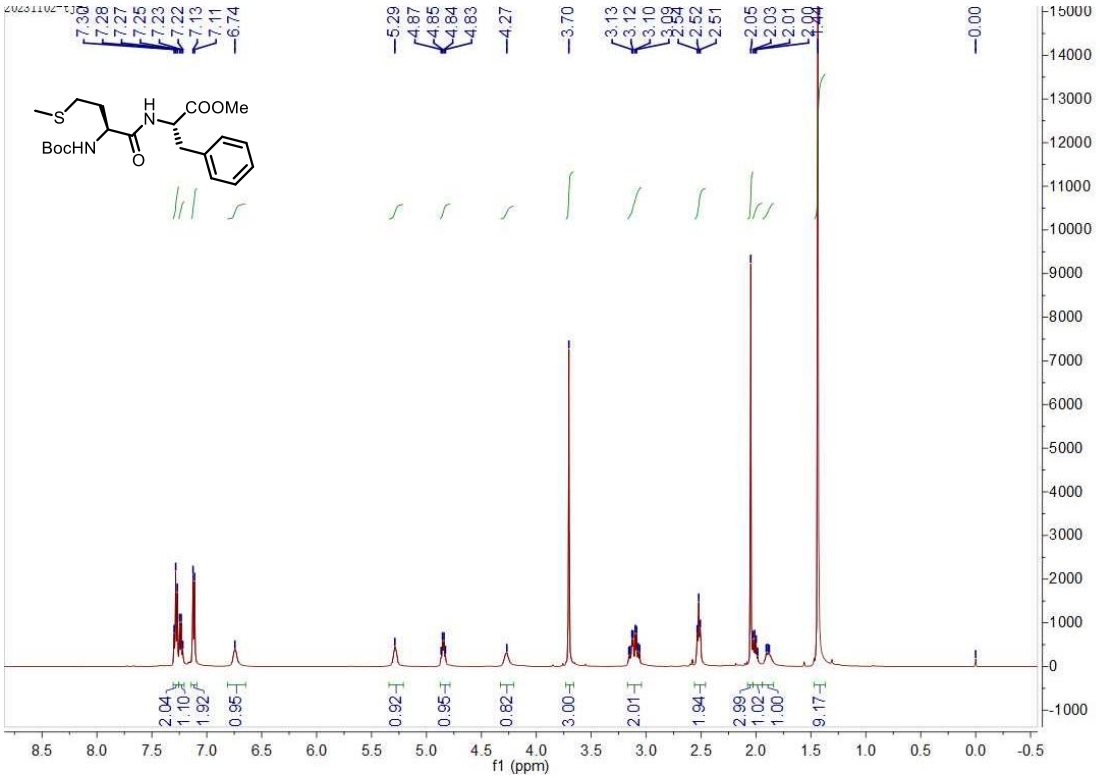
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1f**



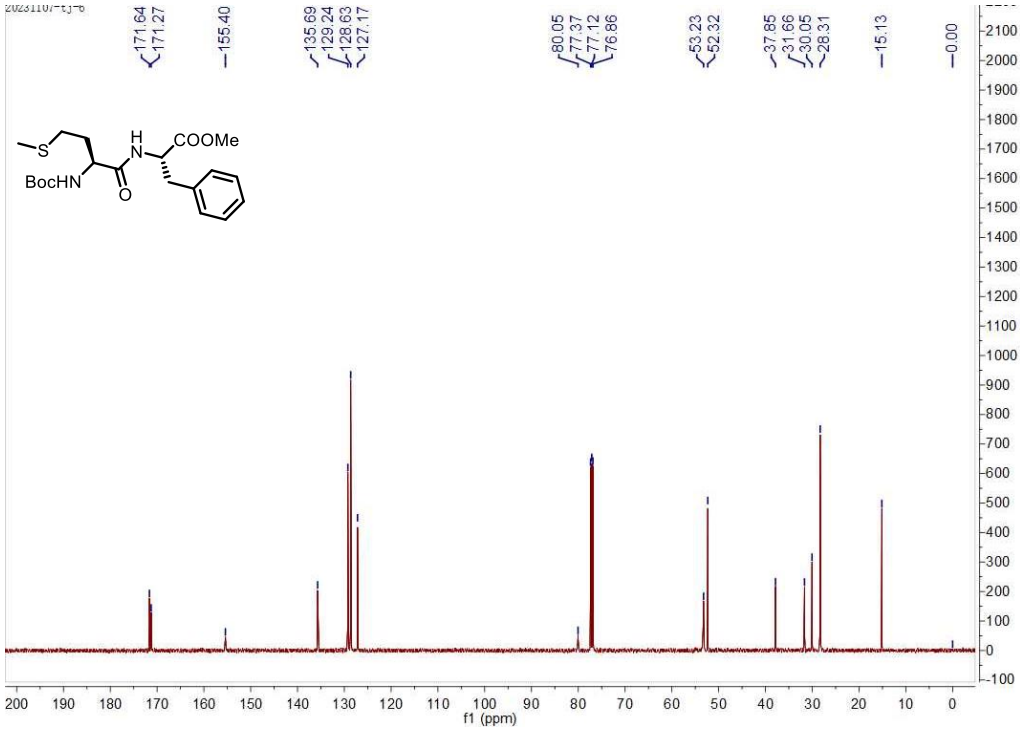
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1g**



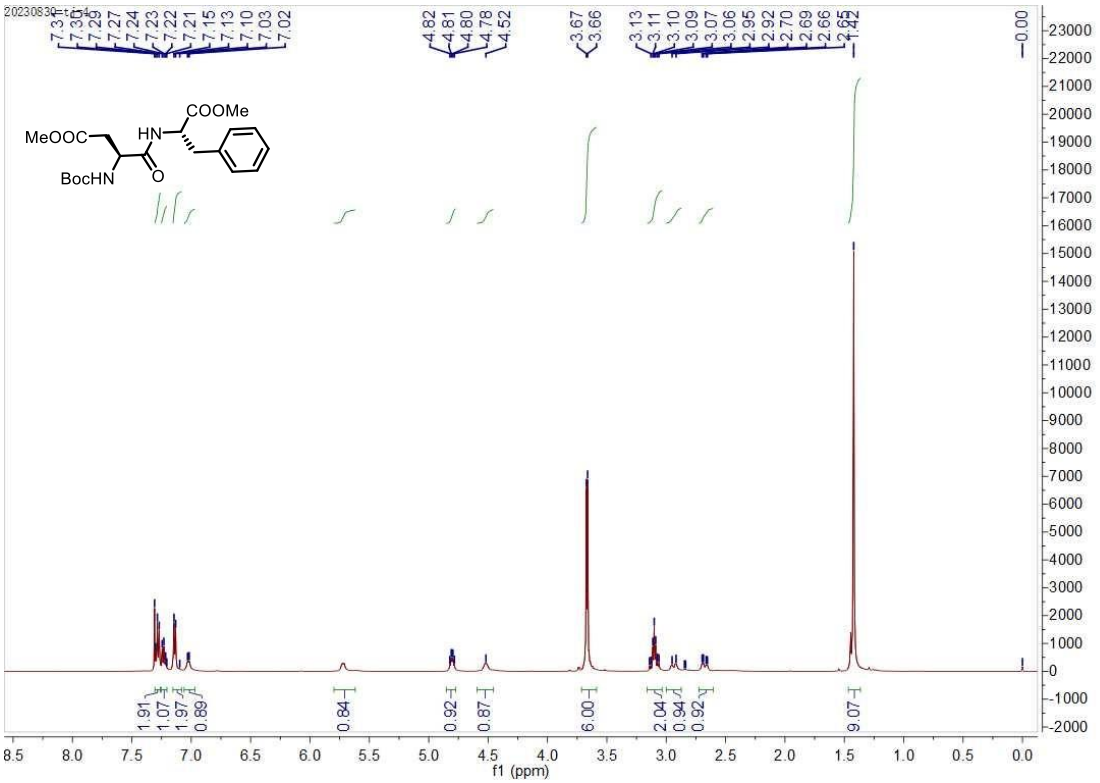
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **1g**



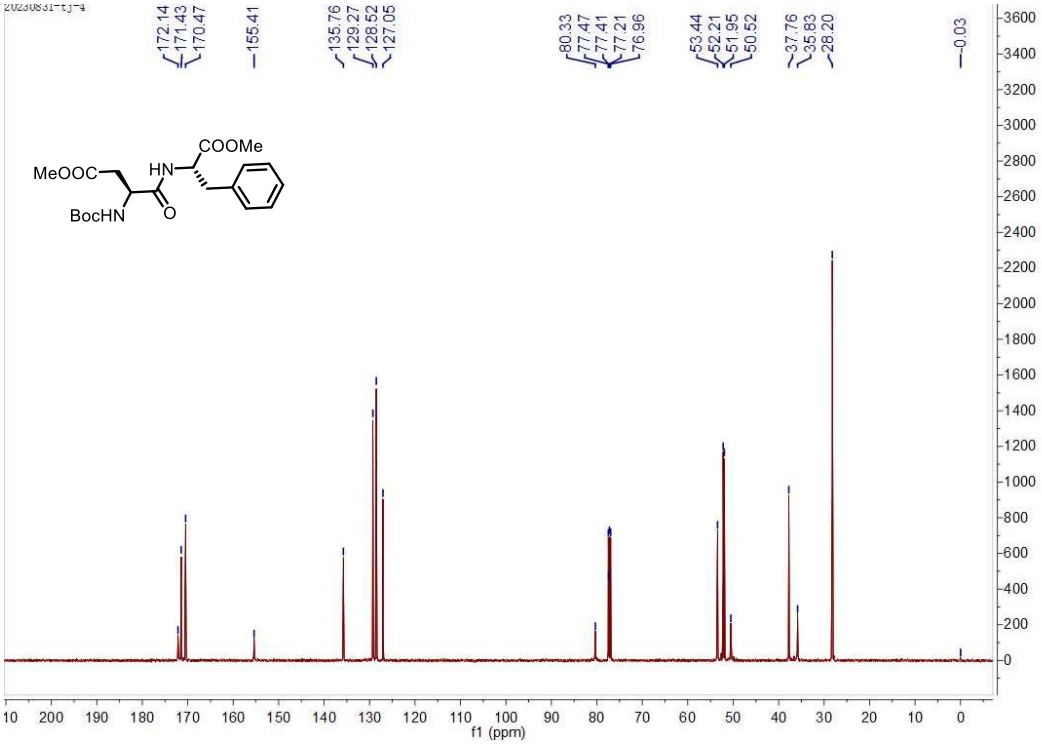
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1h**



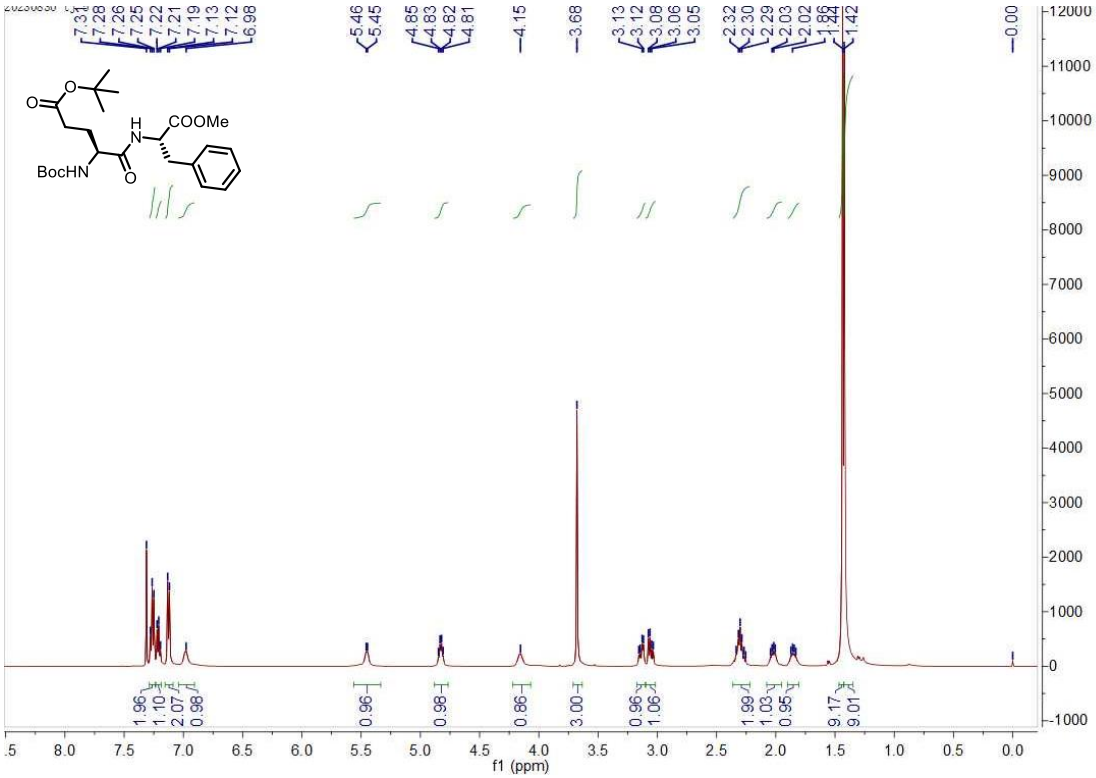
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1h**



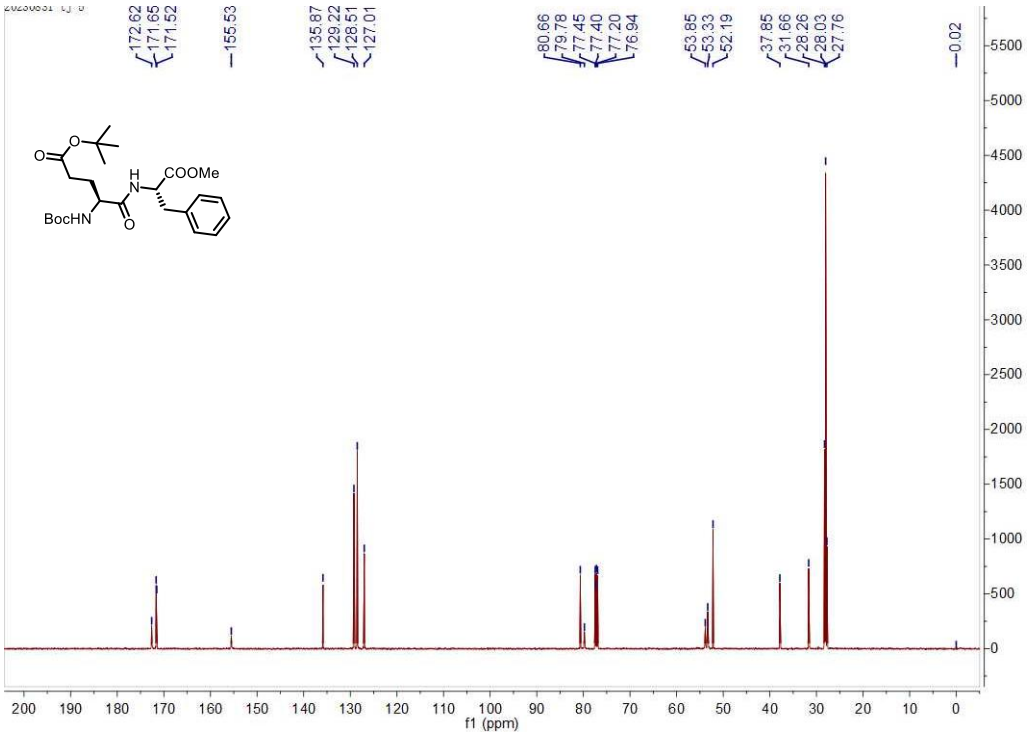
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1i**



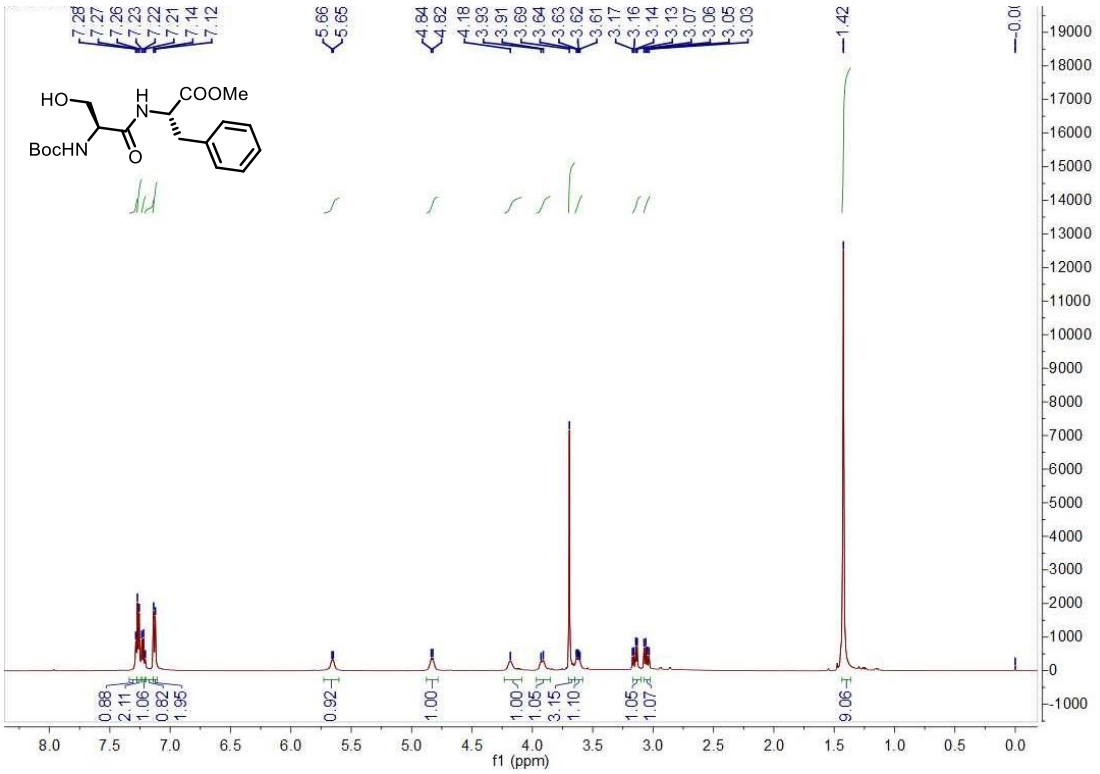
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1i**



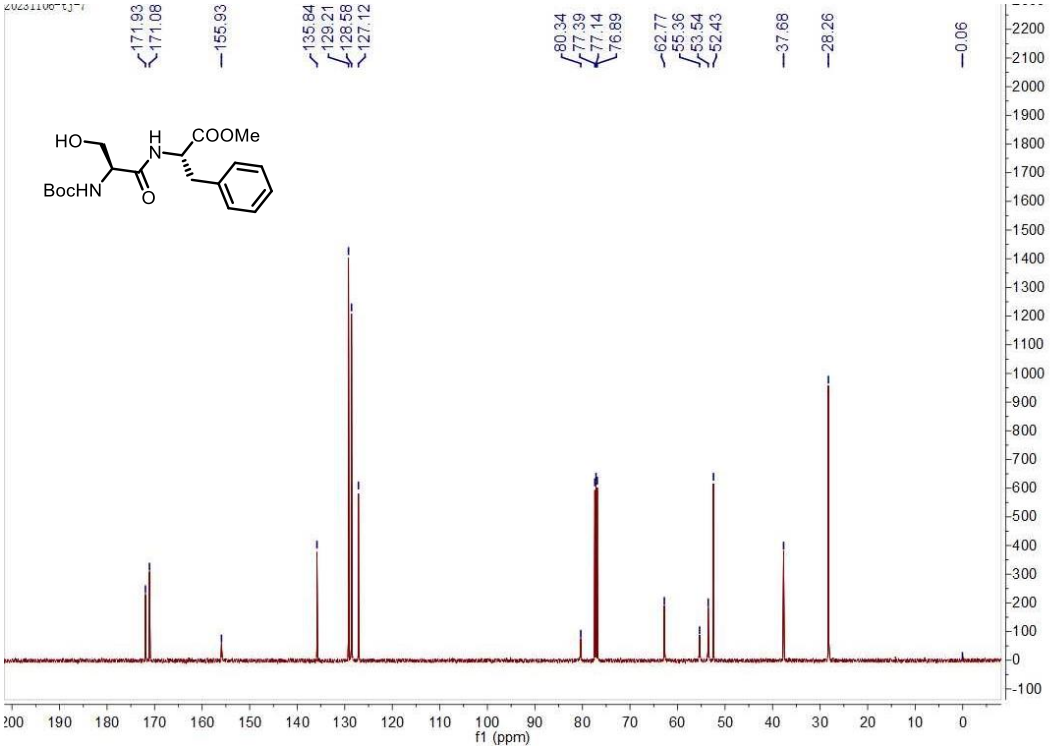
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1j**



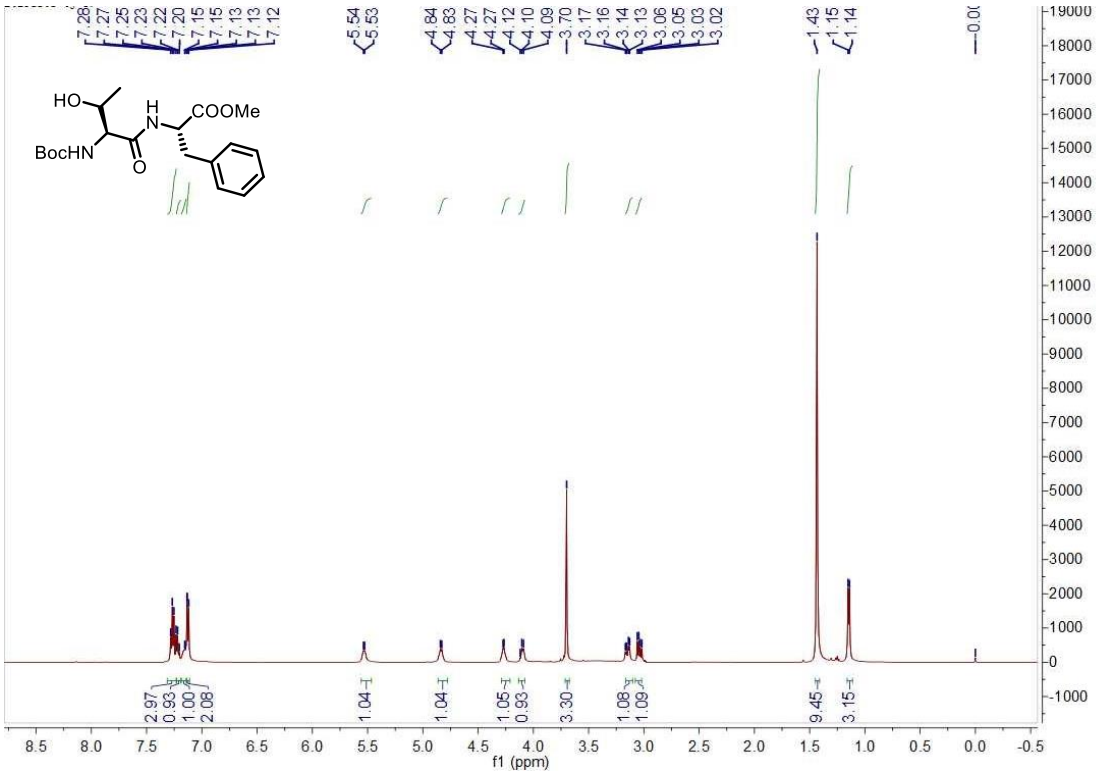
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1j**



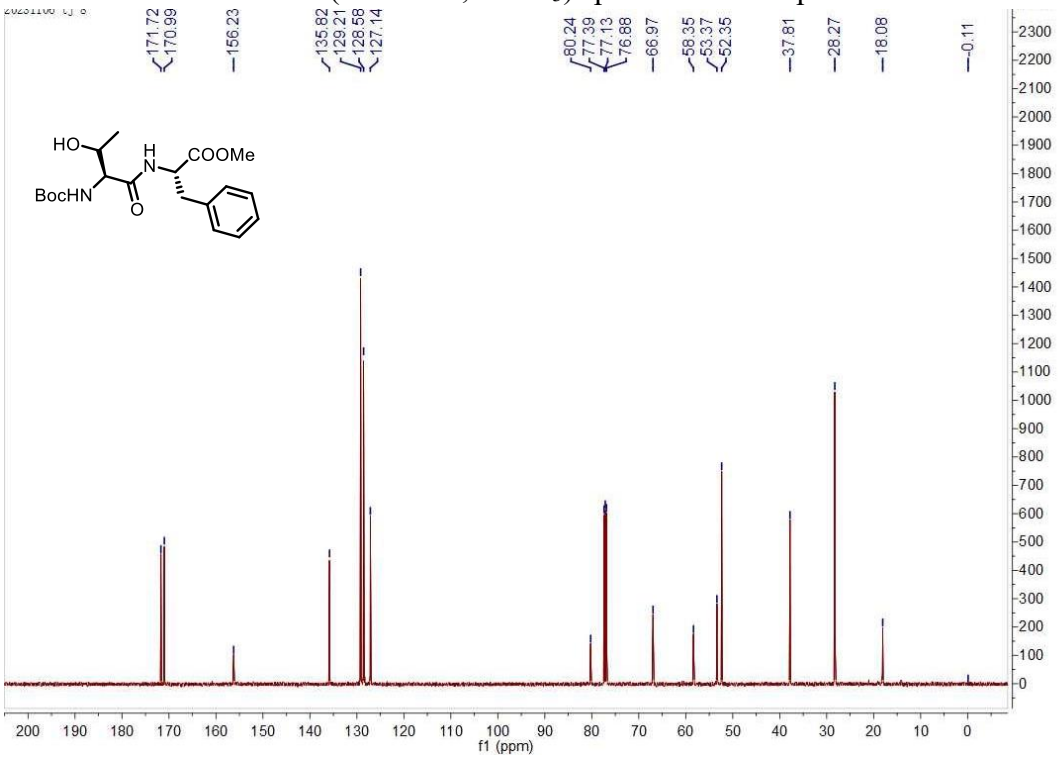
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1k**



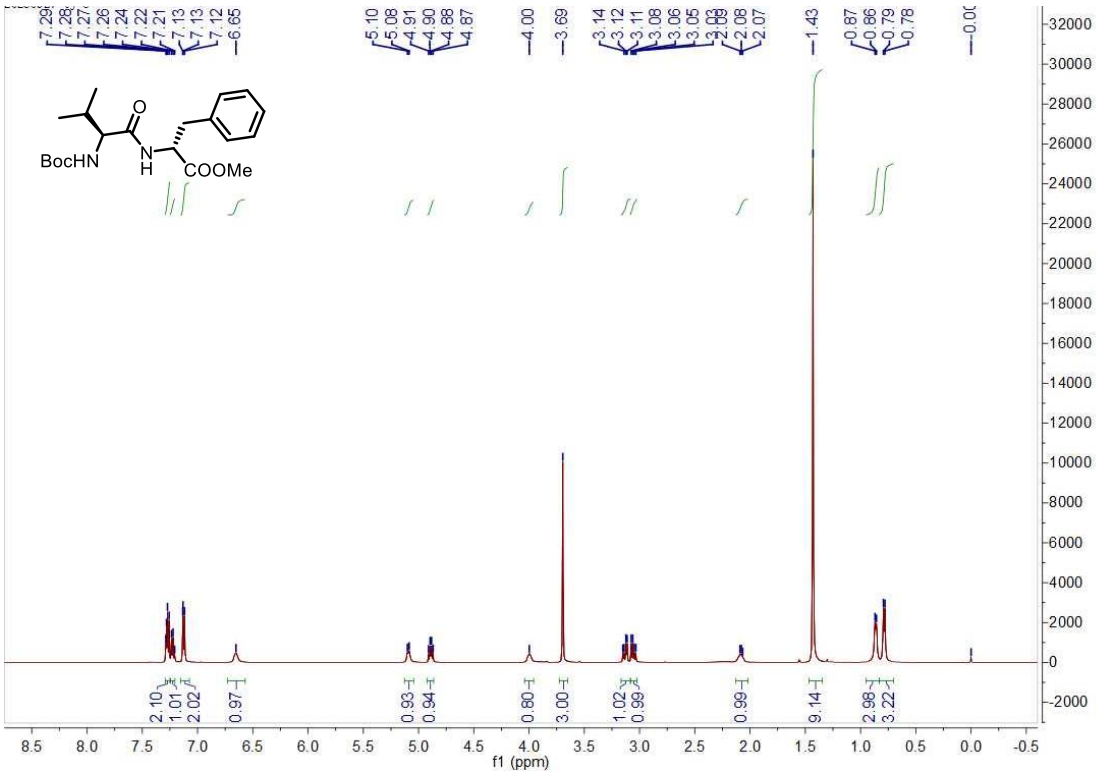
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **1k**



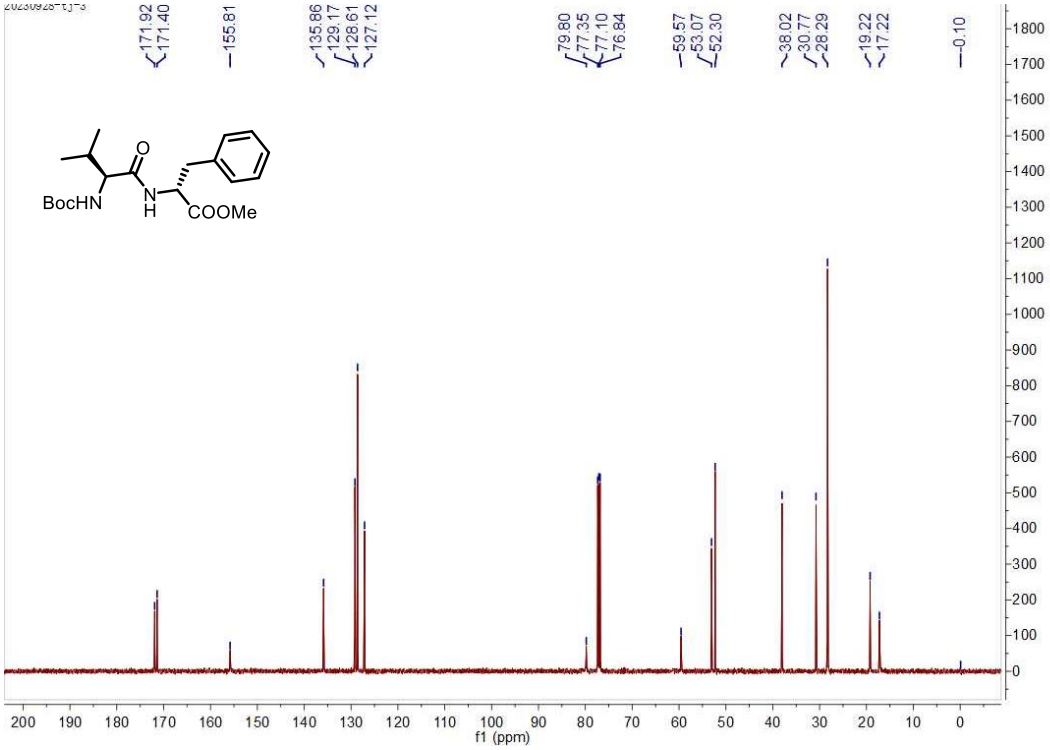
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1l**



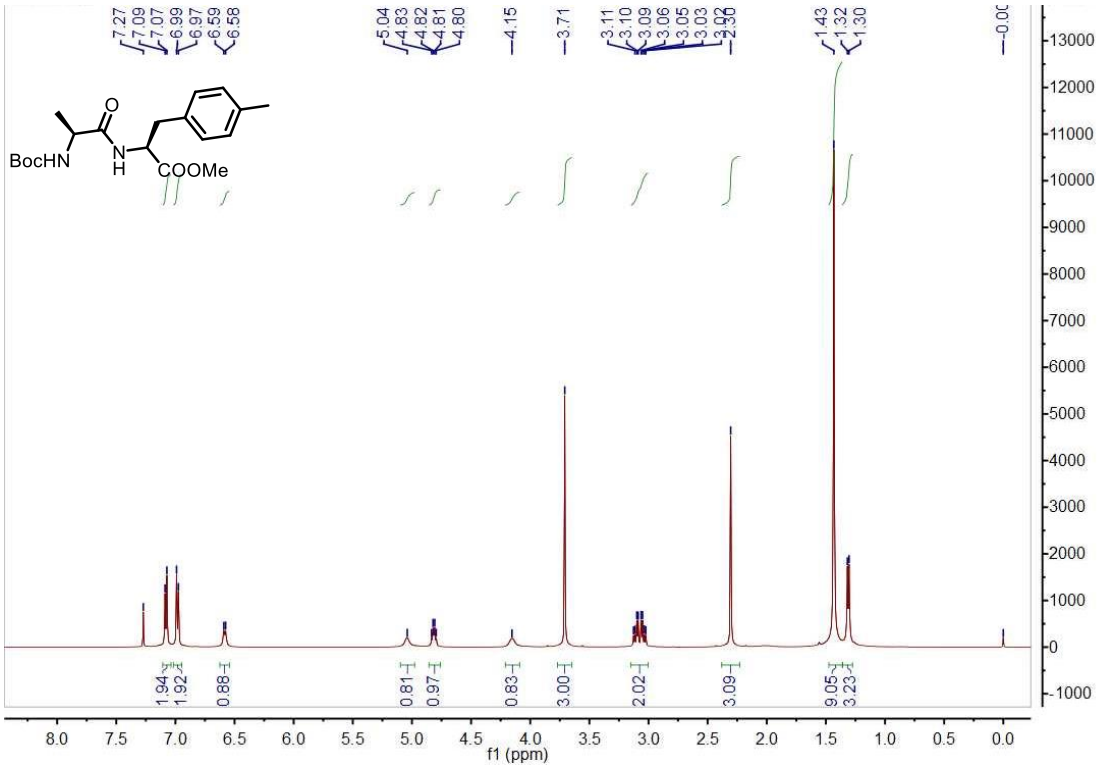
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1l**



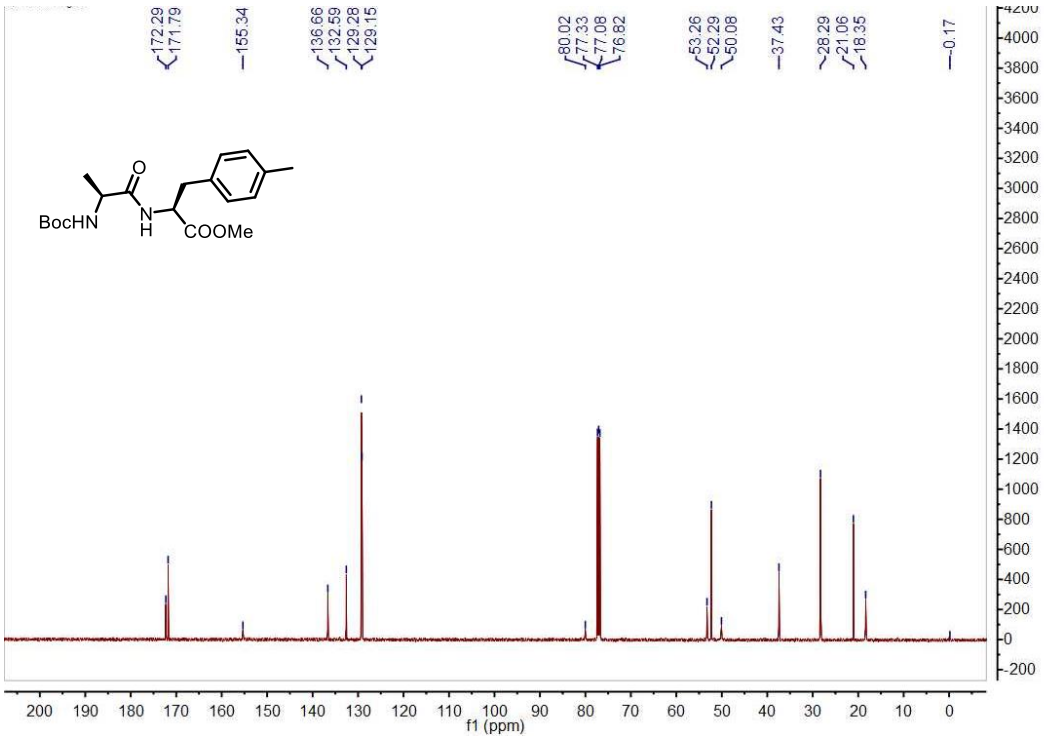
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1m**



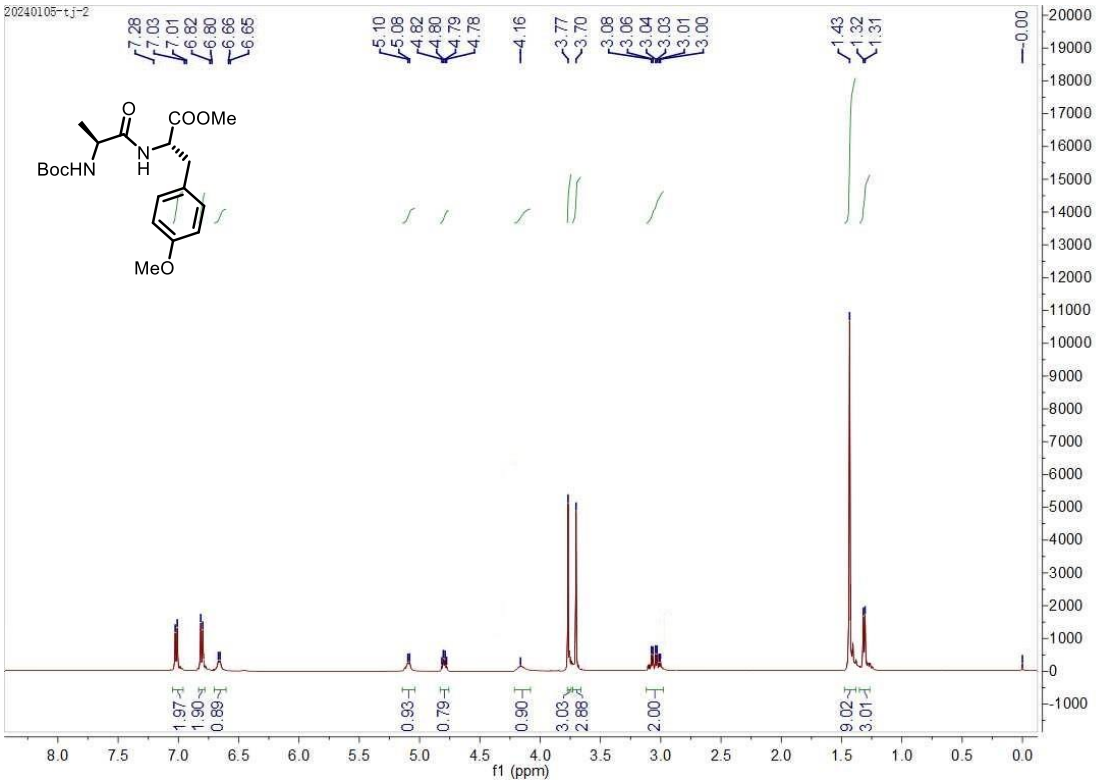
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **1m**



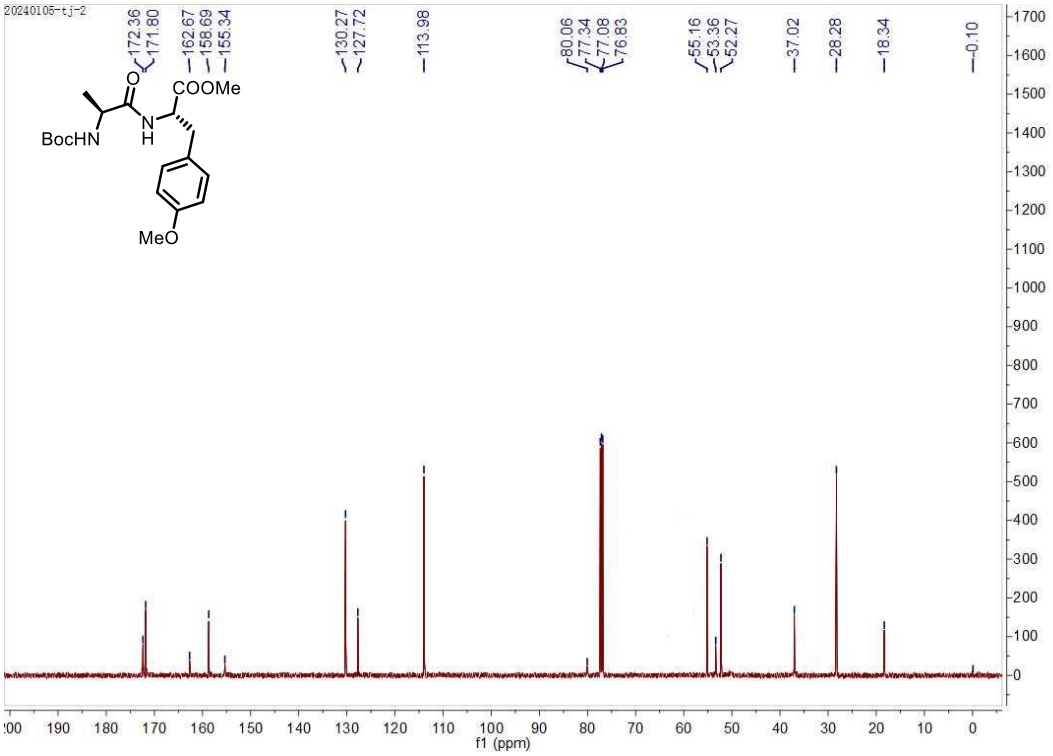
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1n**



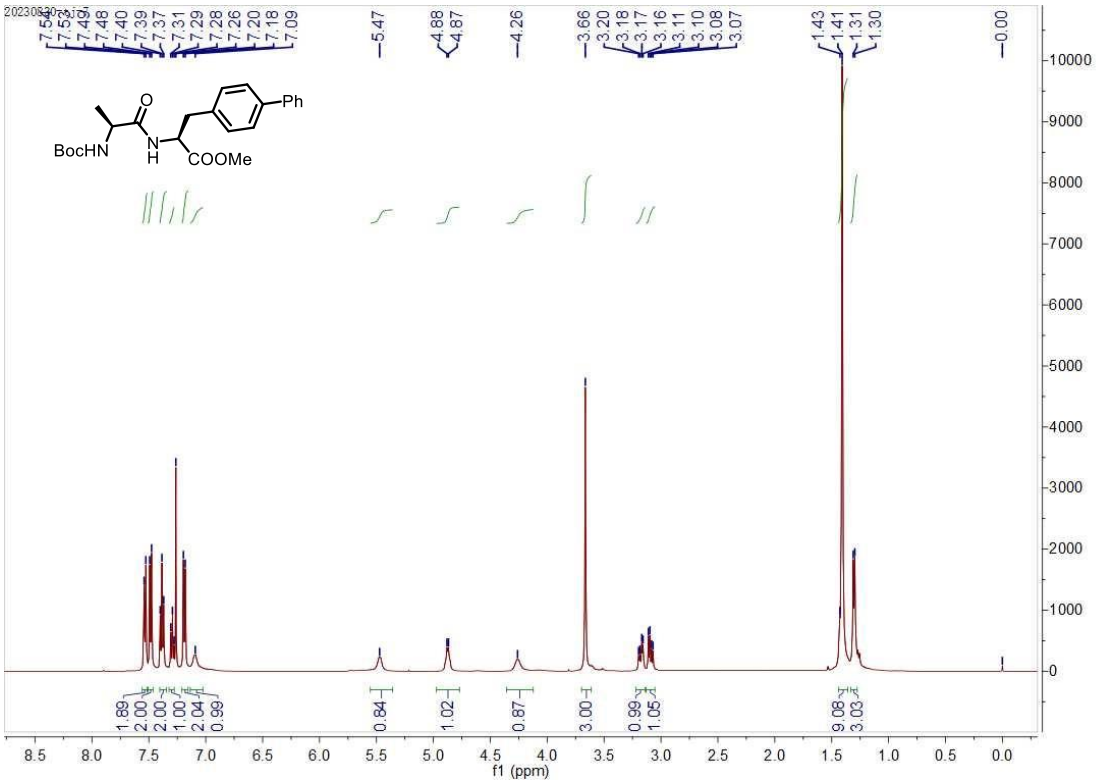
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1n**



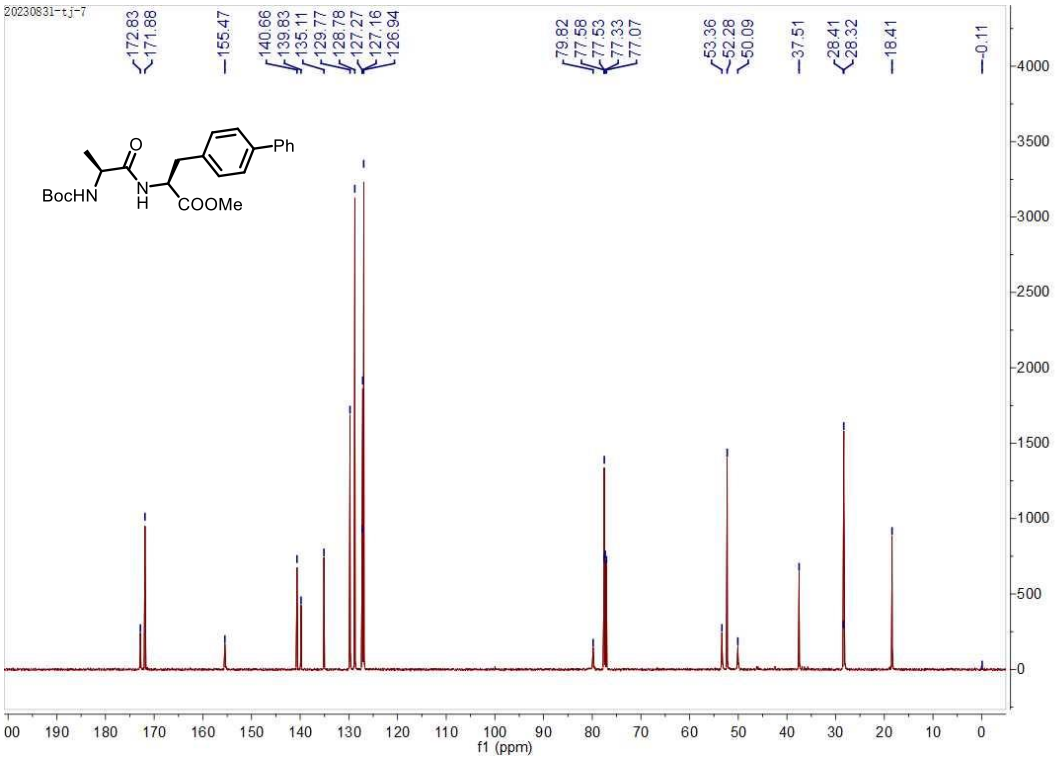
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1o**



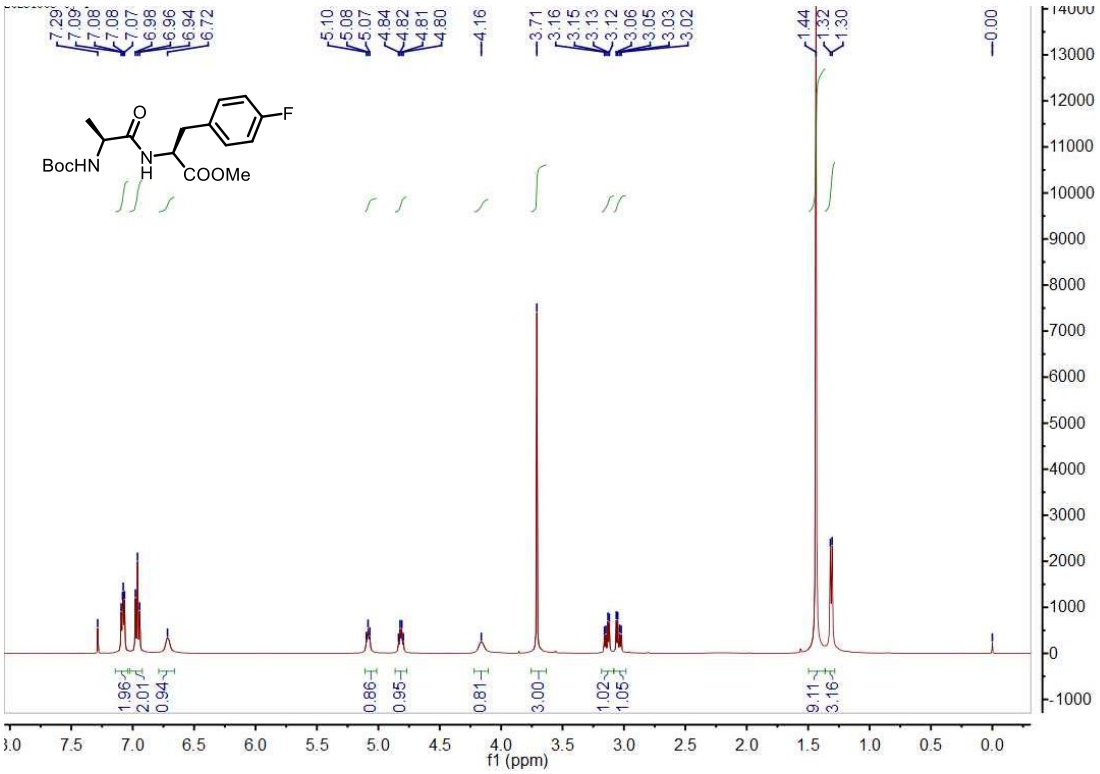
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1o**



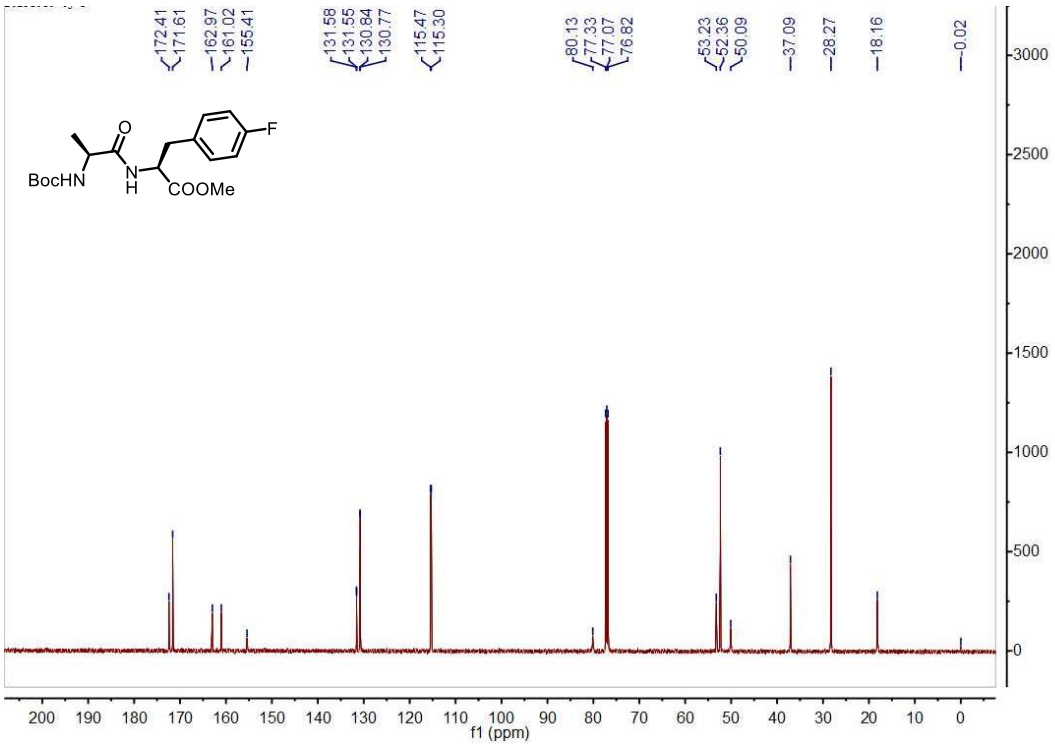
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1p**



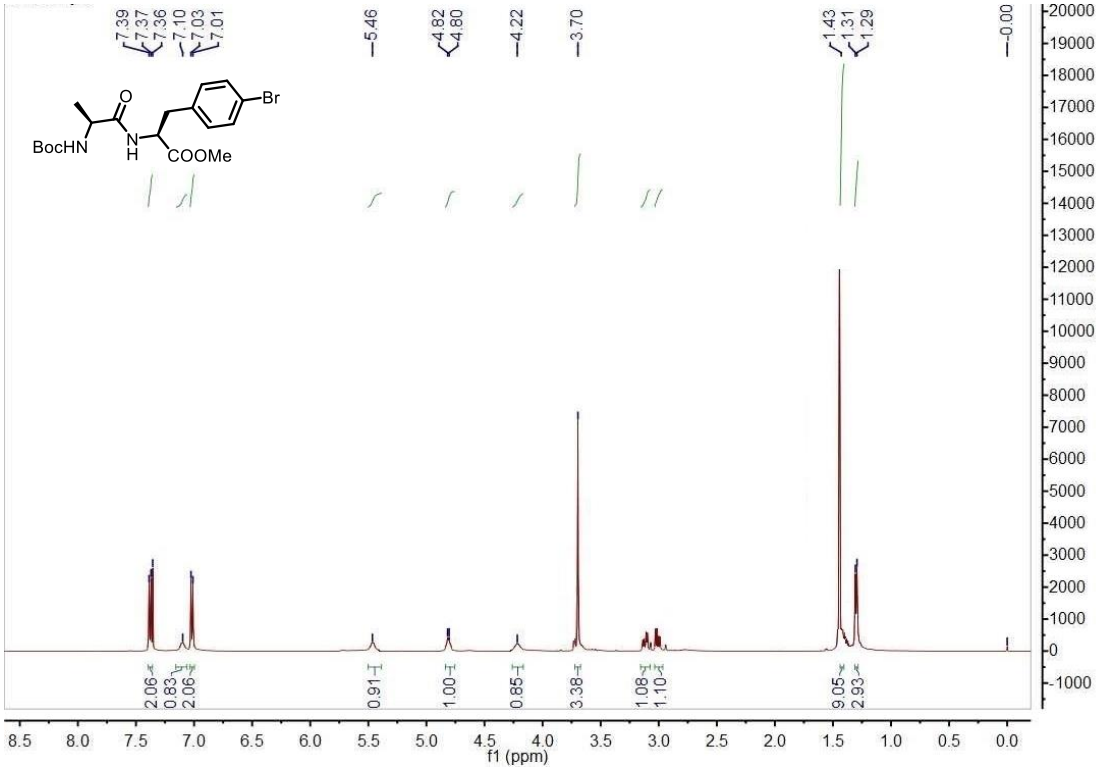
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **1p**



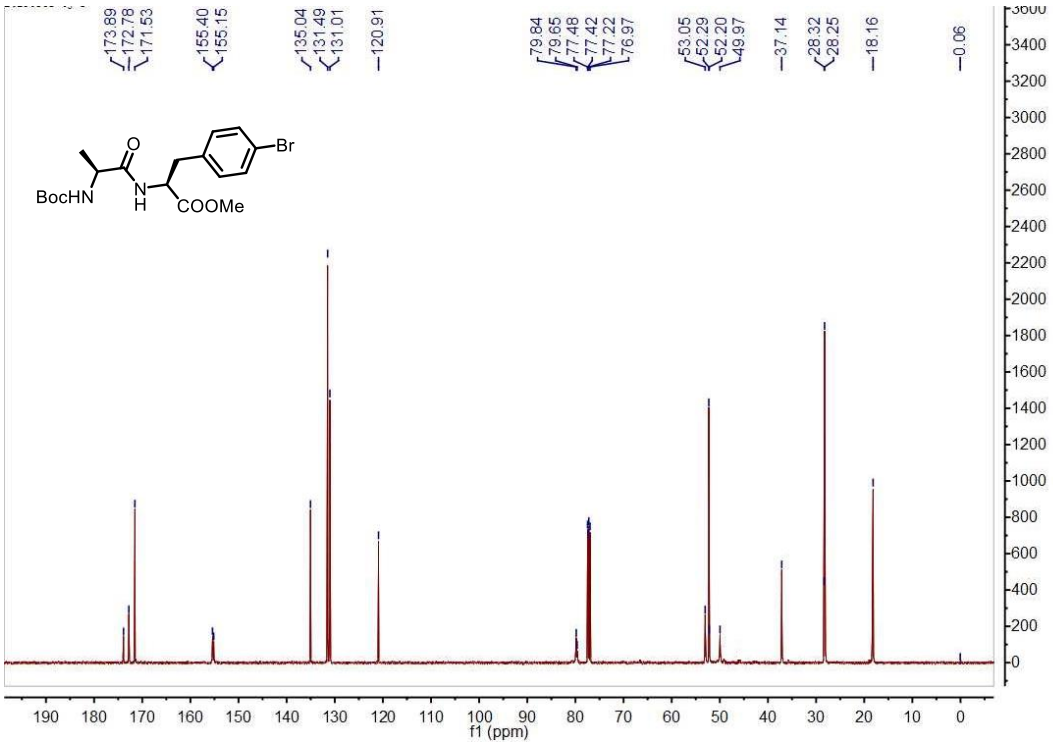
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1q**



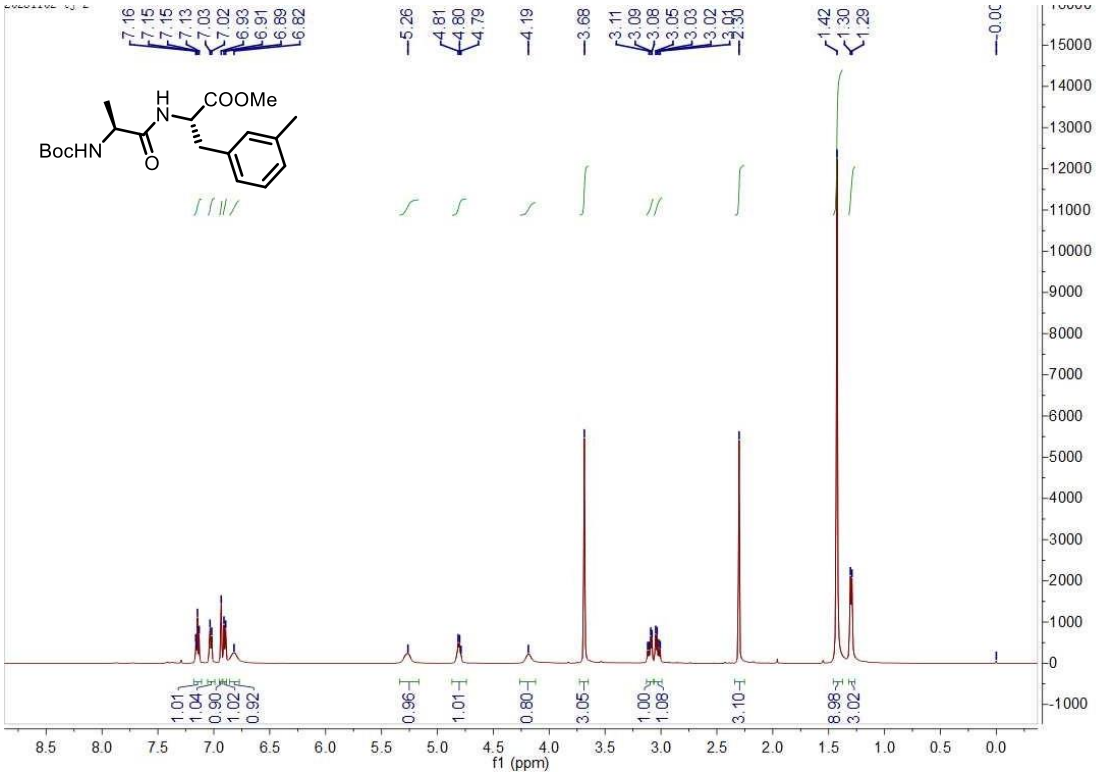
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1q**



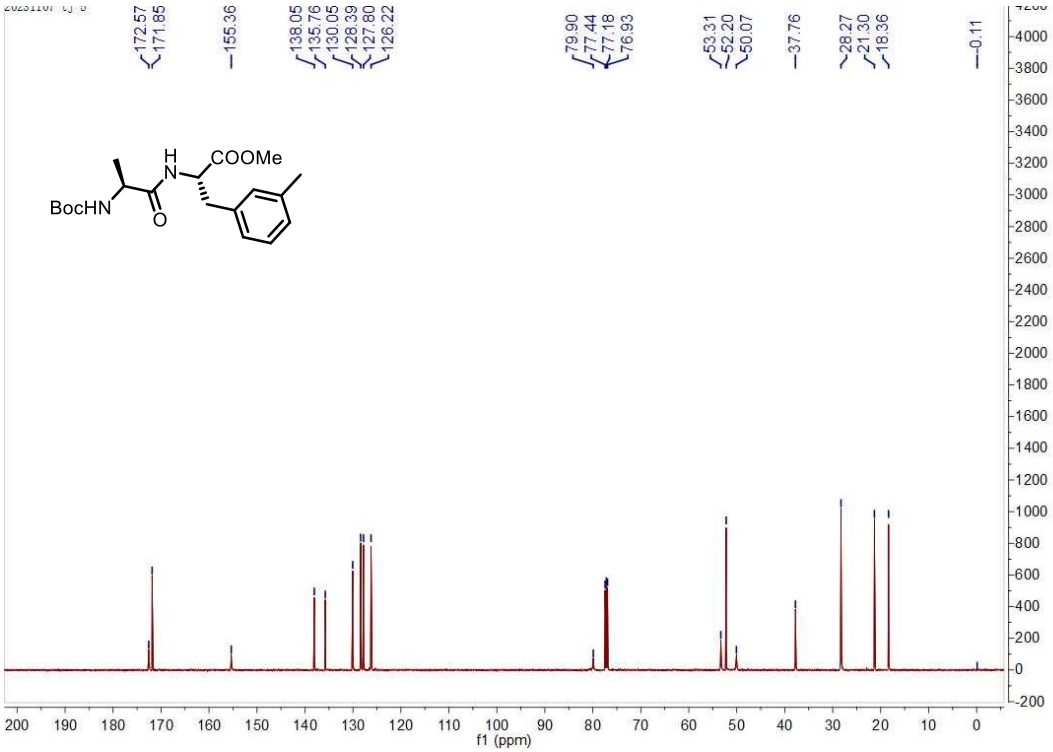
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1r**



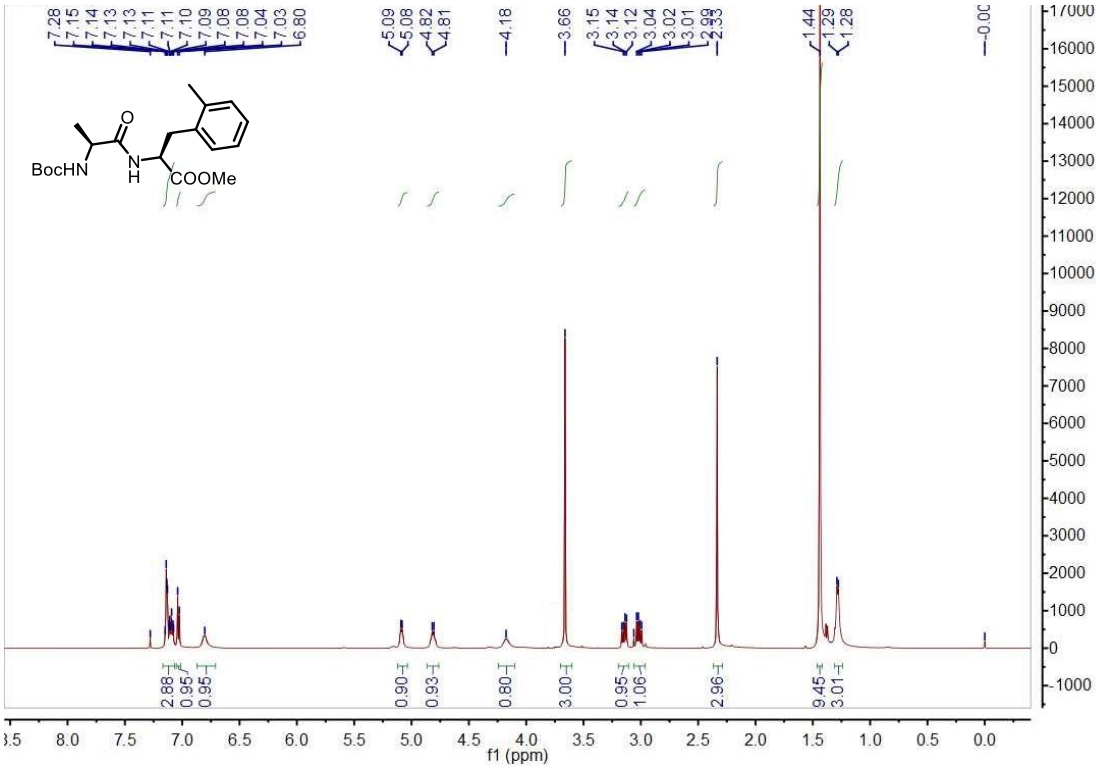
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1r**



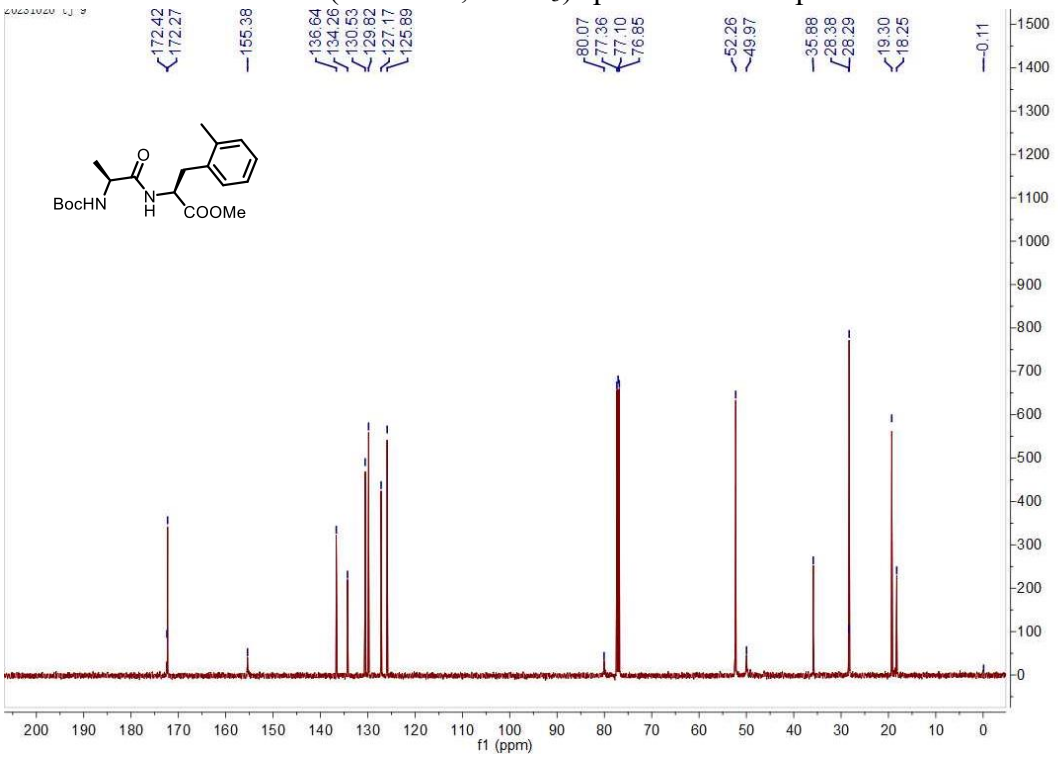
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1s**



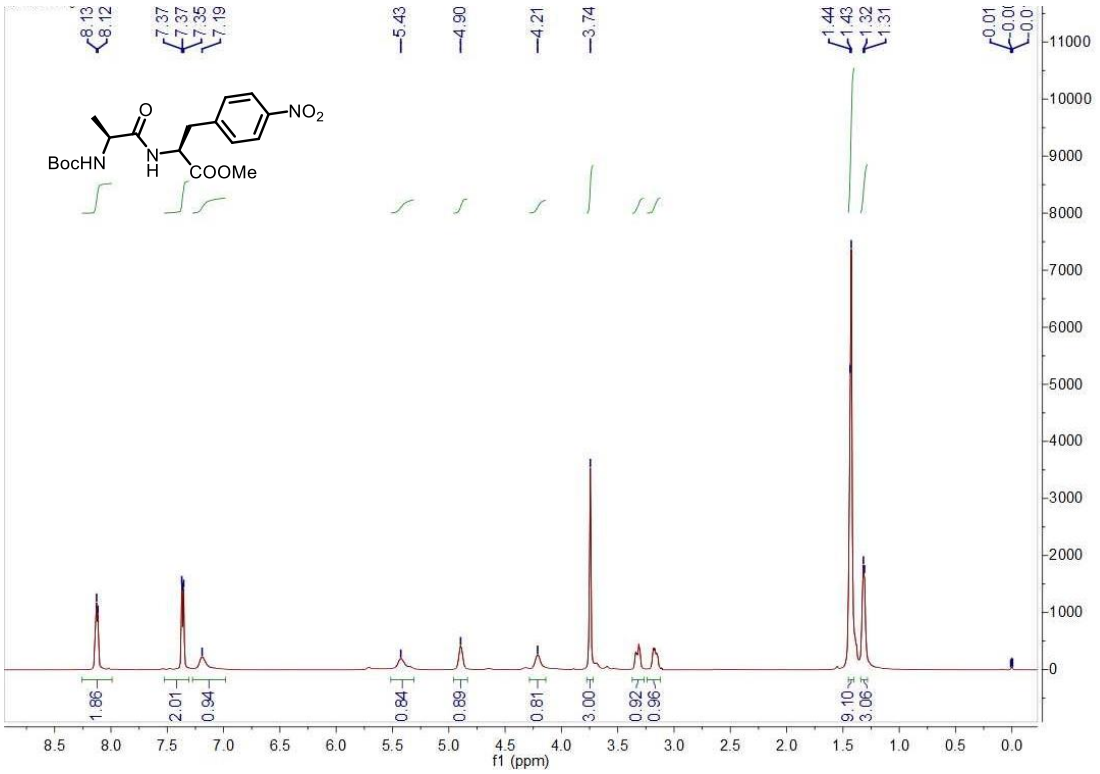
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1s**



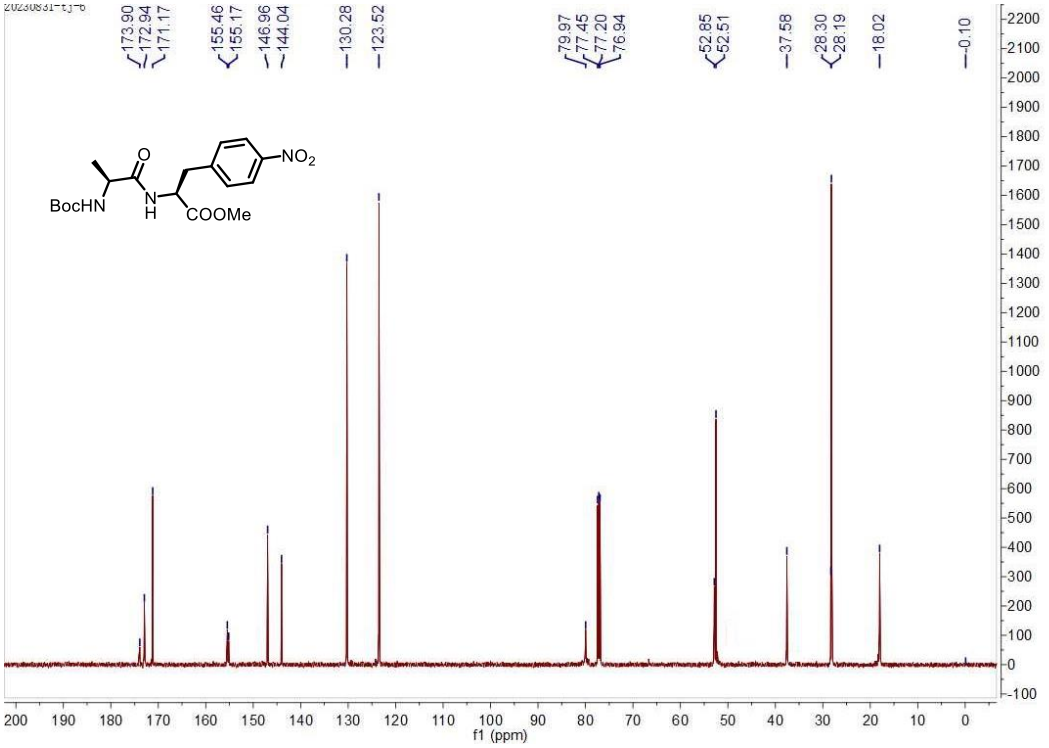
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1t**



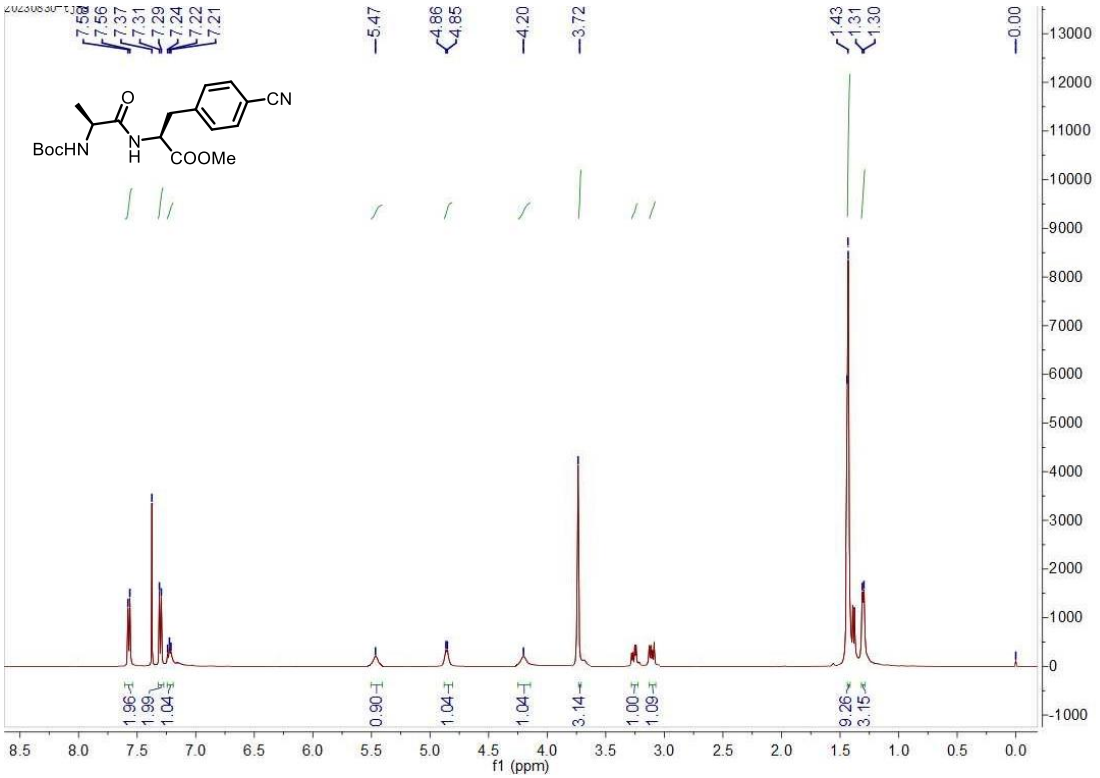
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1t**



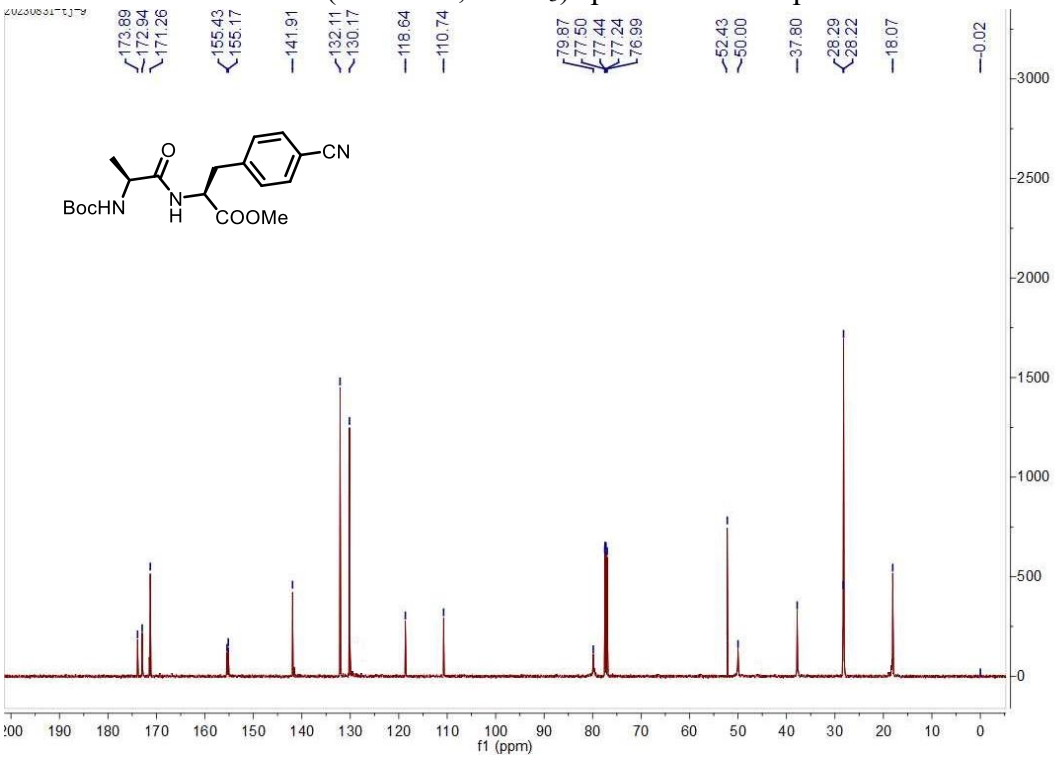
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1u**



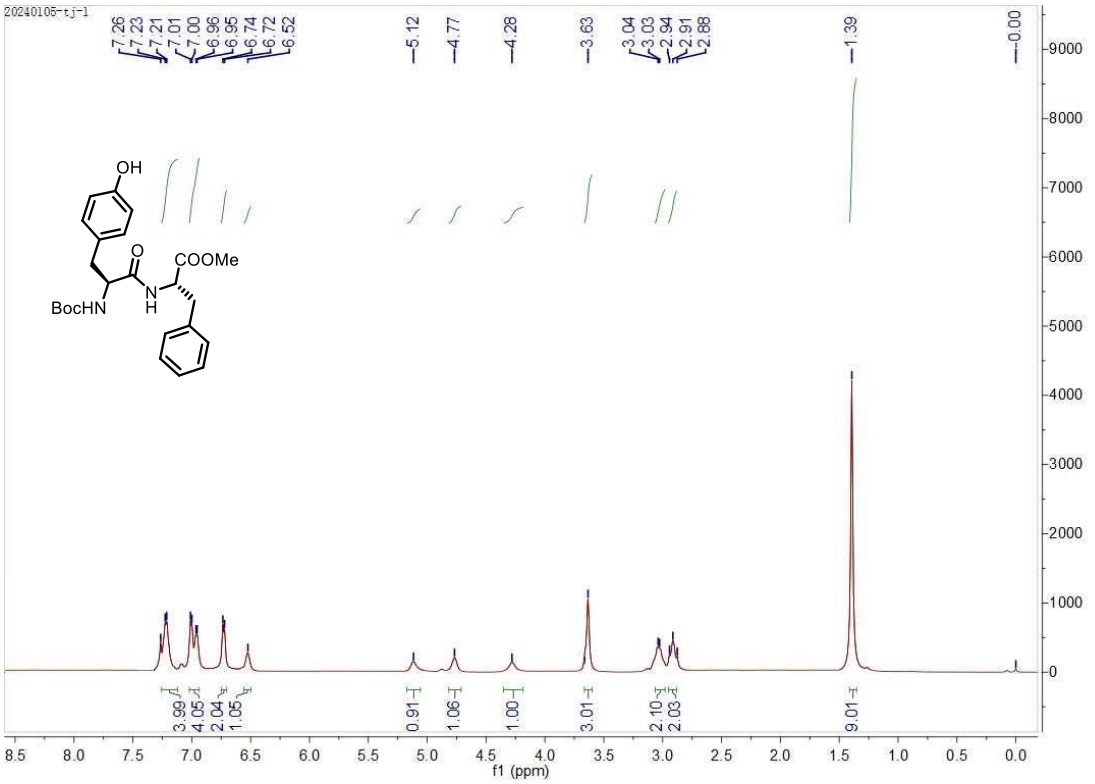
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1u**



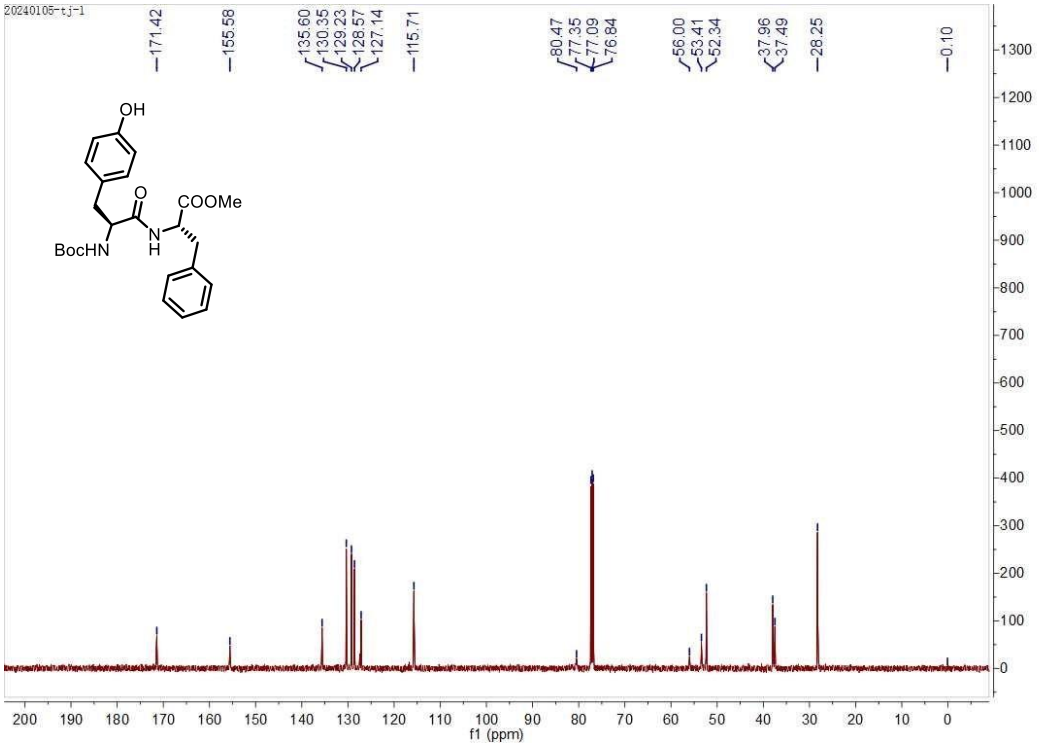
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1v**



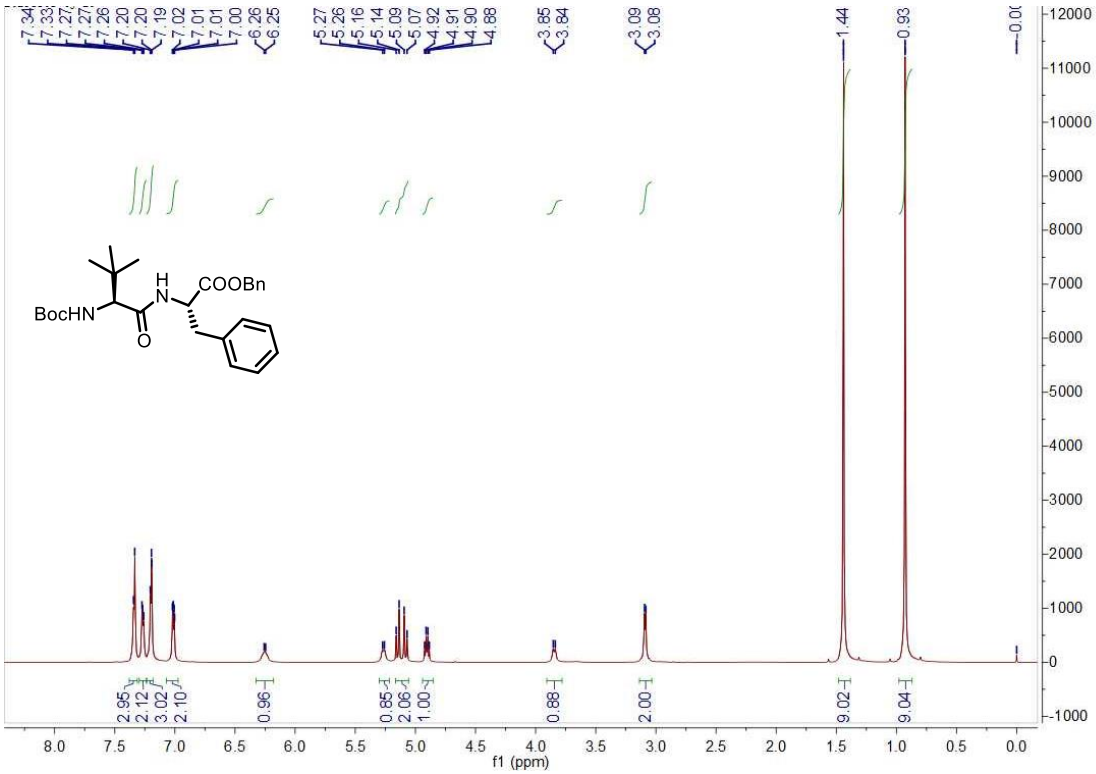
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1v**



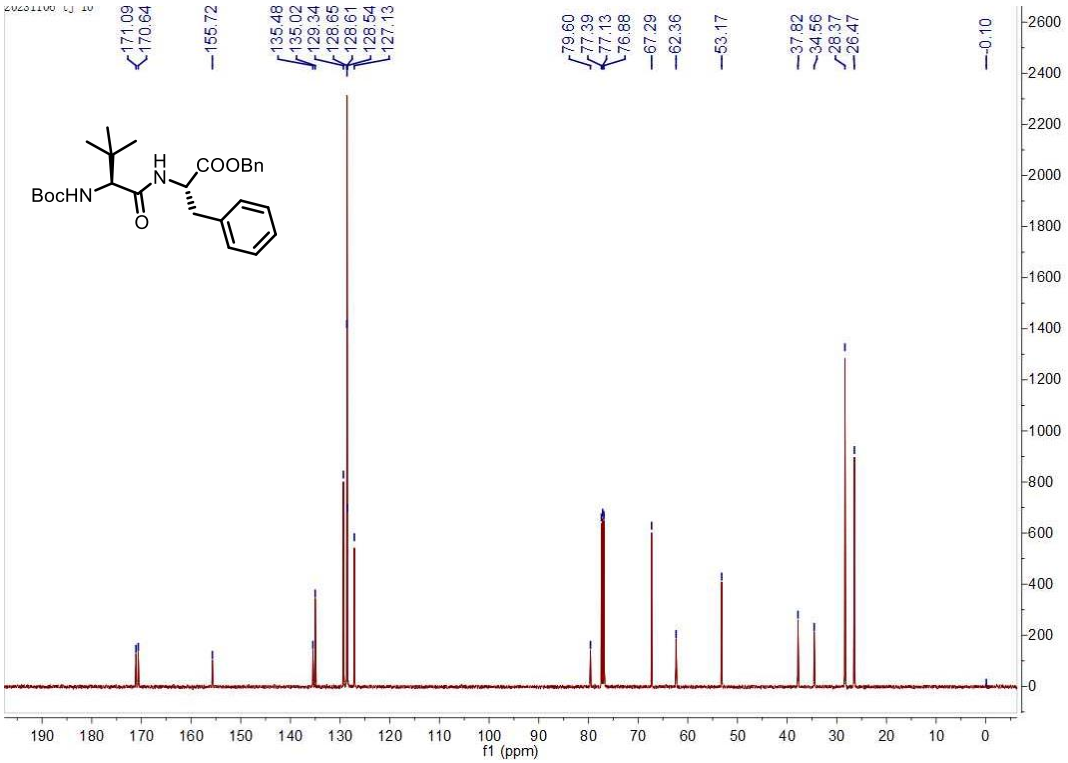
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1w**



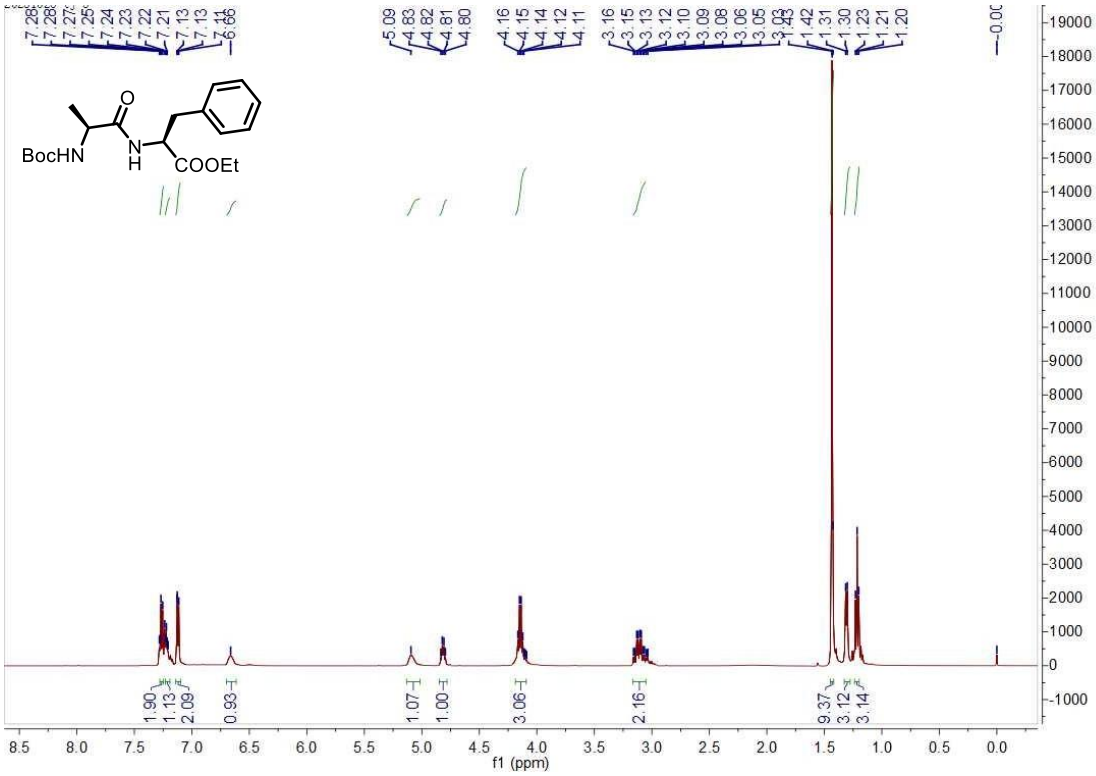
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1w**



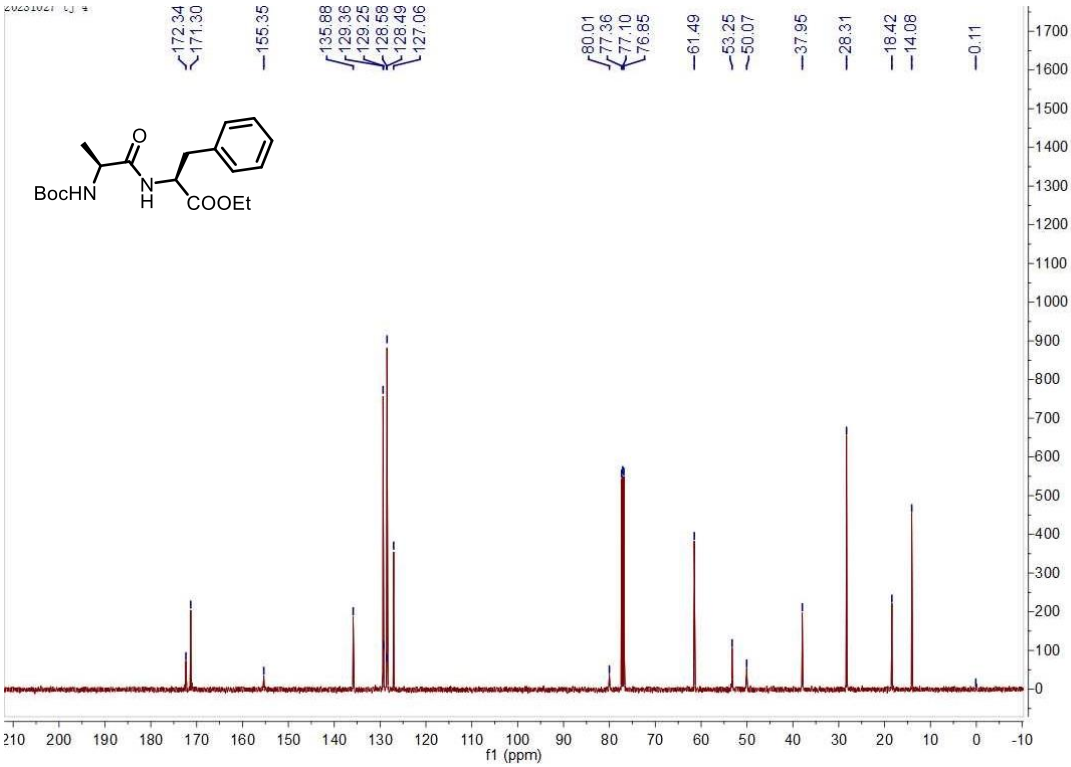
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1xa**



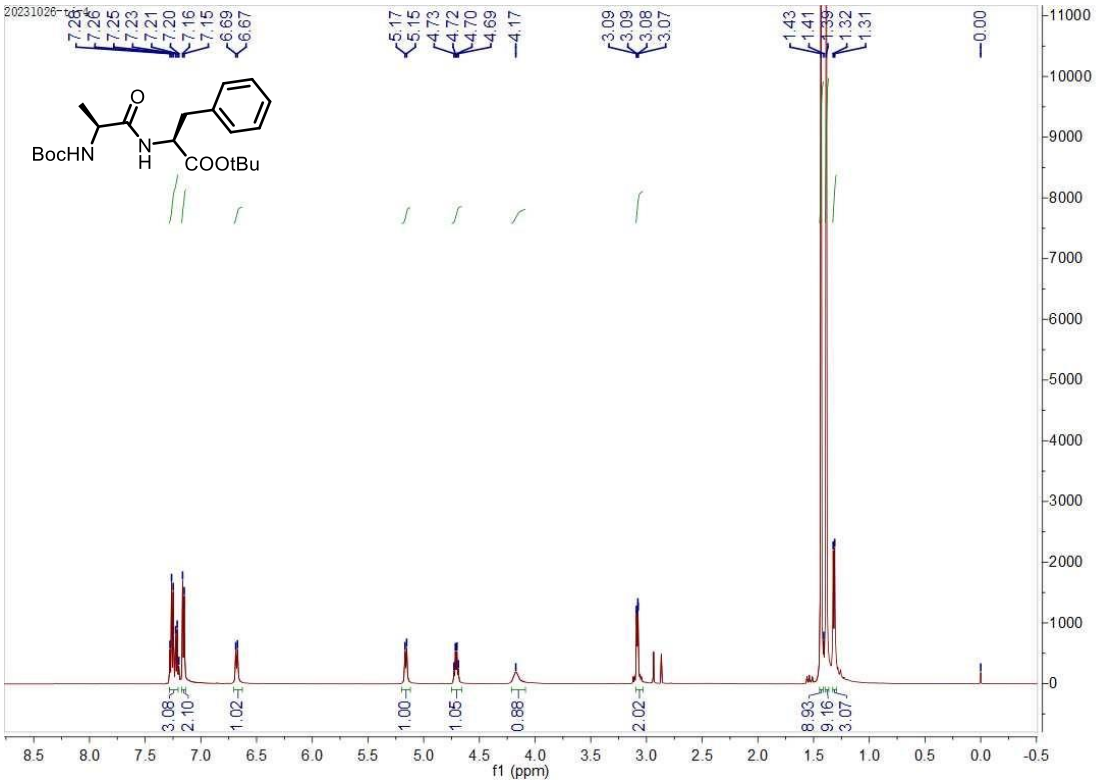
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **1xa**



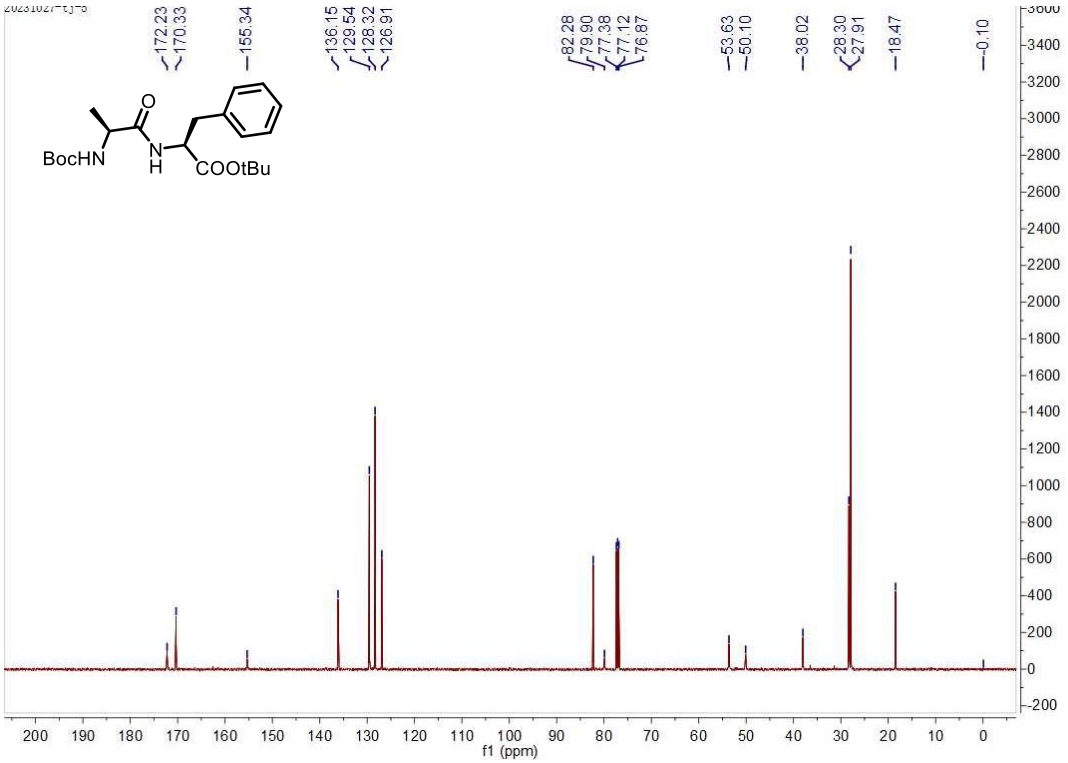
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1ya**



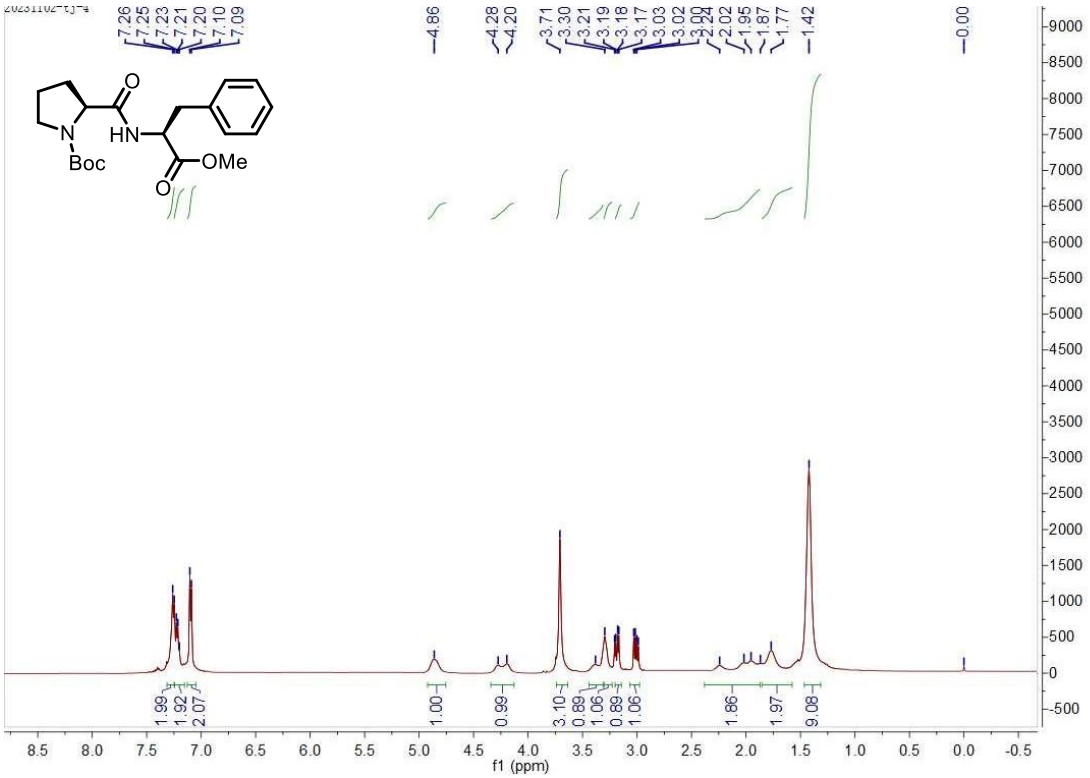
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **1ya**



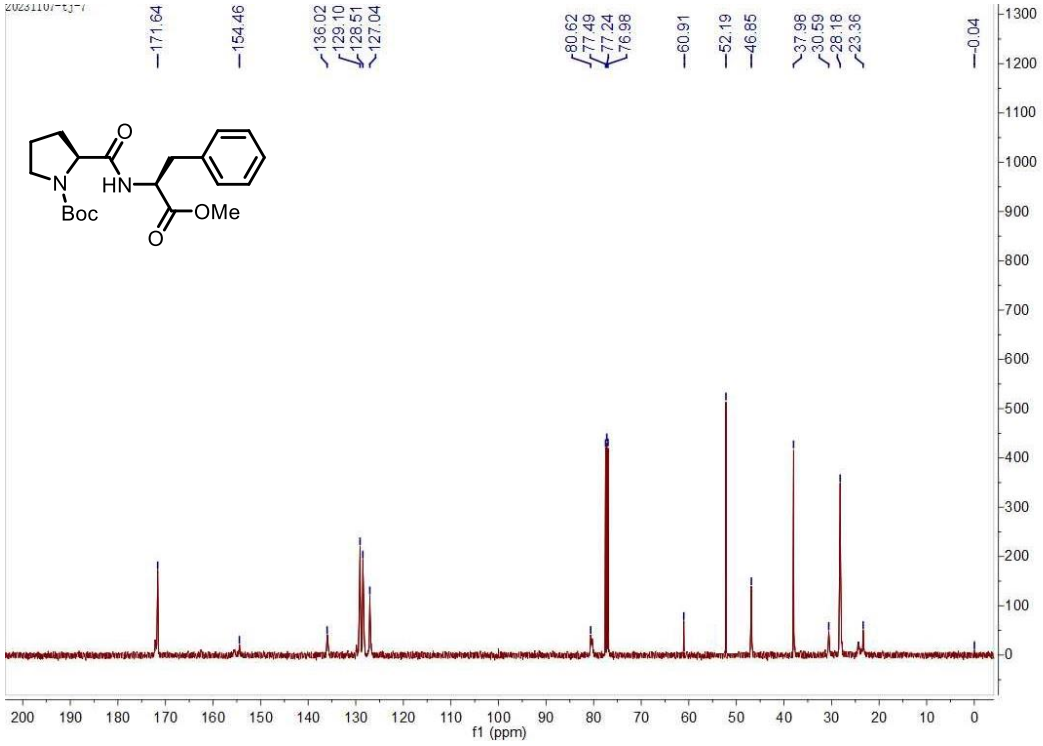
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1za**



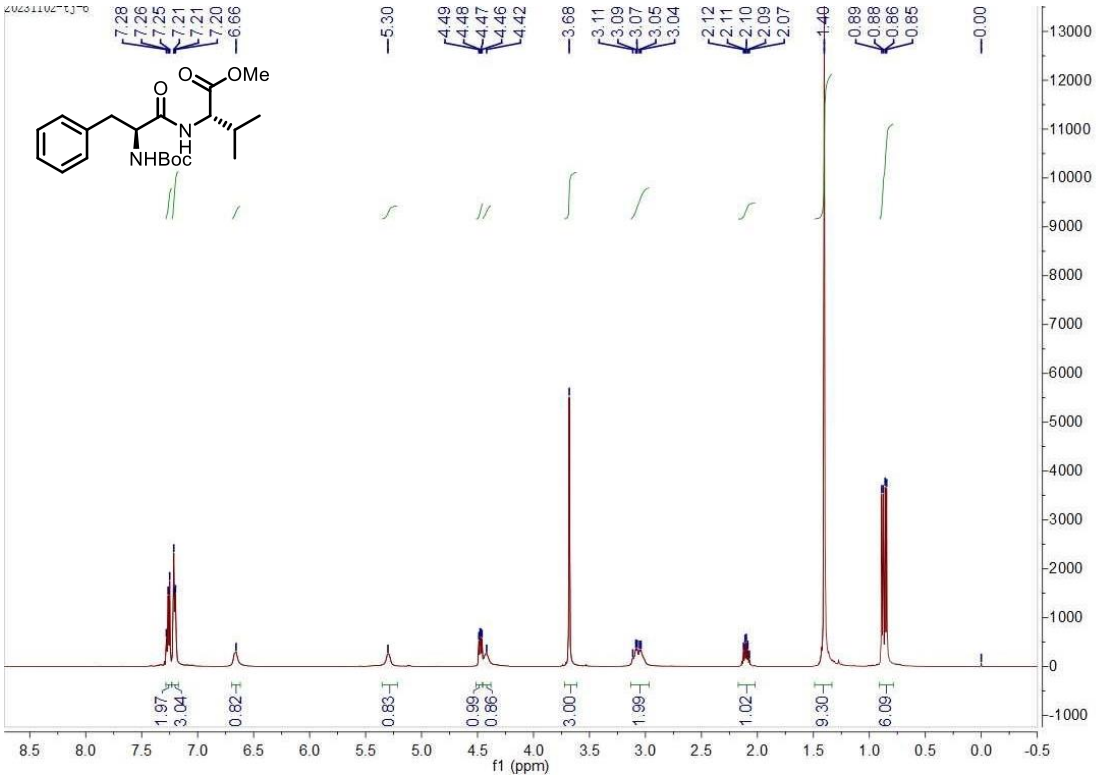
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **1za**



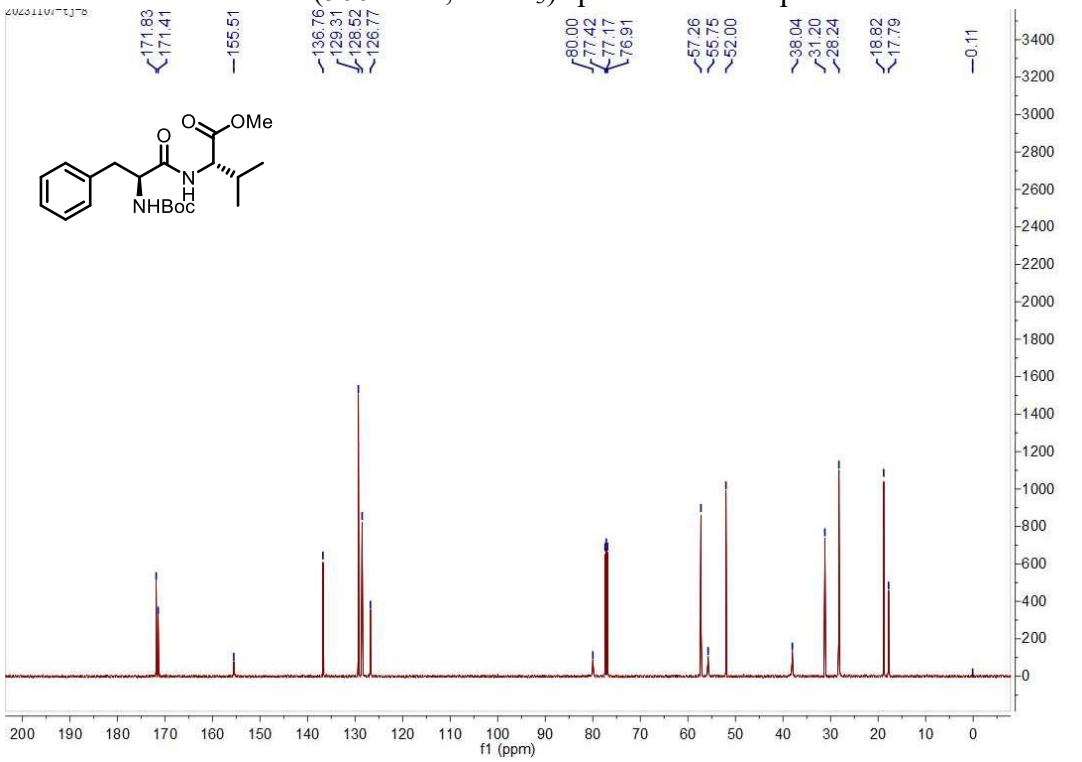
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1y**



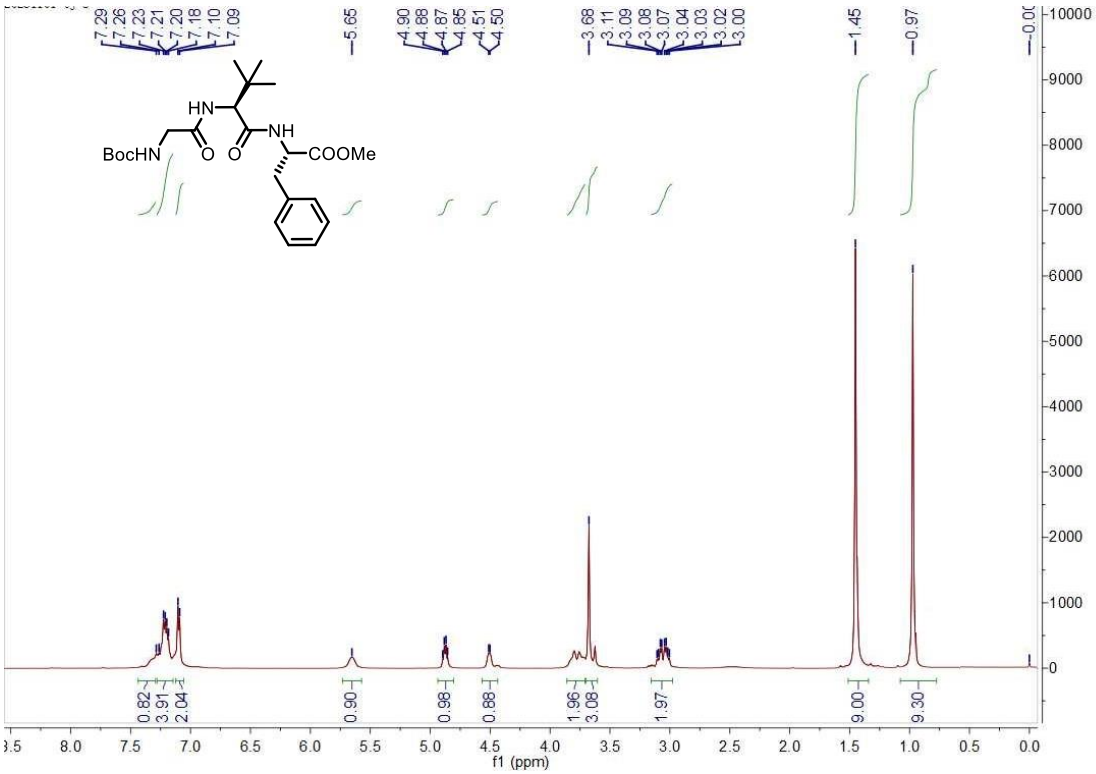
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1y**



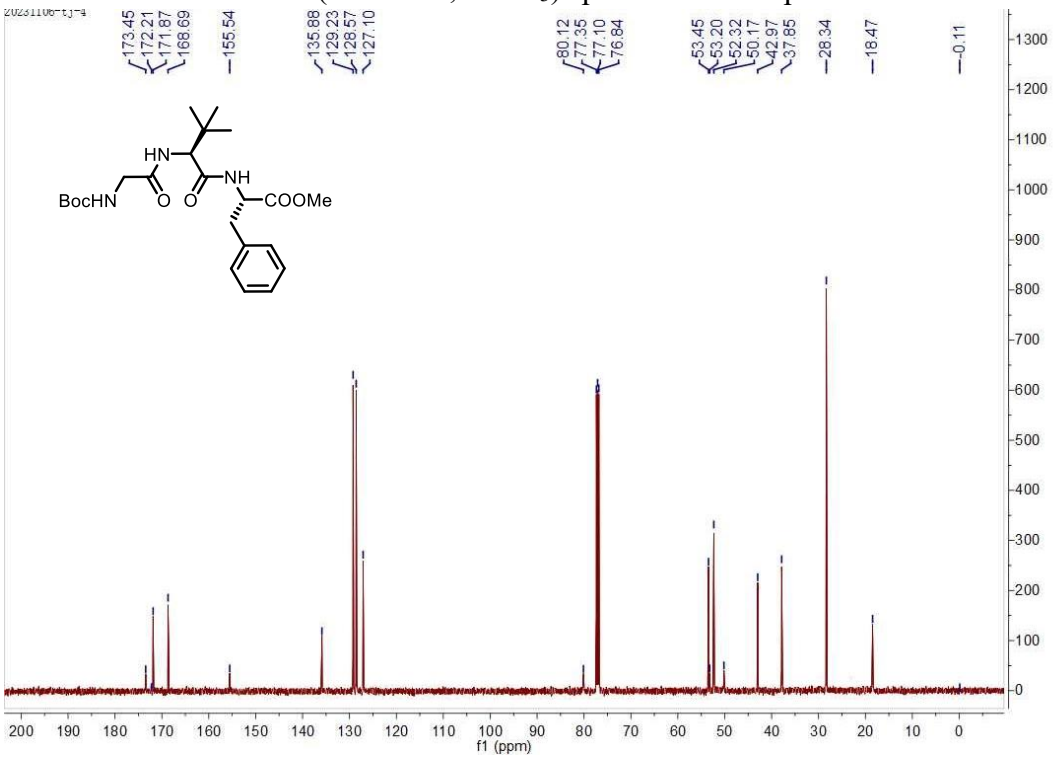
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1z**



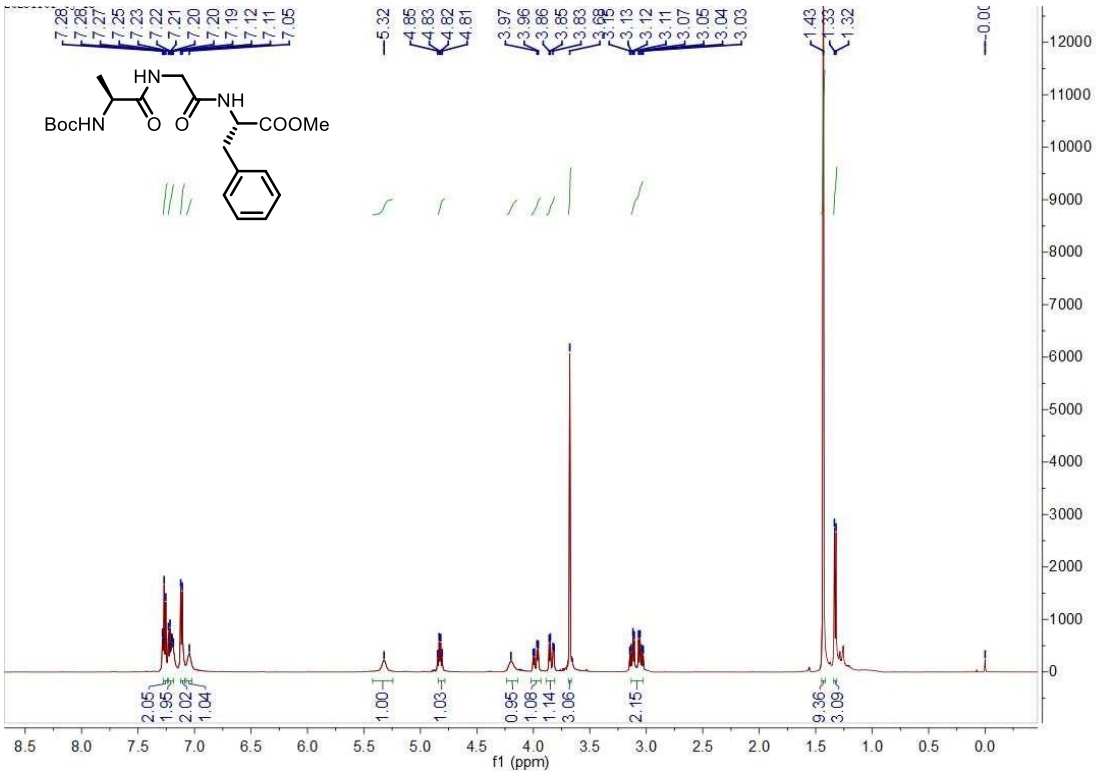
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1z**



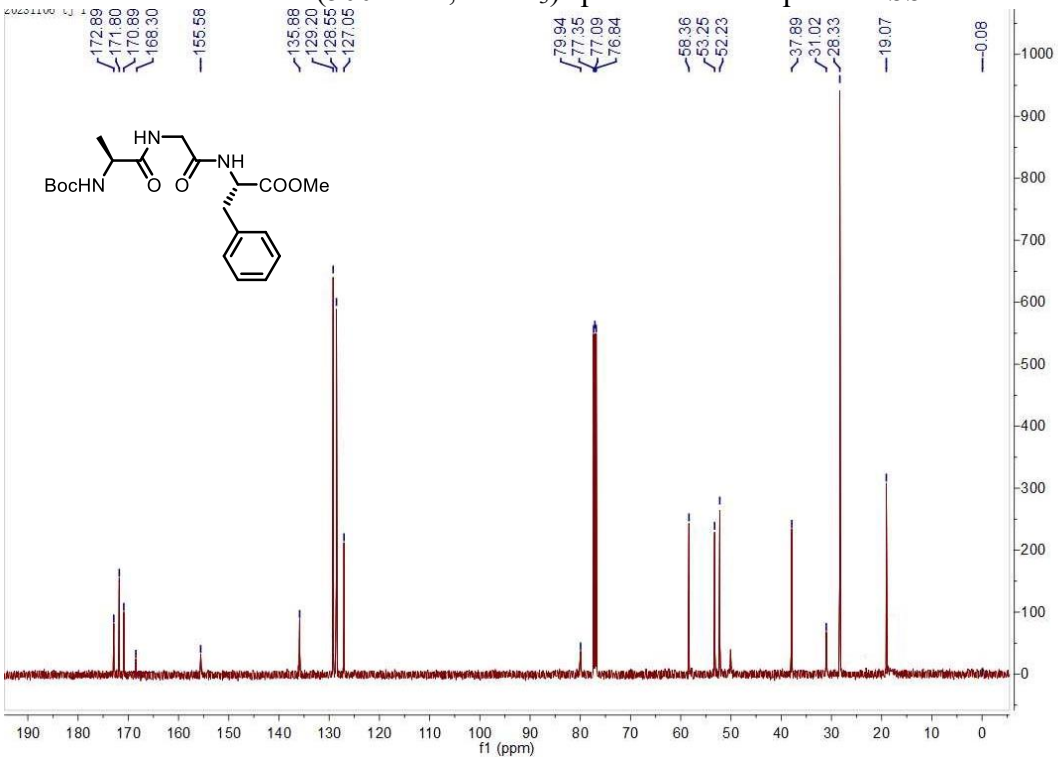
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1ab**



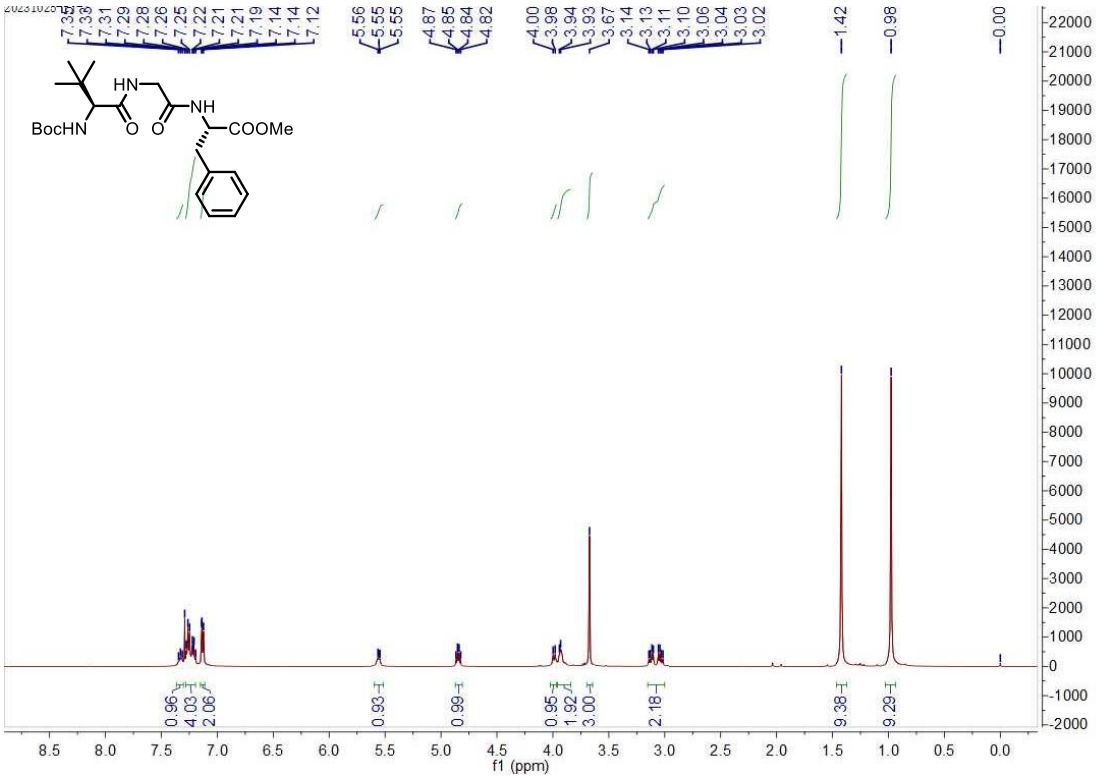
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1ab**



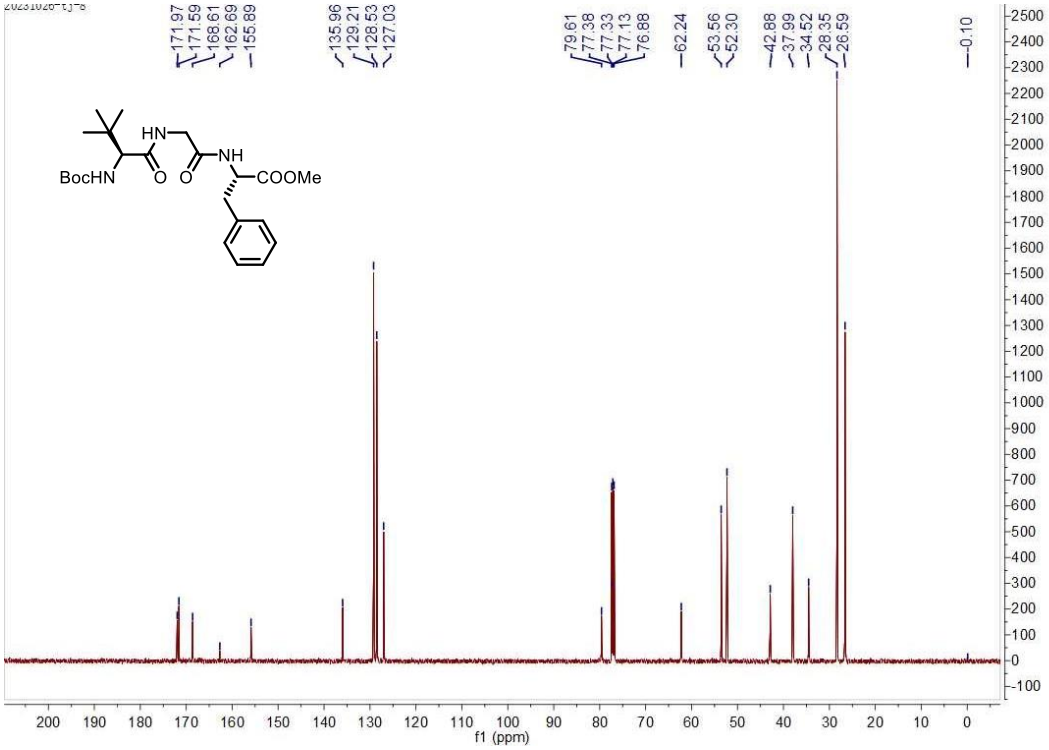
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1bb**



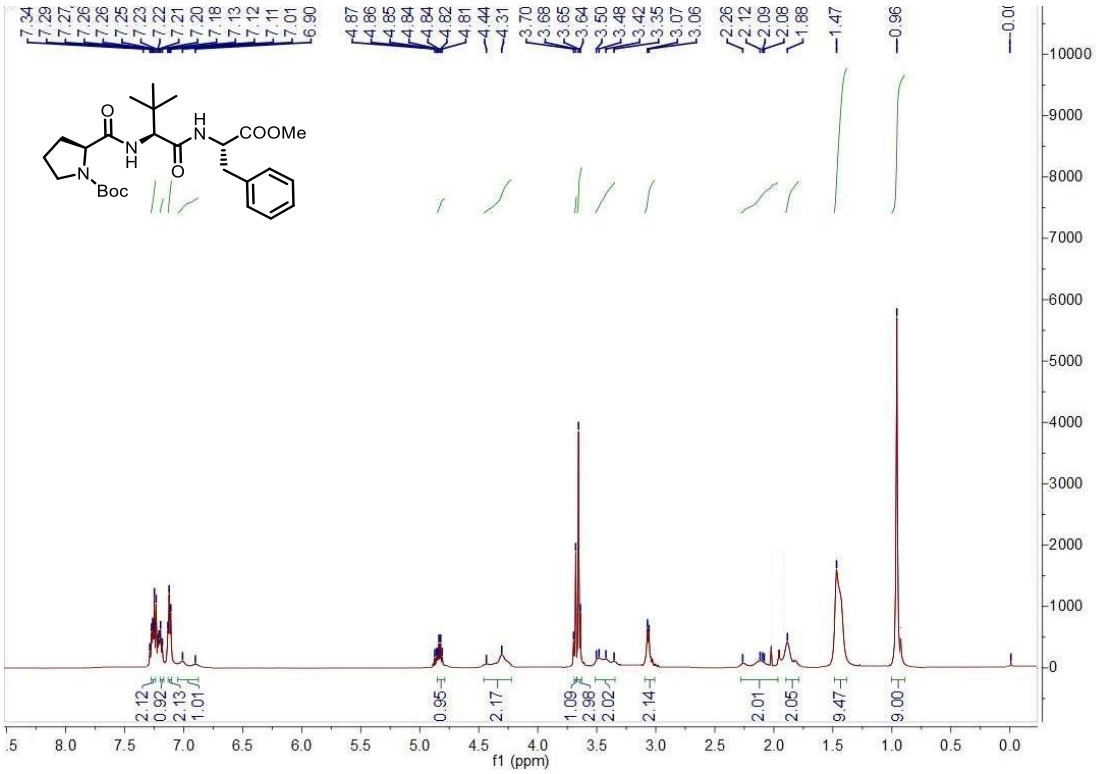
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **1bb**



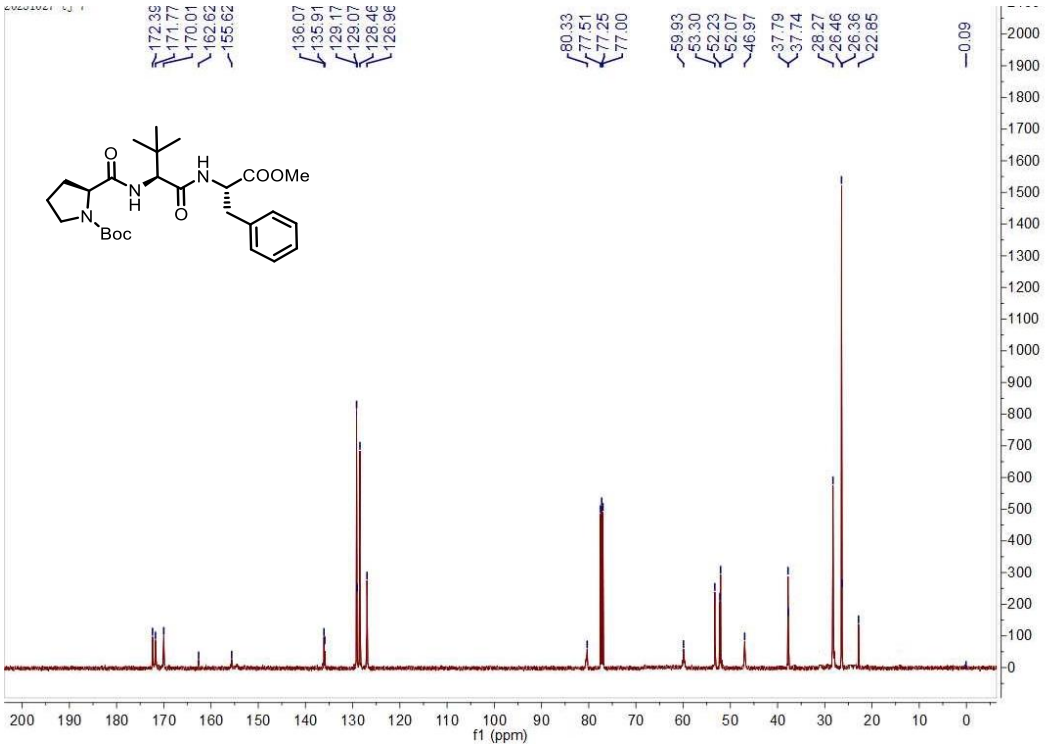
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1cb**



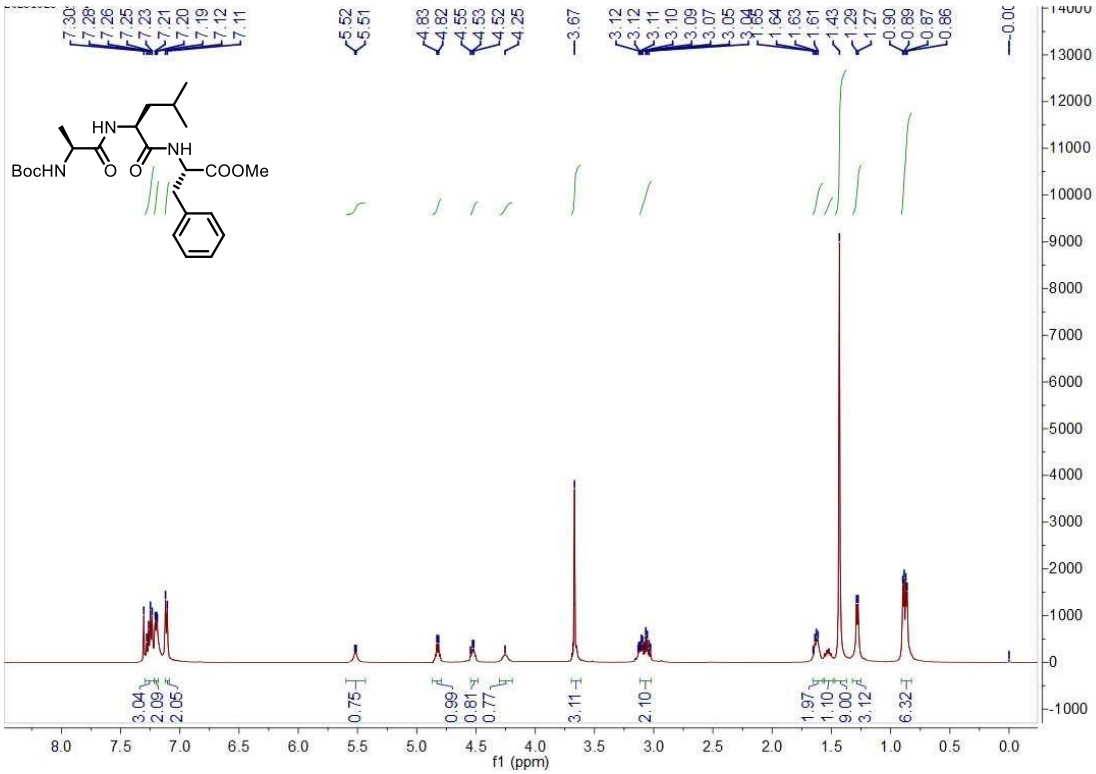
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **1cb**



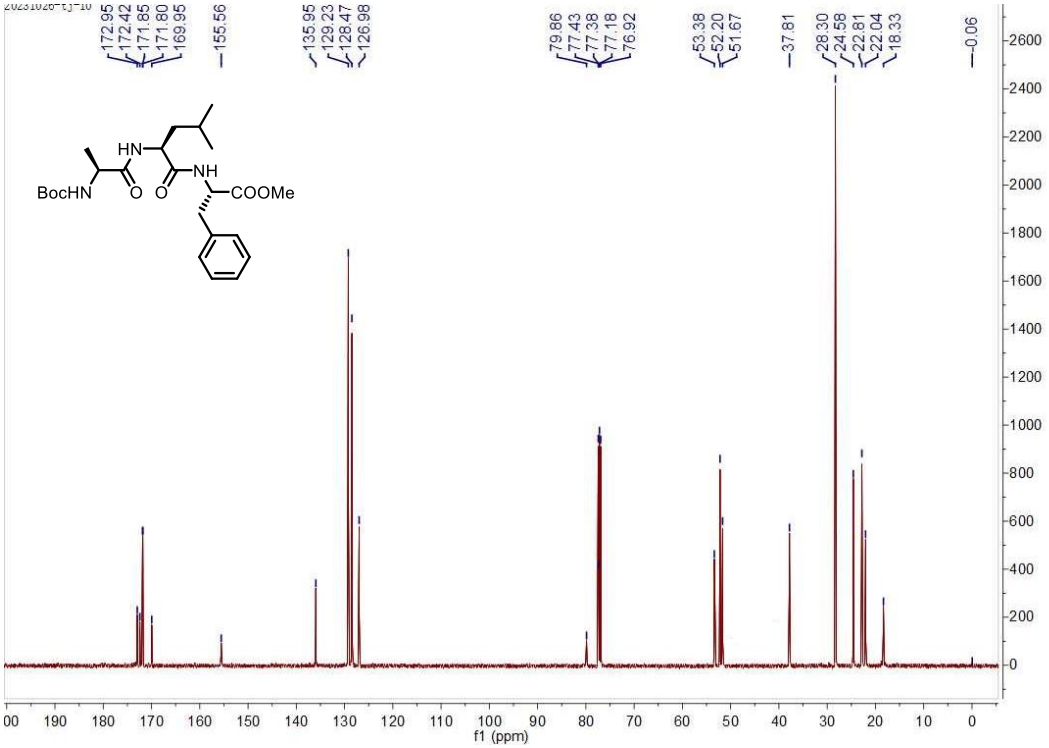
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1db**



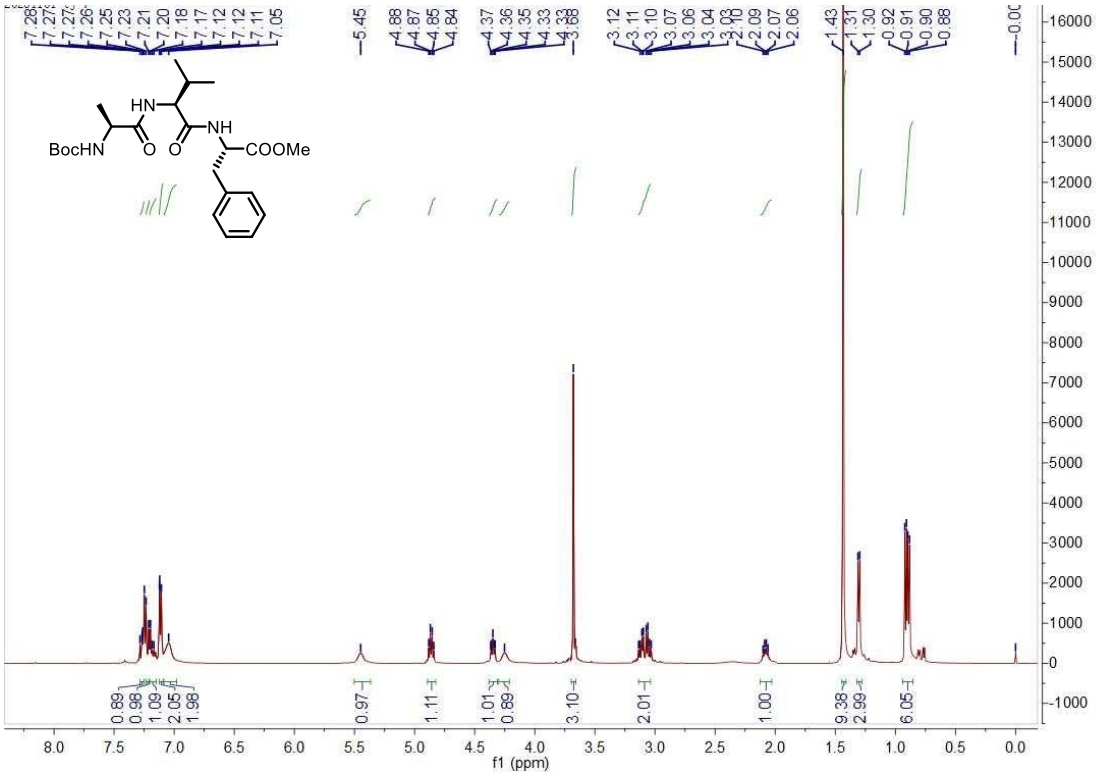
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1db**



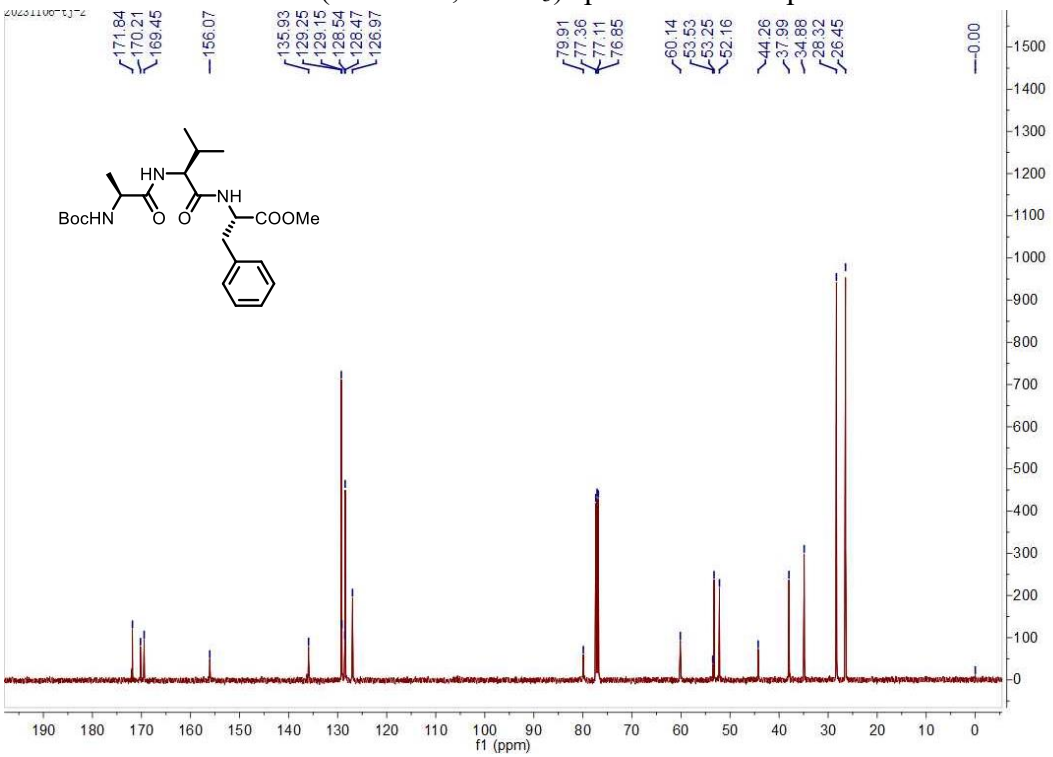
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1eb**



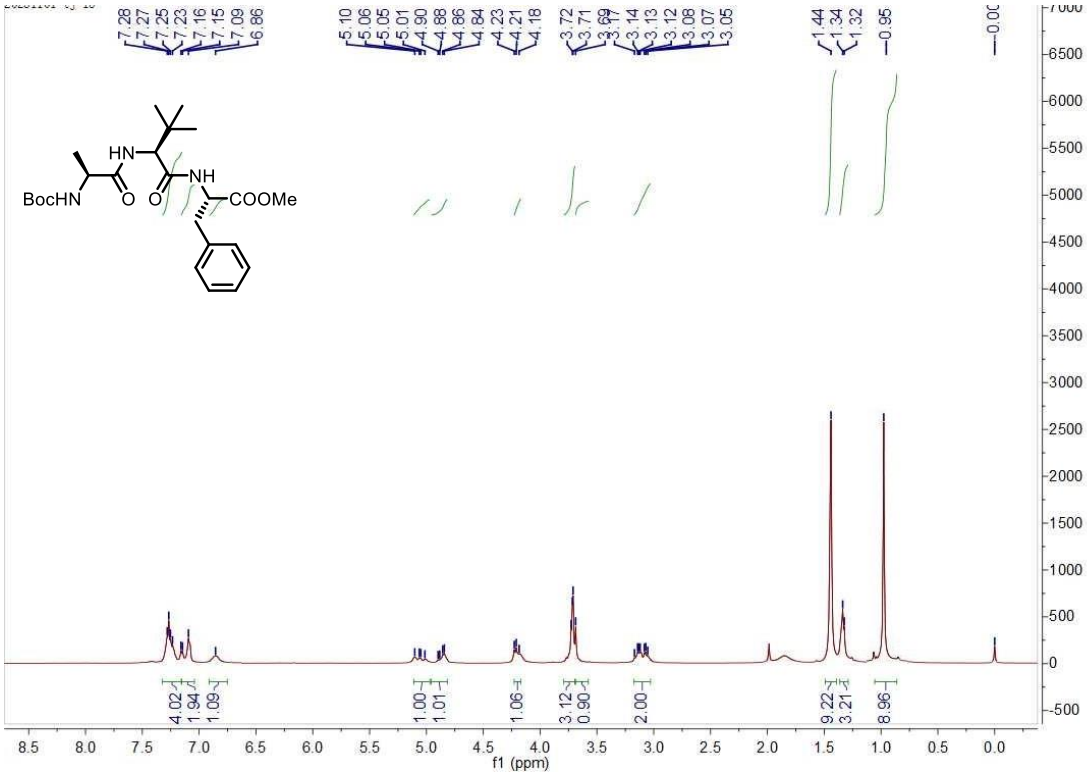
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **1eb**



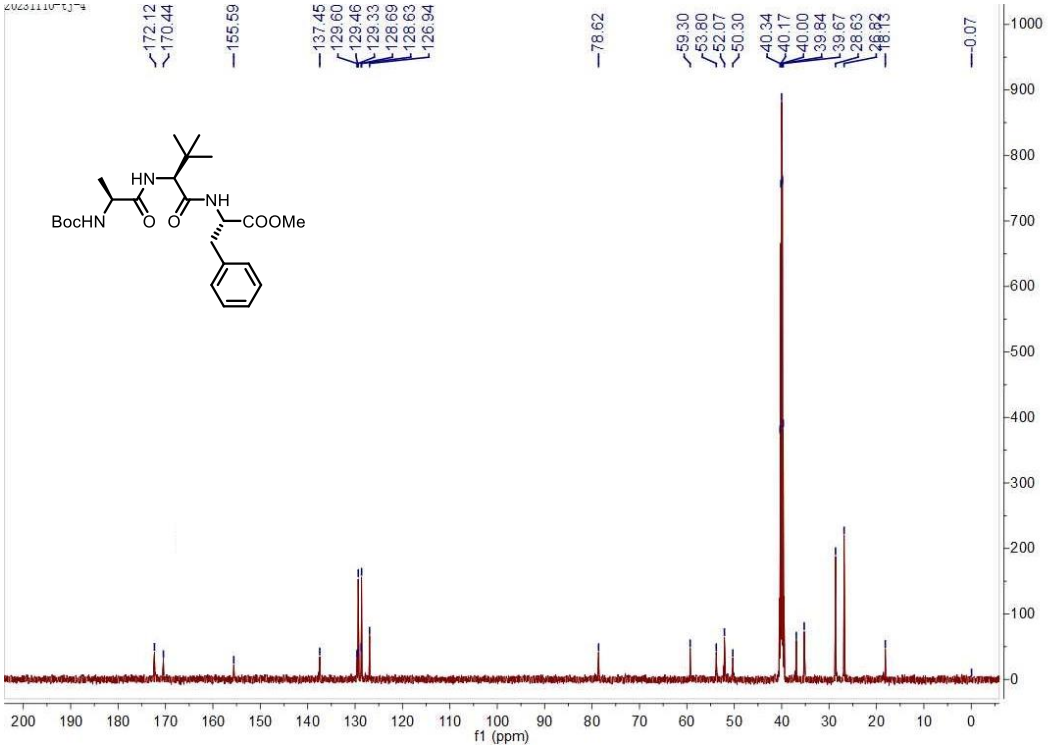
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1fb**



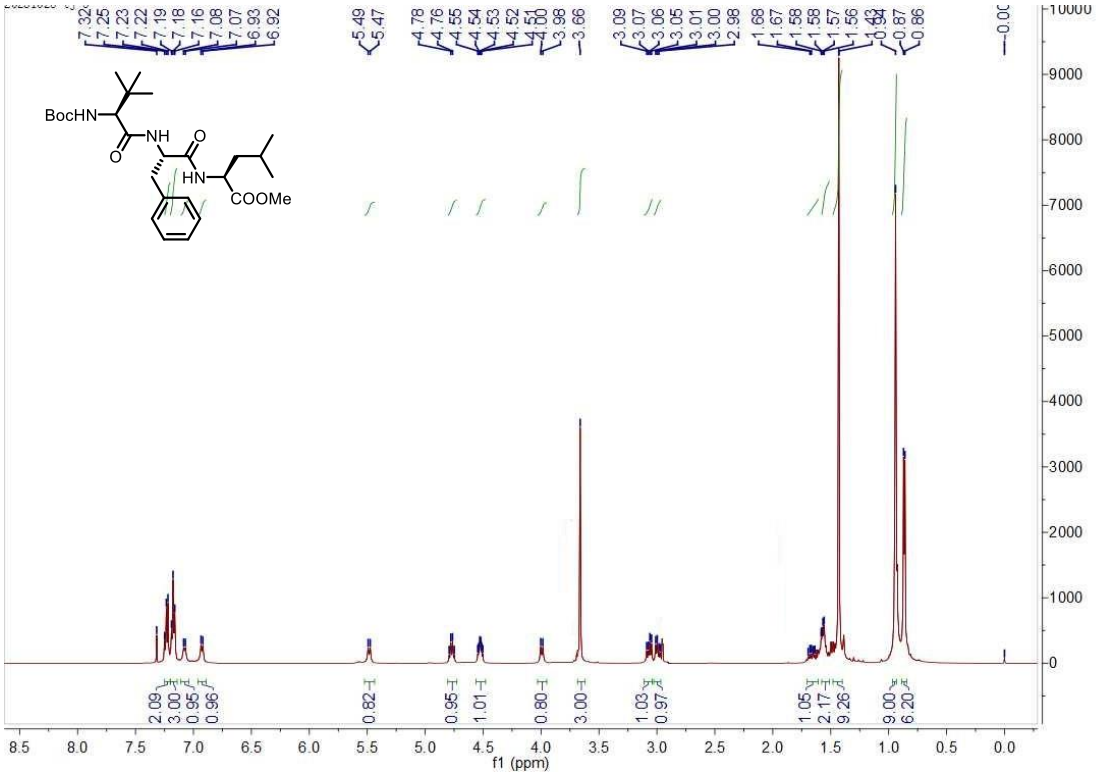
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **1fb**



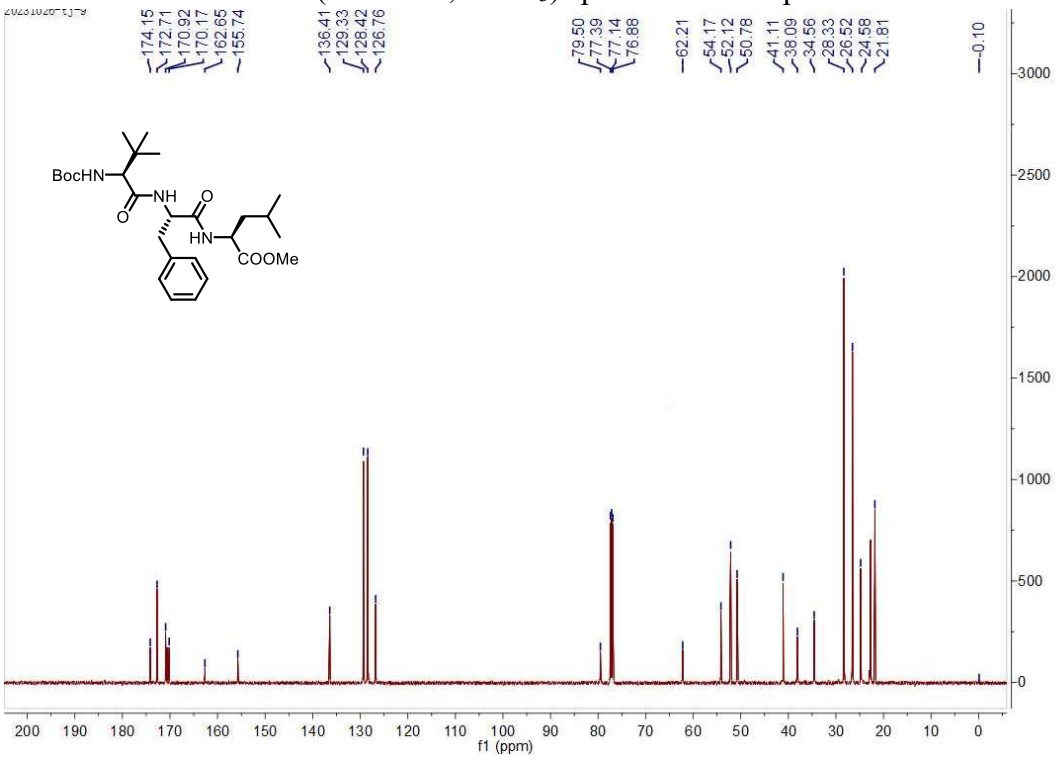
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1gb**



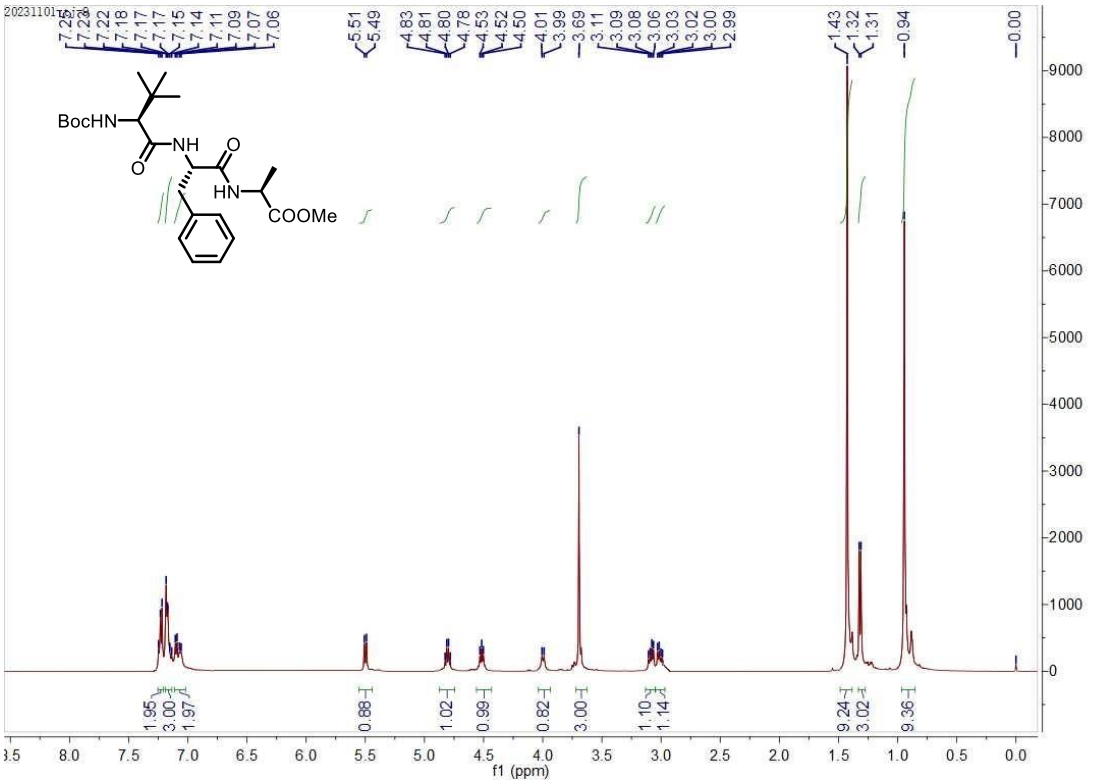
^{13}C{^{1}H} NMR (126 MHz, DMSO) spectrum of compound **1gb**



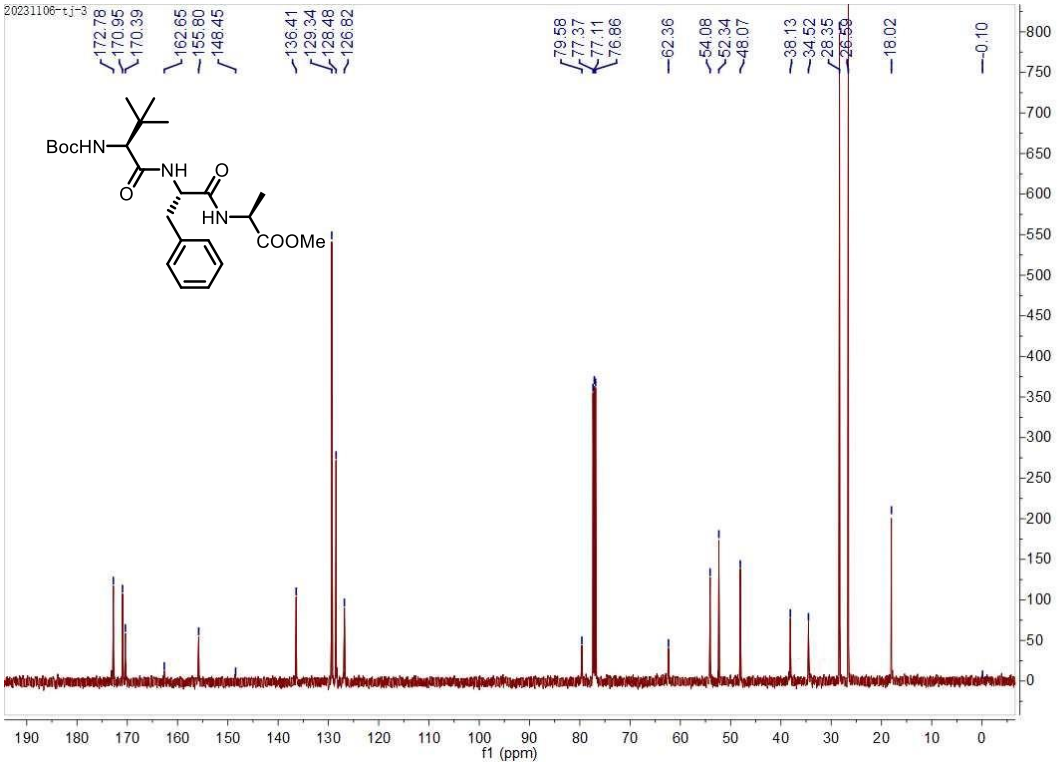
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1hb**



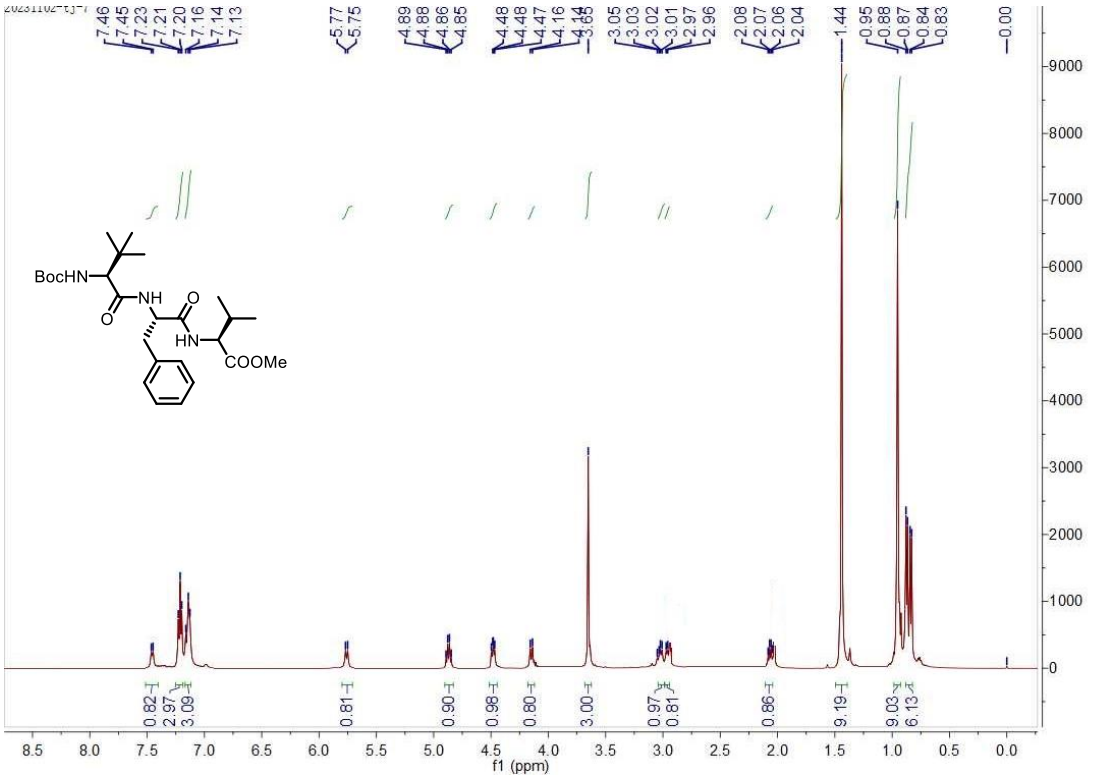
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **1hb**



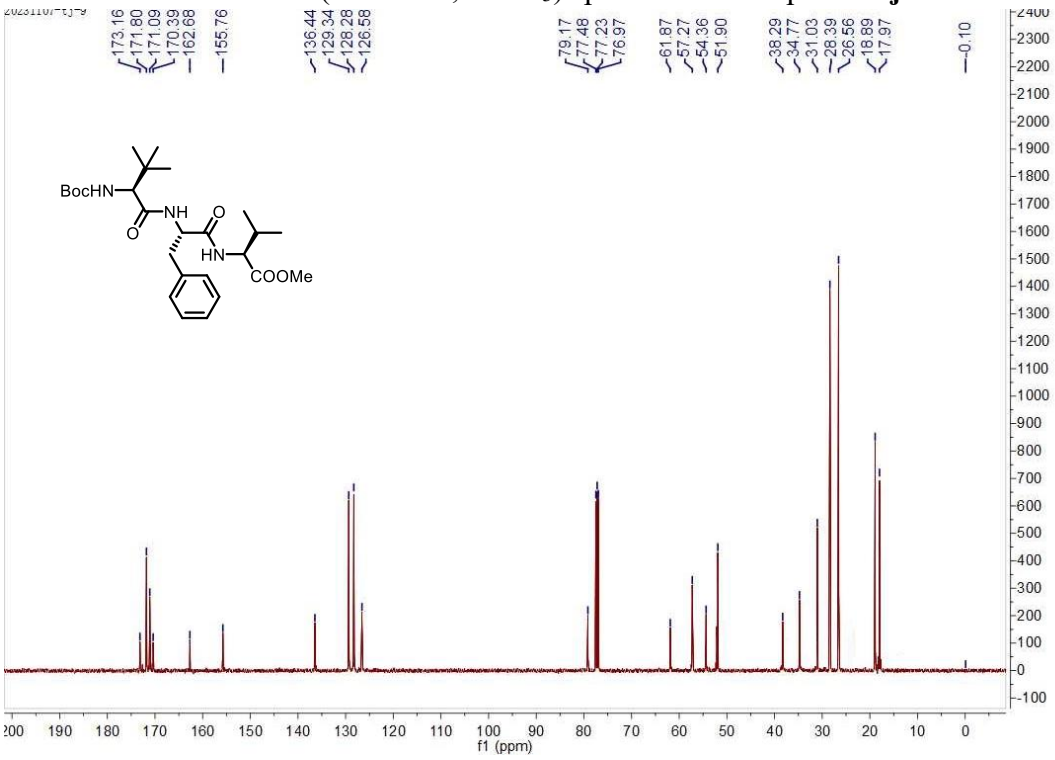
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1ib**



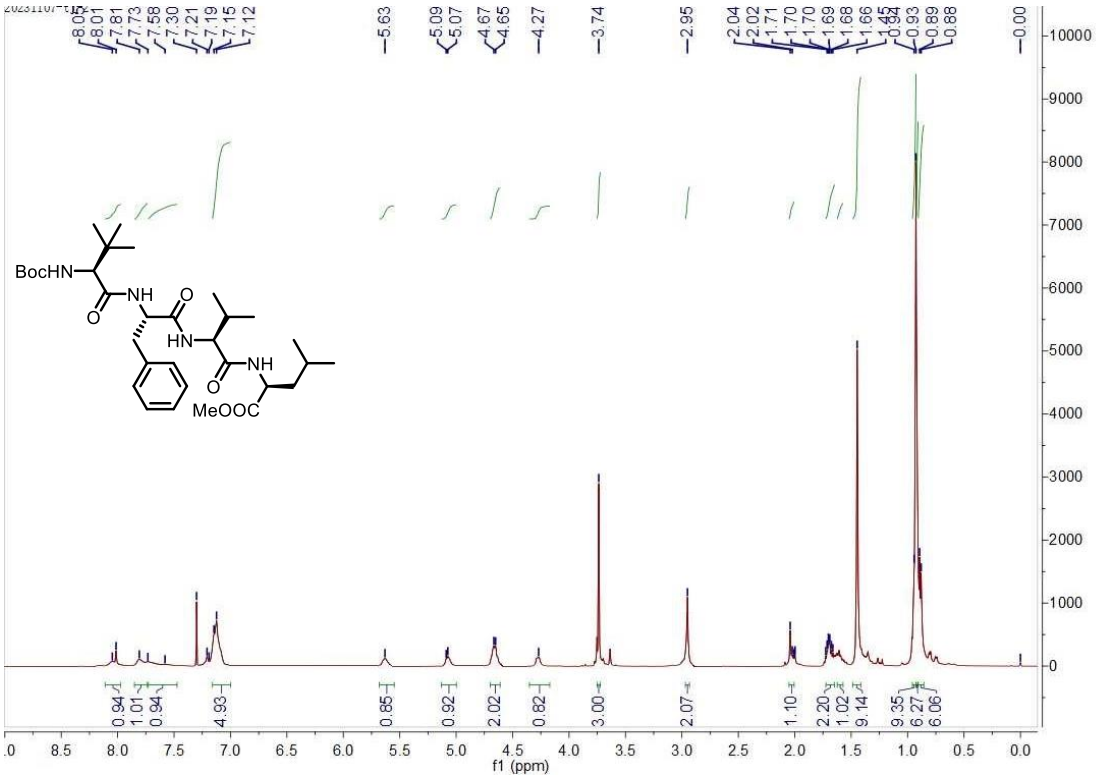
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **1ib**



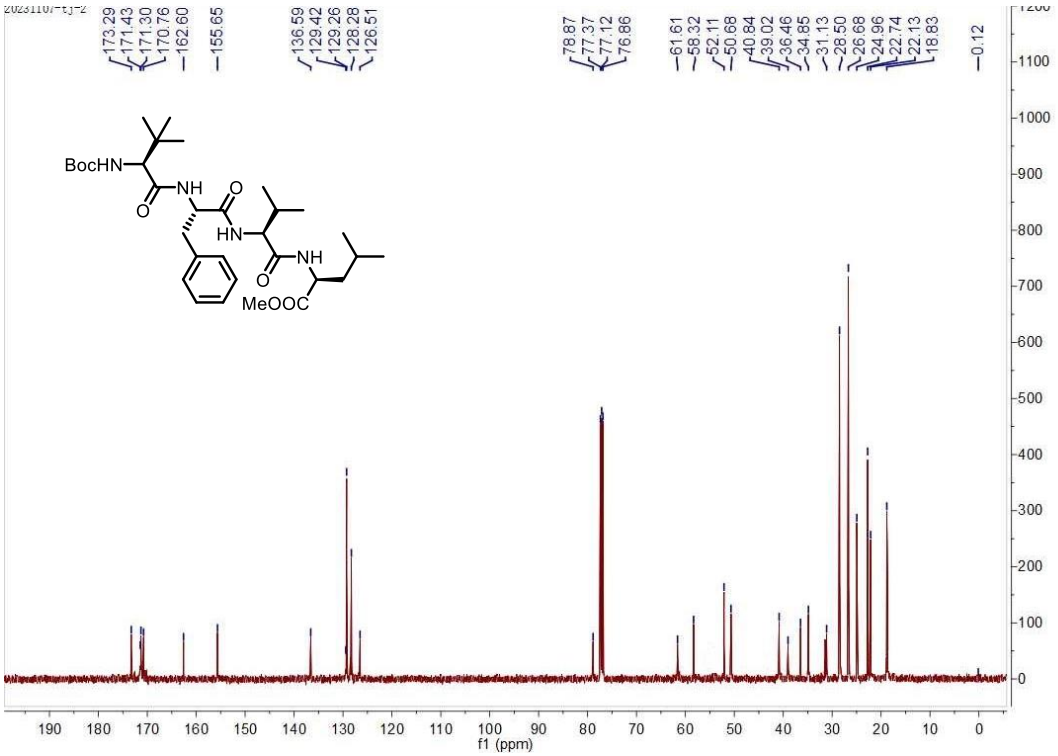
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1jb**



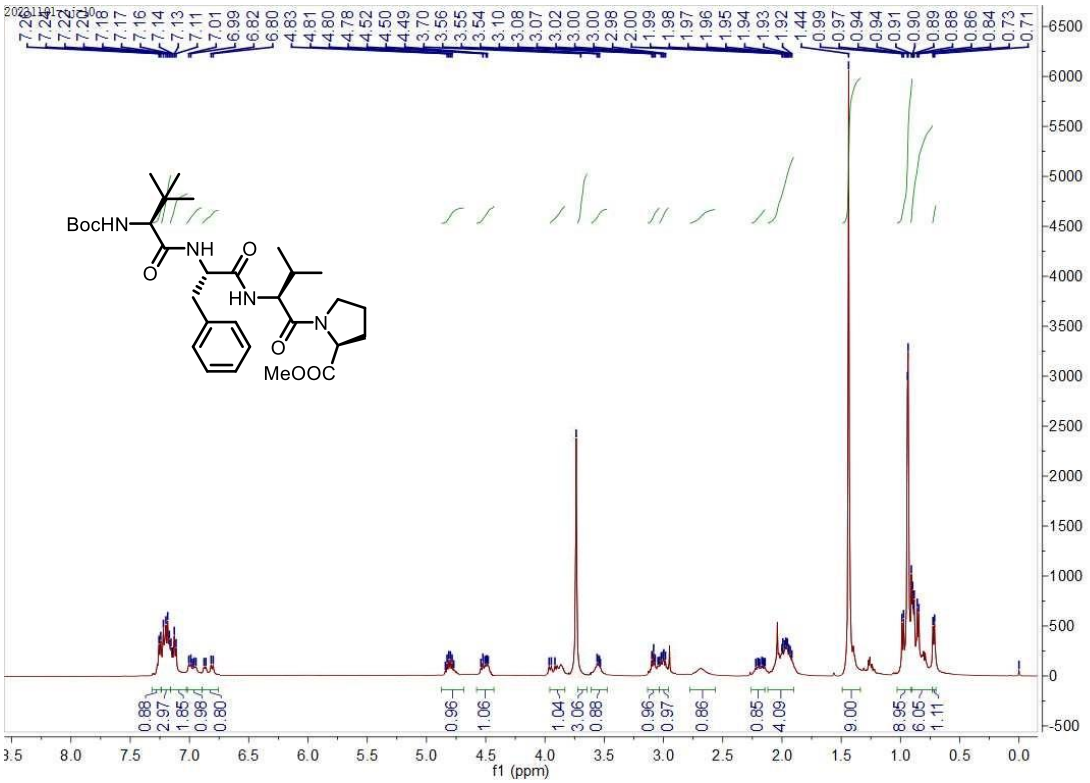
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1jb**



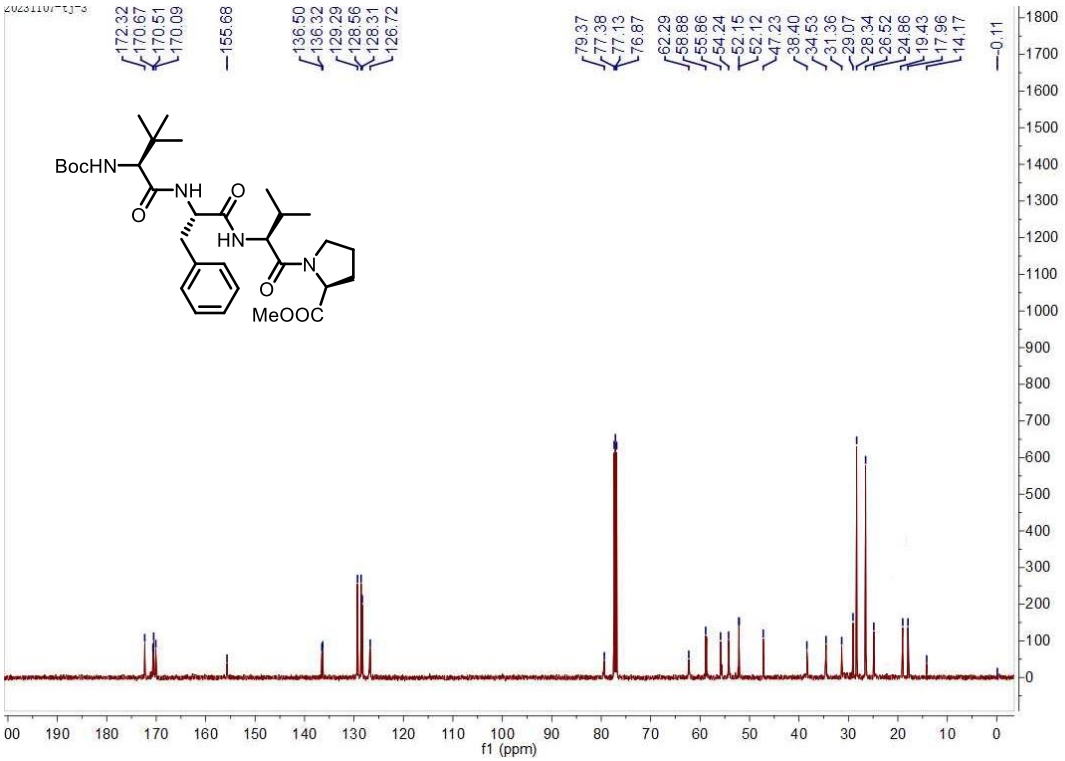
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1kb**



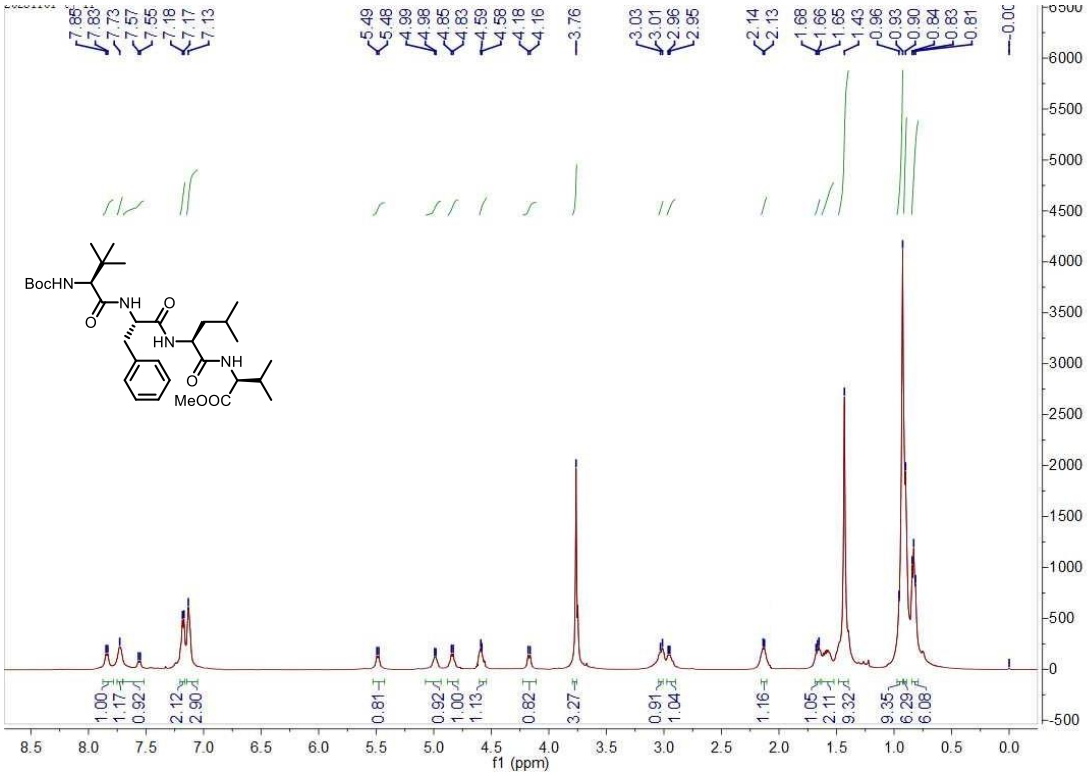
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1kb**



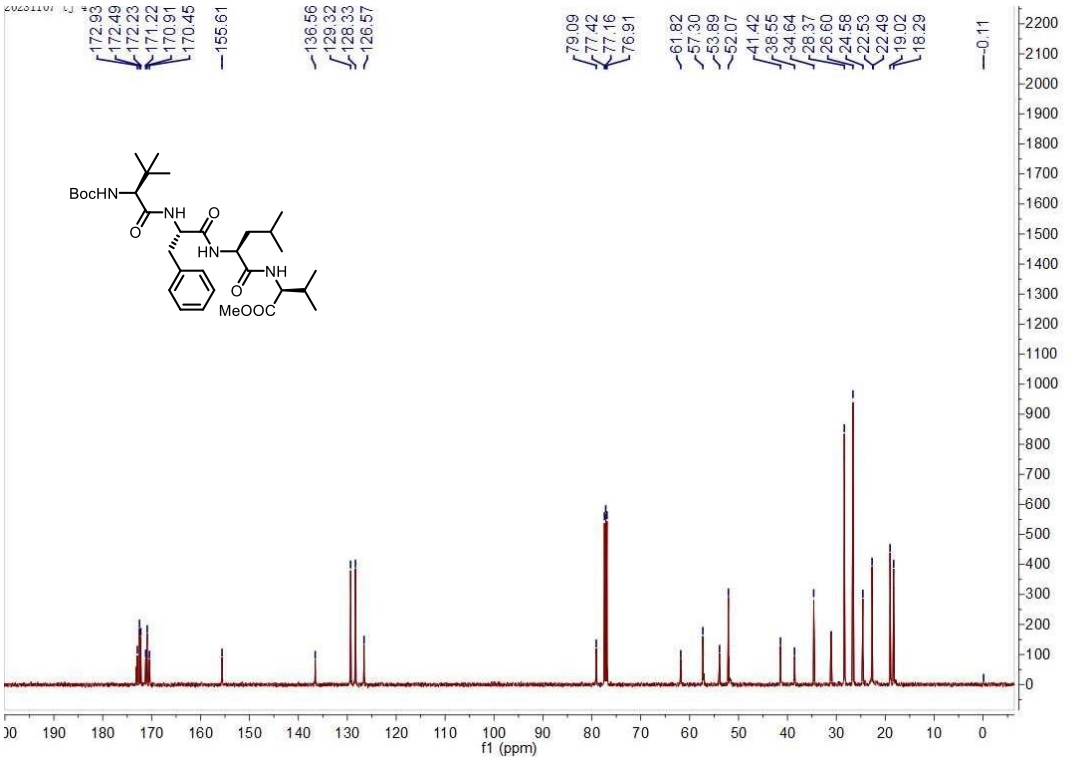
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1lb**



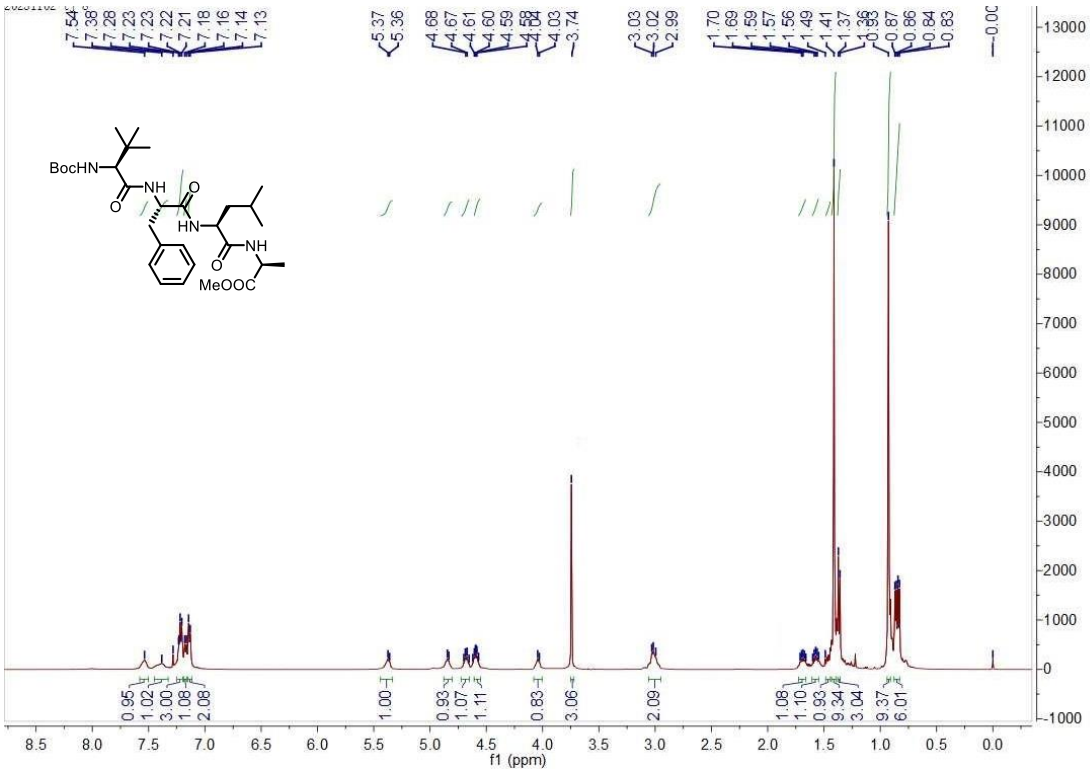
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1lb**



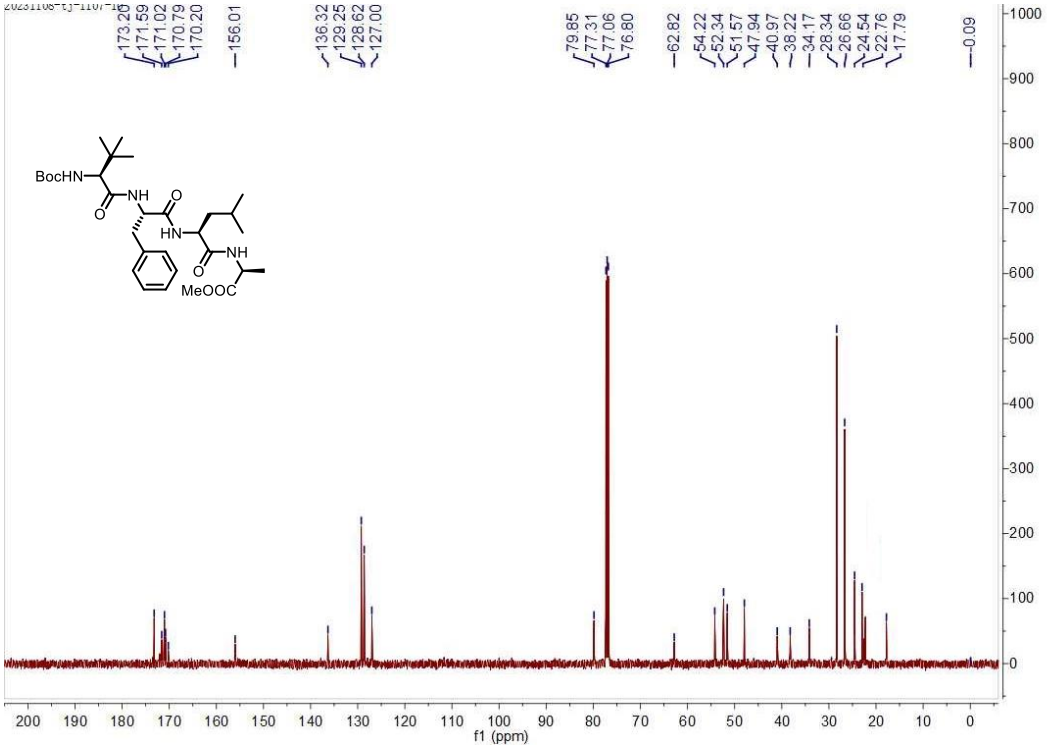
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1mb**



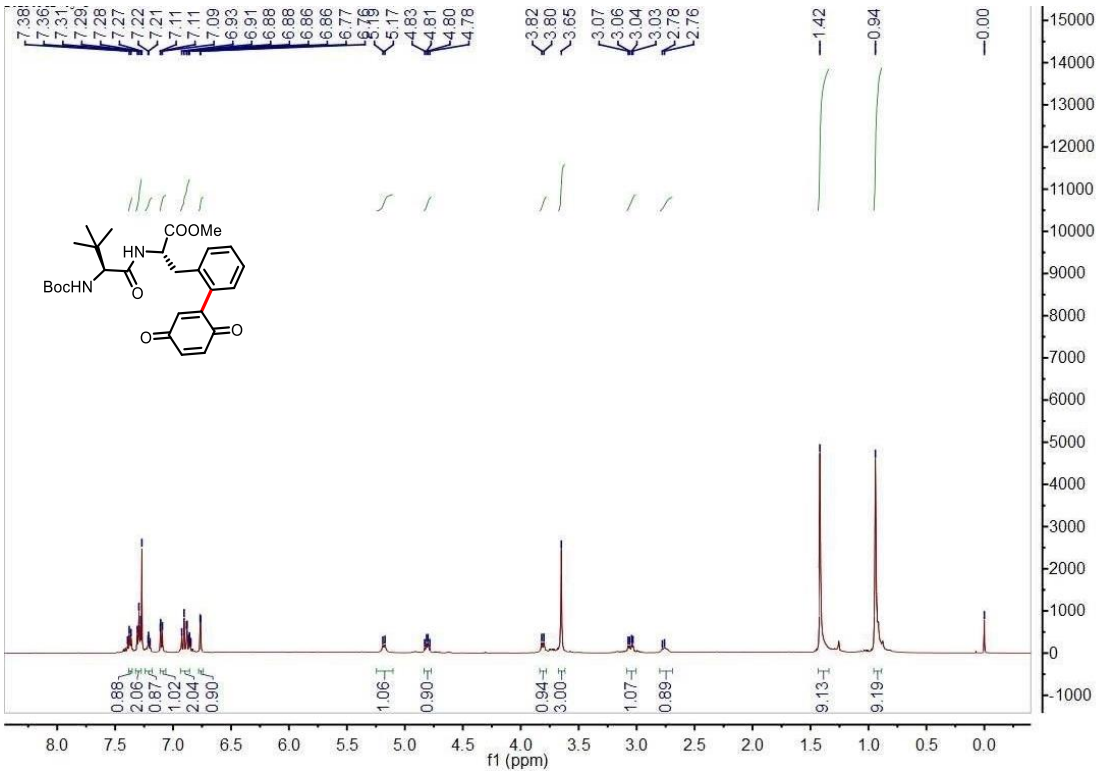
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1mb**



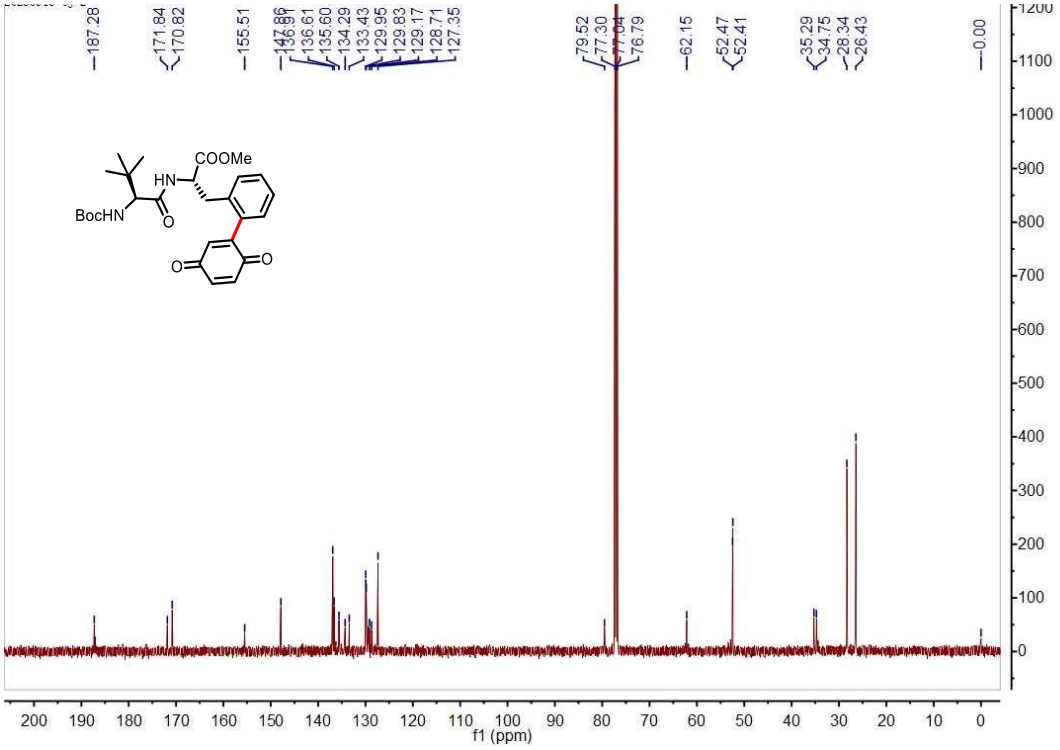
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **1nb**



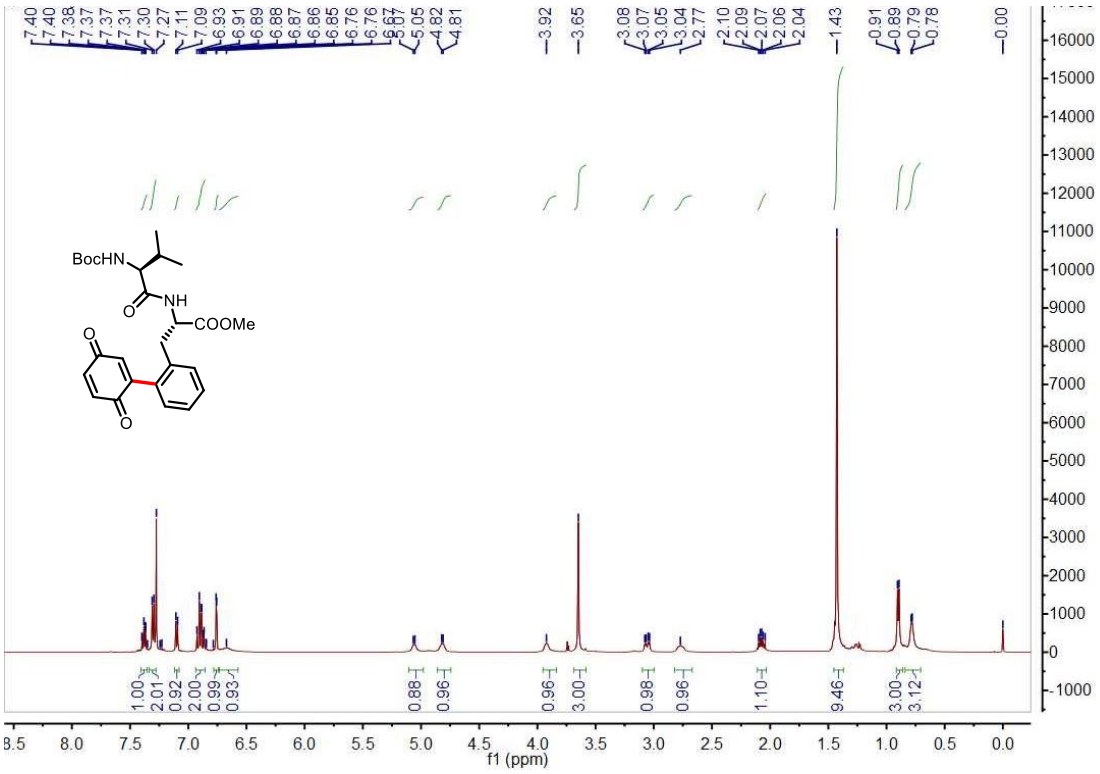
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **1nb**



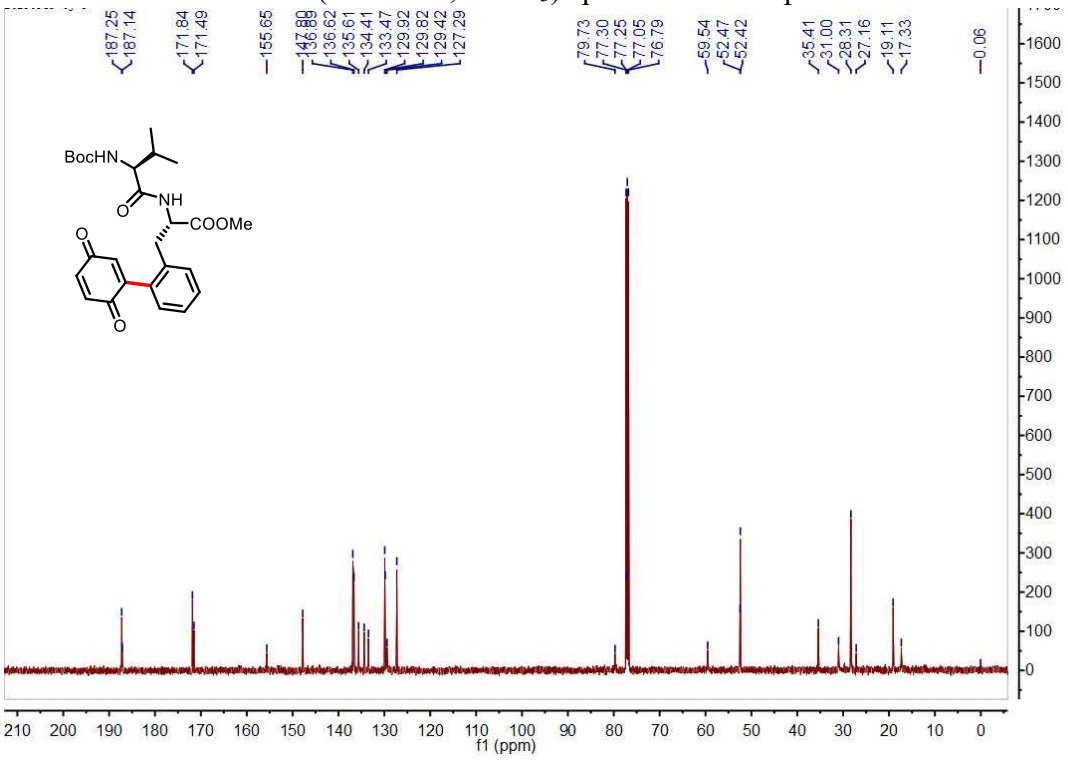
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3aa**



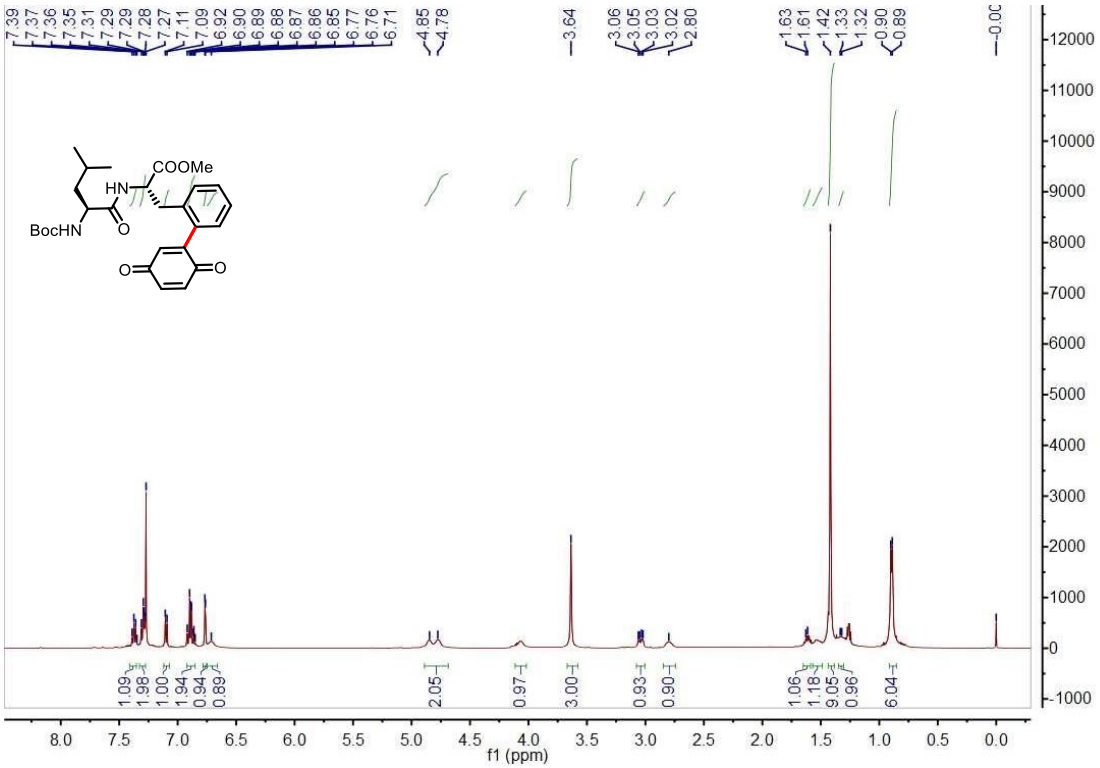
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3aa**



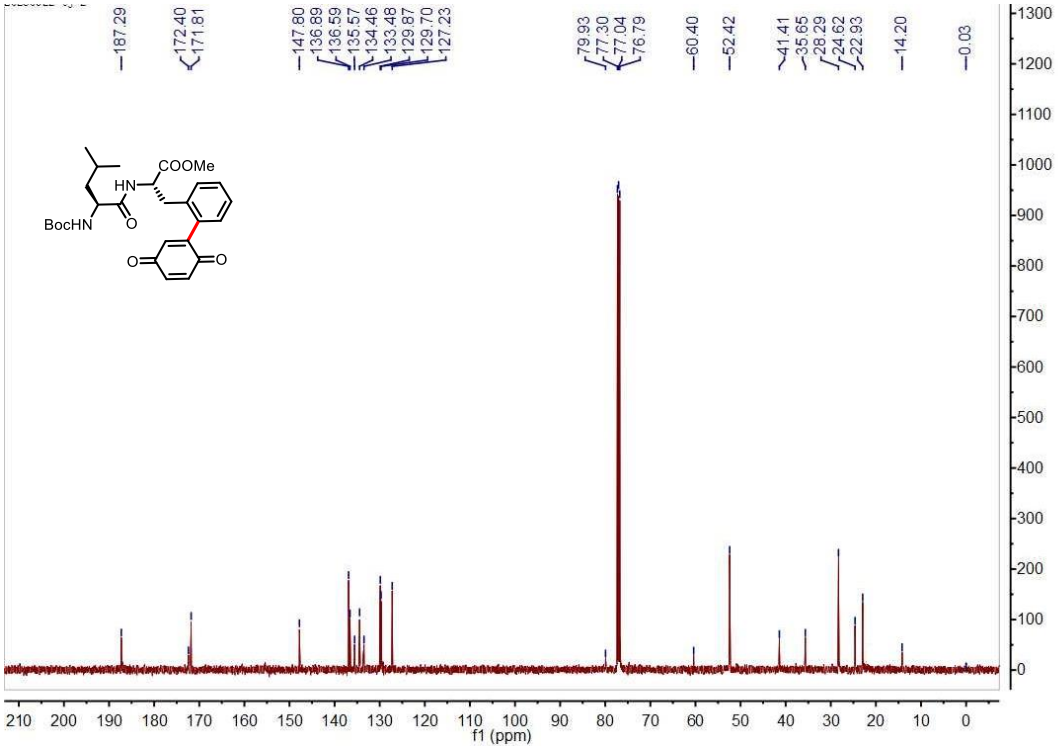
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3ba**



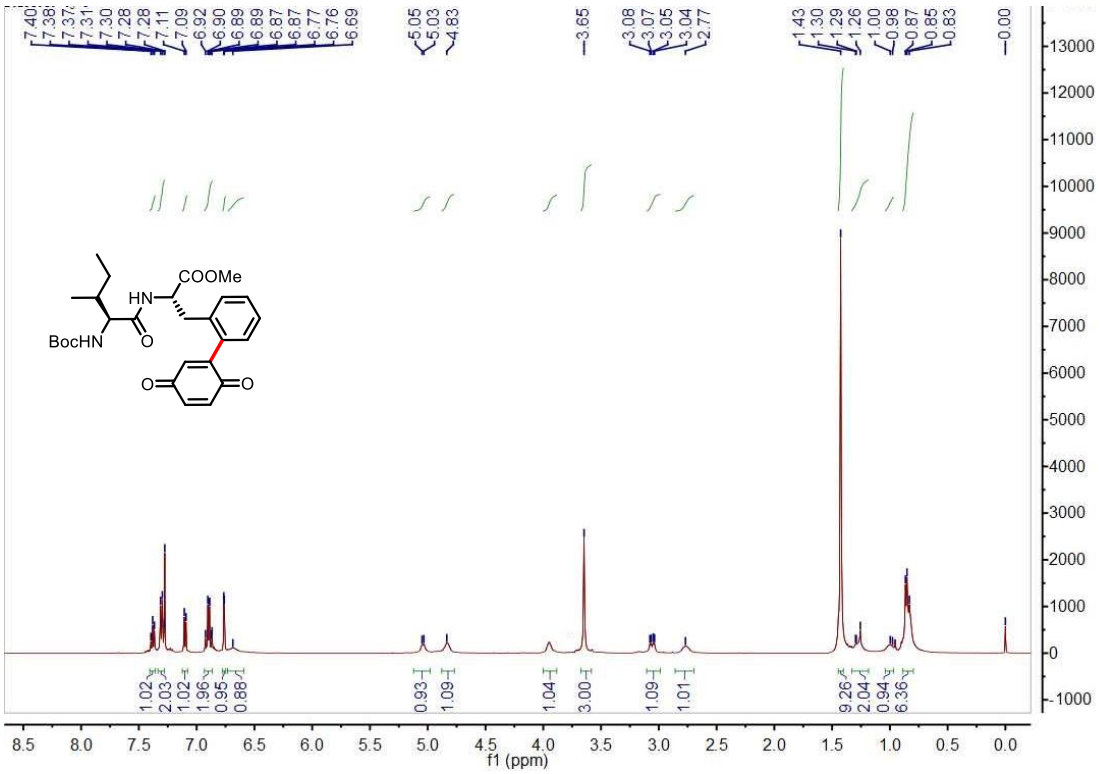
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **3ba**



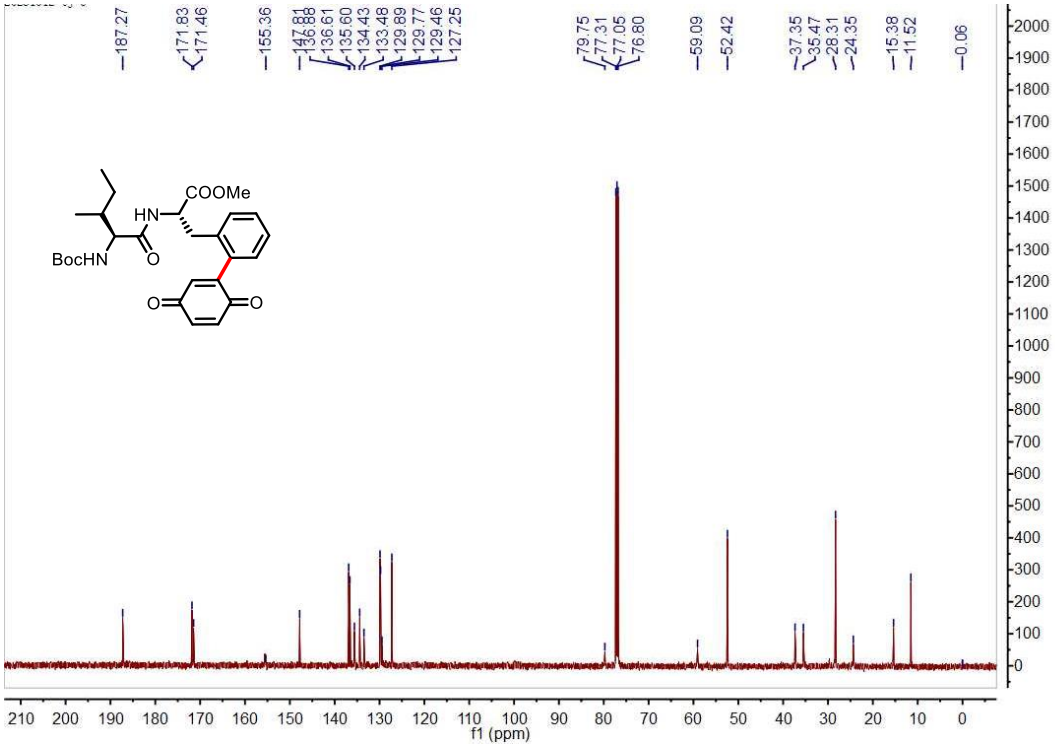
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3ca**



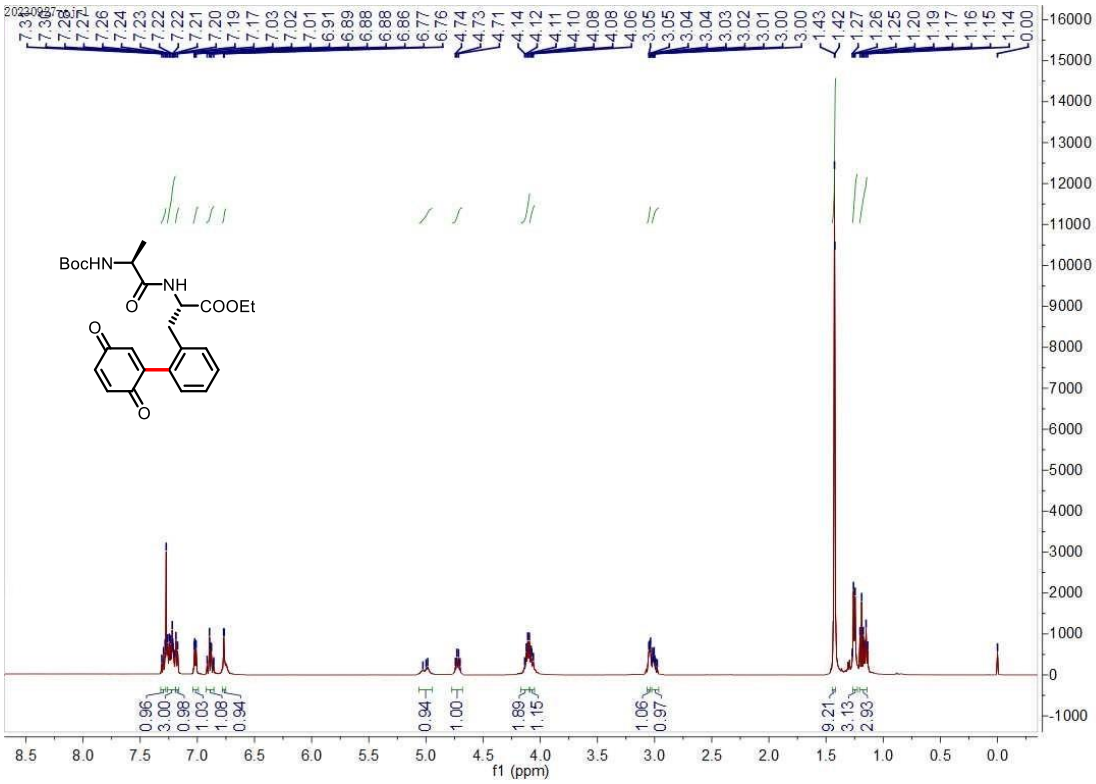
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3ca**



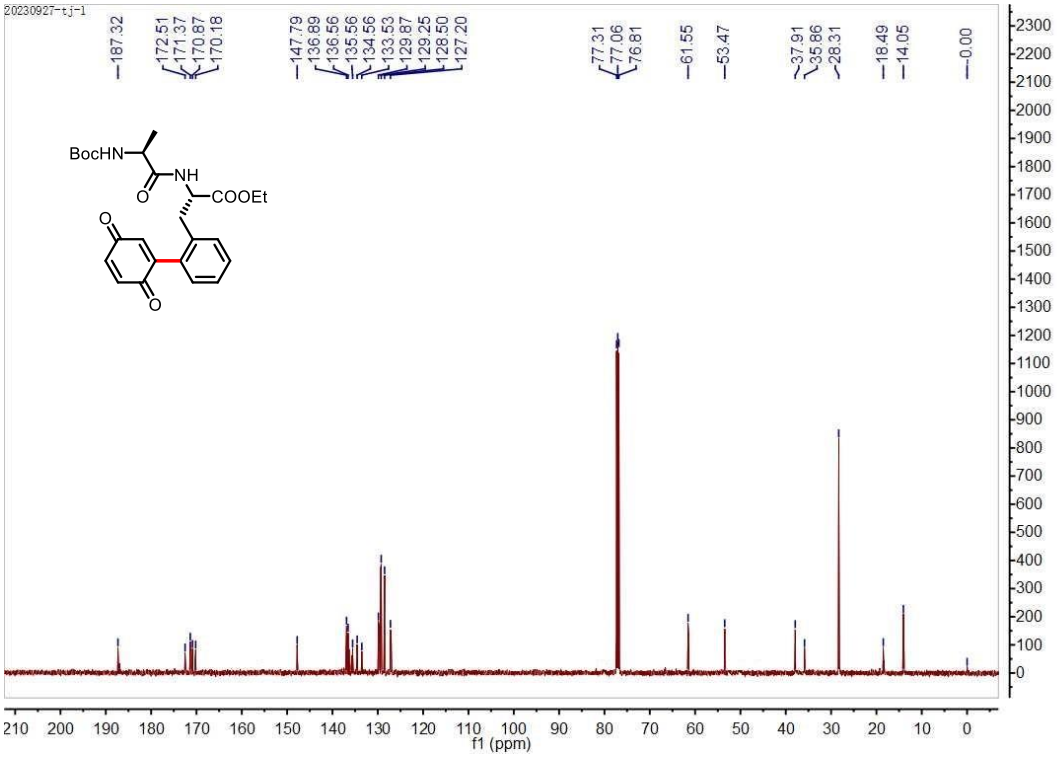
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3da**



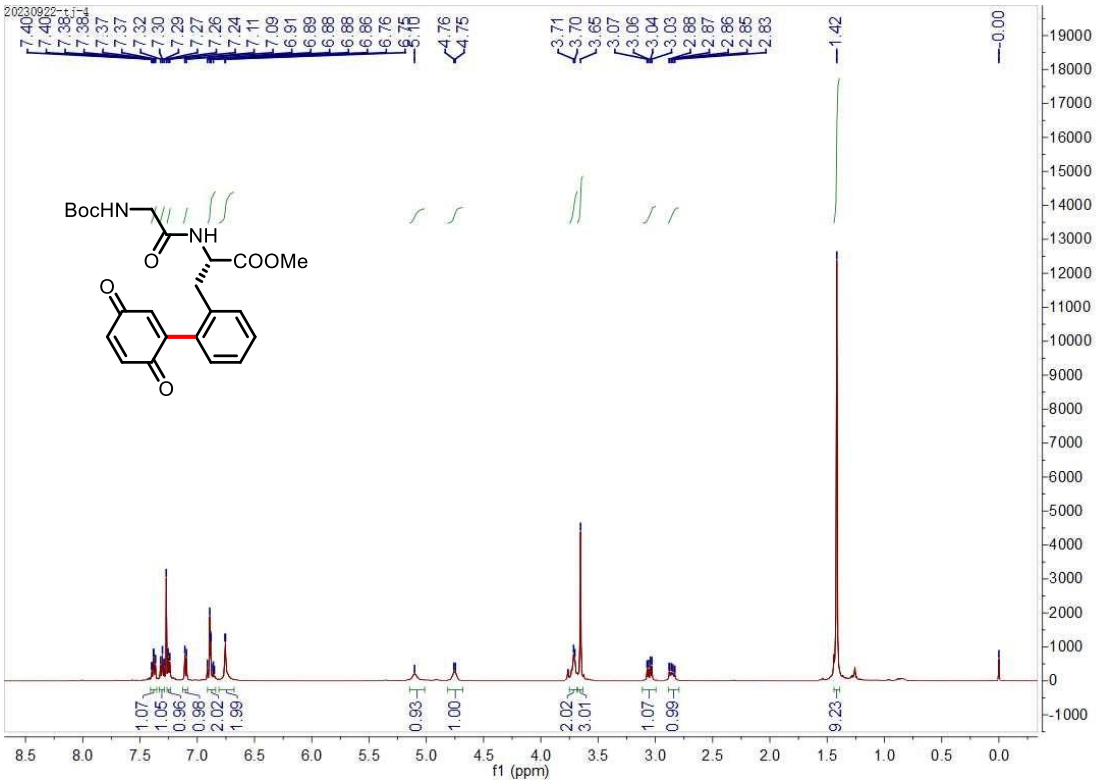
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3da**



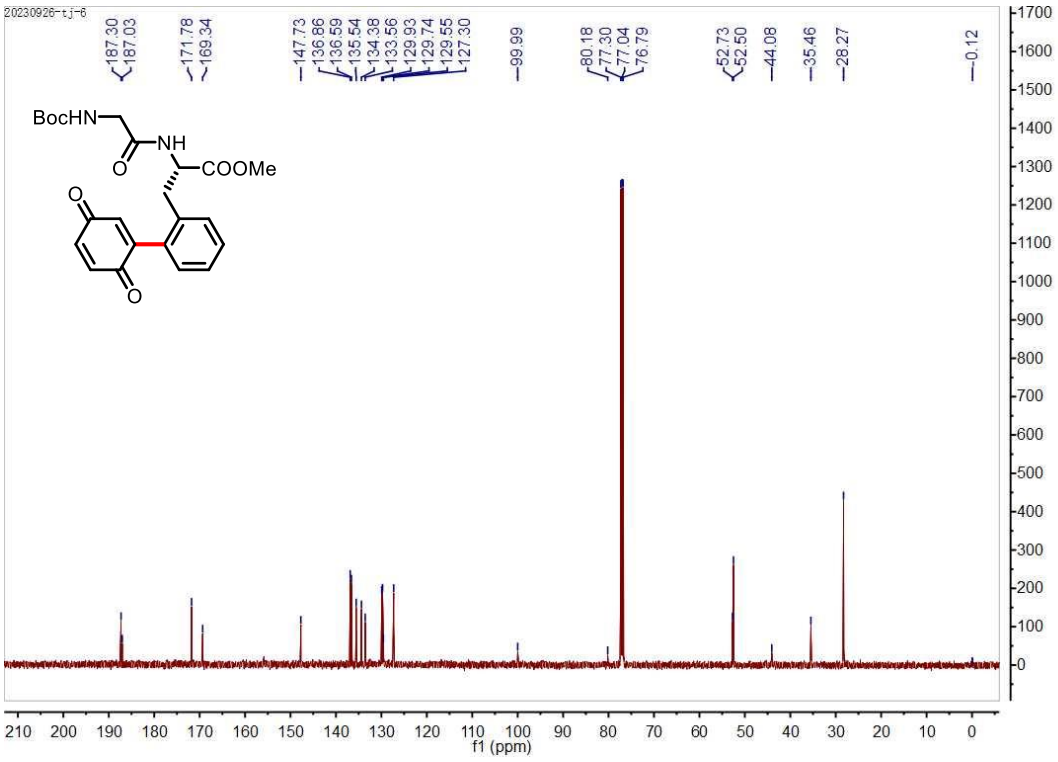
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3ea**



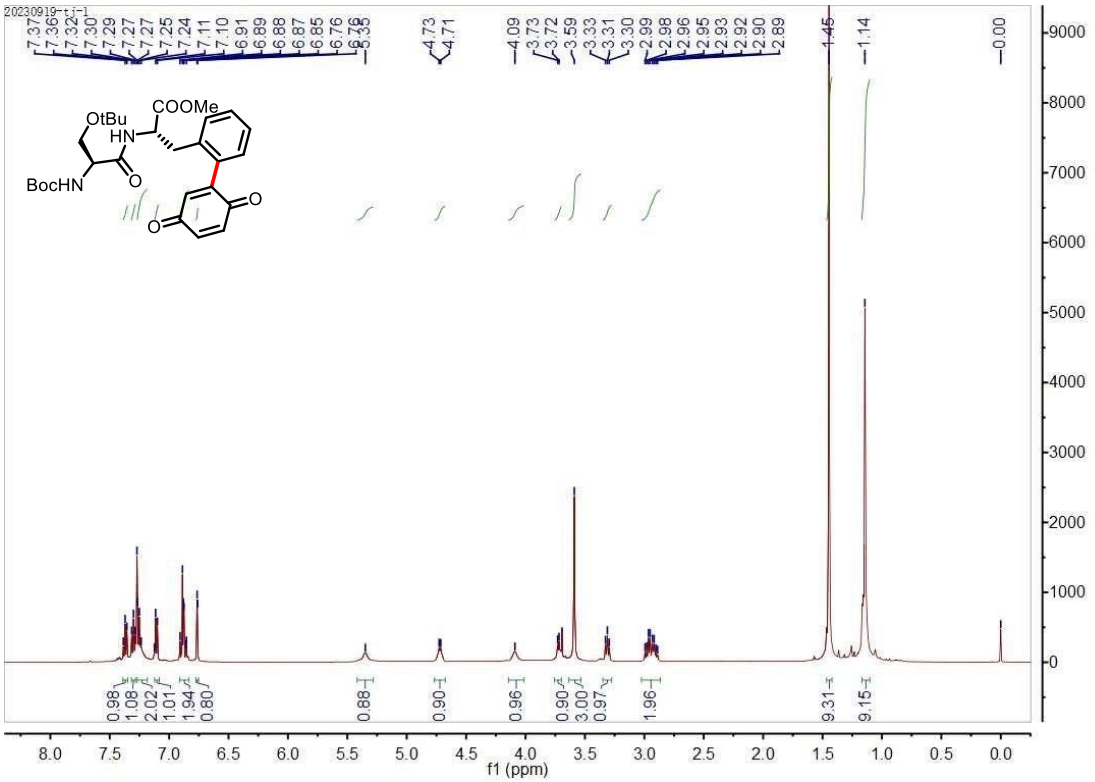
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3ea**



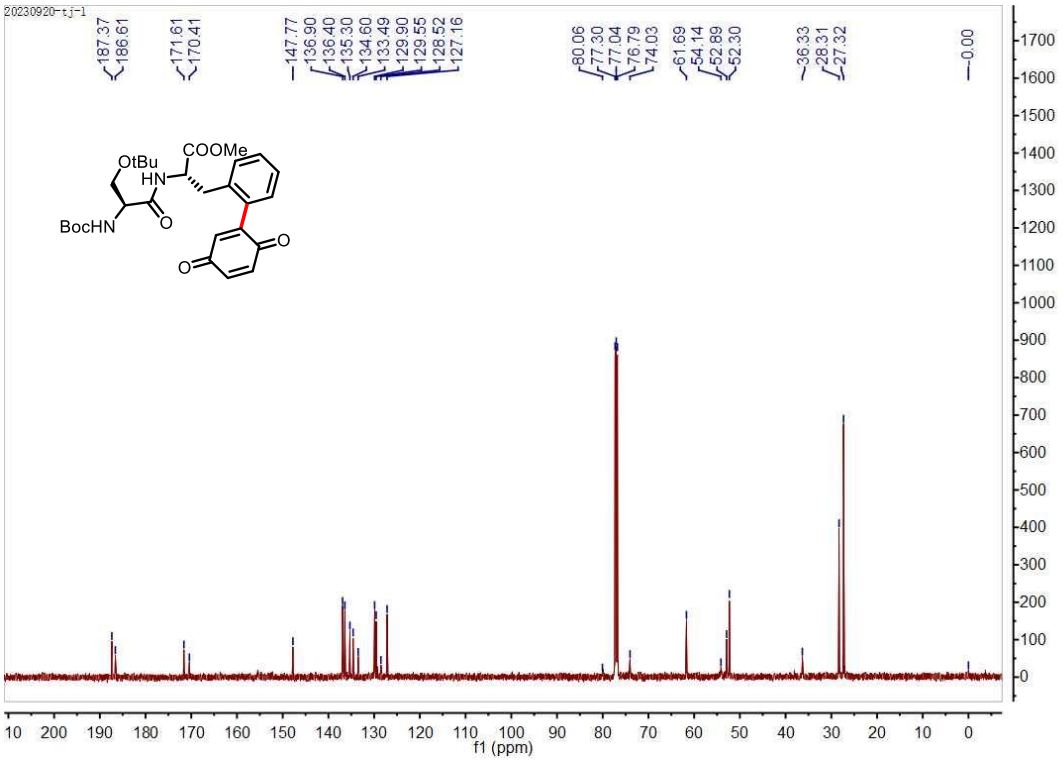
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3fa**



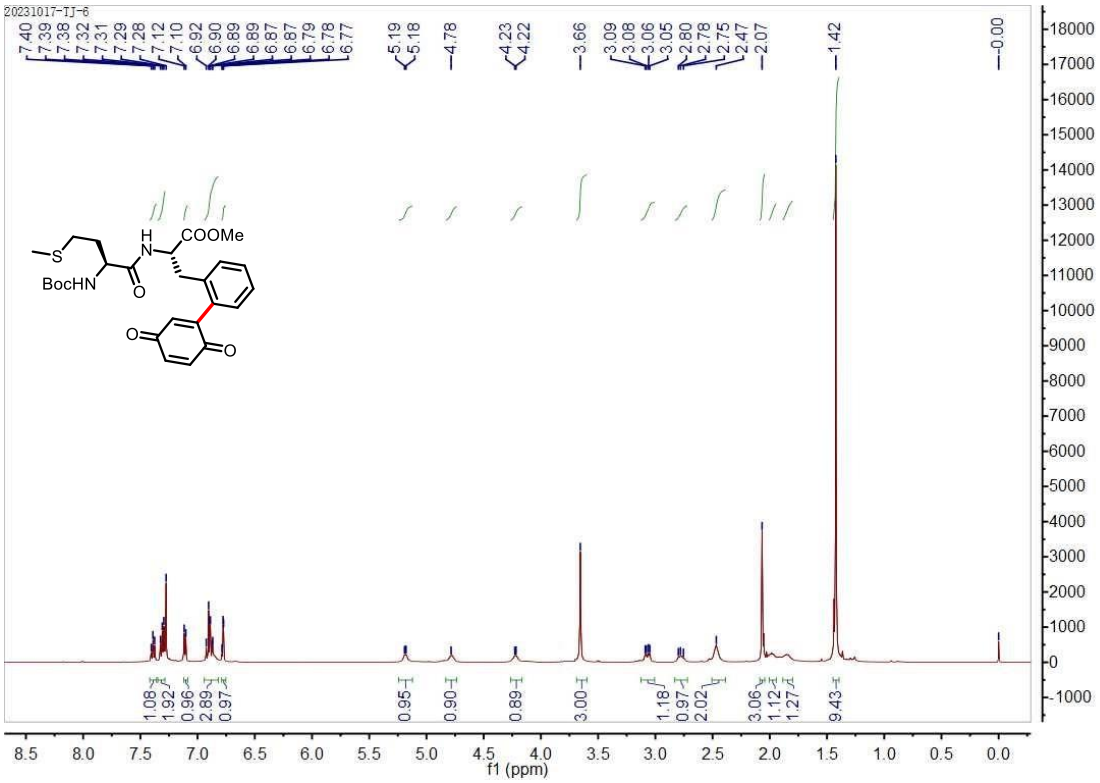
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3fa**



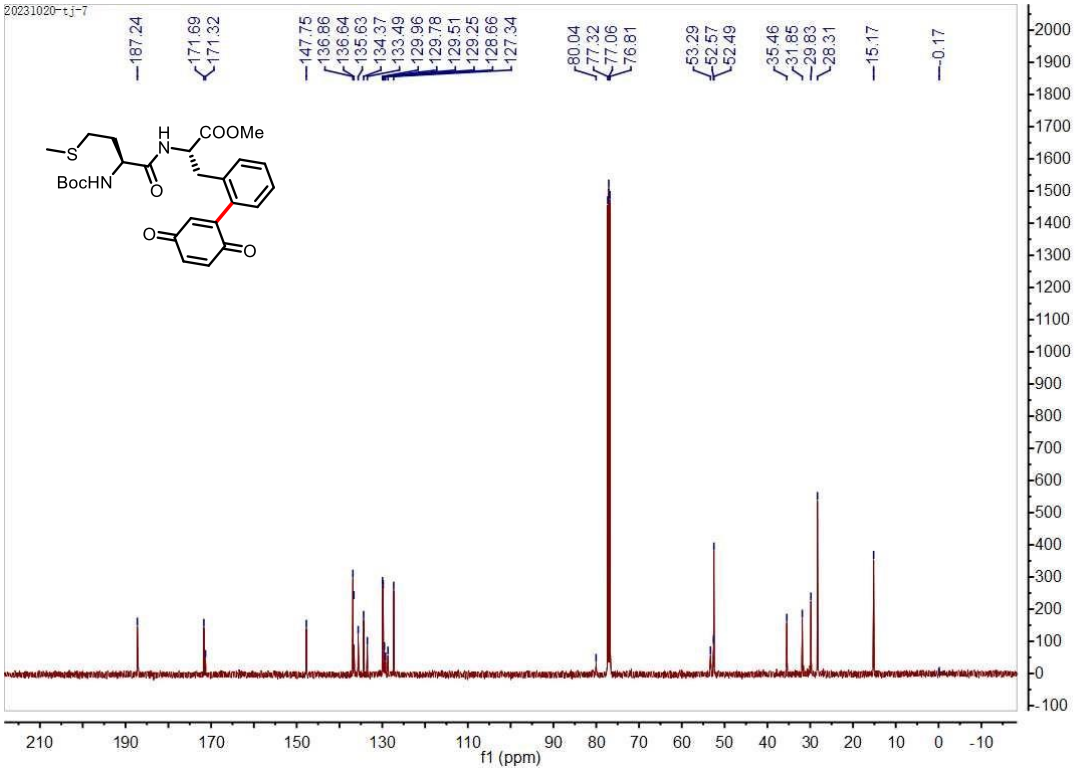
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3ga**



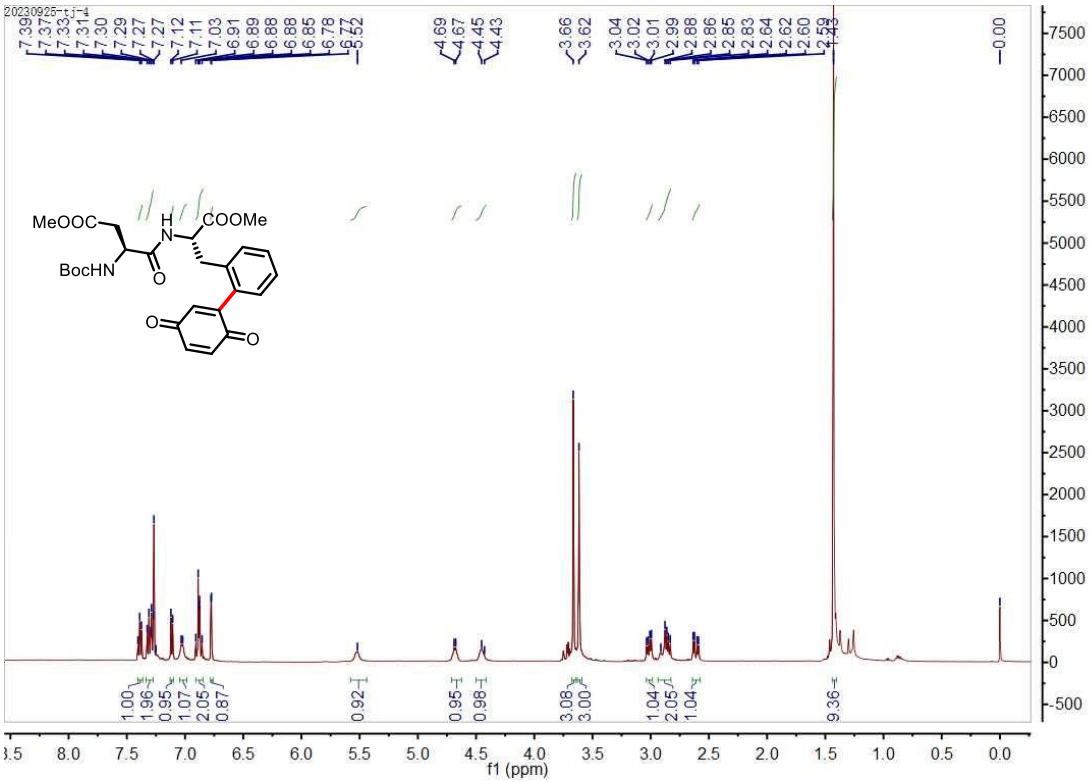
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **3ga**



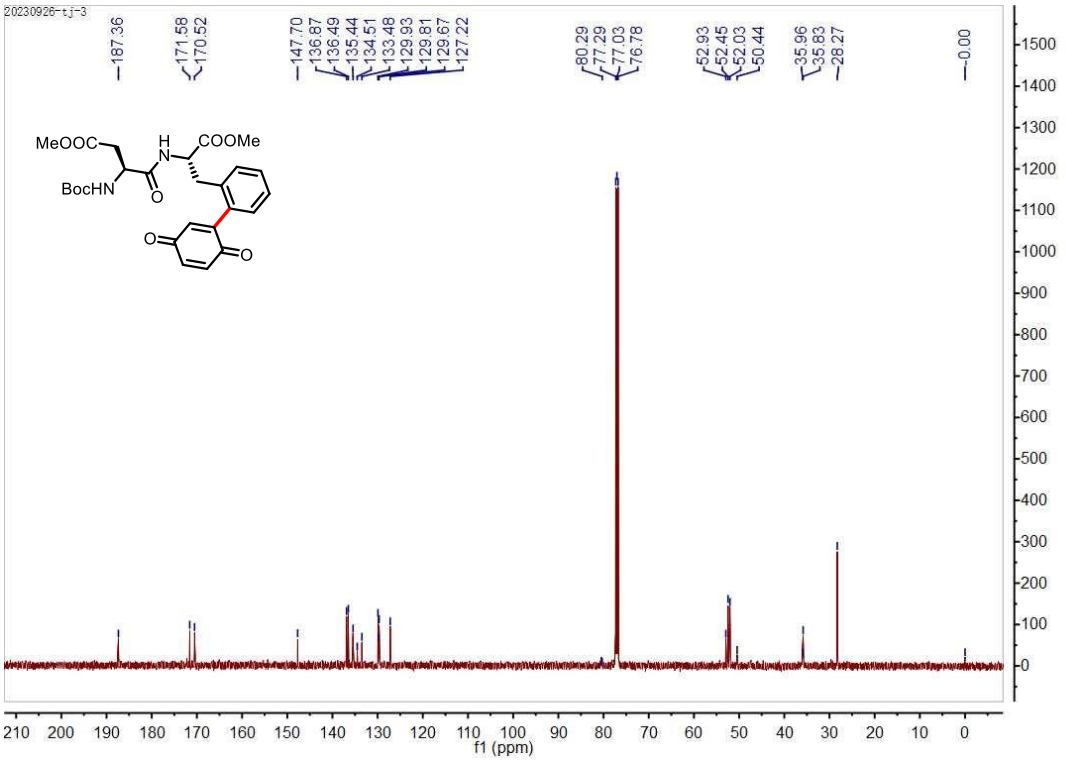
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3ha**



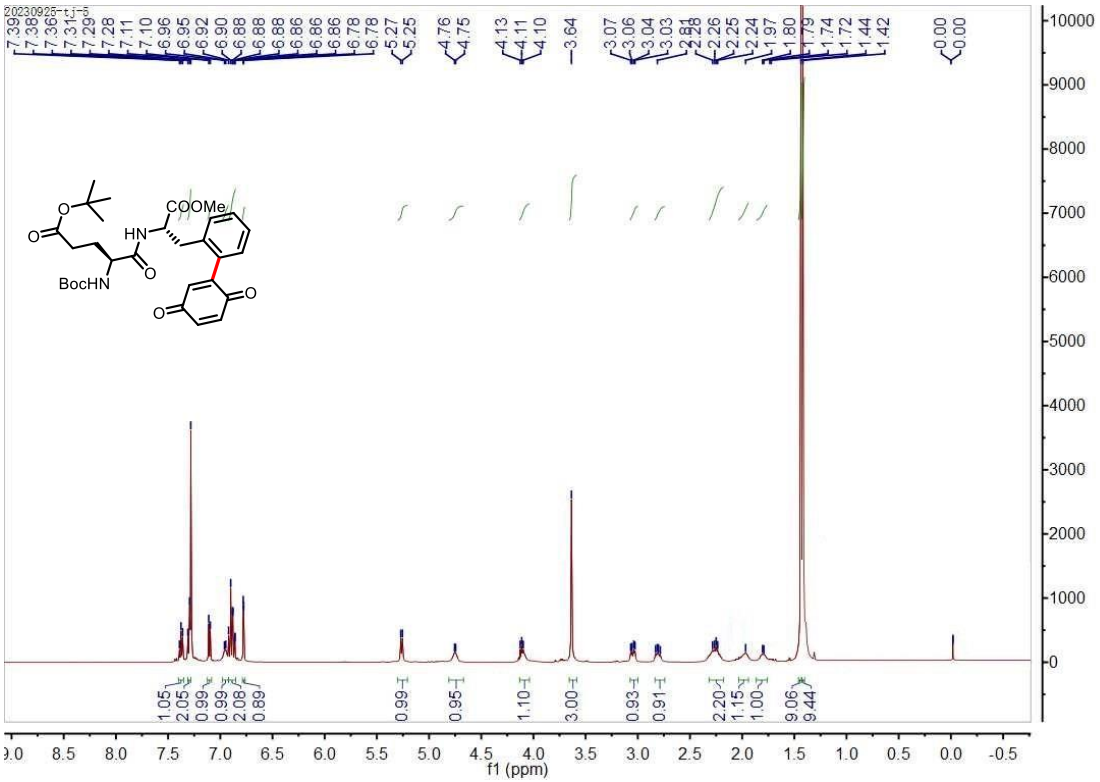
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **3ha**



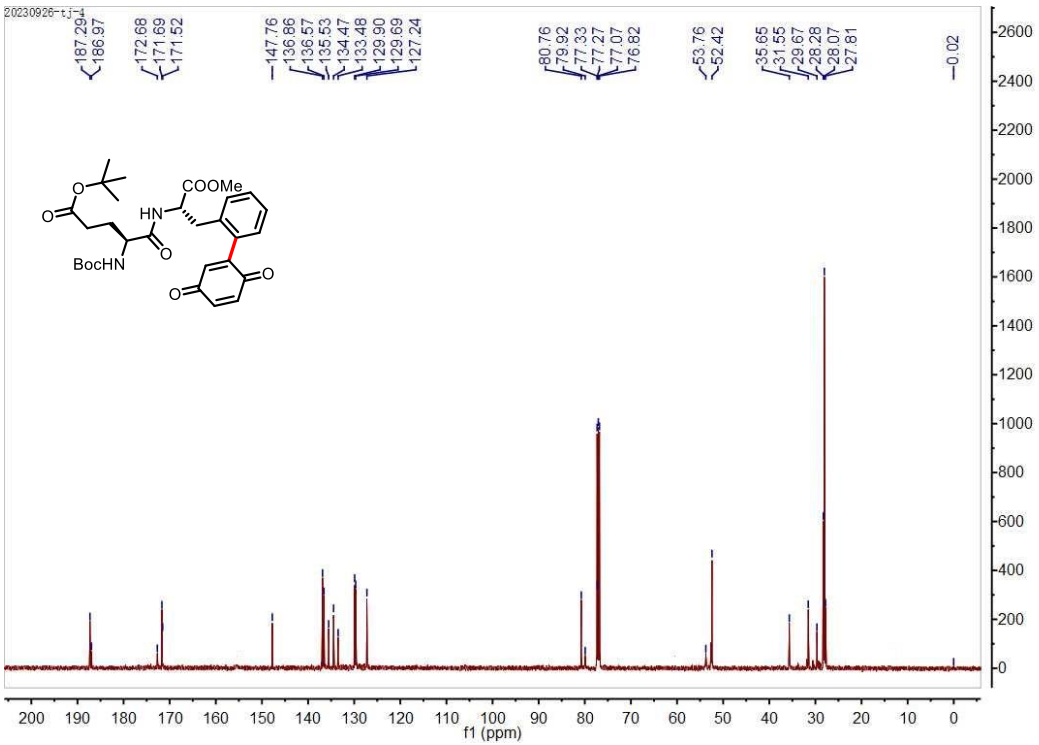
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3ia**



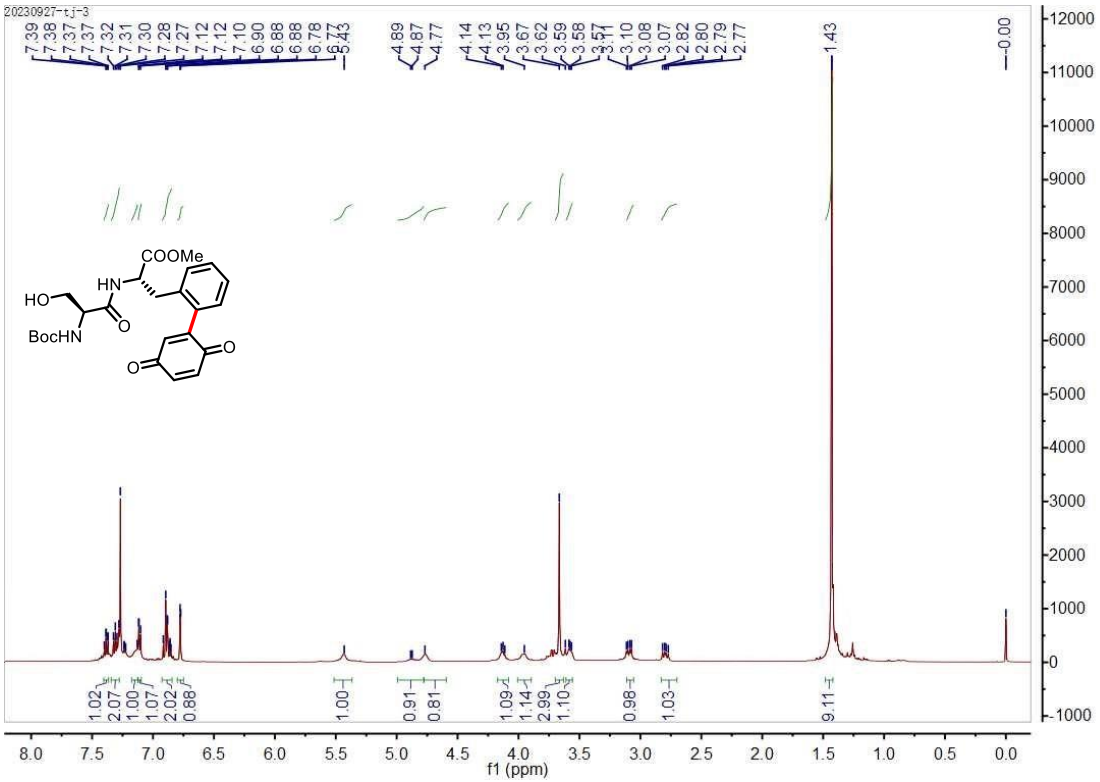
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **3ia**



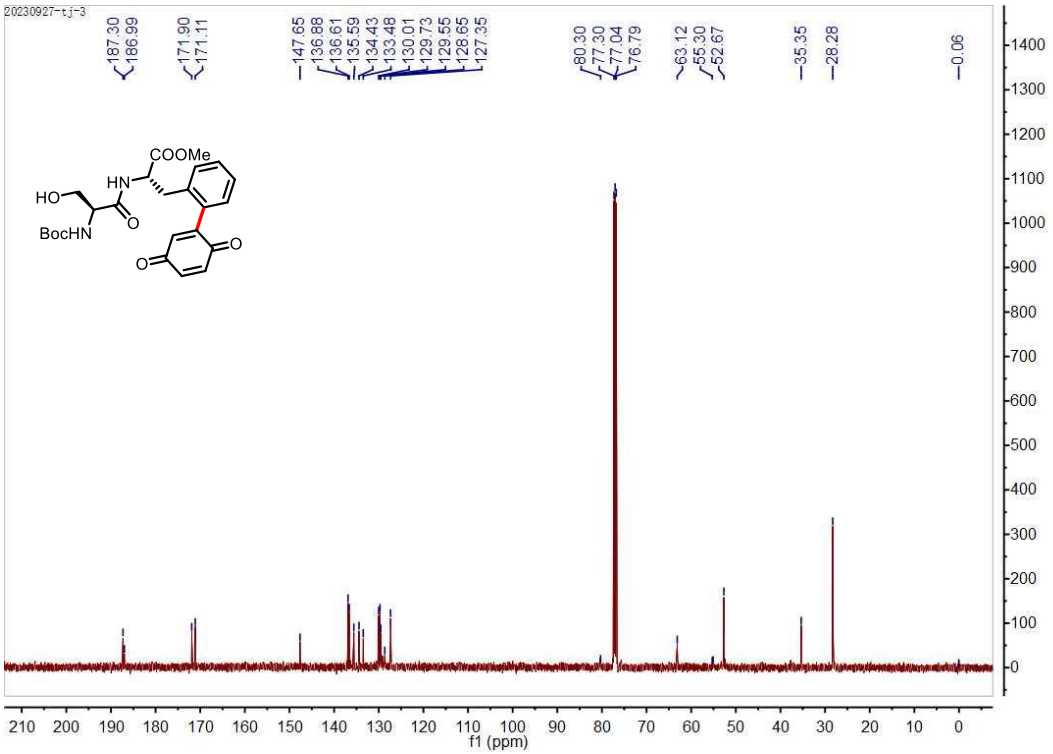
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3ja**



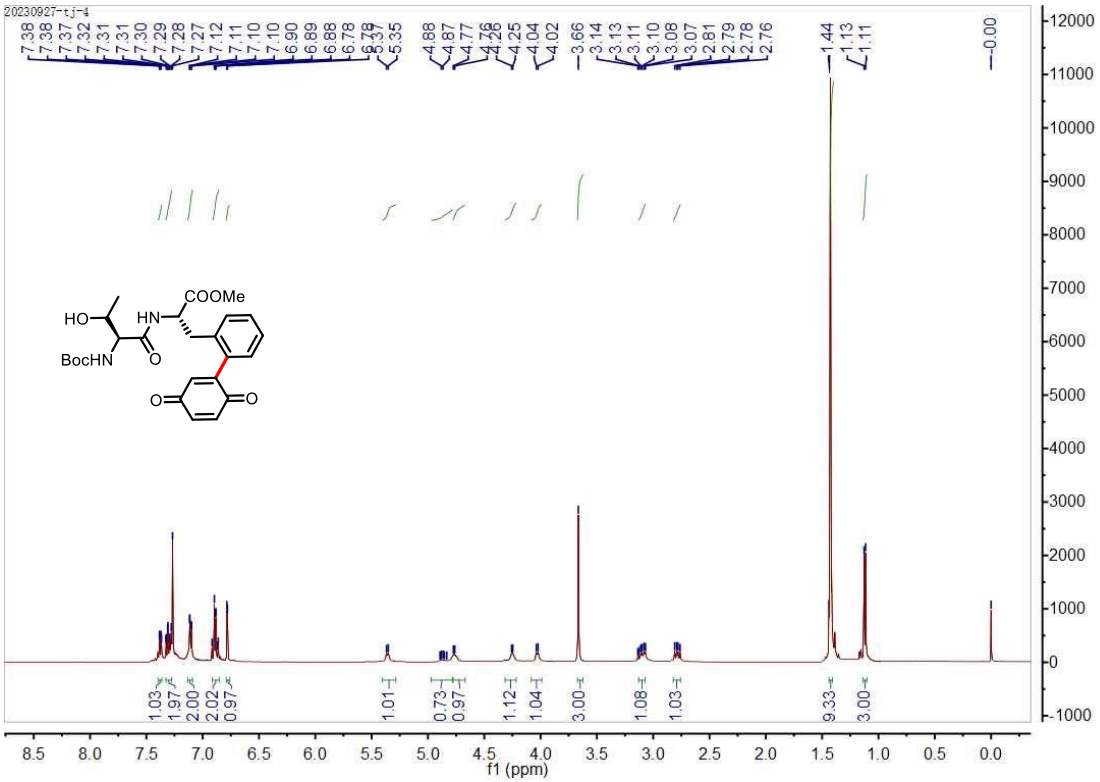
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3ja**



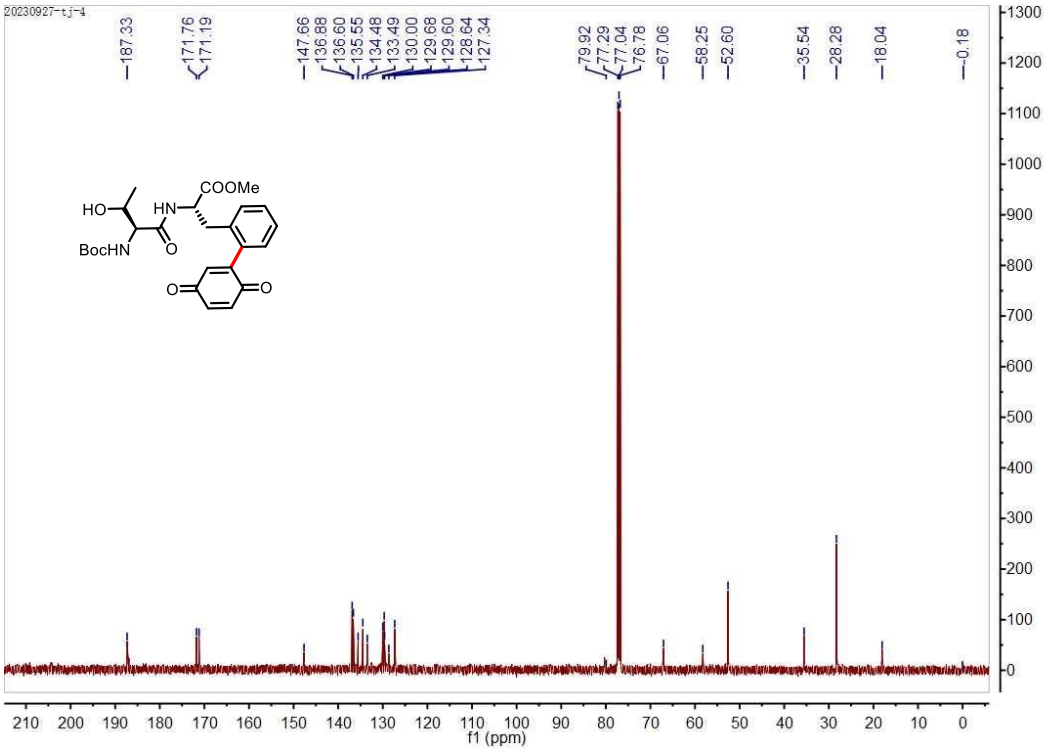
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3ka**



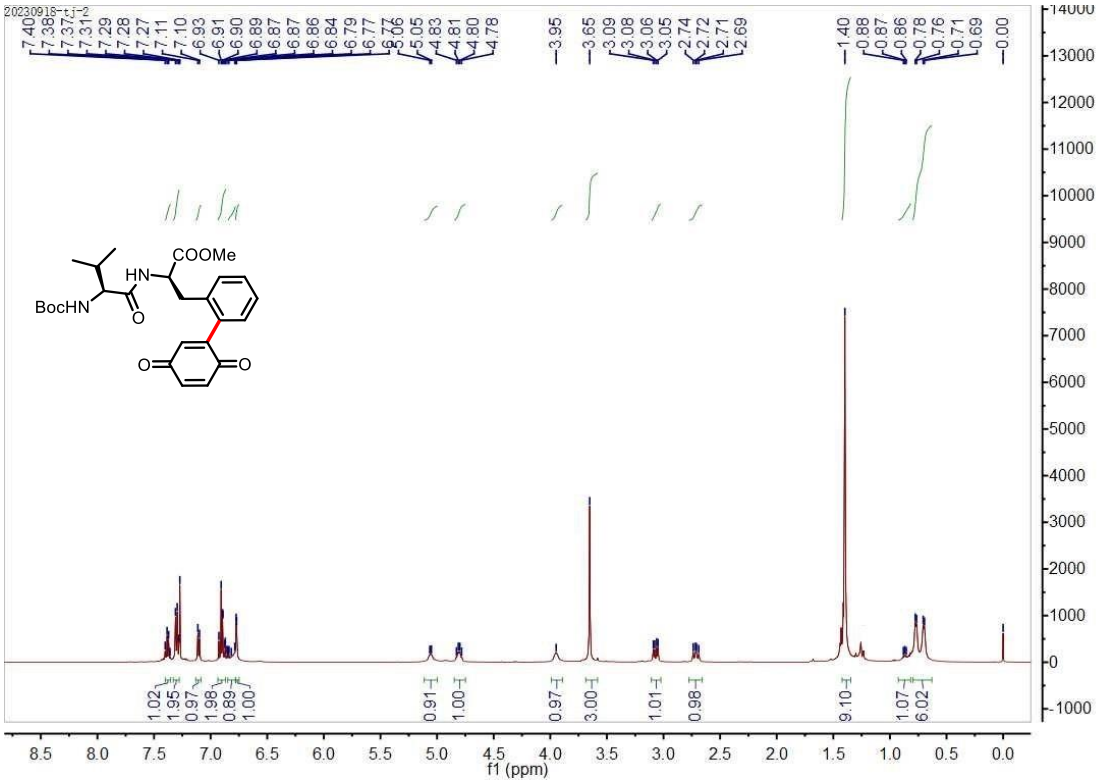
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **3ka**



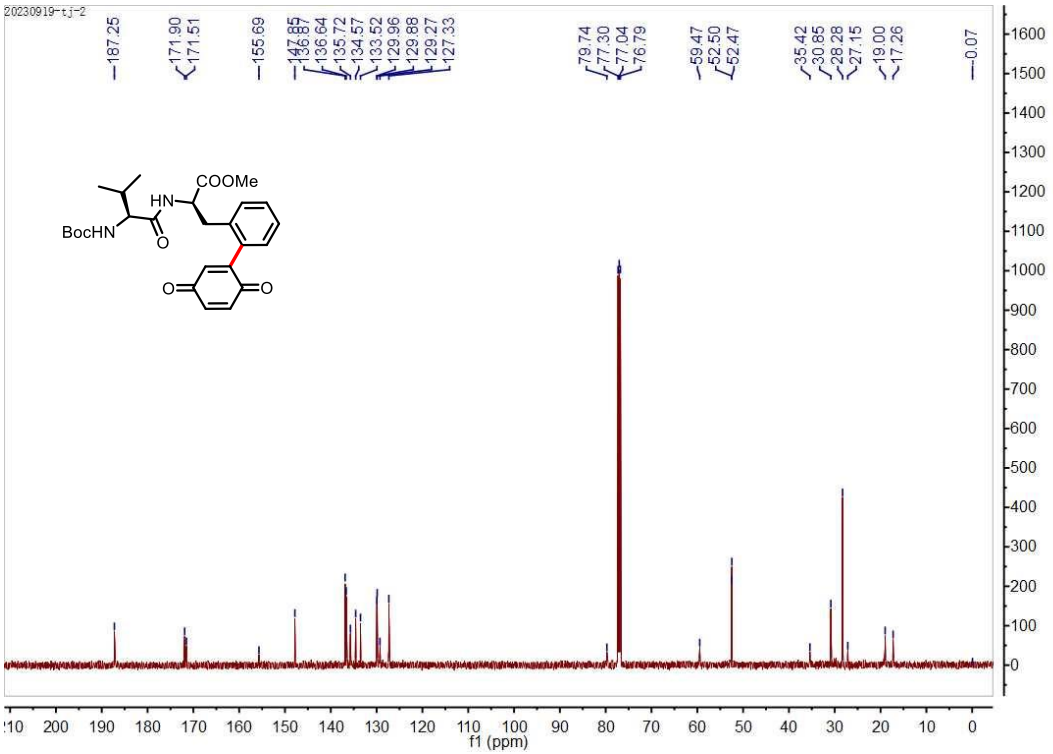
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3la**



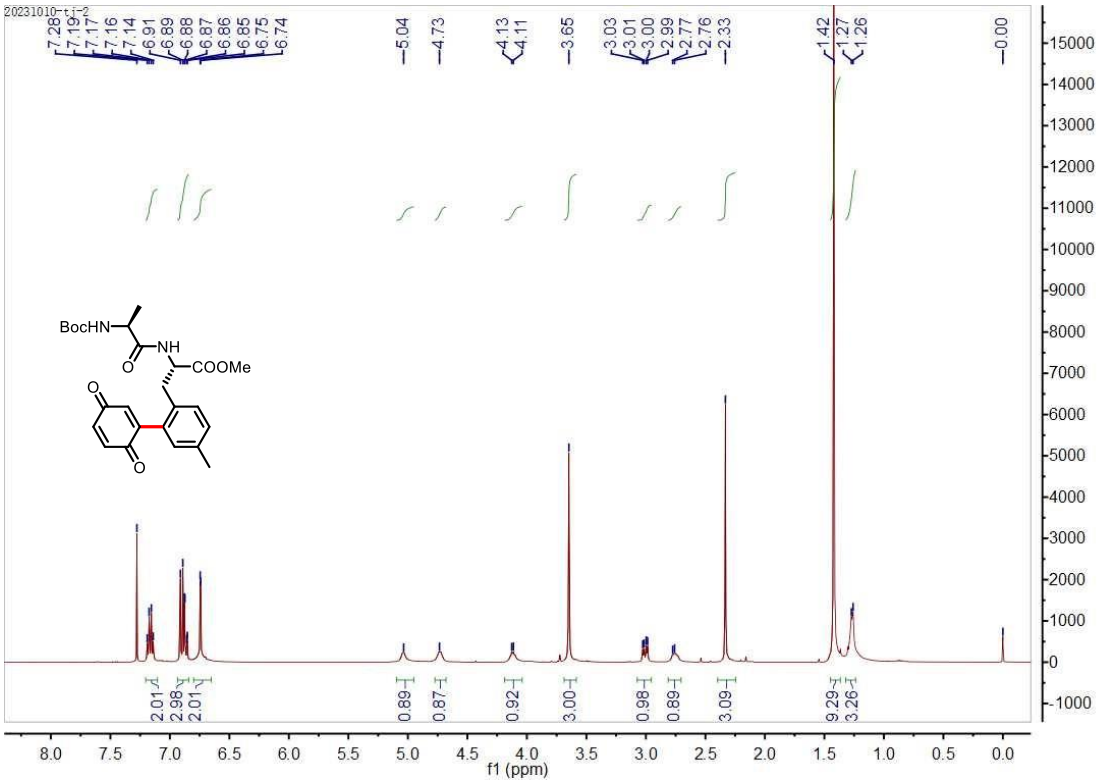
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **3la**



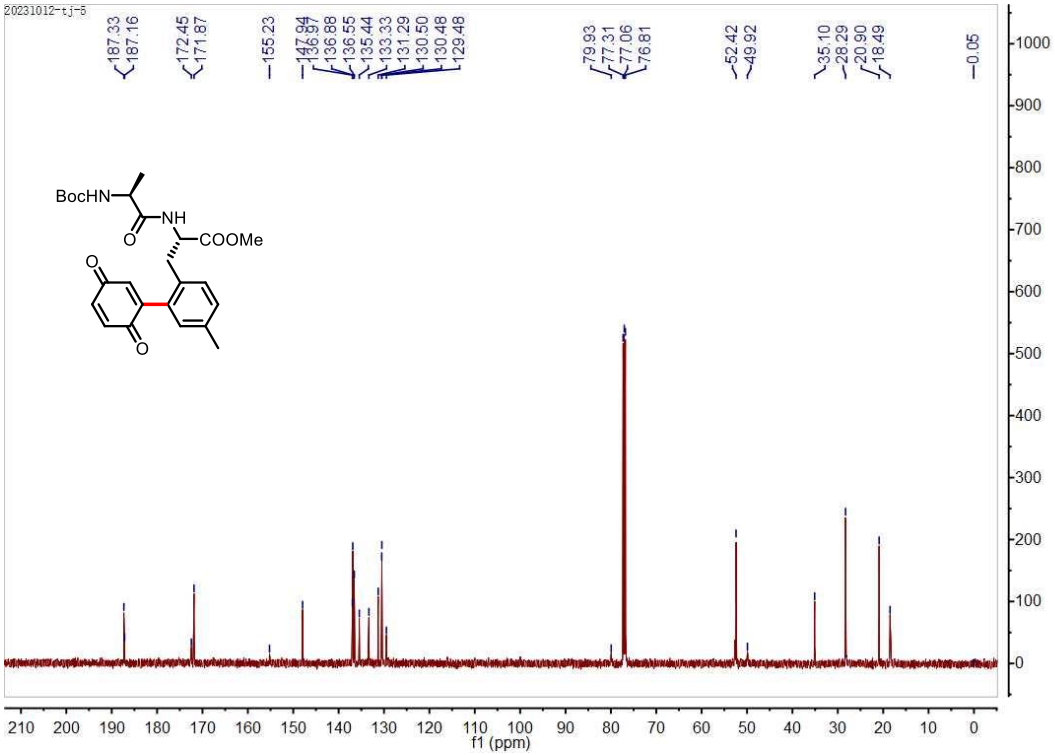
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3ma**



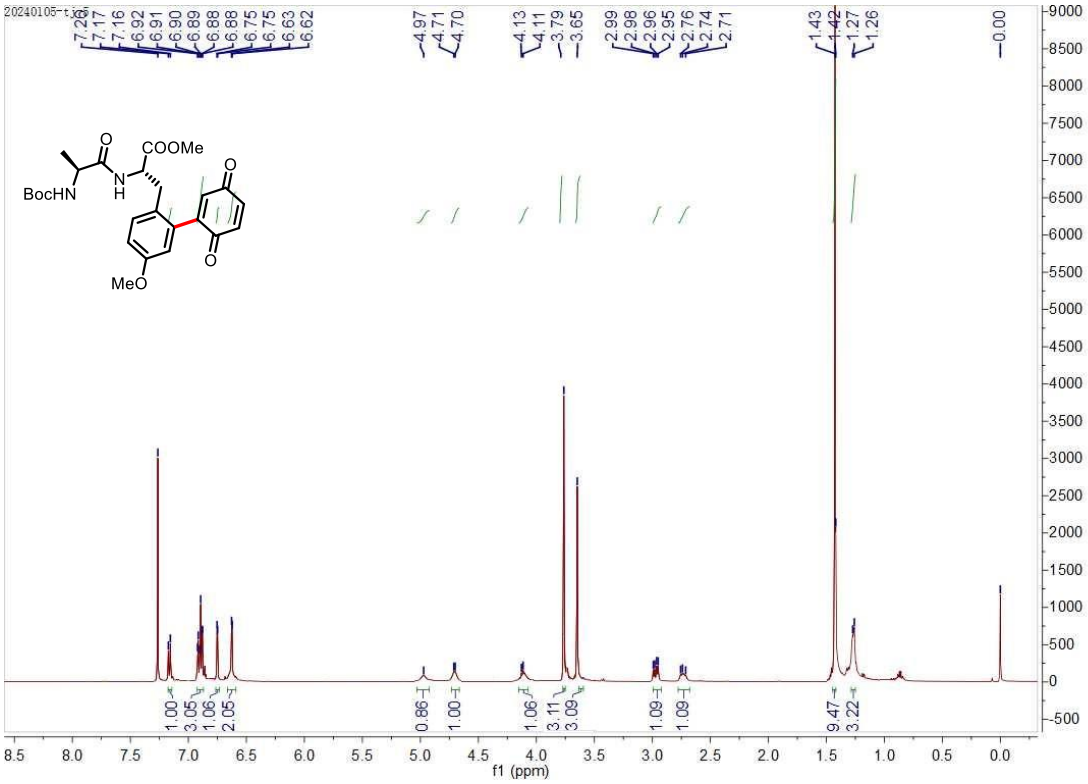
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **3ma**



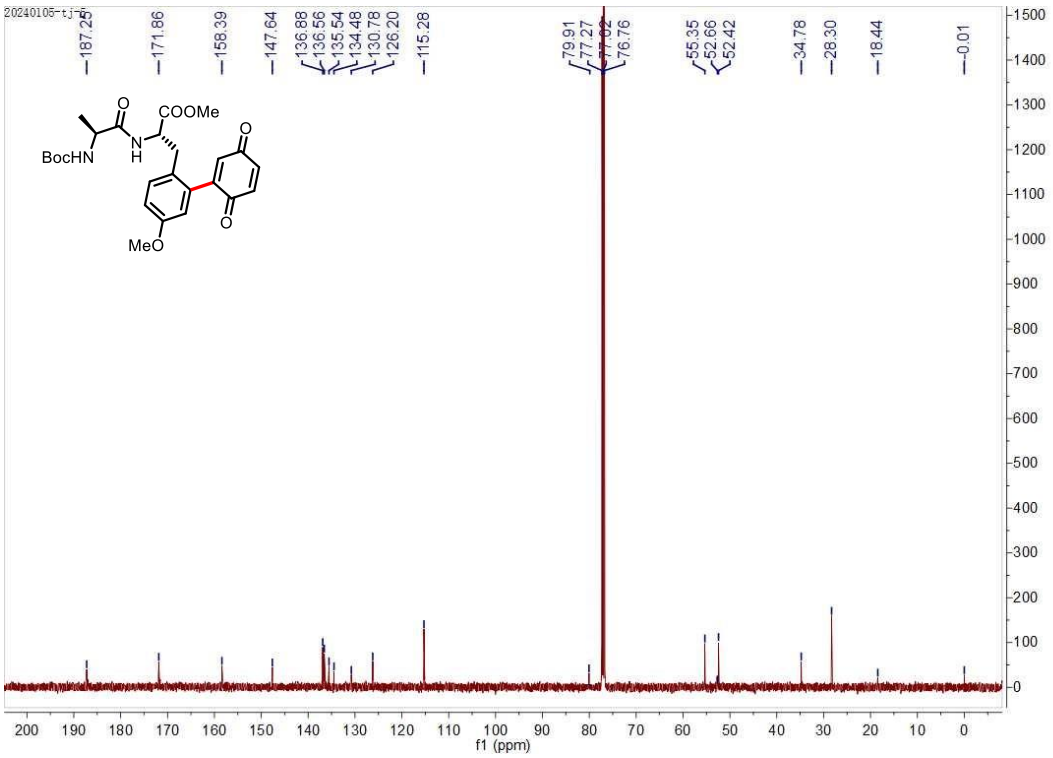
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3na**



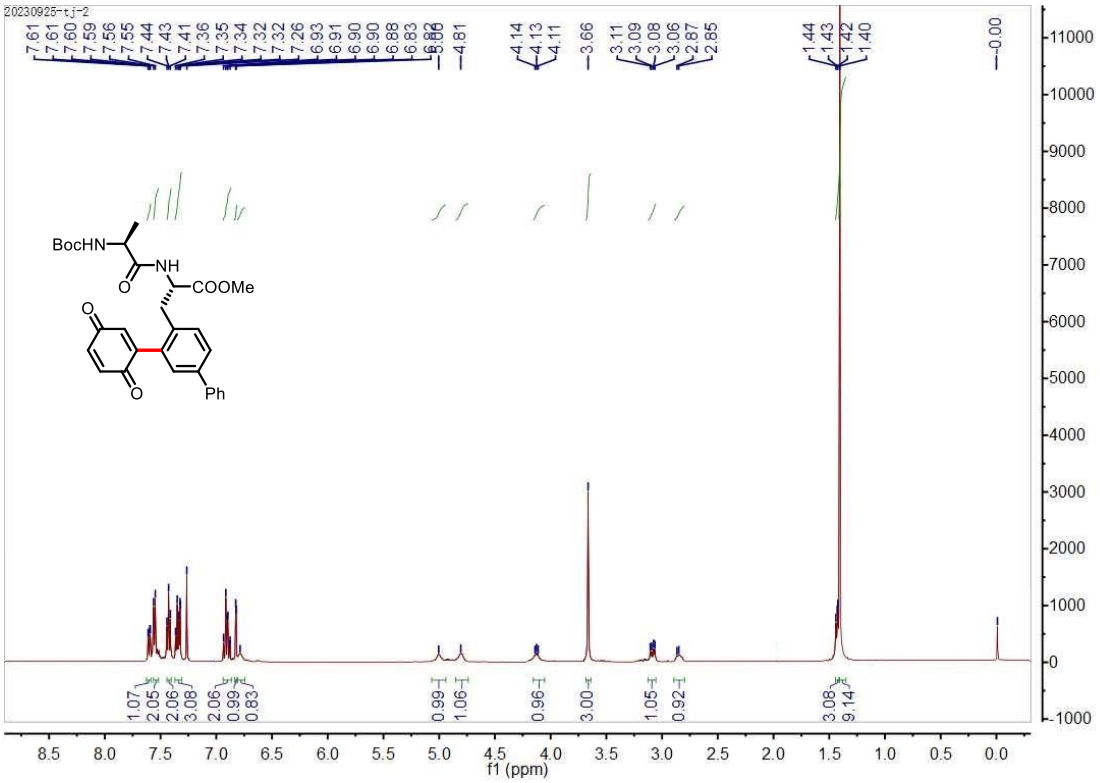
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3na**



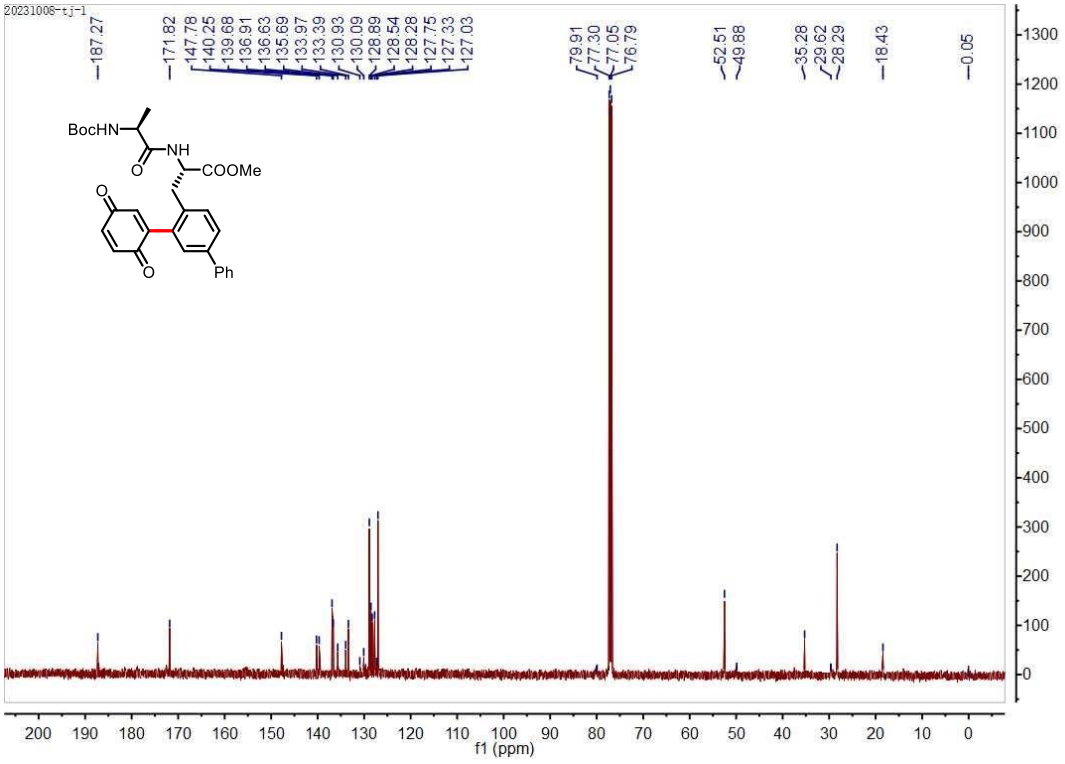
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3oa**



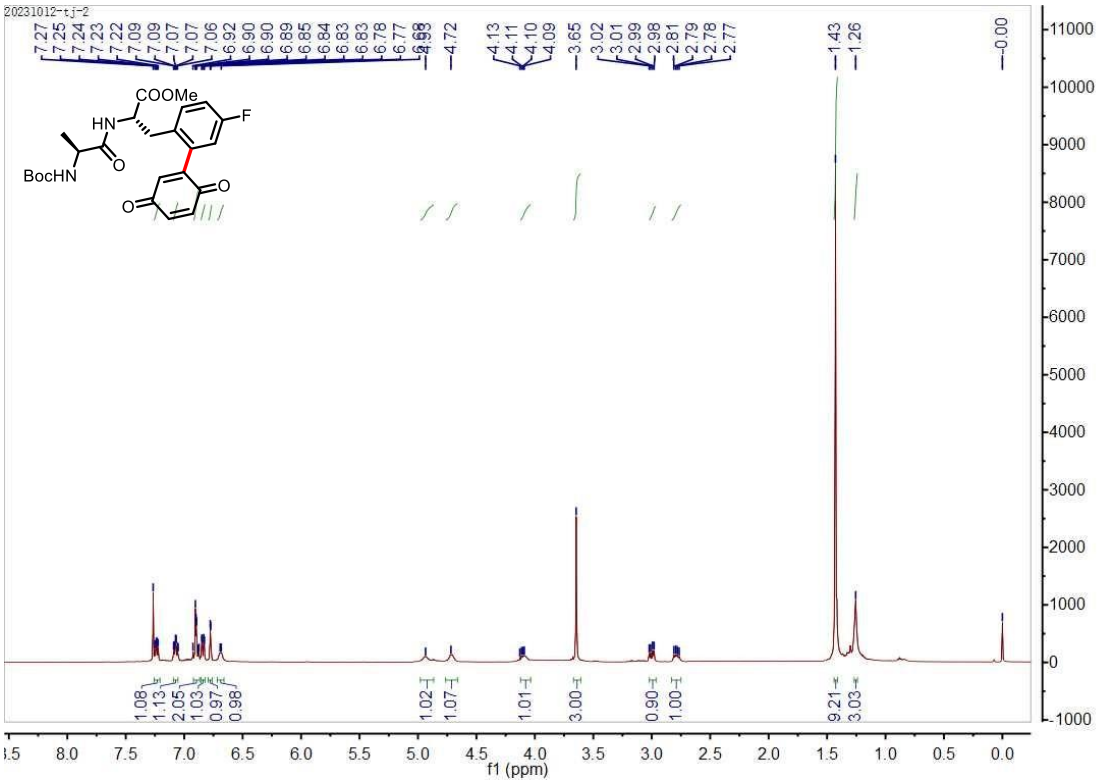
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **3oa**



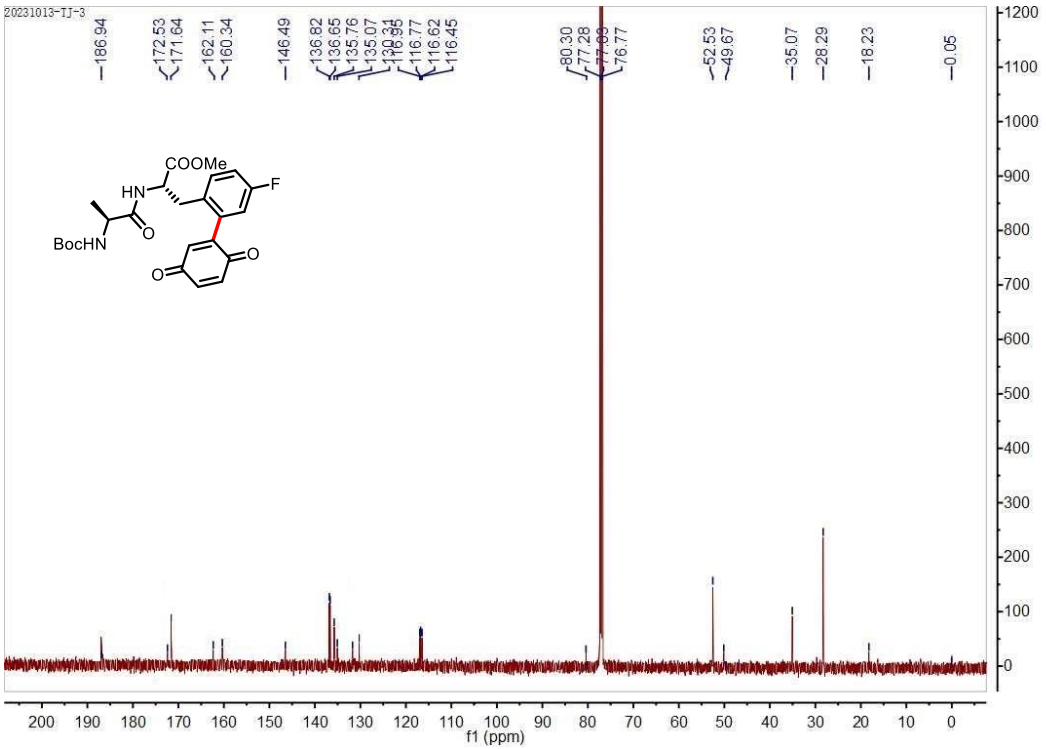
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3pa**



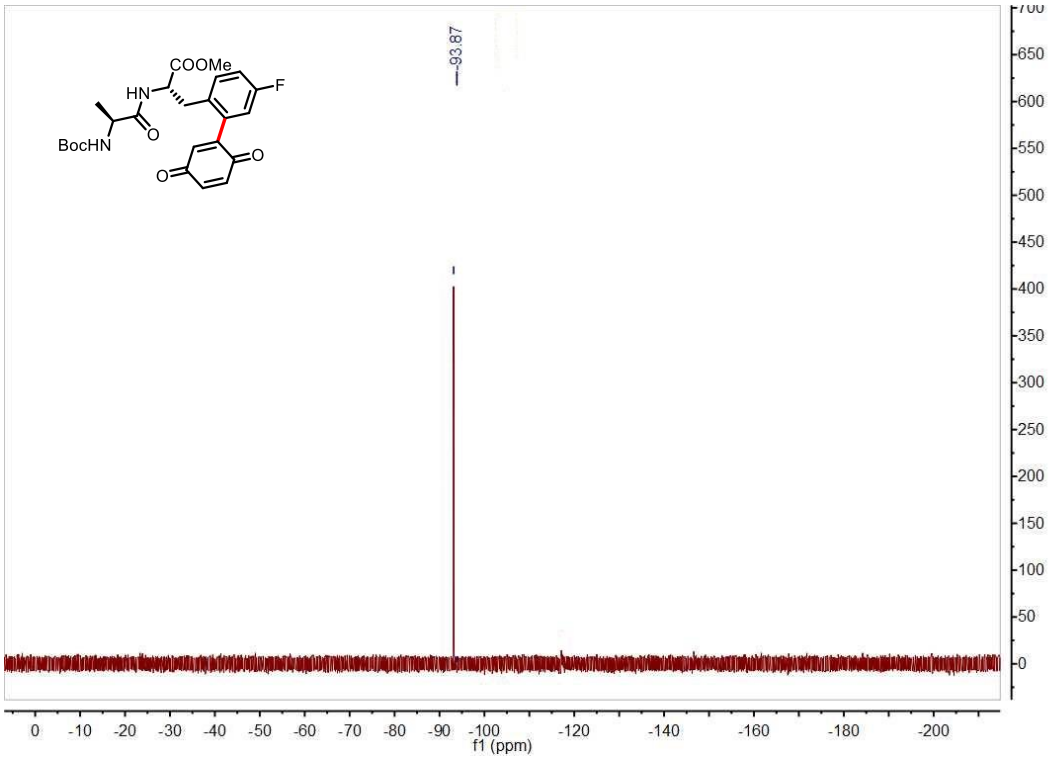
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **3pa**



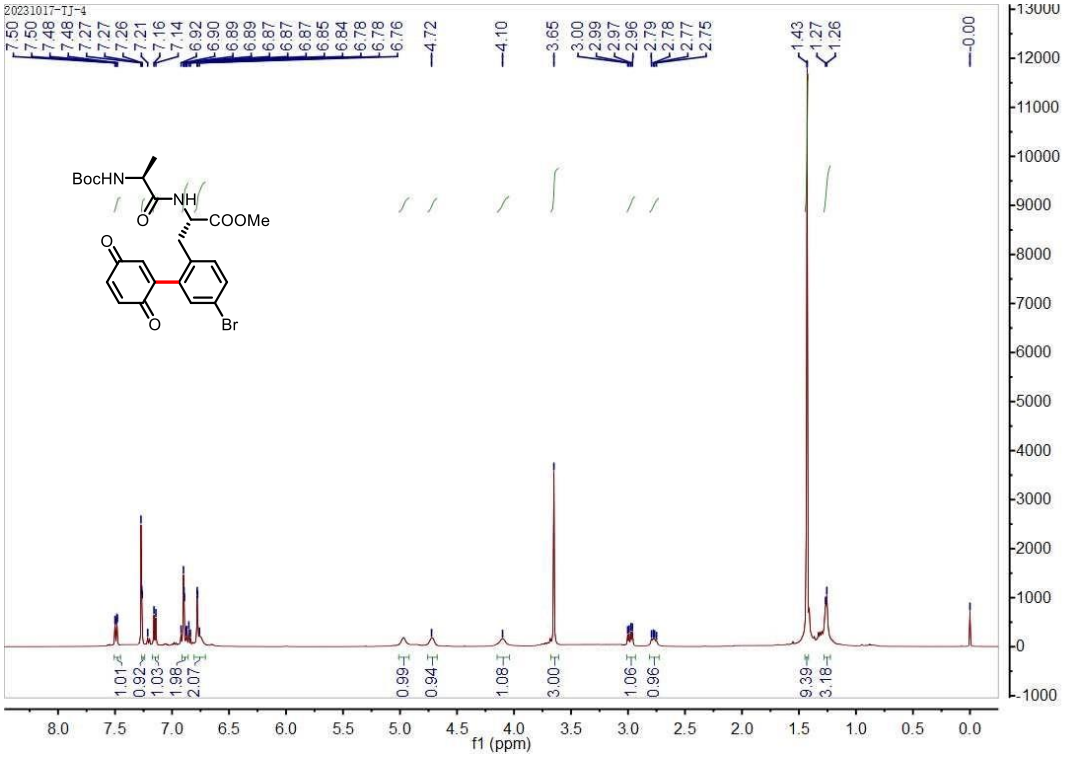
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3qa**



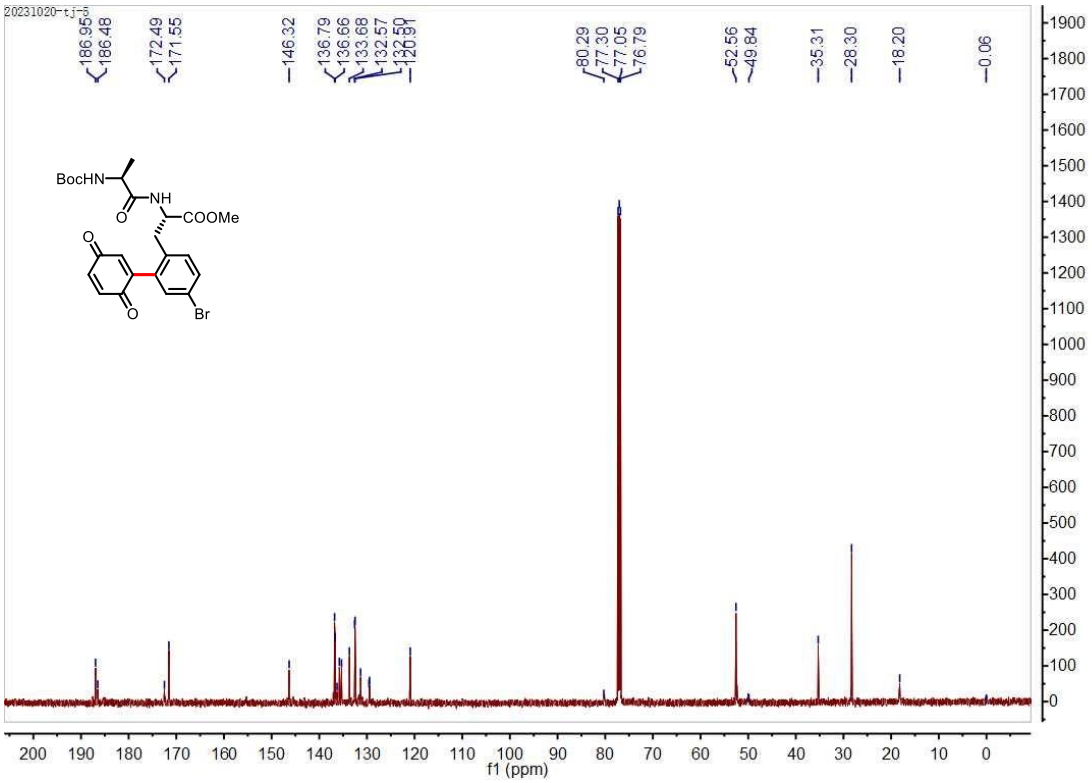
^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$) spectrum of compound **3qa**



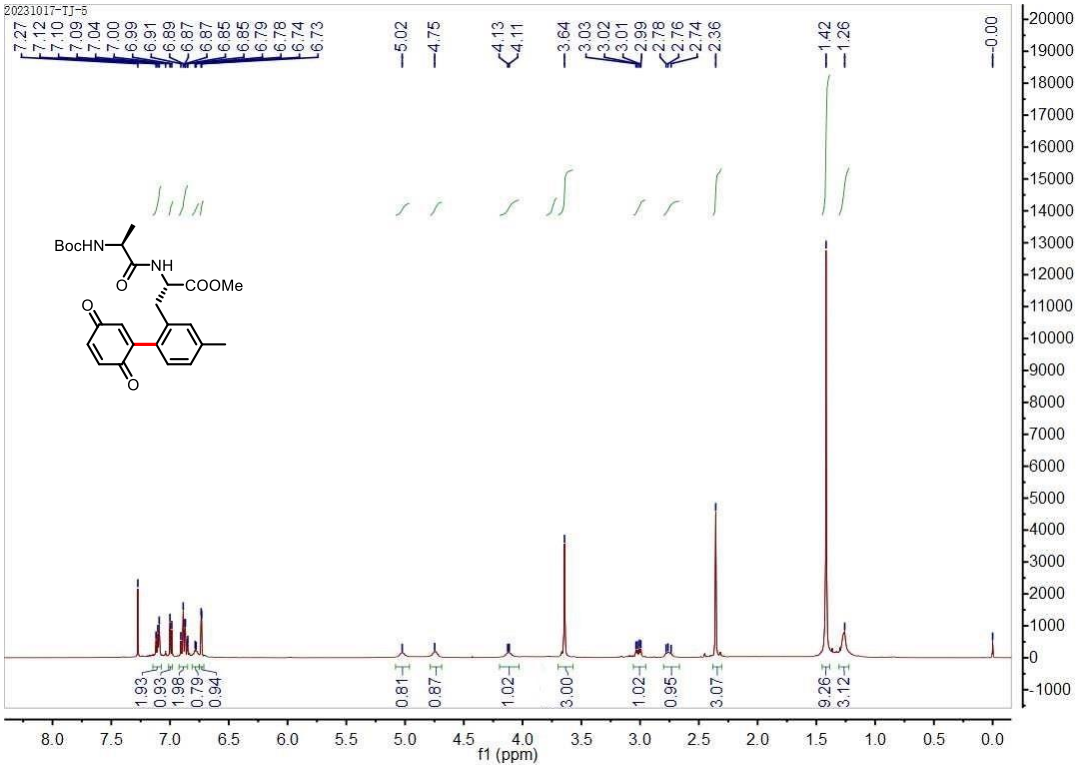
^{19}F NMR (471 MHz, $CDCl_3$) spectrum of compound **3qa**



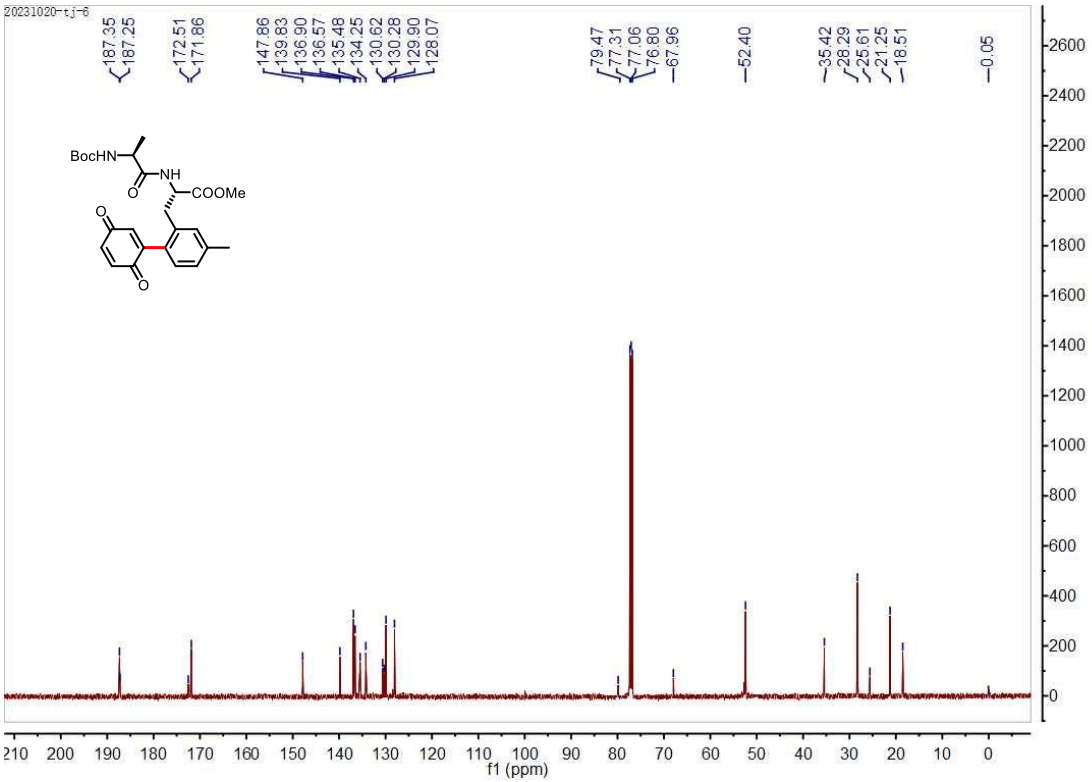
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3ra**



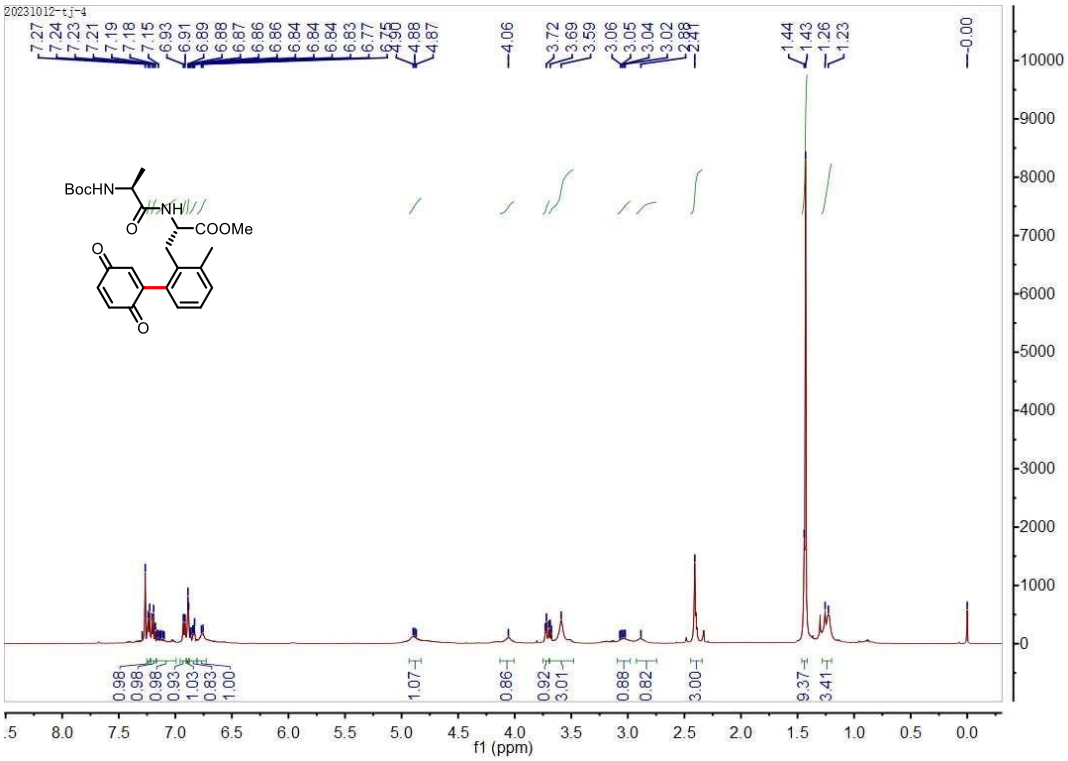
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3ra**



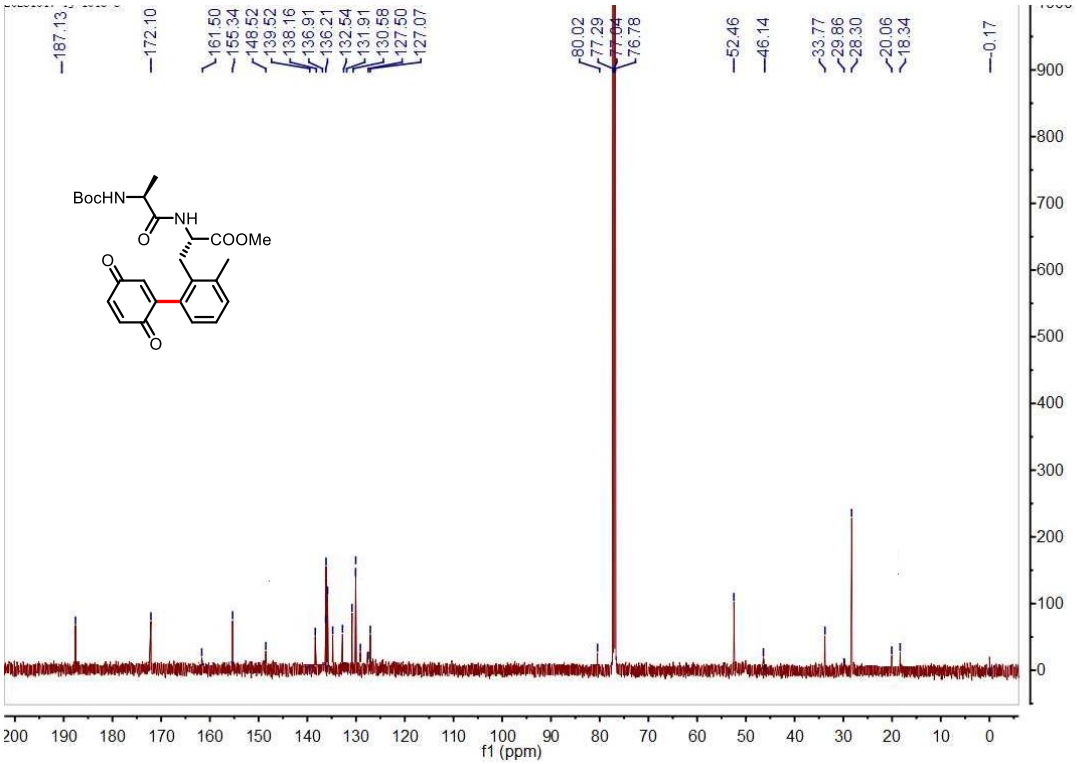
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3sa**



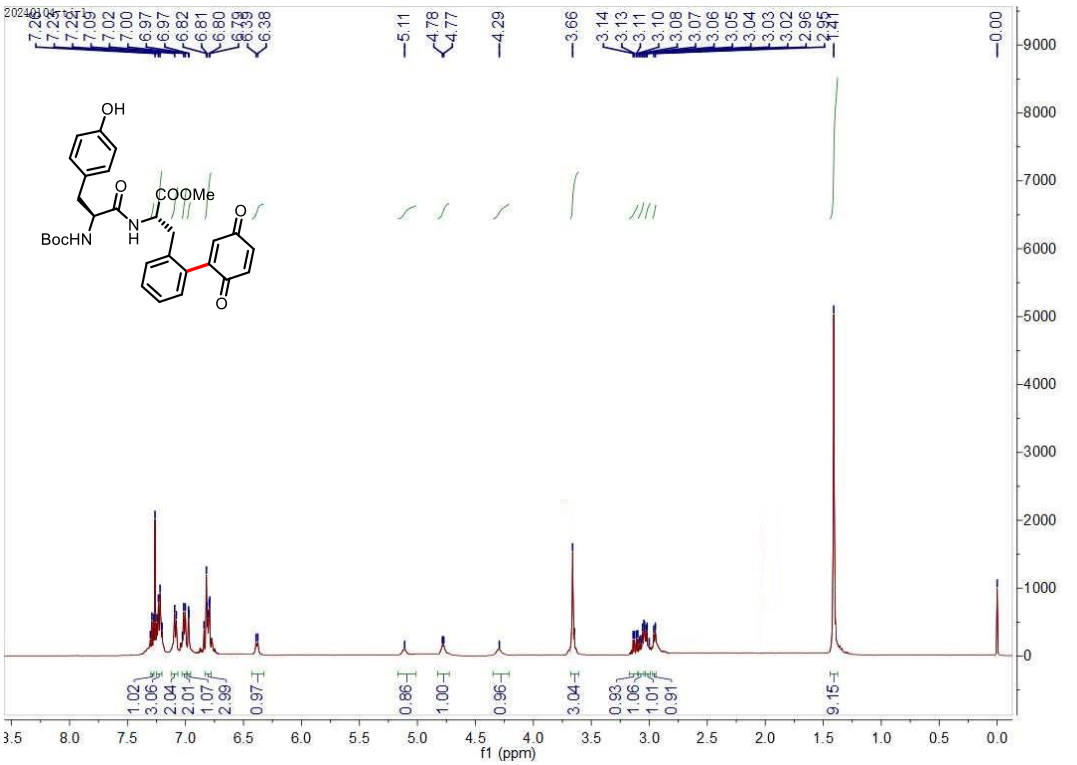
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3sa**



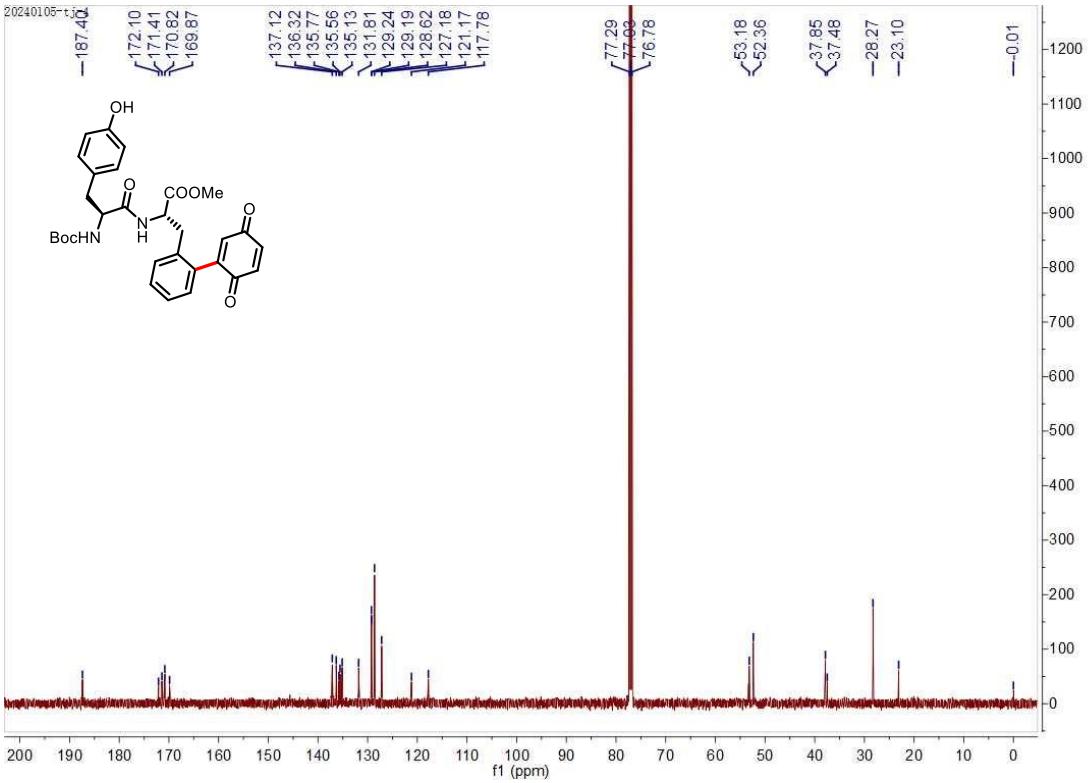
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3ta**



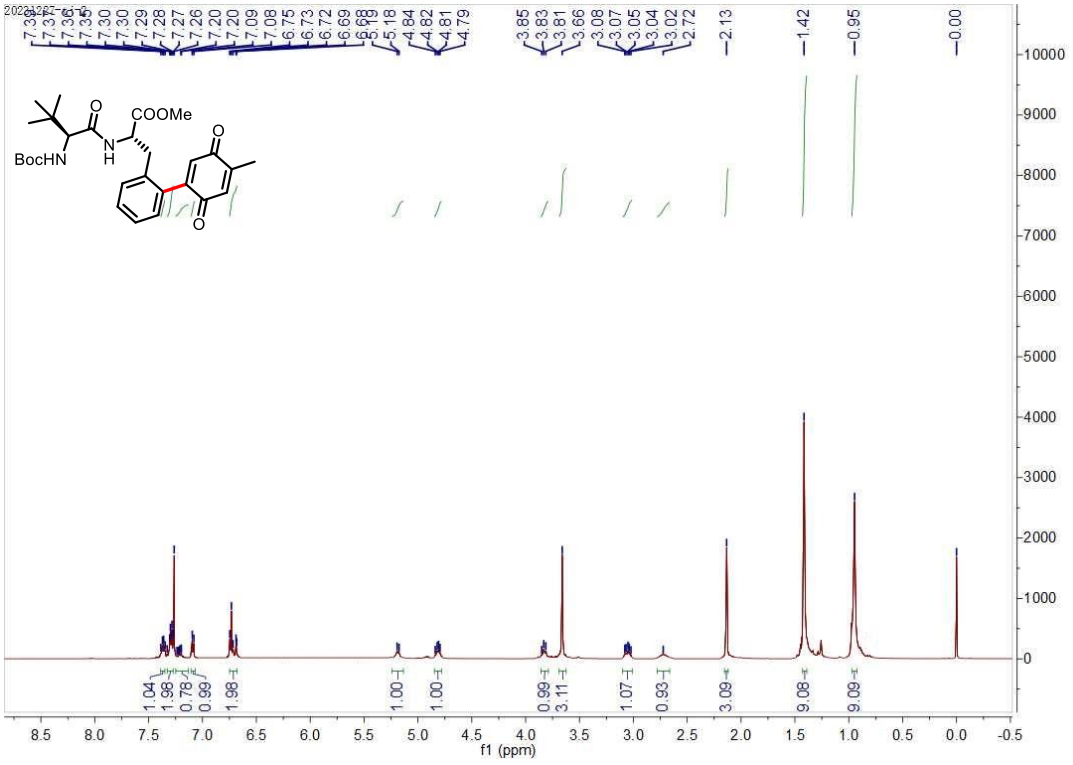
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3ta**



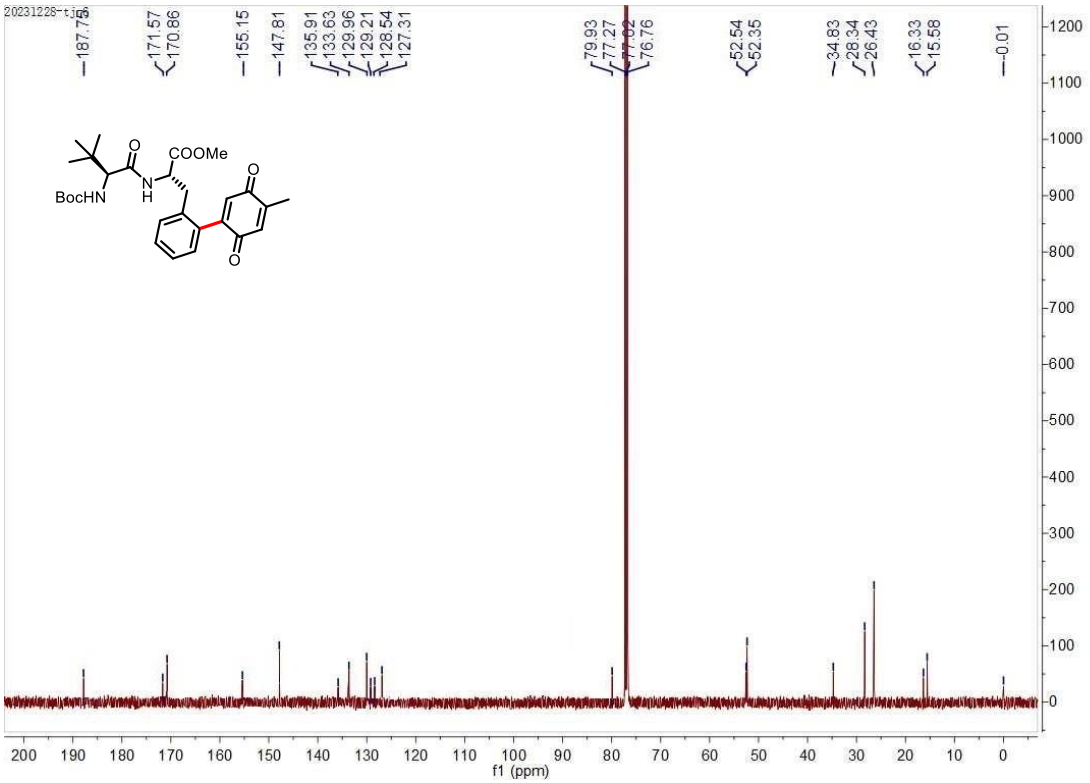
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3wa**



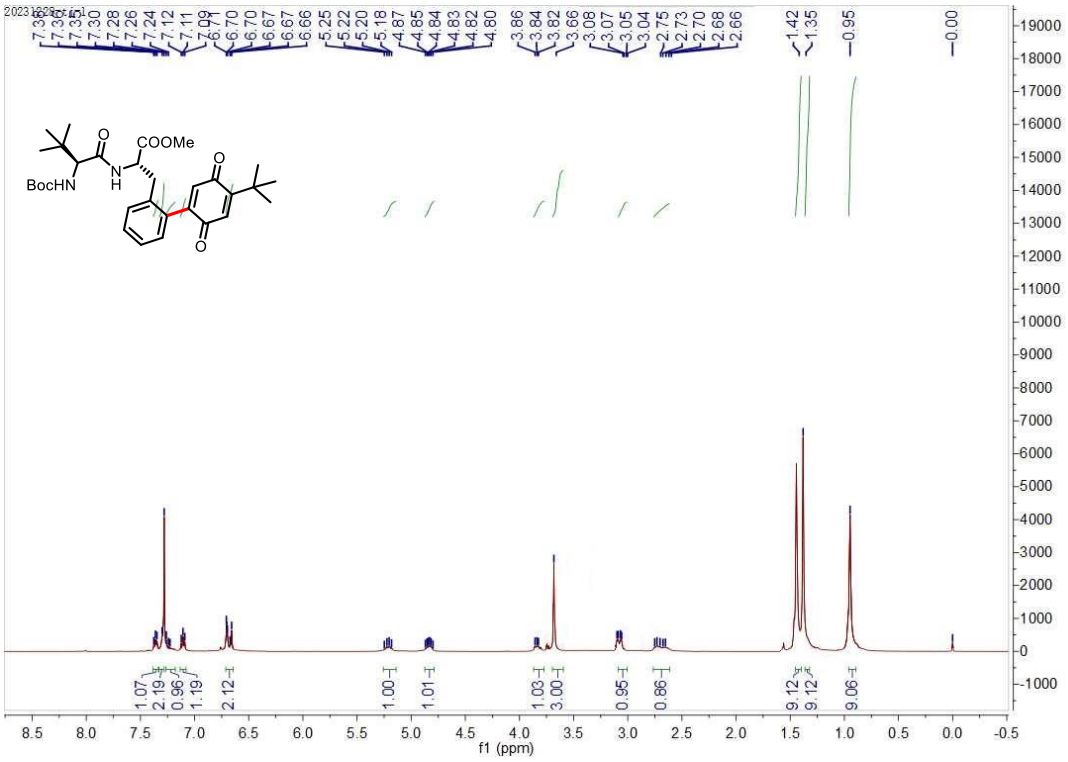
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3wa**



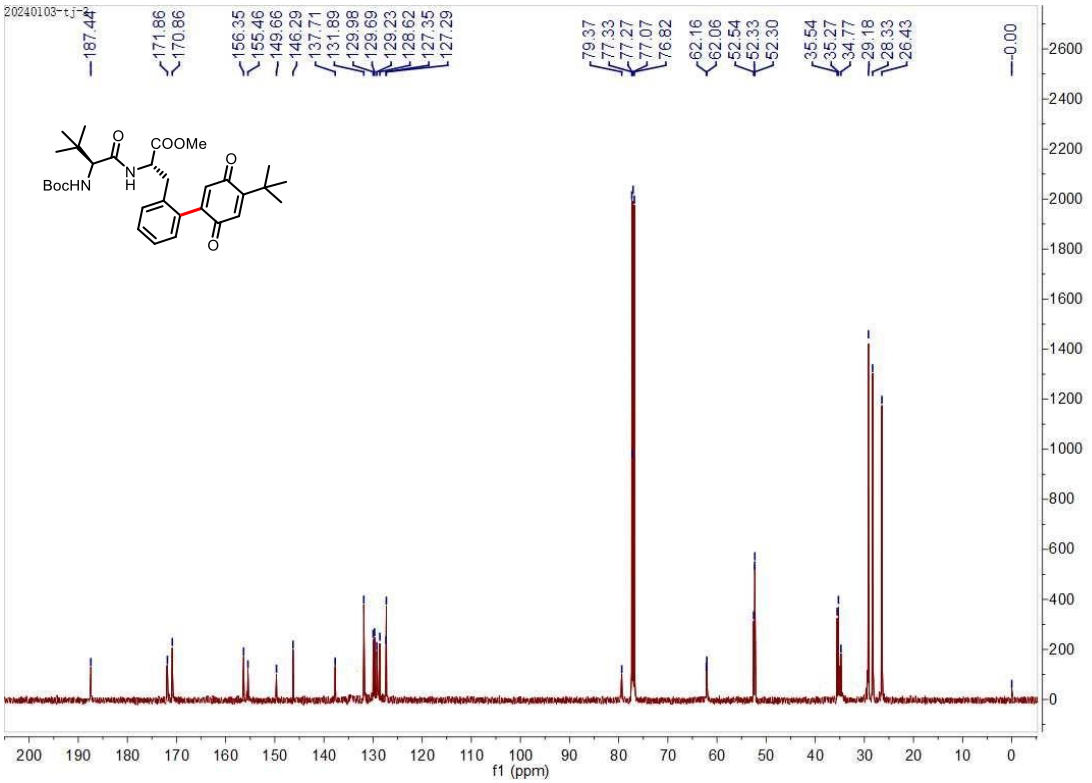
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **4ab**



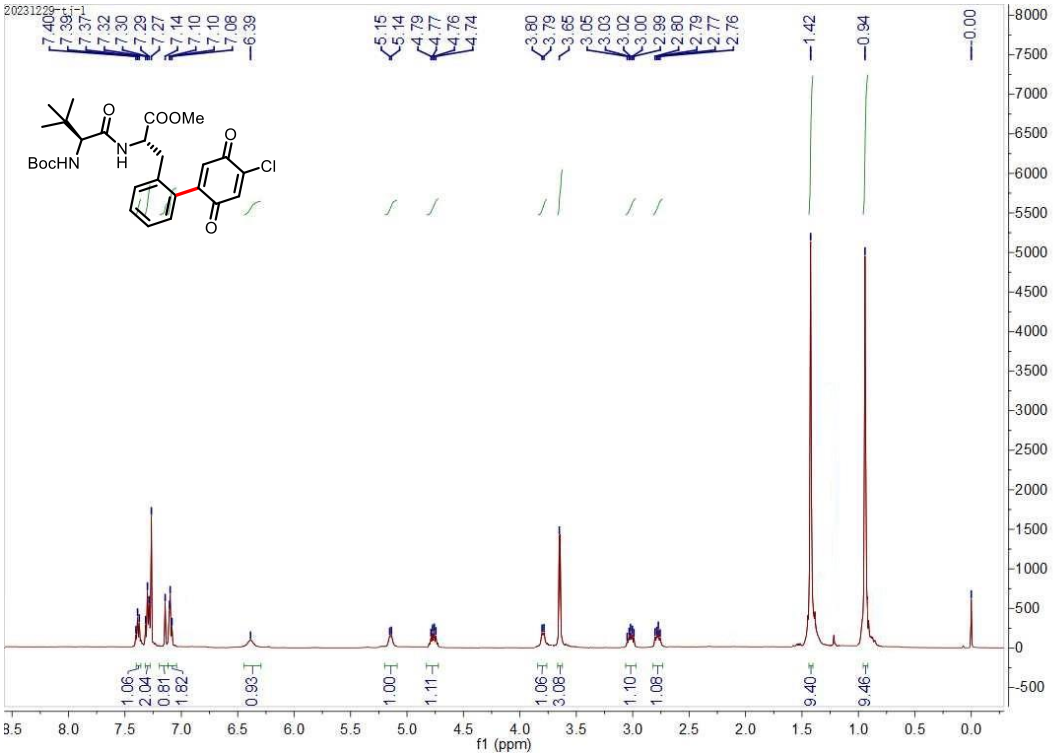
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **4ab**



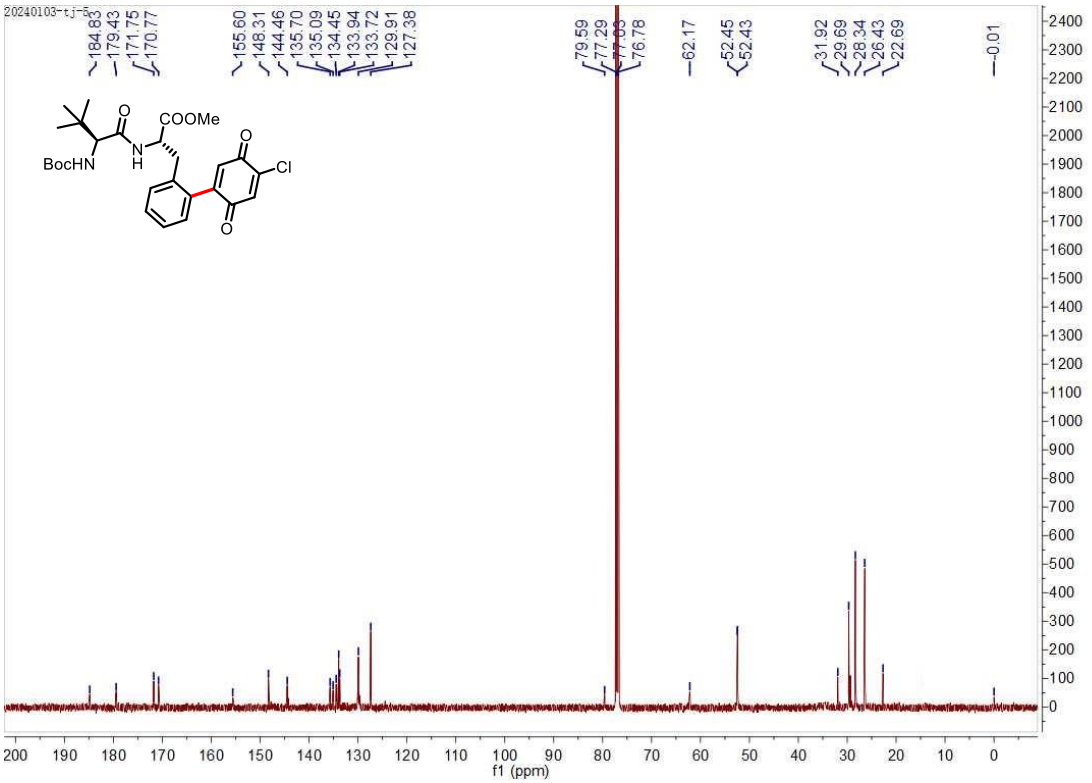
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **4ac**



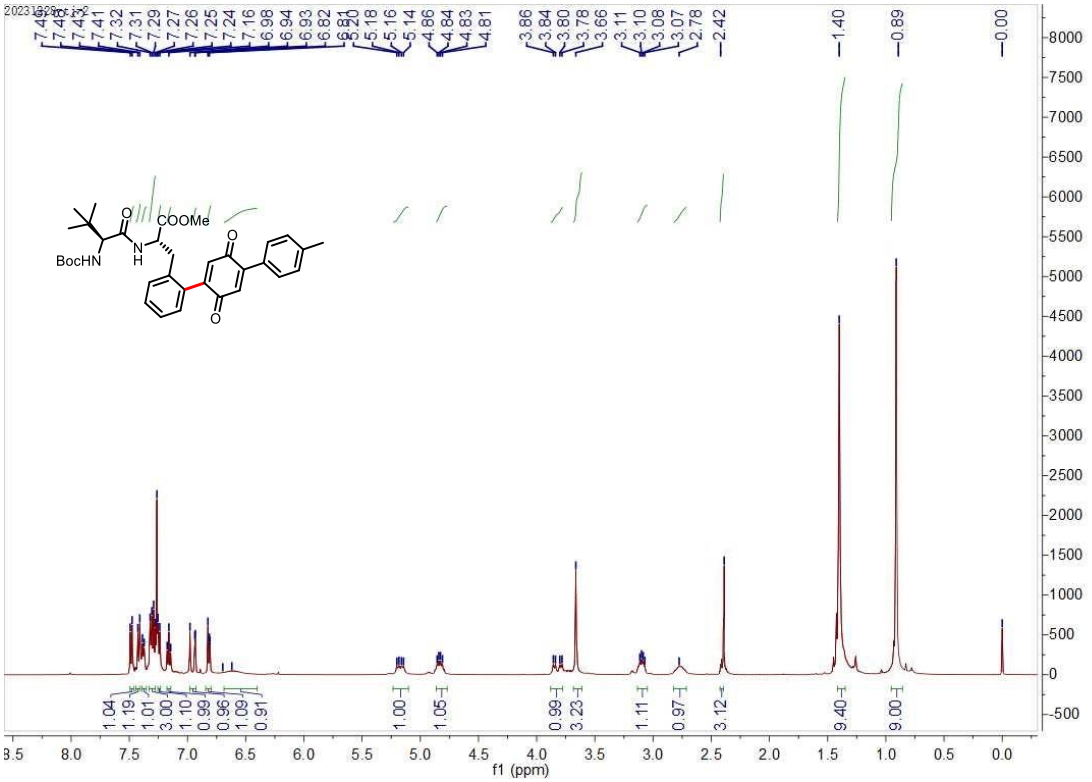
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **4ac**



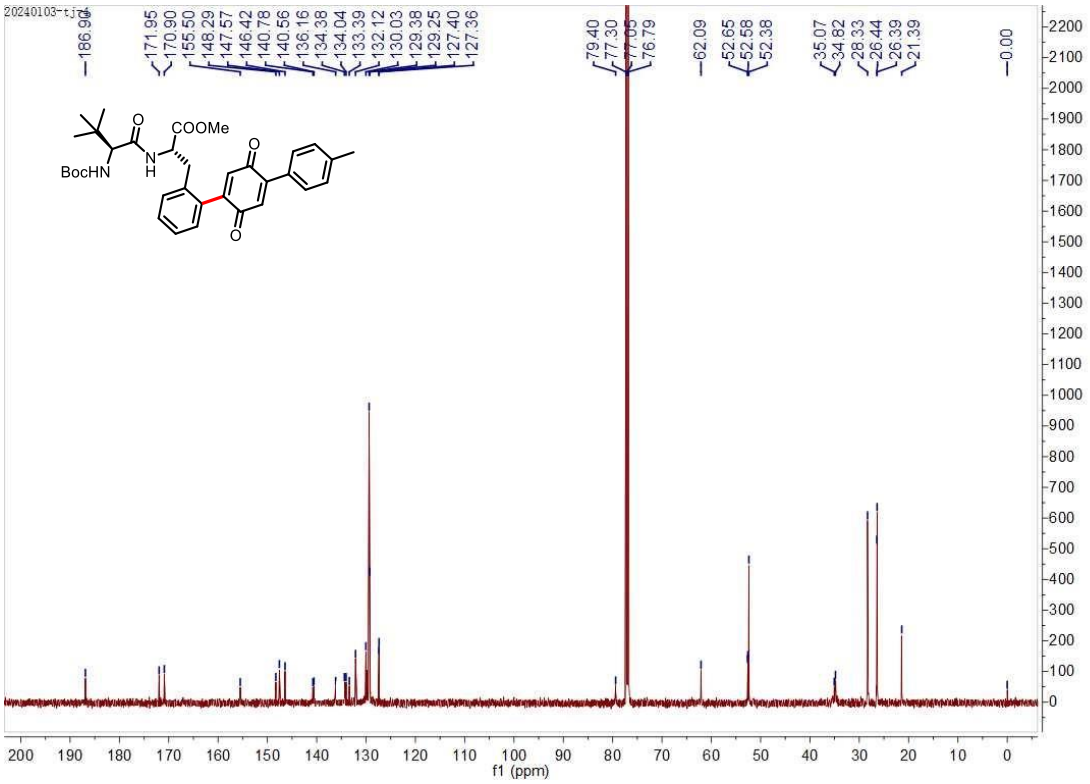
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **4ad**



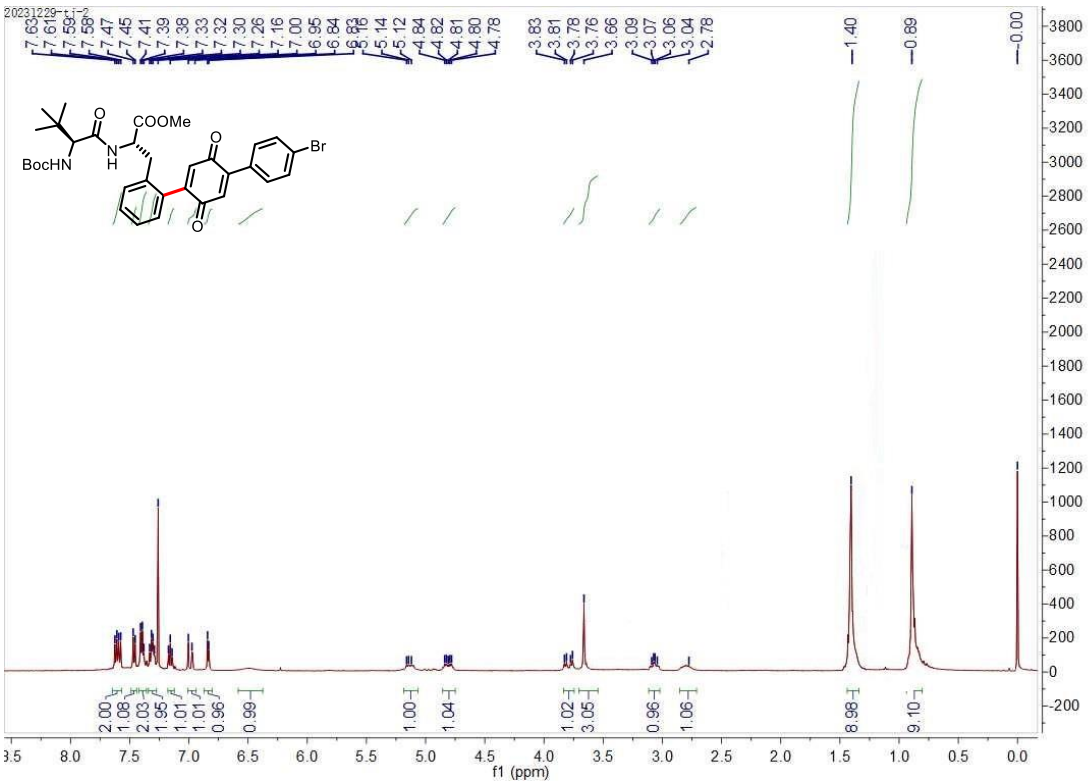
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **4ad**



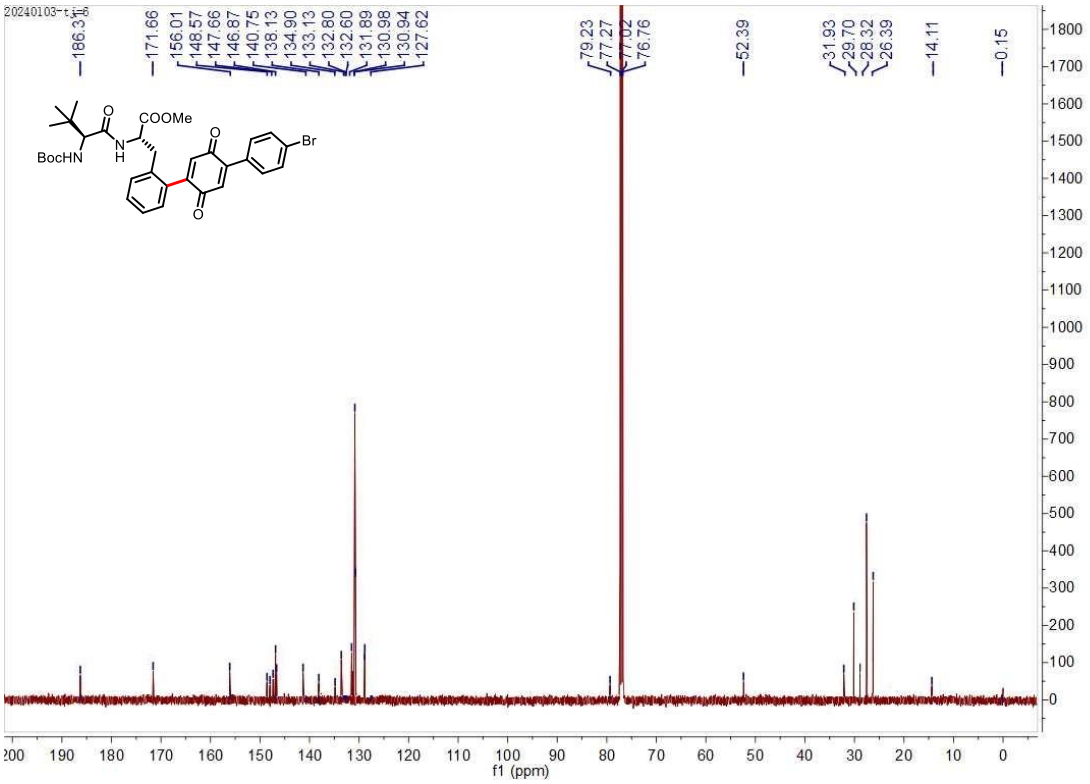
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **4ae**



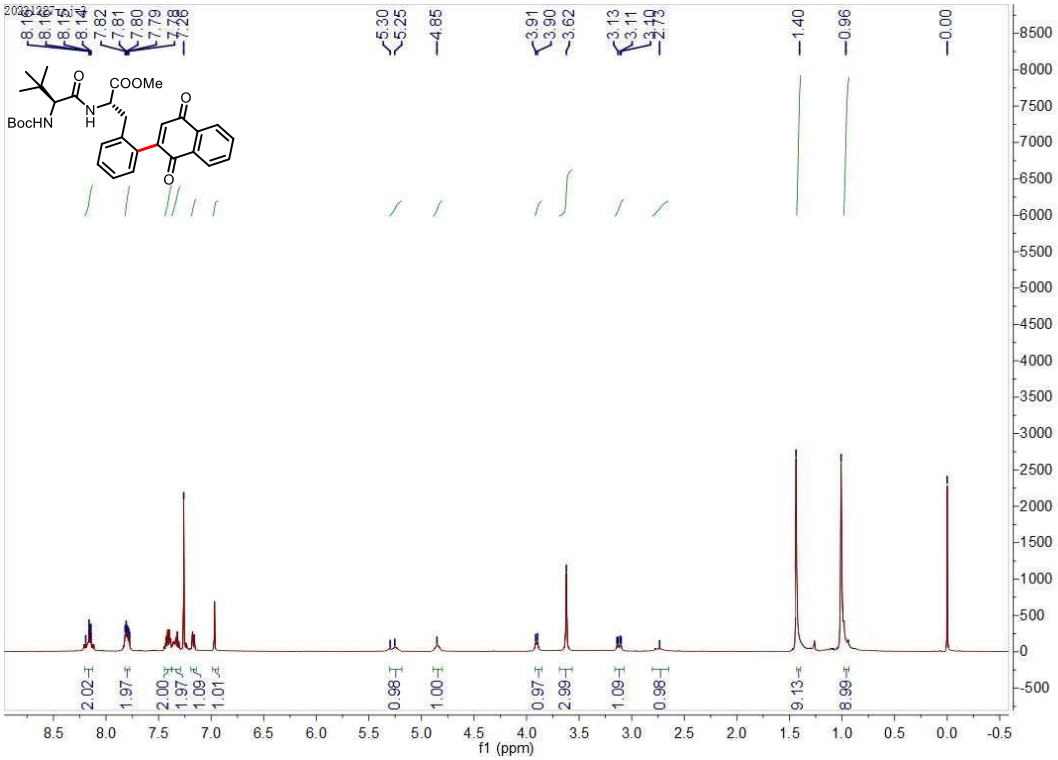
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **4ae**



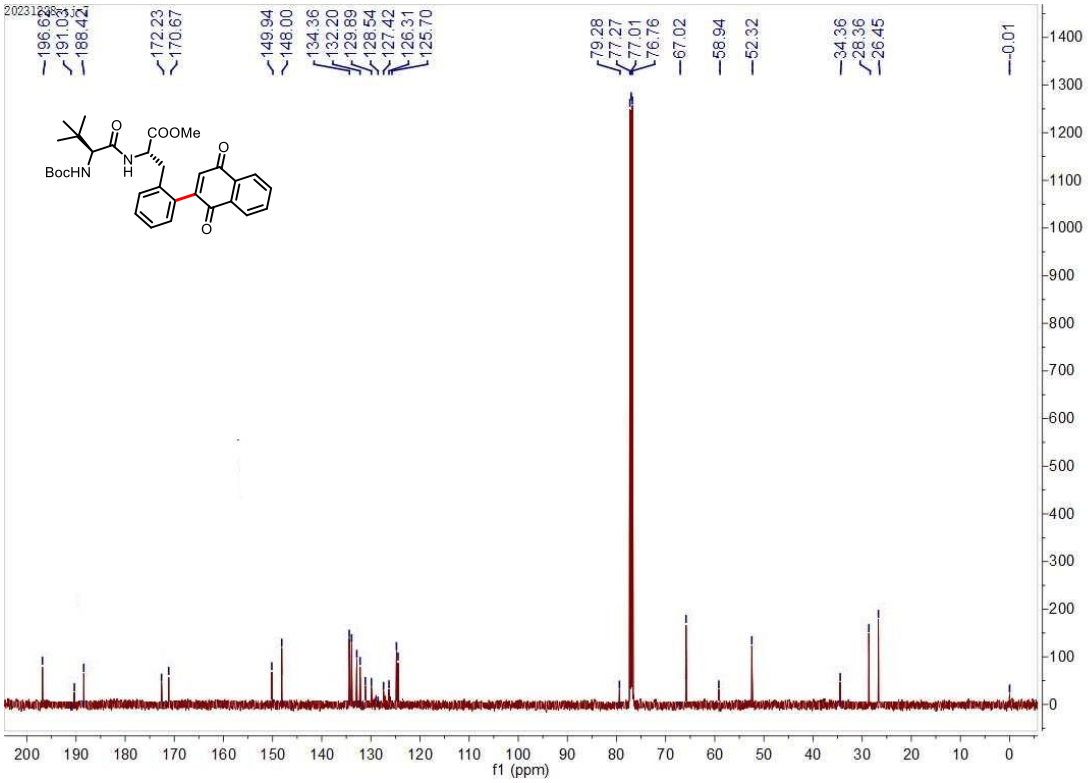
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **4af**



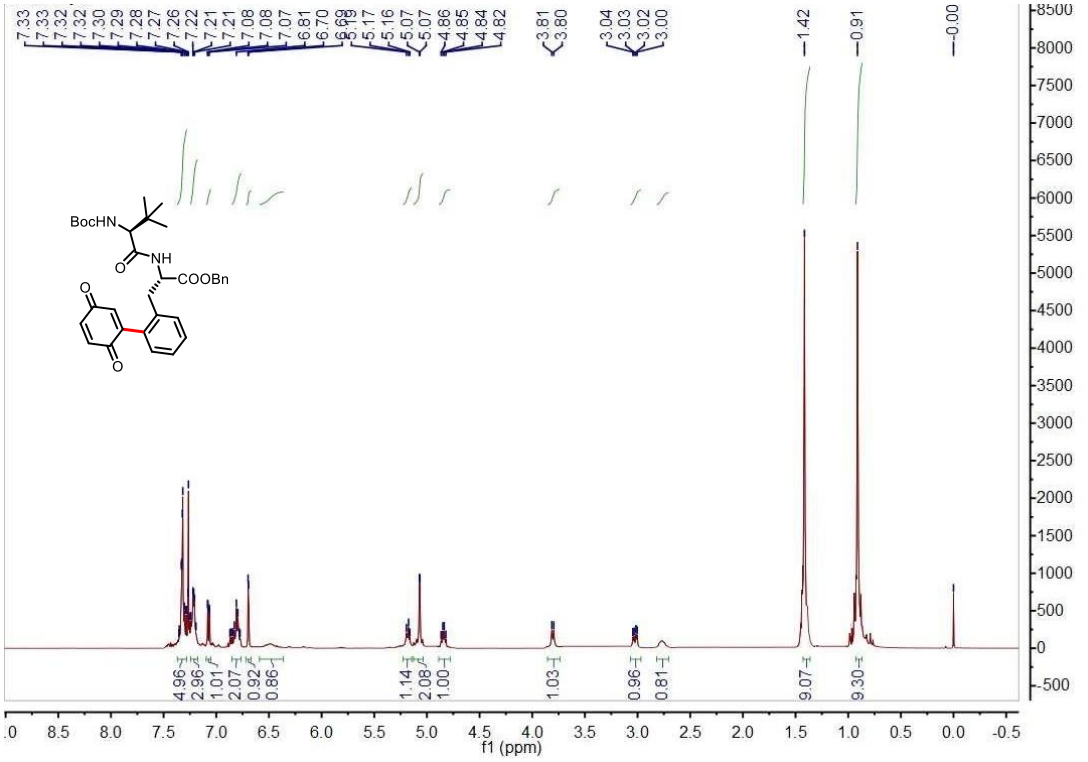
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **4af**



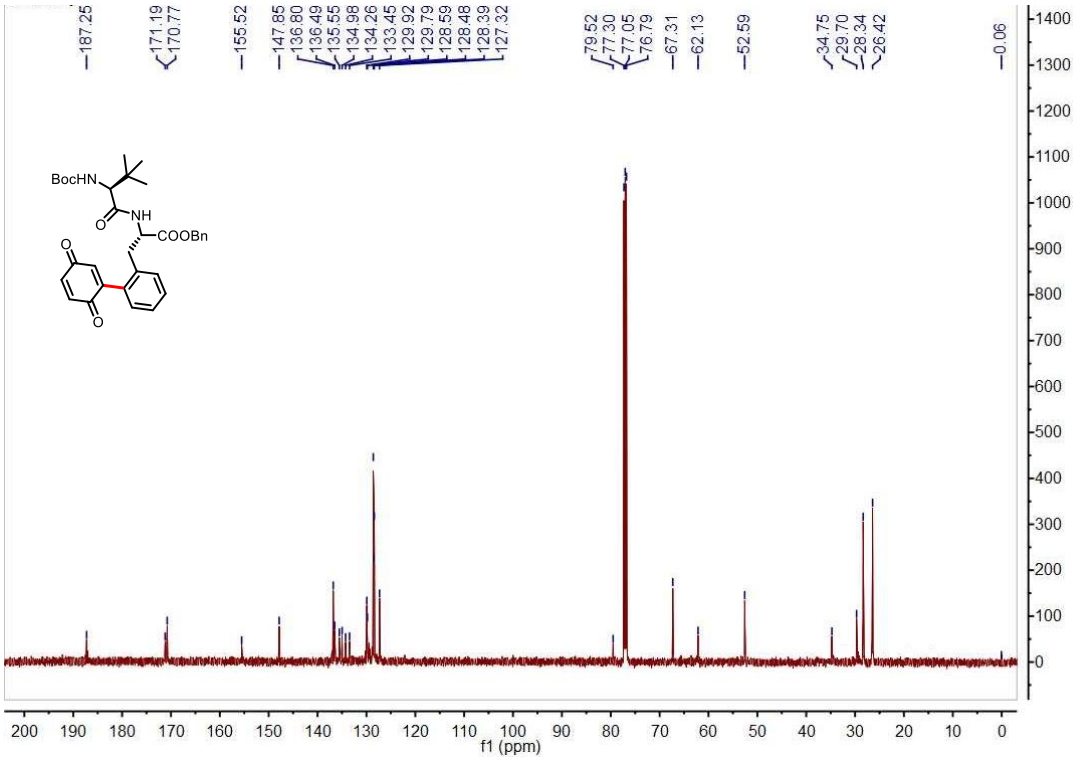
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **4ag**



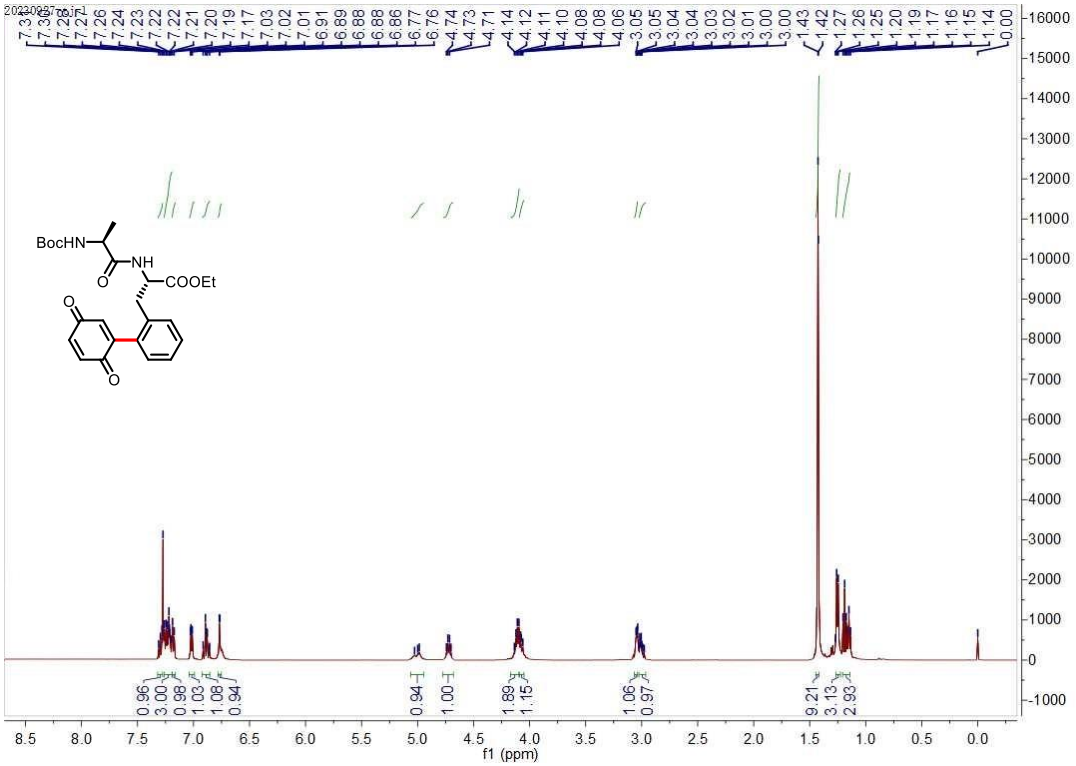
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **4ag**



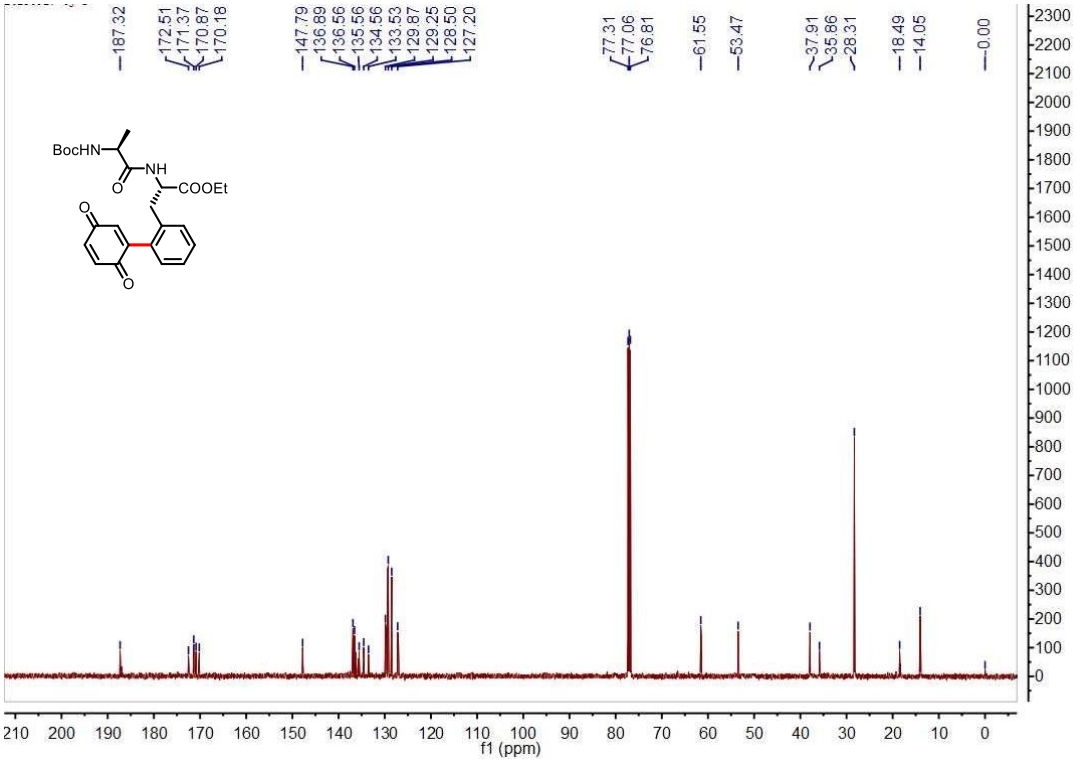
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **4xa**



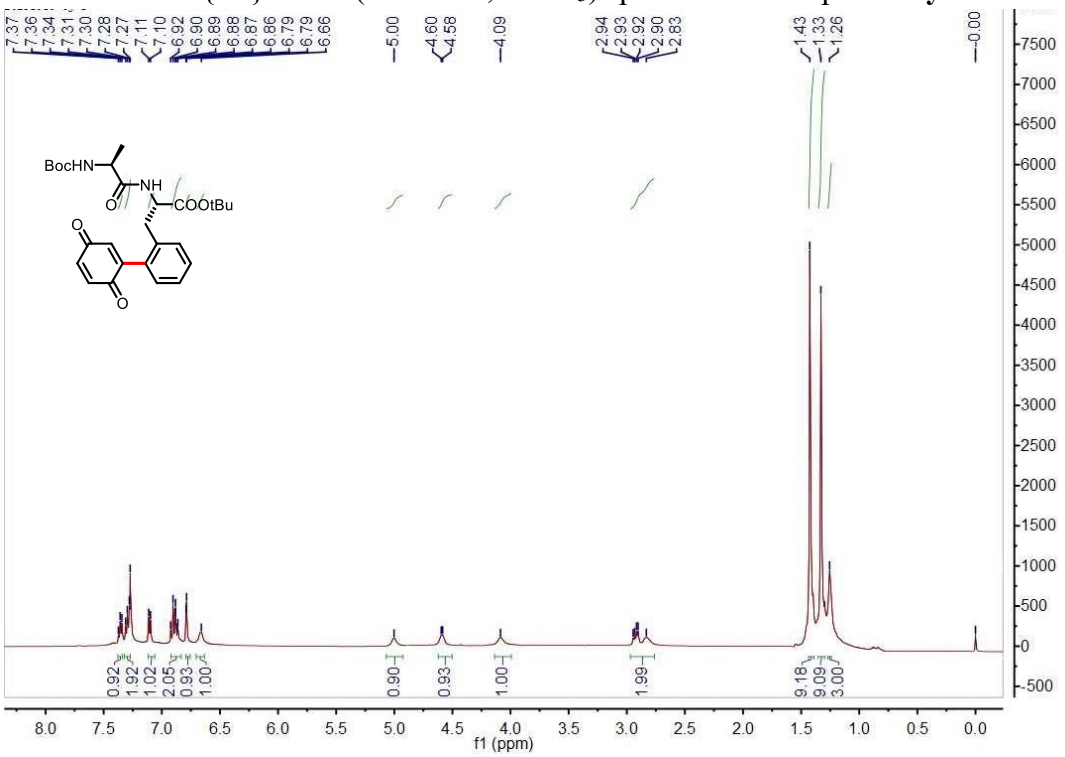
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **4xa**



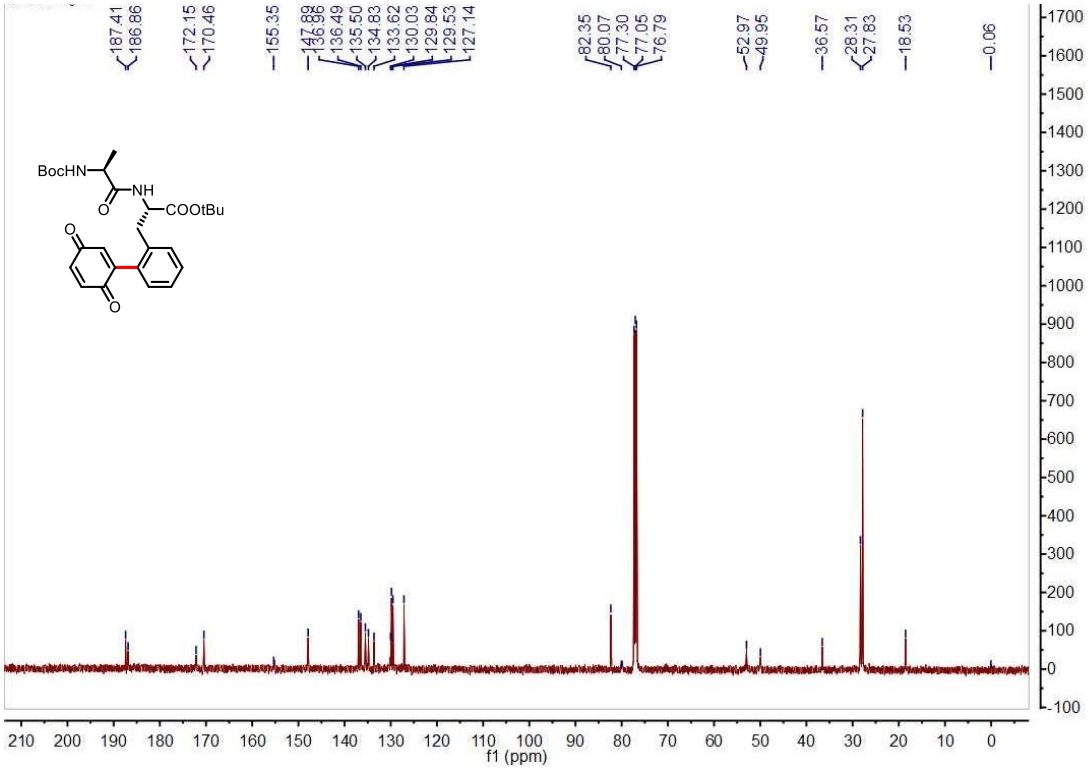
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **4ya**



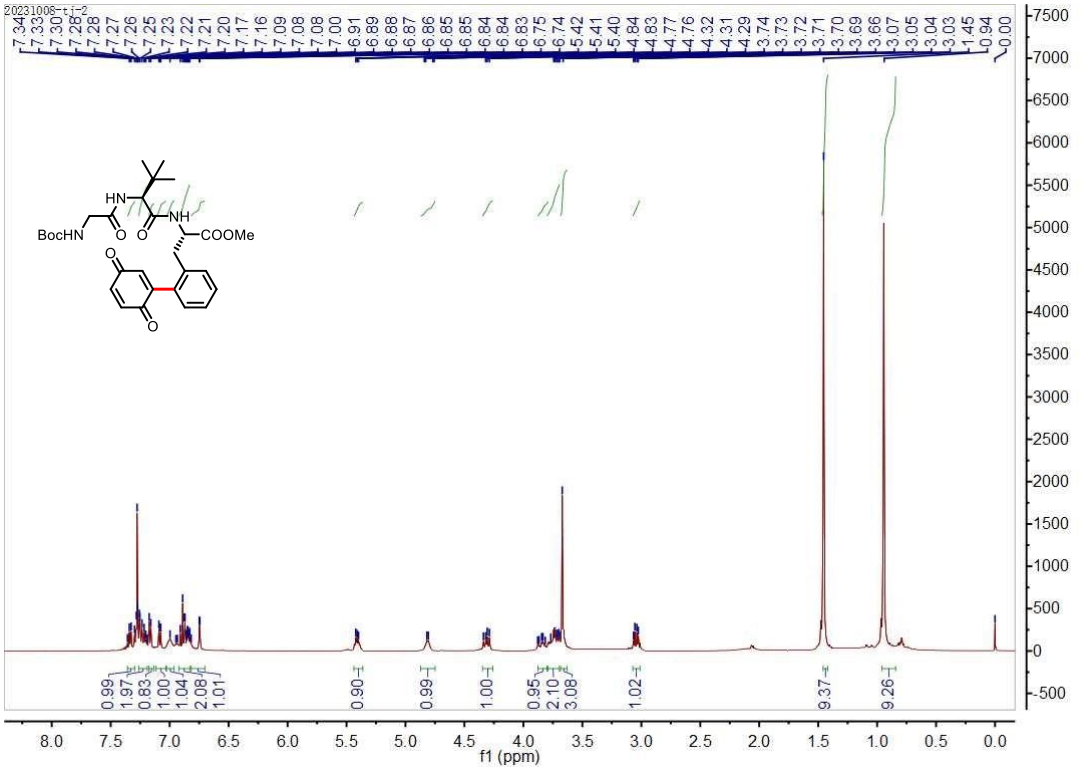
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **4ya**



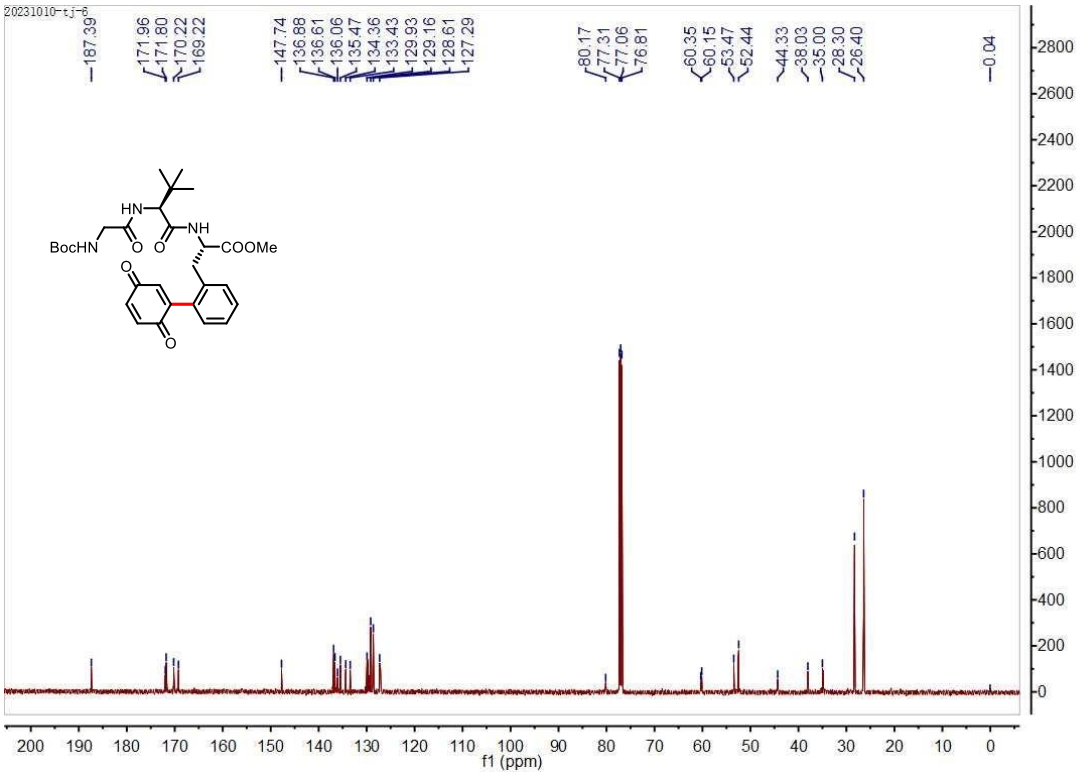
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **4za**



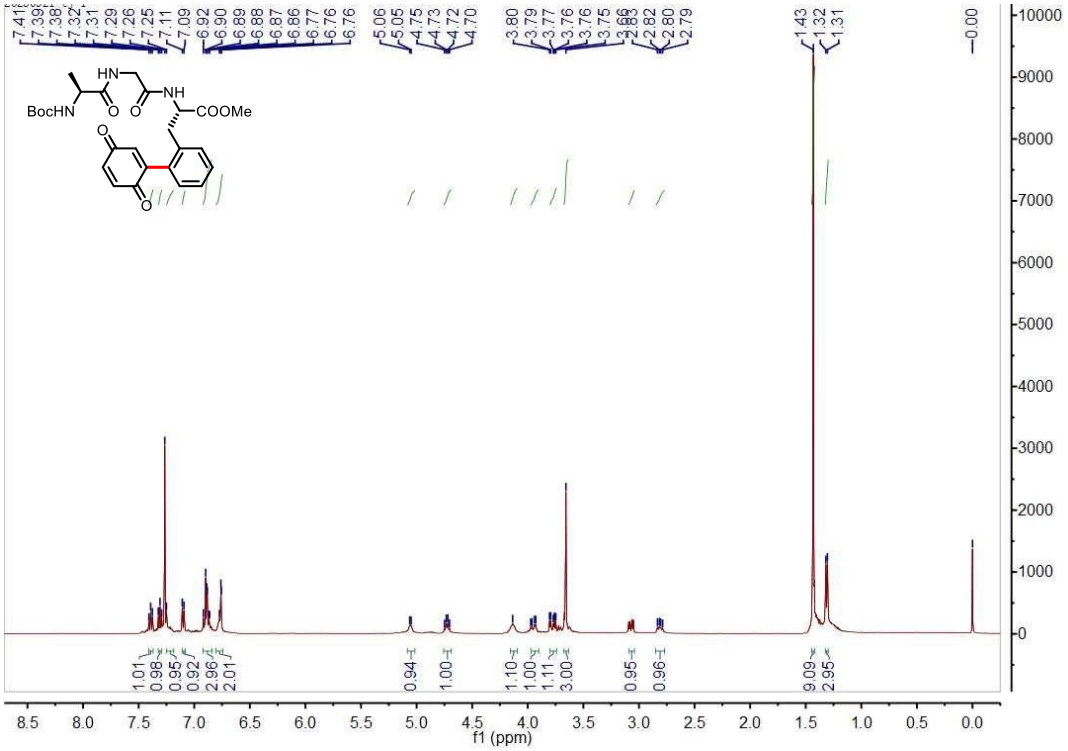
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **4za**



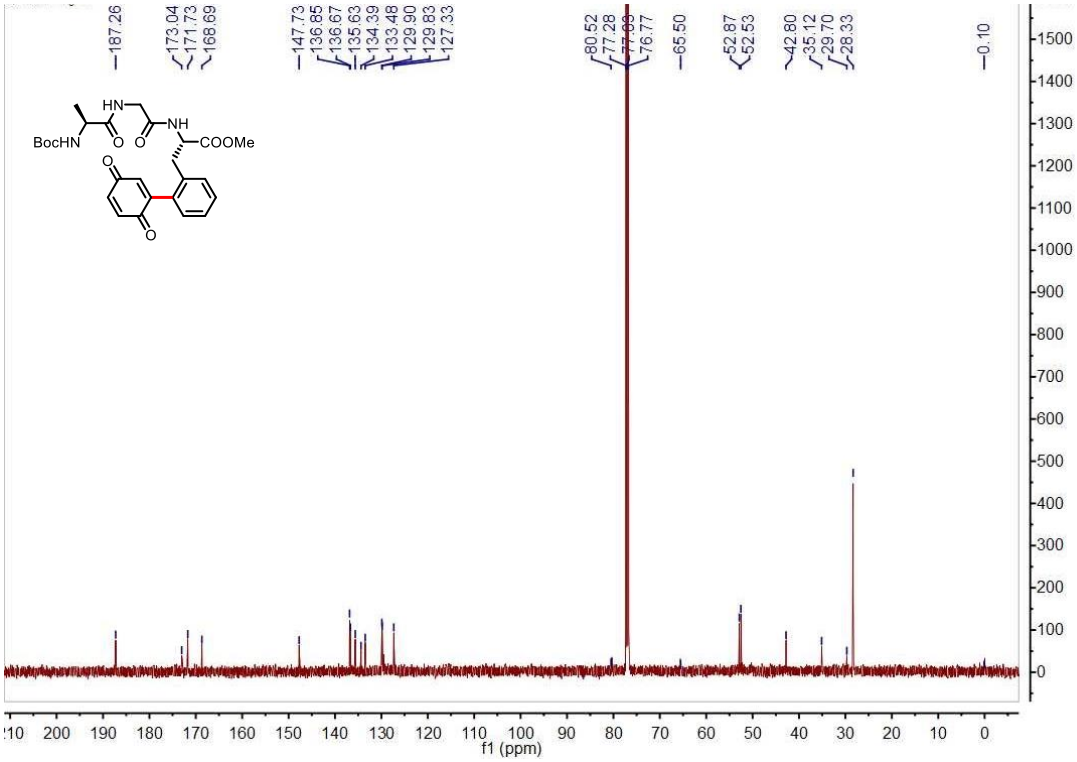
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3ab**



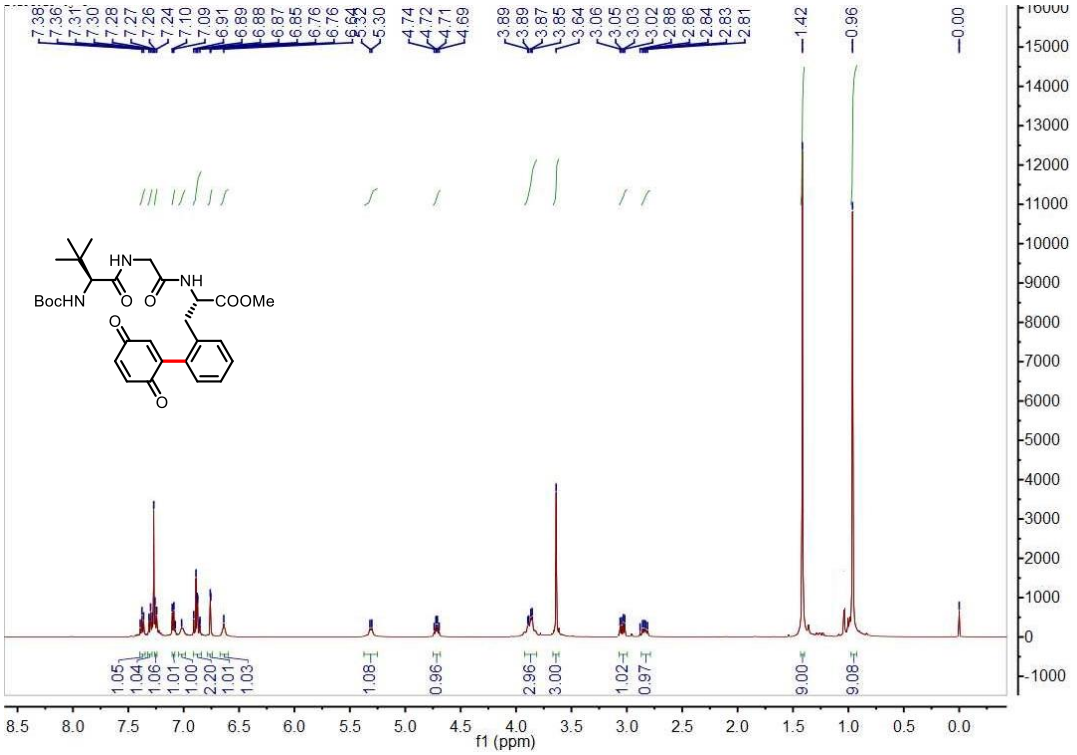
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3ab**



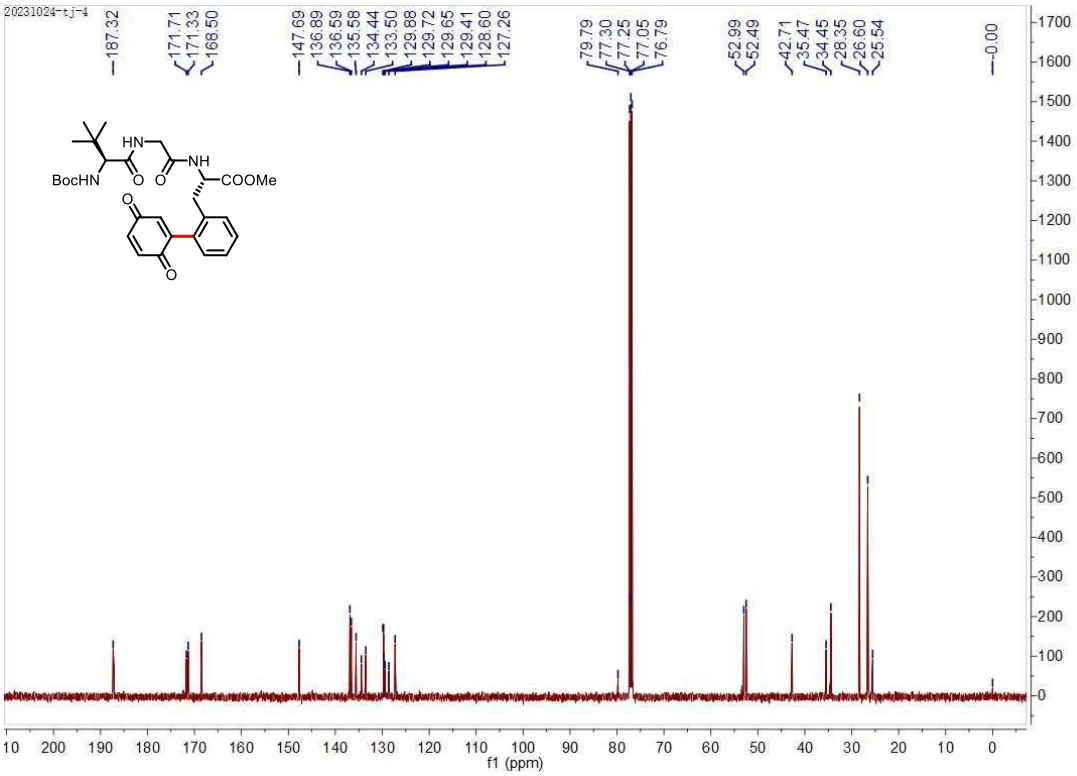
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3bb**



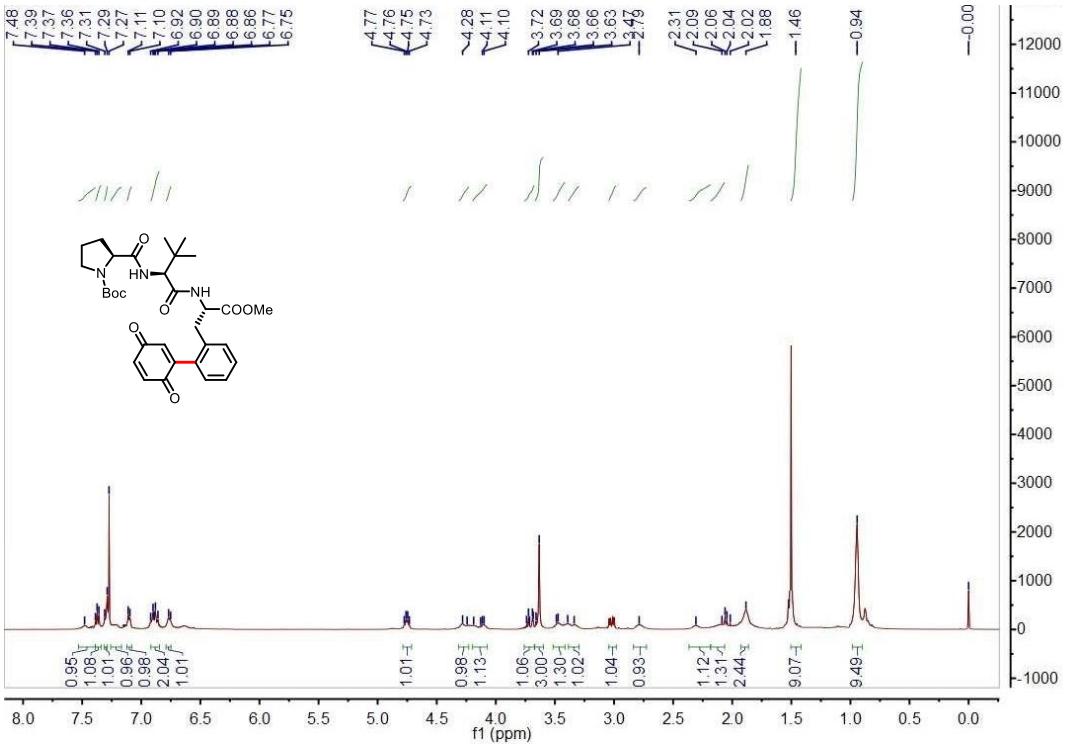
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3bb**



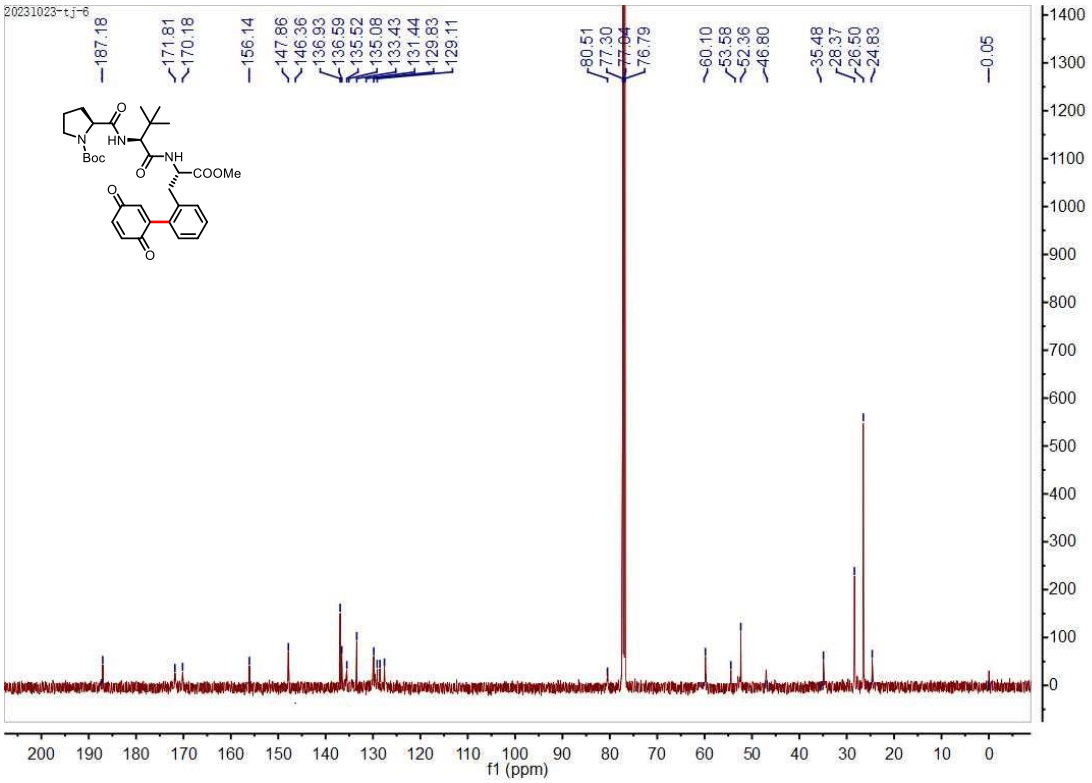
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3cb**



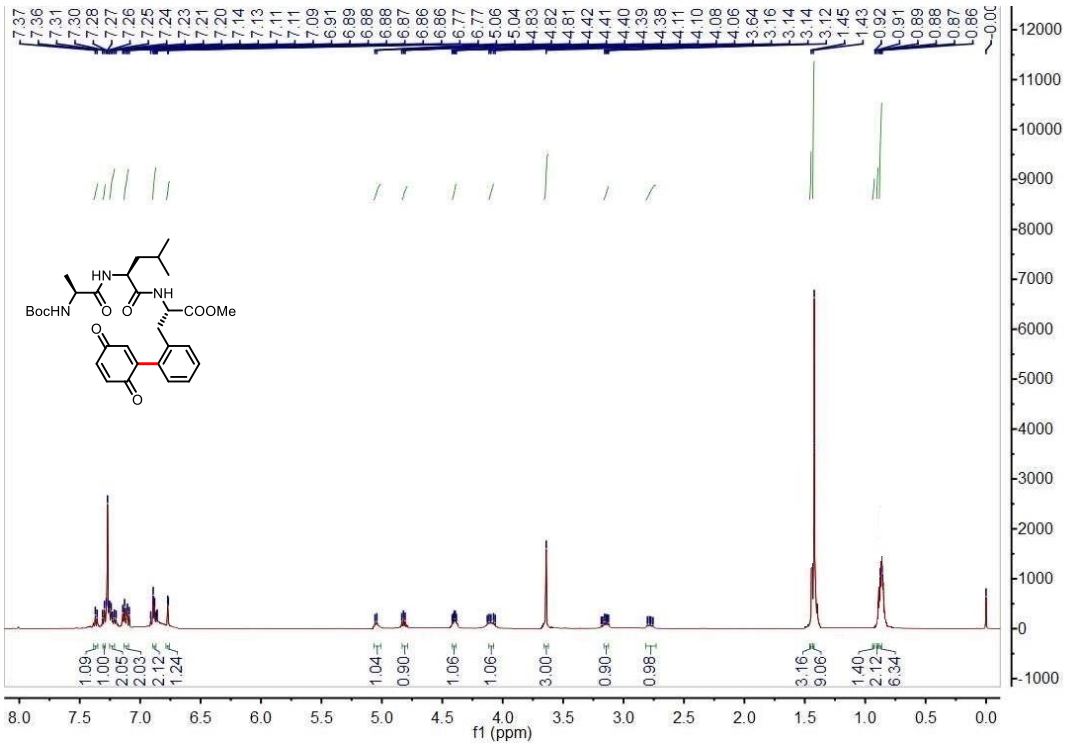
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3cb**



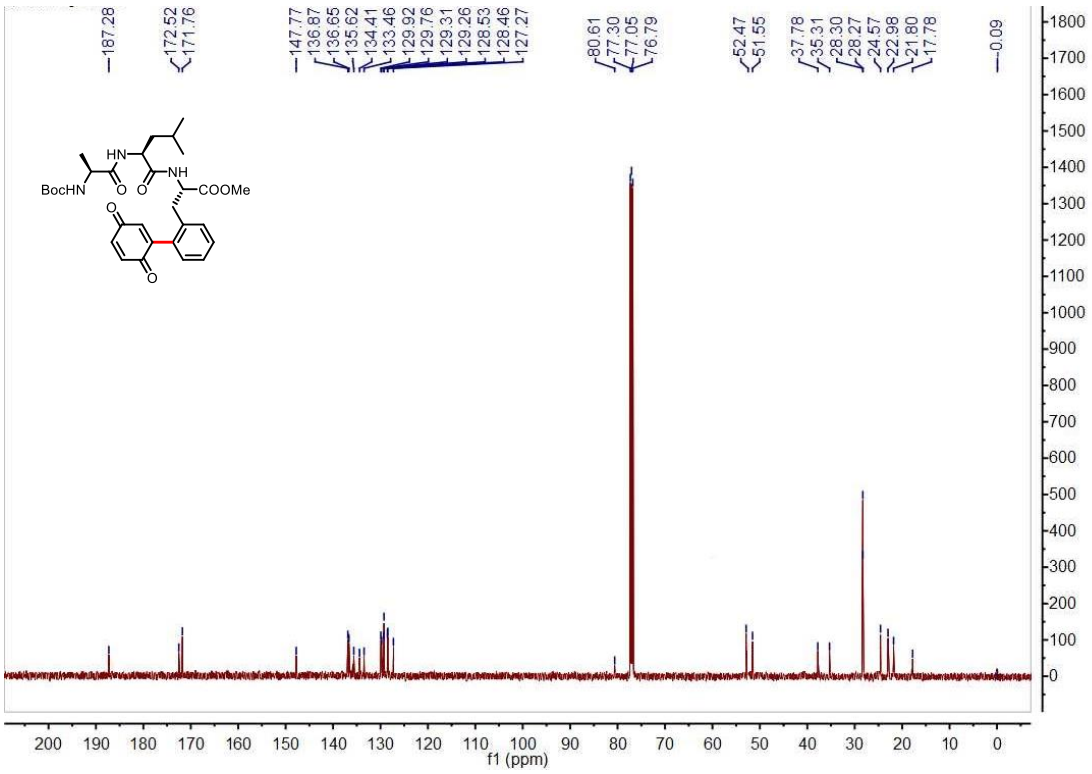
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3db**



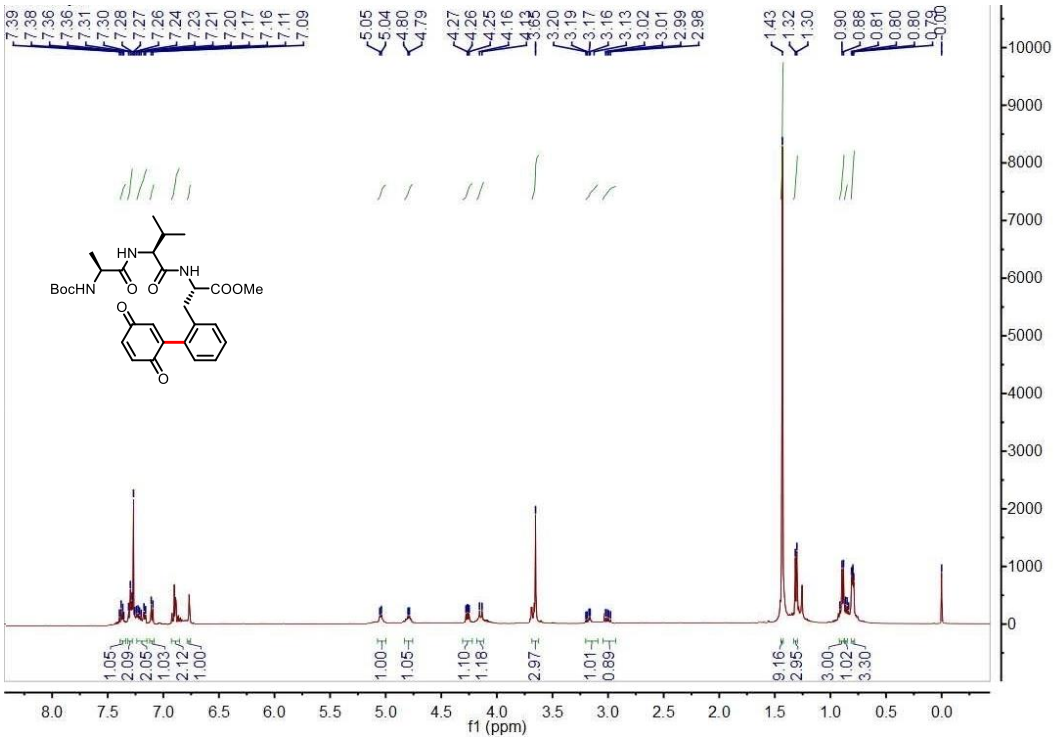
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3db**



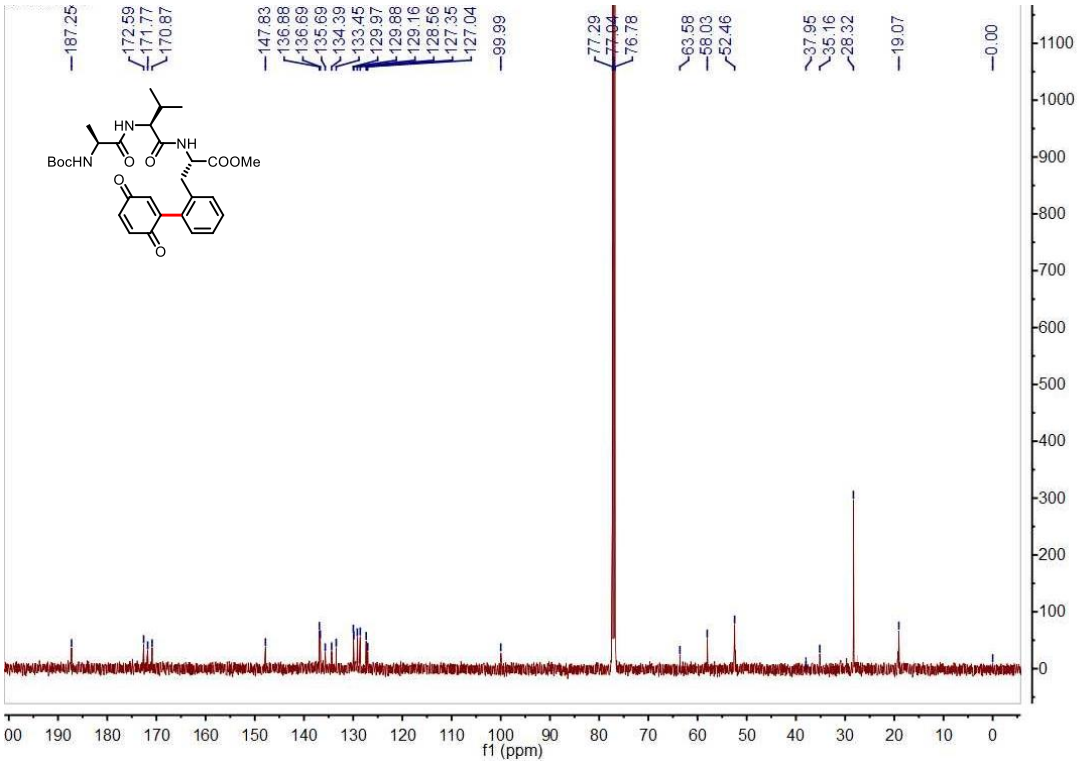
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3eb**



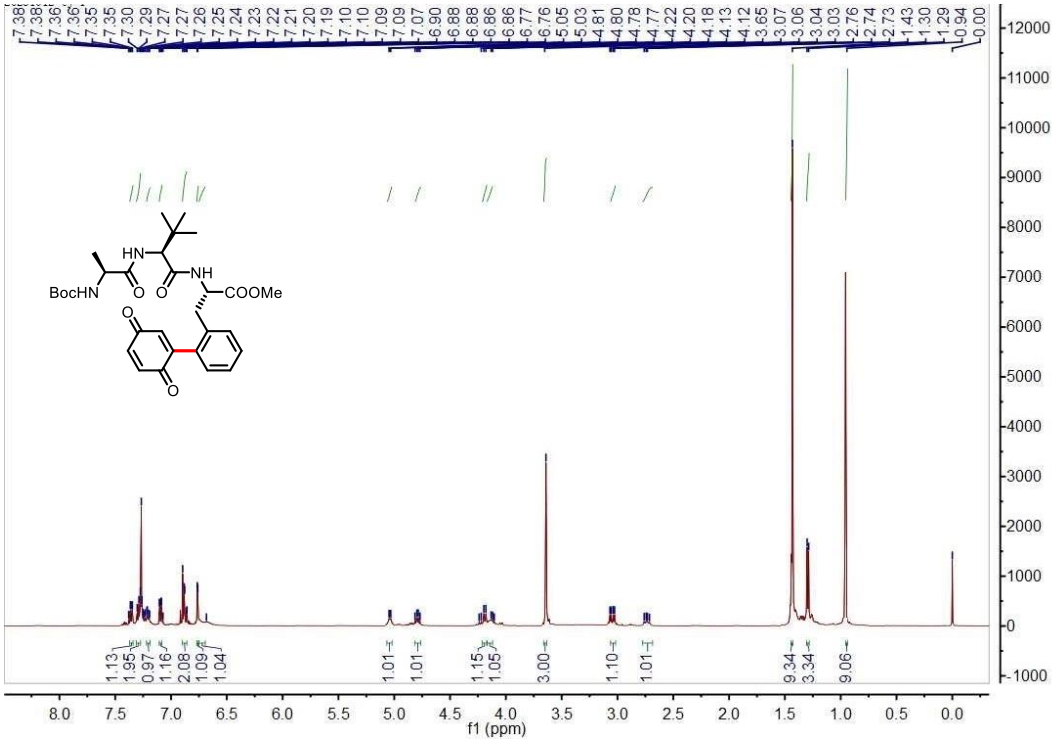
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3eb**



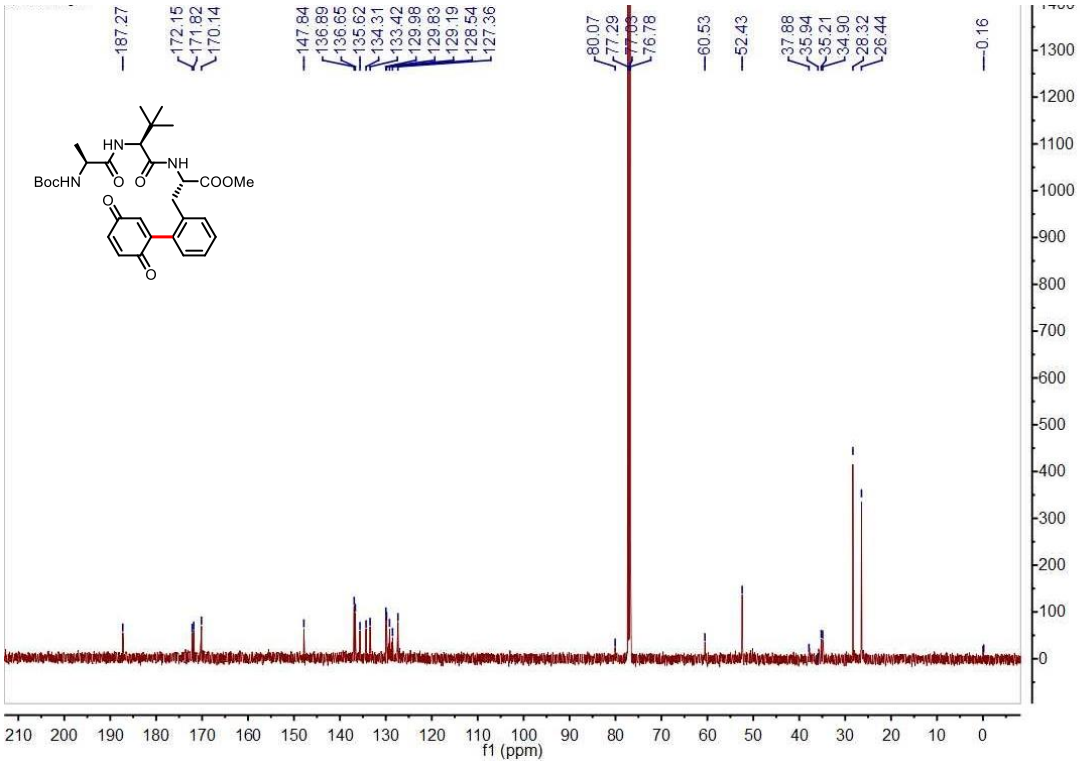
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3fb**



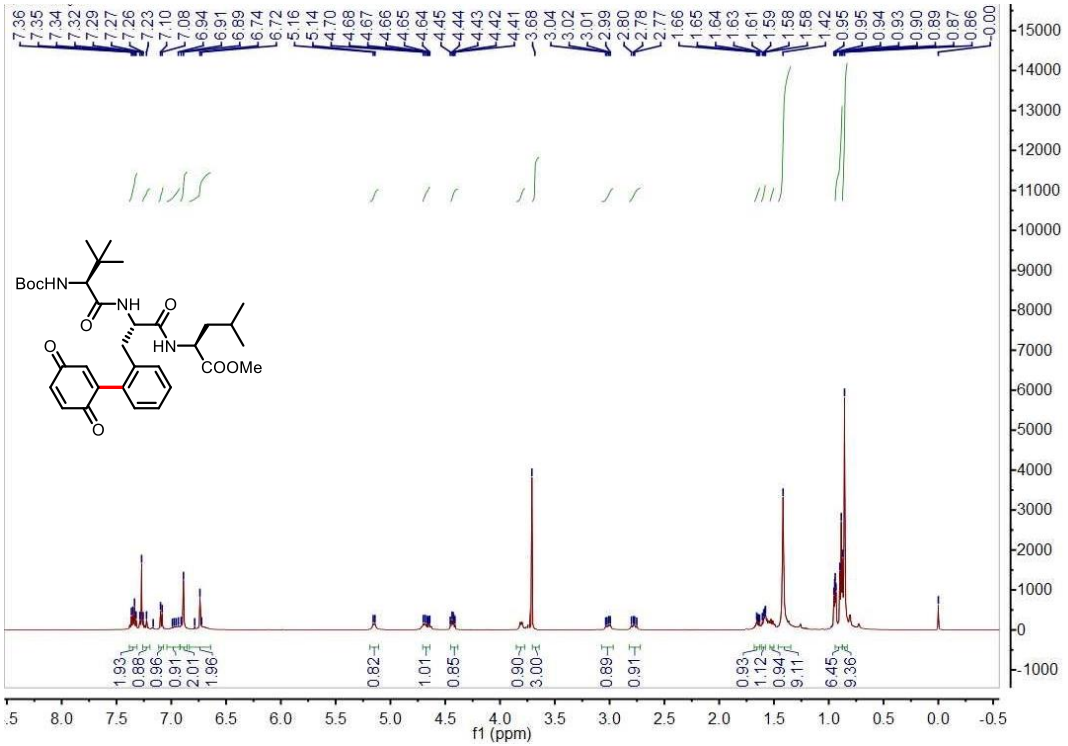
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3fb**



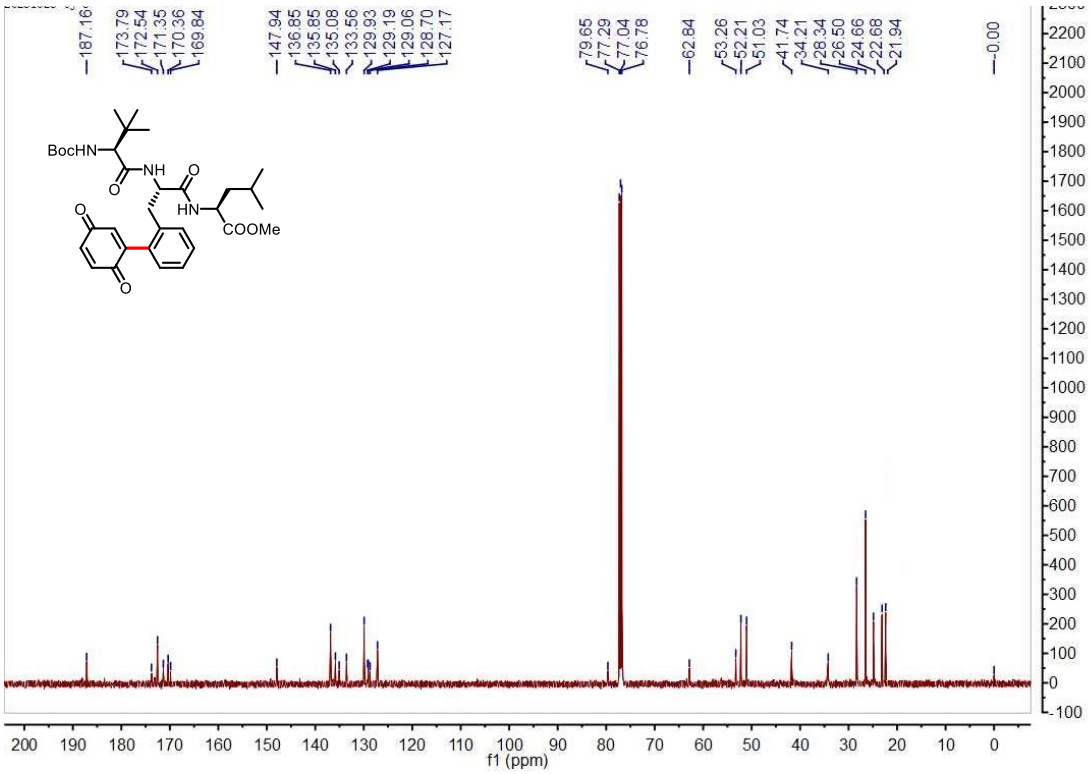
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3gb**



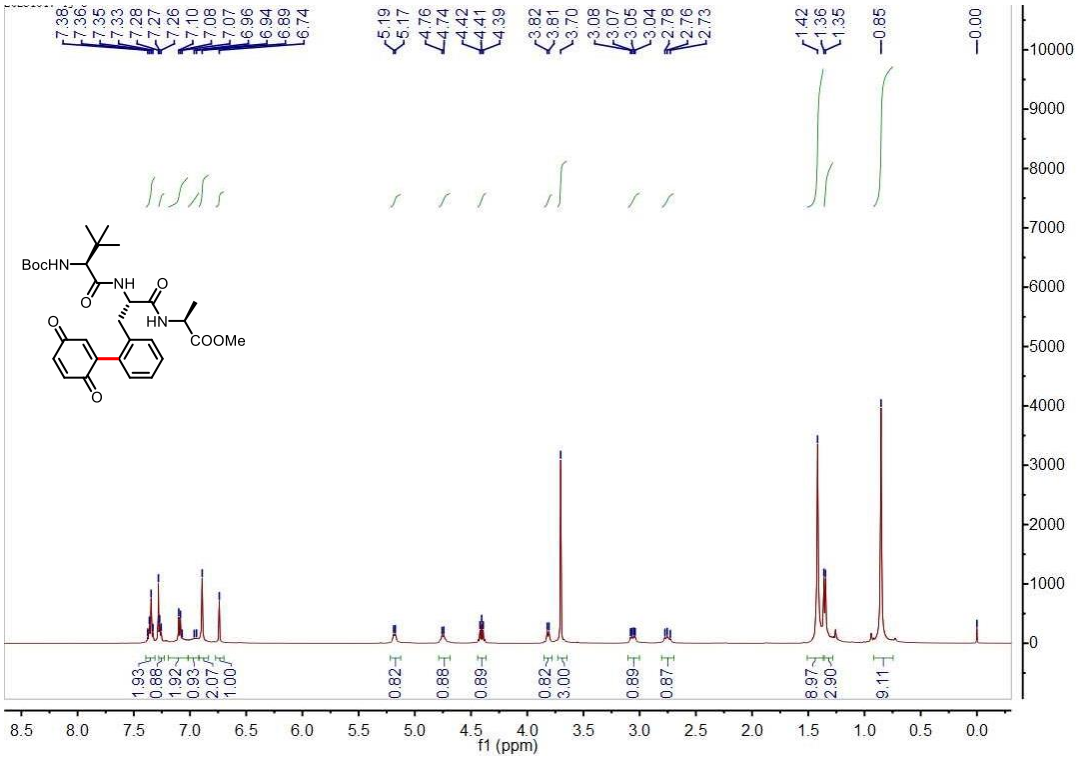
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3gb**



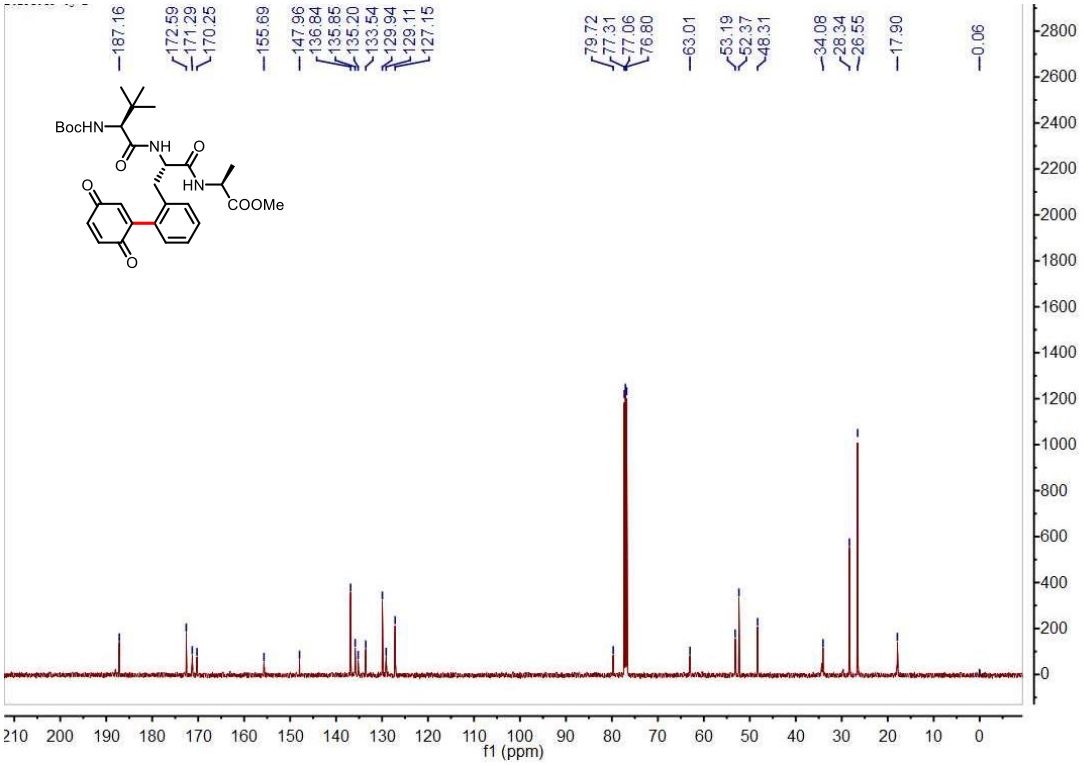
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3hb**



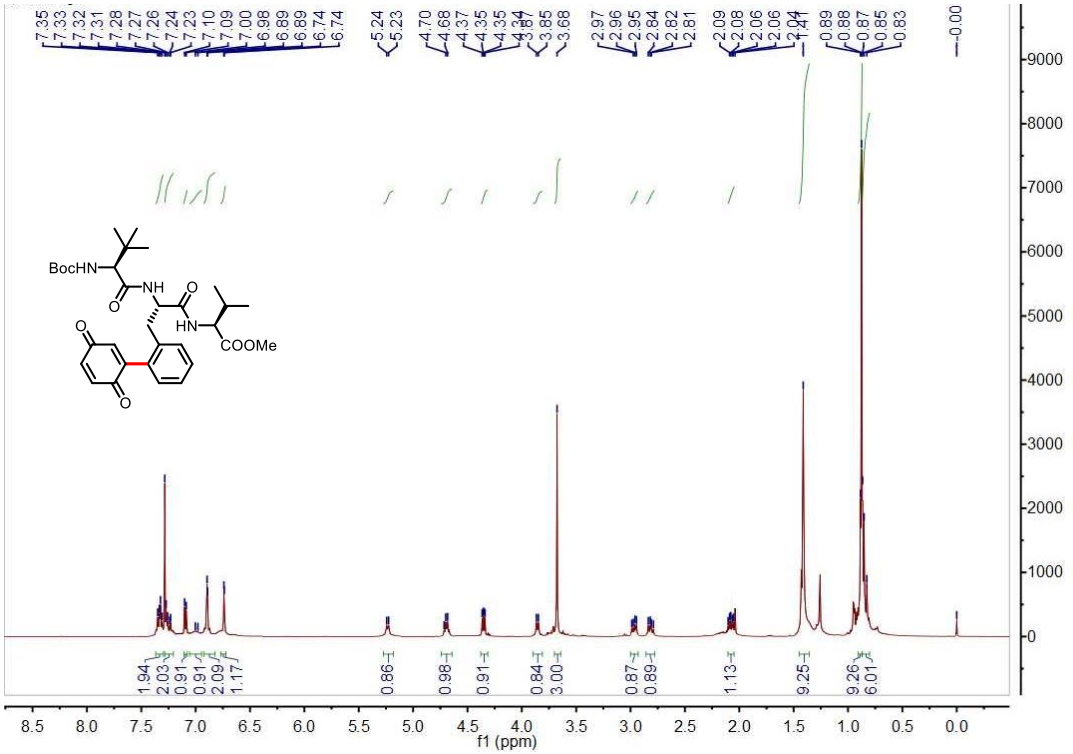
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3hb**



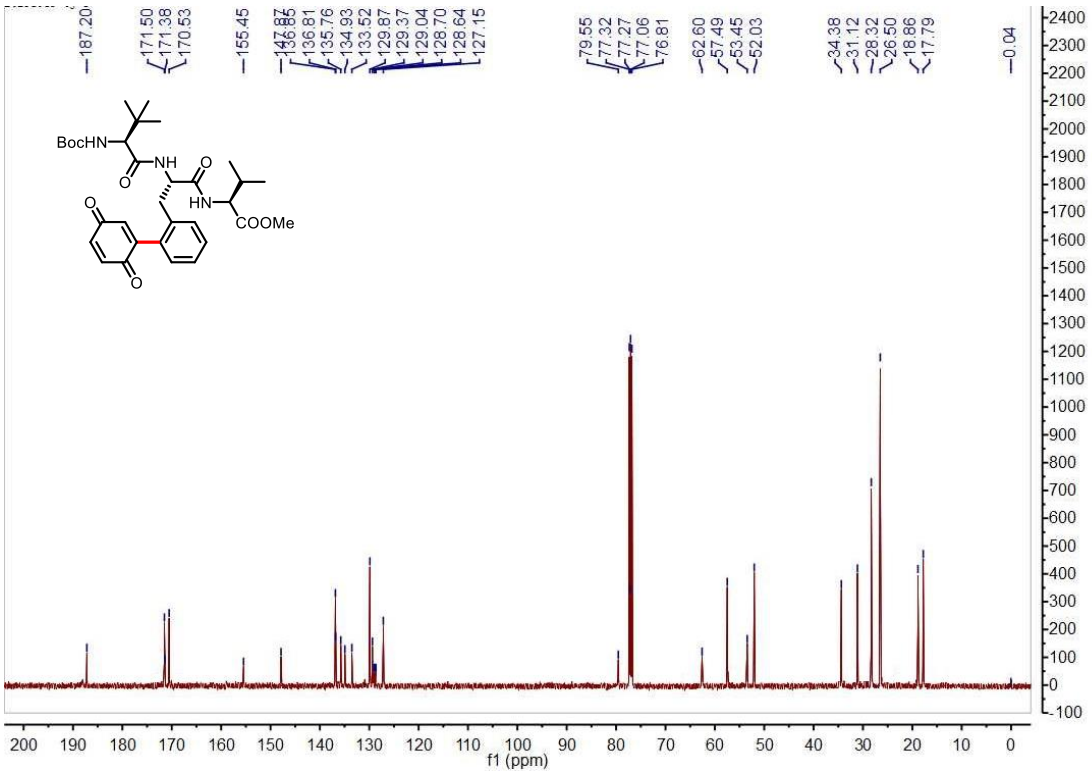
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3ib**



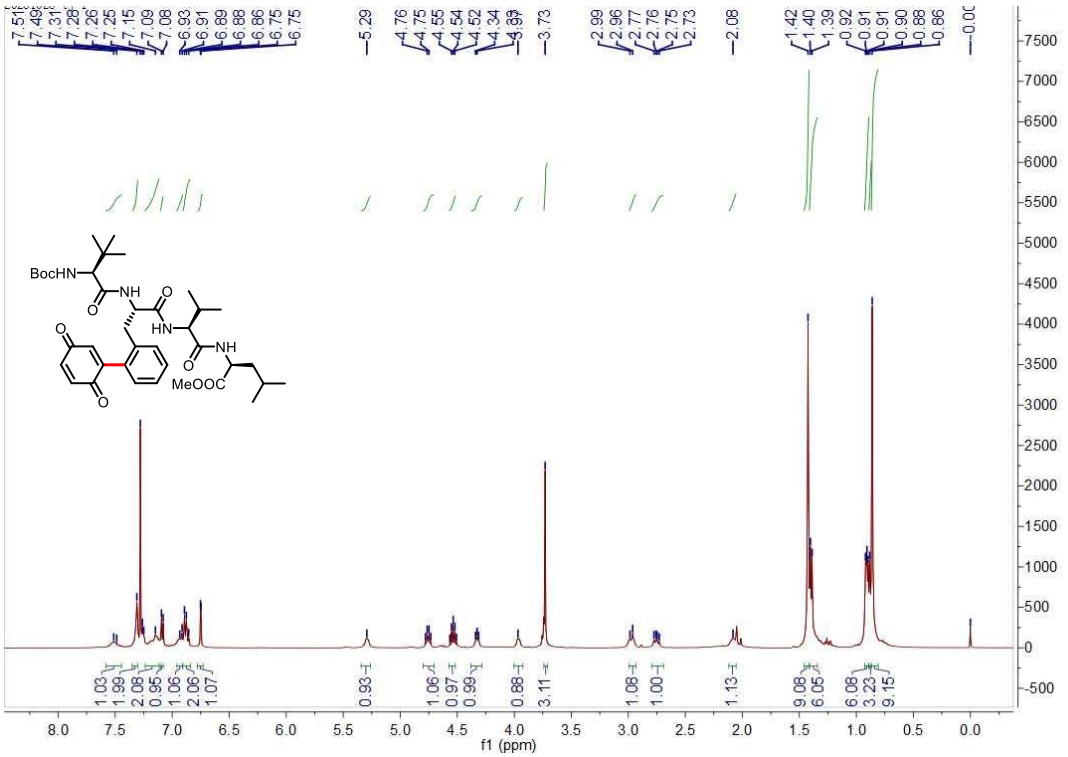
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3ib**



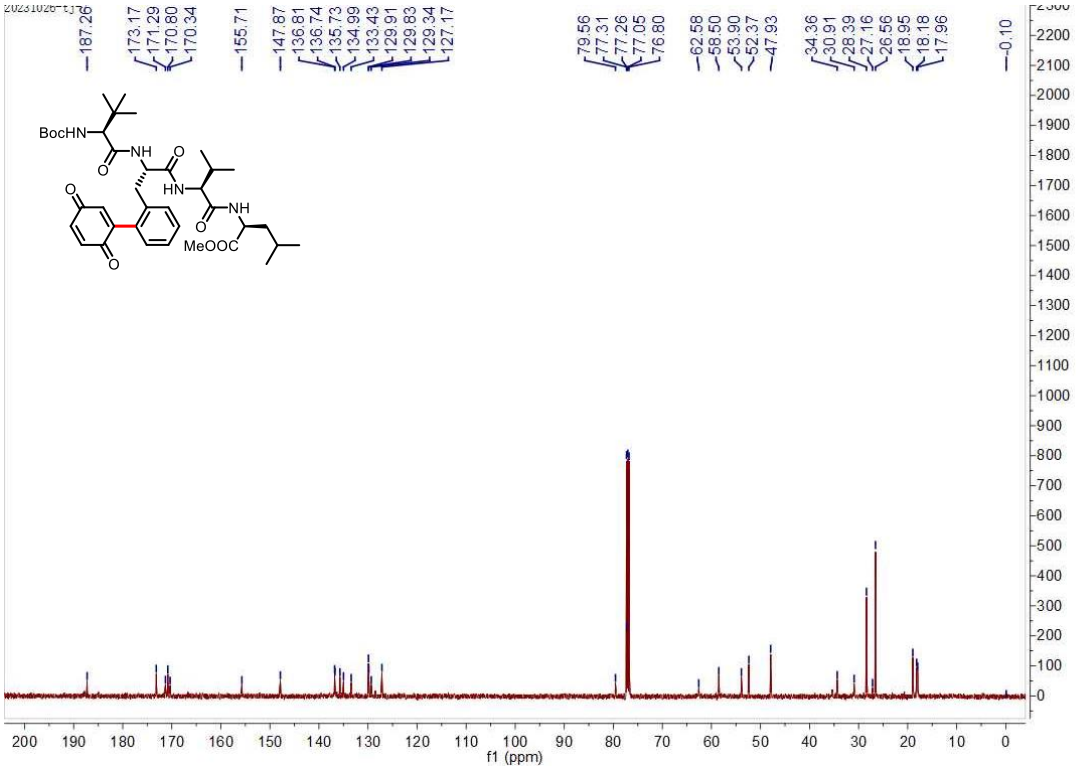
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3jb**



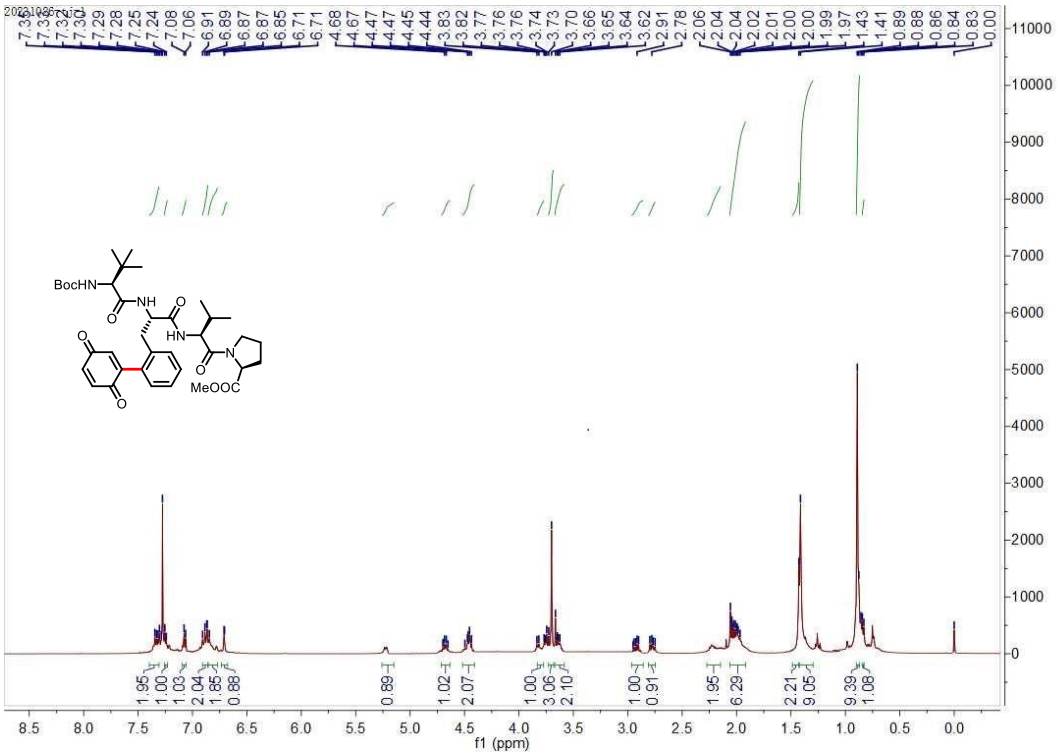
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3jb**



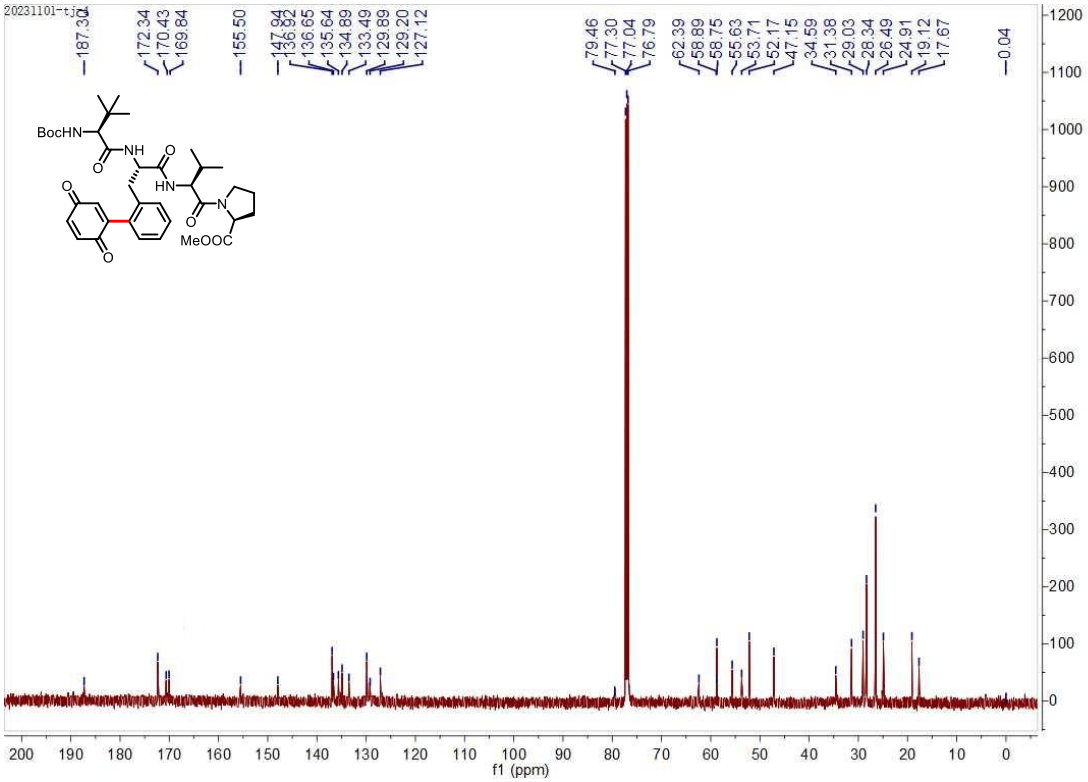
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3kb**



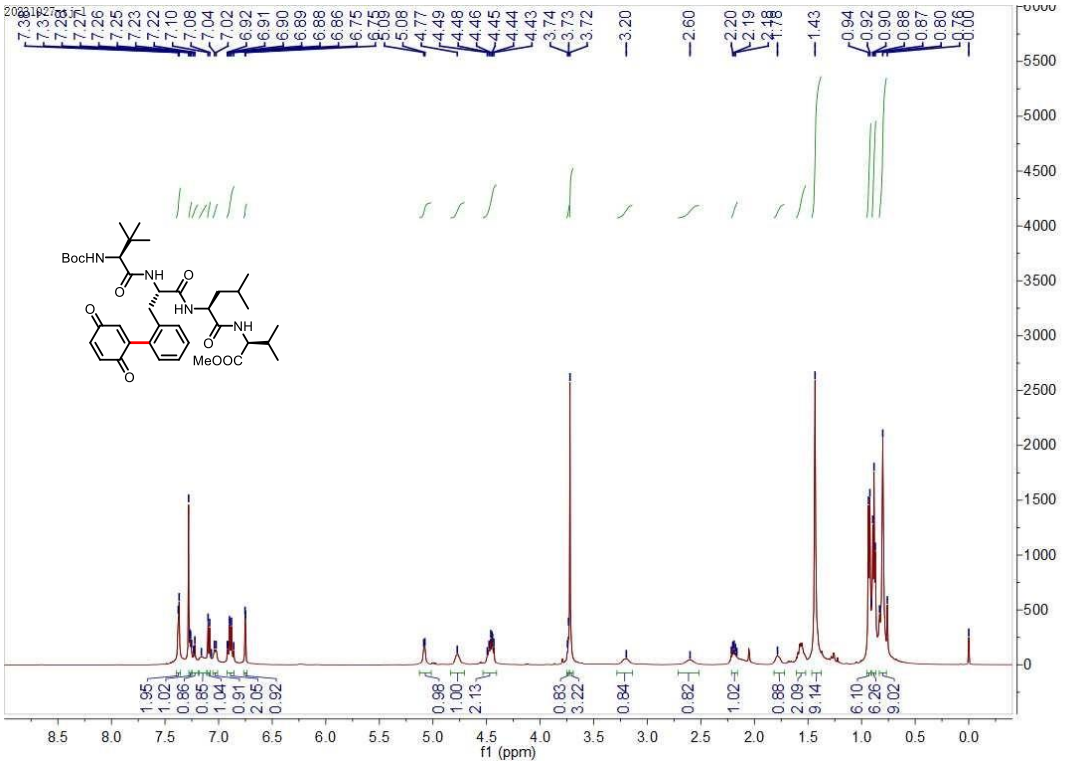
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3kb**



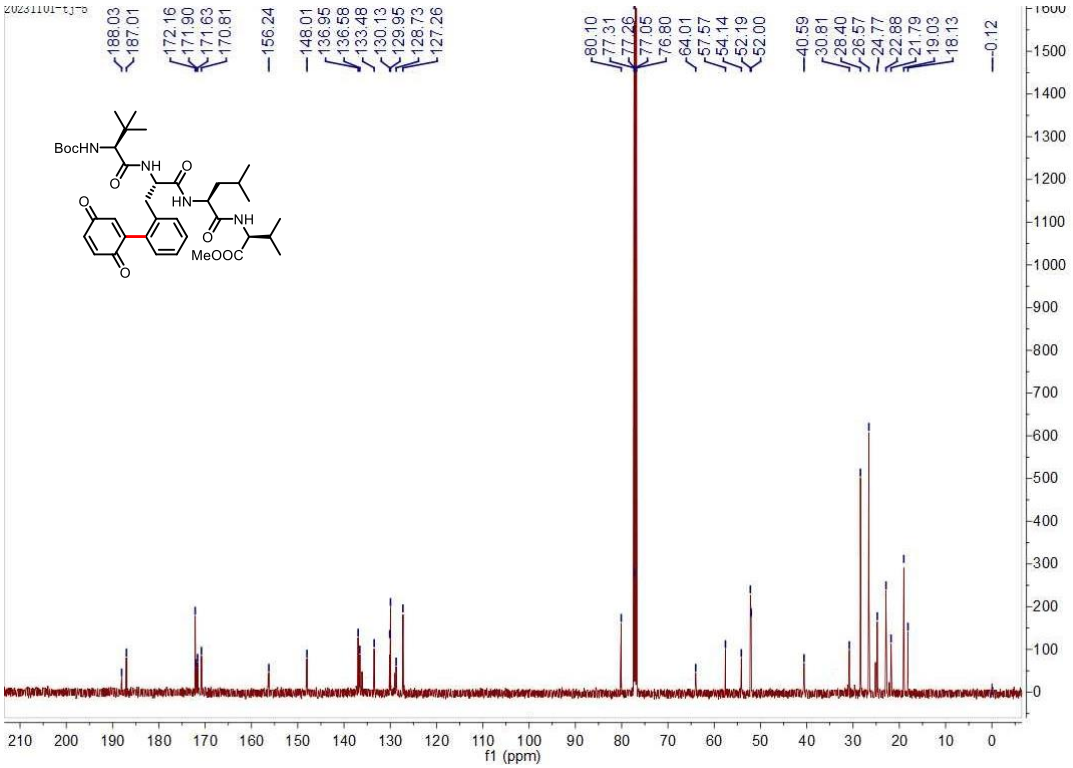
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3lb**



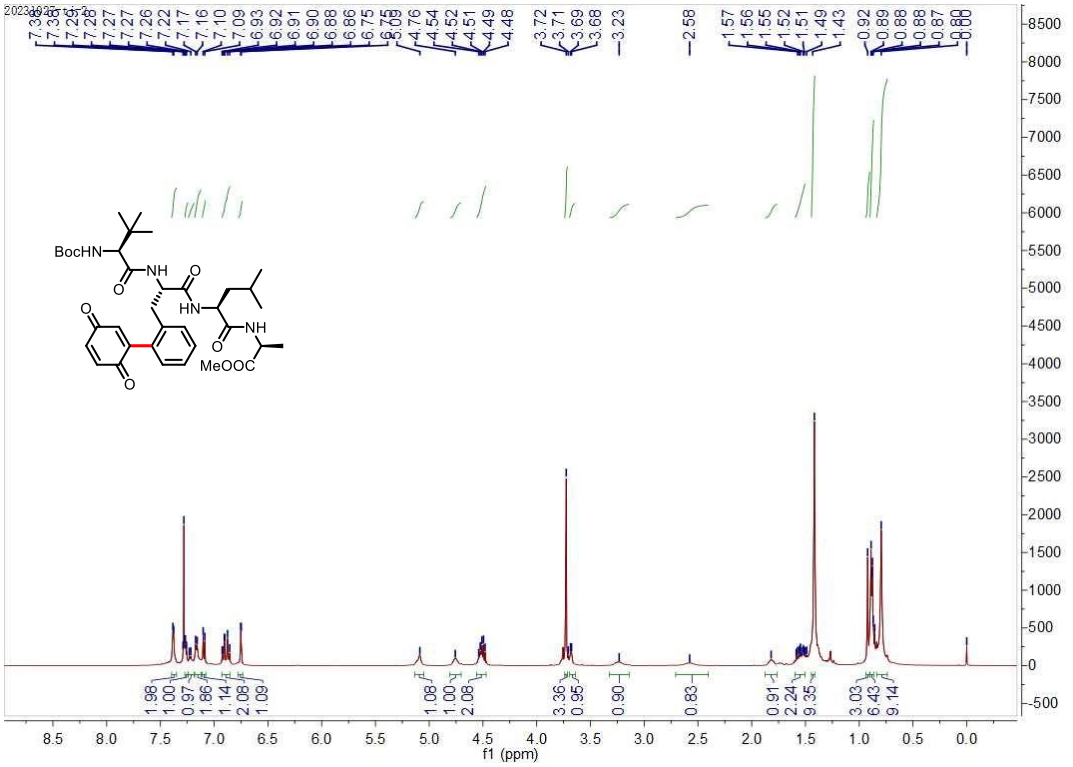
$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3lb**



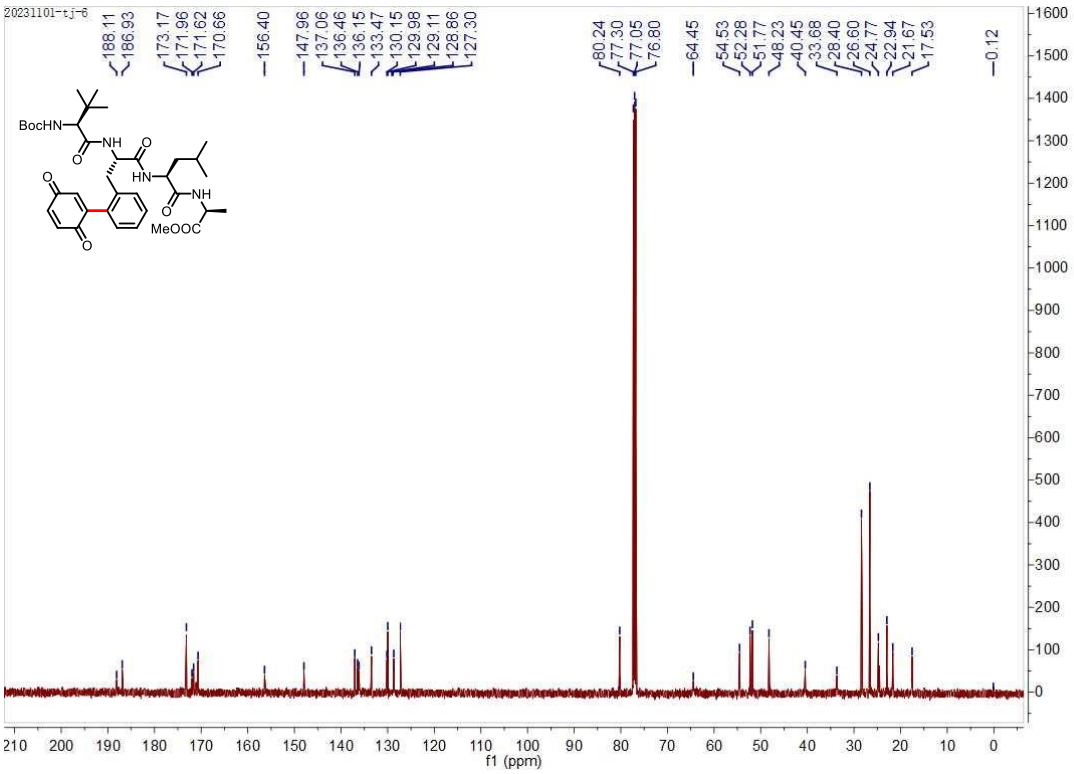
^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3mb**



$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3mb**



^{1}H NMR (500 MHz, $CDCl_3$) spectrum of compound **3nb**



$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$) spectrum of compound **3nb**