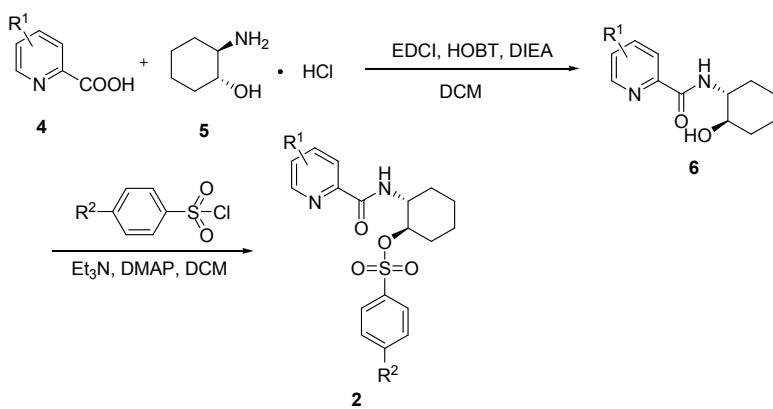


1. General remarks

Chemicals were purchased from commercial suppliers and used without further purification unless otherwise stated. Solvents were dried and purified according to the standard procedures before use. Reactions were monitored by TLC. Racemic products were obtained from corresponding substrates catalyzed by dry DMF at room temperature. Flash column chromatography was performed on silica gels (200-300 mesh). ^1H NMR and ^{13}C NMR (300 and 75 MHz, respectively) spectra were recorded on a Bruker 300 MHz NMR spectrometer in CDCl_3 . ^1H NMR chemical shifts are reported in ppm (δ) relative to tetramethylsilane (TMS) with the solvent resonance employed as the internal standard (CDCl_3 , δ 7.26 ppm). Data are reported as follows: chemical shift, multiplicity (s = singlet, brs = broad singlet, d = doublet, t = triplet, td = triplet of doublets, q = quartet, m = multiplet), coupling constants (Hz) and integration. ^{13}C NMR chemical shifts are reported in ppm from tetramethylsilane (TMS) with the solvent resonance as the internal standard (CDCl_3 , δ 77.0 ppm, DMSO-d_6 at 39.51 ppm). All enantiomeric ratios have been controlled by co-injections of the pure sample with the racemates. HRMS data were obtained on a Bruker Daltonics. Inc mass instrument (ESI). Chiralpak AD-H column was purchased from Daicel Chemical Industries (Hong Kong, China). Optical rotations were measured on a Perkin-Elmer 241 Polarimeter. Melting points were recorded on a Buchi Melting Point B-545.

2. Procedures and characterizations data of compounds.

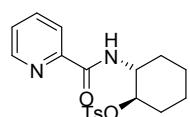
2.1 Synthesis of novel lewis base catalysts 2:



To a stirred solution of **4** (20.0 mmol) in DCM (100 mL) was added amine **5** (24.0 mmol), HOBT (3.24 g, 24.0 mmol)/EDCI (4.70 g, 24.0 mmol), and DIEA (8.0 mL, 48.0 mmol) at 0 °C.

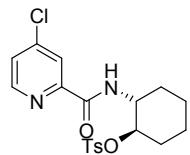
The reaction mixture was stirred at room temperature for 12 h, and then concentrated under vacuum. The residue was diluted with EtOAc, washed with saturated aqueous NaHCO₃ and brine, and dried over anhydrous MgSO₄. Solvents were evaporated under vacuum. The residue was purified by column chromatography (silica gel) to give **6**.

To a stirred solution of **6** (10.0 mmol) in DCM (60 mL) was added triethylamine (2.90 mL, 20.0 mmol) and DMAP (2.0 mmol) at 0 °C. The mixture was stirred at 0 °C for 5 - 10 min. And then TsCl or 4-Methoxybenzenesulfonyl chloride (15.0 mmol in 40 mL DCM) was added in batches. The mixture was stirred at room temperature for 12 h, and then concentrated under vacuum. The residue was diluted with EtOAc (60 mL), washed with saturated aqueous NaHCO₃ and brine, and dried over anhydrous MgSO₄. Solvents were evaporated under vacuum. The residue was purified by column chromatography (silica gel) to give **2**.



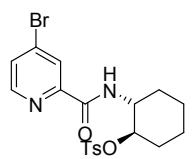
(1*R*,2*R*)-2-(picolinamido)cyclohexyl 4-methylbenzenesulfonate (2a):

white solid, m.p.: 119-120 °C, 62 % yield. $[\alpha]_D^{20} = -35.1$ (c 0.5, CH₂Cl₂). ¹H NMR (300 MHz, CDCl₃) δ 8.50-8.48 (m, 1H), 8.09-8.07 (m, 1H), 8.08 (d, *J* = 7.7 Hz, 1H), 7.83-7.82 (m, 1H), 7.67-7.64 (m, 2H), 7.43-7.41 (m, 1H), 7.01 (d, *J* = 8.4 Hz, 2H), 4.55-4.49 (m, 1H), 4.08-4.03 (m, 1H), 2.25 (s, 3H), 2.18-2.09 (m, 2H), 1.80-1.64 (m, 3H), 1.41-1.32 (m, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 163.7, 149.7, 147.8, 144.0, 137.1, 134.2, 129.4, 127.5, 126.0, 122.1, 82.7, 51.7, 32.2, 31.5, 23.8, 21.5. HRMS (ESI) Calcd. for C₁₉H₂₃N₂O₄S⁺ [M+H]⁺ 375.1373; Found: 375.1384.



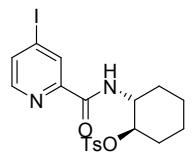
(1*R*,2*R*)-2-(4-chloropicolinamido)cyclohexyl 4-methylbenzenesulfonate (2b):

white solid, m.p.: 101-102 °C, 60 % yield. $[\alpha]_D^{20} = -37.1$ (c 0.5, CH₂Cl₂). ¹H NMR (300 MHz, CDCl₃) δ 8.42-8.40 (m, 1H), 8.08-8.07 (m, 1H), 7.95 (d, *J* = 8.0 Hz, 1H), 7.67-7.64 (m, 2H), 7.44-7.41 (m, 1H), 7.07 (d, *J* = 8.0 Hz, 2H), 4.59-4.51 (m, 1H), 4.09-3.97 (m, 1H), 2.30 (s, 3H), 2.14-2.10 (m, 2H), 1.80-1.63 (m, 3H), 1.45-1.29 (m, 3H), ¹³C NMR (75 MHz, CDCl₃) δ 162.6, 151.1, 148.8, 145.6, 144.2, 134.2, 129.4, 127.5, 126.2, 122.8, 82.6, 52.0, 32.2, 31.5, 23.9, 21.5. HRMS (ESI) Calcd. for C₁₉H₂₁ClN₂O₄SNa⁺ [M+Na]⁺ 431.0803; Found: 431.0801.



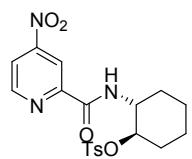
(1*R*,2*R*)-2-(4-bromopicolinamido)cyclohexyl 4-methylbenzene sulfonate

(2c): white solid, m.p.: 115-116°C, 60 % yield. $[\alpha]_D^{20} = -30.0$ (c 0.5, CH₂Cl₂). ¹H NMR (300 MHz, CDCl₃) δ 8.86-8.84 (m, 1H), 8.79-8.78 (m, 1H), 8.15 (dd, *J*₁ = 5.3 Hz, *J*₂ = 2.2 Hz, 1H), 8.07 (d, *J* = 9.1 Hz, 1H), 7.69-7.66 (m, 2H), 7.15-7.12 (m, 2H), 4.62-4.53 (m, 1H), 4.12-4.02 (m, 1H), 2.31 (s, 3H), 2.20-2.17 (m, 1H), 2.05-2.01 (m, 1H), 1.80-1.61 (m, 3H), 1.46-1.24(m, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 161.7, 155.0, 153.0, 150.3, 144.4, 134.2, 129.5, 127.4, 118.4, 115.2, 82.4, 52.4, 32.0, 31.5, 23.9, 23.8, 21.5. HRMS (ESI) Calcd. for C₁₉H₂₂BrN₂O₄S⁺ [M+H]⁺ 453.0478; Found: 453.0487.



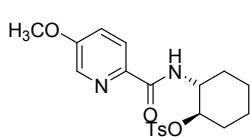
(1*R*,2*R*)-2-(4-iodopicolinamido)cyclohexyl 4-methylbenzene sulfonate

(2d): white solid, m.p.: 127-128°C, 67 % yield. $[\alpha]_D^{20} = -29.5$ (c 0.5, CH₂Cl₂). ¹H NMR (300 MHz, CDCl₃) δ 8.447-8.442 (m, 1H), 8.16-8.14 (m, 1H), 7.92 (d, *J* = 7.9 Hz, 1H), 7.80 (dd, *J*₁ =5.0 Hz, *J*₂ = 1.7 Hz, 1H), 7.67-7.64 (m, 2H), 7.06 (d, *J* = 8.1 Hz, 2H), 4.59-4.50 (m, 1H), 4.08-3.96 (m, 1H), 2.30 (s, 3H), 2.14-2.09 (m, 2H), 1.80-1.61 (m, 3H), 1.44-1.25 (m, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 162.4, 149.9, 148.1, 144.2, 135.2, 134.2, 131.7, 129.4, 127.4, 106.5, 82.6, 51.9, 32.2, 31.5, 23.8, 21.6. HRMS (ESI) Calcd. for C₁₉H₂₂IN₂O₄S⁺ [M+H]⁺ 501.0339; Found: 501.0356.



(1*R*,2*R*)-2-(4-nitropicolinamido)cyclohexyl 4-methylbenzene sulfonate (2e):

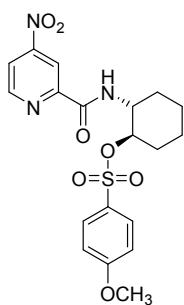
white solid, m.p.: 124-125°C, 65 % yield. $[\alpha]_D^{20} = -30.0$ (c 0.5, CH₂Cl₂). ¹H NMR (300 MHz, CDCl₃) δ 8.86-8.85 (m, 1H), 8.80-8.79 (m, 1H), 8.16 (dd, *J*₁ =5.3 Hz, *J*₂ = 2.2 Hz, 1H), 8.08 (d, *J* = 8.9 Hz, 1H), 7.70-7.67 (m, 2H), 7.14 (d, *J* = 8.3 Hz, 2H), 4.59-4.54 (m, 1H), 4.14-4.03 (m, 1H), 2.31 (s, 3H), 2.22-2.17 (m, 1H), 2.06-2.00 (m, 1H), 1.81-1.64 (m, 2H), 1.43-1.25 (m, 4H). ¹³C NMR (75 MHz, CDCl₃) δ 161.8, 155.1, 153.1, 150.3, 144.4, 134.4, 129.5, 127.5, 118.4, 115.2, 82.3, 52.5, 32.0, 31.5, 23.93, 23.86, 21.5. HRMS (ESI) Calcd. for C₁₉H₂₂N₃O₆S⁺ [M+H]⁺ 420.1224; Found: 420.1239.



(1*R*,2*R*)-2-(5-methoxypicolinamido)cyclohexyl

4-methyl

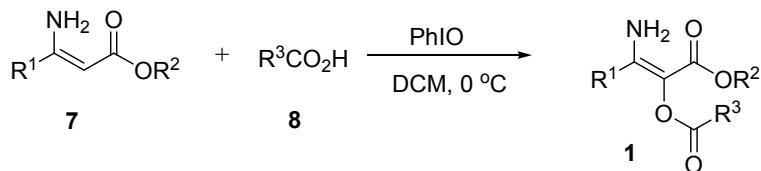
benzenesulfonate (2f): white solid, m.p.: 126-127°C, 63 % yield. $[\alpha]_D^{20} = -44.5$ (c 0.5, CH₂Cl₂). ¹H NMR (300 MHz, CDCl₃) δ 8.15-8.14 (m, 1H), 8.05-8.03 (m, 1H), 7.78 (d, *J* = 8.7 Hz, 1H), 7.66 (d, *J* = 8.2 Hz, 2H), 7.28-7.24 (m, 1H), 7.04 (d, *J* = 8.0 Hz, 2H), 4.56-4.47 (m, 1H), 4.09-4.00 (m, 1H), 3.91 (s, 3H), 2.28 (s, 3H), 2.17-2.11 (m, 2H), 1.79-1.64 (m, 3H), 1.42-1.25 (m, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 163.7, 157.7, 144.0, 142.5, 136.2, 134.2, 129.4, 127.5, 123.3, 120.0, 82.8, 55.7, 51.6, 32.2, 31.6, 23.8, 21.5. HRMS (ESI) Calcd. for C₂₀H₂₅N₂O₅S⁺ [M+H]⁺ 405.1479; Found: 405.1475.



(1*R*,2*R*)-2-(4-nitropicolinamido)cyclohexyl 4-methoxybenzene sulfonate

(2g): white solid, m.p.: 63 - 64°C, 62 % yield. $[\alpha]_D^{20} = -44.5$ (c 0.5, CH₂Cl₂). ¹H NMR (300 MHz, CDCl₃) δ 8.83 - 8.81 (m, 1H), 8.732-8.725 (m, 1H), 8.16-8.13 (m, 1H), 8.00 (d, *J* = 8.7 Hz, 1H), 7.72-7.68 (m, 2H), 6.75-6.70 (m, 2H), 4.60-4.52 (m, 1H), 4.10-3.98 (m, 1H), 3.75 (s, 3H), 2.17-2.06 (m, 2H), 1.70-1.24 (m, 6H). ¹³C NMR (75 MHz, CDCl₃) δ 163.2, 161.6, 154.9, 152.9, 150.2, 129.6, 128.7, 118.3, 115.1, 114.0, 82.4, 55.5, 52.4, 32.2, 31.4, 23.9. HRMS (ESI) Calcd for C₁₉H₂₂N₃O₇S⁺ [M+H]⁺ 436.1173; Found: 436.1180.

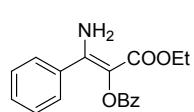
2.2 Synthesis of *α*-acyloxy *β*-enamino esters 1



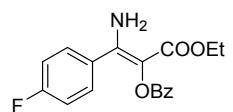
Compounds **1** were prepared according to a known procedure ^[1] with minor modification: To a suspension of enamine **7** (1.0 mmol) and iodosobenzene (1.2 mmol) in DCM (10 mL) was added carboxylic acid **8** (1.2 mmol) in one portion at 0°C for 10 min. The reaction mixture was quenched with saturated aqueous NaHCO₃ (10 mL) and extracted with DCM (10 mL × 3). The combined organic layers were dried over anhydrous Na₂SO₄. The solvent was removed in

vacuo, and the residue was subjected to flash column chromatography (PE : EA = 4:1 to 2:1) to give the desired product **1** in 65-80% yield.

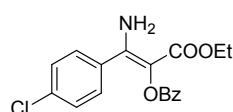
(E)-1-



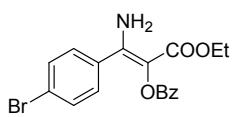
amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl benzoate (1a): white solid, m.p.: 82-83 °C, 75 % yield. ^1H NMR (300 MHz, CDCl_3) δ 7.93-7.90 (m, 2H), 7.51-7.48 (m, 3H), 7.40-7.37 (m, 2H), 7.34-7.30 (m, 3H), 6.10 (br s, 2H), 4.22 (q, J = 7.1 Hz, 2H), 1.22 (t, J = 7.1 Hz, 3H), ^{13}C NMR (75 MHz, CDCl_3) δ 166.2, 165.8, 152.7, 134.9, 132.9, 129.8, 129.7, 129.6, 128.4, 128.2, 127.5, 112.5, 60.0, 14.3. HRMS (ESI) Calcd. for $\text{C}_{18}\text{H}_{18}\text{NO}_4^+$ $[\text{M}+\text{H}]^+$ 312.1230; Found: 312.1238.



(E)-1-amino-3-ethoxy-1-(4-fluorophenyl)-3-oxoprop-1-en-2-yl benzoate (1b): white solid, m.p.: 125-126 °C, 71 % yield. ^1H NMR (300 MHz, CDCl_3) δ 7.94-7.91 (m, 2H), 7.57-7.47 (m, 3H), 7.42-7.37 (m, 2H), 7.04-7.00 (m, 2H), 6.10 (br s, 2H), 4.21 (q, J = 7.1 Hz, 2H), 1.21 (t, J = 7.1 Hz, 3H). ^{13}C NMR (75 MHz, CDCl_3) δ 166.1, 165.7, 163.2 (d, $J_{\text{C}-\text{F}} = 248.2$ Hz), 151.5, 133.1, 130.92 (d, $J_{\text{C}-\text{F}} = 3.4$ Hz), 129.8, 129.6 (d, $J_{\text{C}-\text{F}} = 8.4$ Hz), 129.5, 128.3, 115.5 (d, $J_{\text{C}-\text{F}} = 21.6$ Hz), 112.7, 60.0, 14.3. HRMS (ESI) Calcd. for $\text{C}_{18}\text{H}_{16}\text{FNO}_4\text{Na}^+$ $[\text{M}+\text{Na}]^+$ 352.0956; Found: 352.0961.



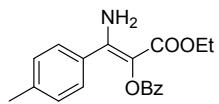
(E)-1-amino-1-(4-chlorophenyl)-3-ethoxy-3-oxoprop-1-en-2-yl benzoate (1c): white solid, m.p.: 122-124 °C, 72 % yield. ^1H NMR (300 MHz, CDCl_3) δ 7.94-7.92 (m, 2H), 7.56-7.52 (m, 1H), 7.46-7.37 (m, 4H), 7.31-7.26 (m, 2H), 6.11 (br s, 2H), 4.21 (q, J = 7.1 Hz, 2H), 1.21 (t, J = 7.1 Hz, 3H). ^{13}C NMR (75 MHz, CDCl_3) δ 166.1, 165.7, 151.3, 135.7, 133.3, 133.2, 129.8, 129.4, 129.0, 128.7, 128.3, 112.7, 60.1, 14.3. HRMS (ESI) Calcd. for $\text{C}_{18}\text{H}_{17}\text{ClNO}_4^+$ $[\text{M}+\text{H}]^+$ 346.0846, Found: 346.0840 (Cl 34.9689); Calcd. for $\text{C}_{18}\text{H}_{17}\text{ClNO}_4^+$ $[\text{M}+\text{H}]^+$ 348.0817, Found: 348.0817 (Cl 36.9659); Calcd. for $\text{C}_{18}\text{H}_{16}\text{ClNNaO}_4^+$ $[\text{M}+\text{Na}]^+$ 368.0666, Found: 368.0658 (Cl 34.9689); Calcd. for $\text{C}_{18}\text{H}_{16}\text{ClNNaO}_4^+$ $[\text{M}+\text{Na}]^+$ 370.0636, Found: 370.0637 (Cl 36.9659).



(E)-1-amino-1-(4-bromophenyl)-3-ethoxy

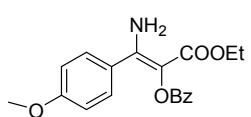
3-oxoprop-1-en-2-yl

benzoate (1d): white solid, m.p.: 114-115°C, 76 % yield. ¹H NMR (300 MHz, CDCl₃) δ 7.94-7.92 (m, 2H), 7.56-7.52 (m, 1H), 7.47-7.36 (m, 6H), 6.10 (br s, 2H), 4.21 (q, *J* = 7.1 Hz, 2H), 1.20 (t, *J* = 7.1 Hz, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 166.1, 165.7, 151.3, 133.8, 133.2, 131.7, 129.9, 129.4, 129.3, 128.3, 124.0, 112.8, 60.1, 14.3. HRMS (ESI) Calcd. for C₁₈H₁₇BrNO₄⁺ [M+H]⁺ 390.0341, Found: 390.0346 (Br 78.9183); Calcd. for C₁₈H₁₇BrNO₄⁺ [M+H]⁺ 392.0320, Found: 392.0333 (Br 80.9163); Calcd. for C₁₈H₁₆BrNNaO₄⁺ [M+Na]⁺ 412.0160, Found: 412.0163 (Br 78.9183); Calcd. for C₁₈H₁₆BrNNaO₄⁺ [M+Na]⁺ 414.0140, Found: 414.0143 (Br 80.9163).



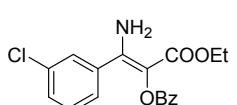
(E)-1-amino-3-ethoxy-3-oxo-1-(p-tolyl)prop-1-en-2-yl benzoate (1e):

white solid, m.p.: 77-78°C, 80% yield. ¹H NMR (300 MHz, CDCl₃) δ 7.96-7.93 (m, 2H), 7.55-7.49 (m, 1H), 7.41-7.36 (m, 4H), 7.13-7.11 (m, 2H), 6.10 (br s, 2H), 4.21 (q, *J* = 7.1 Hz, 2H), 1.21 (t, *J* = 7.1 Hz, 3H), ¹³C NMR (75 MHz, CDCl₃) δ 166.2, 165.8, 152.8, 139.7, 132.9, 132.0, 129.9, 129.8, 129.1, 128.2, 127.4, 112.4, 60.1, 21.4, 14.3. HRMS (ESI) Calcd. for C₁₉H₁₉FNO₄Na⁺ [M+Na]⁺ 348.1206; Found: 348.1219.



(E)-1-amino-3-ethoxy-1-(4-methoxyphenyl)-3-oxoprop-1-en-2-yl

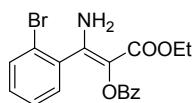
benzoate (1f): white solid, m.p.: 107-108°C, 78% yield. ¹H NMR (300 MHz, CDCl₃) δ 7.96-7.93 (m, 2H), 7.52-7.45 (m, 1H), 7.42-7.39 (m, 2H), 7.36-7.34 (m, 2H), 6.10 (br s, 2H), 4.18 (q, *J* = 7.1 Hz, 2H), 1.18 (t, *J* = 7.1 Hz, 3H), ¹³C NMR (75 MHz, CDCl₃) δ 166.1, 165.8, 160.4, 152.6, 132.9, 129.7, 129.6, 129.0, 128.1, 127.0, 113.6, 112.1, 59.8, 55.0, 14.2. HRMS (ESI) Calcd. for C₁₉H₂₀NO₅⁺ [M+H]⁺ 342.1336; Found: 342.1333.



(E)-1-amino-1-(3-chlorophenyl)-3-ethoxy-3-oxoprop-1-en-2-yl benzoate

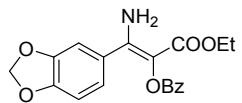
(1g): white solid, m.p.: 105-106°C, 78% yield. ¹H NMR (300 MHz, CDCl₃) δ 7.95-7.92 (m, 2H), 7.53-7.52 (m, 2H), 7.42-7.37 (m, 3H), 7.28-7.24 (m, 2H), 6.12 (br s, 2H), 4.22 (q, *J* = 7.1 Hz, 2H), 1.21 (t, *J* = 7.1 Hz, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 166.1, 165.6,

150.9, 136.5, 134.3, 133.1, 129.8, 129.74, 129.72, 129.4, 128.3, 127.9, 125.8, 112.8, 60.1, 14.3. HRMS (ESI) Calcd. for $C_{18}H_{17}ClNO_4^+$ [M+H]⁺ 346.0846, Found: 346.0840 (Cl 34.9689); Calcd. for $C_{18}H_{17}ClNO_4^+$ [M+H]⁺ 348.0817, Found: 348.0817 (Cl 36.9659); Calcd. for $C_{18}H_{16}ClNNaO_4^+$ [M+Na]⁺ 368.0666, Found: 368.0658 (Cl 34.9689); Calcd. for $C_{18}H_{16}ClNNaO_4^+$ [M+Na]⁺ 370.0636, Found: 370.0637 (Cl 36.9659).



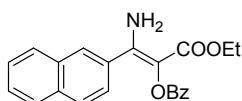
(E)-1-amino-1-(2-bromophenyl)-3-ethoxy-3-oxoprop-1-en-2-yl benzoate

(1h): white solid, m.p.: 102-103 °C, 69% yield. ¹H NMR (300 MHz, CDCl₃) δ 7.95-7.92 (m, 2H), 7.70-7.69 (m, 1H), 7.56-7.51 (m, 1H), 7.45-7.37 (m, 4H), 7.20-7.15 (m, 1H), 6.12 (br s, 2H), 4.21 (q, *J* = 7.1 Hz, 2H), 1.21 (t, *J* = 7.1 Hz, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 166.1, 165.7, 150.7, 136.8, 133.1, 132.7, 130.8, 130.1, 129.9, 129.4, 128.3, 126.3, 122.3, 112.9, 60.2, 14.3. HRMS (ESI) Calcd. for $C_{18}H_{17}BrNO_4^+$ [M+H]⁺ 390.0341, Found: 390.0338 (Br 78.9183); Calcd. for $C_{18}H_{17}BrNO_4^+$ [M+H]⁺ 392.0320, Found: 392.0334 (Br 80.9163); Calcd. for $C_{18}H_{16}BrNNaO_4^+$ [M+Na]⁺ 412.0160, Found: 412.0158 (Br 78.9183); Calcd. for $C_{18}H_{16}BrNNaO_4^+$ [M+Na]⁺ 414.0140, Found: 414.0138 (Br 80.9163).



(E)-1-amino-1-(benzo[d][1,3]dioxol-5-yl)-3-ethoxy-3-oxoprop-1-en-2-

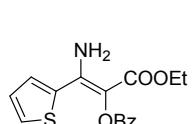
yl benzoate (1i): white solid, m.p.: 128-129 °C, 68% yield. ¹H NMR (300 MHz, CDCl₃) δ 7.99-7.96 (m, 2H), 7.54-7.51 (m, 1H), 7.43-7.38 (m, 2H), 7.03-6.99 (m, 2H), 6.75-6.72 (m, 1H), 6.11 (br s, 1H), 5.9 (s, 1H), 4.20 (q, *J* = 7.1 Hz, 2H), 1.20 (t, *J* = 7.1 Hz, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 166.1, 165.7, 152.3, 148.6, 147.4, 133.0, 129.8, 129.6, 128.4, 128.2, 121.7, 112.3, 108.2, 108.1, 101.3, 59.89, 14.2. HRMS (ESI) Calcd. for $C_{19}H_{18}NO_6^+$ [M+H]⁺ 356.1129; Found: 356.1128.



(E)-1-amino-3-ethoxy-1-(naphthalene-2-yl)-3-oxoprop-1-en-2-yl

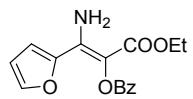
benzoate (1j): yellow oil, 78% yield. ¹H NMR (300 MHz, CDCl₃) δ 8.02 (s, 1H), 7.94-7.91 (m, 2H), 7.79-7.76 (m, 3H), 7.61-7.58 (m, 1H), 7.49-7.45 (m, 3H), 7.36-7.30 (m, 2H), 6.12 (br s, 2H), 4.25 (q, *J* = 7.1 Hz, 2H), 1.24 (t, *J* = 7.1 Hz, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 166.2, 165.9, 152.6, 133.6, 132.9, 132.7, 132.5, 129.8, 129.6, 128.3, 128.2, 128.0,

127.6, 127.3, 127.0, 126.5, 125.0, 112.8, 60.0, 14.3. HRMS (ESI) Calcd. for $C_{22}H_{20}NO_4^+$ $[M+H]^+$ 362.1387; Found: 362.1395.



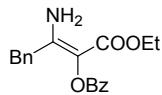
(E)-1-amino-3-ethoxy-3-oxo-1-(thiophen-2-yl) prop-1-en-2-yl benzoate (1k):

(1k): yellow solid, m.p.: 67-68°C, 71% yield. 1H NMR (300 MHz, $CDCl_3$) δ 8.18-8.15 (m, 2H), 7.62-7.46 (m, 1H), 7.43-7.41 (m, 2H), 7.39-7.37 (m, 3H), 7.36-7.30 (m, 2H), 6.17 (s, 2H), 4.21 (q, $J = 7.1$ Hz, 2H), 1.20 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 165.8, 165.7, 144.7, 134.9, 133.3, 130.2, 129.6, 129.2, 128.4, 128.1, 127.0, 113.2, 60.2, 14.3. HRMS (ESI) Calcd. for $C_{16}H_{16}NO_4S^+$ $[M+H]^+$ 318.0795; Found: 318.0787.



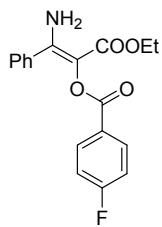
(E)-1-amino-3-ethoxy-1-(furan-2-yl)-3-oxo prop-1-en-2-yl benzoate (1l):

(1l): yellow solid, m.p.: 100-101°C, 67% yield. 1H NMR (300 MHz, $CDCl_3$) δ 8.22-8.19 (m, 2H), 7.68-7.63 (m, 1H), 7.55-7.48 (m, 3H), 7.32-7.24 (m, 5H), 6.77-6.76 (m, 1H), 6.40-6.38 (m, 3H), 4.21 (q, $J = 7.1$ Hz, 2H), 1.20 (t, $J = 7.1$ Hz, 3H), ^{13}C NMR (75 MHz, $CDCl_3$) δ 165.6, 165.5, 145.5, 143.3, 140.6, 133.5, 130.1, 129.6, 128.6, 114.5, 112.5, 112.1, 60.0, 14.3. HRMS (ESI) Calcd. for $C_{16}H_{16}NO_5^+$ $[M+H]^+$ 302.1023; Found: 302.1022.



(E)-3-amino-1-ethoxy-1-oxo-4-phenylbut-2-en-2-yl benzoate (1m): white oil,

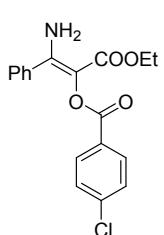
(1m): 65% yield. 1H NMR (300 MHz, $CDCl_3$) δ 8.18-8.15 (m, 2H), 7.63-7.58 (m, 1H), 7.51-7.46 (m, 2H), 7.32-7.24 (m, 5H), 5.94 (br s, 1H), 4.17 (q, $J = 7.1$ Hz, 2H), 1.20 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 166.0, 165.2, 152.7, 135.2, 133.2, 130.0, 129.6, 129.3, 128.8, 128.4, 127.2, 112.8, 59.7, 36.5, 14.3. HRMS (ESI) Calcd. for $C_{19}H_{19}NO_4Na^+$ $[M+Na]^+$ 348.1206; Found: 348.1202.



(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-fluorobenzoate (1n):

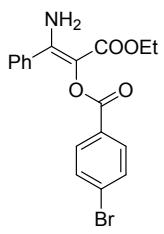
(1n): white solid, m.p.: 90-91°C, 75 % yield. 1H NMR (300 MHz, $CDCl_3$) δ 7.95-7.90 (m, 2H), 7.49-7.46 (m, 2H), 7.33-7.31 (m, 3H), 7.06-7.00 (m, 2H), 6.12 (br s, 1H), 4.21 (q, $J = 7.1$ Hz, 2H), 1.21 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 165.8 (d, $^1J_{C-F} = 252.5$ Hz), 165.7, 165.2, 164.1, 152.8, 134.9, 132.4 (d, $^3J_{C-F} = 9.3$

Hz), 129.7, 128.4, 127.5, 125.9 (d, $^4J_{C-F} = 2.9$ Hz), 115.4 (d, $^2J_{C-F} = 21.9$ Hz), 112.4, 60.0, 14.3. HRMS (ESI) Calcd. for $C_{18}H_{17}FNO_4^+$ [M+H]⁺ 330.1136; Found: 330.1137.



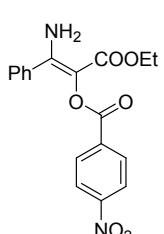
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-chlorobenzoate (1o):

white solid, m.p.: 120-121 °C, 77% yield. 1H NMR (300 MHz, $CDCl_3$) δ 7.86-7.83 (m, 2H), 7.48-7.45 (m, 2H), 7.35-7.31 (m, 5H), 6.12 (br s, 1H), 4.21 (q, $J = 7.1$ Hz, 2H), 1.21 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 165.6, 165.4, 152.8, 139.5, 134.9, 131.2, 129.7, 128.6, 128.5, 128.1, 127.5, 112.4, 60.0, 14.3. HRMS (ESI) Calcd. for $C_{18}H_{17}ClNO_4^+$ [M+H]⁺ 346.0846; Found: 346.0836 (Cl 34.9689); Calcd. for $C_{18}H_{17}ClNO_4^+$ [M+H]⁺ 348.0817, Found: 348.0818 (Cl 36.9659); Calcd. for $C_{18}H_{16}ClNNaO_4^+$ [M+Na]⁺ 368.0666, Found: 368.0656 (Cl 34.9689); Calcd. for $C_{18}H_{16}ClNNaO_4^+$ [M+Na]⁺ 370.0636, Found: 370.0638 (Cl 36.9659).



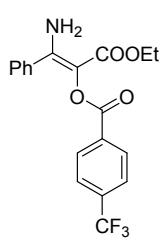
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-bromobenzoate (1p):

white solid, m.p.: 141-142 °C, 74% yield. 1H NMR (300 MHz, $CDCl_3$) δ 7.78-7.76 (m, 2H), 7.52-7.45 (m, 4H), 7.33-7.31 (m, 3H), 6.10 (br s, 1H), 4.21 (q, $J = 7.1$ Hz, 2H), 1.21 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 165.6, 165.5, 152.8, 134.8, 131.6, 131.4, 129.7, 128.51, 128.46, 128.2, 127.5, 112.4, 60.0, 14.3. HRMS (ESI) Calcd. for $C_{18}H_{17}BrNO_4^+$ [M+H]⁺ 390.0341, Found: 390.0336 (Br 78.9183); Calcd. for $C_{18}H_{17}BrNO_4^+$ [M+H]⁺ 392.0320, Found: 392.0322 (Br 80.9163); Calcd. for $C_{18}H_{16}BrNNaO_4^+$ [M+Na]⁺ 412.0160, Found: 412.0153 (Br 78.9183); Calcd. for $C_{18}H_{16}BrNNaO_4^+$ [M+Na]⁺ 414.0140, Found: 414.0139 (Br 80.9163).



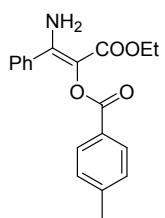
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-nitrobenzoate (1q):

white solid, m.p.: 111-112 °C, 76 % yield. 1H NMR (300 MHz, $CDCl_3$) δ 8.22-8.19 (m, 2H), 8.07-8.04 (m, 2H), 7.48-7.45 (m, 2H), 7.34-7.32 (m, 3H), 6.12 (br s, 2H), 4.22 (q, $J = 7.1$ Hz, 2H), 1.21 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 165.3, 164.4, 153.0, 150.5, 135.0, 134.6, 130.9, 129.9, 128.5, 127.4, 123.4, 112.4, 60.1, 14.3. HRMS (ESI) Calcd. for $C_{18}H_{16}N_2O_6Na^+$ [M+Na]⁺ 379.0901; Found: 379.0904.



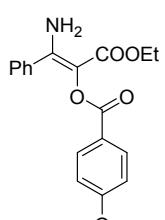
(*E*)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-(trifluoromethyl)

benzoate (1r): white solid, m.p.: 116-117°C, 67 % yield. ¹H NMR (300 MHz, CDCl₃) δ 8.03-8.00 (m, 2H), 7.65-7.62 (m, 2H), 7.49-7.46 (m, 2H), 7.35-7.32 (m, 3H), 6.10 (br s, 1H), 4.22 (q, *J* = 7.1 Hz, 2H), 1.22 (t, *J* = 7.1 Hz, 3H), ¹³C NMR (75 MHz, CDCl₃) 165.5, 165.0, 152.9, 134.8, 134.7, 134.4 (d, ²J_{C-F} = 32.4 Hz), 132.9, 130.2, 129.8, 128.5, 127.4, 125.3 (q, ¹J_{C-F} = 3.6 Hz), 112.4, 77.4, 77.0, 76.6, 60.1, 14.3. HRMS (ESI) Calcd. for C₁₉H₁₇F₃NO₄⁺ [M+H]⁺ 380.1104; Found: 380.1102.



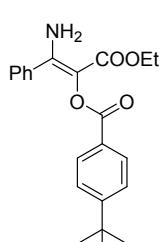
(*E*-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-methylbenzoate (1s):

white solid, m.p.: 108-109°C, 71 % yield. ¹H NMR (300 MHz, CDCl₃) δ 7.83-7.80 (m, 2H), 7.50-7.48 (m, 2H), 7.31-7.30 (m, 3H), 7.18-7.16 (m, 2H), 6.12 (br s, 1H), 4.21 (q, *J* = 7.1 Hz, 2H), 2.37 (s, 3H), 1.21 (t, *J* = 7.1 Hz, 3H), ¹³C NMR (75 MHz, CDCl₃) δ 166.2, 165.9, 152.6, 143.7, 135.0, 129.9, 129.6, 129.0, 128.4, 127.6, 127.0, 112.5, 60.0, 21.6, 14.3. HRMS (ESI) Calcd for C₁₉H₁₉NO₄Na⁺ [M+Na]⁺ 348.1206; Found: 348.1201.



(*E*-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-methoxylbenzoate

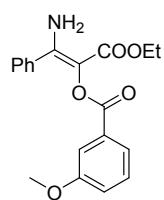
(1t): white solid, m.p.: 80-81°C, 73% yield. ¹H NMR (300 MHz, CDCl₃) δ 7.90-7.87 (m, 2H), 7.50-7.47 (m, 2H), 7.32-7.29 (m, 3H), 6.86-6.83 (m, 2H), 6.12 (br s, 2H), 4.21 (q, *J* = 7.1 Hz, 2H), 1.21 (t, *J* = 7.1 Hz, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 165.9, 165.8, 163.3, 152.6, 135.0, 131.9, 129.5, 128.3, 127.5, 121.9, 113.4, 112.4, 59.9, 55.3, 14.3. HRMS (ESI) Calcd. for C₁₉H₂₀NO₅⁺ [M+H]⁺ 342.1336; Found: 342.1326.



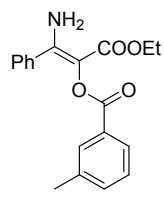
(*E*-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-(*tert*-butyl) benzoate

(1u): white solid, m.p.: 161-162°C, 71% yield. ¹H NMR (300 MHz, CDCl₃) δ 7.87-7.84 (m, 2H), 7.52-7.48 (m, 2H), 7.40-7.38 (m, 2H), 7.33-7.31 (m, 3H), 6.12 (br s, 2H), 4.21 (q, *J* = 7.1 Hz, 2H), 1.22 (t, *J* = 7.1 Hz, 3H). ¹³C NMR (75

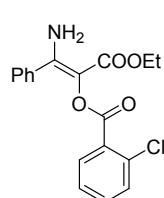
MHz, CDCl₃) δ 166.2, 165.9, 156.6, 152.6, 135.1, 129.7, 129.6, 128.4, 127.6, 126.9, 125.2, 112.5, 59.9, 35.0, 31.1, 14.4. HRMS (ESI) Calcd. for C₂₂H₂₆NO₄⁺ [M+H]⁺ 368.1856; Found: 368.1846.



(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 3-methoxyl benzoate (1v): white solid, m.p.: 77-78 °C, 66% yield. ¹H NMR (300 MHz, CDCl₃) δ 7.50-7.47 (m, 3H), 7.42-7.41 (m, 1H), 7.32-7.06 (m, 5H), 6.12 (br s, 2H), 4.21 (q, *J* = 7.1 Hz, 2H), 1.21 (t, *J* = 7.1 Hz, 3H), ¹³C NMR (75 MHz, CDCl₃) δ 166.0, 165.7, 159.3, 152.7, 134.9, 130.9, 129.6, 129.2, 128.4, 127.5, 122.2, 119.6, 114.1, 112.4, 59.9, 55.3, 14.3. HRMS (ESI) Calcd. for C₁₉H₂₀NO₅⁺ [M+H]⁺ 342.1336; Found: 342.1328.



(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 3-methyl benzoate (1w): white solid, m.p.: 94-95 °C, 68 % yield. ¹H NMR (300 MHz, CDCl₃) δ 7.73-7.70 (m, 2H), 7.51-7.48 (m, 2H), 7.33-7.23 (m, 5H), 6.12 (br s, 2H), 4.22 (q, *J* = 7.1 Hz, 2H), 1.22 (t, *J* = 7.1 Hz, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 166.4, 165.9, 152.7, 138.0, 135.1, 133.7, 130.4, 129.7, 129.6, 128.4, 128.1, 127.6, 127.0, 112.6, 60.0, 21.2, 14.3. HRMS (ESI) Calcd. for C₁₉H₂₀NO₄⁺ [M+H]⁺ 326.1387; Found: 326.1388.



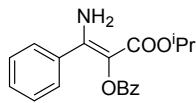
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 2-chlorobenzoate (1x): white solid, m.p.: 101-102 °C, 72 % yield. ¹H NMR (300 MHz, CDCl₃) δ 7.50-7.45 (m, 3H), 7.38-7.34 (m, 5H), 7.22-7.19 (m, 5H), 6.12 (br s, 1H), 4.25 (q, *J* = 7.1 Hz, 2H), 1.28 (t, *J* = 7.1 Hz, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 165.5, 165.2, 153.1, 134.8, 133.4, 132.3, 130.9, 130.6, 130.2, 129.7, 128.5, 127.5, 126.3, 112.3, 60.1, 14.3. HRMS (ESI) Calcd. for C₁₈H₁₇ClNO₄⁺ [M+H]⁺ 346.0846, Found: 346.0837 (Cl 34.9689); Calcd. for C₁₈H₁₇ClNO₄⁺ [M+H]⁺ 348.0817, Found: 348.0815 (Cl 36.9659); Calcd. for C₁₈H₁₆ClNNaO₄⁺ [M+Na]⁺ 368.0666, Found: 368.0662 (Cl 34.9689); Calcd. for C₁₈H₁₆ClNNaO₄⁺ [M+Na]⁺ 370.0636, Found: 370.0648 (Cl 36.9659).

(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 2-naphthoate (1y):
 white solid, m.p.: 105-106°C, 78 % yield. ^1H NMR (300 MHz, CDCl_3) δ 8.51 (s, 1H), 7.95-7.80 (m, 4H), 7.53-7.48 (m, 4H), 7.35-7.28 (m, 3H), 6.20 (br s, 1H), 4.24 (q, $J = 7.1$ Hz, 2H), 1.22 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (75 MHz, CDCl_3) δ 166.3, 165.8, 152.8, 135.5, 134.9, 132.3, 131.4, 129.6, 129.3, 128.4, 128.2, 127.9, 127.6, 127.5, 126.8, 126.5, 125.4, 112.5, 60.0, 14.3. HRMS (ESI) Calcd. for $\text{C}_{22}\text{H}_{20}\text{NO}_4^+$ $[\text{M}+\text{H}]^+$ 362.1387; Found: 362.1385.

(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl thiophene-2-carboxylate (1z): white solid, m.p.: 95-96°C, 72% yield. ^1H NMR (300 MHz, CDCl_3) δ 7.71-7.69 (m, 1H), 7.50-7.47 (m, 3H), 7.32-7.30 (m, 3H), 7.03-7.01 (m, 1H), 6.15 (br s, 2H), 4.20 (q, $J = 7.1$ Hz, 2H), 1.21 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (75 MHz, CDCl_3) δ 165.7, 161.5, 152.9, 134.7, 134.0, 132.7, 132.6, 129.6, 128.3, 127.6, 127.5, 112.2, 59.9, 14.3. HRMS (ESI) Calcd. for $\text{C}_{16}\text{H}_{16}\text{NO}_4\text{S}^+$ $[\text{M}+\text{H}]^+$ 318.0795; Found: 318.0794.

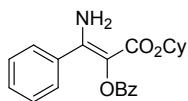
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl furan-2-carboxylate (1A): white solid, m.p.: 100-101°C, 74% yield. ^1H NMR (300 MHz, CDCl_3) δ 7.518-7.516 (m, 1H), 7.48-7.44 (m, 2H), 7.34-7.31 (m, 3H), 7.08-7.07 (m, 1H), 6.44-6.42 (m, 1H), 6.10 (br s, 1H), 4.20 (q, $J = 7.1$ Hz, 2H), 1.22 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (75 MHz, CDCl_3) δ 165.6, 158.0, 153.1, 146.6, 144.0, 134.7, 129.7, 128.4, 127.5, 118.6, 111.7, 60.0, 14.3. HRMS (ESI) Calcd. for $\text{C}_{16}\text{H}_{15}\text{NO}_5\text{Na}^+$ $[\text{M}+\text{Na}]^+$ 324.0842; Found: 324.0829.

(E)-1-amino-3-methoxy-3-oxo-1-phenylprop-1-en-2-yl benzoate (1B):
 white solid, m.p.: 120-121°C, 73% yield. ^1H NMR (300 MHz, CDCl_3) δ 7.93-7.91 (m, 2H), 7.52-7.48 (m, 3H), 7.40-7.31 (m, 5H), 6.10 (br s, 2H), 3.74 (s, 3H), the same as literature.^[1] HRMS (ESI) Calcd. for $\text{C}_{17}\text{H}_{15}\text{NO}_4\text{Na}^+$ $[\text{M}+\text{Na}]^+$ 320.0893; Found: 320.0900.



(E)-1-amino-3-isopropoxy-3-oxo-1-phenylprop-1-en-2-yl-benzoate (1C):

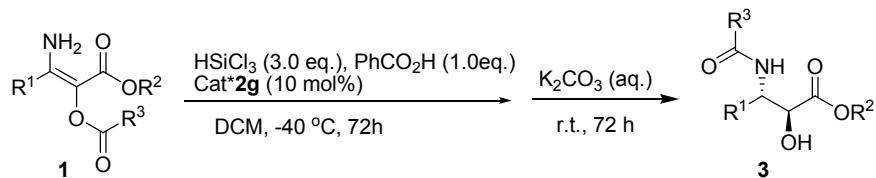
white solid, m.p.: 84-85 °C, 77% yield. ^1H NMR (300 MHz, CDCl_3) δ 7.93-7.90 (m, 2H), 7.51-7.48 (m, 3H), 7.37-7.31 (m, 5H), 6.10 (br s, 2H), 5.14-5.06 (m, 1H), 1.21 (d, $J = 6.2$ Hz, 6H). ^{13}C NMR (75 MHz, CDCl_3) δ 166.2, 165.4, 152.4, 135.0, 132.8, 129.8, 129.7, 129.6, 128.4, 128.2, 127.5, 112.8, 67.4, 21.9. HRMS (ESI) Calcd. for $\text{C}_{19}\text{H}_{19}\text{NO}_4\text{Na}^+ [\text{M}+\text{Na}]^+$ 348.1206; Found: 348.1197.



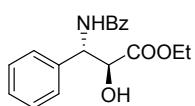
(E)-1-amino-3-(cyclohexyloxy)-3-oxo-1-phenylprop-1-en-2-yl benzoate (1D):

colorless oil, 74% yield. ^1H NMR (300 MHz, CDCl_3) δ 7.92 (d, $J = 8.5$ Hz, 2H), 7.54-7.49 (m, 3H), 7.40-7.31 (m, 5H), 6.09 (br s, 2H), 4.94-4.86 (m, 1H), 1.82-1.76 (m, 2H), 1.60-1.51 (m, 2H), 1.46-1.17 (m, 6H), ^{13}C NMR (75 MHz, CDCl_3) δ 166.3, 165.2, 152.3, 135.0, 132.9, 129.83, 129.76, 129.6, 128.4, 128.2, 127.6, 112.9, 71.9, 31.4, 25.3, 23.1. HRMS (ESI) Calcd. for $\text{C}_{22}\text{H}_{24}\text{NO}_4^+ [\text{M}+\text{H}]^+$ 366.1700; Found: 366.1692.

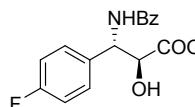
2.3 Asymmetric hydrosilylation of α -acyloxy β -enamino esters 1



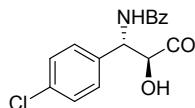
A solution of trichlorosilane (31 μL , 0.3 mmol, 3.0 equiv) in 120 μL of DCM was added to a stirred solution of the corresponding α -acyloxy β -enamino ester **1** (0.1 mmol) and the catalyst **2g** (0.01 mmol) in DCM (2.0 mL) at -40 °C. The mixture was stirred at the same temperature for 72 h. The reaction mixture was then treated with saturated aqueous solution of K_2CO_3 at room temperature for 72 h. And then the mixture was extracted with EtOAc. The combined extracts were washed with brine and dried over anhydrous Na_2SO_4 . The solvents were removed under vacuum. Purification of the reaction mixture by column chromatography (silica gel, hexanes/EtOAc = 1/1) afforded pure product. The *dr* and *ee* values were determined using established HPLC techniques with chiral stationary phases.



ethyl (2S, 3S)-3-benzamido-2-hydroxy-3-phenylpropanoate (3a): white solid, m.p.: 160-161°C, 97% yield, >99:1dr, 95% ee, HPLC conditions: Chiralpak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min., $t_{\text{major}} = 5.5$ min., $t_{\text{minor}} = 10.9$ min.). $[\alpha]_D^{20} = -38.5$ (c 1, CHCl₃) (lit.^[2] $[\alpha]_D^{25} = -40.3$ (c 1, CHCl₃). ¹H NMR (300 MHz, CDCl₃) δ 7.81 (d, $J = 6.9$ Hz, 2H), 7.53-7.28 (m, 8H), 7.21 (d, $J = 8.6$ Hz, 1H), 5.63 (dd, $J_1 = 8.6$ Hz, $J_2 = 3.5$ Hz), 4.68 (br s, 1H), 4.20-4.10 (m, 2H), 3.22 (br s, 1H), 1.24 (t, $J = 7.1$ Hz, 3H), the same as literature.^[2,3] HRMS (ESI) Calcd. for C₁₈H₁₉NO₄Na⁺ [M+Na]⁺ 336.1206; Found: 336.1209.

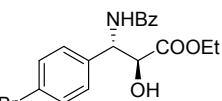


ethyl (2S, 3S)-3-benzamido-3-(4-fluorophenyl)-2-hydroxy propanoate (3b): white solid, m.p.: 152-153°C, 89% yield, >99:1dr, 87% ee, HPLC conditions: Chiralpak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min, $t_{\text{major}} = 4.7$ min., $t_{\text{minor}} = 9.4$ min.). $[\alpha]_D^{20} = -38.7$ (c 1, CHCl₃). ¹H NMR (300 MHz, CDCl₃) δ 7.85-7.80 (m, 2H), 7.37-7.30 (m, 5H), 7.14-7.08 (m, 3H), 5.60 (dd, $J_1 = 8.6$ Hz, $J_2 = 3.5$ Hz, 1H), 4.69-4.66 (m, 1H), 4.18 - 4.12 (m, 2H), 3.15 (d, $J = 6.3$ Hz, 1H), 1.25 (t, $J = 7.1$ Hz, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 171.7, 166.5, 165.5, 163.2, 136.5, 129.5, 129.4, 128.6, 128.4, 127.6, 115.8, 115.5, 72.8, 62.3, 55.5, 14.1. RMS (ESI) Calcd. for C₁₈H₁₈FNO₄Na⁺ [M+Na]⁺ 354.1112; Found: 354.1129.

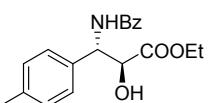


ethyl (2S, 3S)-3-benzamido-3-(4-chlorophenyl)-2-hydroxy propanoate (3c): white solid, m.p.: 163-164°C, 90 % yield, 99:1 dr, 92% ee, HPLC conditions: Chiralpak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min., t = 4.9 min., 5.5 min., 8.5 min., 14.1 min.). $[\alpha]_D^{20} = -35.8$ (c 1, CHCl₃). ¹H NMR (300 MHz, DMSO) δ 8.86 (d, $J = 9.0$ Hz, 1H), 7.83-7.80 (m, 2H), 7.53-7.43 (m, 5H), 7.38 (d, $J = 8.5$ Hz, 1H), 5.98 (s, 1H), 5.31 (t, $J = 8.6$ Hz, 1H), 4.40 (d, $J = 8.2$ Hz, 1H), 4.12-3.99 (m, 2H), 1.09 (t, $J = 7.1$ Hz, 3H). ¹³C NMR (75 MHz, DMSO) δ 172.1, 165.8, 138.8, 134.2, 132.0, 131.5, 130.2, 128.3, 127.9, 127.4, 72.8, 60.4, 54.9, 14.1. HRMS (ESI) Calcd. for C₁₈H₁₉ClNO₄⁺ [M+H]⁺ 348.1003, Found: 348.1001 (Cl 34.9689); Calcd. for C₁₈H₁₉ClNO₄⁺ [M+H]⁺ 350.0973, Found: 350.0975 (Cl

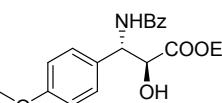
36.9659); Calcd. for $C_{18}H_{18}ClNNaO_4^+$ [M+Na]⁺ 370.0822, Found: 370.0811 (Cl 34.9689); Calcd. for $C_{18}H_{18}ClNNaO_4^+$ [M+Na]⁺ 372.00793, Found: 372.0789 (Cl 36.9659).



ethyl (2S,3S)-3-benzamido-3-(4-bromophenyl)-2-hydroxy propanoate (3d): white solid, m.p.: 160-161°C, 99% yield, >99:1 dr, 98% ee, HPLC conditions: Chiralpak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min, t = 5.9 min., 17.3 min.). $[\alpha]_D^{20} = -41.6$ (c 1, CHCl₃). ¹H NMR (300 MHz, CDCl₃) δ 7.81-7.78 (m, 2H), 7.54-7.41 (m, 5H), 7.27-7.19 (m, 3H), 5.57 (dd, $J_1 = 8.5$ Hz, $J_2 = 3.6$ Hz, 1H), 4.67-4.64 (m, 1H), 4.21-4.11 (m, 2H), 3.25 (d, $J = 5.3$ Hz, 1H), 1.25 (t, $J = 7.2$ Hz, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 171.5, 166.6, 135.8, 133.8, 131.8, 131.6, 129.4, 128.6, 127.0, 122.4, 62.4, 54.9, 14.1. HRMS (ESI) Calcd. for $C_{18}H_{19}BrNO_4^+$ [M+H]⁺ 392.0497, Found: 392.0484 (Br 78.9183); Calcd. for $C_{18}H_{19}BrNO_4^+$ [M+H]⁺ 394.0477, Found: 394.0470 (Br 80.9163); Calcd. for $C_{18}H_{18}BrNNaO_4^+$ [M+Na]⁺ 414.0317, Found: 414.0320 (Br 78.9183); Calcd. for $C_{18}H_{18}BrNNaO_4^+$ [M+Na]⁺ 416.0296, Found: 416.0286 (Br 80.9163).

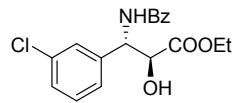


ethyl (2S,3S)-3-benzamido-2-hydroxy-3-(p-tolyl)propanoate (3e): white solid, m.p.: 132-133°C, 96% yield, >99:1 dr, 97% ee, HPLC conditions: Chiralpak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min, t = 5.9 min., 11.6 min.). $[\alpha]_D^{20} = -32.8$ (c 1, CHCl₃). ¹H NMR (300 MHz, CDCl₃) δ 7.82-7.79 (m, 2H), 7.45-7.40 (m, 3H), 7.26-7.24 (m, 2H), 7.13-7.10 (m, 3H), 5.59 (dd, $J_1 = 8.6$ Hz, $J_2 = 3.5$ Hz, 1H), 4.68 (br s, 1H), 4.63 - 4.12 (m, 2H), 3.13 (br s, 1H), 2.31 (s, 1H), 1.29-1.23 (m, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 171.8, 166.6, 138.0, 134.1, 133.5, 131.6, 129.2, 128.5, 127.5, 127.0, 72.9, 62.1, 55.2, 21.1, 14.1. HRMS (ESI) Calcd. for $C_{19}H_{21}NO_4Na^+$ [M+Na]⁺ 350.1363; Found: 350.1363.

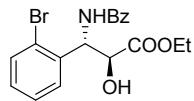


ethyl (2S,3S)-3-benzamido-2-hydroxy-3-(4-methoxyphenyl)propanoate (3f): white solid, m.p.: 143-144°C, 99% yield, >99:1 dr, 94% ee, HPLC conditions: Chiralpak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min., t = 6.6 min., 11.9 min.). $[\alpha]_D^{20} = -37.1$ (c 1, CHCl₃). ¹H NMR (300 MHz, CHCl₃) δ 7.80-7.78 (m, 2H), 7.51 -7.37 (m, 3H), 7.29 (d, $J = 8.7$ Hz, 2H), 7.22 (d, $J = 8.5$ Hz, 1H), 5.57 (dd, $J_1 = 8.5$, $J_2 =$

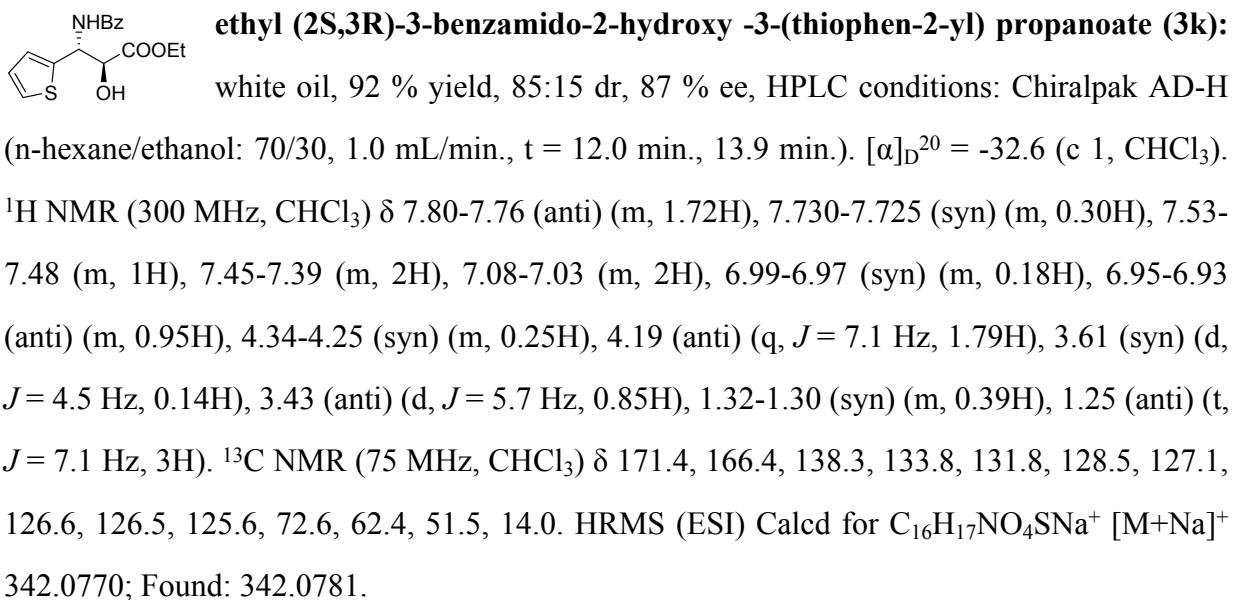
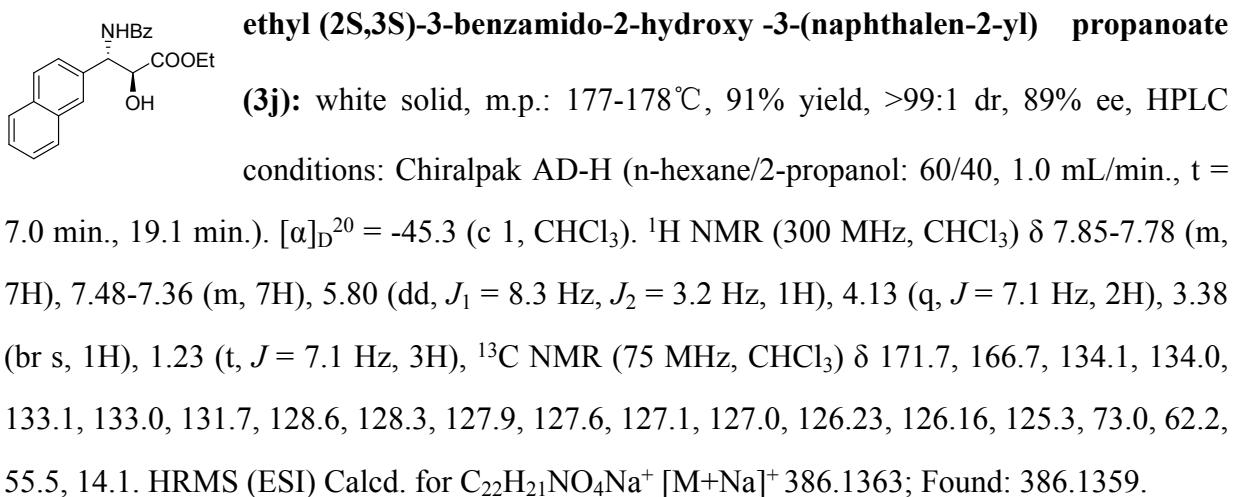
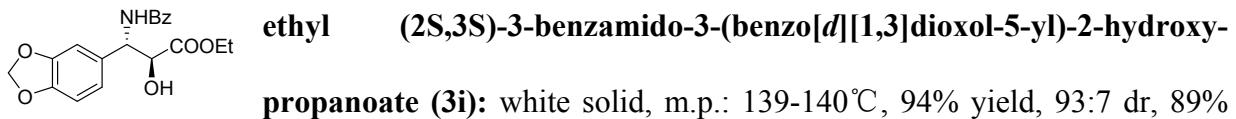
3.5 Hz, 1H), 4.64 (dd, $J_1 = 5.7$, $J_2 = 3.6$ Hz, 2H), 3.75 (s, 3H), 3.37 (d, $J = 6.1$ Hz, 1H), 1.23 (t, $J = 7.1$ Hz, 3H), ^{13}C NMR (75 MHz, CHCl_3) δ 171.8, 166.5, 159.3, 134.0, 131.6, 128.8, 128.7, 128.4, 127.0, 113.8, 72.9, 62.0, 55.1, 54.8, 14.0. HRMS (ESI) Calcd. for $\text{C}_{19}\text{H}_{21}\text{NO}_5\text{Na}^+$ $[\text{M}+\text{Na}]^+$ 366.1312; Found: 366.1319.

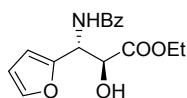


ethyl (2S,3S)-3-benzamido-3-(3-chlorophenyl)-2-hydroxy propanoate (3g): white solid, m.p.: 150-151°C, 98% yield, >99:1 dr, 94% ee, HPLC condition: Chiralpak AD-H (n-hexane/ethanol: 70/30, 1.0 mL/min, t = 10.5 min., 13.8 min.). $[\alpha]_D^{20} = -42.1$ (c 1, CHCl_3). ^1H NMR (300 MHz, CDCl_3) δ 7.80 (d, $J = 2.8$ Hz, 2H), 7.53-7.42 (m, 4H), 7.38-7.24 (m, 4H), 5.58 (dd, $J_1 = 8.1$ Hz, $J_2 = 3.2$ Hz, 1H), 4.64 (d, $J = 2.8$ Hz, 1H), 4.19 - 4.13 (m, 2H), 3.44 (br s, 1H), 1.25 (t, $J = 7.0$ Hz, 3H). ^{13}C NMR (75 MHz, CDCl_3) δ 171.4, 166.6, 138.7, 134.3, 133.7, 131.8, 129.7, 128.6, 128.4, 127.9, 127.1, 125.9, 72.6, 62.4, 55.0, 14.0. HRMS (ESI) Calcd. for $\text{C}_{18}\text{H}_{19}\text{ClNO}_4^+$ $[\text{M}+\text{H}]^+$ 348.1003, Found: 348.1000 (Cl 34.9689); Calcd. for $\text{C}_{18}\text{H}_{19}\text{ClNO}_4^+$ $[\text{M}+\text{H}]^+$ 350.0973, Found: 350.0973 (Cl 36.9659); Calcd. for $\text{C}_{18}\text{H}_{18}\text{ClNNaO}_4^+$ $[\text{M}+\text{Na}]^+$ 370.0822, Found: 370.0814 (Cl 34.9689); Calcd. for $\text{C}_{18}\text{H}_{18}\text{ClNNaO}_4^+$ $[\text{M}+\text{Na}]^+$ 372.00793, Found: 372.0789 (Cl 36.9659).

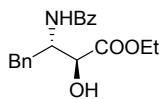


ethyl (2S,3S)-3-benzamido-3-(2-bromophenyl)-2-hydroxy propanoate (3h): white solid, m.p.: 118-119°C, 91 % yield, >99:1 dr, 91% ee, HPLC conditions: Chiralpak AD-H (n-hexane/ethanol: 70/30, 1.0 mL/min, t = 11.3 min., 18.3 min.). $[\alpha]_D^{20} = -35.4$ (c 1, CHCl_3). ^1H NMR (300 MHz, CDCl_3) δ 7.83-7.80 (m, 2H), 7.52-7.40 (m, 5H), 7.32-7.29 (m, 1H), 7.24-7.15 (m, 2H), 5.57 (dd, $J_1 = 8.6$ Hz, $J_2 = 3.6$ Hz, 1H), 4.65 (br s, 1H), 4.18 (q, $J = 7.1$ Hz, 2H), 3.23 (br s, 1H), 1.28 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (75 MHz, CDCl_3) δ 171.4, 166.6, 139.0, 133.8, 131.9, 131.4, 130.9, 130.0, 128.6, 127.1, 126.3, 122.5, 72.6, 62.5, 54.9, 29.7, 14.1. HRMS (ESI) Calcd. for $\text{C}_{18}\text{H}_{19}\text{BrNO}_4^+$ $[\text{M}+\text{H}]^+$ 392.0497, Found: 392.0483 (Br 78.9183); Calcd. for $\text{C}_{18}\text{H}_{19}\text{BrNO}_4^+$ $[\text{M}+\text{H}]^+$ 394.0477, Found: 394.0452 (Br 80.9163); Calcd. for $\text{C}_{18}\text{H}_{18}\text{BrNNaO}_4^+$ $[\text{M}+\text{Na}]^+$ 414.0317, Found: 414.0317 (Br 78.9183); Calcd. for $\text{C}_{18}\text{H}_{18}\text{BrNNaO}_4^+$ $[\text{M}+\text{Na}]^+$ 416.0296, Found: 416.0287 (Br 80.9163).

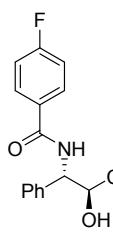




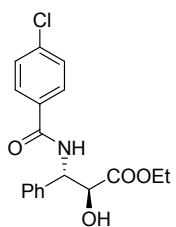
ethyl (2S,3R)-3-benzamido-3-(furan-2-yl)-2-hydroxypropanoate (3l): white oil, 92% yield, >99:1 dr, 82% ee, HPLC condition: Chiralpak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min., t = 5.0 min., 6.3 min.). $[\alpha]_D^{20} = -36.1$ (c 1, CHCl₃). ¹H NMR (300 MHz, CHCl₃) δ 7.45 (s, 1H), 7.41-7.27 (m, 5H), 7.11 (d, J = 3.5 Hz, 1H), 6.48 (dd, J₁ = 3.3 Hz, J₂ = 1.7 Hz, 1H), 5.57 (dd, J₁ = 8.9 Hz, J₂ = 3.5 Hz, 1H), 4.65 (d, J = 3.4 Hz, 1H), 4.19-4.06 (m, 2H), 3.26 (s, 1H), 1.23 (t, J = 7.1 Hz, 3H). ¹³C NMR (75 MHz, CHCl₃) δ 171.6, 157.5, 147.5, 144.1, 136.3, 128.4, 128.3, 127.6, 114.7, 112.1, 72.8, 62.2, 54.6, 14.0. HRMS (ESI) Calcd. for C₁₆H₁₇NO₅Na⁺ [M+Na]⁺ 326.0999; Found: 326.1007.



ethyl (2S,3S)-3-benzamido-2-hydroxy-4-phenylbutanoate (3m): white solid, m.p.: 107-108°C, 87:13 dr, 96% yield, 66:34 dr, 80% ee, HPLC conditions: Chiralpak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min., t = 5.5 min., 7.2 min.). $[\alpha]_D^{20} = -10.8$ (c 1, CHCl₃). ¹H NMR (300 MHz, CHCl₃) δ 7.68 (d, J = 7.2 Hz, 2H), 7.46-7.42 (m, 1H), 7.36-7.20 (m, 7H), 6.78 (d, J = 8.8 Hz, 1H), 4.87-4.79 (m, 1H), 4.39 (anti) (d, J = 3.2 Hz, 0.13H), 4.21 (syn) (d, J = 1.7 Hz, 0.85H), 4.16-4.08 (m, 2H), 3.11-2.91 (m, 2H), 1.18 (t, J = 7.0 Hz, 3H). ¹³C NMR (75 MHz, CHCl₃) δ 173.6, 167.2, 137.3, 134.2, 131.4, 129.3, 128.5, 128.4, 126.9, 126.6, 70.2, 62.1, 53.3, 37.7, 13.9. HRMS (ESI) Calcd. for C₁₉H₂₁NO₄Na⁺ [M+Na]⁺ 350.1363; Found: 350.1352.

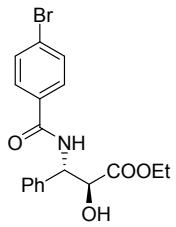


ethyl (2S,3S)-3-(4-fluorobenzamido)-2-hydroxy-3-phenylpropanoate (3n): white solid, m.p.: 130-131°C, 91% yield, >99:1 dr, 91% ee, HPLC conditions: Chiralpak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min., t = 5.6 min., 10.6 min.). $[\alpha]_D^{20} = -33.8$ (c 1, CHCl₃). ¹H NMR (300 MHz, CDCl₃) δ 7.84-7.79 (m, 2H), 7.37-7.28 (m, 5H), 7.19 (d, J = 8.5 Hz, 1H), 7.12-7.05 (m, 2H), 5.60 (dd, J₁ = 8.6 Hz, J₂ = 3.5 Hz, 1H), 4.66 (dd, J₁ = 5.7 Hz, J₂ = 3.5 Hz, 1H), 4.17-4.09 (m, 2H), 3.27 (d, J = 6.1 Hz, 1H), 1.24 (t, J = 7.1 Hz, 3H). ¹³C NMR (75 MHz, CHCl₃) δ 171.7, 165.6, 164.8 (d, ¹J = 250.5 Hz), 136.4, 130.17 (d, ⁴J = 3.1 Hz), 129.4 (d, ³J = 8.9 Hz), 128.5, 128.3, 127.6, 115.6 (d, ²J = 21.8 Hz). HRMS (ESI) Calcd. for C₁₈H₁₉FNO₄⁺ [M+H]⁺ 332.1293; Found: 332.1304.



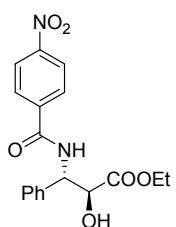
ethyl (2S,3S)-3-(4-chlorobenzamido)-2-hydroxy-3-phenylpropanoate (3o):

white solid, m.p.: 139-140°C, 88% yield, >99:1 dr, 93% ee, HPLC condition: Chiraldak AD-H (n-hexane/ethanol: 70/30, 1.0 mL/min., t = 15.1 min., 18.4 min.). $[\alpha]_D^{20} = -43.0$ (c 1, CHCl₃). ¹H NMR (300 MHz, CDCl₃) δ 7.74 (d, J = 8.5 Hz, 2H), 7.40-7.29 (m, 7H), 7.19 (d, J = 8.5 Hz, 1H), 5.60 (dd, J₁ = 8.5 Hz, J₂ = 3.5 Hz, 1H), 4.66 (br s, 1H), 4.19-4.09 (m, 2H), 3.24 (br s, 1H), 1.24 (t, J = 7.1 Hz, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 171.6, 165.6, 137.9, 136.4, 132.4, 128.8, 128.5, 128.4, 127.6, 72.8, 62.2, 55.5, 14.0. HRMS (ESI) Calcd. for C₁₈H₁₉ClNO₄⁺ [M+H]⁺ 348.1003, Found: 348.0989 (Cl 34.9689); Calcd. for C₁₈H₁₉ClNO₄⁺ [M+H]⁺ 350.0973, Found: 350.0966 (Cl 36.9659); Calcd. for C₁₈H₁₈ClNNaO₄⁺ [M+Na]⁺ 370.0822, Found: 370.0811 (Cl 34.9689); Calcd. for C₁₈H₁₈ClNNaO₄⁺ [M+Na]⁺ 372.0793, Found: 372.0789 (Cl 36.9659).



ethyl (2S,3S)-3-(4-bromobenzamido)-2-hydroxy-3-phenylpropanoate (3p):

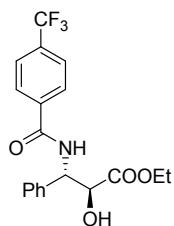
white solid, m.p.: 157-158°C, 94% yield, 99:1 dr, 90% ee, HPLC condition: Chiraldak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min., t = 7.1 min., 21.5 min.). $[\alpha]_D^{20} = -51.5$ (c 1, CHCl₃). ¹H NMR (300 MHz, CDCl₃) δ 7.67 (d, J = 8.4 Hz, 2H), 7.57-7.54 (m, 2H), 7.34-7.29 (m, 5H), 7.19 (d, J = 8.5 Hz, 1H), 5.59 (dd, J₁ = 8.6 Hz, J₂ = 3.5 Hz, 1H), 4.67 (br s, 1H), 4.20-4.11 (m, 2H), 3.21 (br s, 1H), 1.24 (t, J = 4.0 Hz, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 171.6, 165.7, 136.3, 132.9, 131.8, 128.7, 128.5, 128.4, 127.6, 126.4, 72.7, 62.2, 55.5, 14.0. HRMS (ESI) Calcd. for C₁₈H₁₈BrNNaO₄⁺ [M+Na]⁺ 414.0317, Found: 414.0315 (Br 78.9183); Calcd. for C₁₈H₁₈BrNNaO₄⁺ [M+Na]⁺ 416.0296, Found: 414.0298 (Br 80.9163).



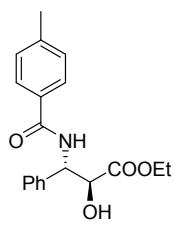
ethyl (2S,3S)-2-hydroxy-3-(4-nitrobenzamido)-3-phenylpropanoate (3q):

white solid, m.p.: 42-43°C, 95% yield, >99:1 dr, 91% ee, HPLC conditions: Chiraldak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min, t = 6.8 min., 10.3 min.). $[\alpha]_D^{20} = -34.1$ (c 1, CHCl₃). ¹H NMR (300 MHz, CHCl₃) δ 8.19 (d, J = 8.7 Hz, 2H), 7.94-7.91 (m, 2H), 7.53 (d, J = 8.5 Hz, 1H), 7.36-7.27 (m, 5H), 5.59 (dd, J₁ = 8.5

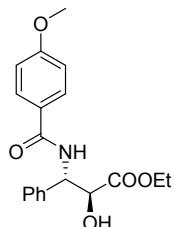
Hz, J_2 = 3.5 Hz, 1H), 4.67-4.64 (m, 1H), 4.15-4.08 (m, 2H), 3.51 (d, J = 5.9 Hz, 1H), 1.21 (t, J = 7.2 Hz, 3H), ^{13}C NMR (75 MHz, CHCl_3) δ 171.4, 164.7, 149.4, 139.5, 136.0, 128.5, 128.4, 128.3, 127.5, 123.6, 72.6, 62.2, 55.7, 13.9. HRMS (ESI) Calcd. for $\text{C}_{18}\text{H}_{18}\text{N}_2\text{O}_6\text{Na}^+$ [M+Na]⁺ 381.1057; Found: 381.1055.



ethyl (2S,3S)-2-hydroxy-3-(4-(trifluoromethyl)phenyl)benzamido propanoate (3r): white oil, 99% yield, >99:1 dr, 87% ee, HPLC conditions: Chiraldak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min, t = 6.9 min., 14.3 min.). $[\alpha]_D^{20} = -41.6$ (c 1, CHCl_3). ^1H NMR (300 MHz, CDCl_3) δ 7.90 (d, J = 8.1 Hz, 2H), 7.66 (d, J = 8.2 Hz, 2H), 7.38-7.29 (m, 6H), 5.61 (dd, J_1 = 8.6 Hz, J_2 = 3.6 Hz, 1H), 4.67 (dd, J_1 = 6.2 Hz, J_2 = 3.6 Hz, 1H), 4.21-4.06 (m, 2H), 3.34 (d, J = 6.3 Hz, 1H), 1.24 (t, J = 7.1 Hz, 3H). ^{13}C NMR (75 MHz, CHCl_3) δ 171.5, 165.4, 137.3, 136.2, 133.3 (d, 1J = 32.7 Hz), 128.5, 128.4, 127.6, 125.5 (q, 2J = 11.1 Hz), 121.7, 72.7, 62.3, 55.6, 14.0. HRMS (ESI) Calcd. for $\text{C}_{19}\text{H}_{18}\text{F}_3\text{O}_4\text{Na}^+$ [M+Na]⁺ 404.1080; Found: 404.1089.

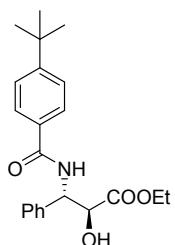


ethyl (2S,3S)-2-hydroxy-3-(4-methylbenzamido)-3-phenylpropanoate (3s): white solid, m.p.: 154-155°C, 95 % yield, >99:1 dr, 94 % ee, HPLC conditions: Chiraldak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min, t = 6.0, 19.5). $[\alpha]_D^{20} = -44.9$ (c 1, CHCl_3). ^1H NMR (300 MHz, CDCl_3) δ 7.70 (d, J = 8.1 Hz, 2H), 7.37-7.27 (m, 6H), 7.21 (d, J = 8.0 Hz, 2H), 5.61 (dd, J_1 = 8.6 Hz, J_2 = 3.5 Hz, 1H), 4.66 (d, J = 2.9 Hz, 1H), 4.14-4.08 (m, 2H), 3.42 (br s, 1H), 2.38 (s, 1H), 1.22 (t, J = 7.1 Hz, 3H), ^{13}C NMR (75 MHz, CDCl_3) δ 171.7, 166.6, 142.1, 136.7, 131.2, 129.1, 128.4, 128.1, 127.6, 127.0, 72.9, 62.1, 55.4, 21.4, 14.0. HRMS (ESI) Calcd. for $\text{C}_{18}\text{H}_{21}\text{NO}_4\text{Na}^+$ [M+Na]⁺ 350.1363; Found: 350.1365.



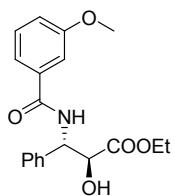
ethyl (2S,3S)-2-hydroxy-3-(4-methoxybenzamido)-3-phenylpropanoate (3t): white solid, m.p.: 152-153°C, 86% yield, >99:1 dr, 94% ee, HPLC conditions: Chiraldak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min., t = 6.9 min., 25.5 min.). $[\alpha]_D^{20} = -41.8$ (c 1, CHCl_3). ^1H NMR (300 MHz, CHCl_3) δ 7.80-7.70 (m,

2H), 7.37-7.29 (m, 5H), 7.12 (d, J = 8.9 Hz, 1H), 5.63-5.59 (m, 1H), 4.67 (d, J = 2.8 Hz, 1H), 4.20-4.09 (m, 2H), 3.84 (s, 3H), 3.19 (br s, 1H), 1.27-1.24 (m, 3H). ^{13}C NMR (75 MHz, CHCl_3) δ 171.8, 166.1, 162.3, 136.7, 128.9, 128.5, 128.2, 127.6, 126.3, 113.7, 72.9, 62.2, 55.4, 14.0. HRMS (ESI) Calcd. for $\text{C}_{19}\text{H}_{21}\text{NO}_5\text{Na}^+$ [M+Na]⁺ 366.1312; Found: 366.1309.



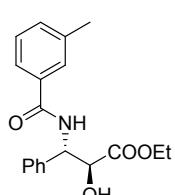
ethyl (2S,3S)-3-(4-(*tert*-butyl) benzamido)-2-hydroxy-3-phenyl propanoate (3u):

(3u): white solid, m.p.: 136-137°C, 86% yield, 97:3 dr, 92% ee, HPLC condition: Chiralpak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min., t = 7.8 min., 17.8 min.). $[\alpha]_D^{20} = -45.6$ (c 1, CHCl_3). ^1H NMR (300 MHz, CDCl_3) δ 7.79 (d, J = 8.3 Hz, 2H), 7.50-7.47 (m, 2H), 7.41-7.34 (m, 5H), 7.24 (d, J = 8.6 Hz, 1H), 5.66 (dd, J_1 = 8.6 Hz, J_2 = 3.5 Hz, 1H), 4.71 (d, J = 3.4 Hz, 1H), 4.24-4.13 (m, 2H), 3.25 (br s, 1H), 1.36 (s, 9H), 1.28 (t, J = 7.1 Hz, 3H), ^{13}C NMR (75 MHz, CDCl_3) δ 171.8, 166.5, 155.2, 136.7, 131.2, 128.5, 128.3, 127.6, 126.9, 125.5, 72.9, 62.2, 55.4, 34.9, 31.1, 14.1. HRMS (ESI) Calcd. for $\text{C}_{22}\text{H}_{27}\text{NO}_4\text{Na}^+$ [M+Na]⁺ 392.1832; Found: 392.1820.



ethyl (2S,3S)-2-hydroxy-3-(3-methoxybenzamido)-3-phenylpropanoate (3v):

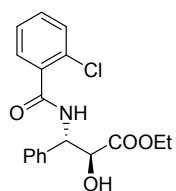
white solid, m.p.: 124-125°C, 99% yield, >99:1 dr, 99% ee, HPLC condition: Chiralpak AD-H (n-hexane/ethanol: 70/30, 1.0 mL/min., t = 9.7 min., 14.8 min.). $[\alpha]_D^{20} = -31.9$ (c 1, CHCl_3). ^1H NMR (300 MHz, CDCl_3) δ 7.38-7.29 (m, 8H), 7.20 (d, J = 8.4 Hz, 1H), 7.06-7.02 (m, 1H), 5.61 (dd, J_1 = 8.6 Hz, J_2 = 3.5 Hz, 1H), 4.68 (br s, 1H), 4.19-4.11 (m, 2H), 3.83 (s, 3H), 3.19 (br s, 1H), 1.24 (t, J = 7.1 Hz, 3H). ^{13}C NMR (75 MHz, CDCl_3) δ 171.7, 166.5, 159.8, 136.5, 135.6, 129.5, 128.5, 128.3, 127.6, 118.8, 117.8, 112.6, 72.8, 62.2, 55.5, 55.4, 14.0. HRMS (ESI) Calcd. for $\text{C}_{19}\text{H}_{21}\text{NO}_5\text{Na}^+$ [M+Na]⁺ 366.1312; Found: 366.1314.



ethyl (2S,3S)-2-hydroxy-3-(3-methylbenzamido)-3-phenylpropanoate (3w):

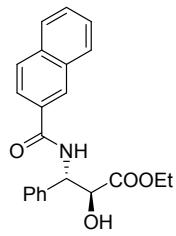
white solid, m.p.: 144-145°C, 93% yield, >99:1 dr, 93% ee, HPLC conditions: Chiralpak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min., t = 6.2 min., 15.1 min.). $[\alpha]_D^{20} = -57.8$ (c 1, CHCl_3). ^1H NMR (300 MHz, CDCl_3) δ 7.62-7.59 (m, 2H), 7.35-7.30 (m, 7H), 7.14 (d, J = 8.3 Hz, 1H), 5.62 (dd, J_1 = 8.7 Hz, J_2 = 3.3 Hz, 1H), 4.70-

4.67 (m, 1H), 4.20-4.11 (m, 2H), 3.11 (d, J = 6.4 Hz, 1H), 2.40 (s, 3H), 1.25 (t, J = 7.0 Hz, 3H). ^{13}C NMR (75 MHz, CDCl_3) δ 171.8, 166.8, 138.5, 136.6, 134.1, 132.4, 128.51, 128.46, 128.3, 127.7, 127.6, 124.1, 72.9, 62.2, 55.4, 21.3, 14.1. HRMS (ESI) Calcd for $\text{C}_{19}\text{H}_{21}\text{NO}_4\text{Na}^+$ $[\text{M}+\text{Na}]^+$ 350.1363; Found: 350.1362.



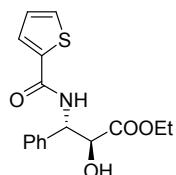
ethyl (2S,3S)-3-(2-chlorobenzamido)-2-hydroxy-3-phenylpropanoate (3x):

white solid, m.p.: 112-113 °C, 90% yield, >99:1 dr, 91% ee, HPLC condition: Chiralpak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min, t = 5.9 min., 7.6 min.). $[\alpha]_D^{20} = -21.8$ (c 1, CHCl_3). ^1H NMR (300 MHz, CDCl_3) δ 7.68-7.65 (m, 1H), 7.51-7.28 (m, 9H), 5.64 (dd, J_1 = 8.6 Hz, J_2 = 3.4 Hz, 1H), 4.68 (br s, 1H), 4.20-4.09 (m, 2H), 3.14 (d, J = 5.8 Hz, 1H), 1.26 (t, J = 7.1 Hz, 3H), ^{13}C NMR (75 MHz, CDCl_3) δ 171.5, 165.5, 136.1, 134.4, 131.4, 130.7, 130.3, 130.2, 128.4, 128.3, 127.7, 127.0, 72.8, 62.1, 55.7, 14.0. HRMS (ESI) Calcd. for $\text{C}_{18}\text{H}_{18}\text{ClNNaO}_4^+$ $[\text{M}+\text{Na}]^+$ 370.0822, Found: 370.0808 (Cl 34.9689); Calcd. for $\text{C}_{18}\text{H}_{18}\text{ClNNaO}_4^+$ $[\text{M}+\text{Na}]^+$ 372.0793, Found: 372.0784 (Cl 36.9659).



ethyl (2S,3S)-3-(2-naphthamido)-2-hydroxy-3-phenylpropanoate (3y):

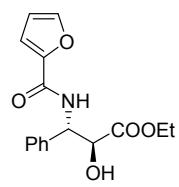
white solid, m.p.: 169-170 °C, 81% yield, >99:1 dr, 98% ee, HPLC condition: Chiralpak AD-H (n-hexane/ethanol: 70/30, 1.0 mL/min, t = 25.5 min., 30.3 min.). $[\alpha]_D^{20} = -121.9$ (c 1, CHCl_3). ^1H NMR (300 MHz, CHCl_3) δ 8.33 (1 H, s), 7.93-7.85 (m, 4H), 7.59-7.51 (m, 2H), 7.40-7.31 (m, 6H), 5.69 (dd, J_1 = 8.5 Hz, J_2 = 3.3 Hz, 1H), 4.74 (d, J = 3.3 Hz, 1 H), 4.17-4.12 (m, 2H), 3.34 (s, 1H), 1.25 (t, J = 7.0 Hz, 5H). 7.80-7.70 (m, 2H), 7.37-7.29 (m, 5H), 7.12 (d, J = 8.9 Hz, 1H), 5.63-5.59 (m, 1H), 4.67 (d, J = 2.8 Hz, 1H), 4.20-4.09 (m, 2H), 3.84 (s, 3H), 3.19 (br s, 1H), 1.27-1.24 (m, 3H). ^{13}C NMR (75 MHz, CHCl_3) δ 171.8, 166.7, 136.6, 134.8, 132.5, 131.2, 128.9, 128.5, 128.4, 128.3, 127.7, 127.64, 127.58, 126.72, 123.6, 72.9, 62.2, 55.6, 14.0. HRMS (ESI) Calcd. for $\text{C}_{22}\text{H}_{21}\text{NO}_4\text{Na}^+$ $[\text{M}+\text{Na}]^+$ 386.1363; Found: 386.1361.



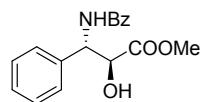
ethyl (2S,3S)-2-hydroxy-3-phenyl-3-(thiophene-2-carboxamido)

propanoate (3z): white solid, m.p.: 162-163 °C, 98% yield, >99:1 dr, 89% ee,

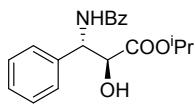
HPLC condition: Chiralpak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min., t = 5.0 min., 8.3 min.). $[\alpha]_D^{20} = -27.2$ (c 1, CHCl₃). ¹H NMR (300 MHz, CDCl₃) δ 7.57-7.56 (m, 1H), 7.48-7.47 (m, 1H), 7.37-7.28 (m, 5H), 7.09-7.05 (m, 2H), 5.58 (dd, $J_1 = 8.6$ Hz, $J_2 = 3.6$ Hz, 1H), 4.62 (d, $J = 3.5$ Hz, 1H), 4.20-4.10 (m, 2H), 3.25 (br s, 1H), 1.23 (t, $J = 7.1$ Hz, 3H), ¹³C NMR (75 MHz, CDCl₃) δ 171.7, 161.1, 138.5, 136.4, 130.3, 128.5, 128.4, 128.3, 127.6, 72.8, 62.2, 55.4, 14.0. HRMS (ESI) Calcd. for C₁₆H₁₇NO₄SNa⁺ [M+Na]⁺ 342.0770; Found: 342.0760.



ethyl (2S,3S)-3-phenyl-3-(furan-2-carboxamido)-2-hydroxy propanoate (3A): white solid, m.p.: 136-137°C, 91% yield, >99:1 dr, 99% ee, HPLC condition: Chiralpak AD-H (n-hexane/ethanol: 70/30, 1.0 mL/min., t = 8.2 min., 10.0 min.). $[\alpha]_D^{20} = -81.8$ (c 1, CHCl₃). ¹H NMR (300 MHz, CDCl₃) δ 7.46-7.45 (m, 1H), 7.38-7.27 (m, 6H), 7.11 (dd, $J_1 = 3.5$ Hz, $J_2 = 0.7$ Hz, 1H), 6.48 (dd, $J_1 = 3.5$ Hz, $J_2 = 1.8$ Hz, 1H), 5.58 (dd, $J_1 = 8.9$ Hz, $J_2 = 3.6$ Hz, 1H), 4.65 (dd, $J_1 = 6.3$ Hz, $J_2 = 3.6$ Hz, 1H), 4.19-4.10 (m, 2H), 3.18 (d, $J = 6.4$ Hz, 1H), 1.24 (t, $J = 7.2$ Hz, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 171.6, 157.4, 147.6, 144.1, 136.3, 128.5, 128.3, 127.6, 114.7, 112.2, 72.8, 62.2, 54.6, 14.0. HRMS (ESI) Calcd. for C₁₈H₁₆NO₅Na⁺ [M+Na]⁺ 326.1023; Found: 326.1018.

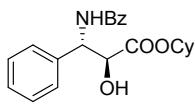


methyl (2S,3S)-3-benzamido-2-hydroxy-3-phenylpropanoate (3B): white solid, white solid, m.p.: 158-159°C (lit.^[4] m.p.: 158-159°C; lit.^[5] m.p.: 158-159°C), 80% yield, >99:1dr, 97% ee, HPLC condition: Chiralpak AD-H (n-hexane/ethanol: 70/30, 1.0 mL/min., t = 8.0 min., 11.0 min.). $[\alpha]_D^{20} = -23.1$ (c 1, CHCl₃) (lit.^[6] $[\alpha]_D^{20} = -23$ (c 1, CHCl₃); (lit.^[7] $[\alpha]_D^{20} = -28.8$ (c 1, CHCl₃); (lit.^[4] $[\alpha]_D^{20} = -23.7$ (c 1.1, CHCl₃); (lit.^[5] $[\alpha]_D^{20} = +8.7$ (c 1.03, MeOH). ¹H NMR (300 MHz, CDCl₃) δ 7.83-7.79 (m, 2H), 7.43-7.34 (m, 3H), 7.33-7.30 (m, 5H), 7.23 (d, $J = 8.4$ Hz, 1H), 5.62 (dd, $J_1 = 8.6$ Hz, $J_2 = 8.6$ Hz, 1H), 4.70 (br s, 1H), 3.71 (s, 3H), 3.24 (d, $J = 4.3$ Hz, 3H), the same as literature.^[4-8] HRMS (ESI) Calcd. for C₁₇H₁₇NO₄Na⁺ [M+Na]⁺ 322.1050; Found: 322.1041.



isopropyl (2S, 3S)-3-benzamido-2-hydroxy-3-phenylpropanoate (3C):

white solid, m.p.: 108-109°C, 98 % yield, >99:1 dr, 97 % ee, HPLC condition: Chiralpak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min., t = 5.0 min., 10.8 min.). $[\alpha]_D^{20} = -34.4$ (c 1, CHCl₃). ¹H NMR (300 MHz, CDCl₃) δ 7.80-7.79 (m, 2H), 7.52-7.39 (m, 5H), 7.34-7.27 (m, 3H), 7.23 (d, J = 3.8 Hz, 1H), 5.61 (dd, J₁ = 8.7 Hz, J₂ = 3.6 Hz, 1H), 4.99-4.91 (m, 1H), 4.65-4.63 (m, 1H), 3.28 (d, J = 5.5 Hz, 1H), 1.23 (d, J = 6.3 Hz, 3H), 1.16 (d, J = 6.3 Hz, 3H). ¹³C NMR (75 MHz, CDCl₃) δ 171.2, 166.5, 136.6, 134.1, 131.6, 128.5, 128.4, 128.2, 127.8, 127.0, 72.8, 70.4, 55.3, 21.7, 21.6. HRMS (ESI) Calcd. for C₁₉H₂₁NO₄Na⁺ [M+Na]⁺ 350.1363; Found: 350.1377.



cyclohexyl (2S,3S)-3-benzamido-2-hydroxy-3-phenyl propanoate (3D):

white solid, m.p.: 142-143°C, 99% yield, >99:1 dr, 99.7% ee, HPLC condition: Chiralpak AD-H (n-hexane/2-propanol: 60/40, 1.0 mL/min., t = 4.6 min., 8.5 min.). $[\alpha]_D^{20} = -30.4$ (c 1, CHCl₃). ¹H NMR (300 MHz, CDCl₃) δ 7.82-7.80 (m, 2H), 7.43-7.38 (m, 5H), 7.31-7.29 (m, 3H), 7.20 (d, J = 8.4 Hz, 1H), 5.62 (dd, J₁ = 8.7 Hz, J₂ = 3.5 Hz, 1H), 4.75-4.66 (m, 2H), 3.19 (br s, 1H), 1.83-1.71 (m, 4H), 1.43-1.26 (m, 6H), ¹³C NMR (75 MHz, CDCl₃) δ 171.2, 166.5, 136.6, 134.1, 131.6, 128.5, 128.4, 128.3, 127.8, 127.1, 75.4, 72.9, 55.3, 31.5, 31.4, 25.1, 23.71, 23.67. HRMS (ESI) Calcd for C₂₂H₂₅NO₄Na⁺ [M+Na]⁺ 390.1676; Found: 390.1665.

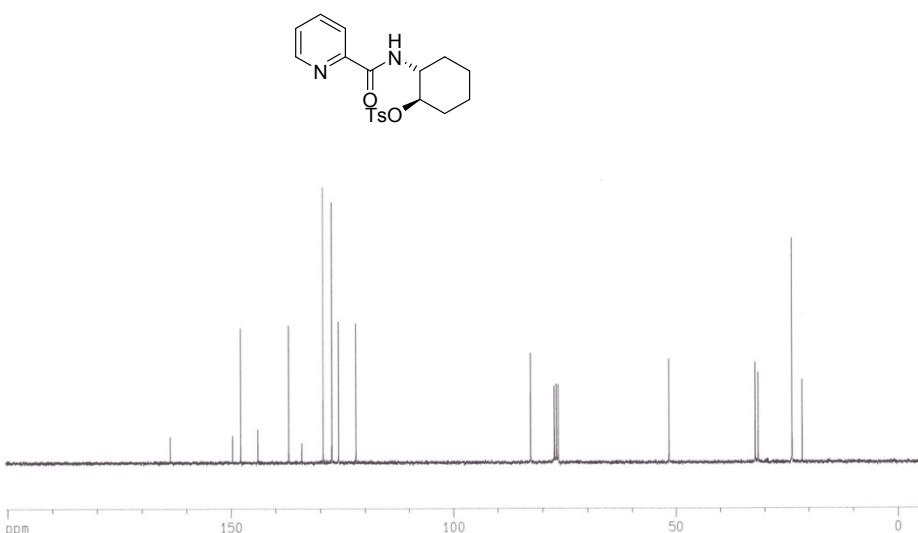
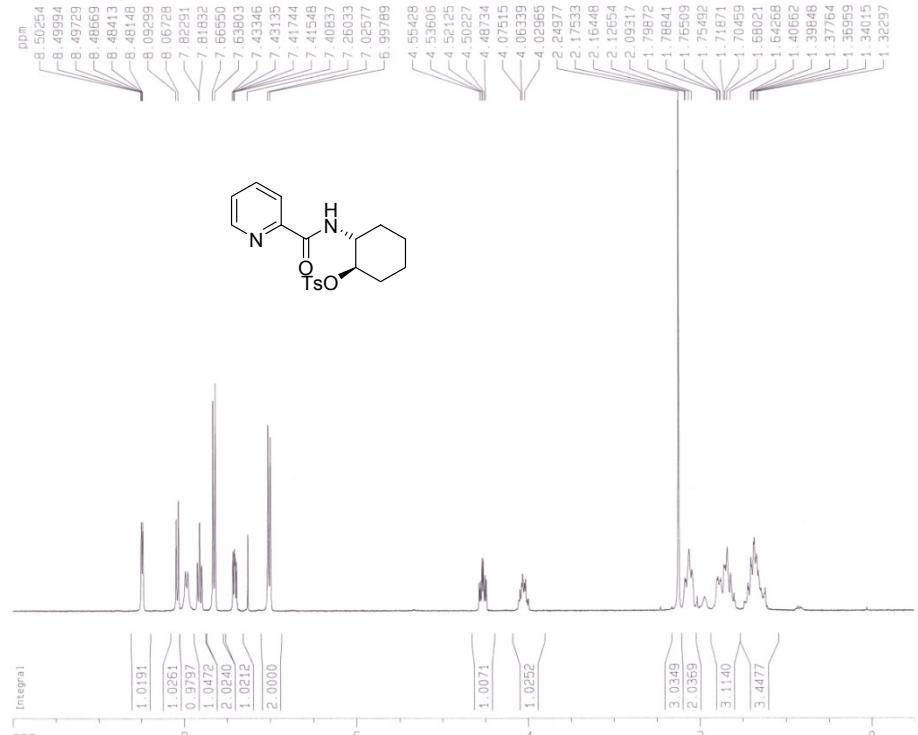
References:

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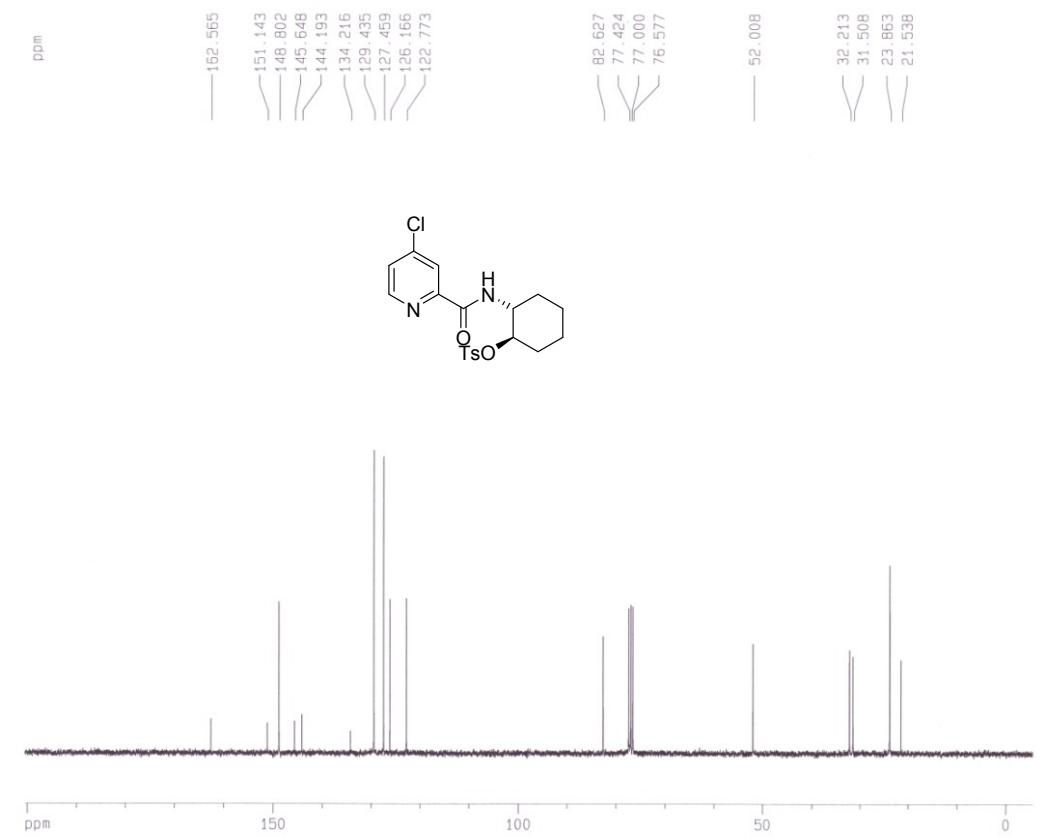
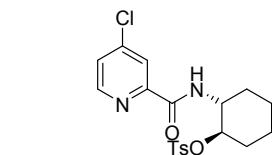
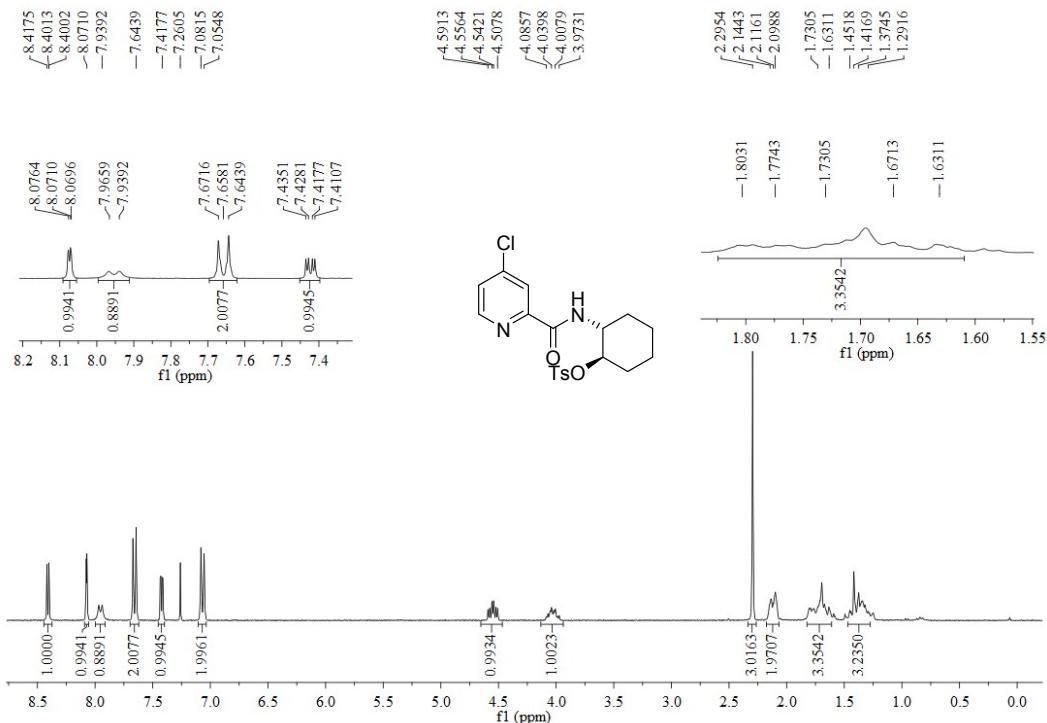
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3. ^1H NMR and ^{13}C NMR spectra

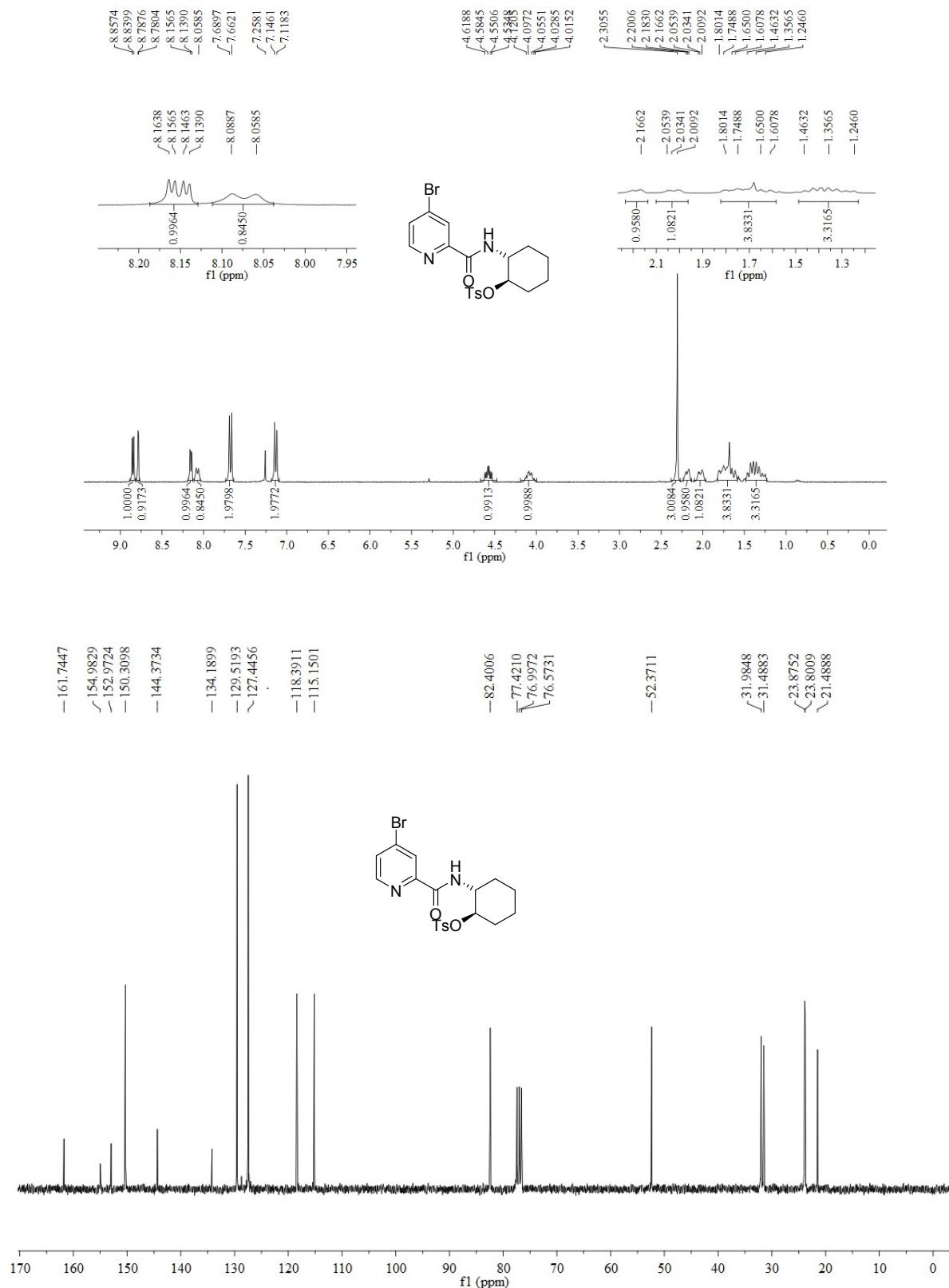
(1*R*, 2*R*)-2-(picolinamido)cyclohexyl 4-methylbenzenesulfonate (**2a**)



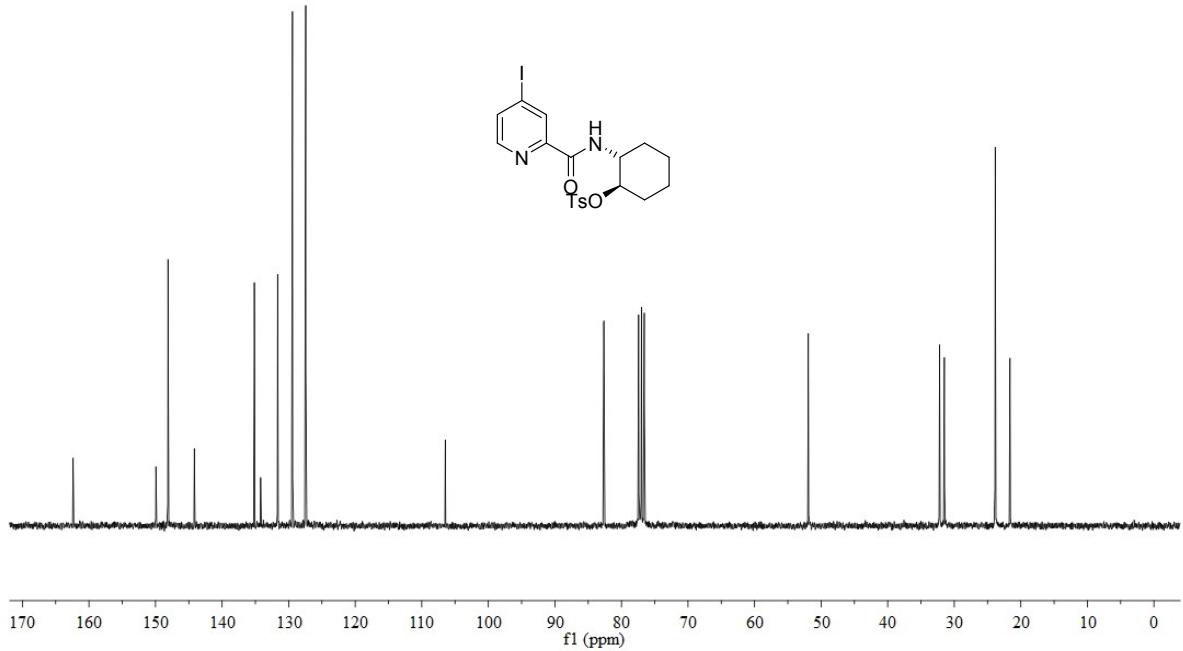
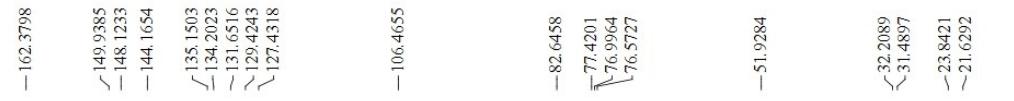
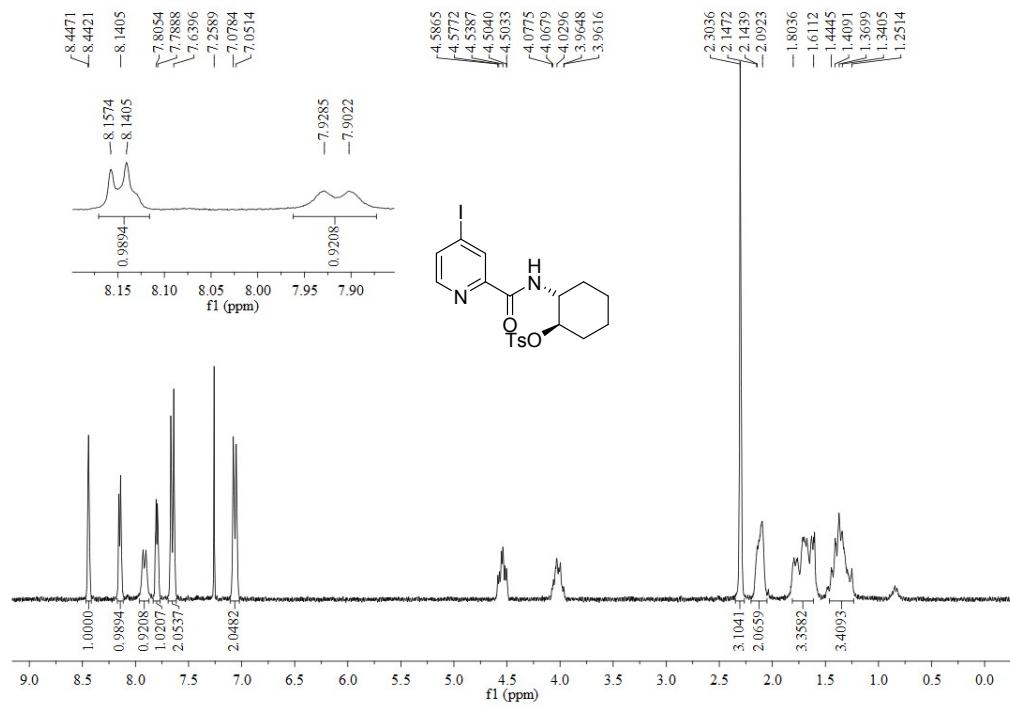
(1*R*, 2*R*)-2-(4-chloropicolinamido)cyclohexyl 4-methylbenzenesulfonate (2b)



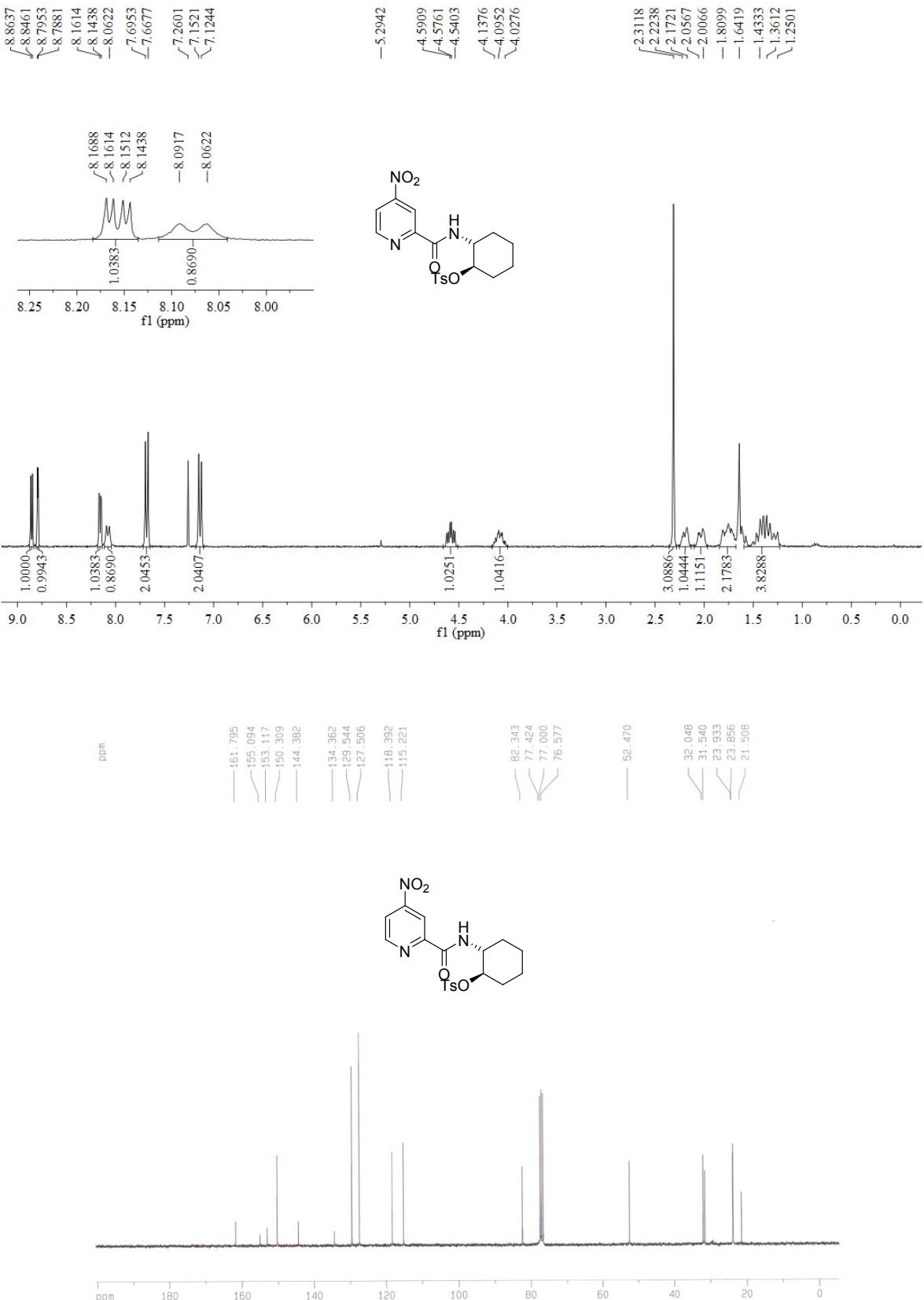
(1*R*, 2*R*)-2-(4-bromopicolinamido)cyclohexyl 4-methylbenzenesulfonate (2c)



(1*R*, 2*R*)-2-(4-iodopicolinamido)cyclohexyl 4-methylbenzenesulfonate (2d)



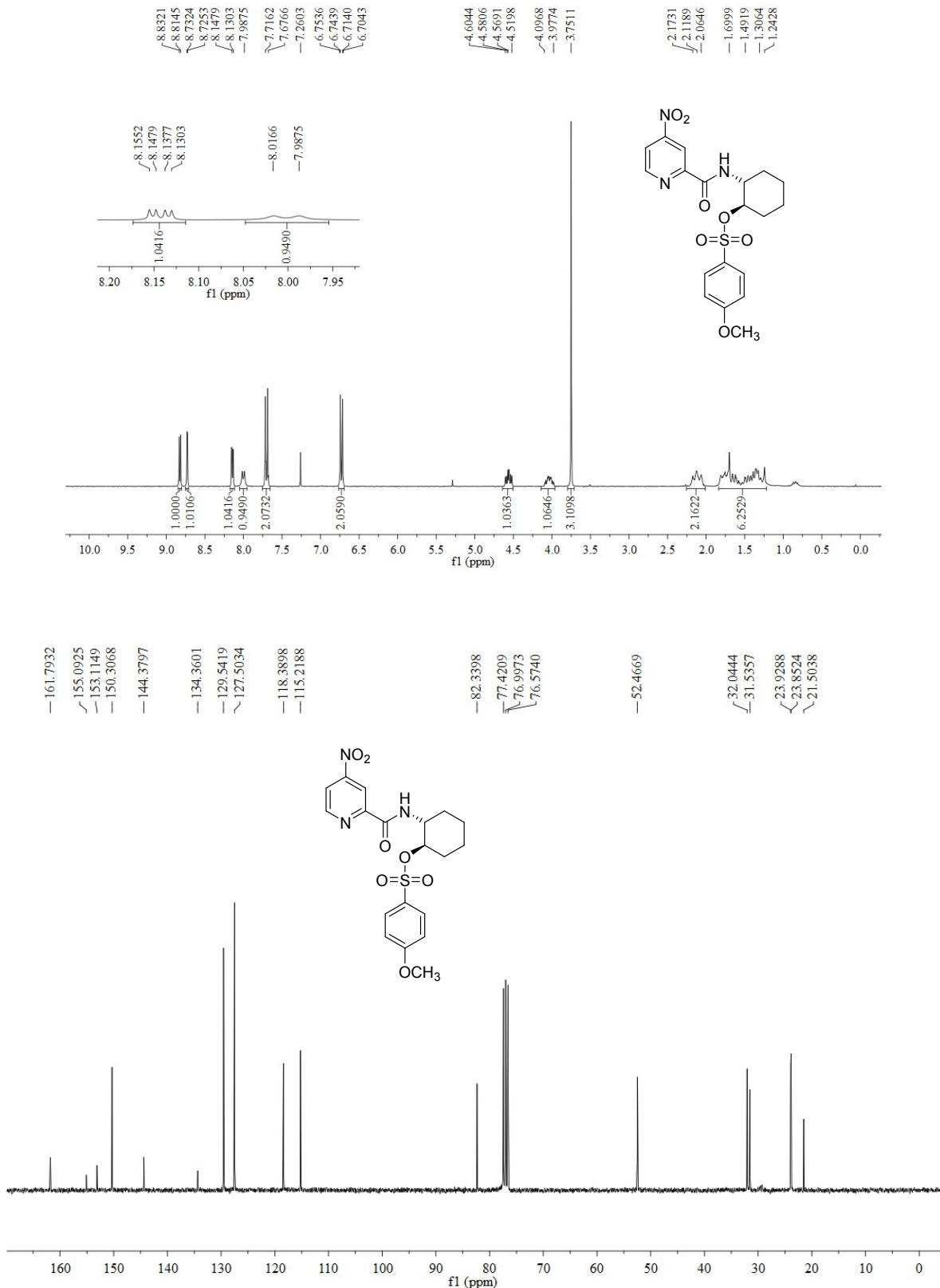
(1*R*, 2*R*)-2-(4-nitropicolinamido)cyclohexyl 4-methylbenzenesulfonate (2e)



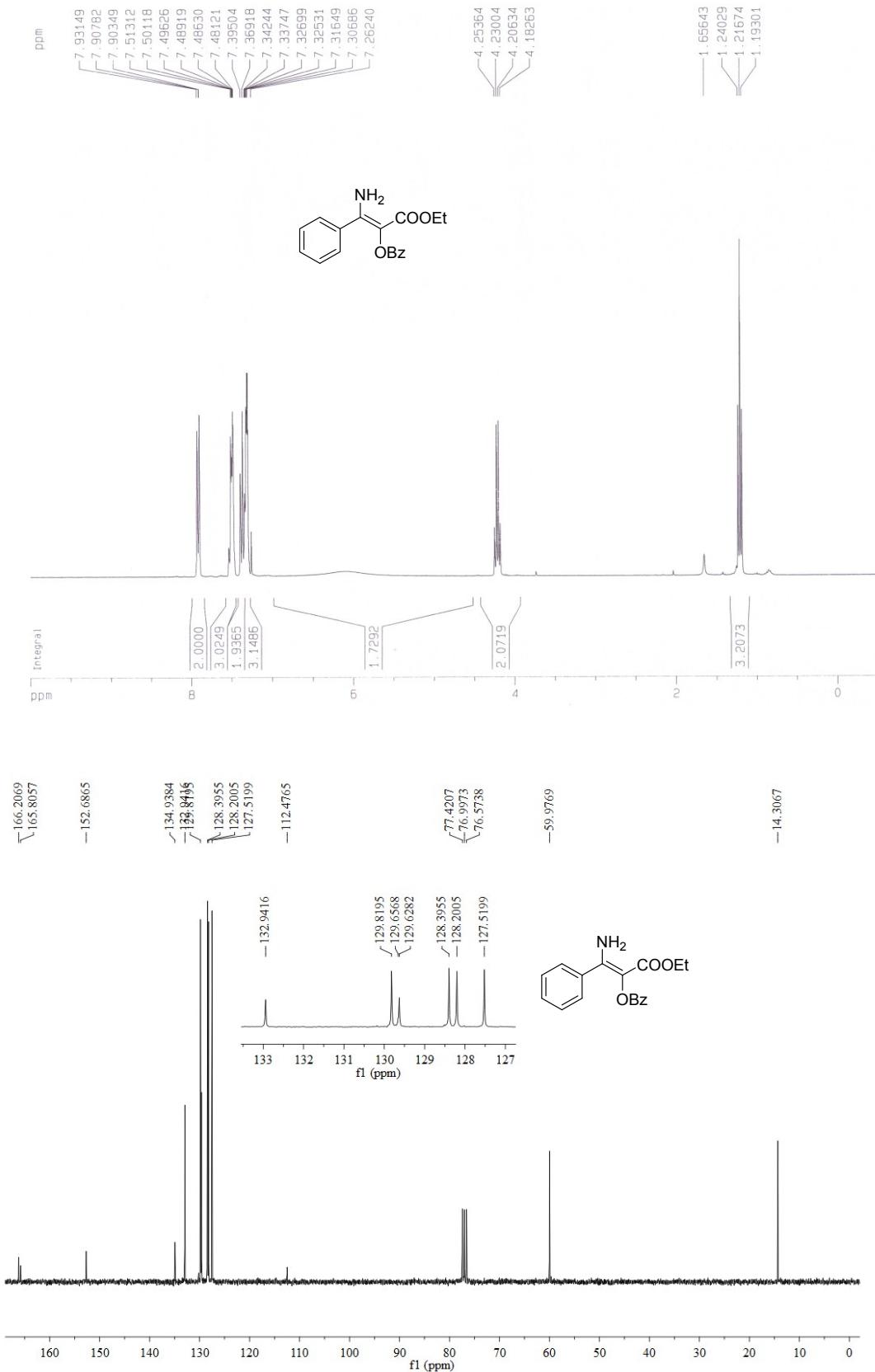
(1*R*, 2*R*)-2-(5-methoxypicolinamido)cyclohexyl 4-methylbenzenesulfonate (2f)



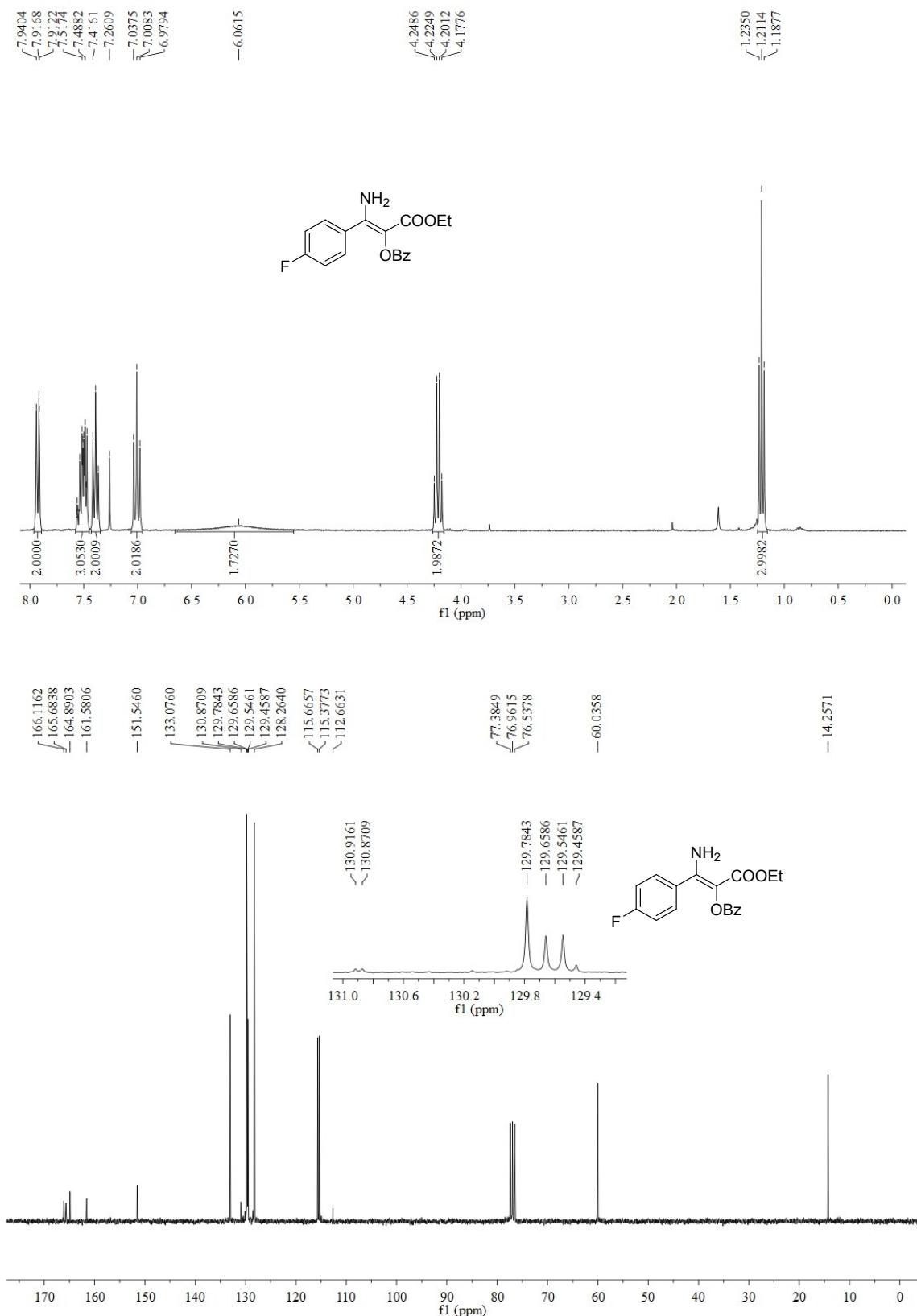
(1*R*, 2*R*)-2-(4-nitropicolinamido)cyclohexyl 4-methoxylbenzenesulfonate (2g)



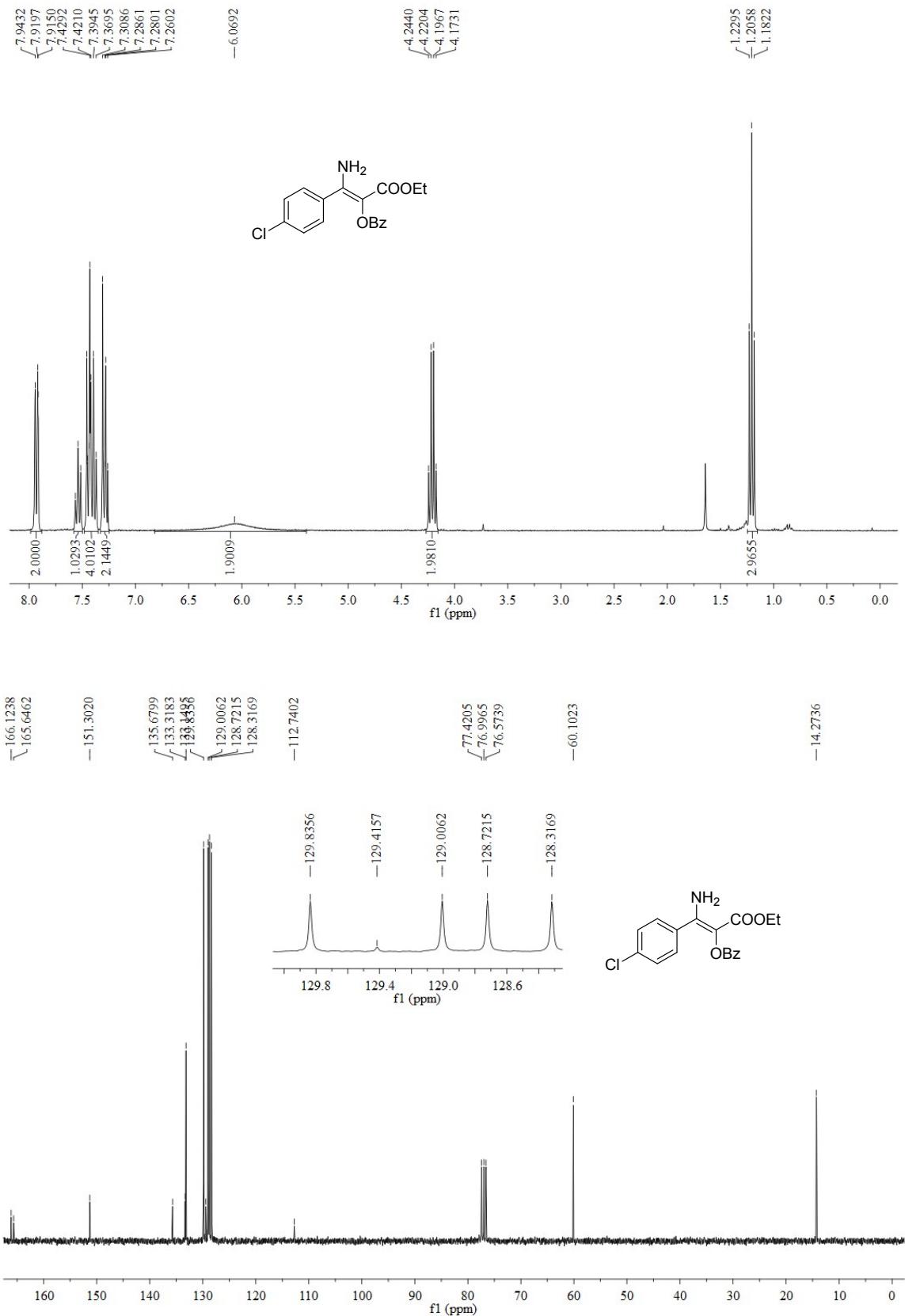
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl benzoate (1a)



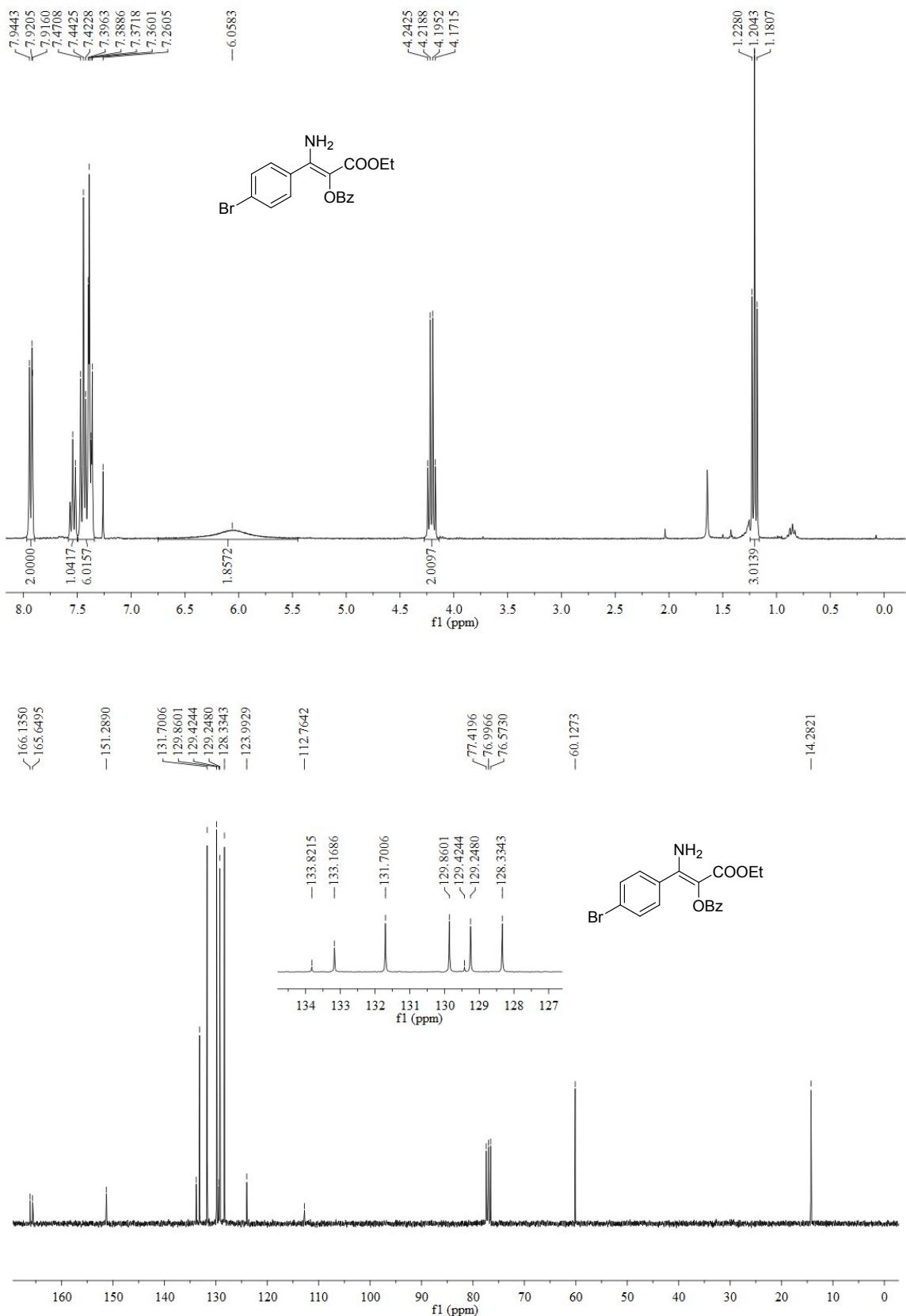
(E)-1-amino-3-ethoxy-1-(4-fluorophenyl)-3-oxoprop-1-en-2-yl benzoate (1b)



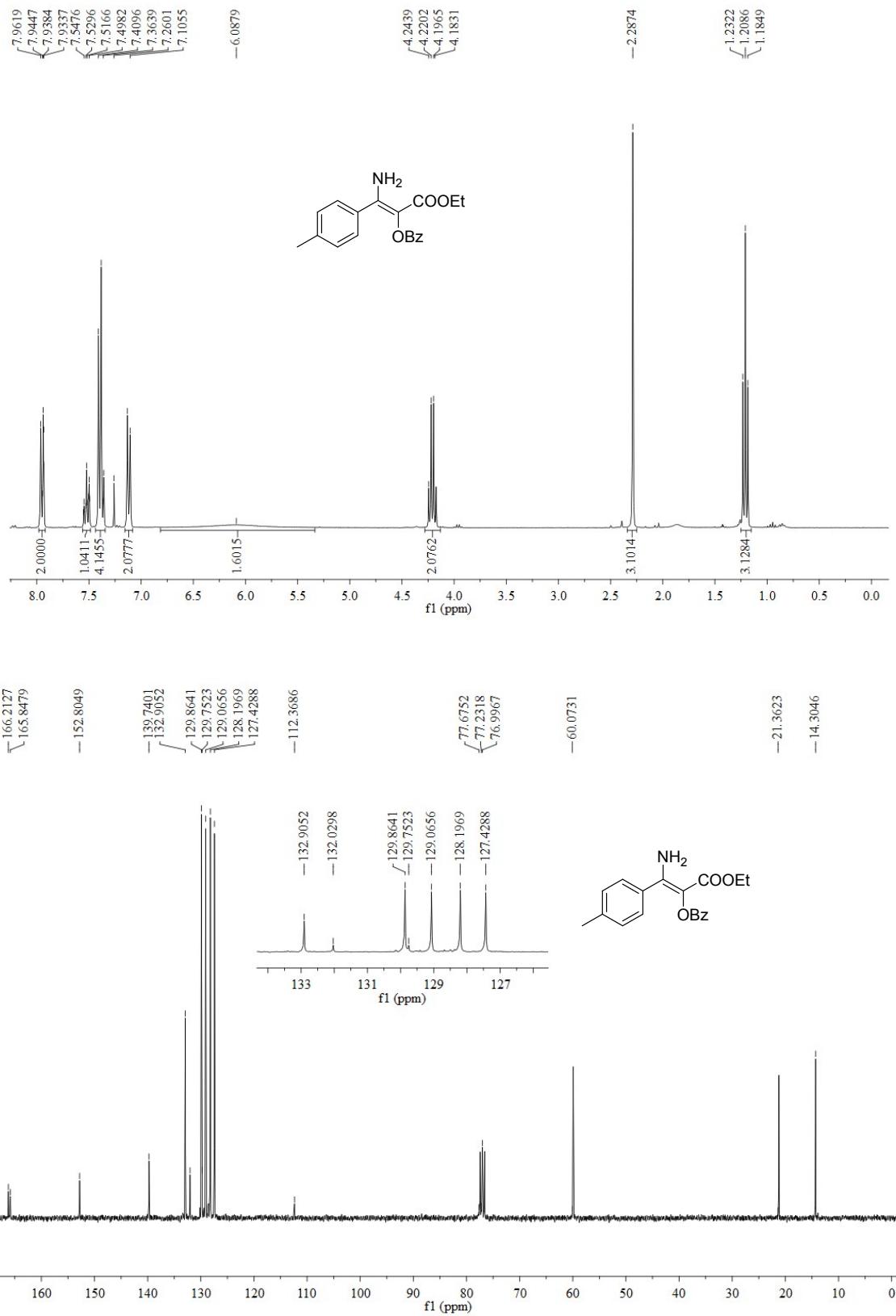
(E)-1-amino -1-(4-chlorophenyl)-3-ethoxy-3-oxoprop-1-en-2-yl benzoate (1c)



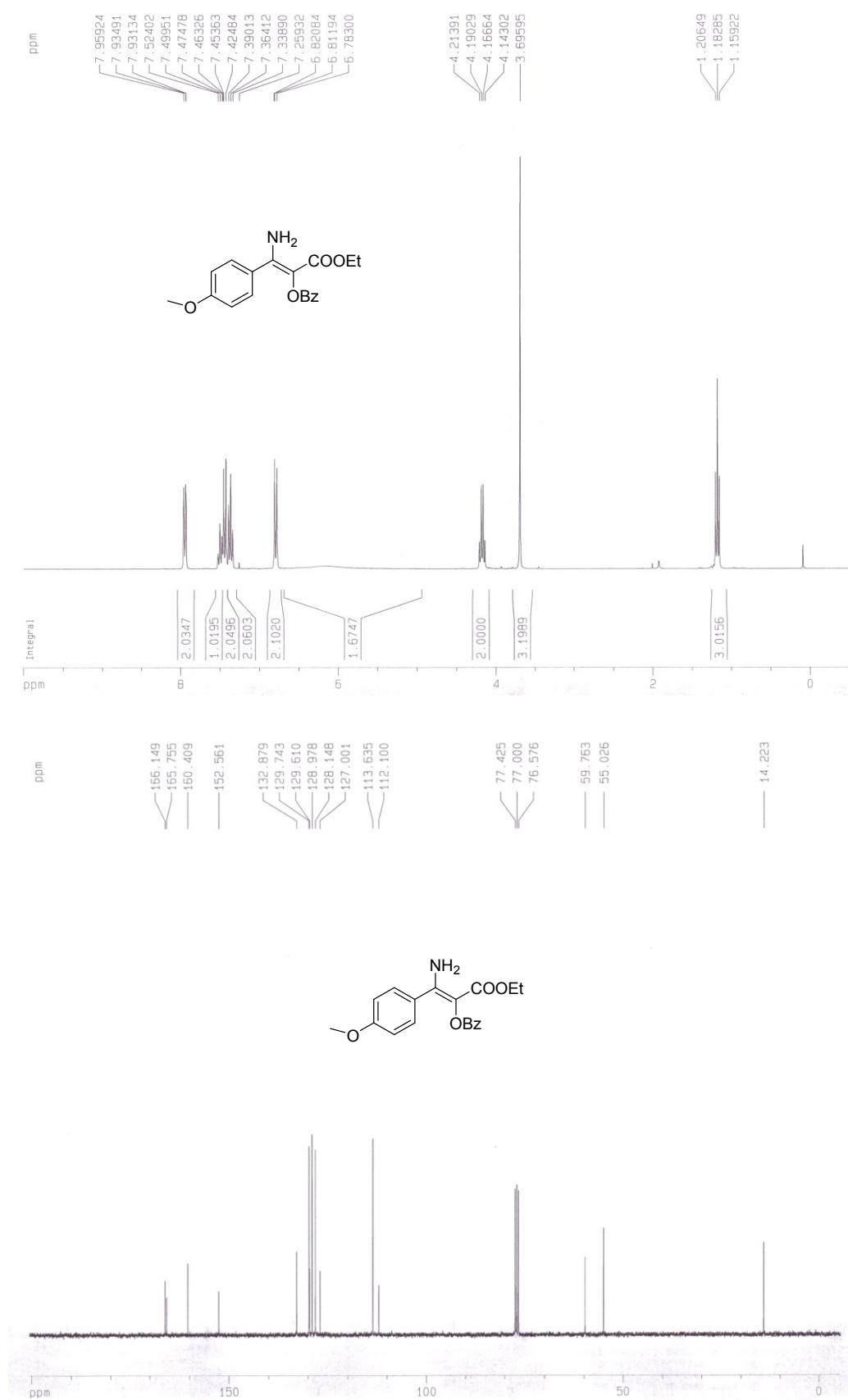
(E)-1-amino-1-(4-bromophenyl)-3-ethoxy 3-oxoprop-1-en-2-yl benzoate (1d)



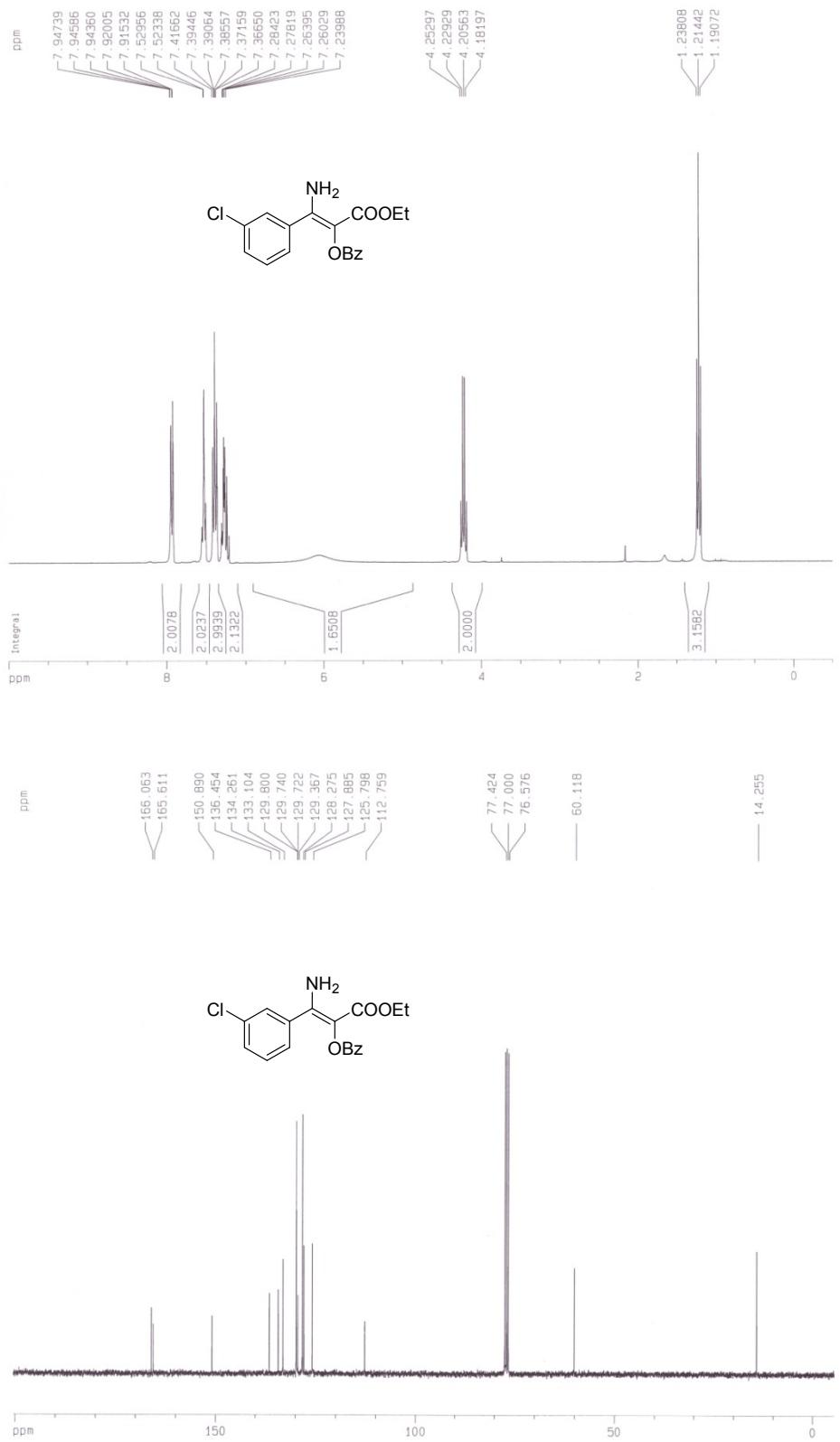
(E)-1-amino-3-ethoxy-3-oxo-1-(*p*-tolyl)prop-1-en-2-yl benzoate (1e)



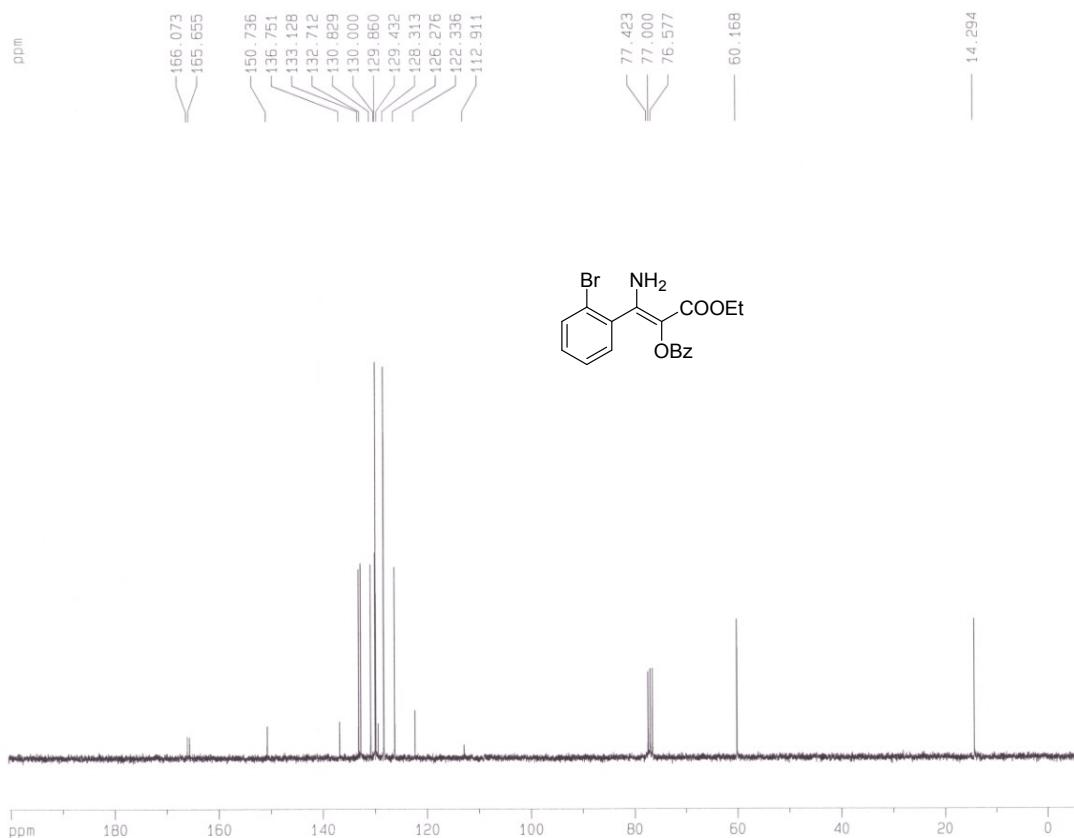
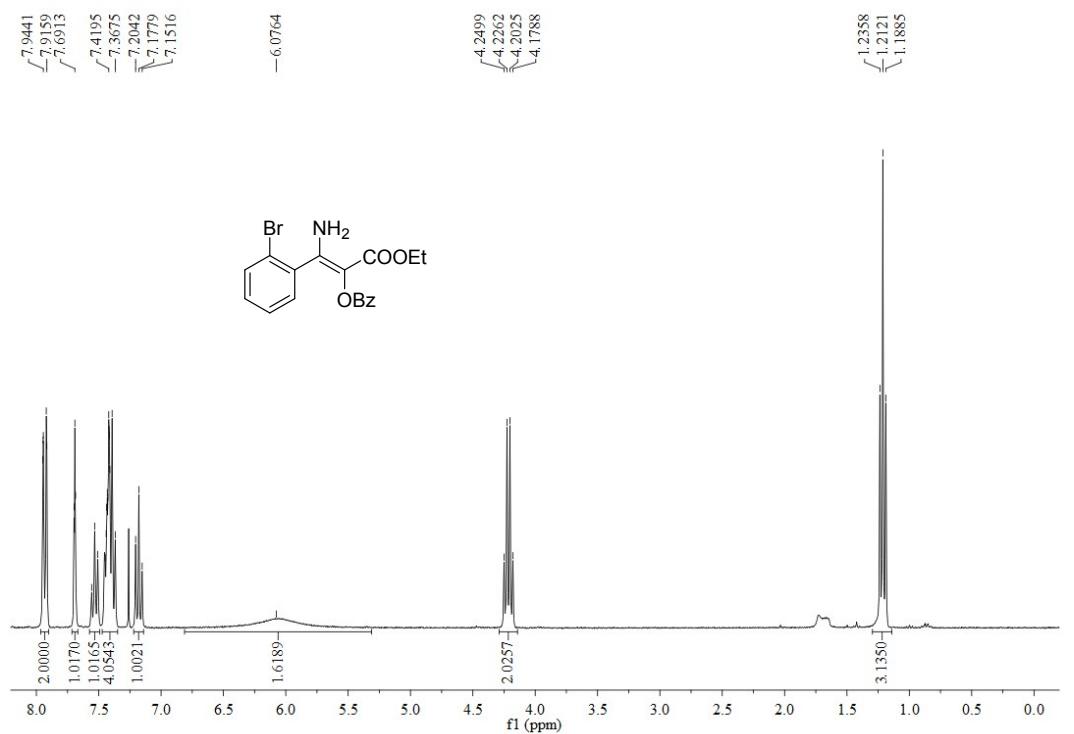
(E)-1-amino-3-ethoxy-1-(4-methoxyphenyl)-3-oxoprop-1-en-2-yl benzoate (1f)



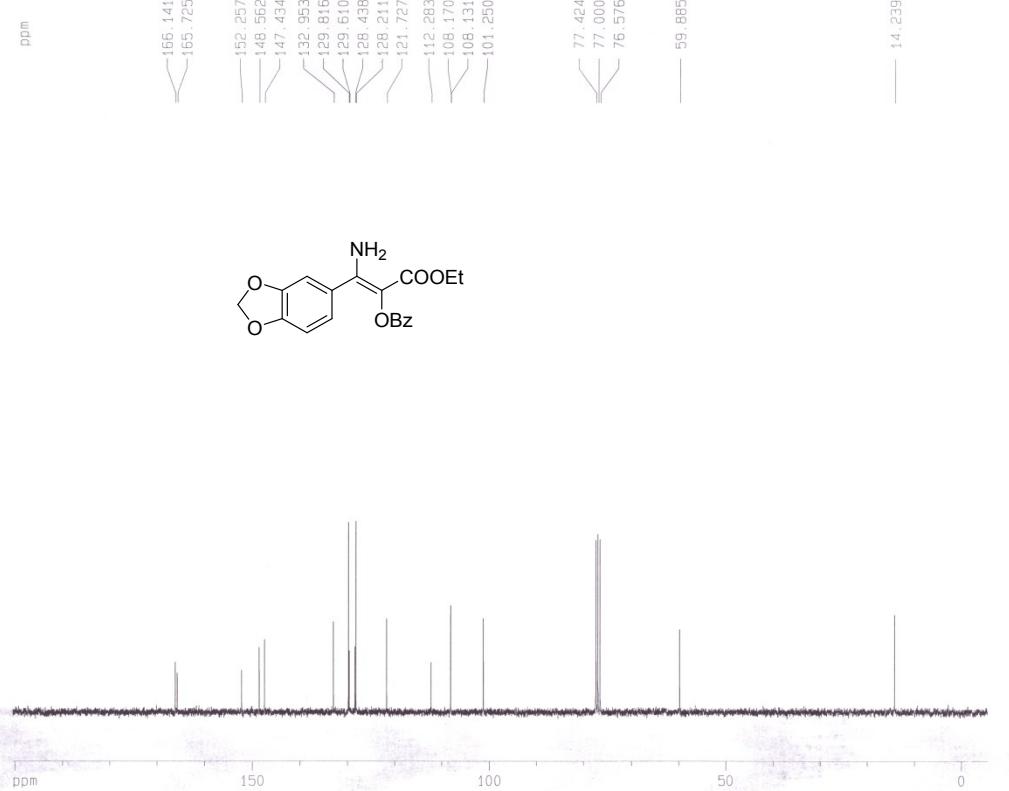
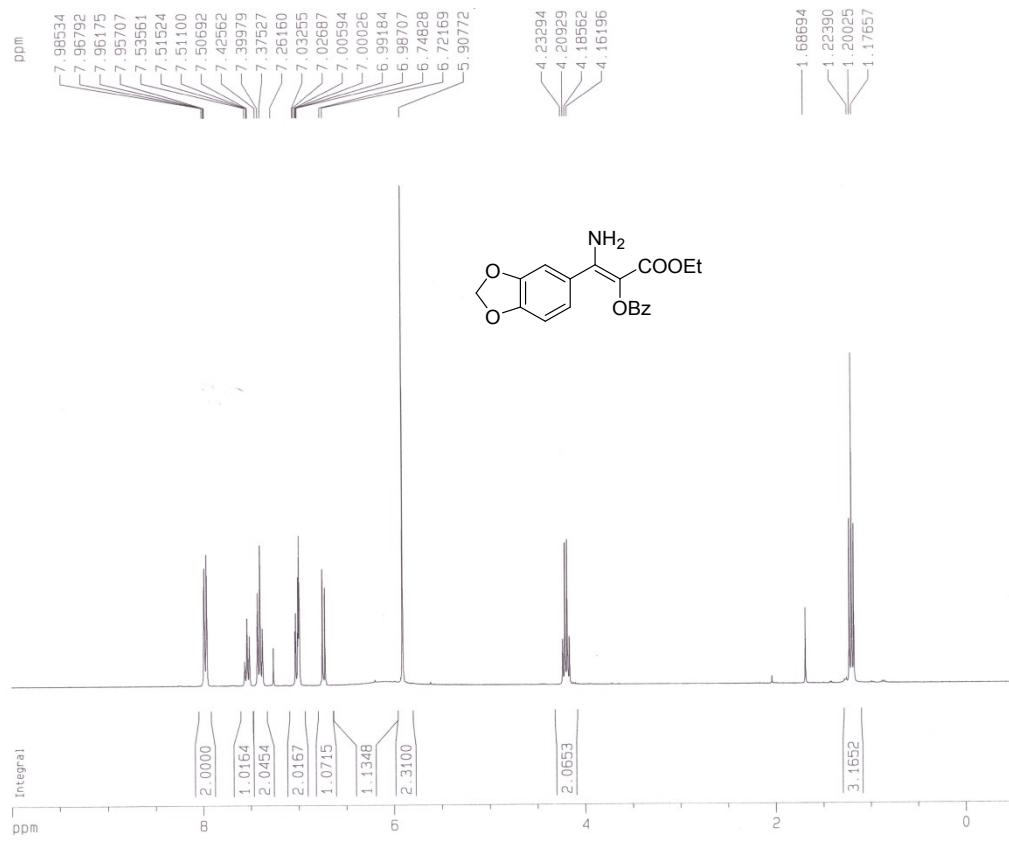
(E)-1-amino-1-(3-chlorophenyl)-3-ethoxy-3-oxoprop-1-en-2-yl benzoate (1g)



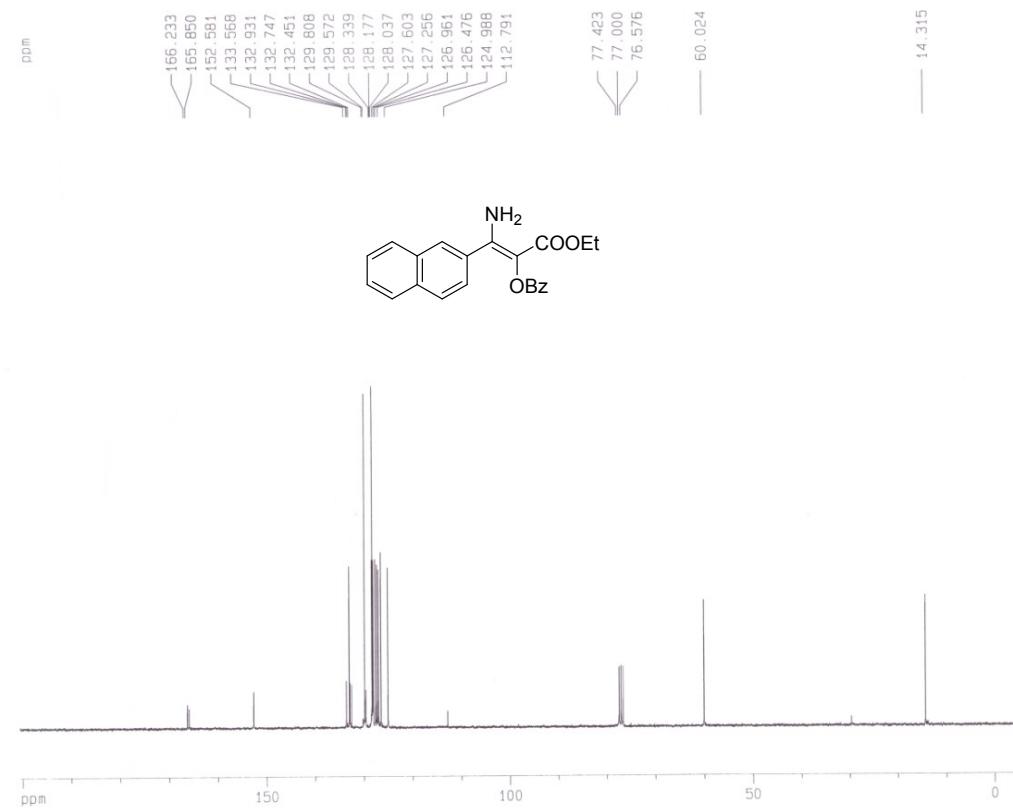
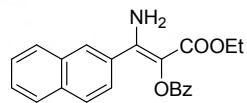
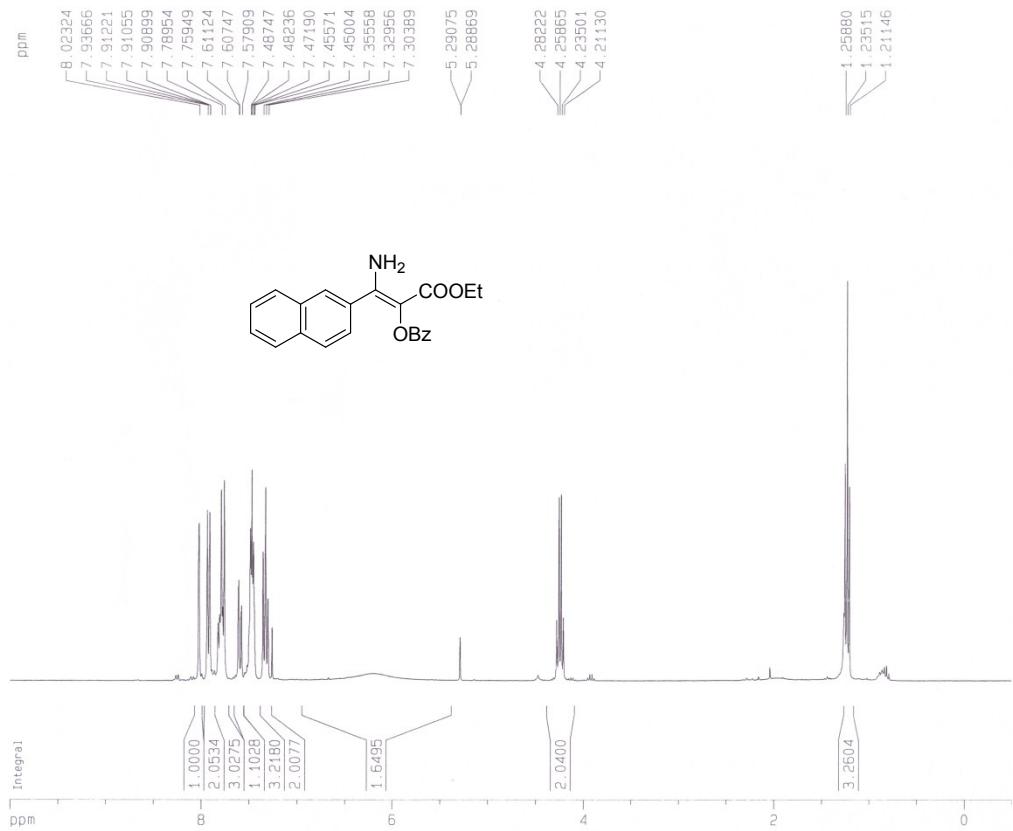
(E)-1-amino-1-(2-bromophenyl)-3-ethoxy-3-oxoprop-1-en-2-yl benzoate (1h)



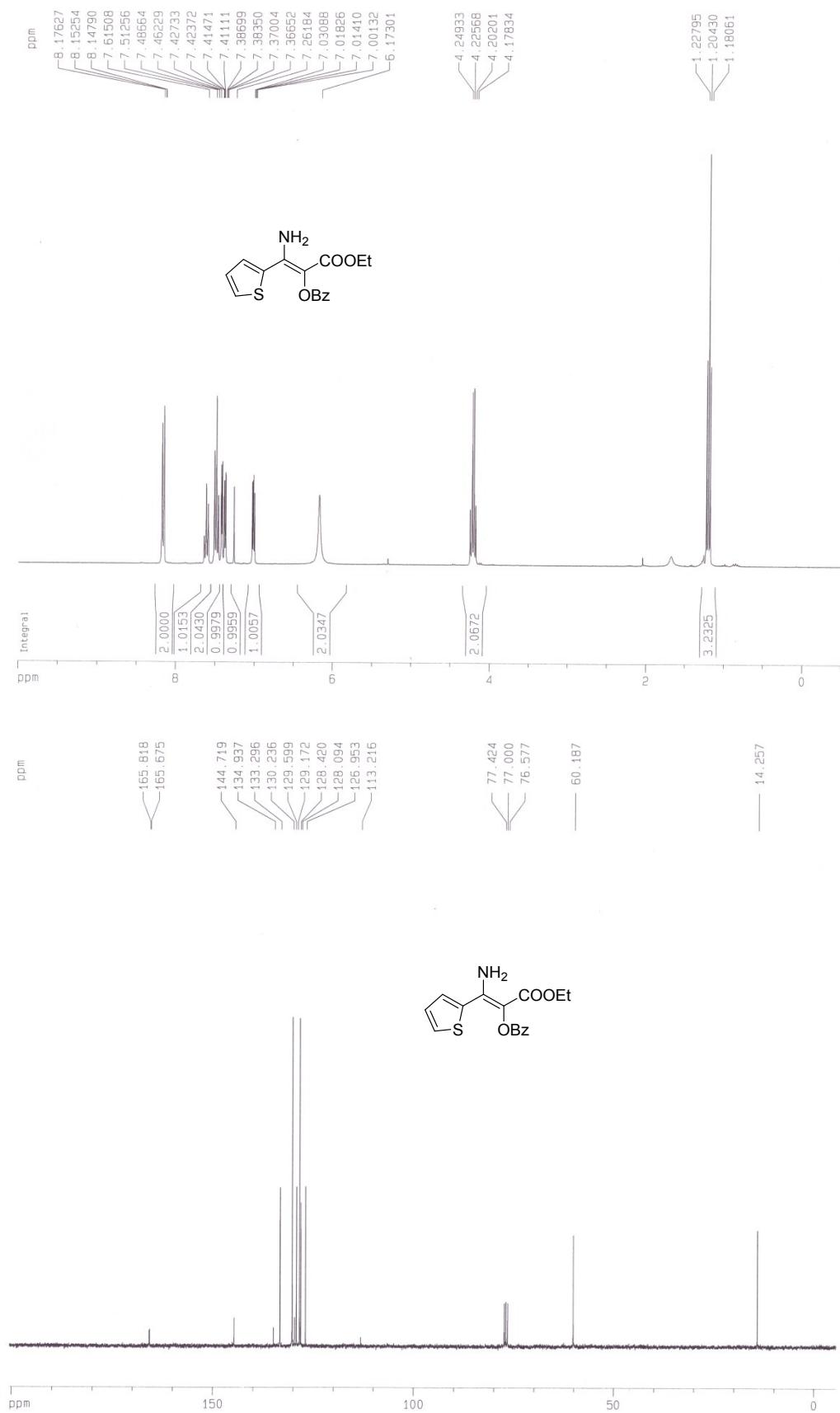
(E)-1-amino-1-(benzo[d][1,3]dioxol-5-yl)-3-ethoxy-3-oxoprop-1-en-2-yl benzoate (1i)



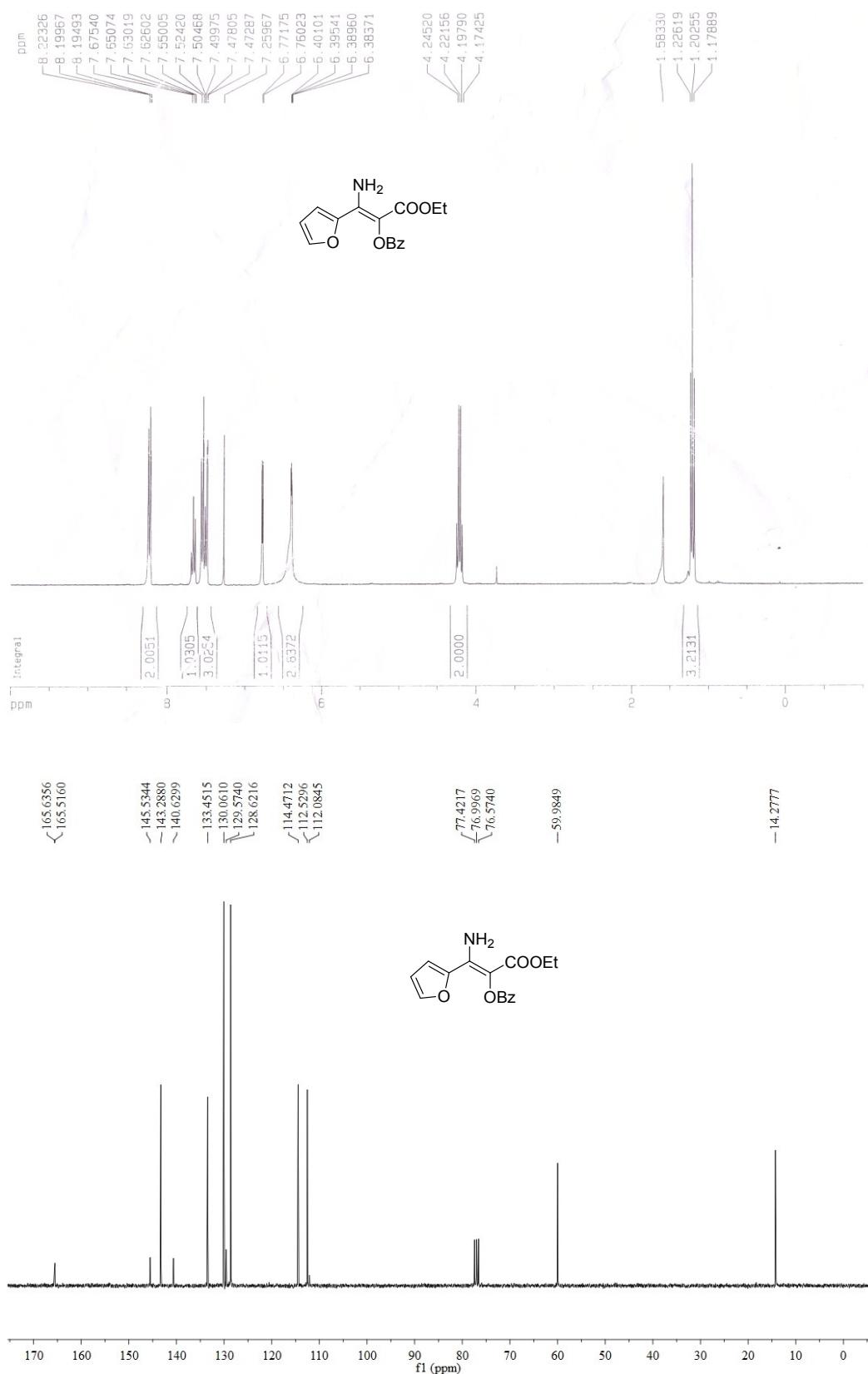
(E)-1-amino-3-ethoxy-1-(naphthalene-2-yl)-3-oxoprop-1-en-2-yl benzoate (1j)



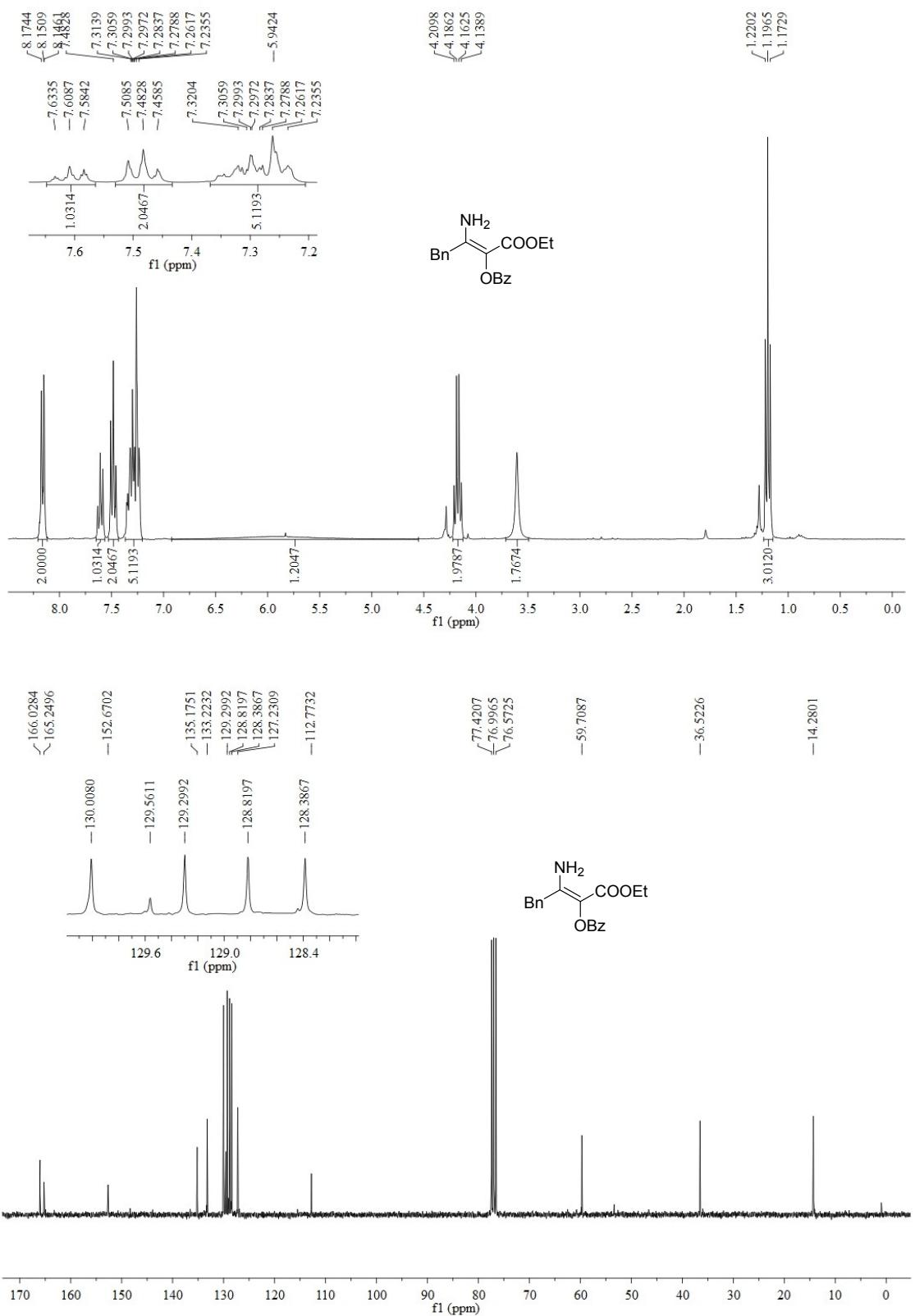
(E)-1-amino-3-ethoxy-3-oxo-1-(thiophen-2-yl) prop-1-en-2-yl benzoate (1k)



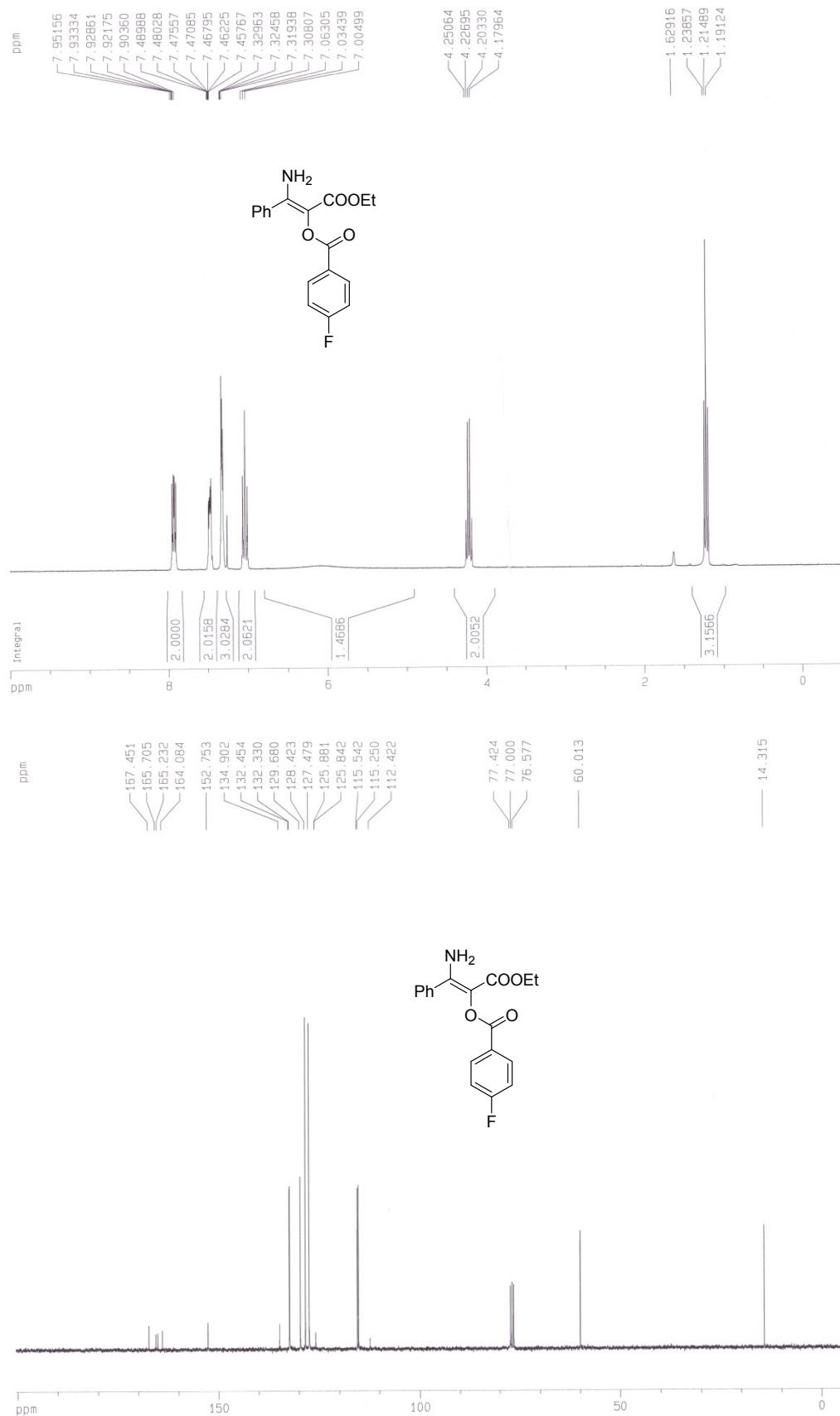
(E)-1-amino-3-ethoxy-1-(furan-2-yl)-3-oxo prop-1-en-2-yl benzoate (1l)



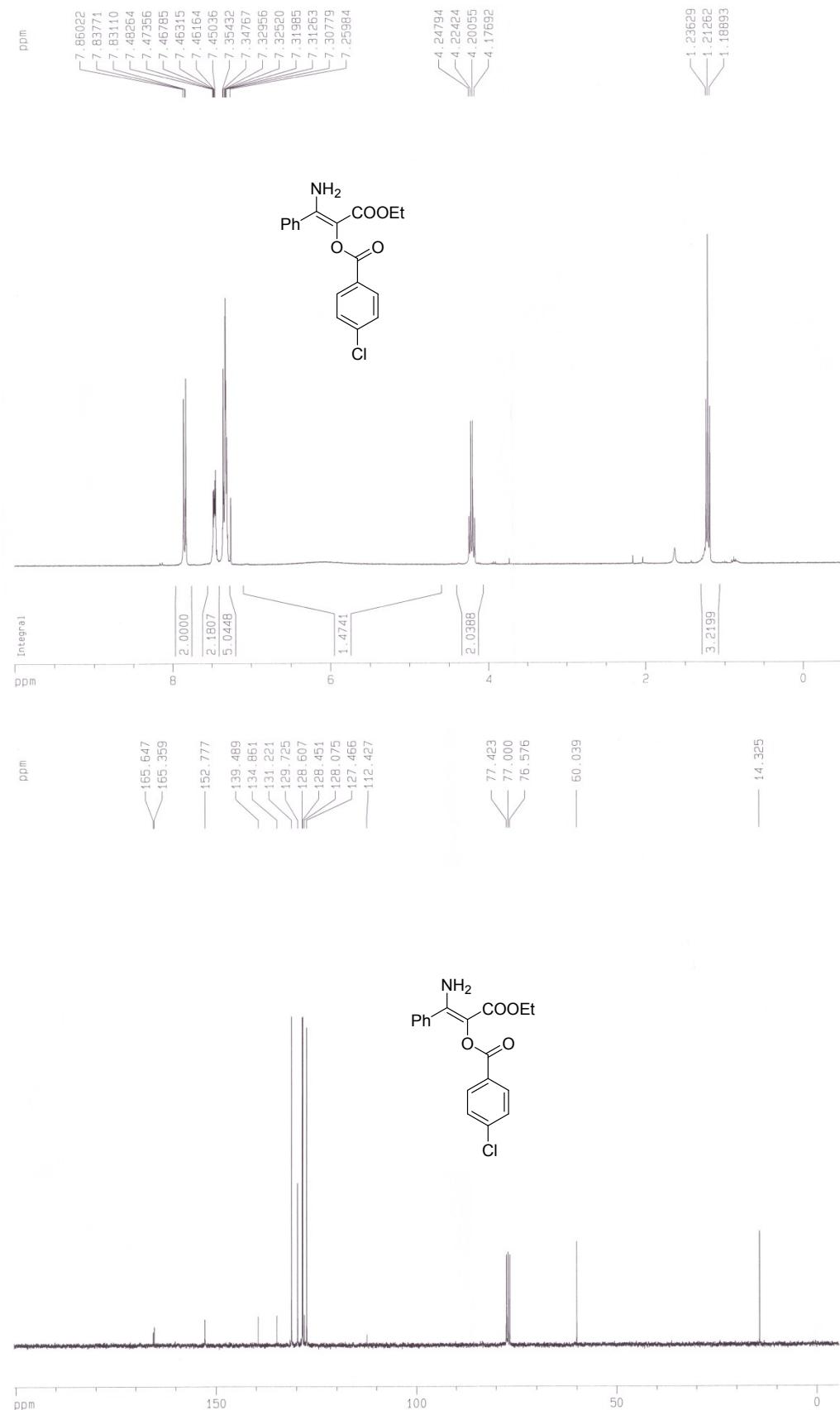
(E)-3-amino-1-ethoxy-1-oxo-4-phenylbut-2-en-2-yl benzoate (1m)



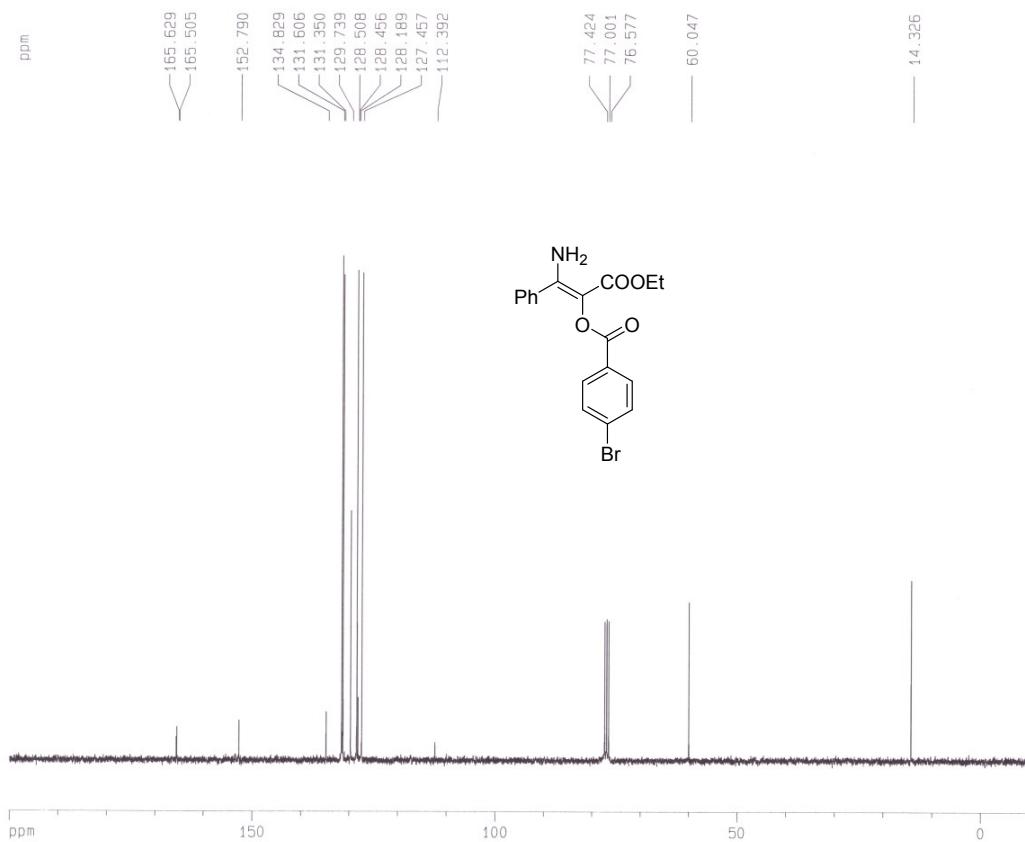
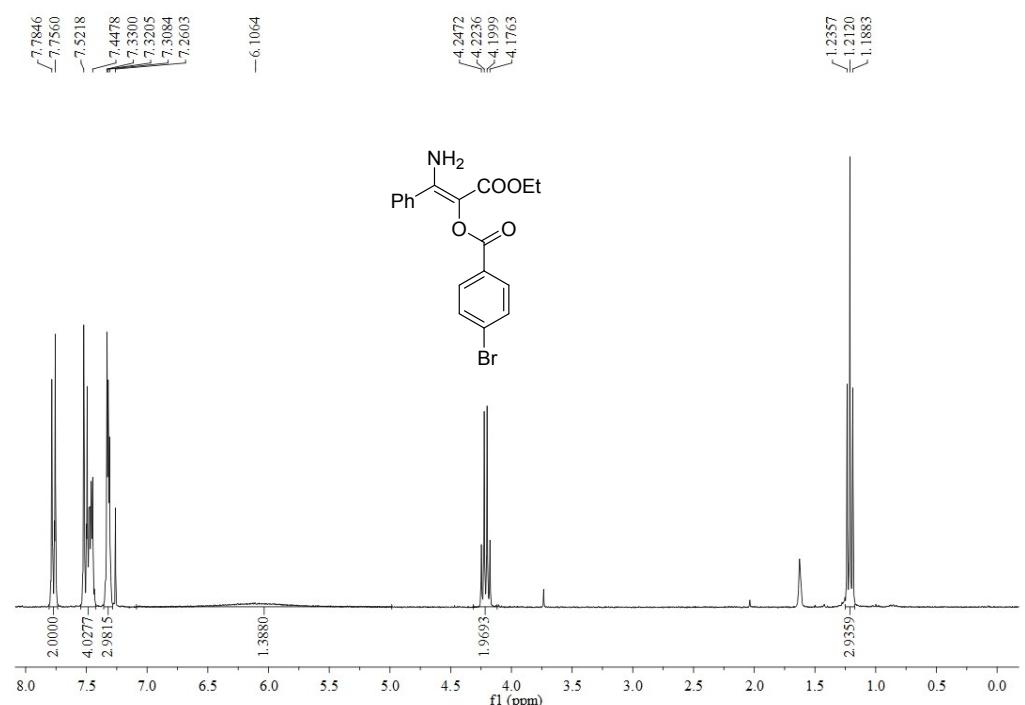
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-fluorobenzoate (1n)



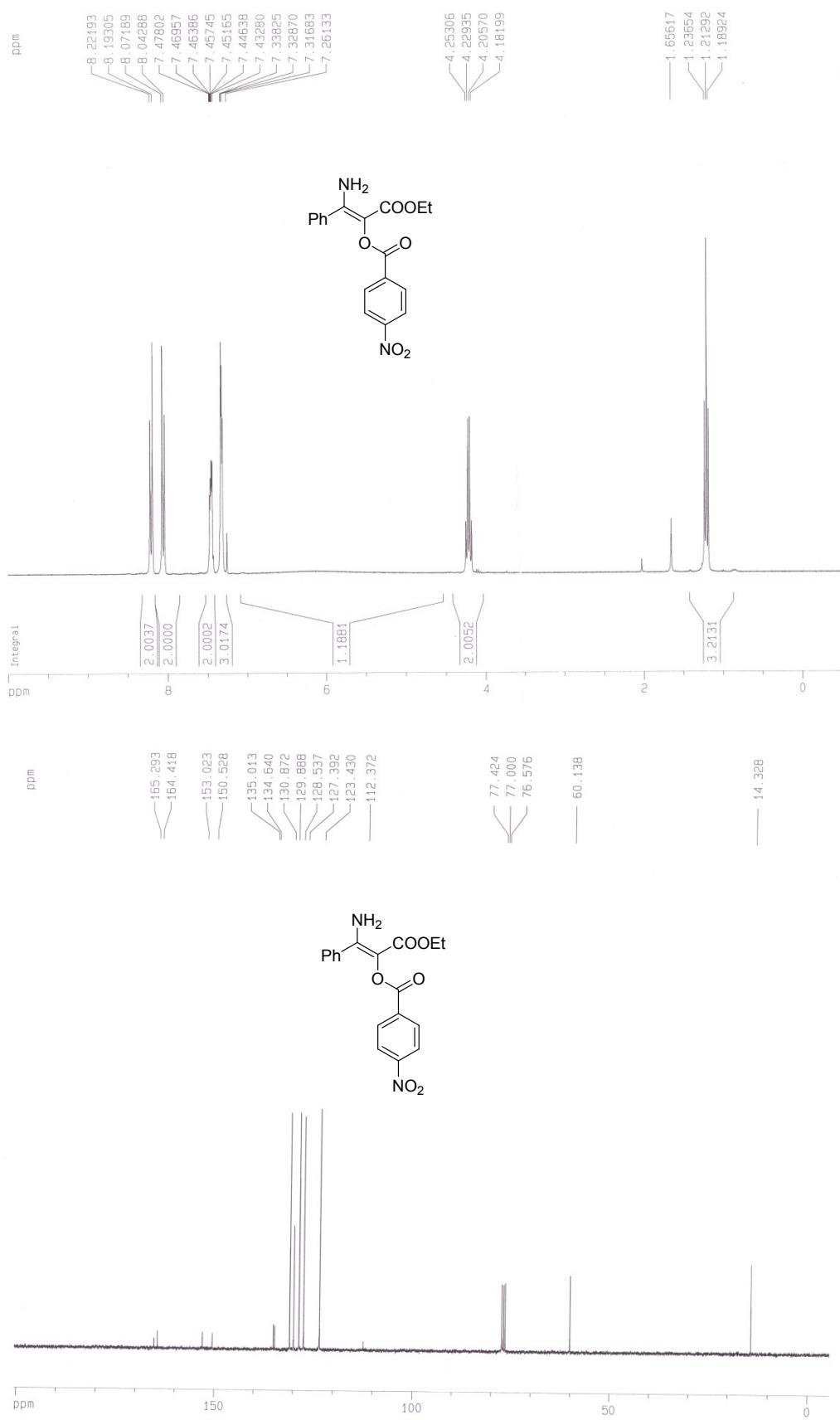
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-chlorobenzoate (1o)



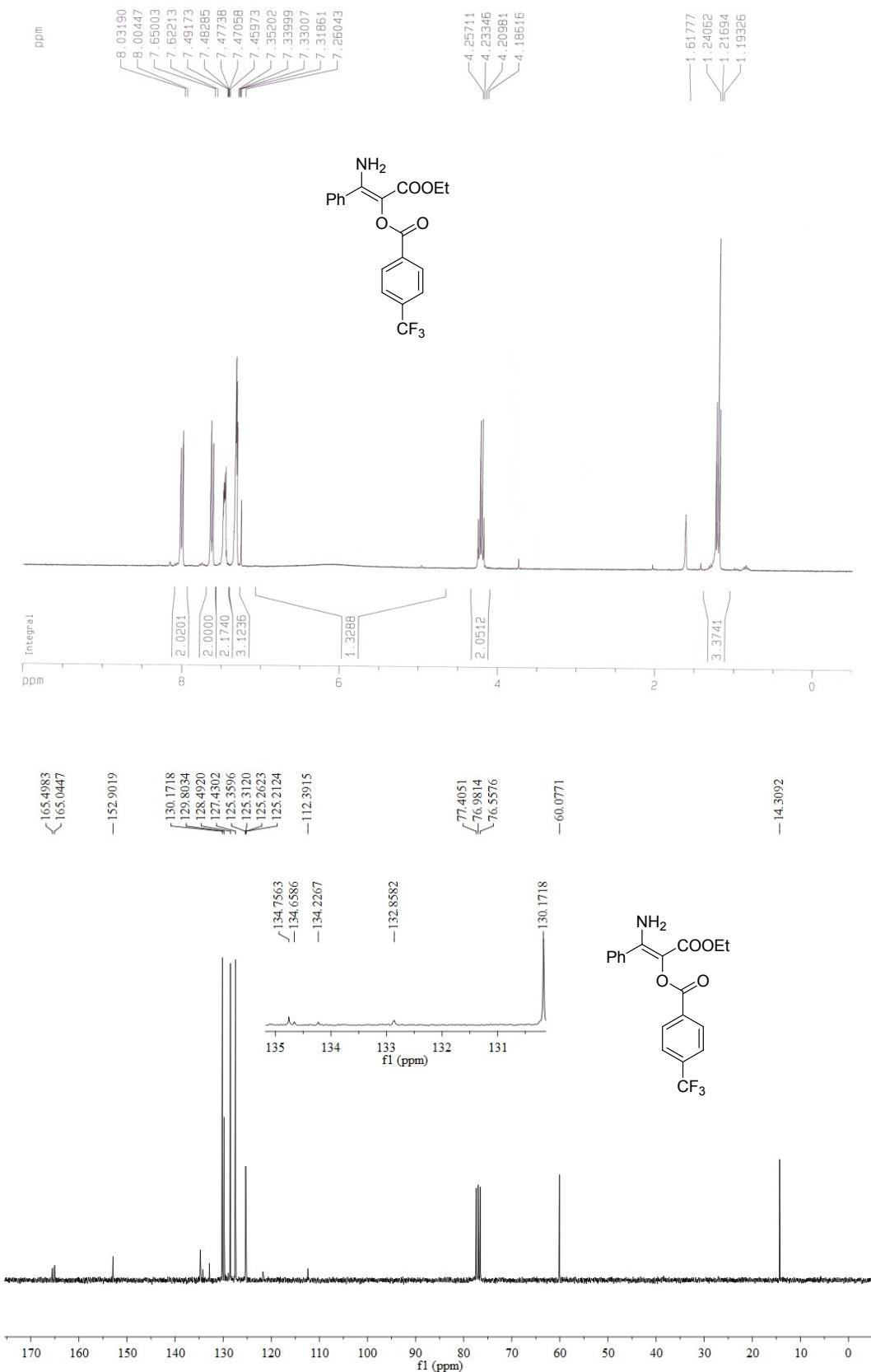
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-bromobenzoate (1p)



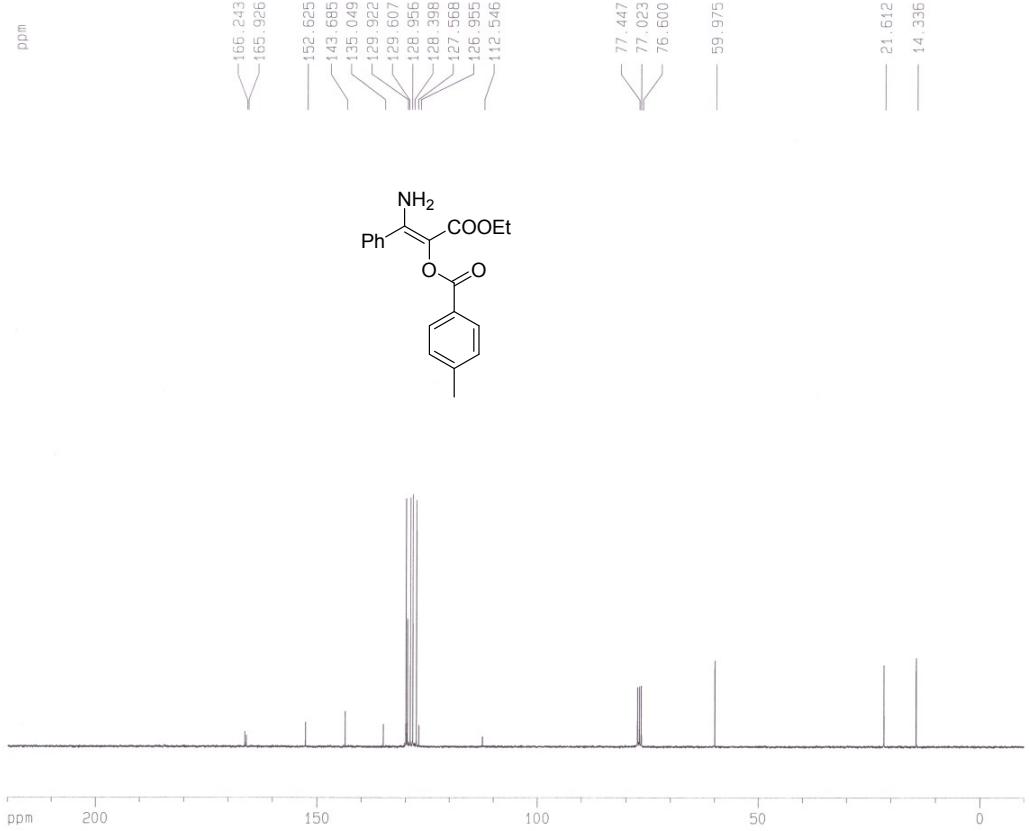
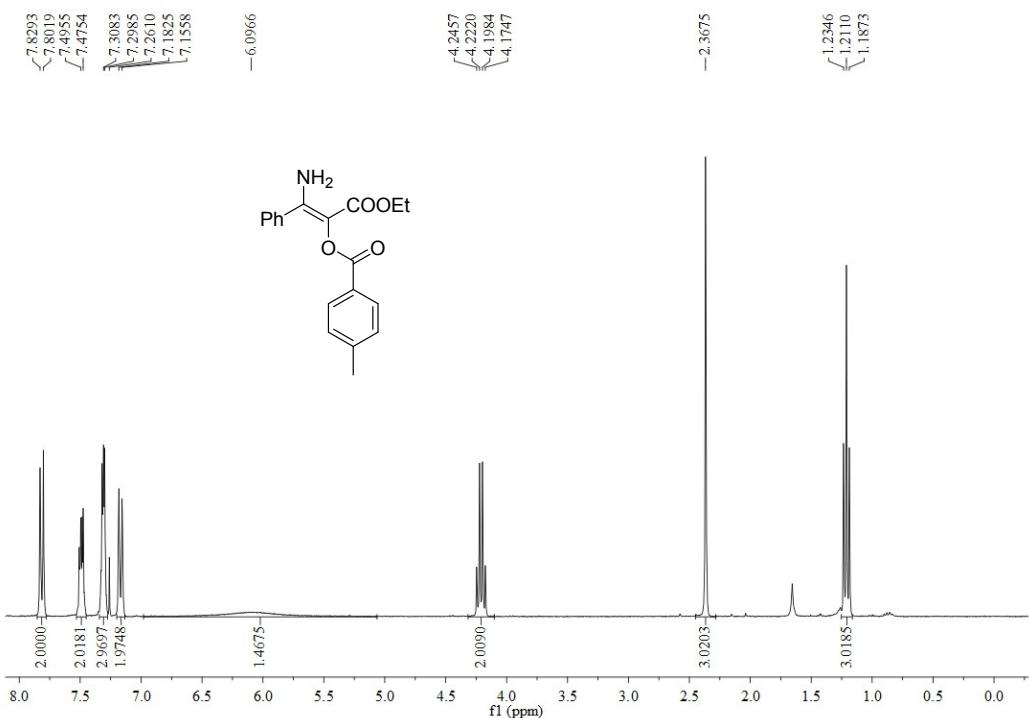
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-nitrobenzoate (1q)



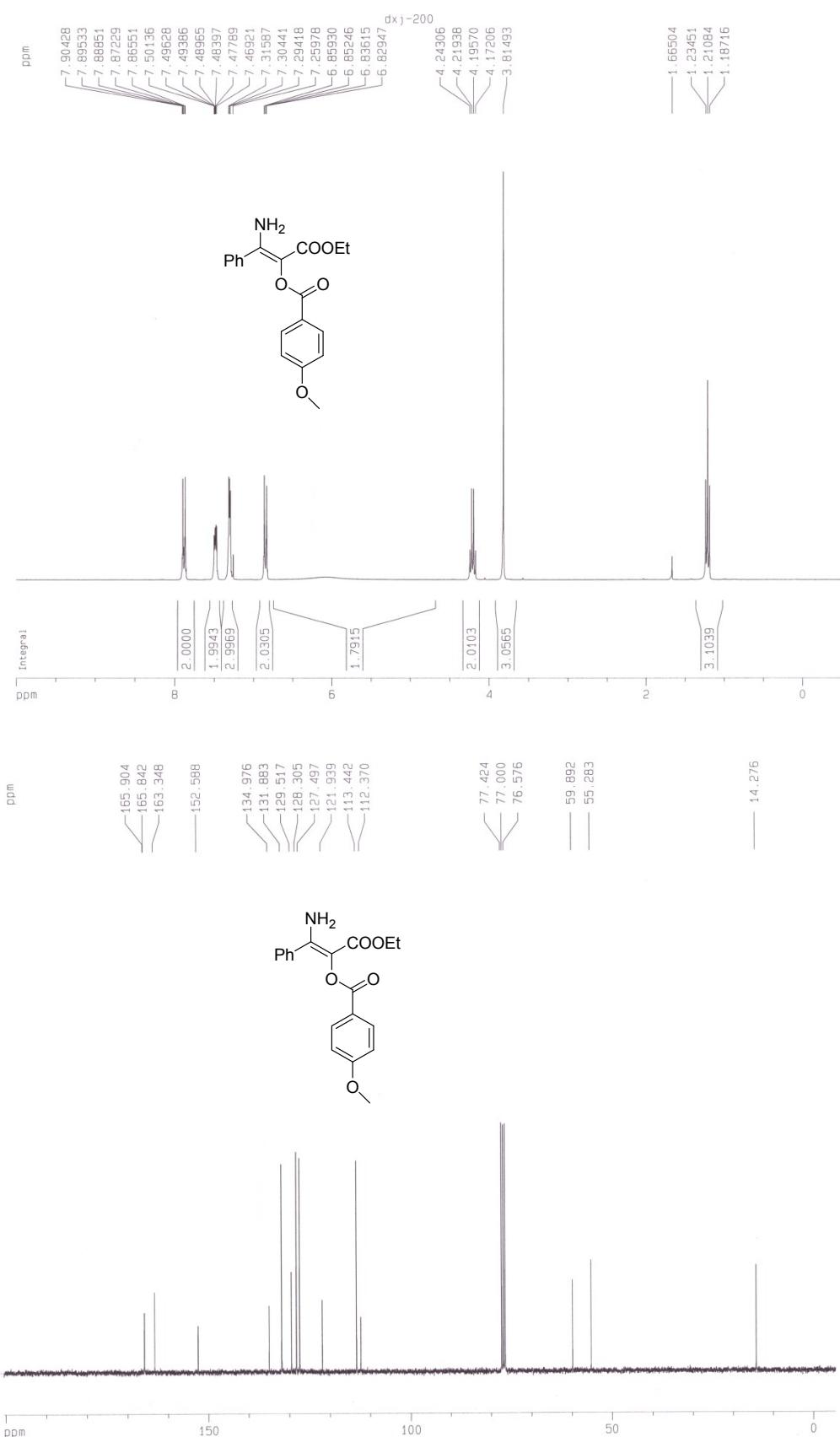
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-(trifluoromethyl) benzoate (1r)



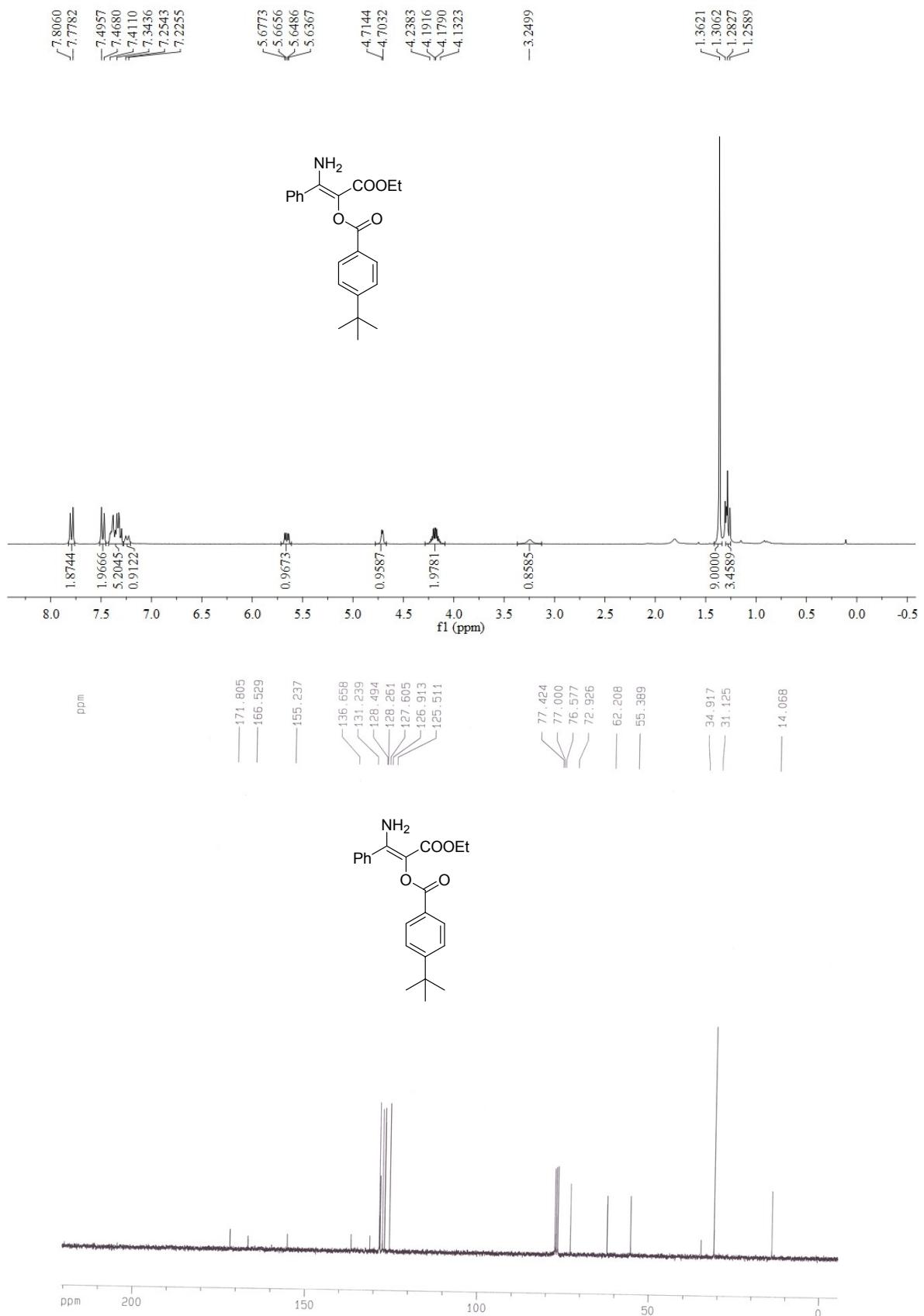
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-methylbenzoate (1s)



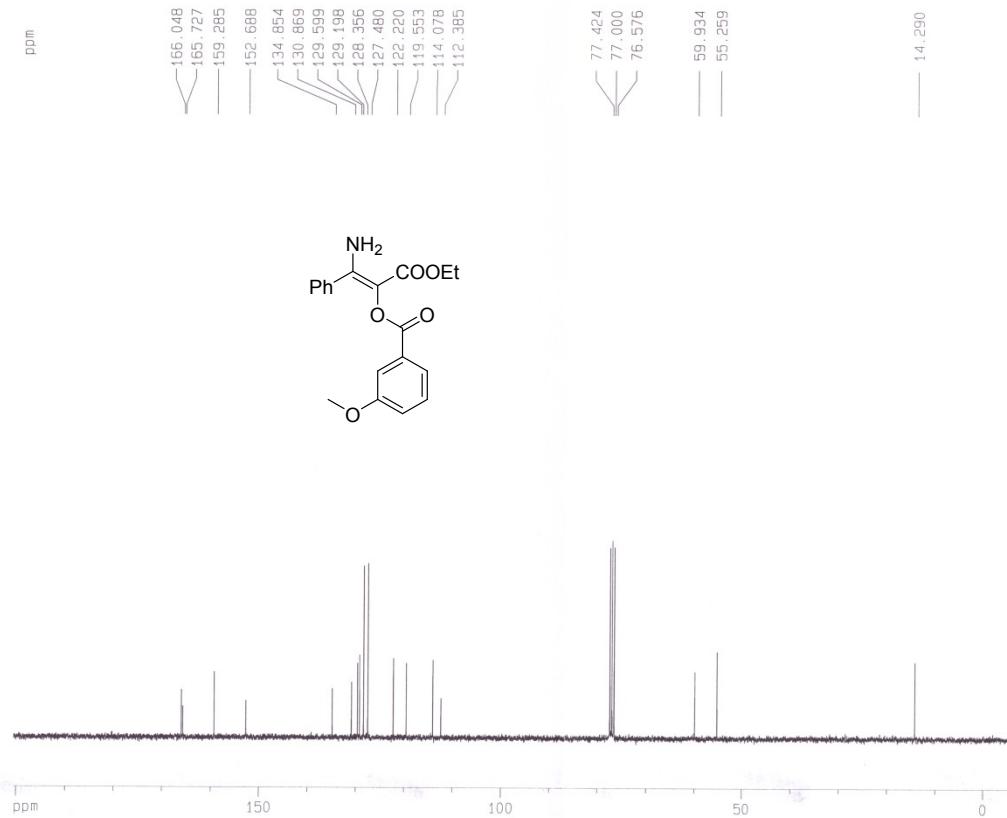
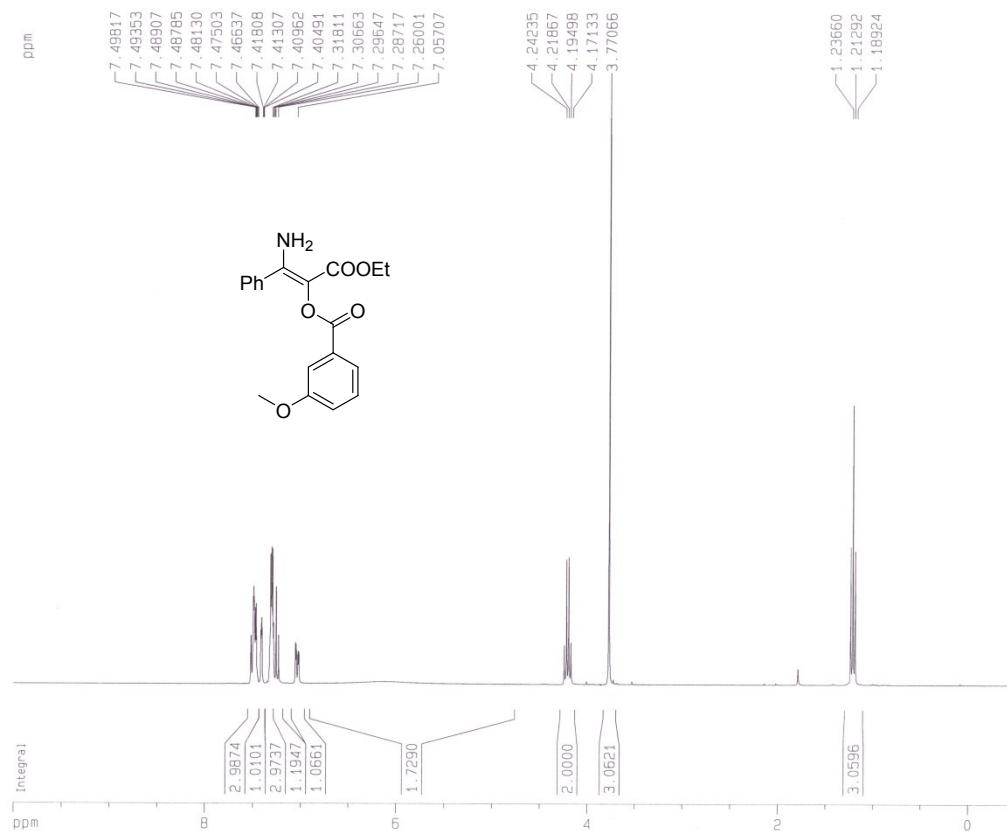
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-methoxylbenzoate (1t)



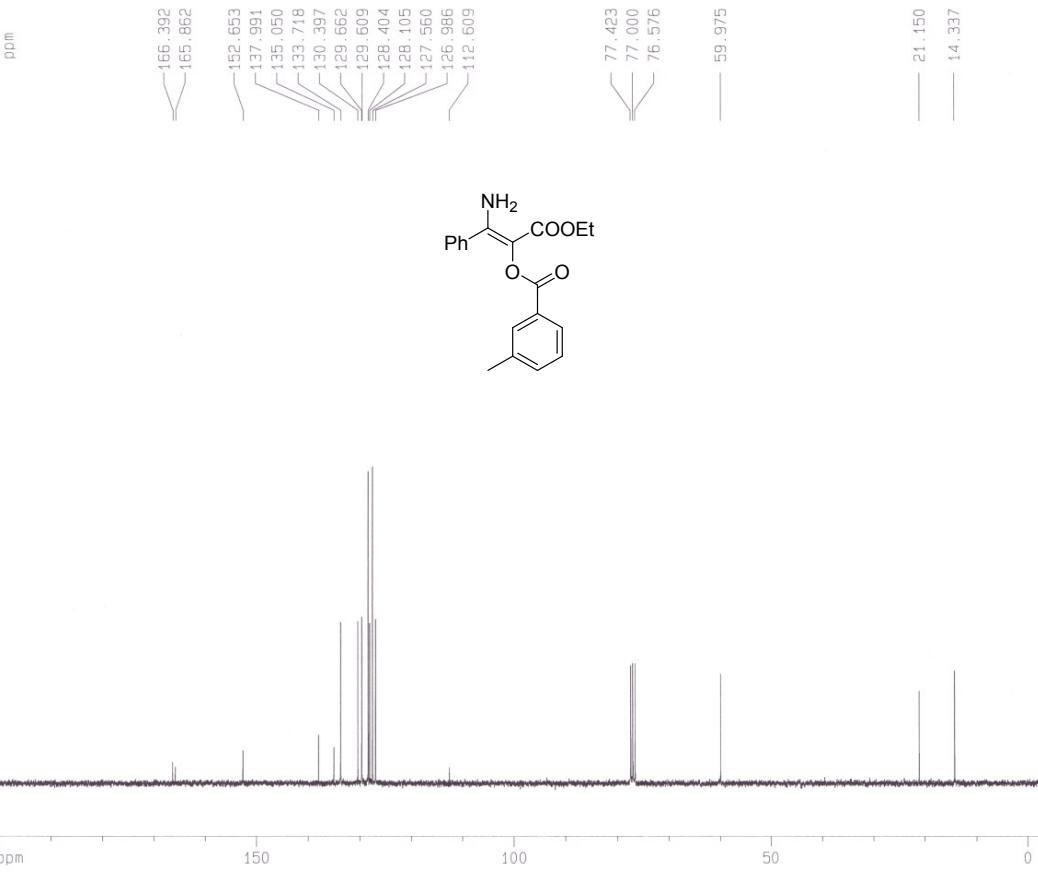
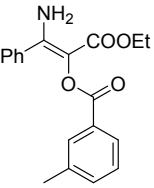
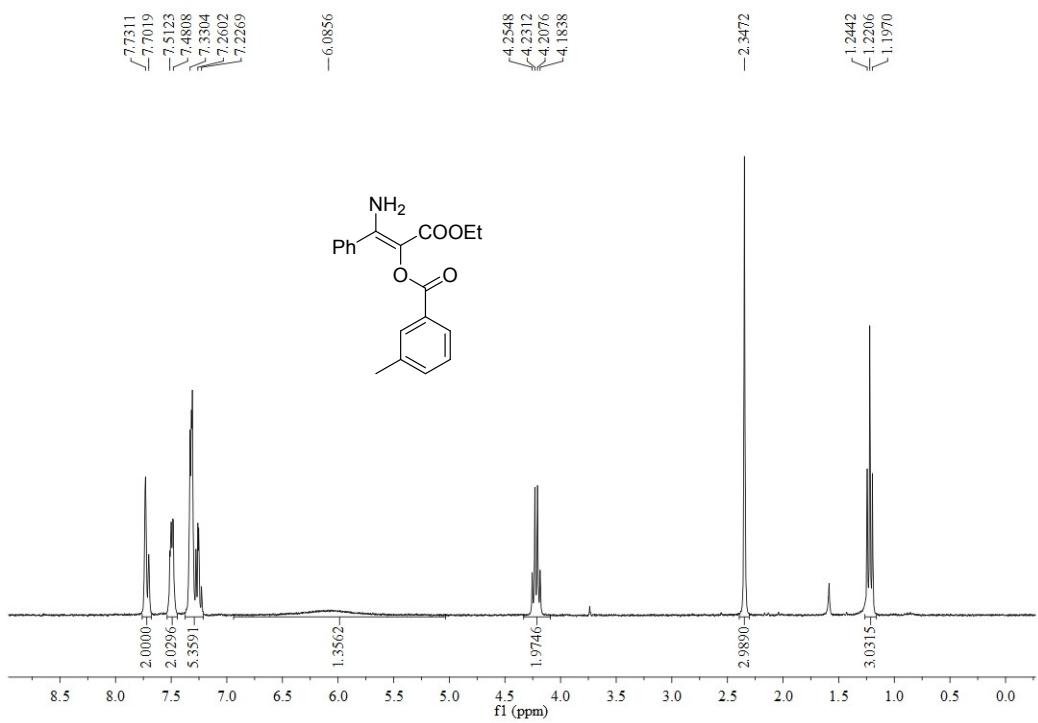
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 4-(*tert*-butyl) benzoate (1u)



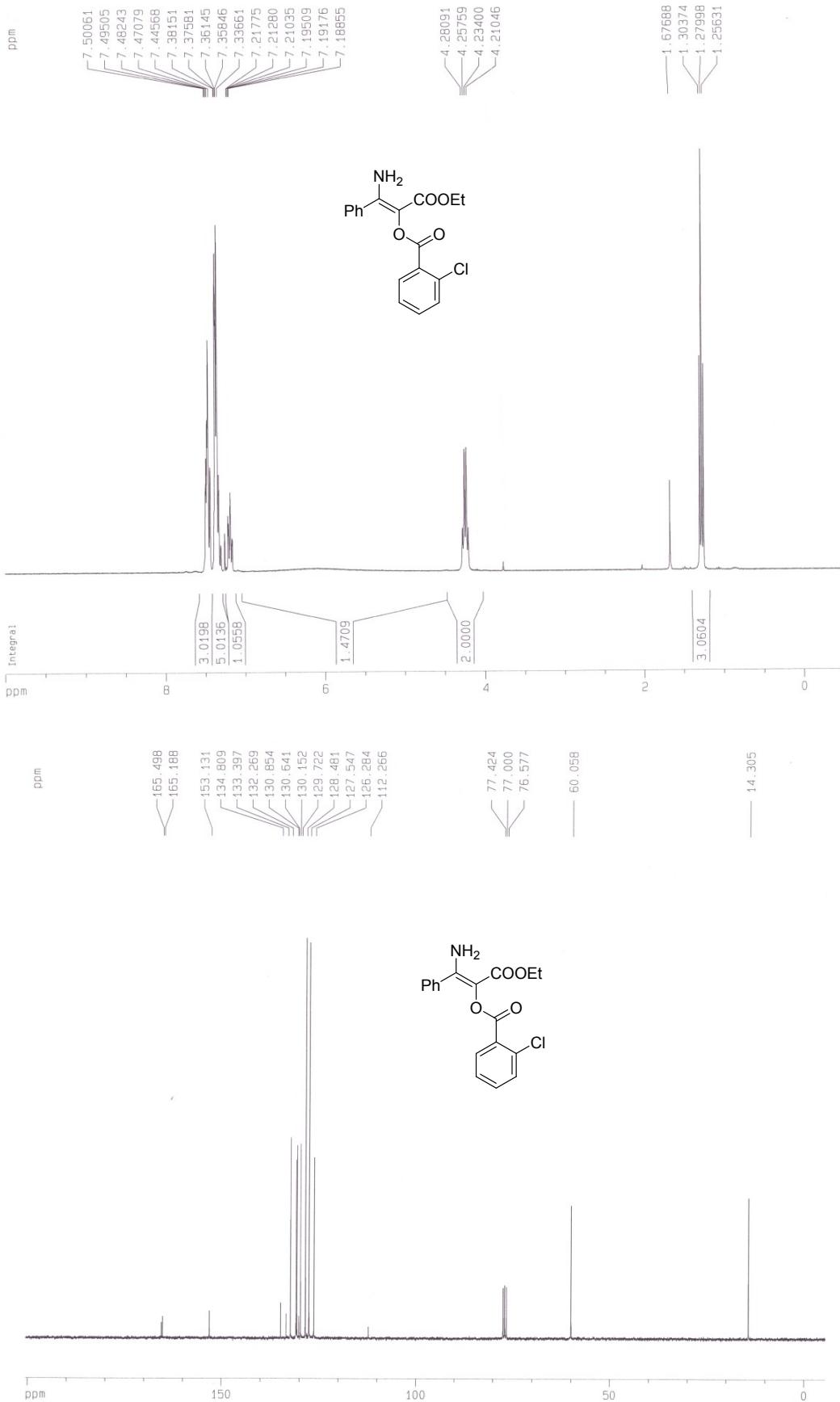
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 3-methoxylbenzoate (1v)



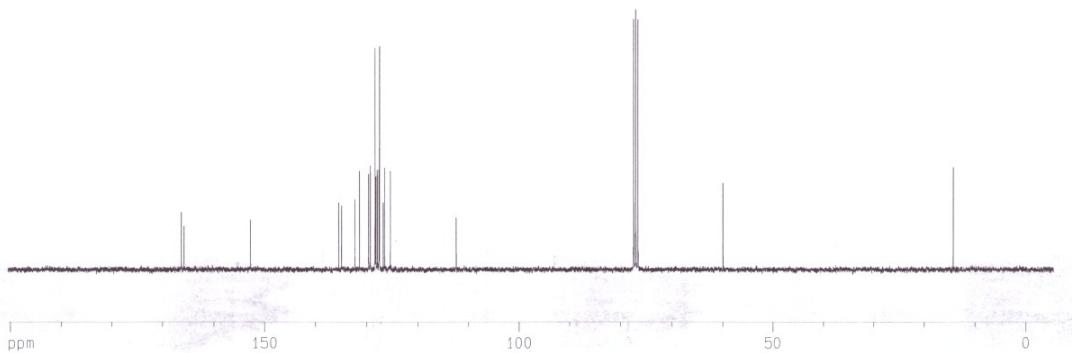
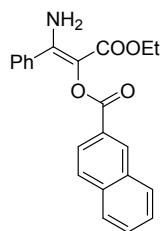
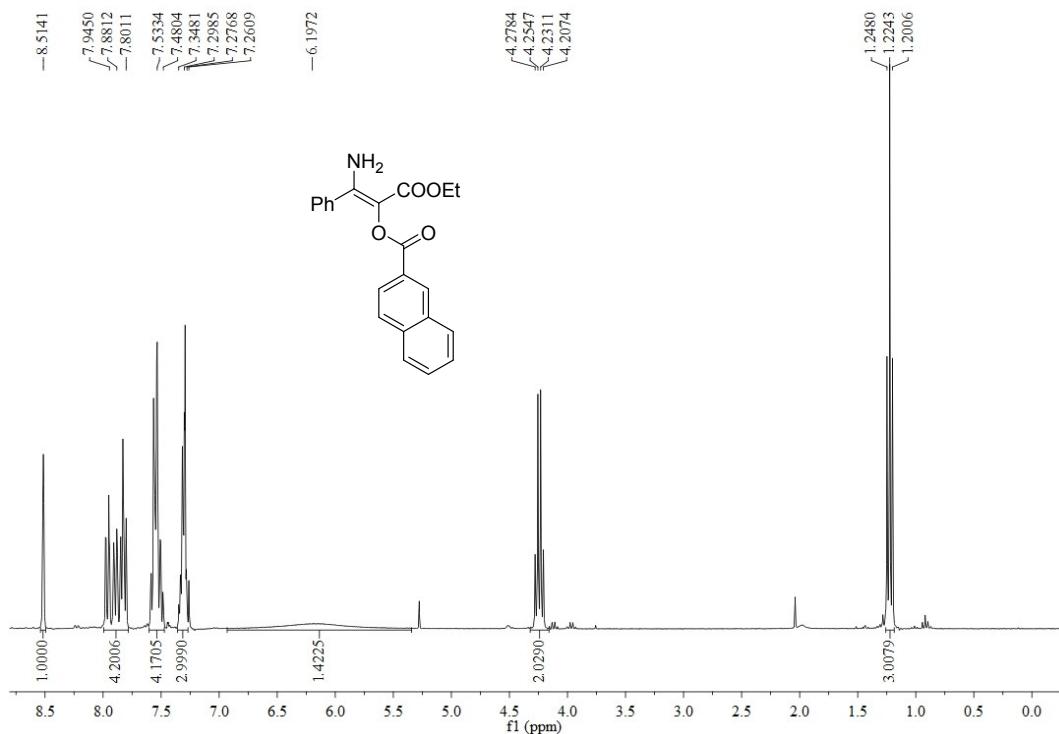
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 3-methylbenzoate (1w)



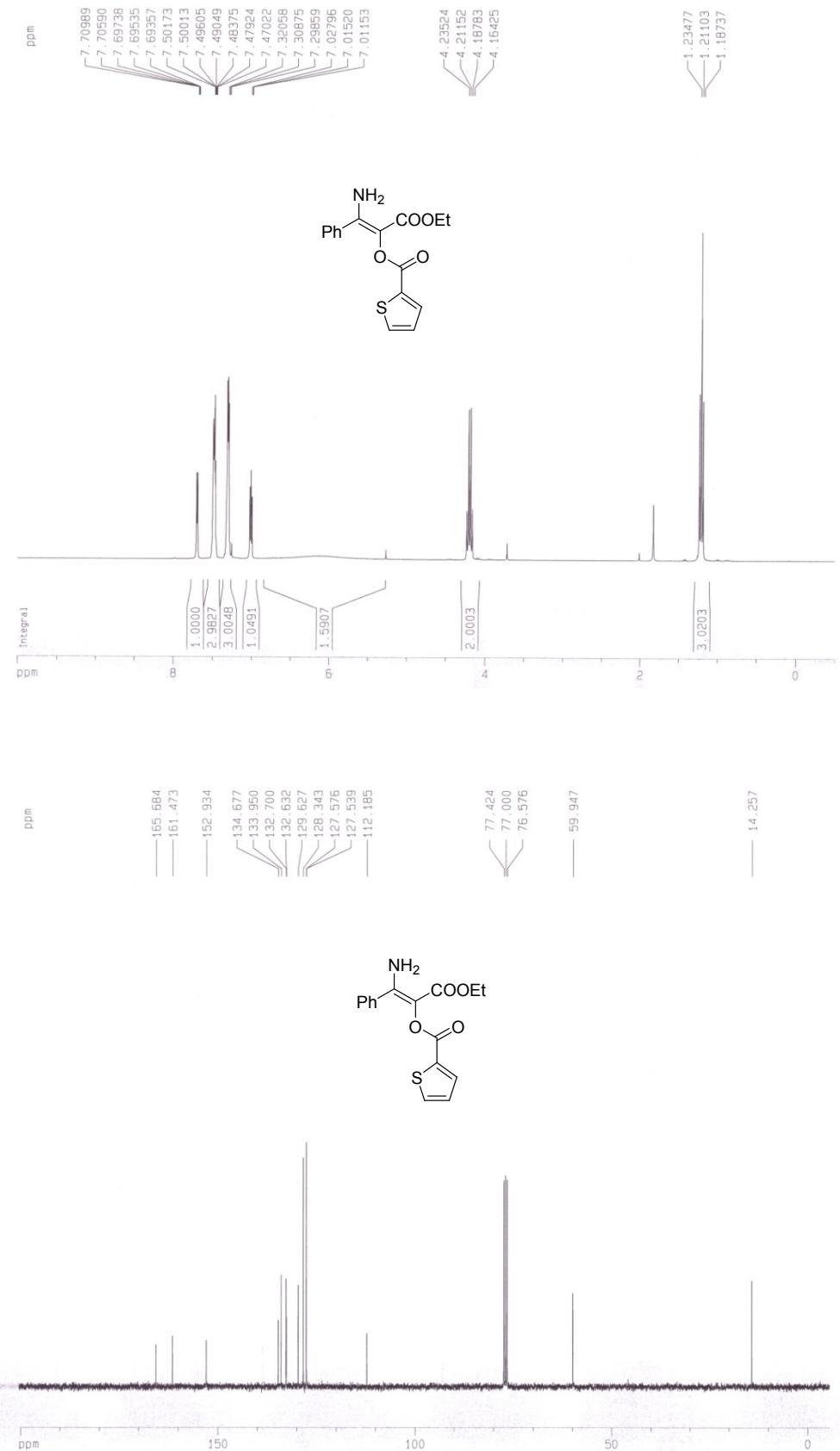
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 2-chlorobenzoate (1x)



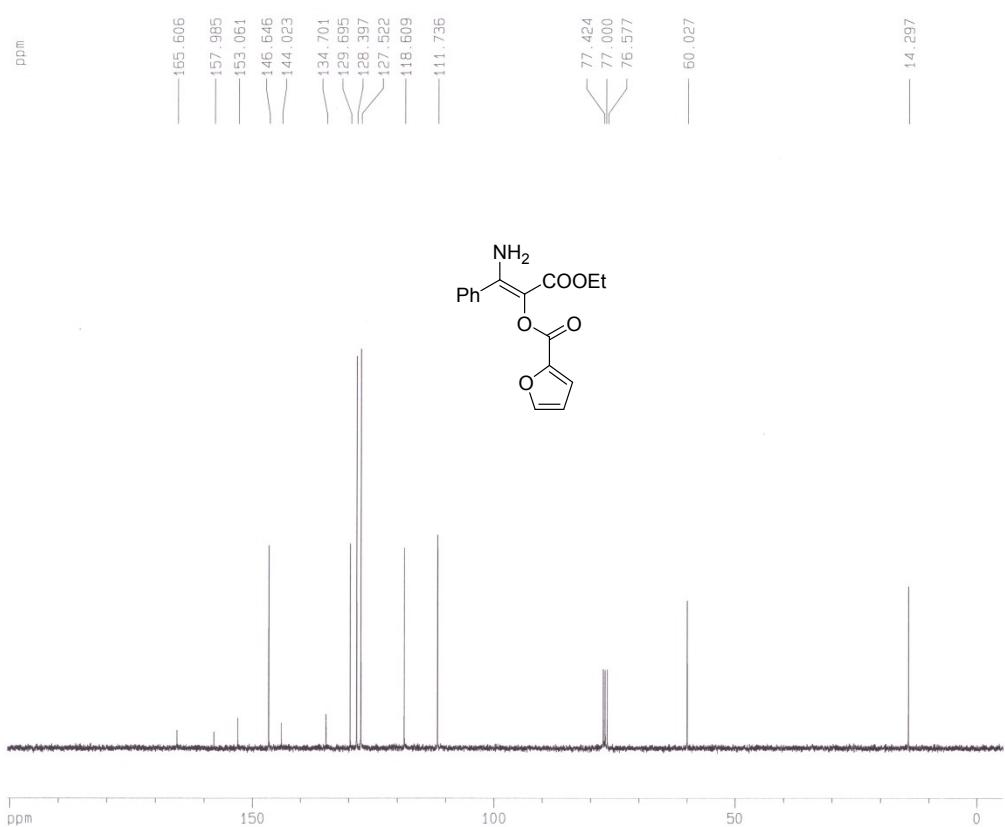
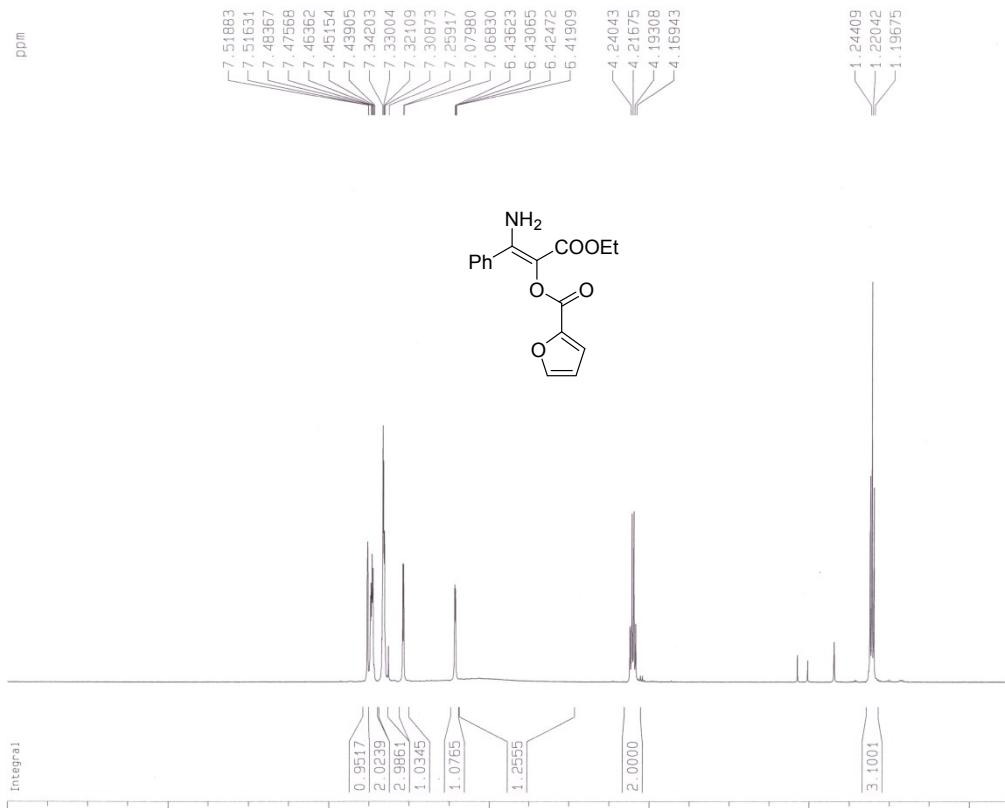
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl 2-naphthoate (1y)



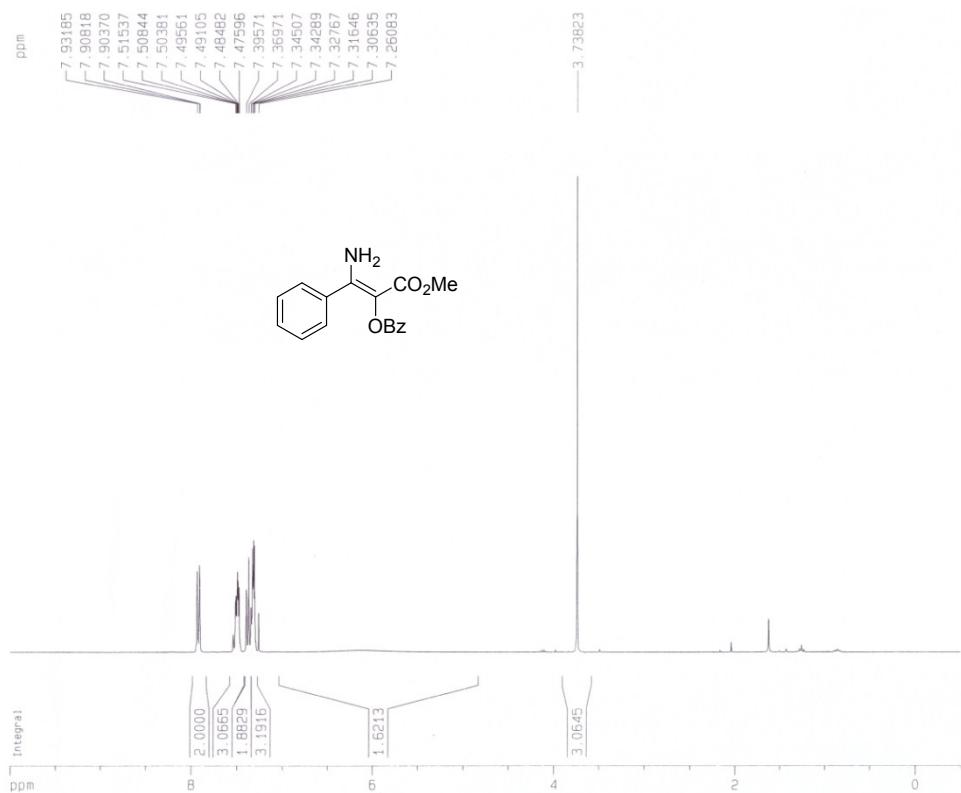
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl thiophene-2-carboxylate (1z)



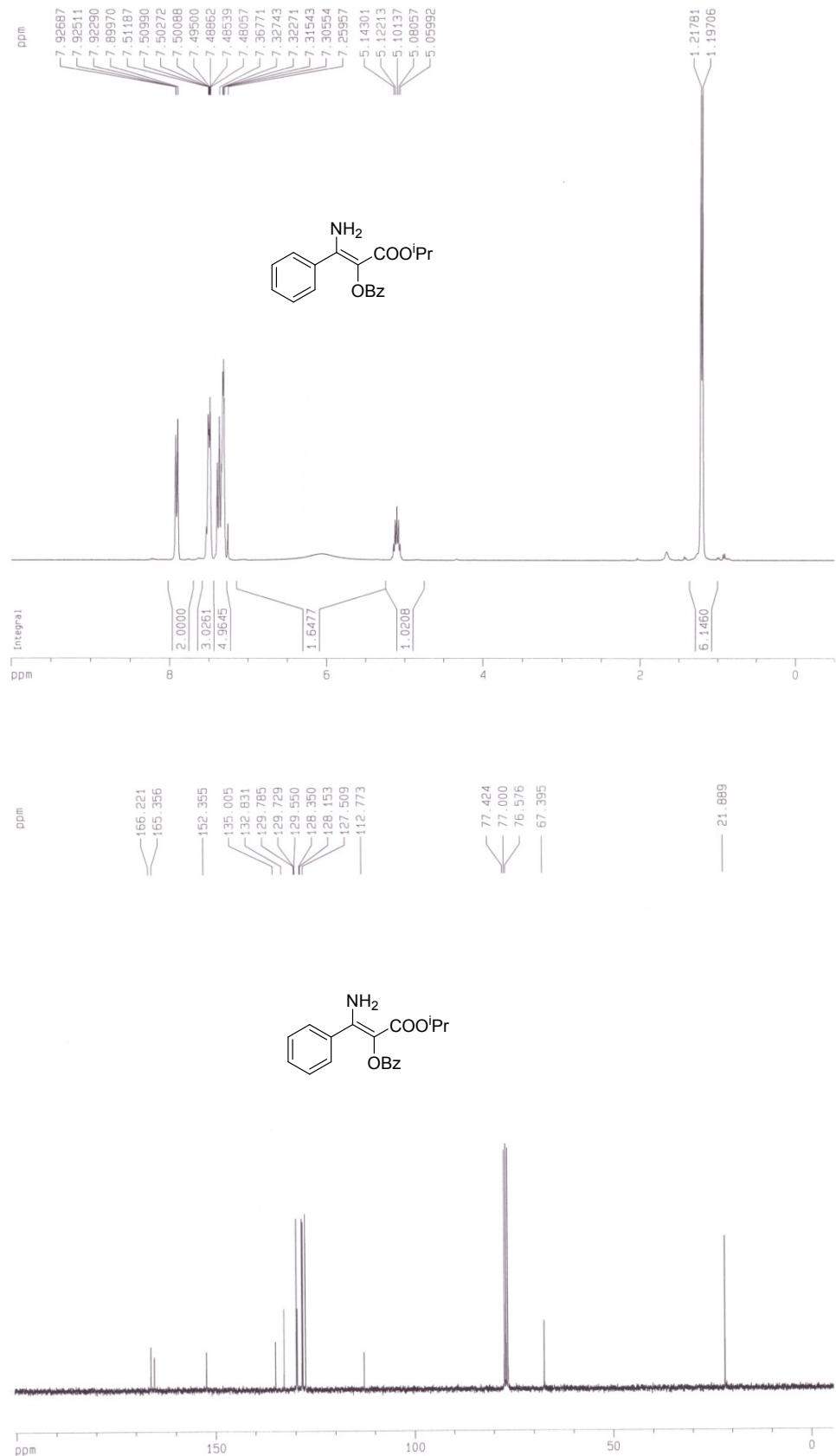
(E)-1-amino-3-ethoxy-3-oxo-1-phenylprop-1-en-2-yl furan-2-carboxylate (1A)



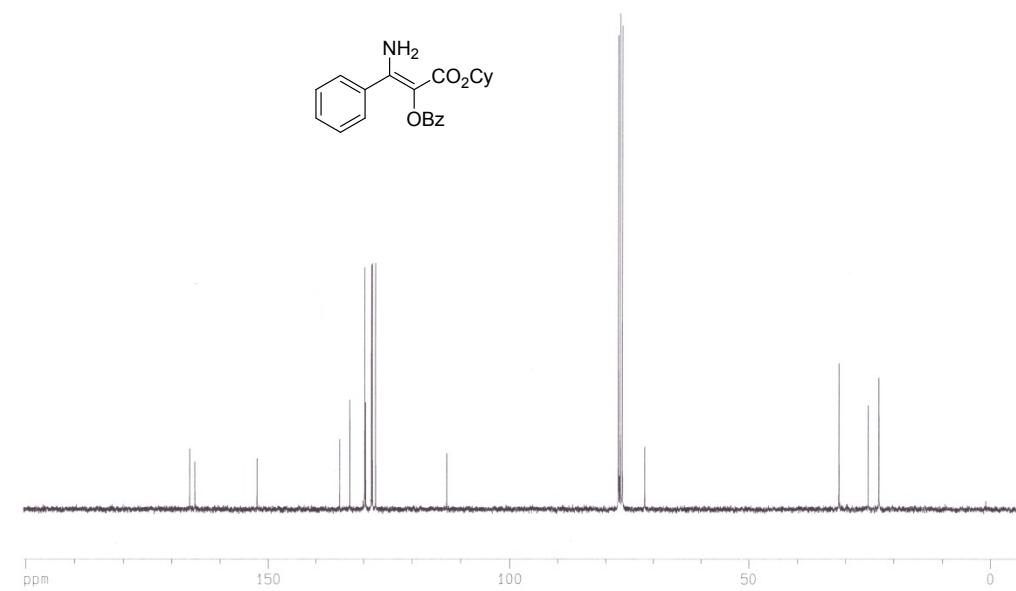
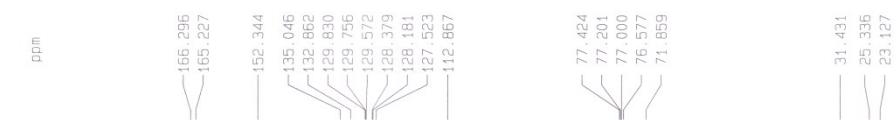
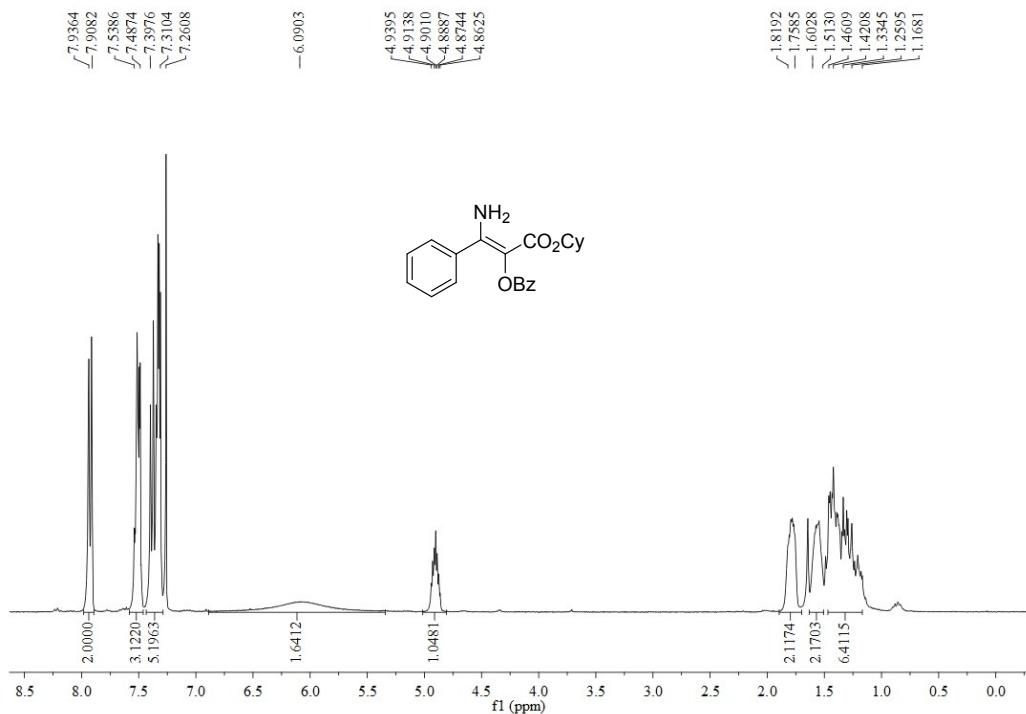
(E)-1-amino-3-methoxy-3-oxo-1-phenylprop-1-en-2-yl benzoate (1B)



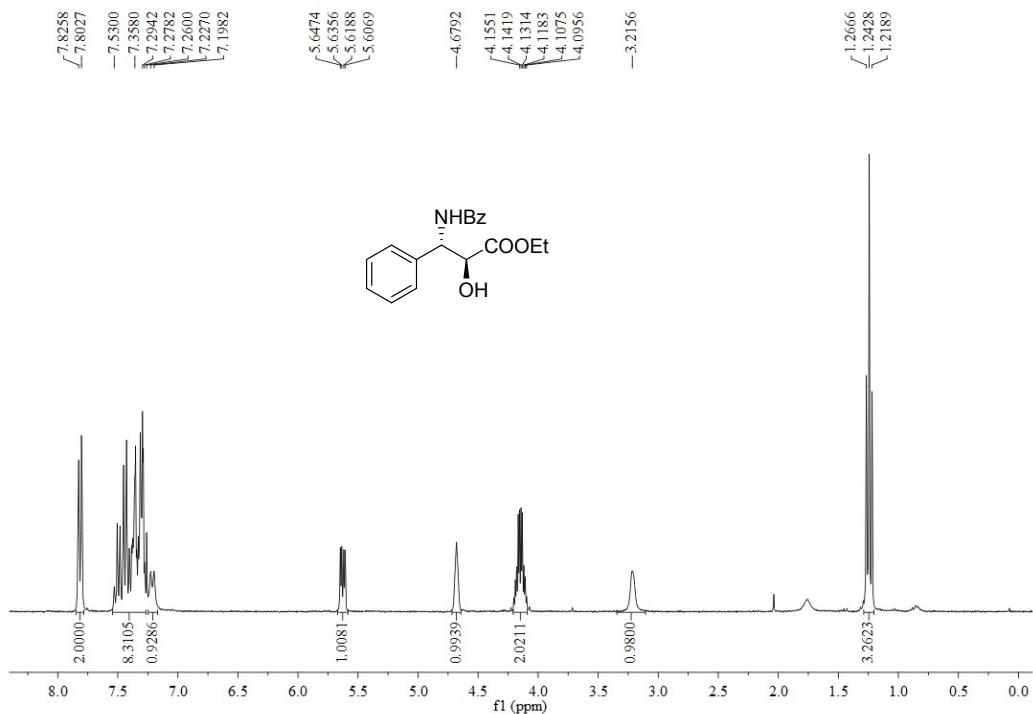
(E)-1-amino-3-isopropoxy-3-oxo-1-phenylprop-1-en-2-yl benzoate (1C)



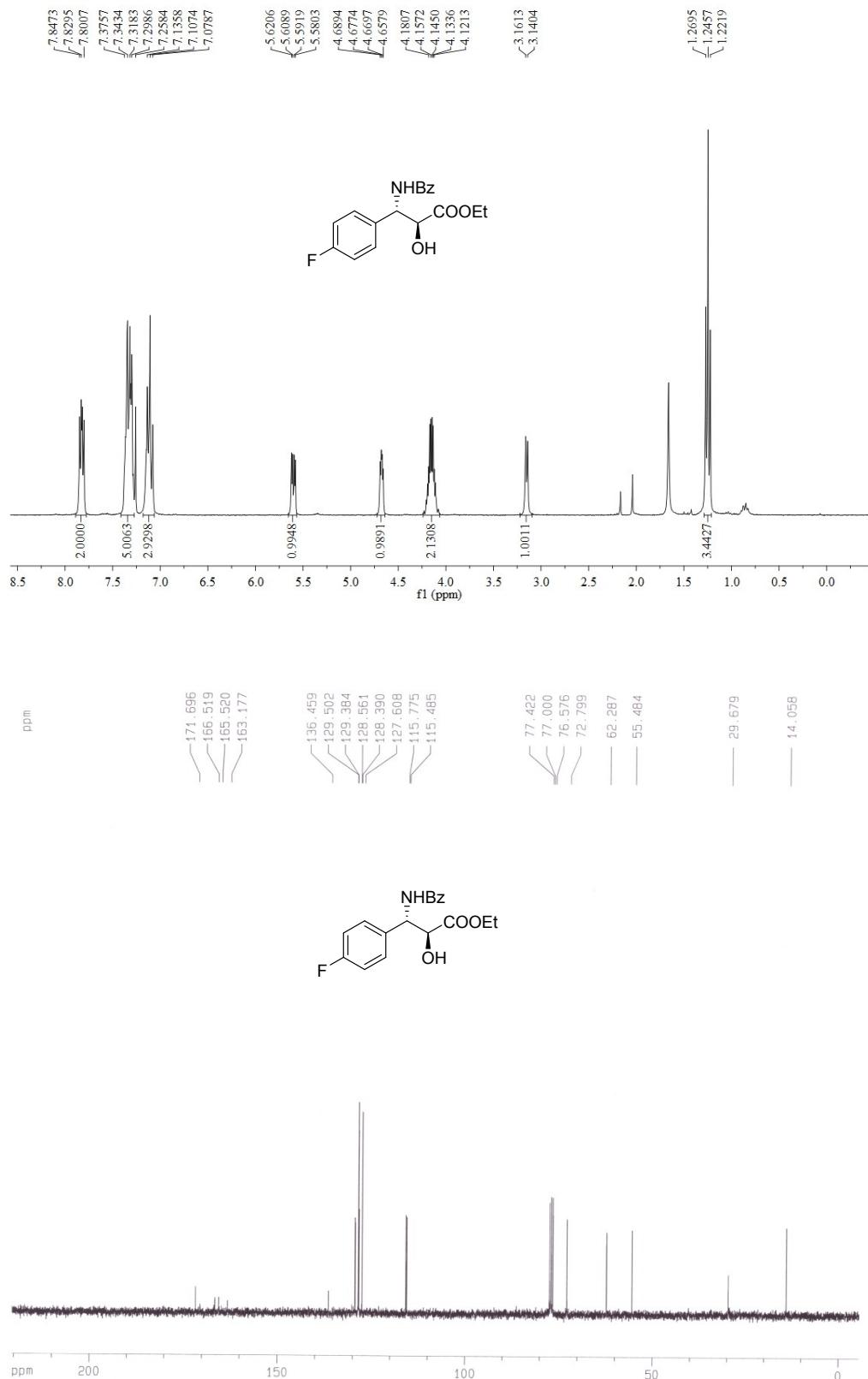
(E)-1-amino-3-(cyclohexyloxy)-3-oxo-1-phenylprop-1-en-2-yl benzoate (1D)



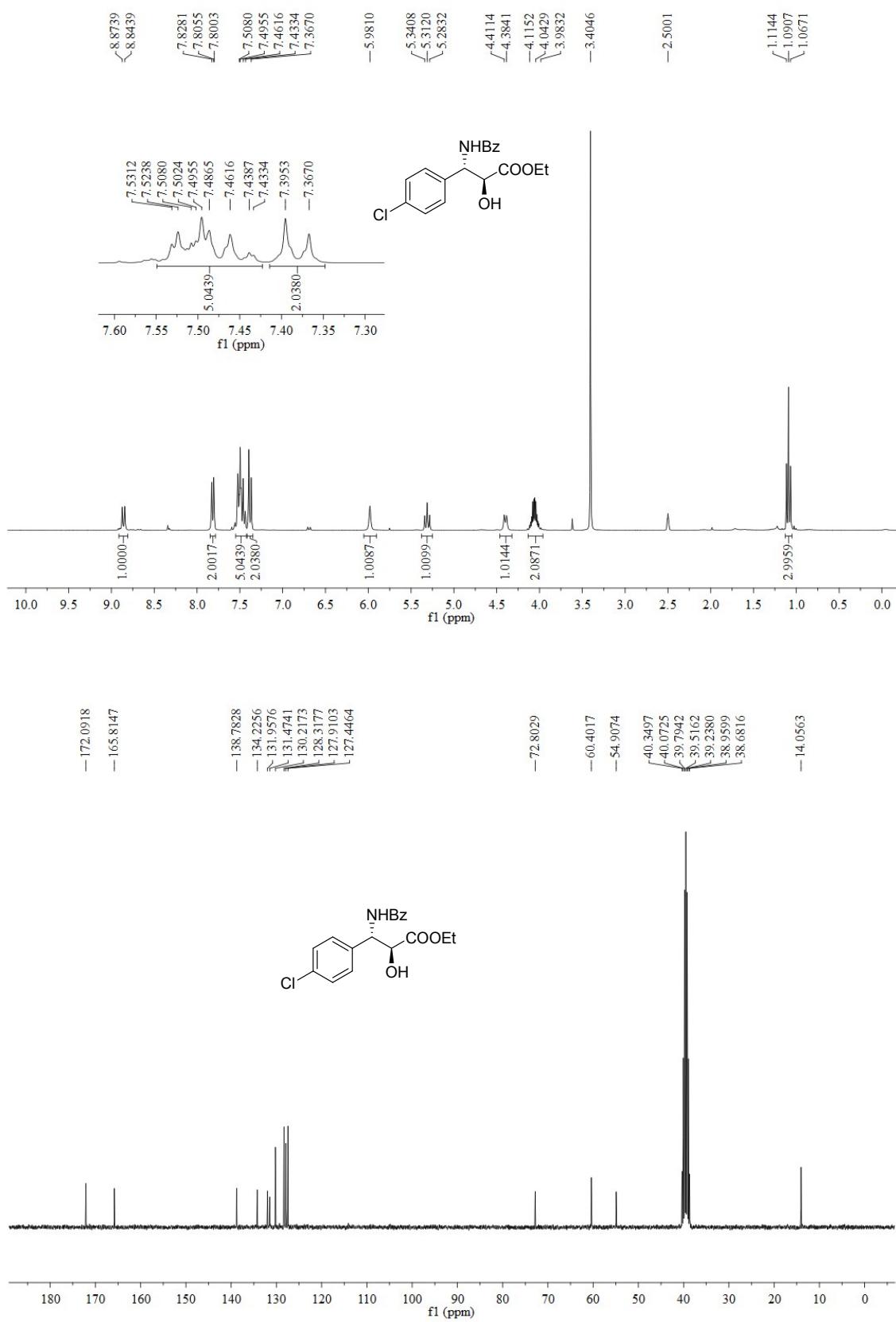
ethyl (2S, 3S)-3-benzamido-2-hydroxy-3-phenylpropanoate (3a)



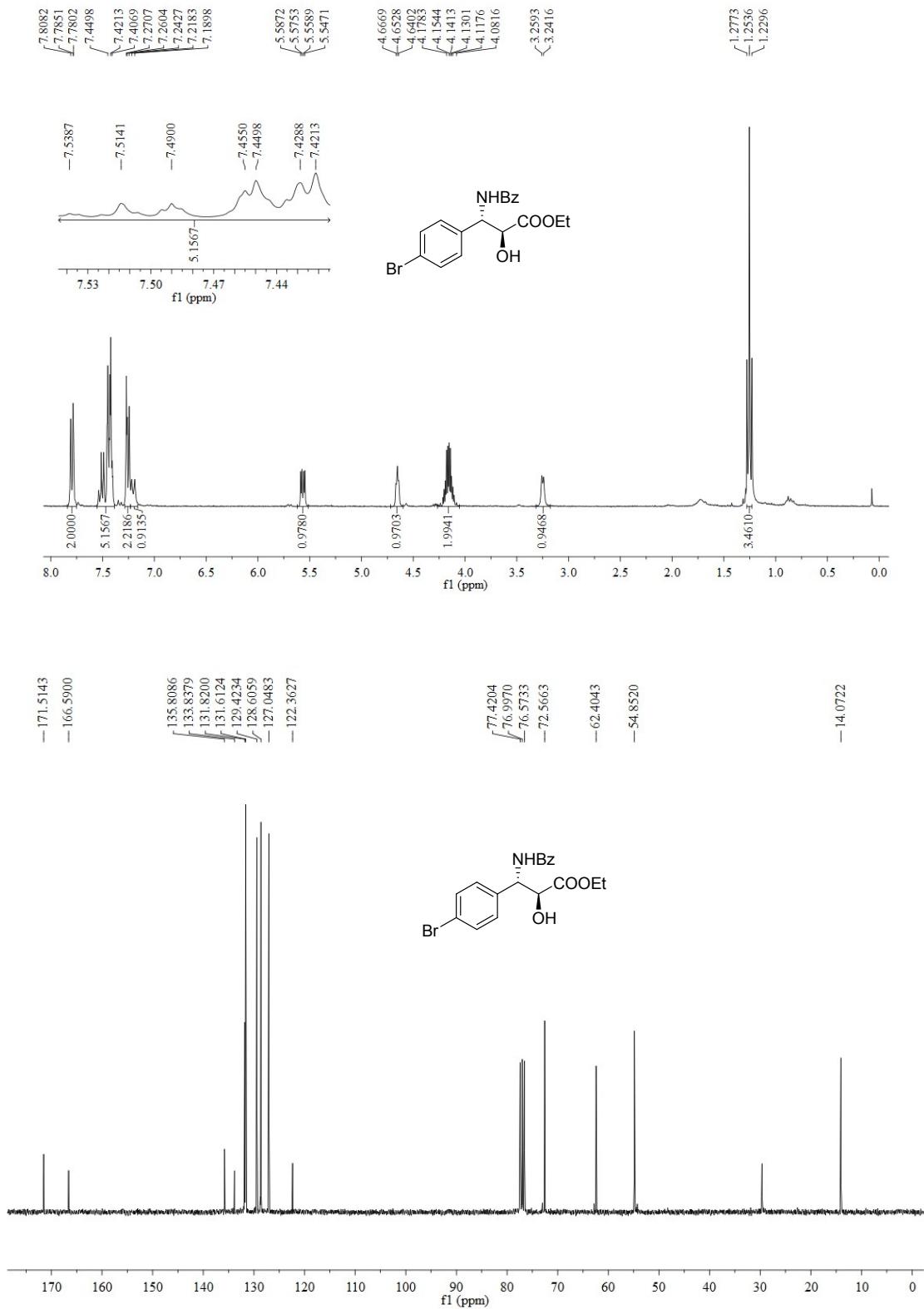
ethyl (2S, 3S)-3-benzamido-3-(4-fluorophenyl)- 2-hydroxypropanoate (3b)



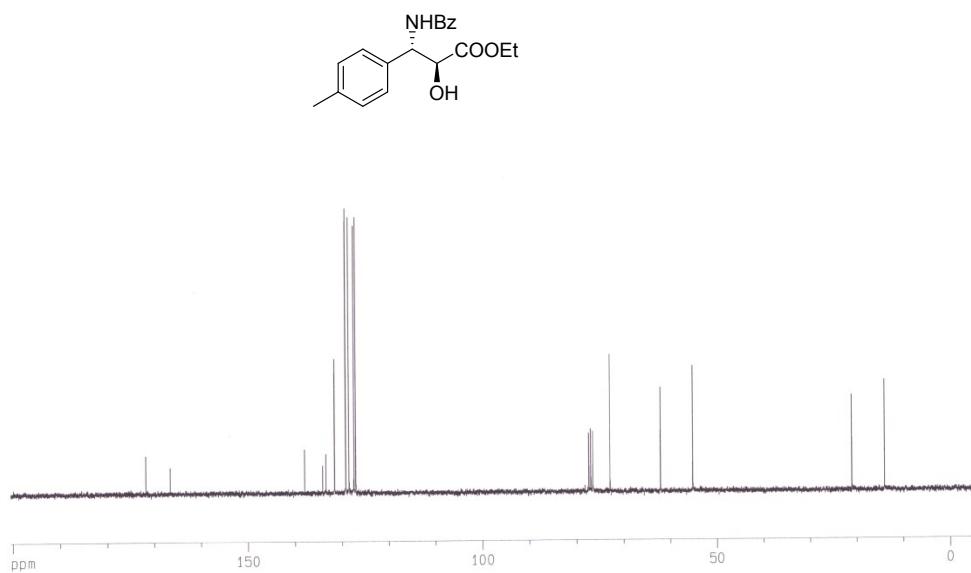
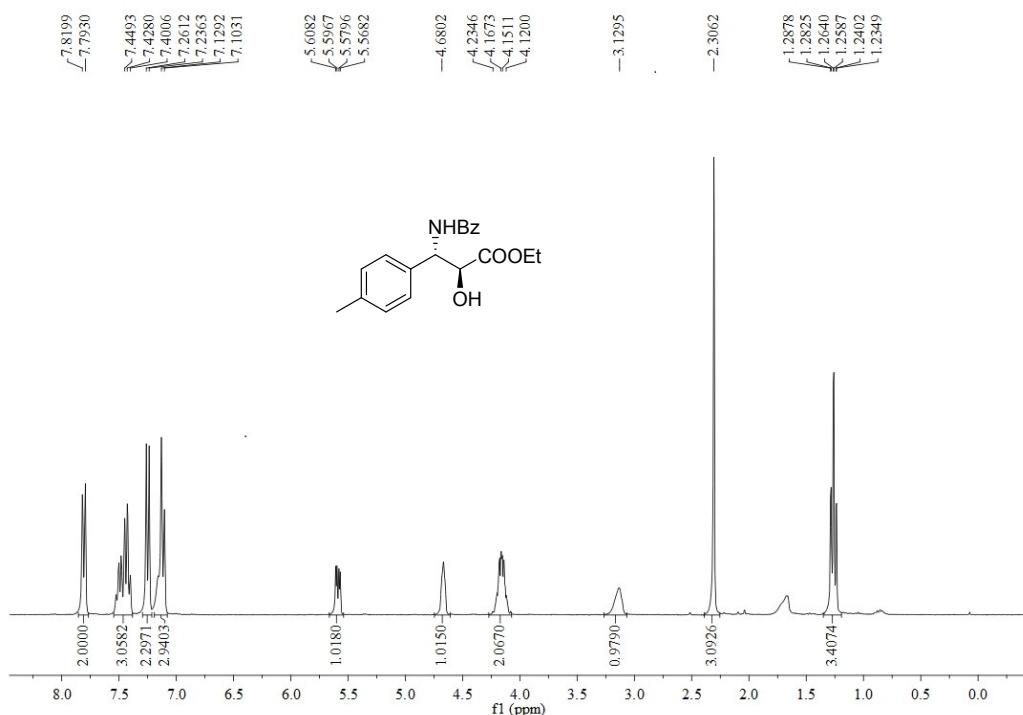
ethyl (2S, 3S)-3-benzamido-3-(4-chlorophenyl)-2-hydroxypropanoate (3c)



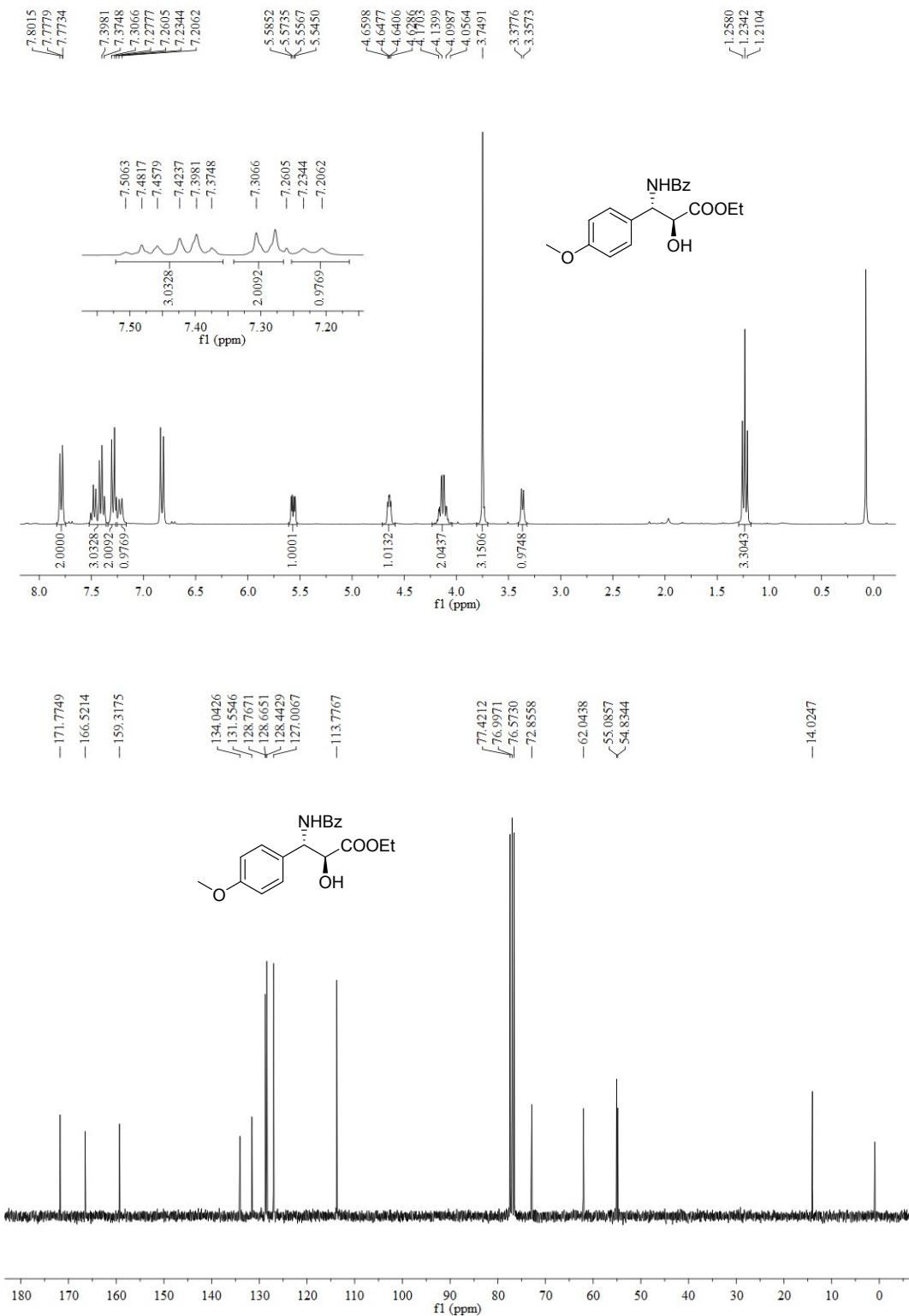
ethyl (2S,3S)-3-(4-bromophenyl)-2-hydroxypropanoate (3d)



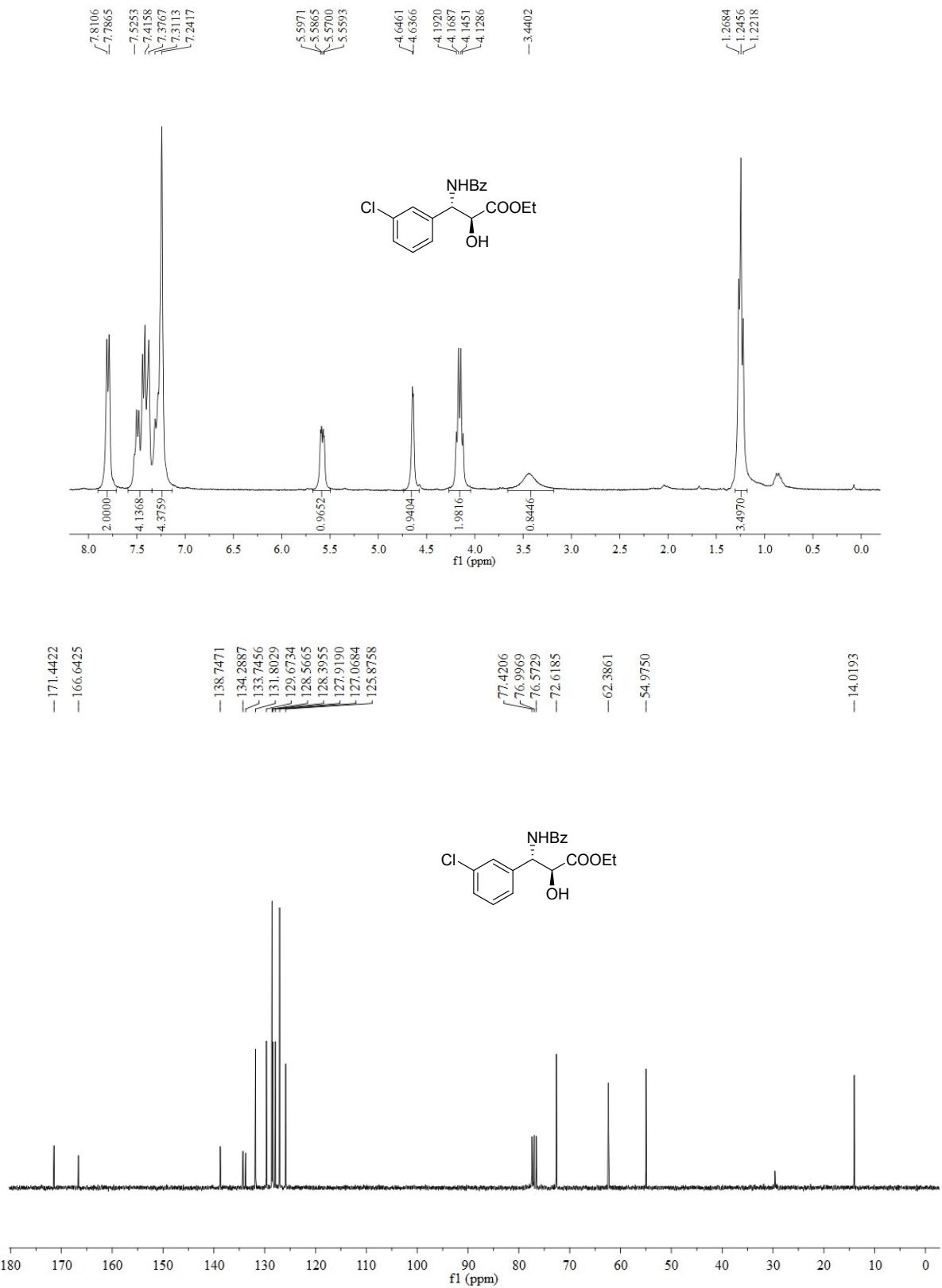
ethyl (2*S*,3*S*)-3-benzamido-2-hydroxy-3-(*p*-tolyl)propanoate (3e**)**



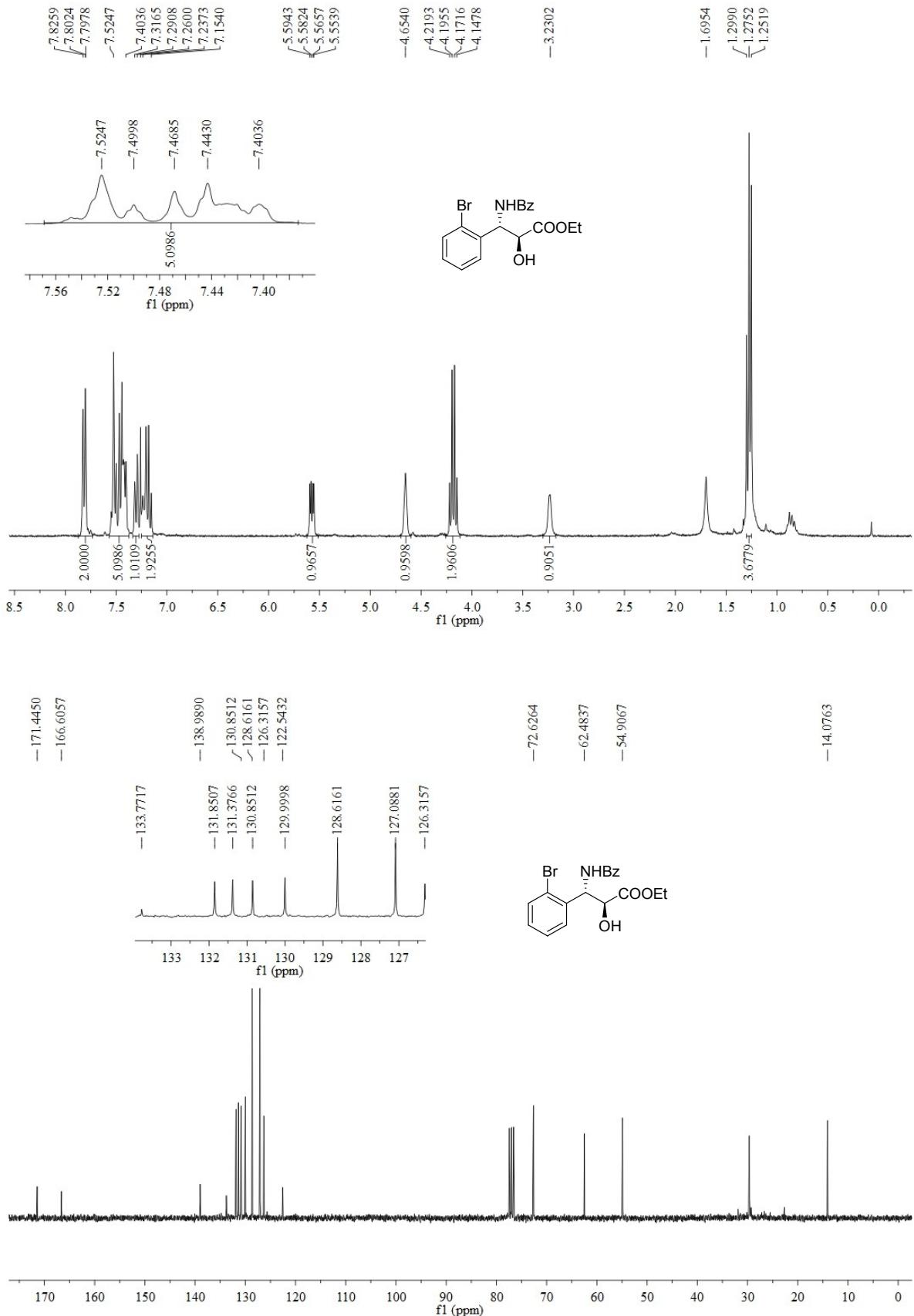
ethyl (2S,3S)-3-benzamido-2-hydroxy-3-(4-methoxyphenyl)propanoate (3f)



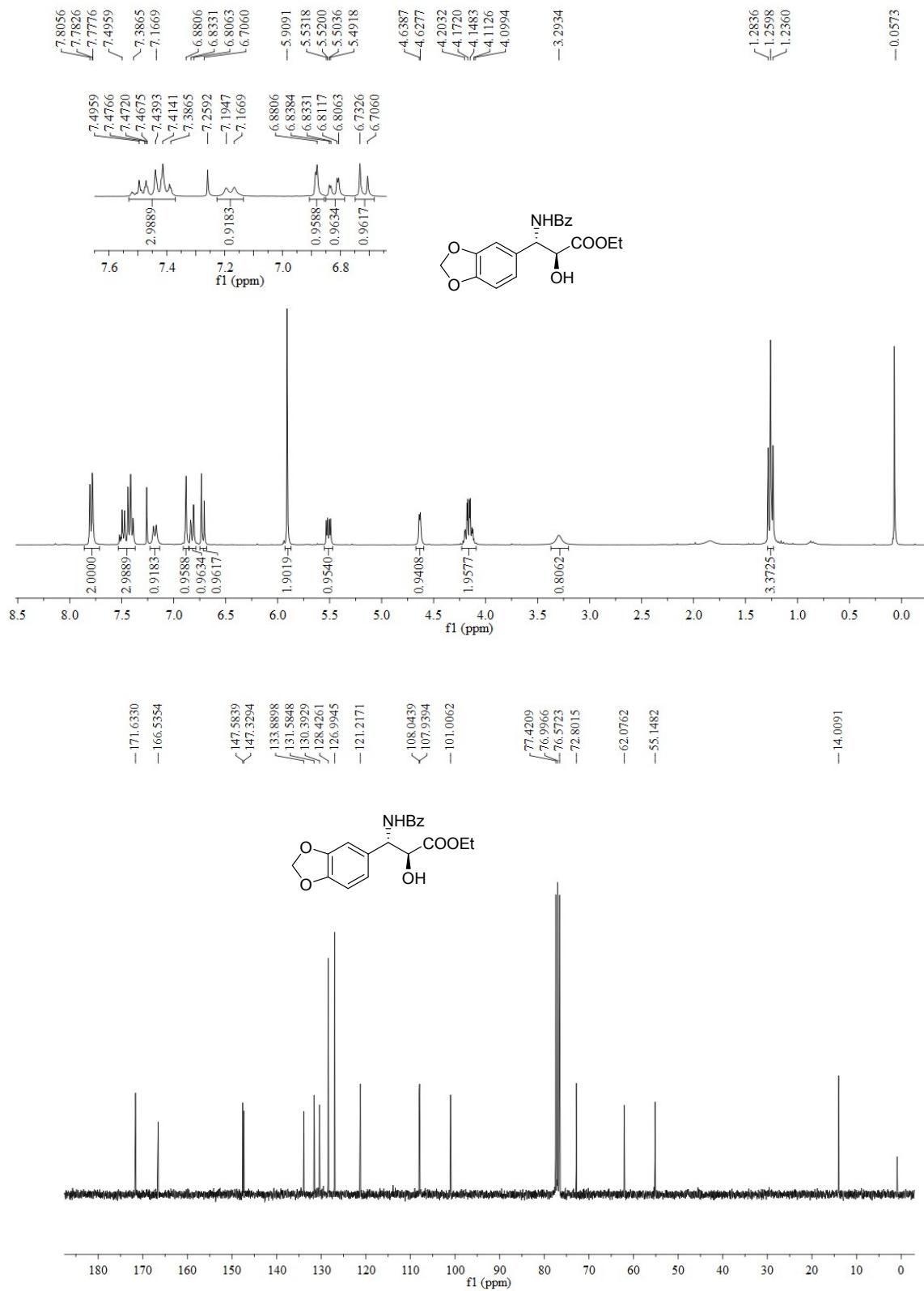
ethyl (2S,3S)-3-benzamido-3-(3-chlorophenyl)-2-hydroxypropanoate (3g)



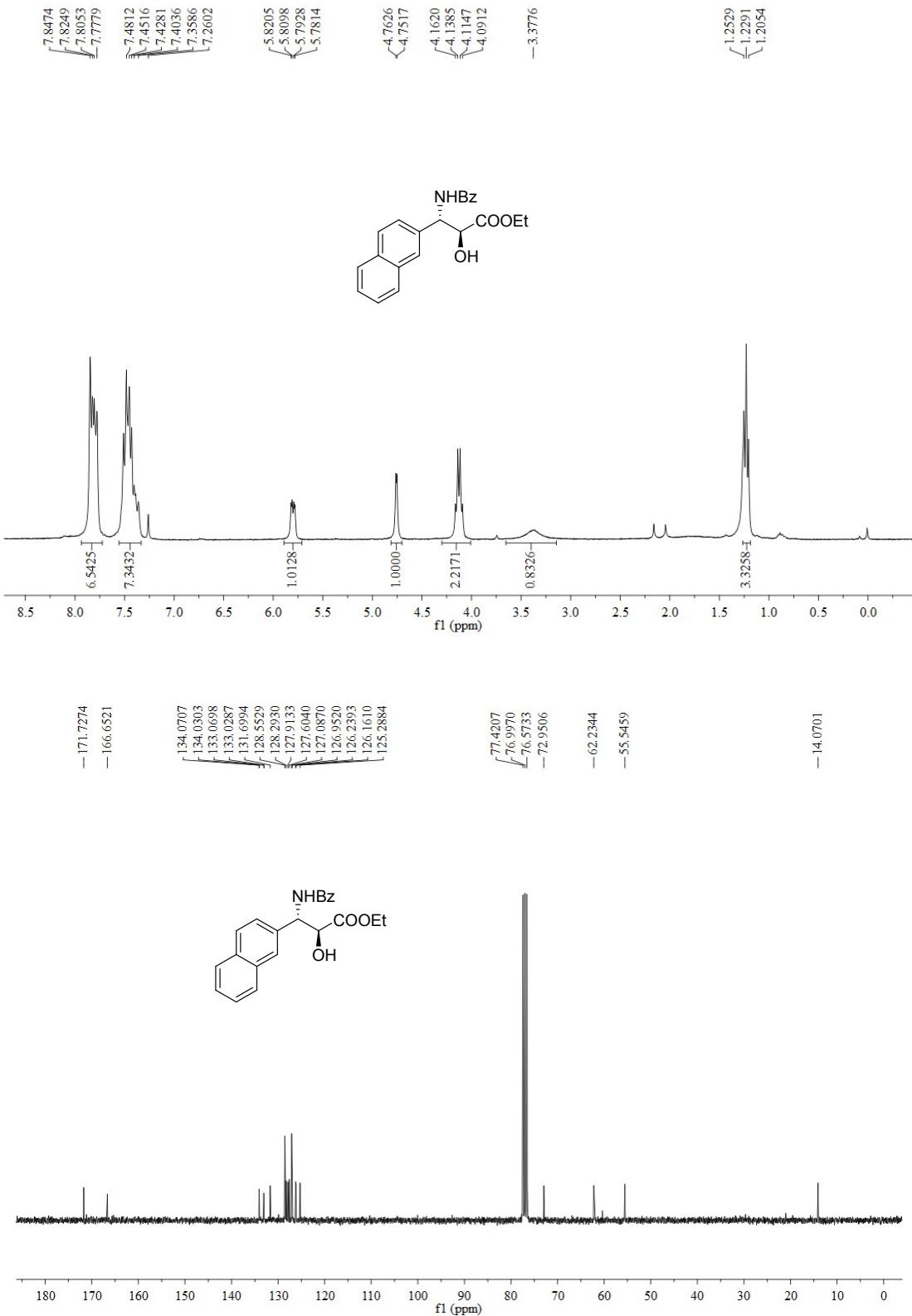
ethyl (2S,3S)-3-(2-bromophenyl)-2-hydroxypropanoate (3b)



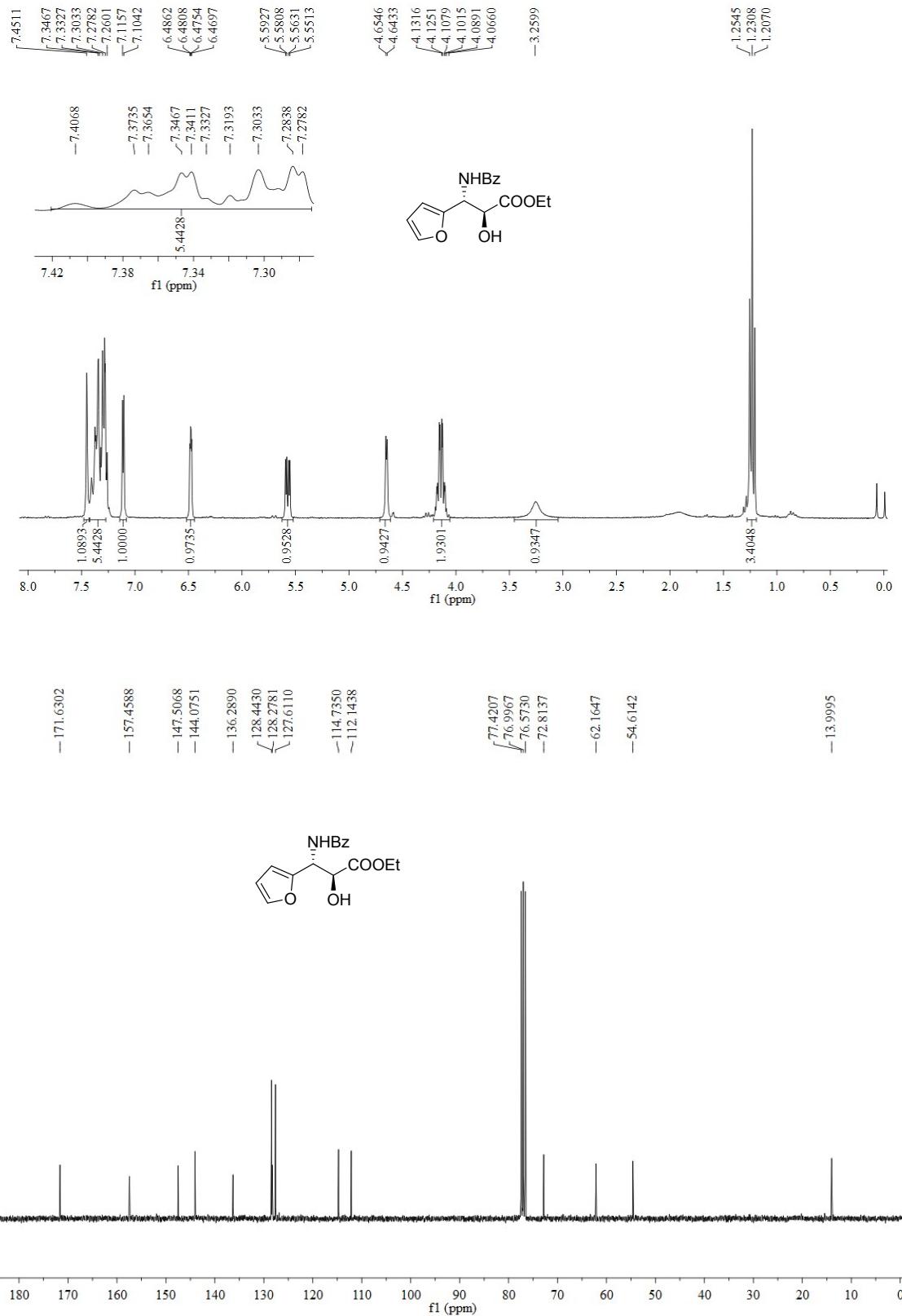
ethyl (2S,3S)-3-(benzamido)-3-(benzo[d][1,3]dioxol-5-yl)-2-hydroxypropanoate (3i)



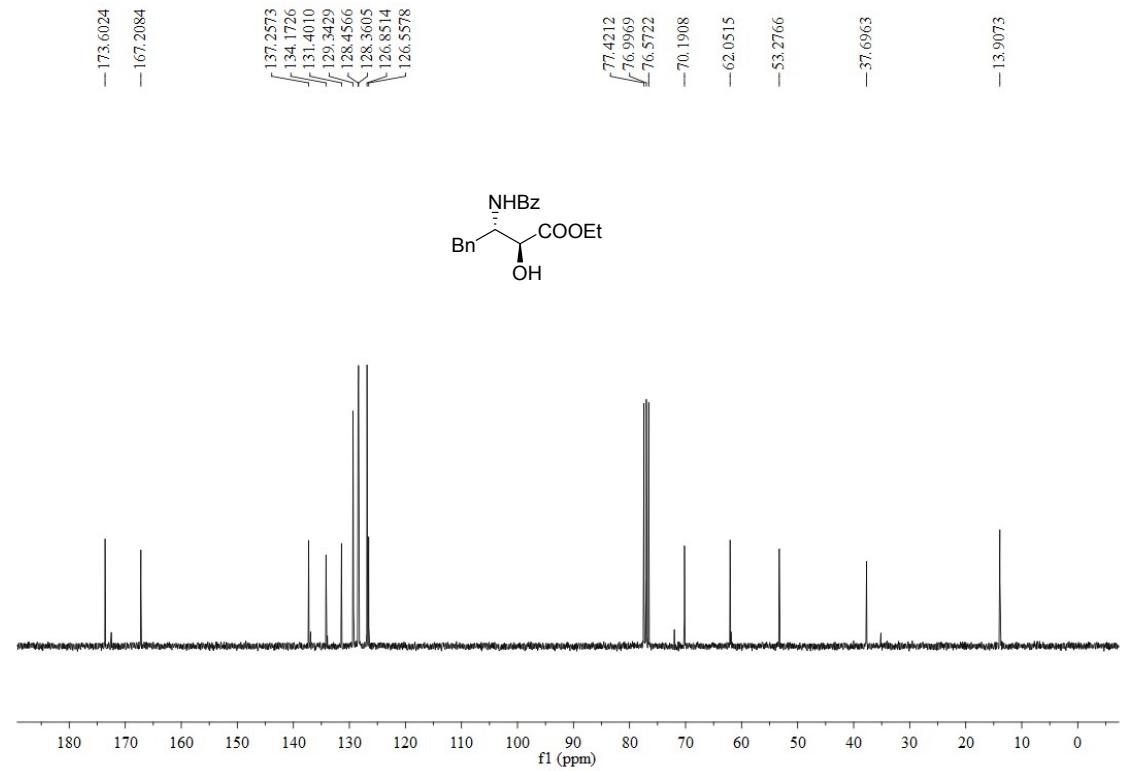
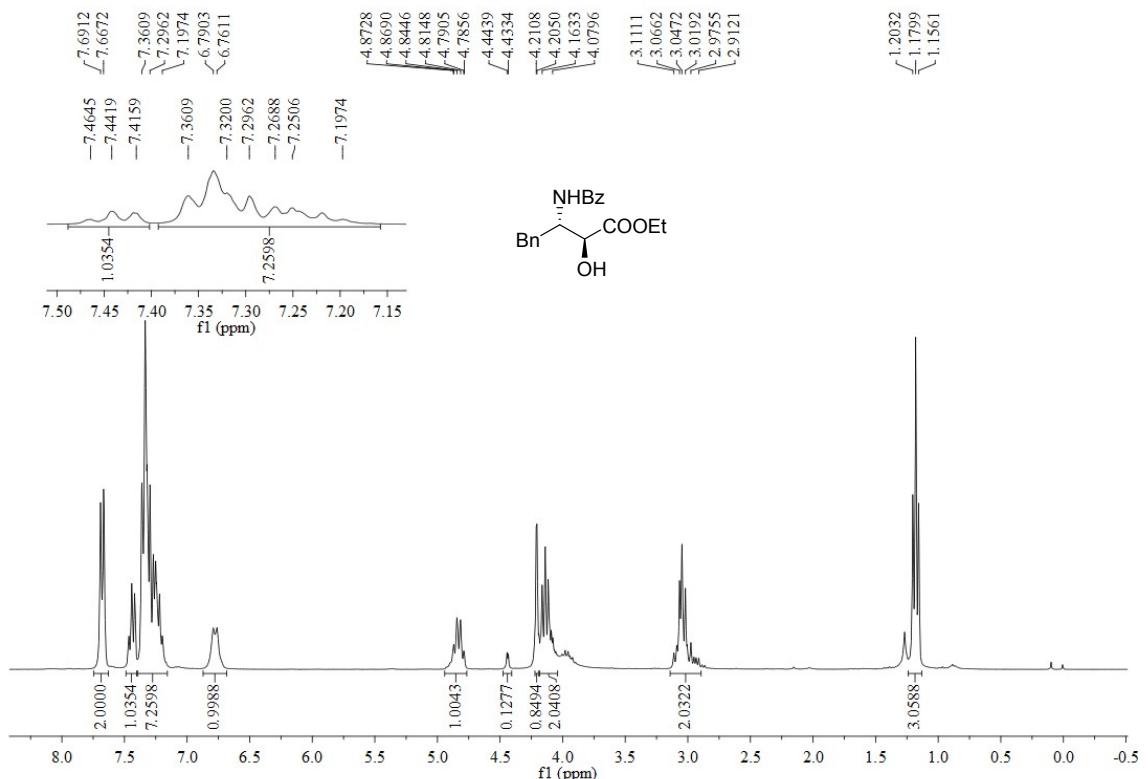
ethyl (2S,3S)-3-benzamido-2-hydroxy-3-(naphthalen-2-yl) propanoate (3j)



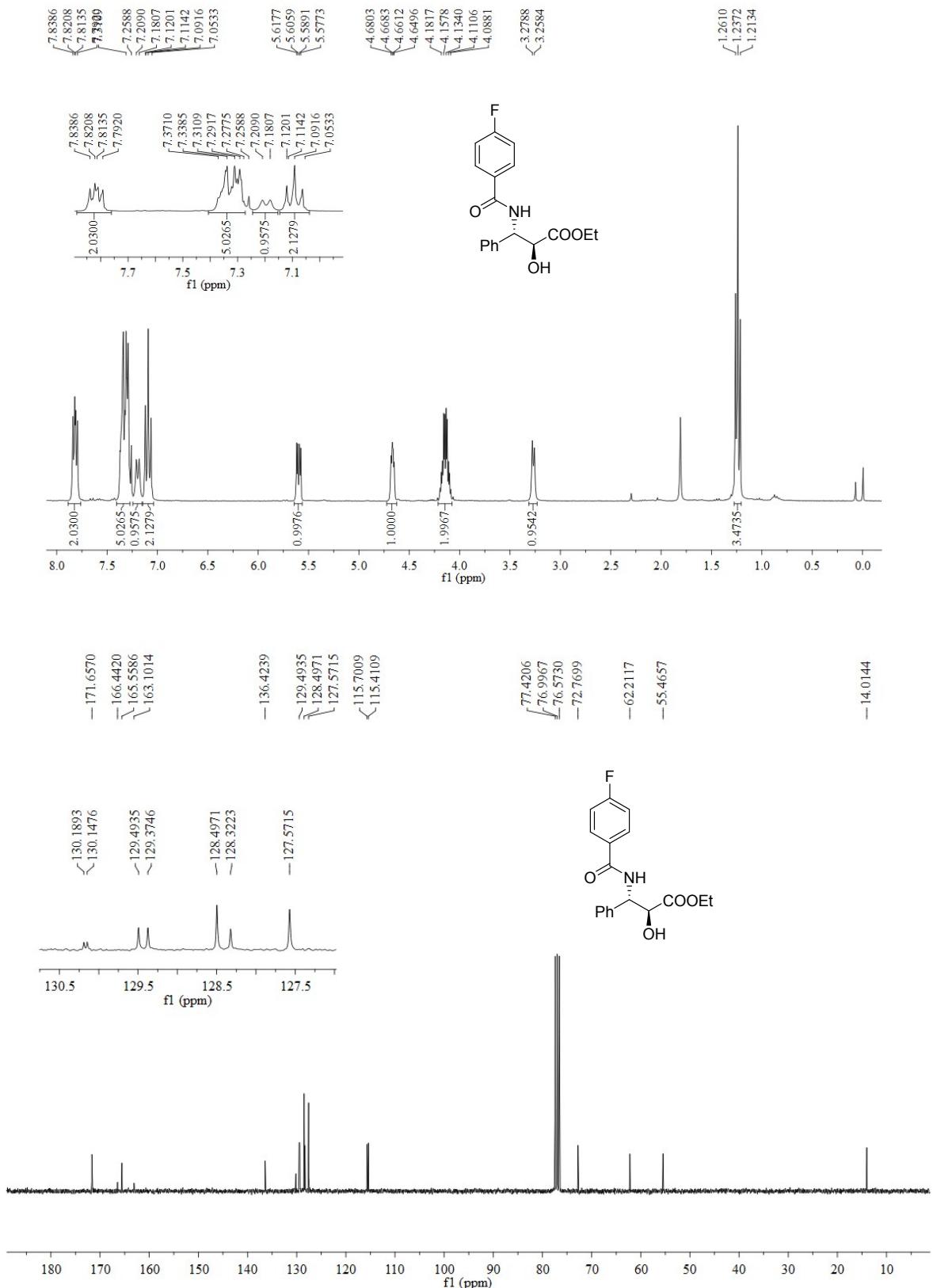
ethyl (2S,3R)-3-benzamido-3-(furan-2-yl)-2-hydroxypropanoate (3l)



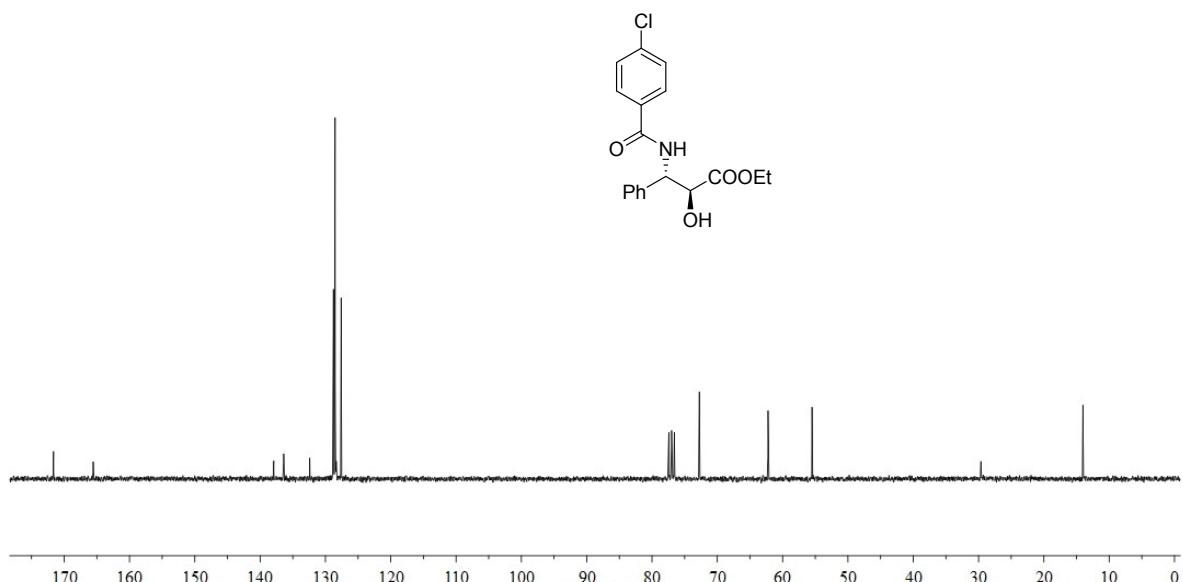
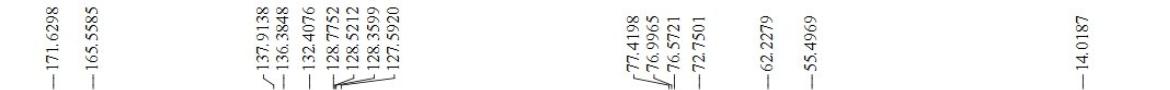
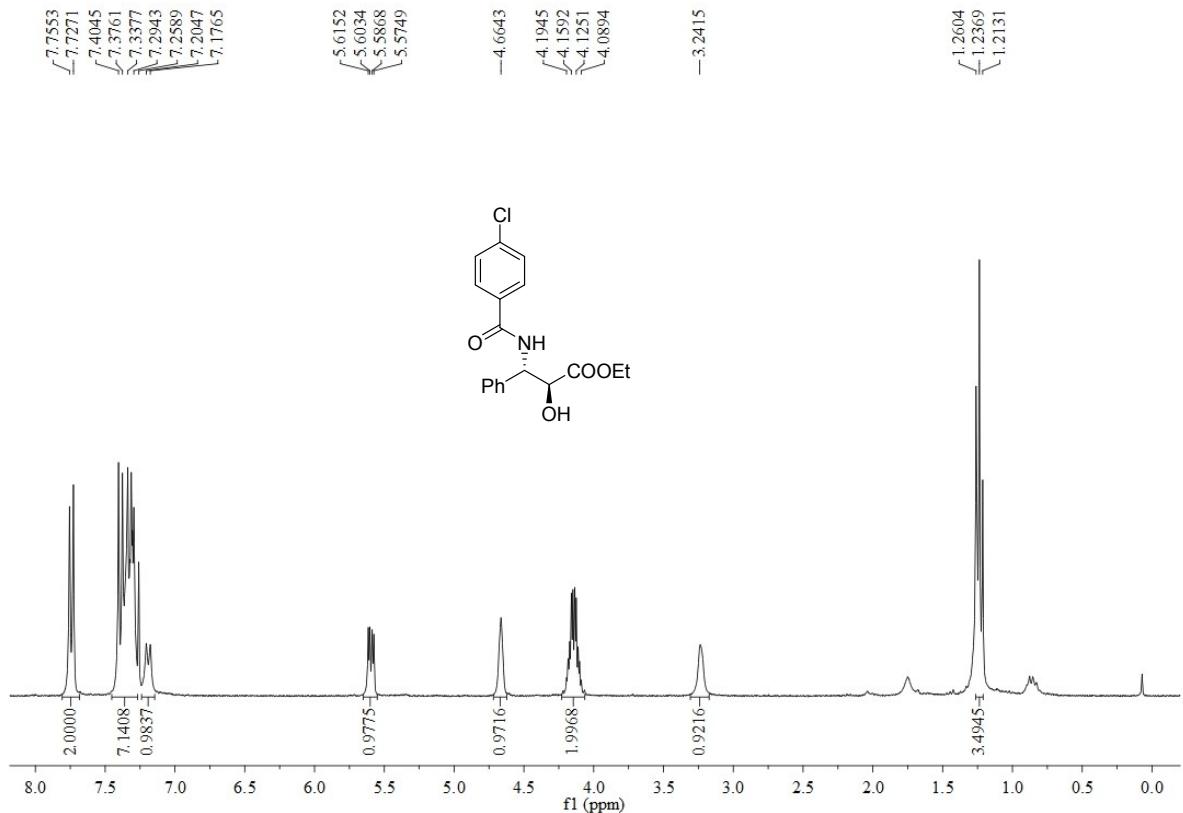
ethyl (2S,3S)-3-benzamido-2-hydroxy -4-phenylbutanoate (3m)



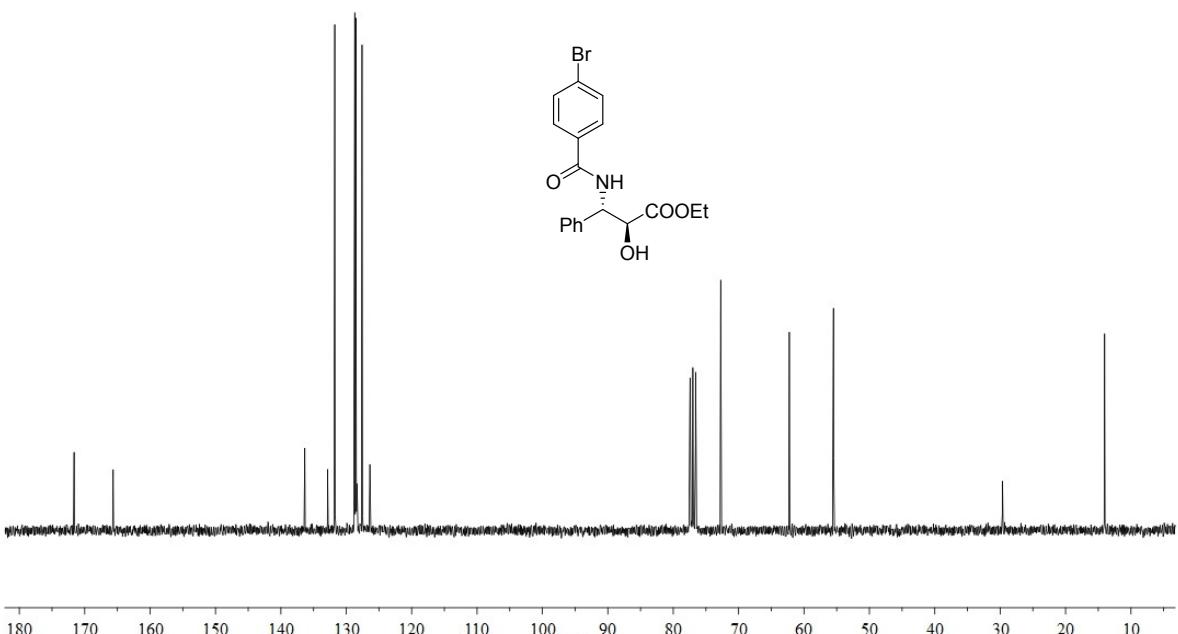
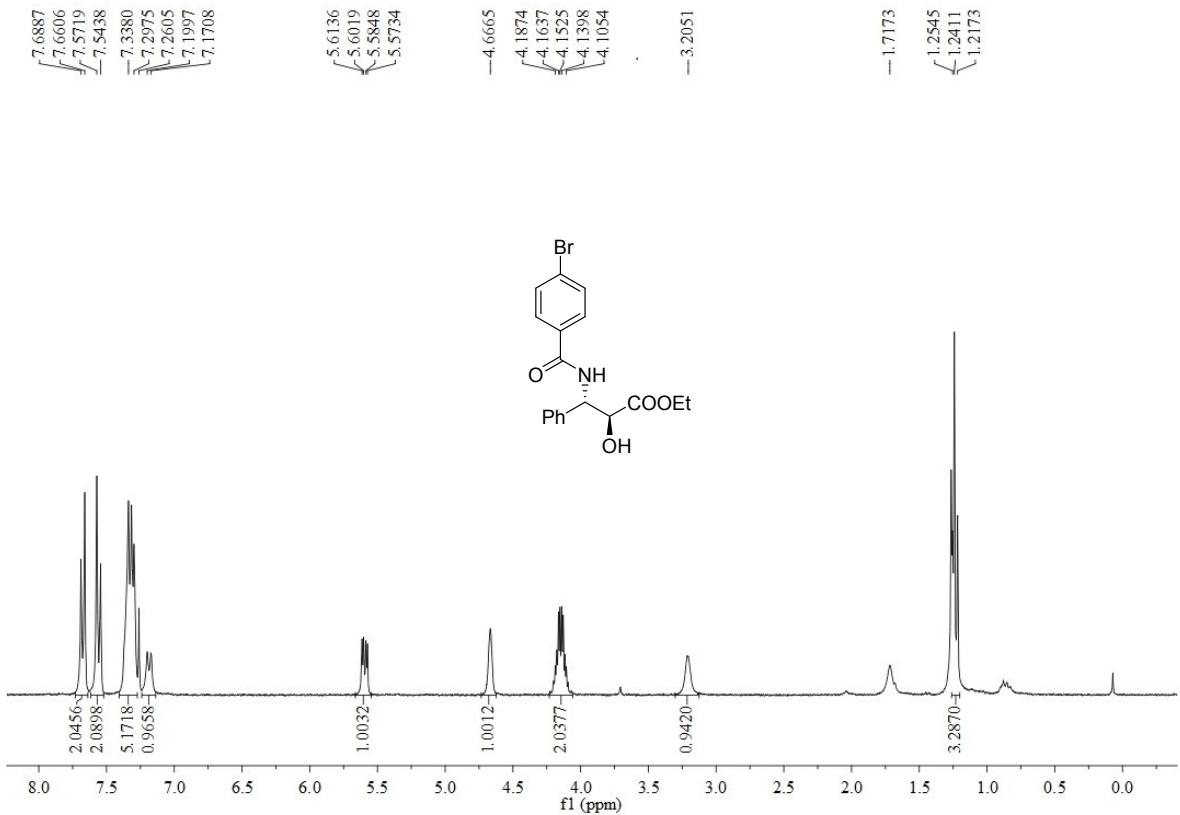
ethyl (2S,3S)-3-(4-fluorobenzamido)-2-hydroxy-3-phenylpropanoate (3n)



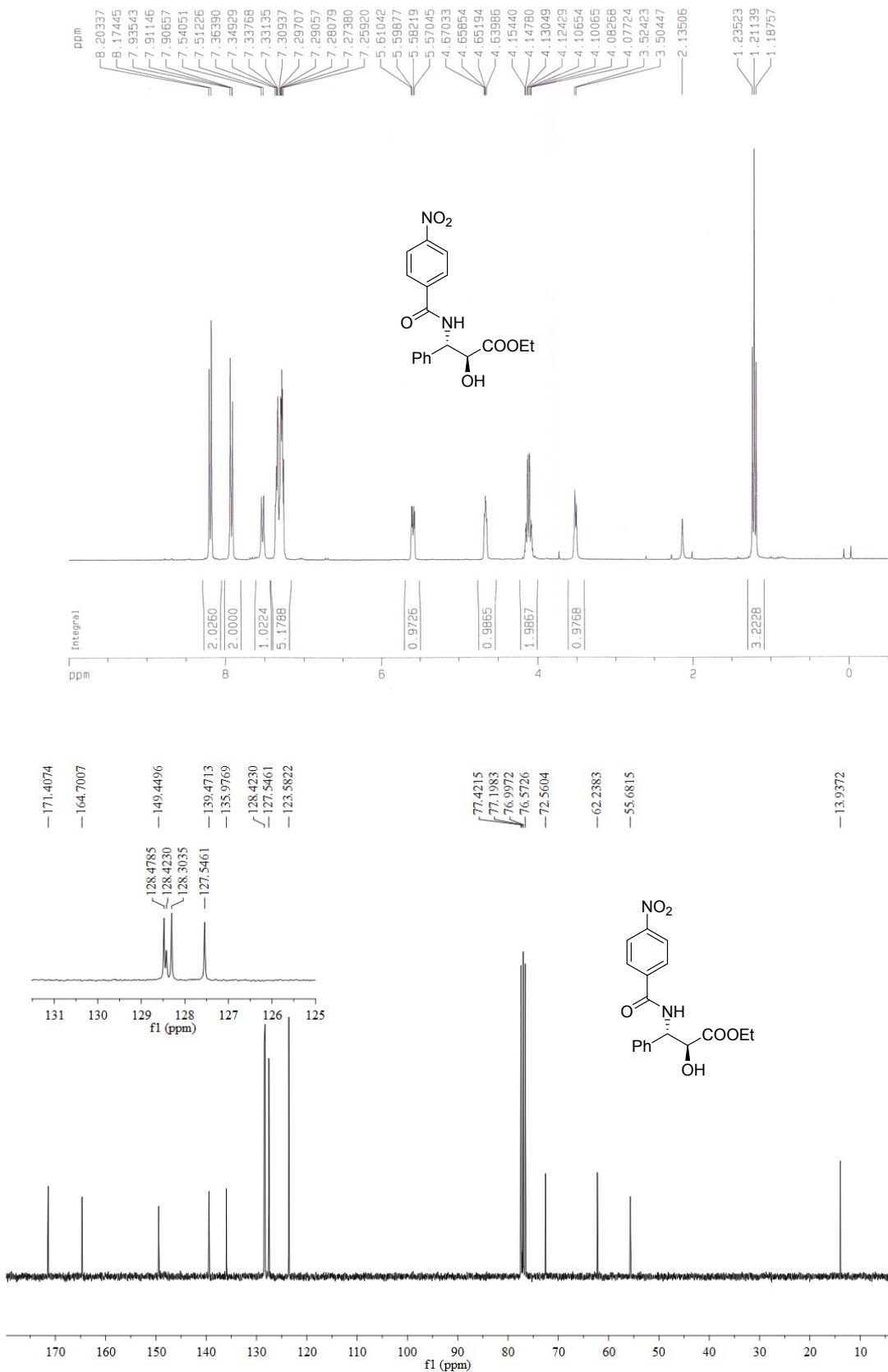
ethyl (2S,3S)-3-(4-chlorobenzamido)-2-hydroxy-3-phenylpropanoate (3o)



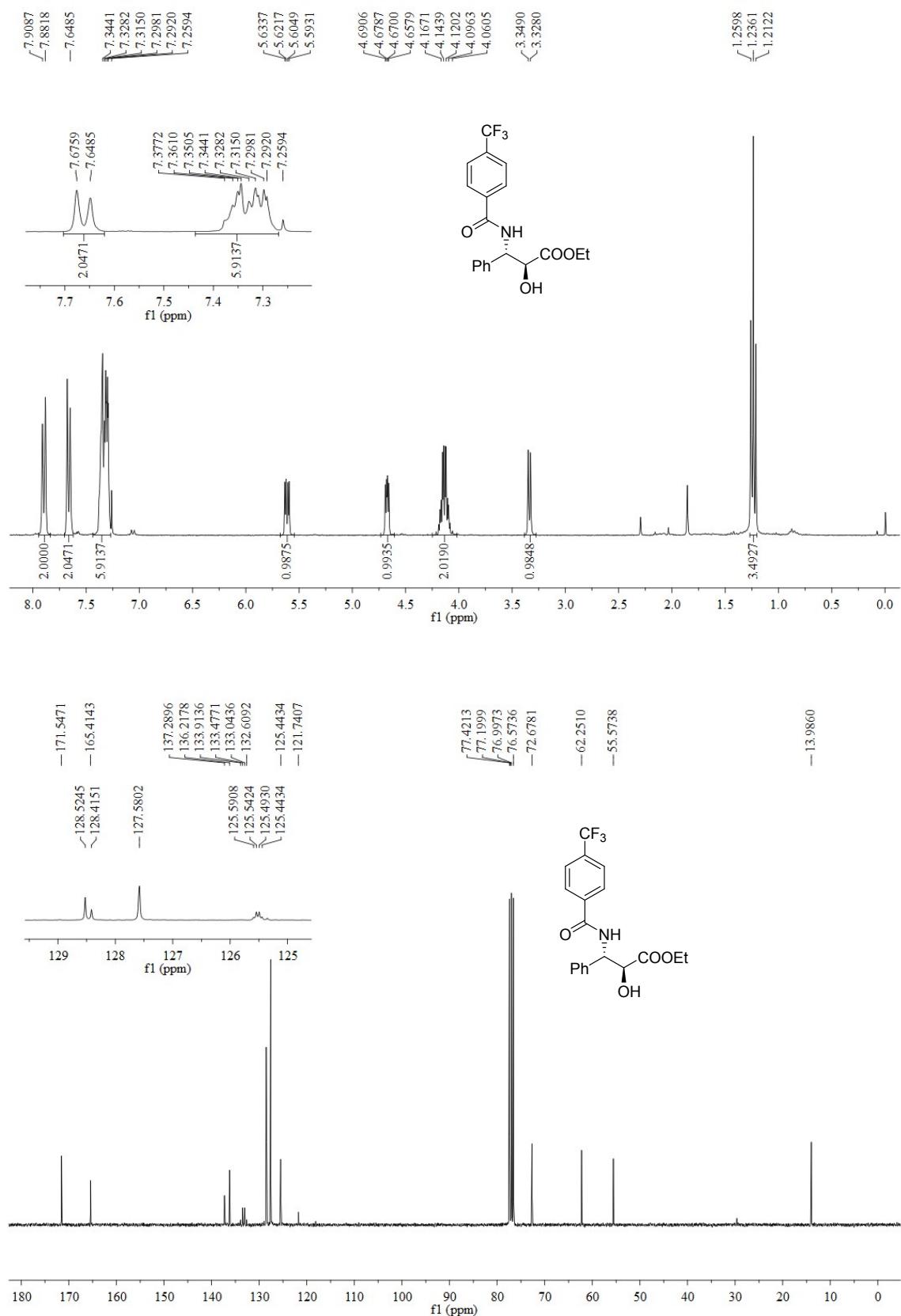
ethyl (2S,3S)-3-(4-bromobenzamido)-2-hydroxy-3-phenylpropanoate (3p)



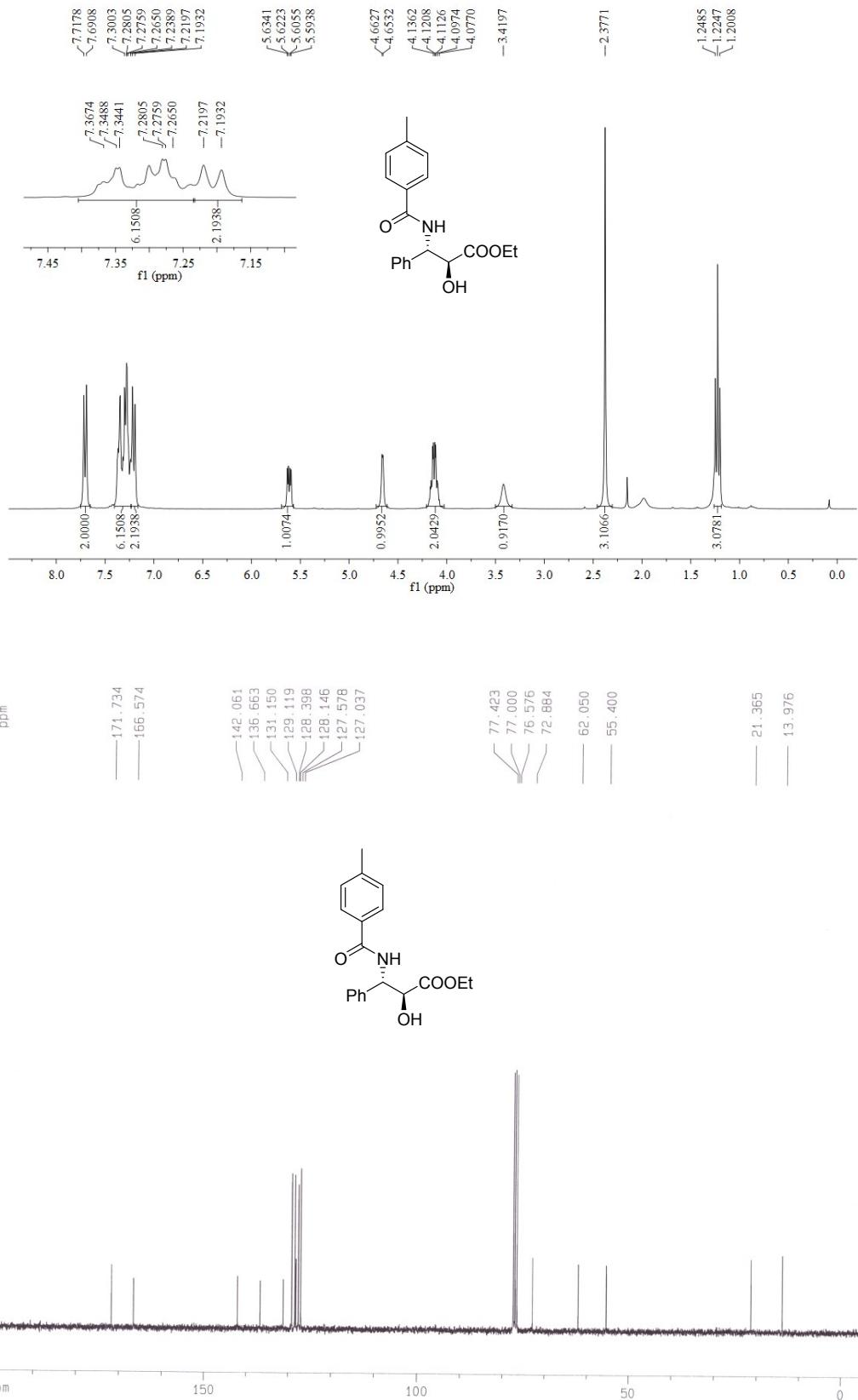
ethyl (2*S*,3*S*)-2-hydroxy -3-(4-nitrobenzamido)-3-phenylpropanoate (3q)



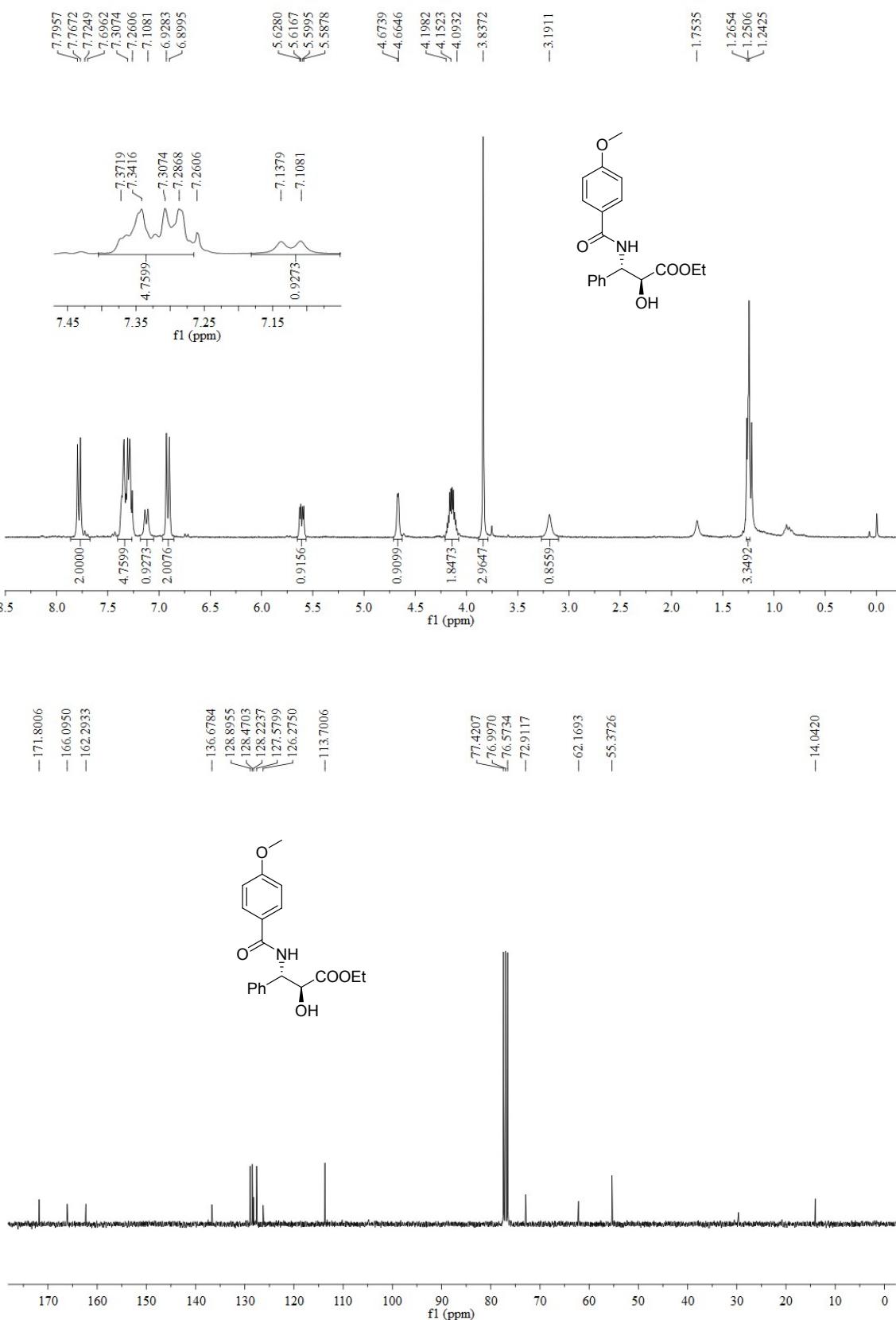
ethyl (2S,3S)-2-hydroxy-3-phenyl -3-(4-(trifluoromethyl)benzamido) propanoate (3r)



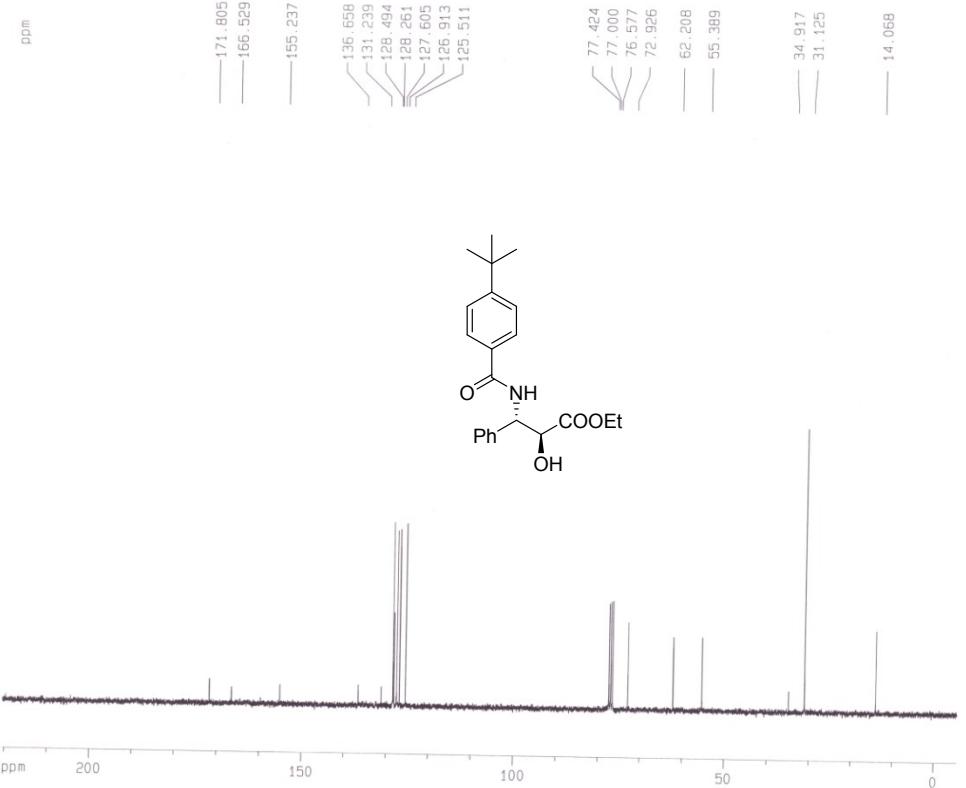
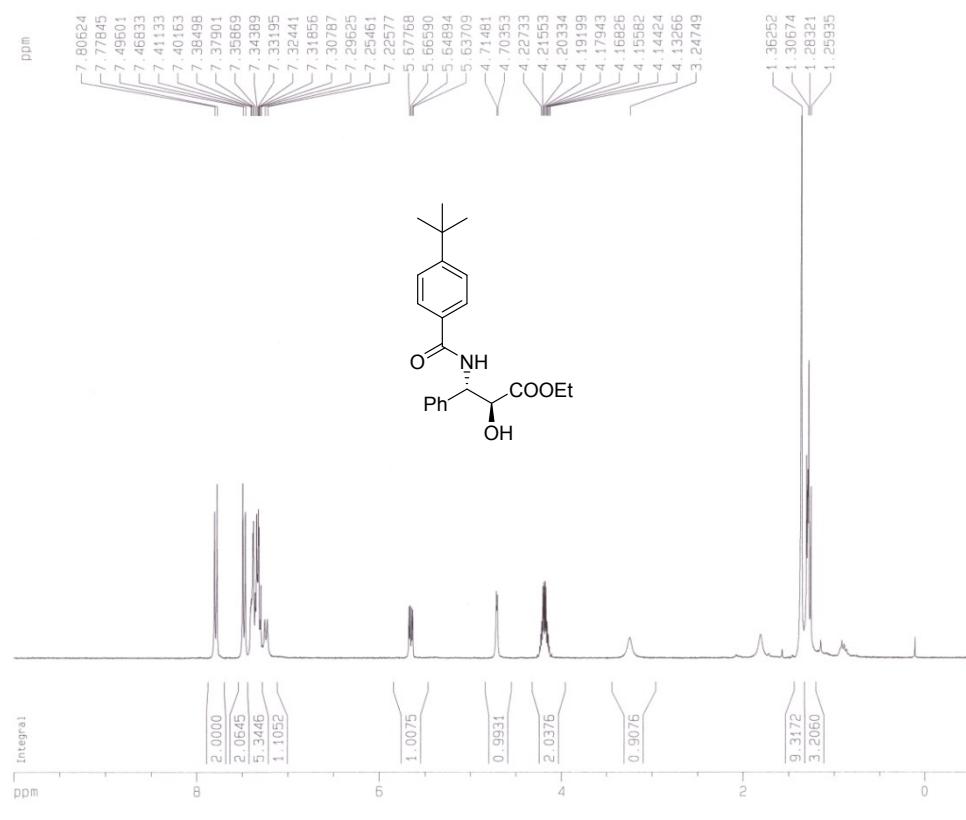
ethyl (2S,3S)-2-hydroxy-3-(4-methylbenzamido)-3-phenylpropanoate (3s)



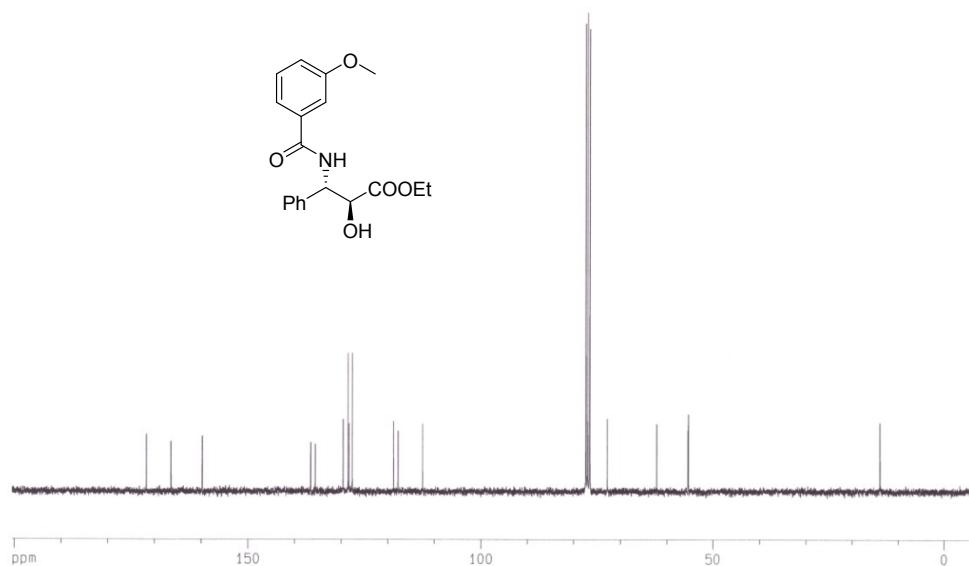
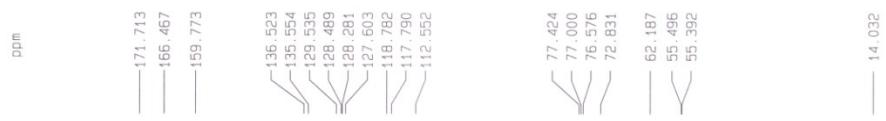
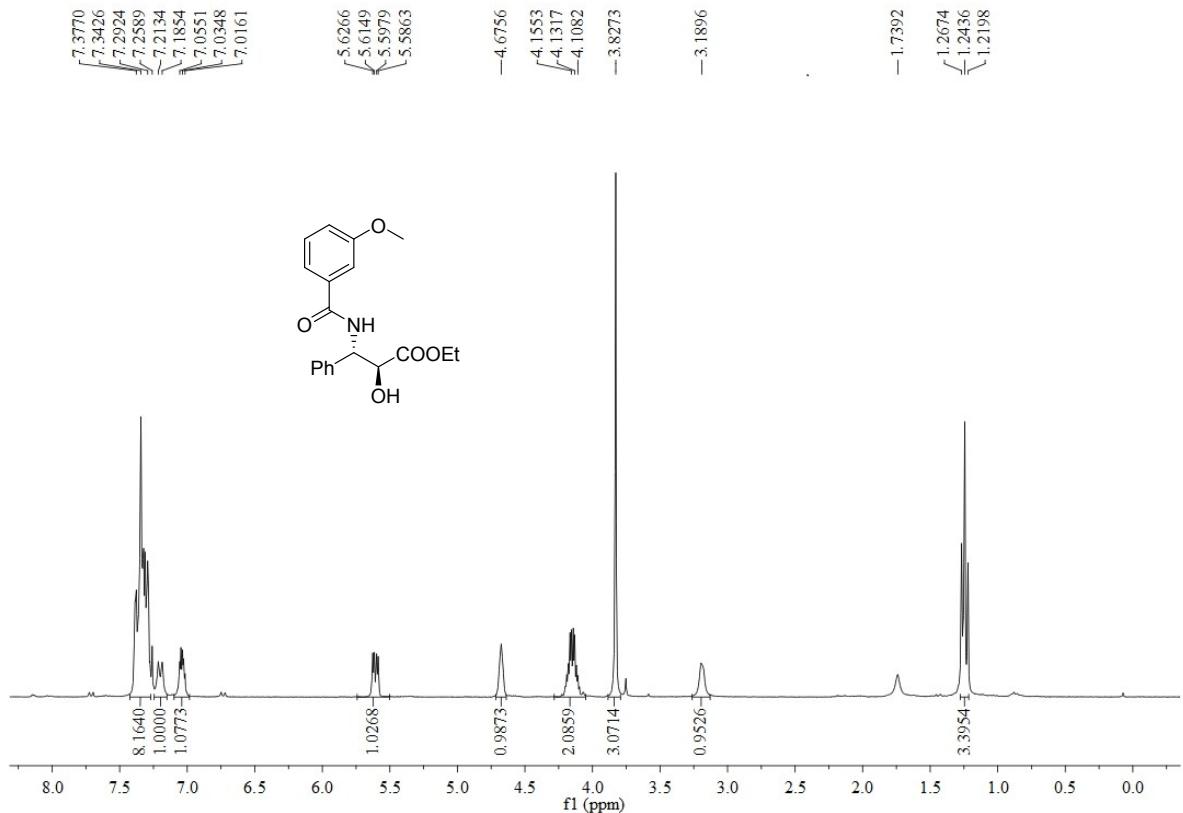
ethyl (2S,3S)-2-hydroxy-3-(4-methoxybenzamido)-3-phenylpropanoate (3t)



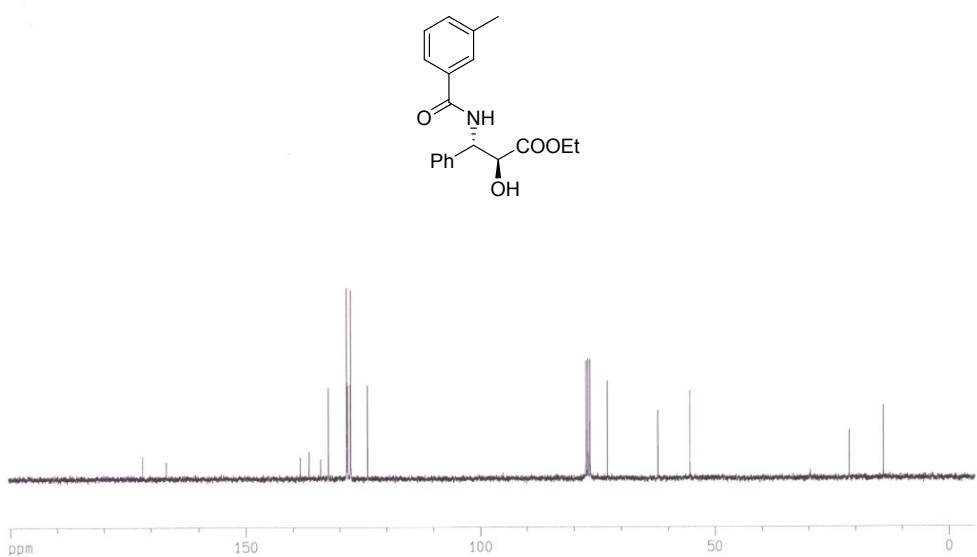
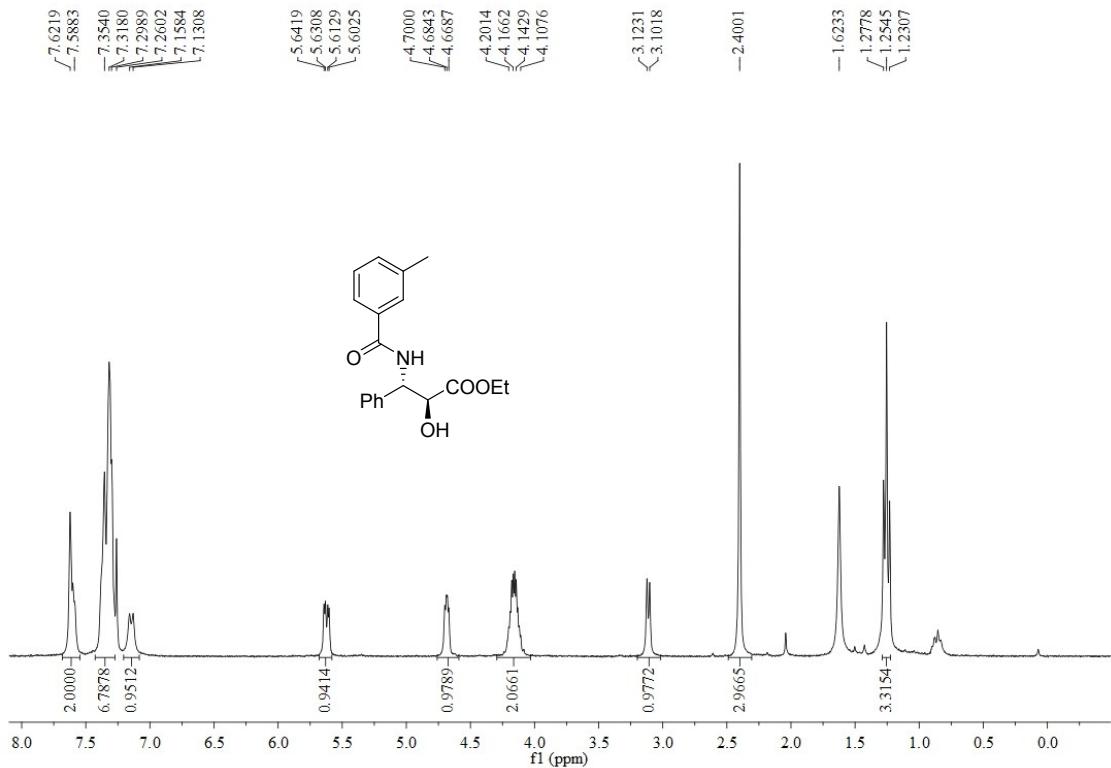
ethyl (2*S*,3*S*)-3-(4-(*tert*-butyl) benzamido)-2-hydroxy-3-phenylpropanoate (3u**)**



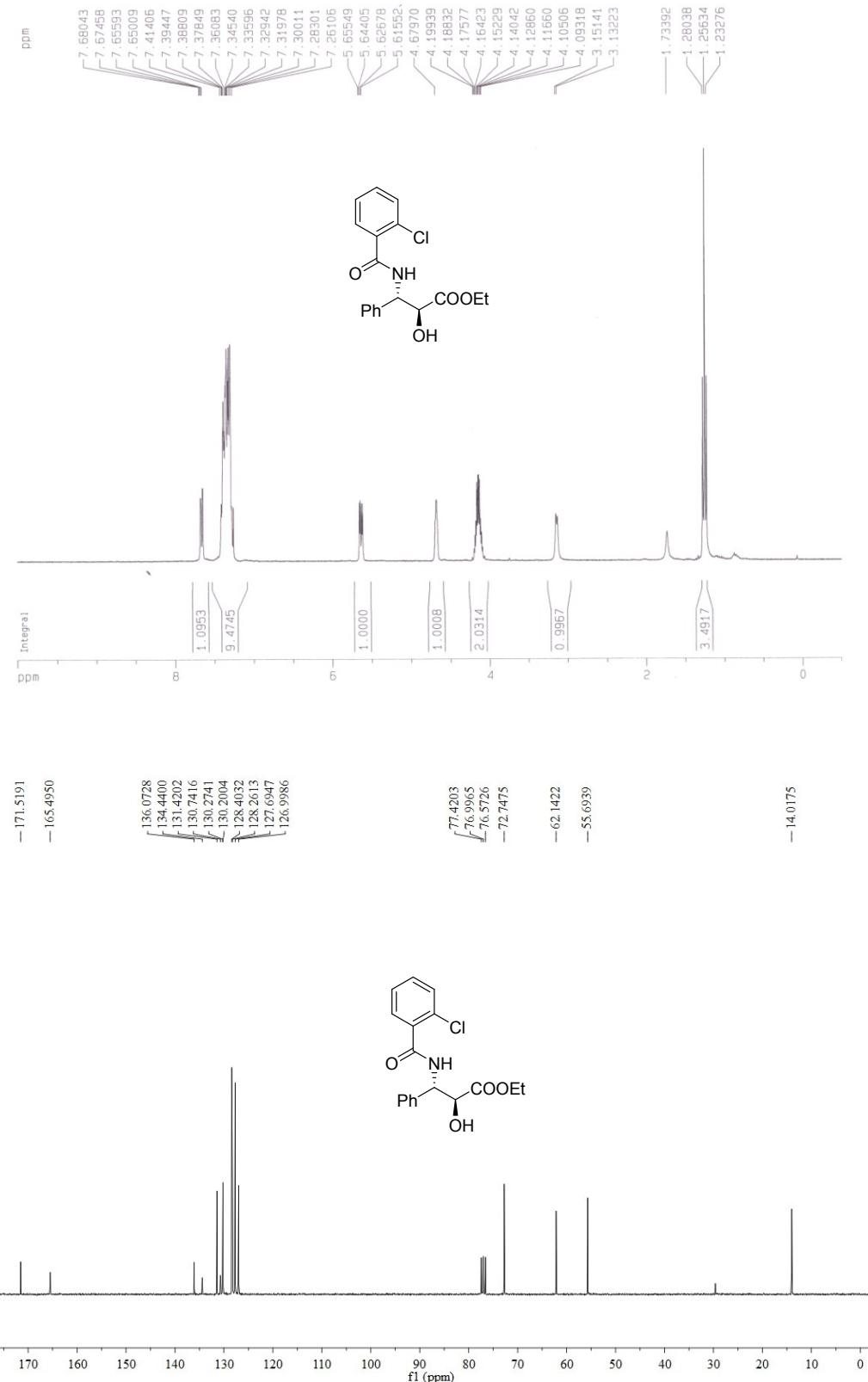
ethyl (2*S*,3*S*) -2-hydroxy-3-(3-methoxybenzamido) -3-phenylpropanoate (3v)



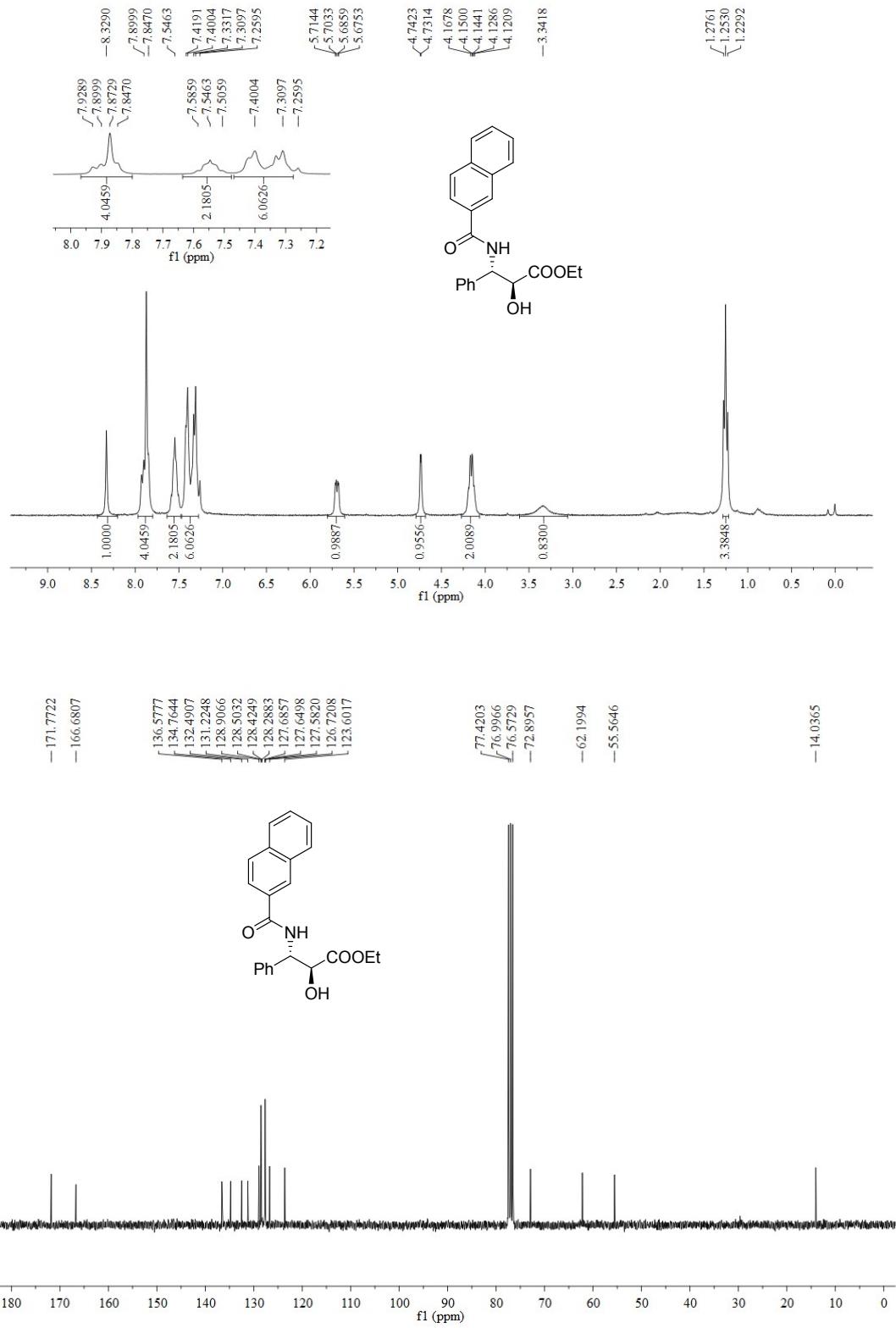
ethyl (2S,3S) -2-hydroxy-3-(3-methylbenzamido) -3-phenylpropanoate (3w)



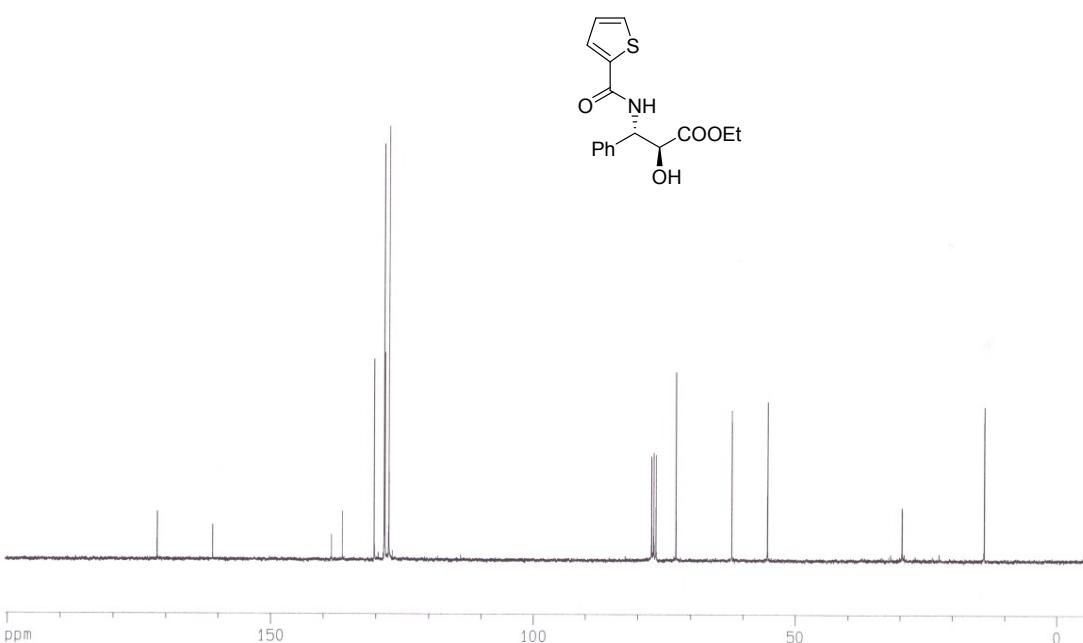
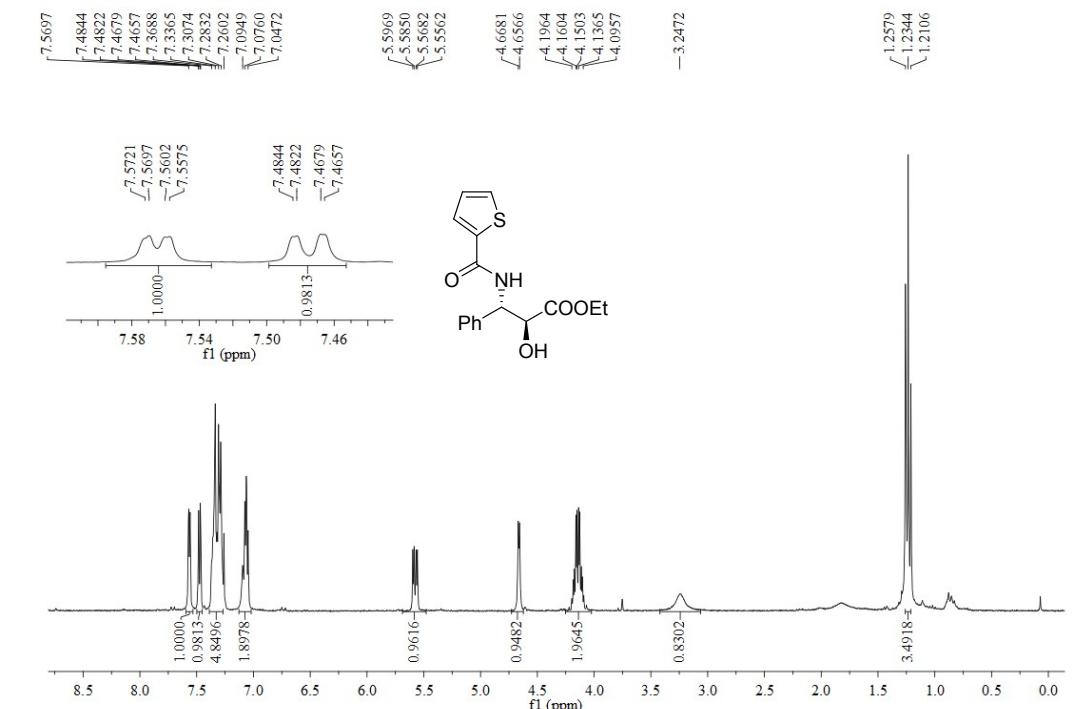
ethyl (2S,3S)-3-(2-chlorobenzamido)-2-hydroxy-3-phenylpropanoate (3x)



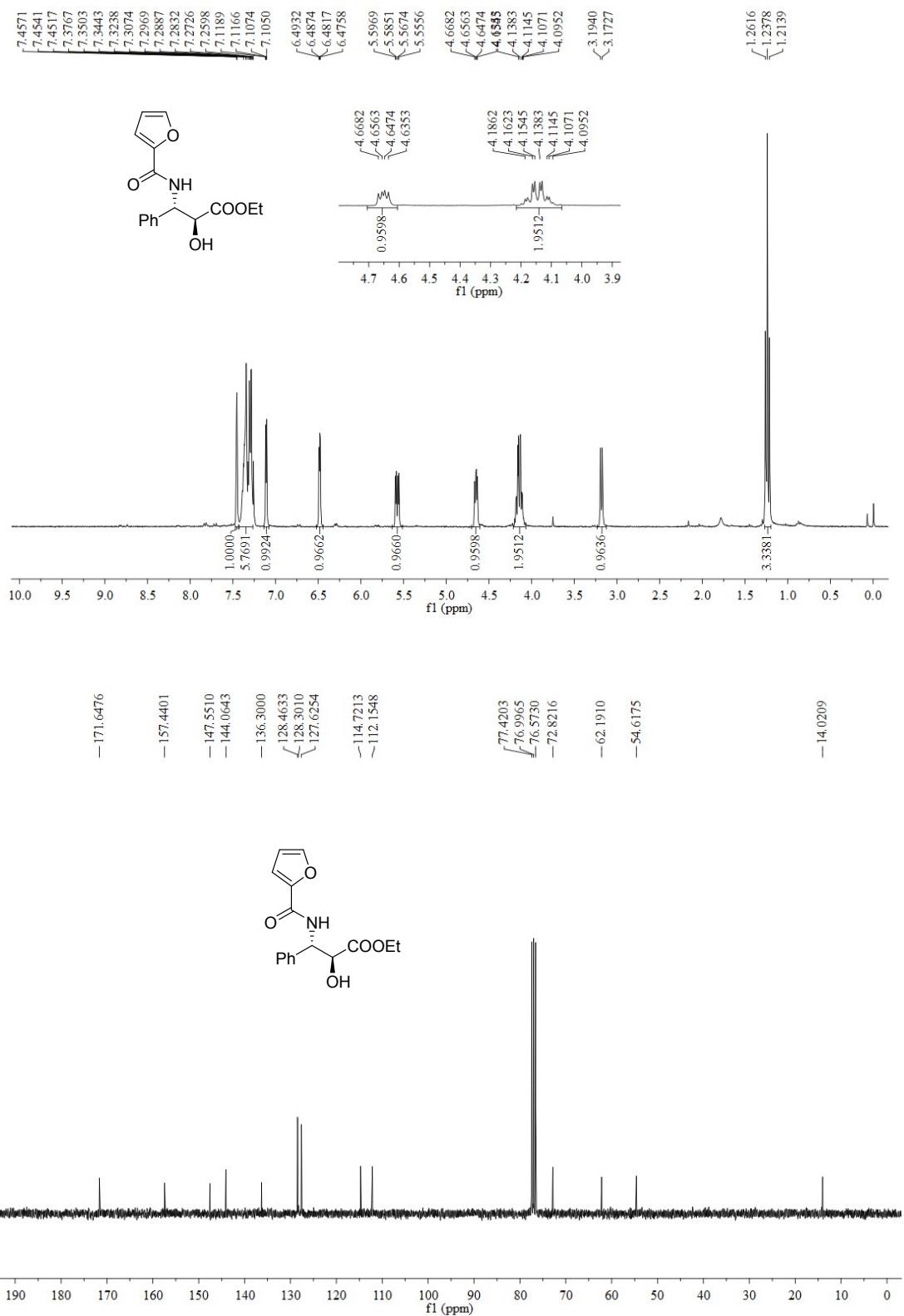
ethyl (2*S*,3*S*)-3-(2-naphthamido)-2-hydroxy-3-phenylpropanoate (3y)



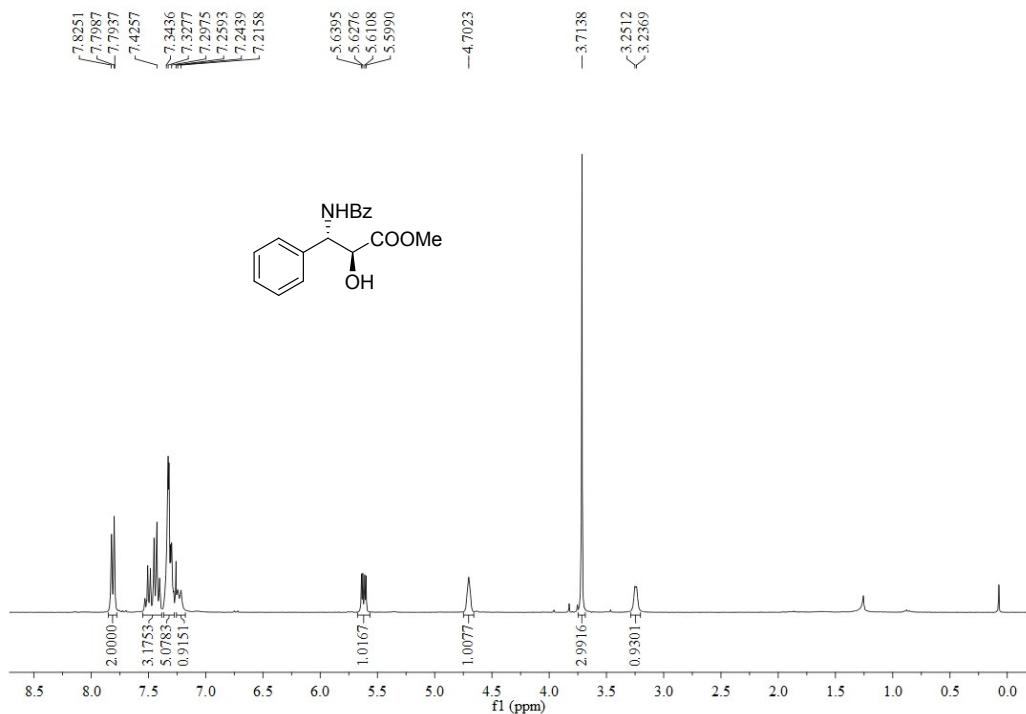
ethyl (2S,3S)-2-hydroxy-3-phenyl -3-(thiophene-2-carboxamido) propanoate (3z)



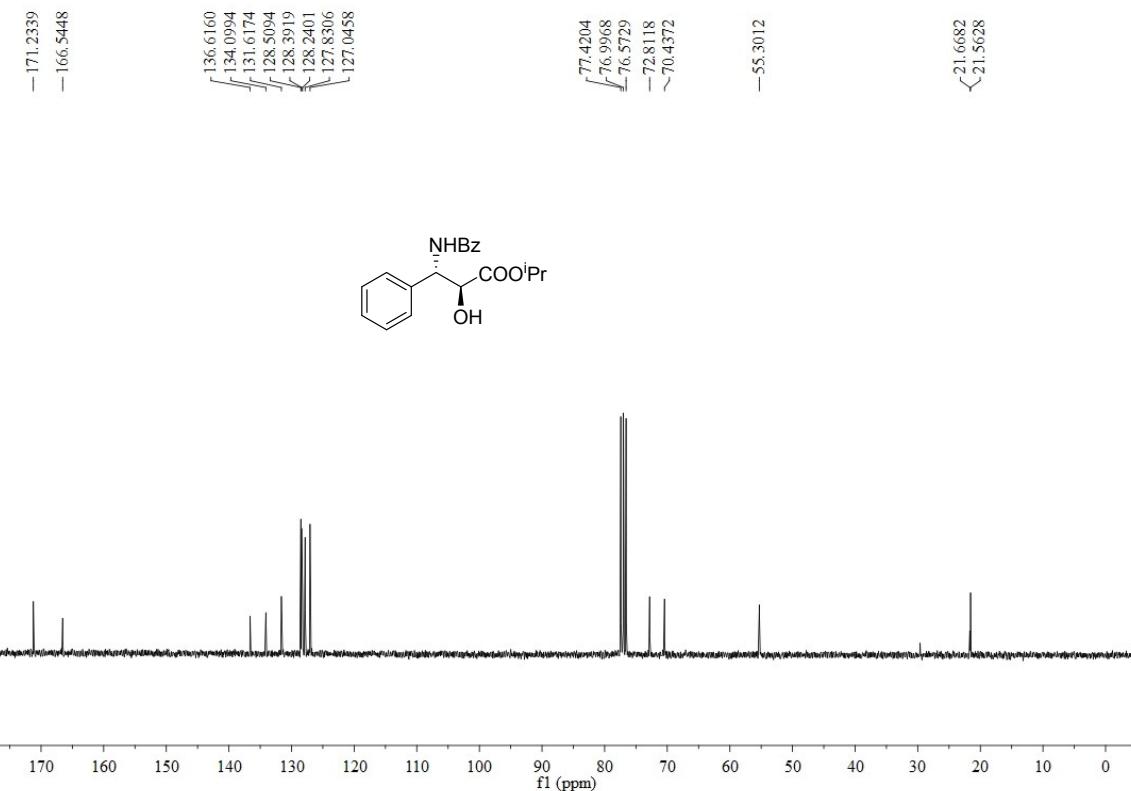
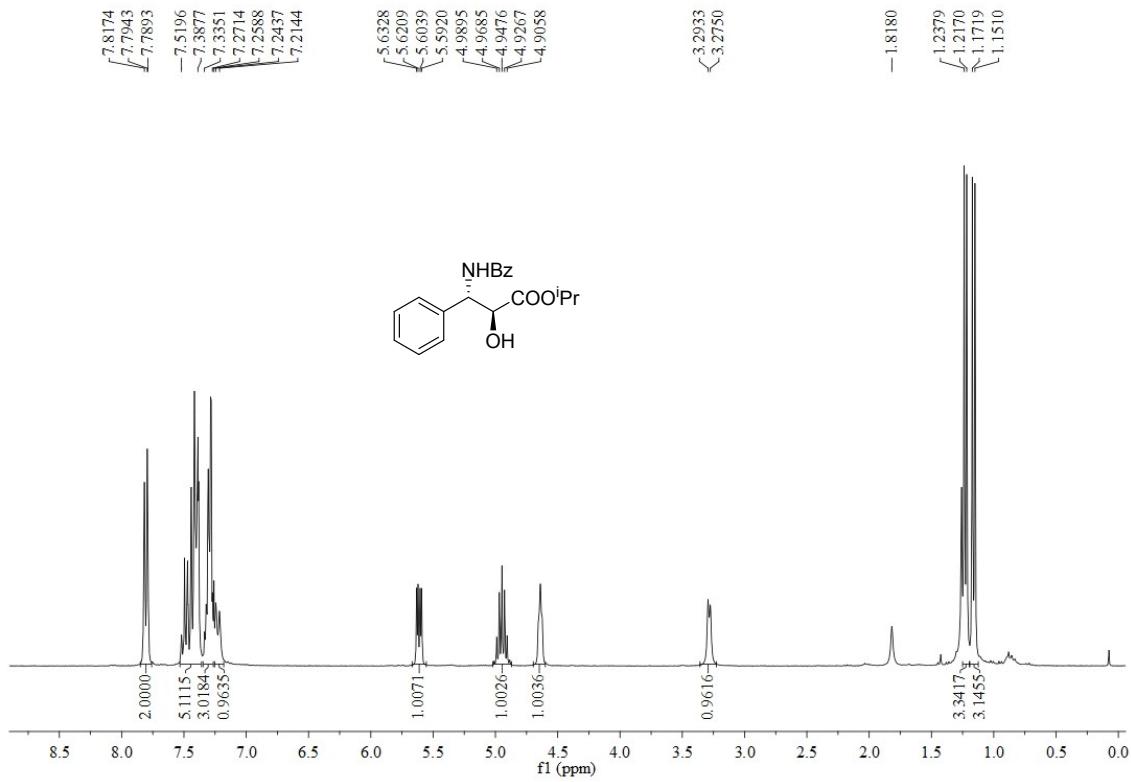
ethyl (2S,3S)-3-phenyl-3-(furan-2-carboxamido)-2-hydroxy propanoate (3A)



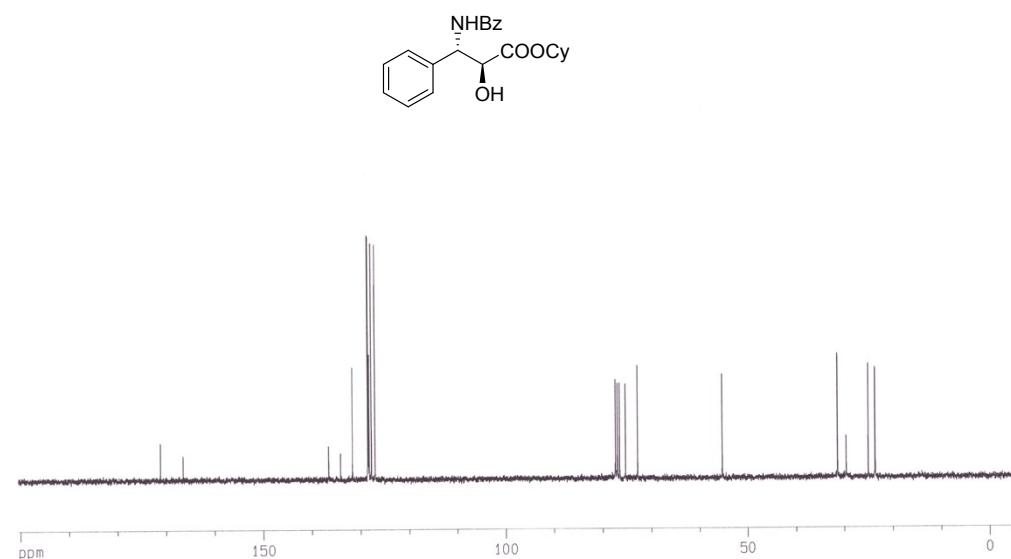
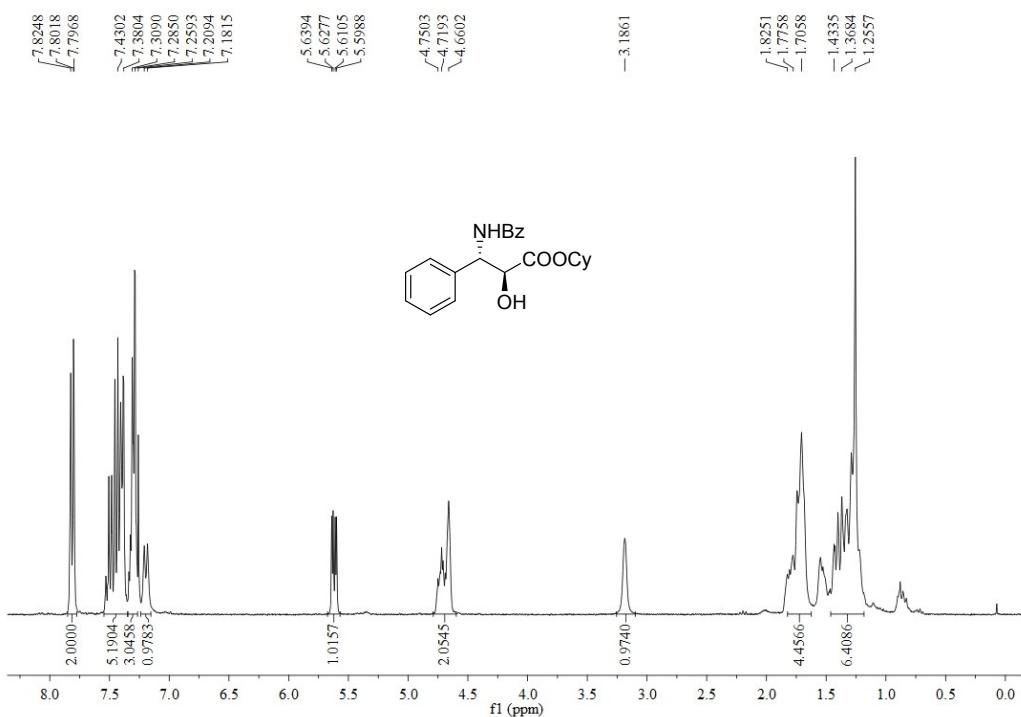
methyl (2S, 3S)-3-benzamido-2-hydroxy-3-phenylpropanoate (3B)



isopropyl (2*S*, 3*S*)-3-benzamido-2-hydroxy-3-phenylpropanoate (3C)

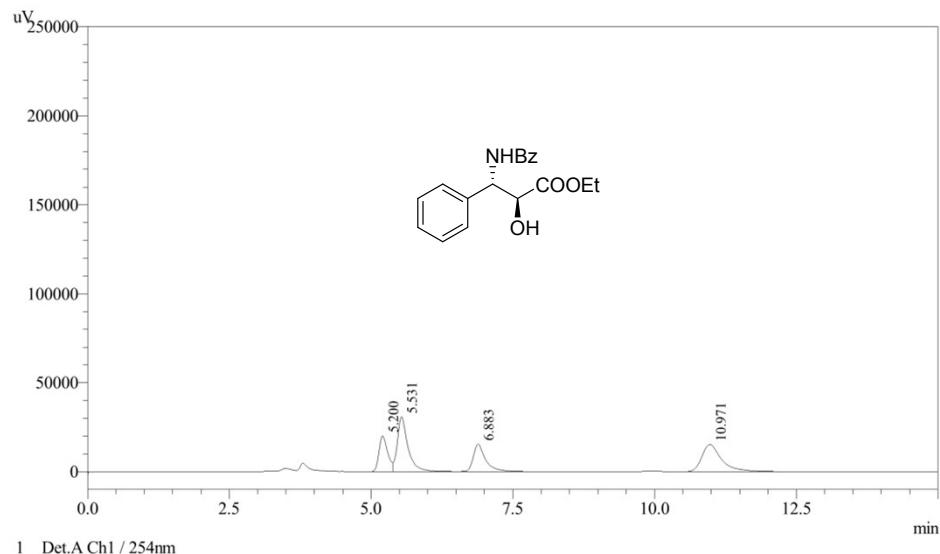


cyclohexyl (2S,3S)-3-benzamido-2-hydroxy-3-phenylpropanoate (3D)



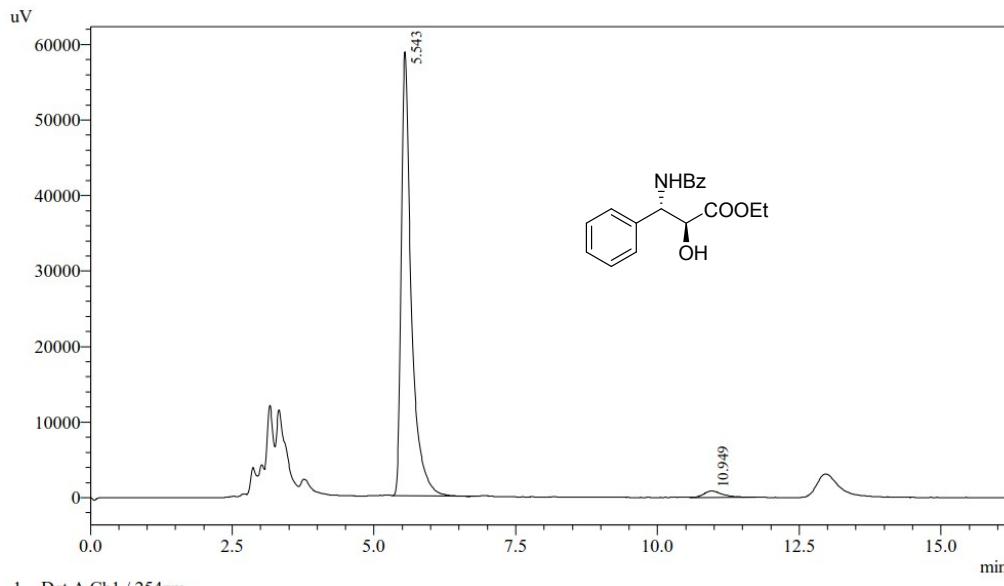
5. HPLC Charts of Products

ethyl (2S,3S)-3-benzamido-2-hydroxy-3-phenylpropanoate (3a)



Detector A Ch1 254nm

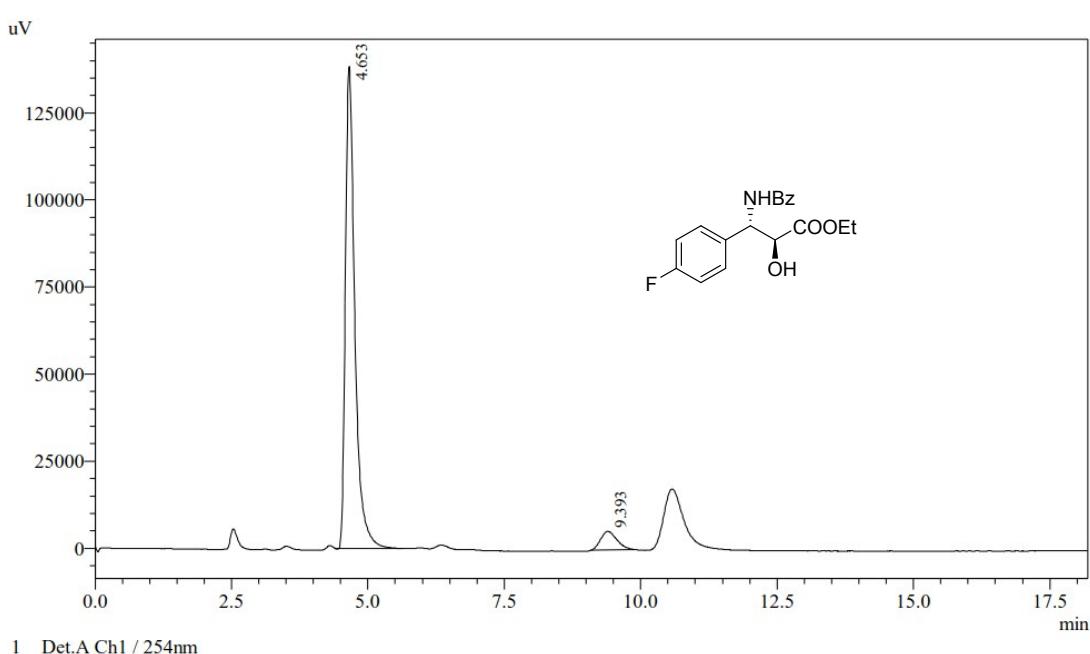
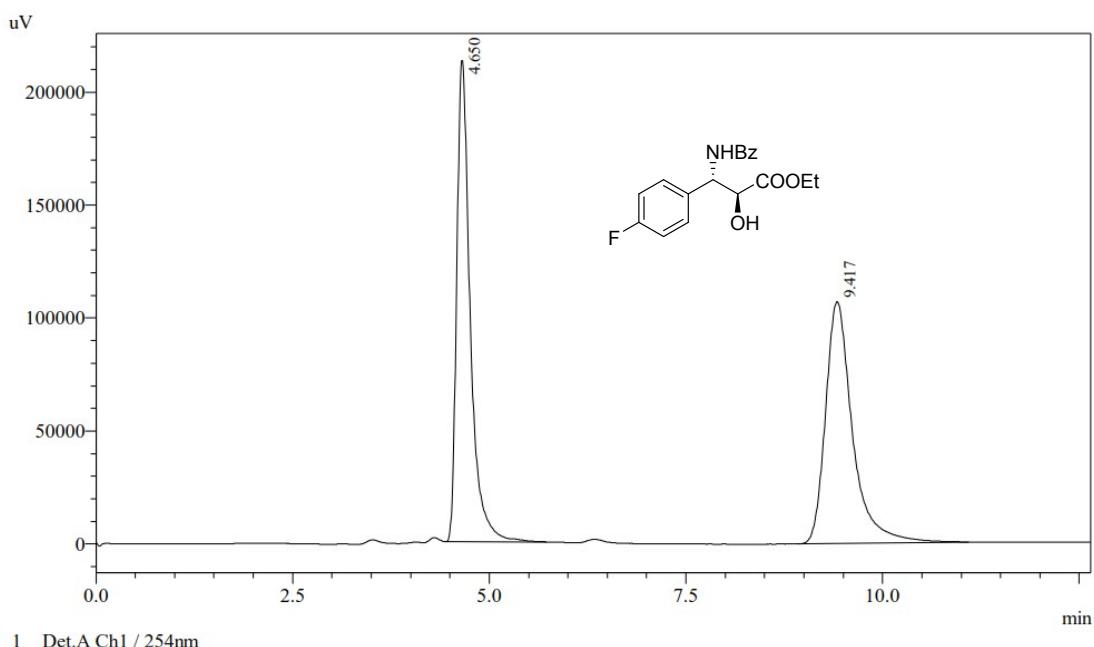
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.200	212605	19927	17.419	24.482
2	5.531	400623	30746	32.824	37.774
3	6.883	238430	15427	19.535	18.953
4	10.971	368874	15294	30.222	18.790
Total		1220532	81395	100.000	100.000



Detector A Ch1 254nm

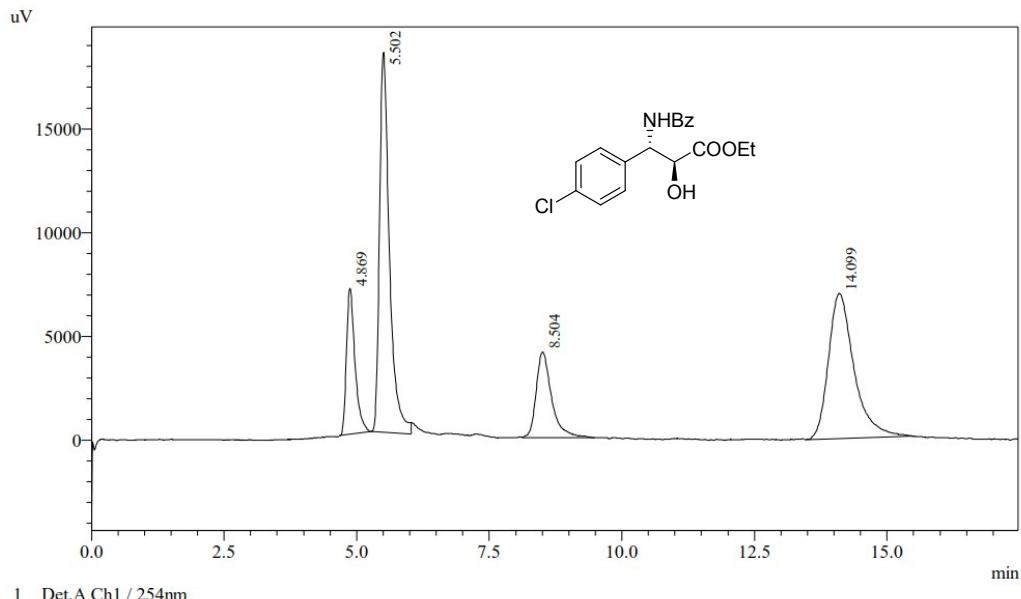
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.543	726838	58774	97.332	98.556
2	10.949	19925	861	2.668	1.444
Total		746762	59636	100.000	100.000

ethyl (2S, 3S)-3-benzamido-3-(4-fluorophenyl)- 2-hydroxypropanoate (3b)



Detector A Ch1 254nm					
Peak#	Ret. Time	Area	Height	Area %	Height %
1	4.653	1665361	138408	93.732	96.269
2	9.393	111357	5365	6.268	3.731
Total		1776718	143773	100.000	100.000

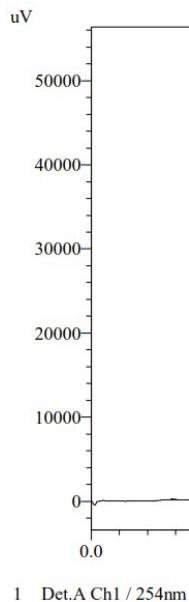
ethyl (2S, 3S)-3-benzamido-3-(4-chlorophenyl)-2-hydroxypropanoate (3c)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	4.869	78868	7030	12.391	19.275
2	5.502	238670	18311	37.497	50.206
3	8.504	83823	4136	13.169	11.341
4	14.099	235150	6995	36.944	19.179
Total		636511	36473	100.000	100.000

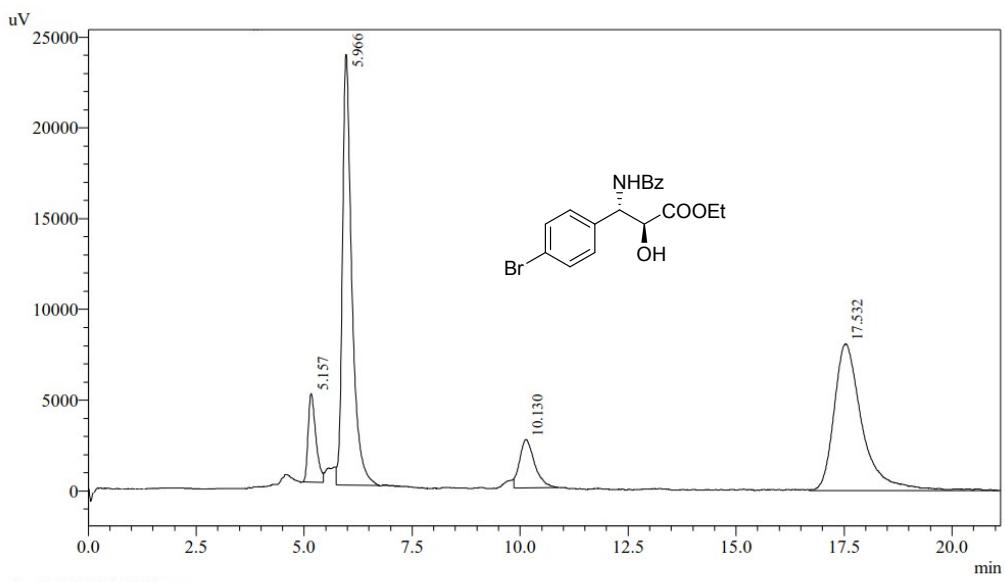


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	4.897	4251	414	0.576	0.756
2	5.527	701985	53216	95.148	97.097
3	8.513	2762	166	0.374	0.303
4	14.126	28788	1011	3.902	1.845
Total		737785	54808	100.000	100.000

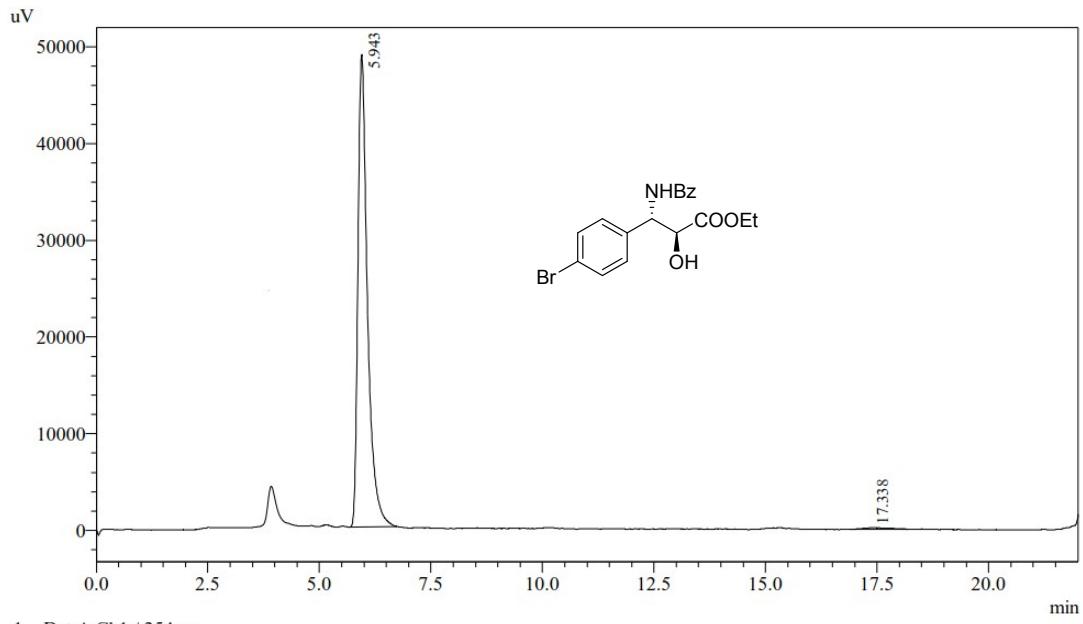
ethyl (2S,3S)-3-benzamido-3-(4-bromophenyl)-2-hydroxypropanoate (3d)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.157	60606	4881	7.133	12.412
2	5.966	360536	23704	42.430	60.278
3	10.130	65190	2670	7.672	6.790
4	17.532	363384	8069	42.765	20.520
Total		849717	39325	100.000	100.000

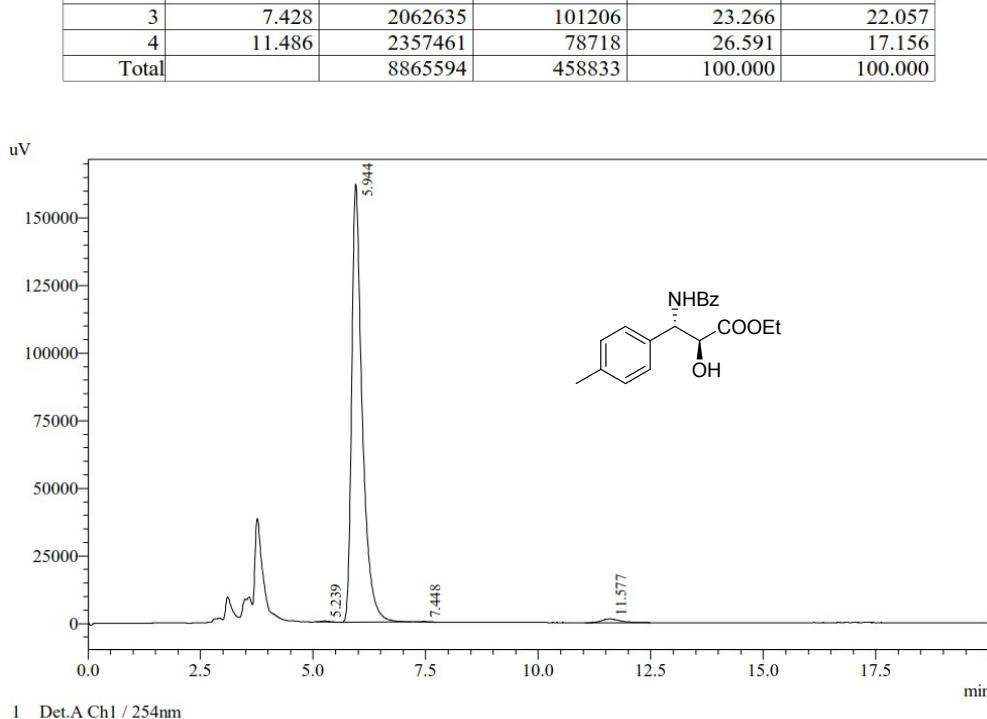
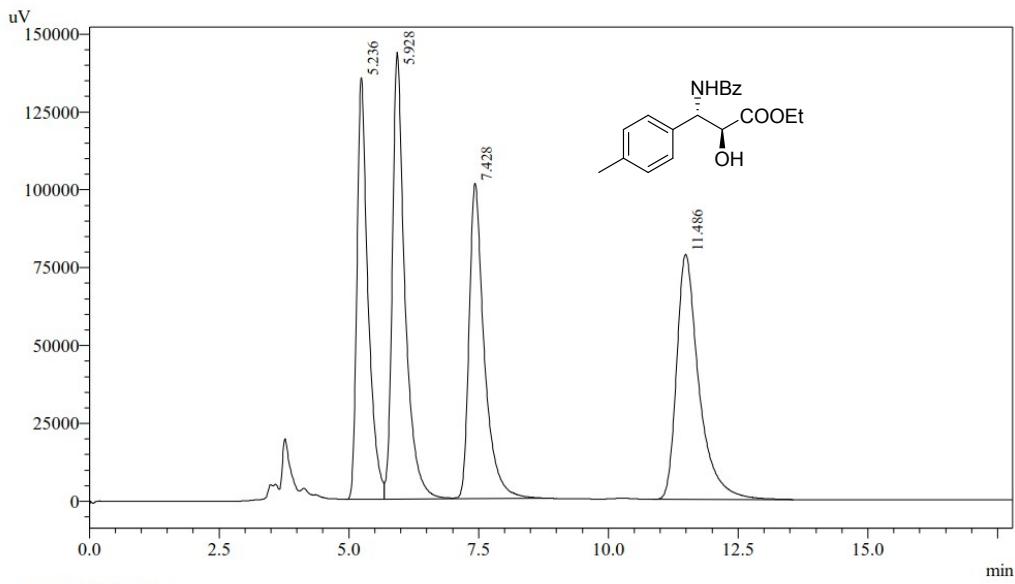


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.943	723211	48826	99.083	99.668
2	17.338	6693	163	0.917	0.332
Total		729904	48988	100.000	100.000

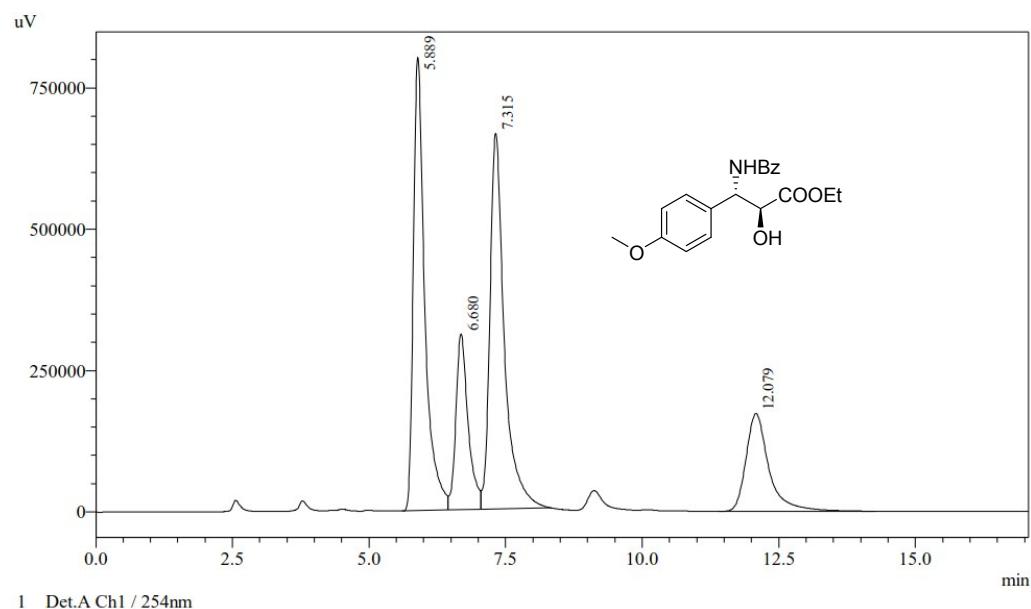
ethyl (2S,3S)-3-benzamido-2-hydroxy -3-(*p*-tolyl)propanoate (3e)



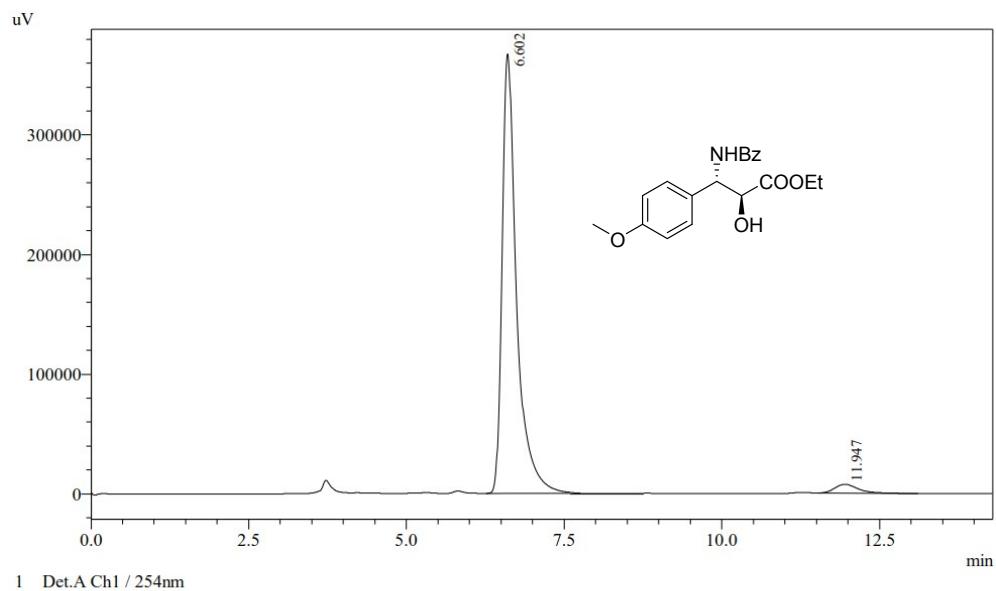
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.239	5820	443	0.216	0.270
2	5.944	2649310	162028	98.243	98.793
3	7.448	2582	168	0.096	0.102
4	11.577	38983	1369	1.446	0.835
Total		2696695	164007	100.000	100.000

ethyl (2S,3S)-3-benzamido-2-hydroxy -3-(4-methoxyphenyl)propanoate (3f)

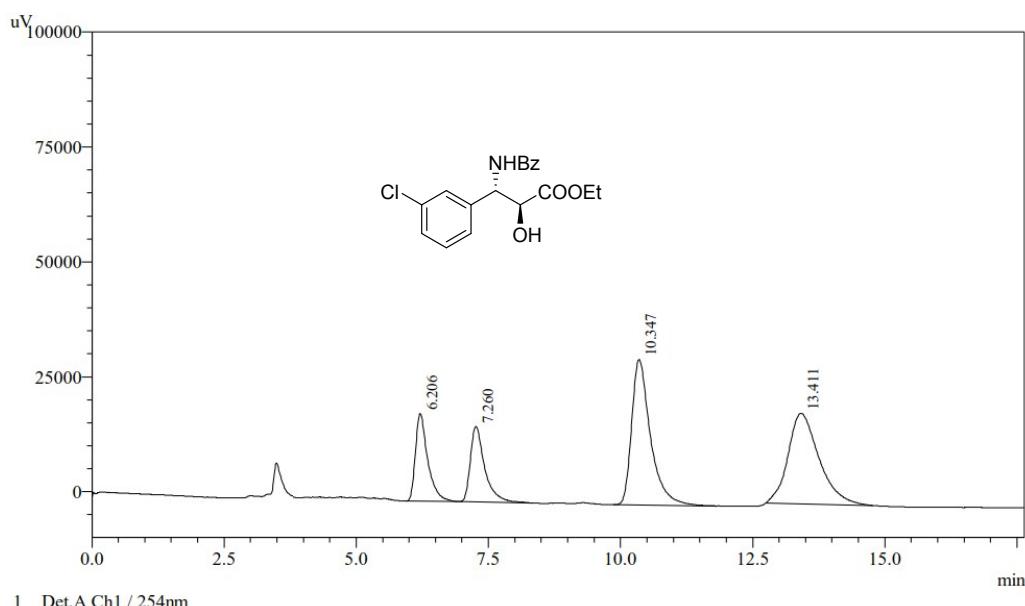


Detector A Ch1 254nm					
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.889	11733709	801724	34.657	41.114
2	6.680	4887822	310895	14.437	15.943
3	7.315	12172320	664544	35.953	34.079
4	12.079	5062556	172845	14.953	8.864
Total		33856408	1950010	100.000	100.000



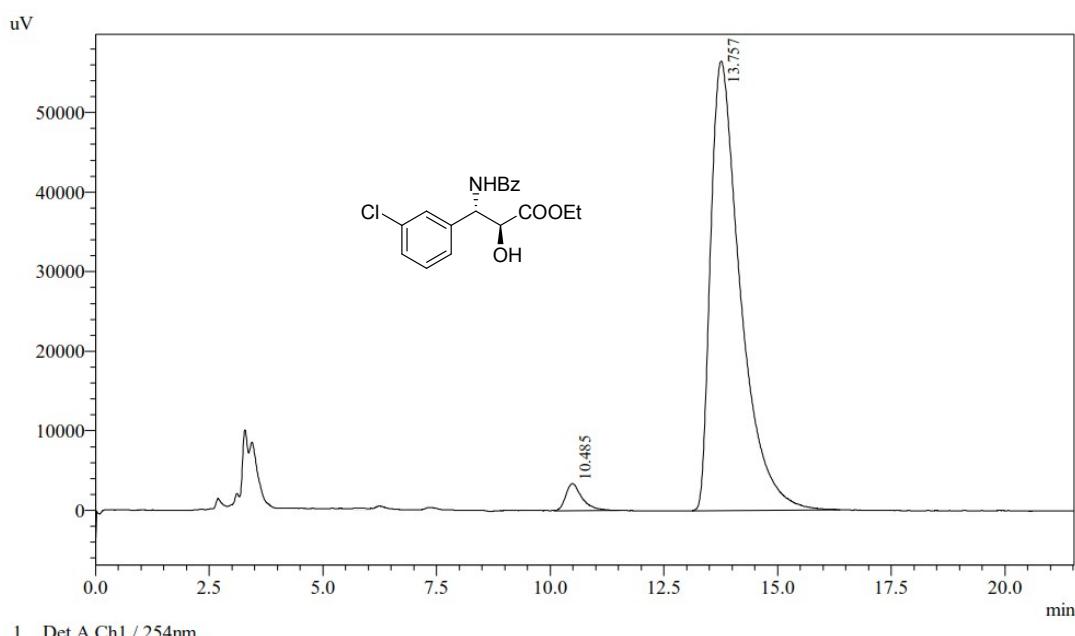
Detector A Ch1 254nm					
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.602	5867764	367075	96.774	98.026
2	11.947	195579	7392	3.226	1.974
Total		6063343	374467	100.000	100.000

ethyl (2S,3S)-3-benzamido -3-(3-chlorophenyl)-2-hydroxypropanoate (3g):



Detector A Ch1 254nm

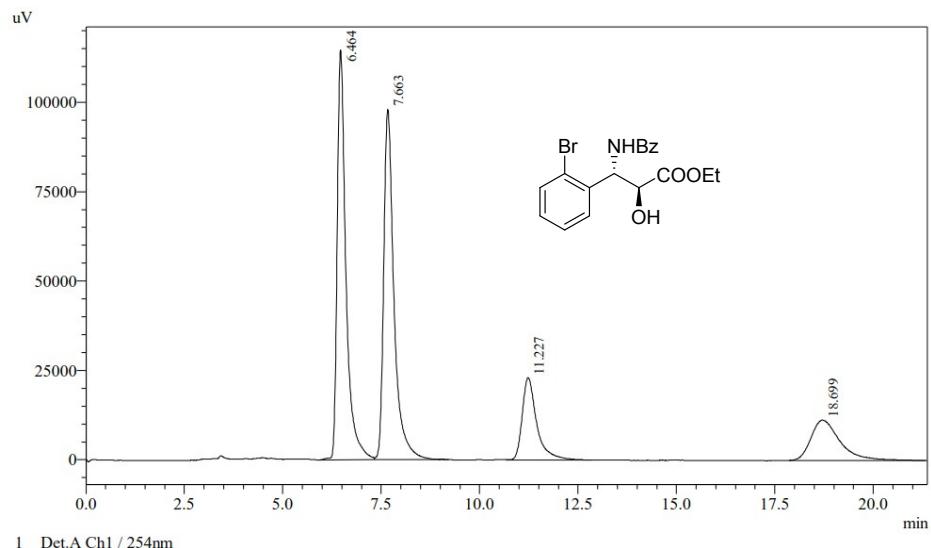
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.206	309087	19077	13.973	21.955
2	7.260	312510	16459	14.128	18.942
3	10.347	785798	31680	35.524	36.460
4	13.411	804620	19674	36.375	22.643
Total		2212015	86890	100.000	100.000



Detector A Ch1 254nm

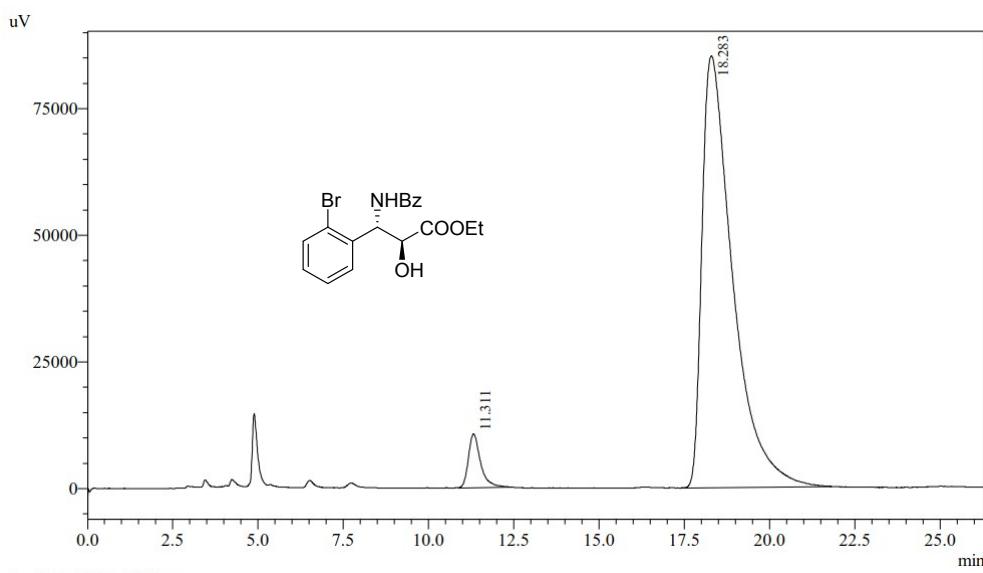
Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.485	84597	3395	3.171	5.669
2	13.757	2582970	56495	96.829	94.331
Total		2667567	59890	100.000	100.000

ethyl (2S,3S)-3-benzamido -3-(2-bromophenyl)-2-hydroxypropanoate (3h)



Detector A Ch1 254nm

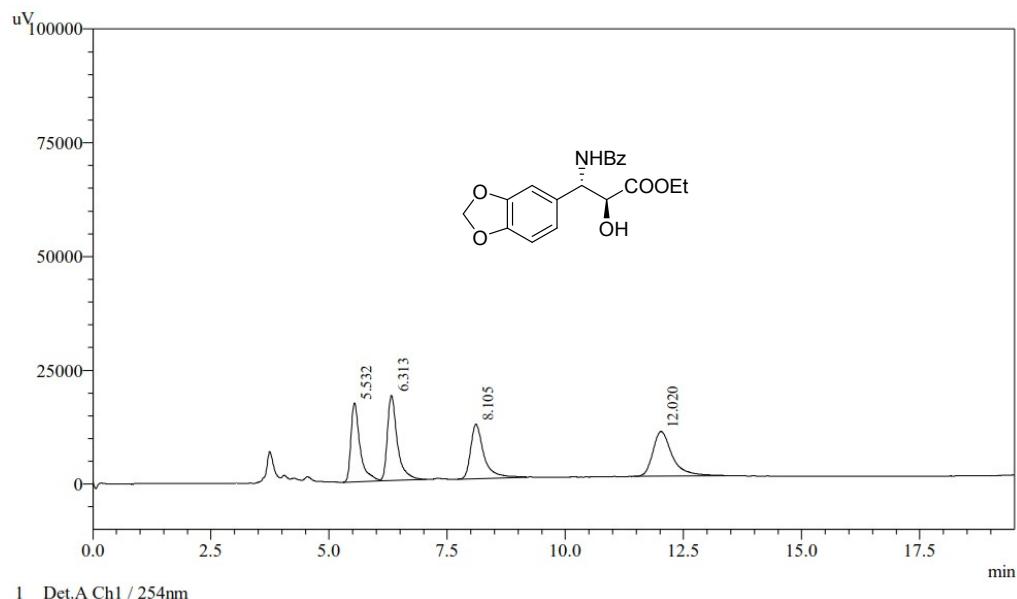
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.464	1776215	114642	37.599	46.434
2	7.663	1779021	97966	37.658	39.680
3	11.227	588590	22986	12.459	9.310
4	18.699	580320	11297	12.284	4.576
Total		4724147	246891	100.000	100.000



Detector A Ch1 254nm

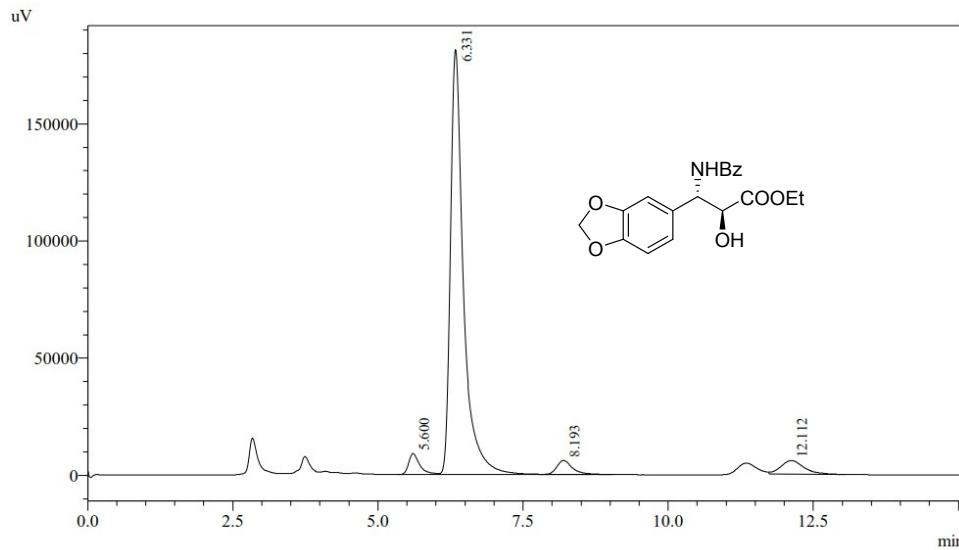
Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.311	265866	10624	4.736	11.083
2	18.283	5347861	85231	95.264	88.917
Total		5613728	95855	100.000	100.000

ethyl (2S,3S)-3-benzamido -3-(benzo[d][1,3]dioxol-5-yl)-2-hydroxypropanoate (3i)



Detector A Ch1 254nm

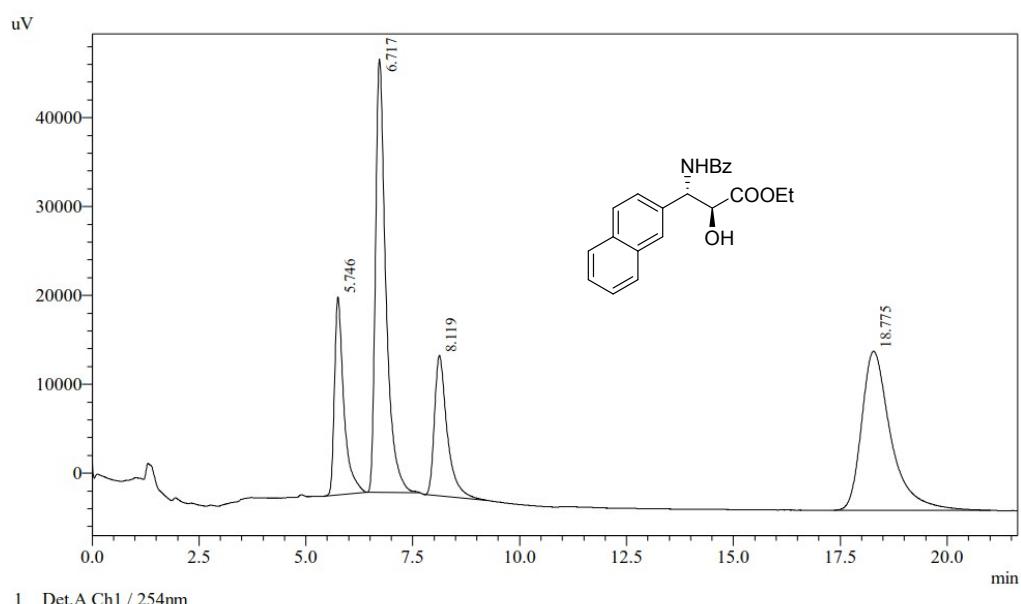
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.532	233636	17386	22.816	29.999
2	6.313	275998	18759	26.953	32.369
3	8.105	233354	11956	22.788	20.629
4	12.020	281023	9854	27.443	17.003
Total		1024011	57955	100.000	100.000



Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.600	117414	8909	3.669	4.413
2	6.331	2814003	181298	87.927	89.804
3	8.193	110822	5933	3.463	2.939
4	12.112	158136	5741	4.941	2.844
Total		3200375	201882	100.000	100.000

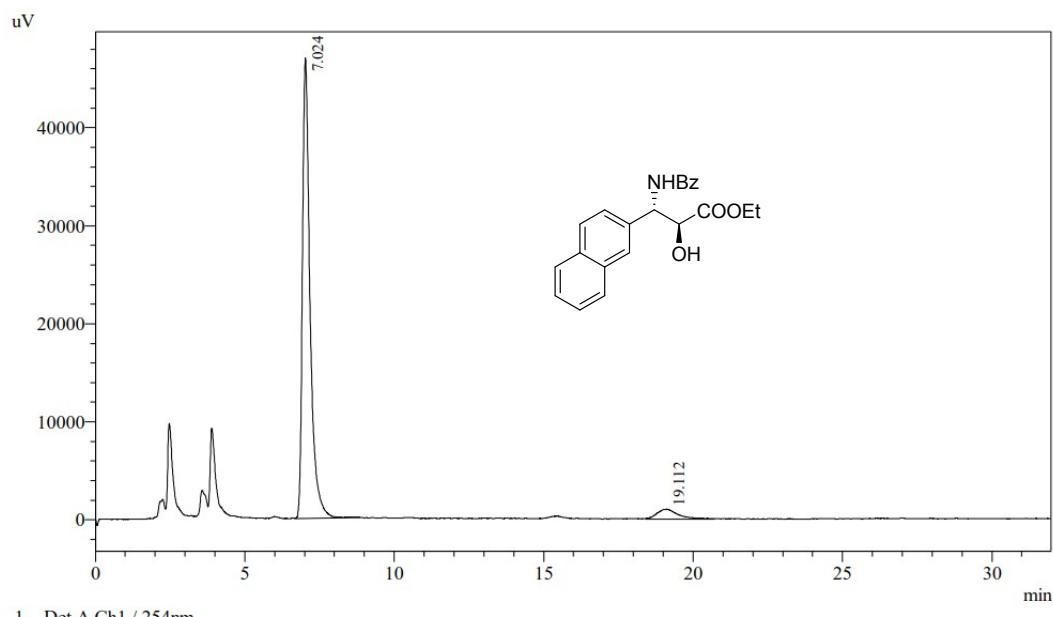
ethyl (2S,3S)-3-benzamido-2-hydroxy -3-(naphthalen-2-yl) propanoate (3j)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.746	339725	22267	14.453	21.270
2	6.717	829541	48737	35.292	46.557
3	8.119	327066	15790	13.915	15.084
4	18.775	854185	17889	36.340	17.089
Total		2350517	104683	100.000	100.000

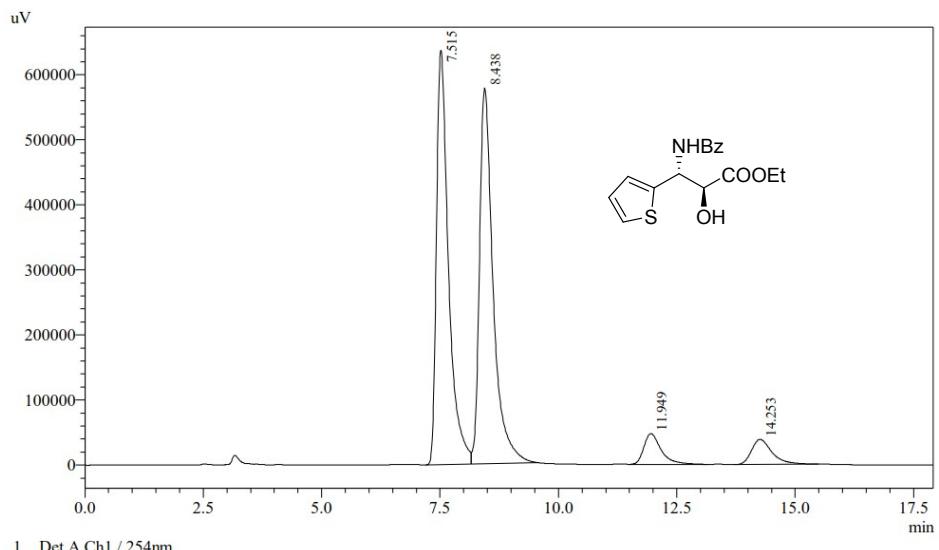


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

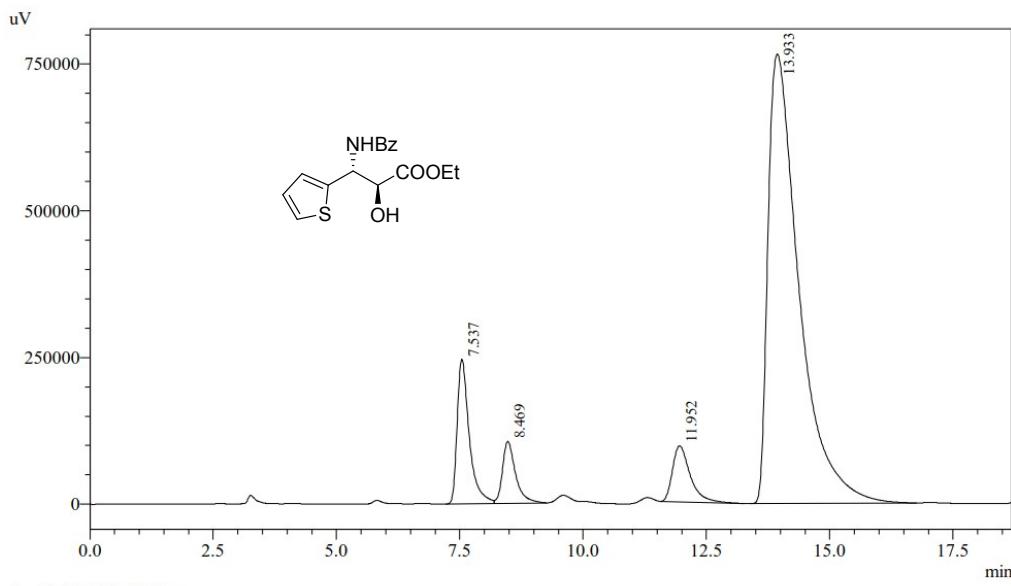
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.024	827973	46935	94.450	97.926
2	19.112	48656	994	5.550	2.074
Total		876629	47929	100.000	100.000

ethyl (2S,3R)-3-benzamido-2-hydroxy -3-(thiophen-2-yl) propanoate (3k)



Detector A Ch1 254nm

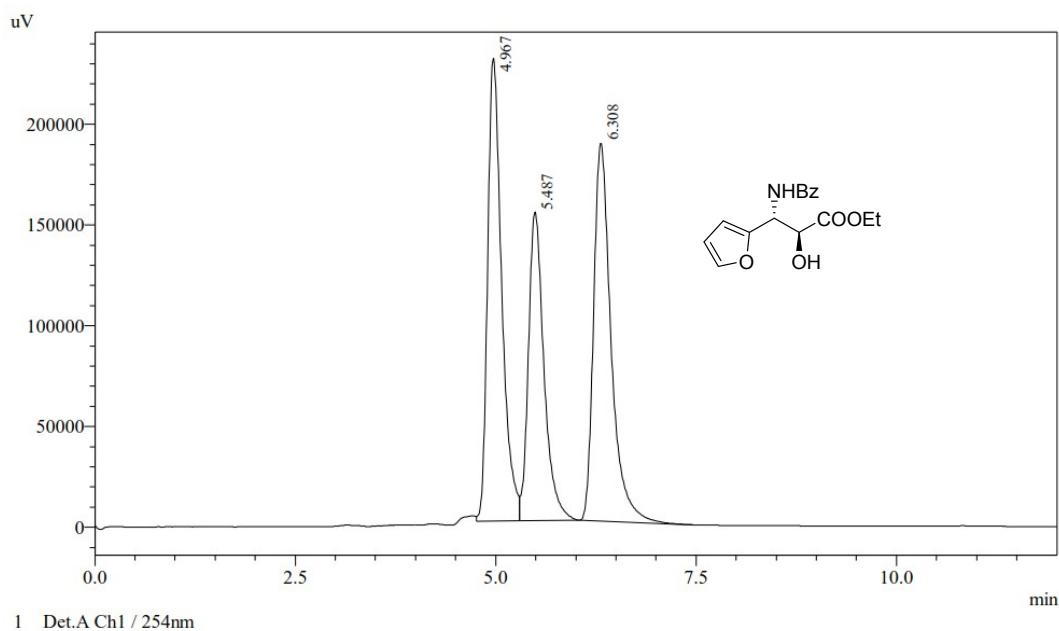
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.515	11285274	636655	44.777	48.978
2	8.438	11561937	577483	45.875	44.426
3	11.949	1195340	47245	4.743	3.635
4	14.253	1160819	38495	4.606	2.961
Total		25203370	1299878	100.000	100.000



Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.537	4198778	246689	9.972	20.329
2	8.469	1948419	105398	4.627	8.686
3	11.952	2401401	95520	5.703	7.872
4	13.933	33558050	765857	79.698	63.113
Total		42106648	1213464	100.000	100.000

ethyl (2S,3R)-3-benzamido -3-(furan-2-yl) -2-hydroxypropanoate (3l)

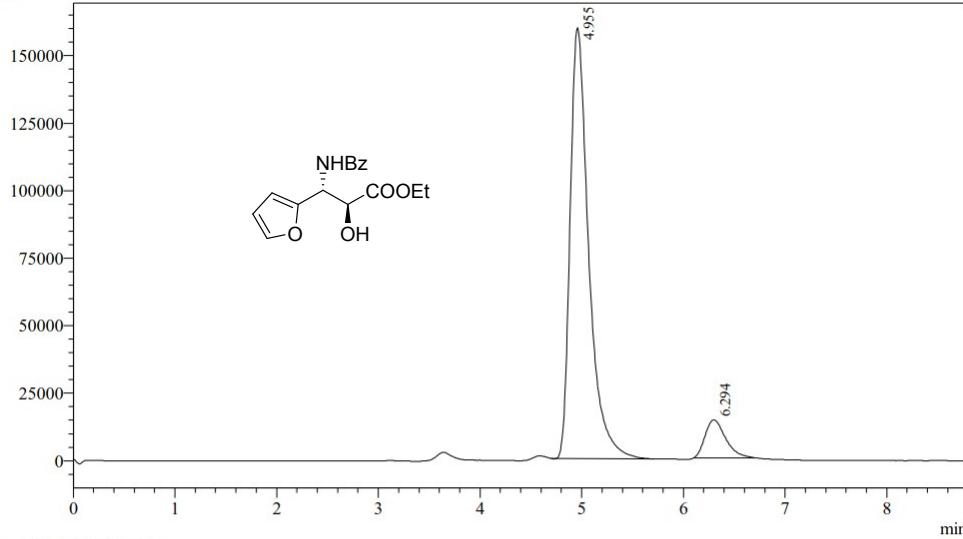


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	4.967	2826588	229631	36.540	40.257
2	5.487	2066789	153358	26.718	26.885
3	6.308	2842174	187426	36.742	32.858
Total		7735551	570415	100.000	100.000

uV

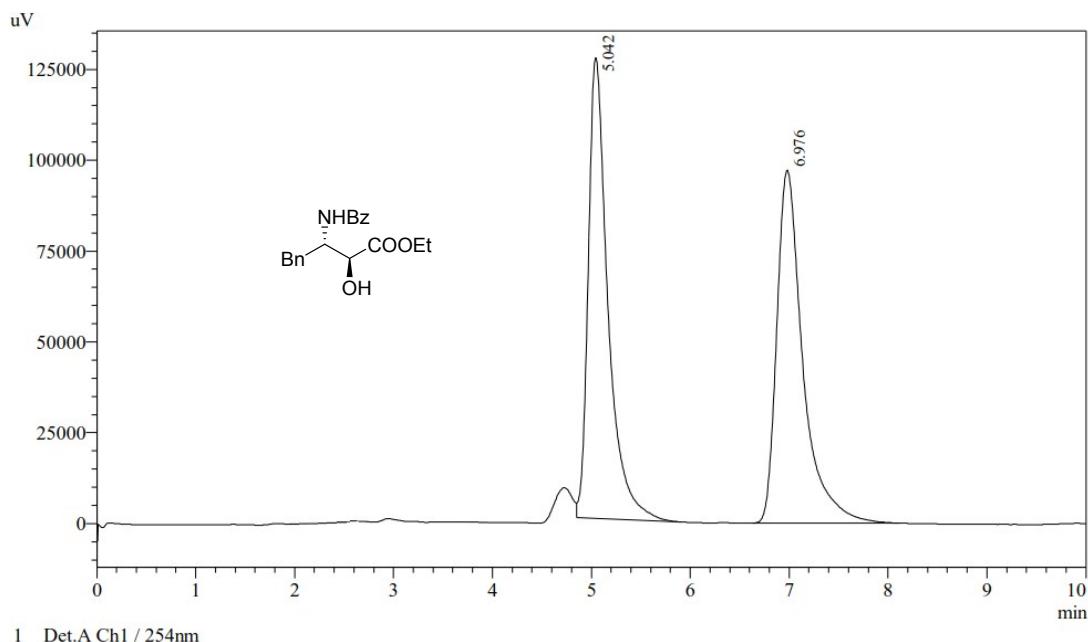


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

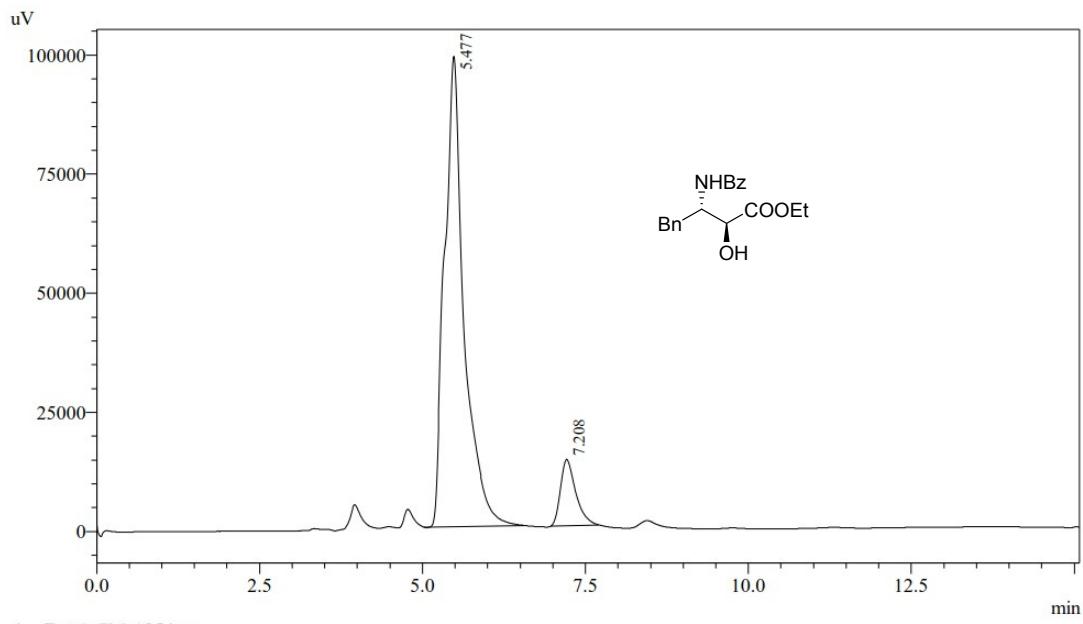
Peak#	Ret. Time	Area	Height	Area %	Height %
1	4.955	2022705	159593	91.097	91.874
2	6.294	197689	14116	8.903	8.126
Total		2220394	173708	100.000	100.000

ethyl (2S,3S)-3-benzamido-2-hydroxy -4-phenylbutanoate (3m)



Detector A Ch1 254nm

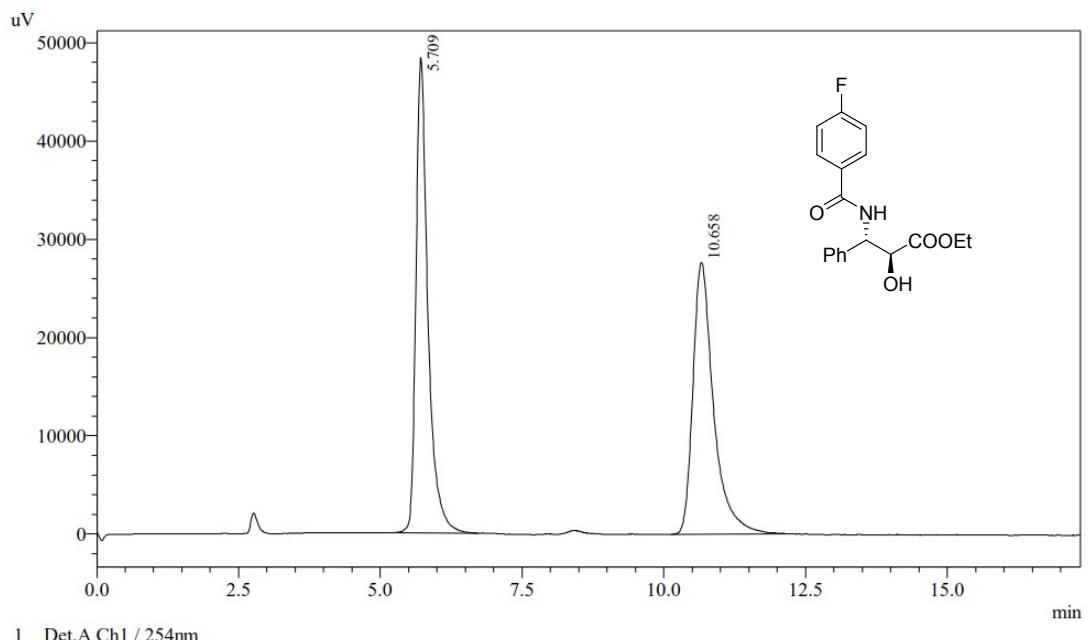
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.042	1761028	126707	49.802	56.611
2	6.976	1775058	97113	50.198	43.389
Total		3536087	223820	100.000	100.000



Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.477	2043565	98711	89.874	87.609
2	7.208	230255	13961	10.126	12.391
Total		2273819	112673	100.000	100.000

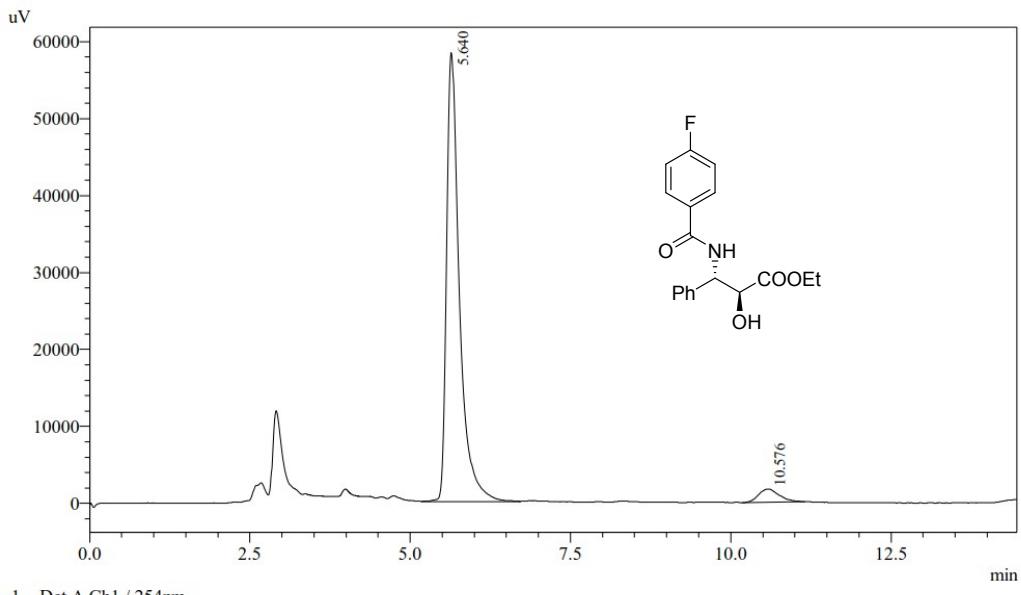
ethyl (2S,3S)-3-(4-fluorobenzamido)-2-hydroxy-3-phenylpropanoate (3n)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.709	709393	48340	50.328	63.600
2	10.658	700151	27667	49.672	36.400
Total		1409544	76007	100.000	100.000

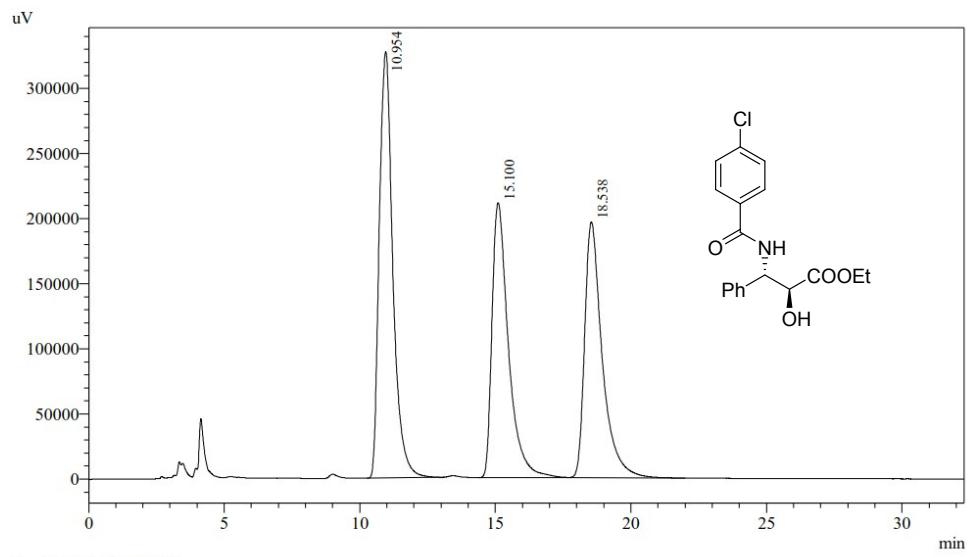


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.640	806337	58316	95.329	97.096
2	10.576	39506	1744	4.671	2.904
Total		845843	60061	100.000	100.000

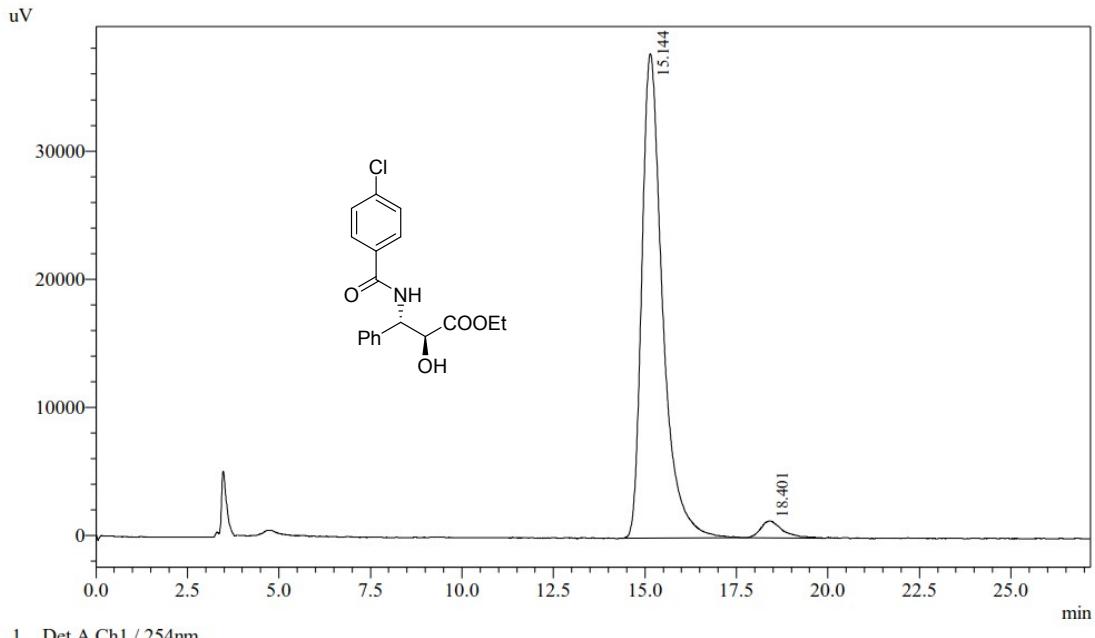
ethyl (2S,3S)-3-(4-chlorobenzamido)-2-hydroxy-3-phenylpropanoate (3o)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.954	11383841	327424	39.068	44.548
2	15.100	8893736	211187	30.522	28.733
3	18.538	8861236	196381	30.410	26.719
Total		29138813	734992	100.000	100.000

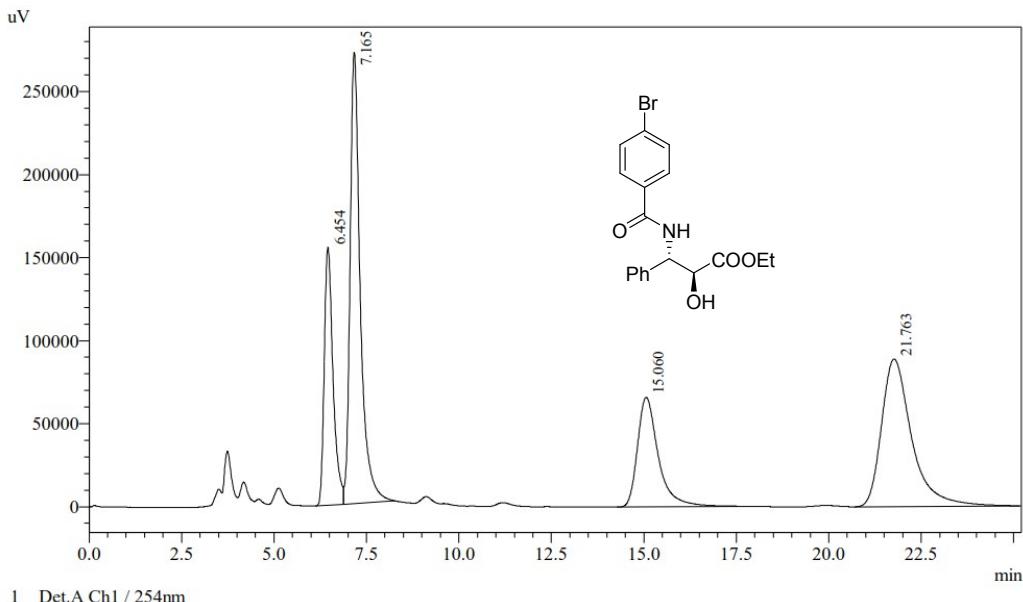


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

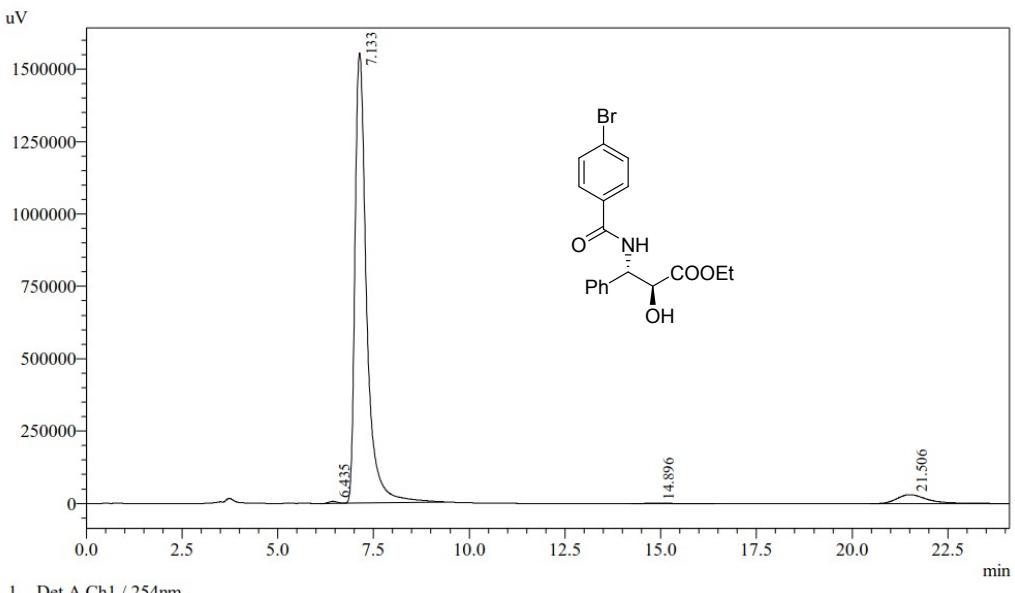
Peak#	Ret. Time	Area	Height	Area %	Height %
1	15.144	1492091	37804	96.426	96.648
2	18.401	55304	1311	3.574	3.352
Total		1547395	39115	100.000	100.000

ethyl (2S,3S)-3-(4-bromobenzamido)-2-hydroxy-3-phenylpropanoate (3p)



Detector A Ch1 254nm

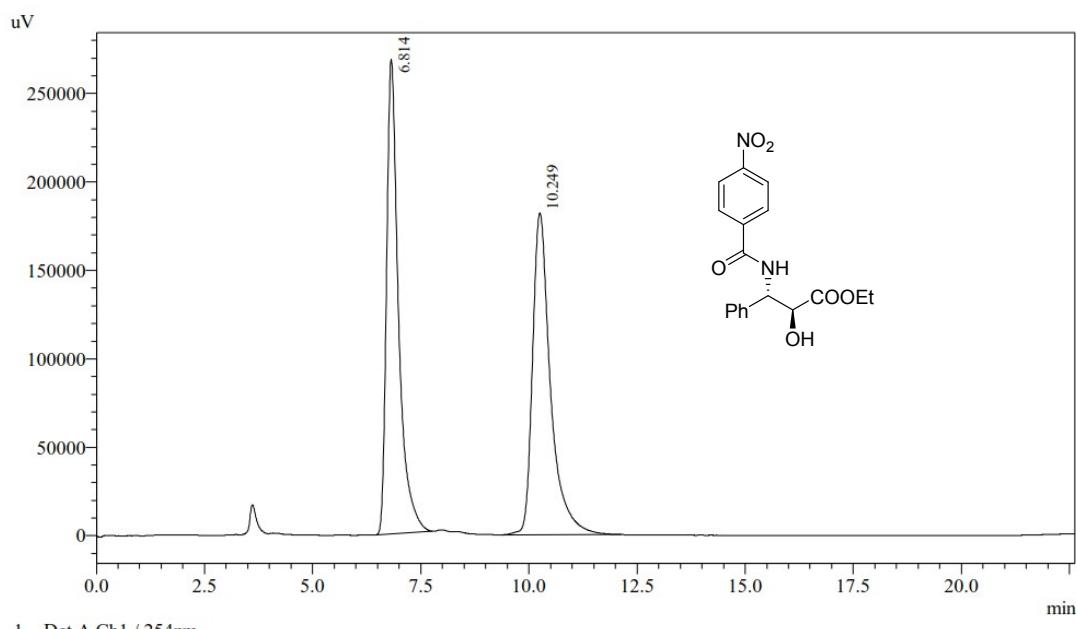
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.454	2572229	155191	16.687	26.680
2	7.165	5206345	271552	33.776	46.685
3	15.060	2586787	66019	16.782	11.350
4	21.763	5048805	88911	32.754	15.285
Total		15414166	581673	100.000	100.000



Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.435	101999	7026	0.294	0.441
2	7.133	32850697	1554090	94.546	97.481
3	14.896	87753	2325	0.253	0.146
4	21.506	1705145	30810	4.908	1.933
Total		34745594	1594251	100.000	100.000

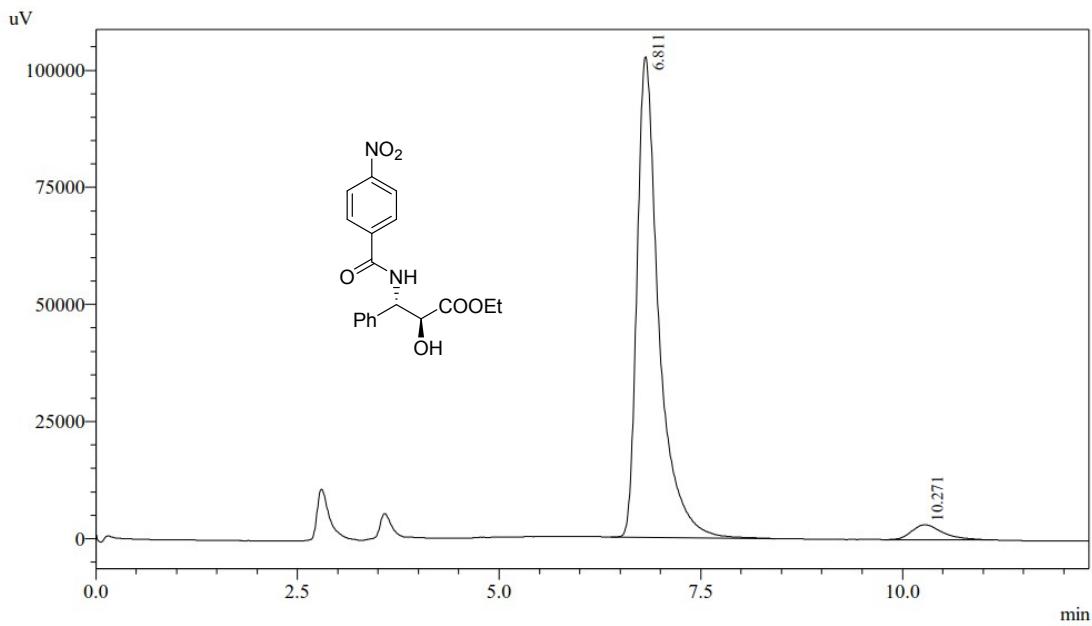
ethyl (2S,3S)-2-hydroxy -3-(4-nitrobenzamido)-3-phenylpropanoate (3q)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.814	5170035	268291	49.546	59.589
2	10.249	5264769	181945	50.454	40.411
Total		10434804	450236	100.000	100.000

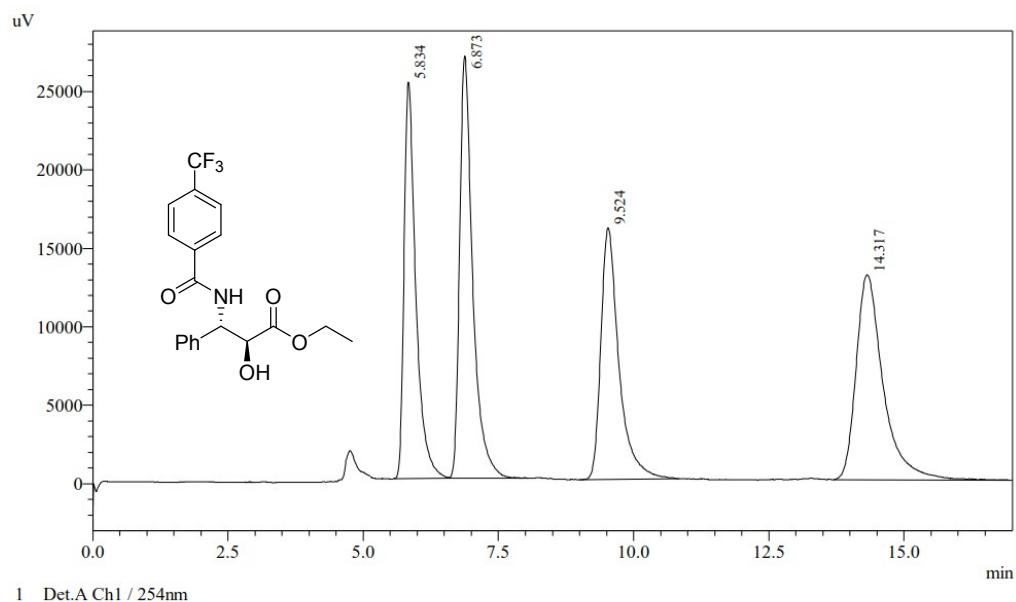


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

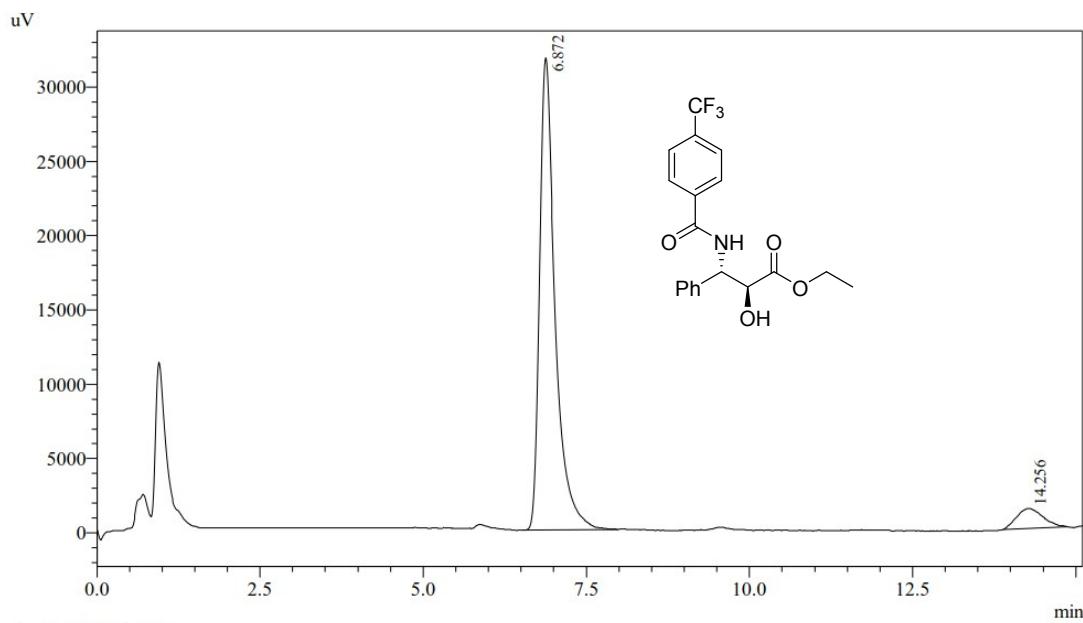
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.811	1926438	102643	95.731	96.974
2	10.271	85901	3202	4.269	3.026
Total		2012339	105846	100.000	100.000

ethyl (2S,3S)-2-hydroxy-3-phenyl -3-(4-(trifluoromethyl)benzamido) propanoate (3r)



Detector A Ch1 254nm

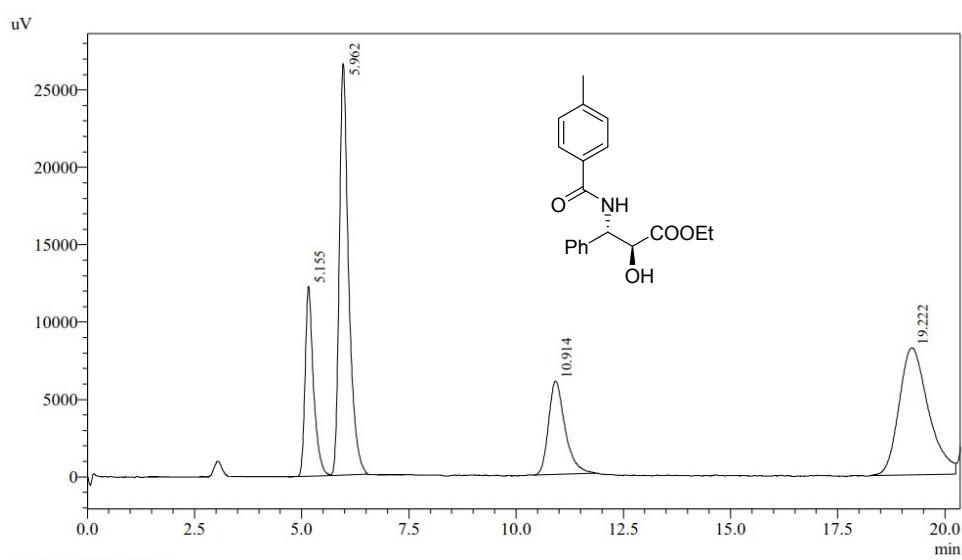
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.834	381825	25253	22.945	31.078
2	6.873	453322	26911	27.241	33.118
3	9.524	372811	16022	22.403	19.717
4	14.317	456137	13072	27.411	16.087
Total		1664095	81257	100.000	100.000



Detector A Ch1 254nm

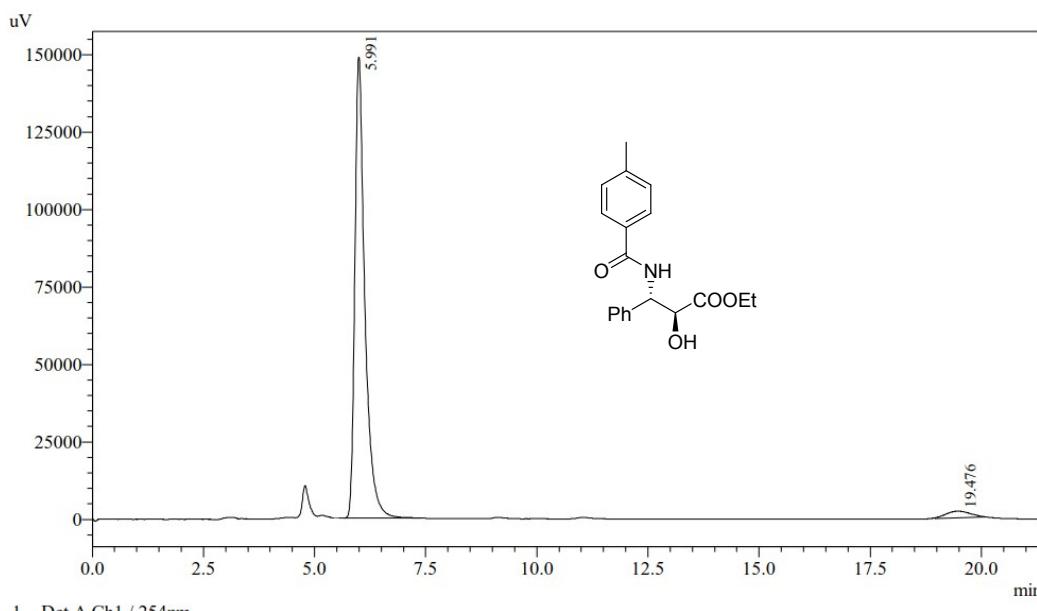
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.872	528180	31769	93.395	95.970
2	14.256	37355	1334	6.605	4.030
Total		565535	33103	100.000	100.000

ethyl (2S,3S)-2-hydroxy-3-(4-methylbenzamido)-3-phenylpropanoate (3s)



Detector A Ch1 254nm

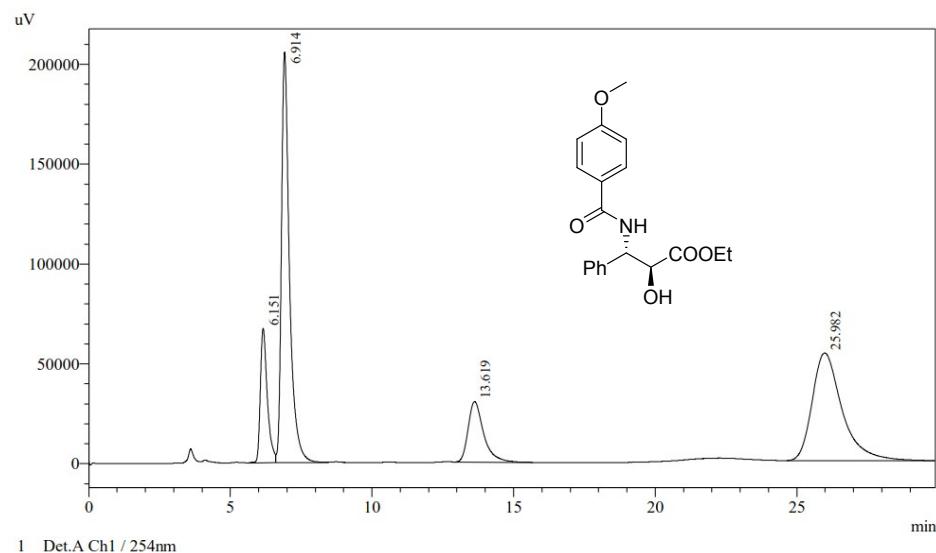
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.155	165521	12268	14.702	23.089
2	5.962	405169	26597	35.988	50.059
3	10.914	162361	6043	14.421	11.374
4	19.222	392788	8224	34.888	15.478
Total		1125838	53131	100.000	100.000



Detector A Ch1 254nm

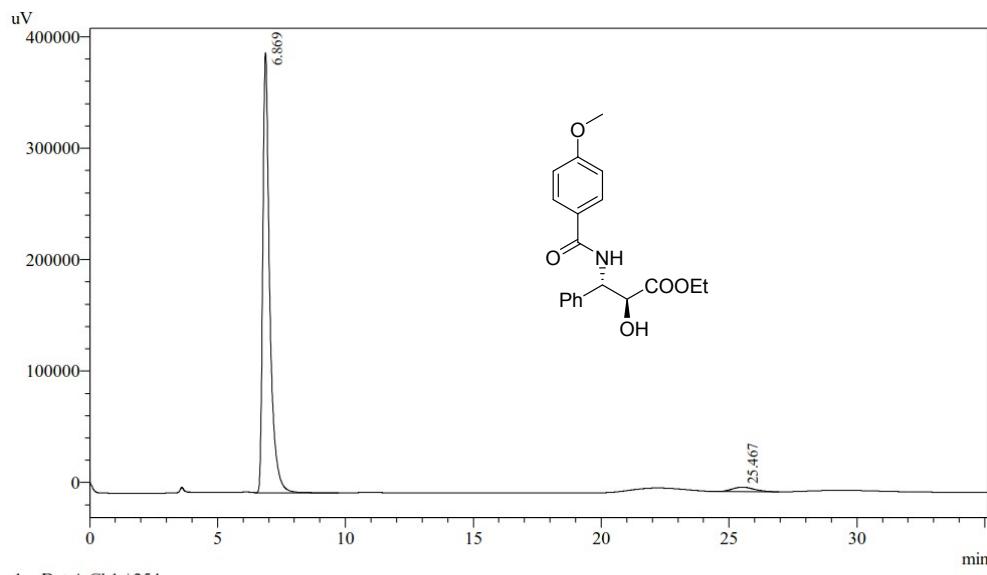
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.991	2327647	148676	96.722	98.615
2	19.476	78880	2088	3.278	1.385
Total		2406527	150765	100.000	100.000

ethyl (2S,3S)-2-hydroxy-3-(4-methoxylbenzamido)-3-phenylpropanoate (3t)



Detector A Ch1 254nm

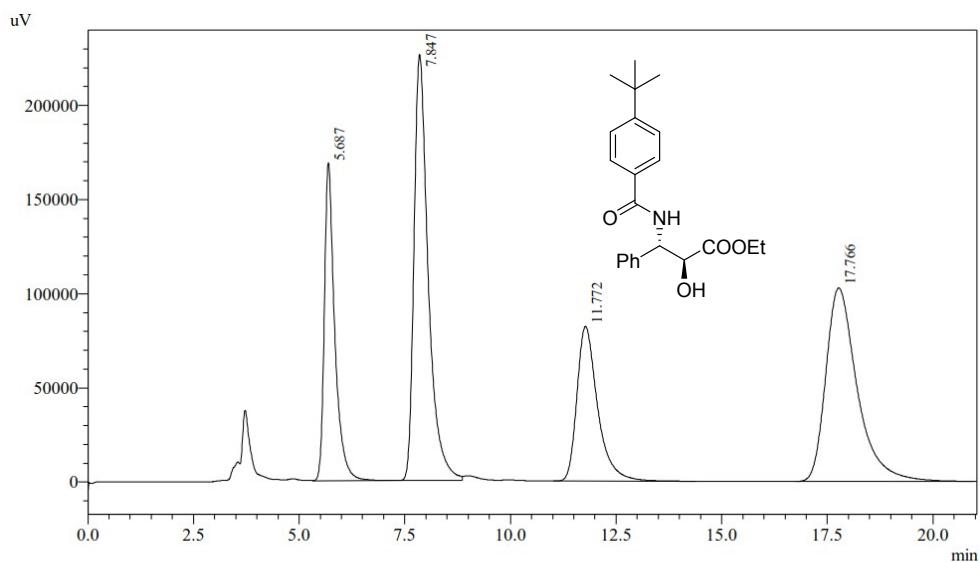
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.151	1156190	67367	11.207	18.857
2	6.914	4044169	205640	39.199	57.563
3	13.619	1150199	30288	11.149	8.478
4	25.982	3966508	53948	38.446	15.101
Total		10317065	357242	100.000	100.000



Detector A Ch1 254nm

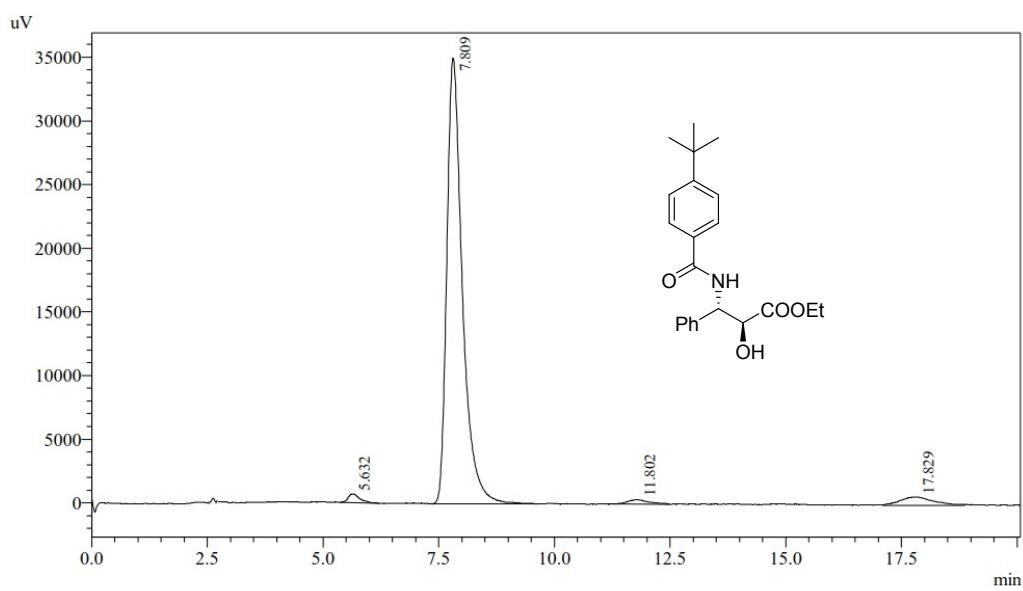
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.869	7448790	394632	97.141	99.019
2	25.467	219212	3911	2.859	0.981
Total		7668002	398544	100.000	100.000

ethyl (2S,3S)-3-(4-(*tert*-butyl) benzamido)-2-hydroxy-3-phenylpropanoate (3u)



Detector A Ch1 254nm

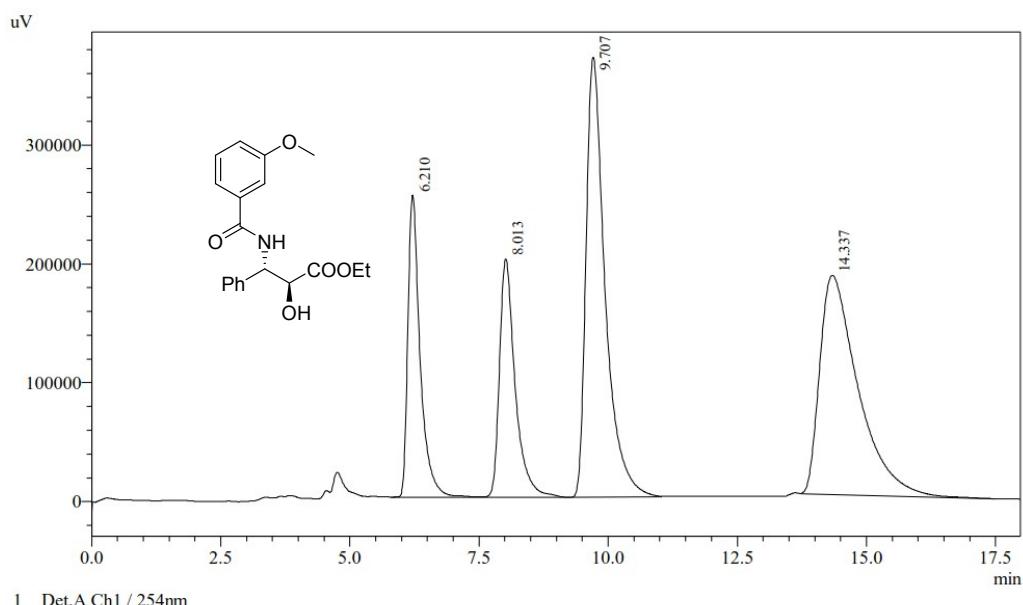
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.687	2882934	168666	17.707	29.105
2	7.847	5282223	226072	32.444	39.011
3	11.772	2800750	82067	17.202	14.161
4	17.766	5315208	102709	32.646	17.723
Total		16281116	579513	100.000	100.000



Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.632	12031	695	1.376	1.895
2	7.809	818615	34987	93.617	95.381
3	11.802	11266	346	1.288	0.944
4	17.829	32520	653	3.719	1.780
Total		874433	36681	100.000	100.000

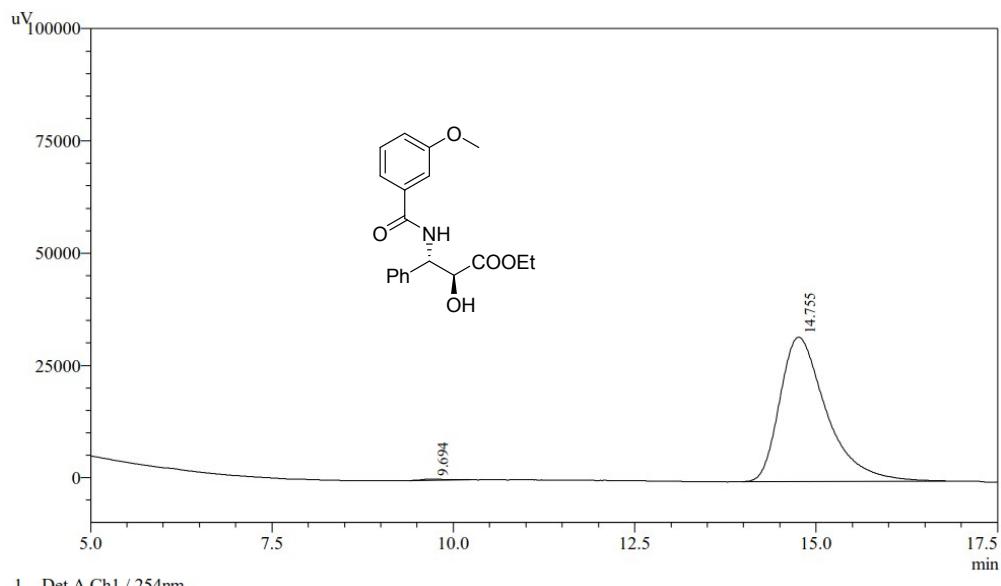
ethyl (2S,3S) -2-hydroxy-3-(3-methoxybenzamido) -3-phenylpropanoate (3v)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.210	4194249	253884	15.265	25.172
2	8.013	4236906	200444	15.420	19.874
3	9.707	9512062	370012	34.619	36.686
4	14.337	9533456	184240	34.697	18.267
Total		27476673	1008580	100.000	100.000

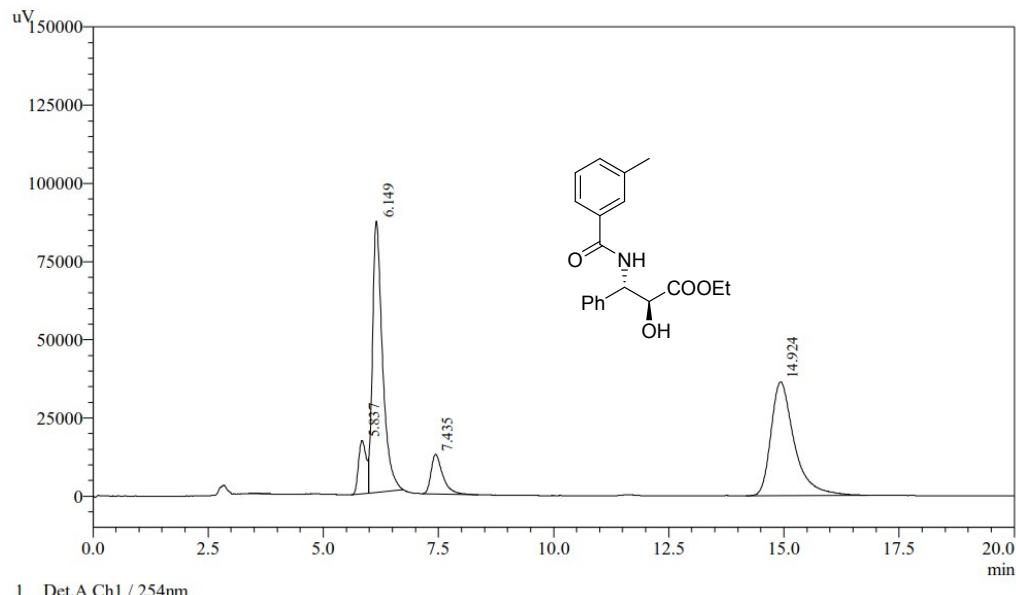


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.694	5614	259	0.389	0.799
2	14.755	1437700	32160	99.611	99.201
Total		1443314	32419	100.000	100.000

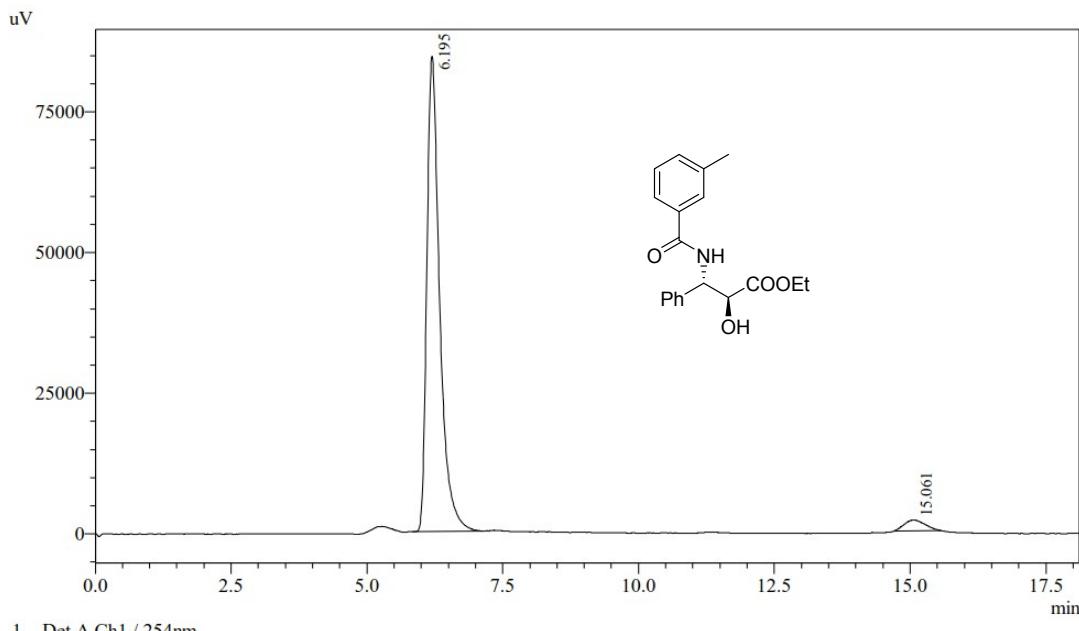
ethyl (2S,3S) -2-hydroxy-3-(3-methylbenzamido) -3-phenylpropanoate (3w)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.837	205390	16986	6.802	11.124
2	6.149	1304823	86669	43.214	56.757
3	7.435	224144	12660	7.423	8.291
4	14.924	1285066	36386	42.560	23.828
Total		3019423	152701	100.000	100.000

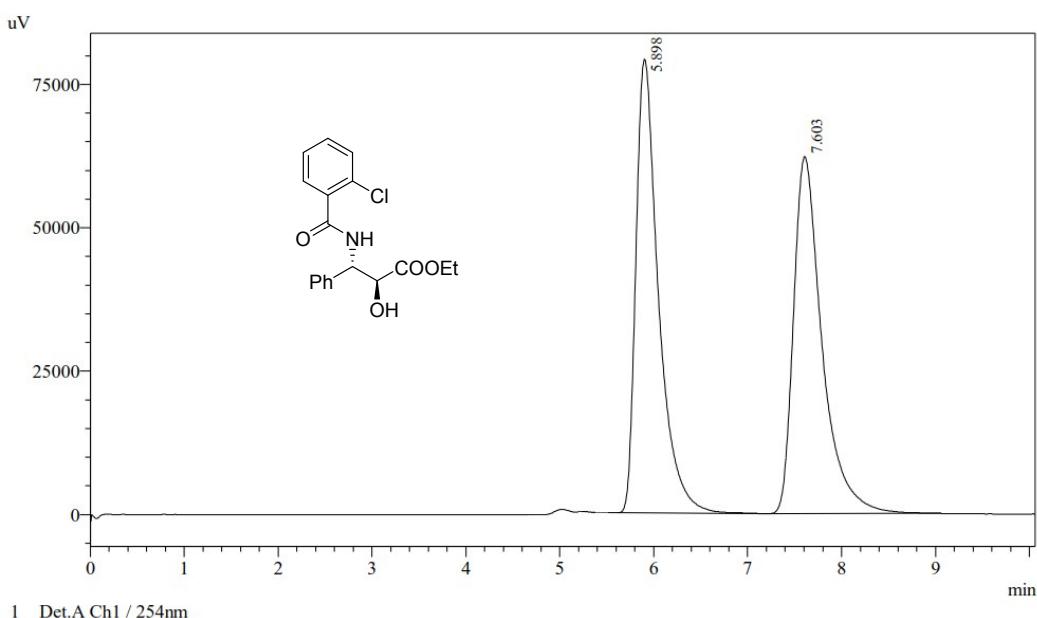


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

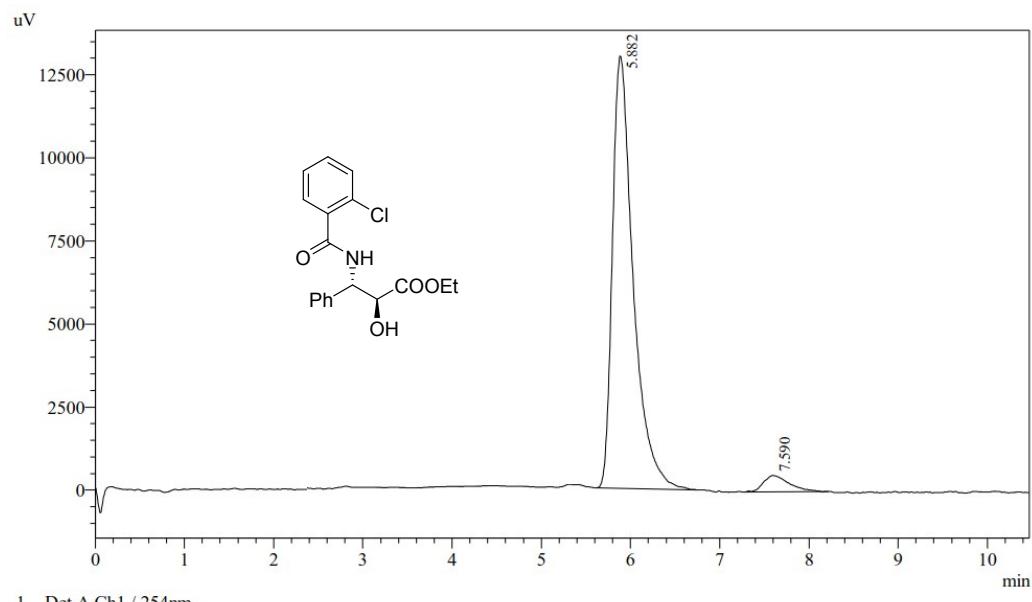
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.195	1382109	84436	96.413	97.774
2	15.061	51424	1922	3.587	2.226
Total		1433534	86358	100.000	100.000

ethyl (2S,3S)-3-(2-chlorobenzamido) -2-hydroxy-3-phenylpropanoate (3x)



Detector A Ch1 254nm

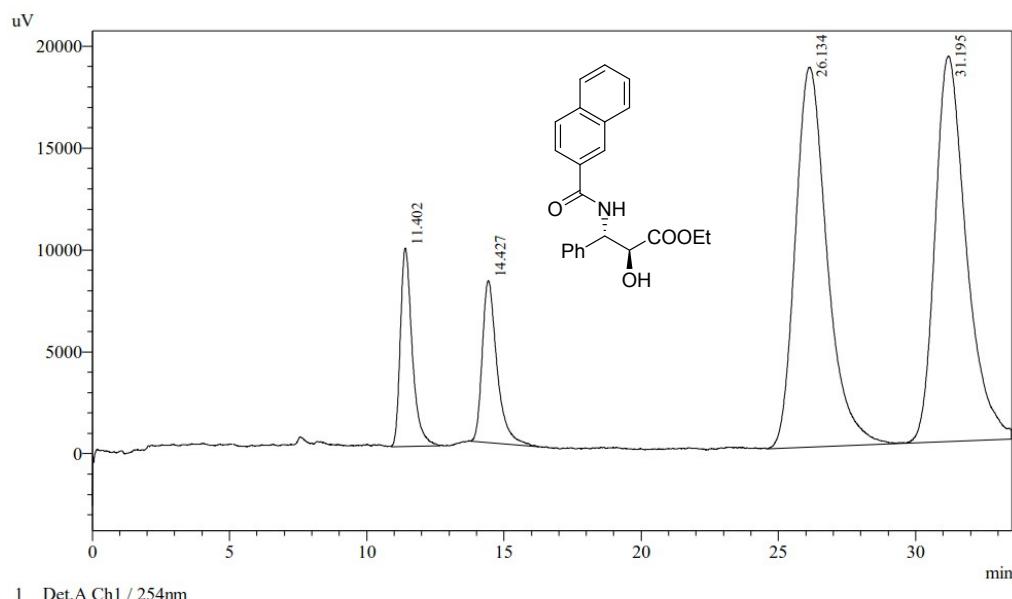
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.898	1320491	79103	50.172	55.946
2	7.603	1311439	62289	49.828	44.054
Total		2631930	141391	100.000	100.000



Detector A Ch1 254nm

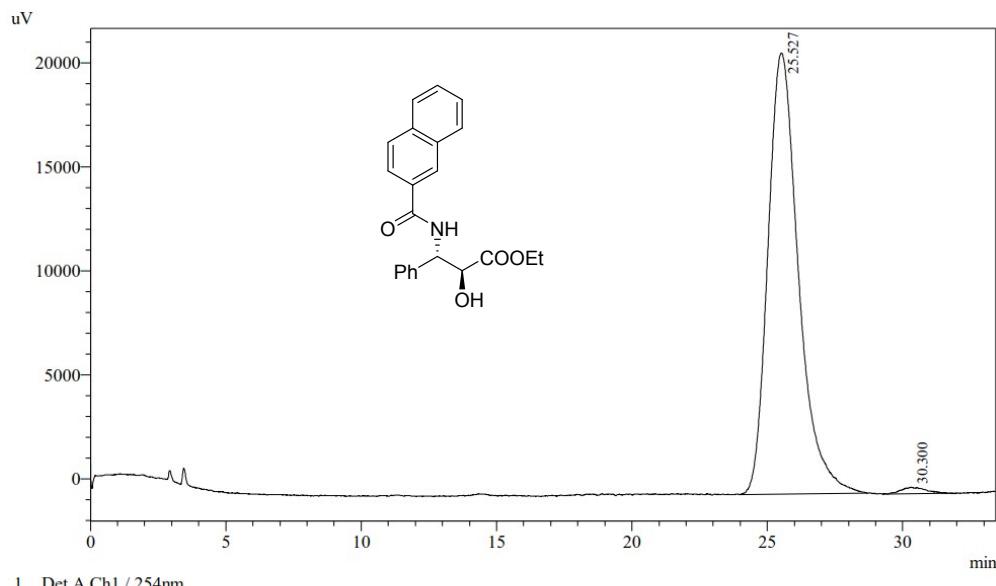
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.882	211023	13016	95.462	96.332
2	7.590	10032	496	4.538	3.668
Total		221055	13512	100.000	100.000

ethyl (2S,3S)-3-(2-naphthamido) -2-hydroxy-3-phenylpropanoate (3y)



Detector A Ch1 254nm

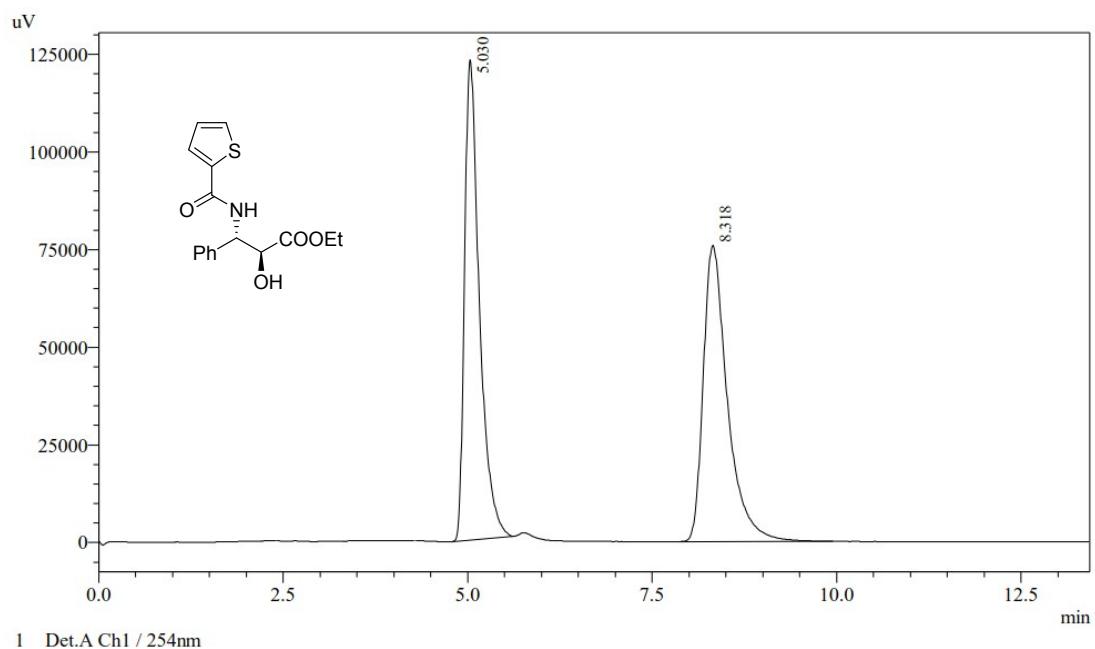
Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.402	291970	9735	8.133	17.613
2	14.427	298127	7960	8.305	14.403
3	26.134	1501233	18648	41.818	33.740
4	31.195	1498615	18927	41.745	34.244
Total		3589946	55271	100.000	100.000



Detector A Ch1 254nm

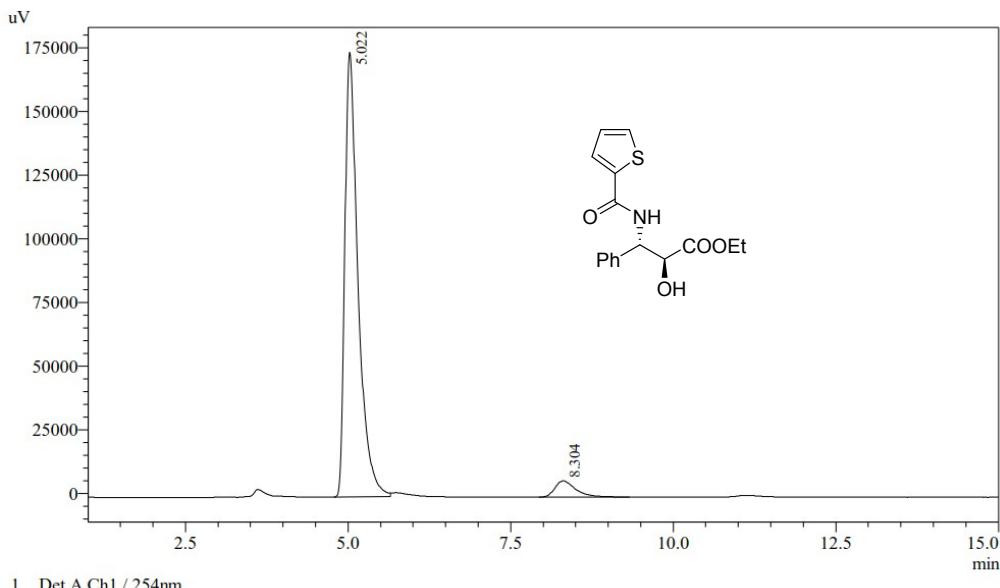
Peak#	Ret. Time	Area	Height	Area %	Height %
1	25.527	1666262	21218	98.910	98.581
2	30.300	18358	305	1.090	1.419
Total		1684620	21523	100.000	100.000

ethyl (2S,3S)-2-hydroxy-3-phenyl -3-(thiophene-2-carboxamido) propanoate (3z)



Detector A Ch1 254nm

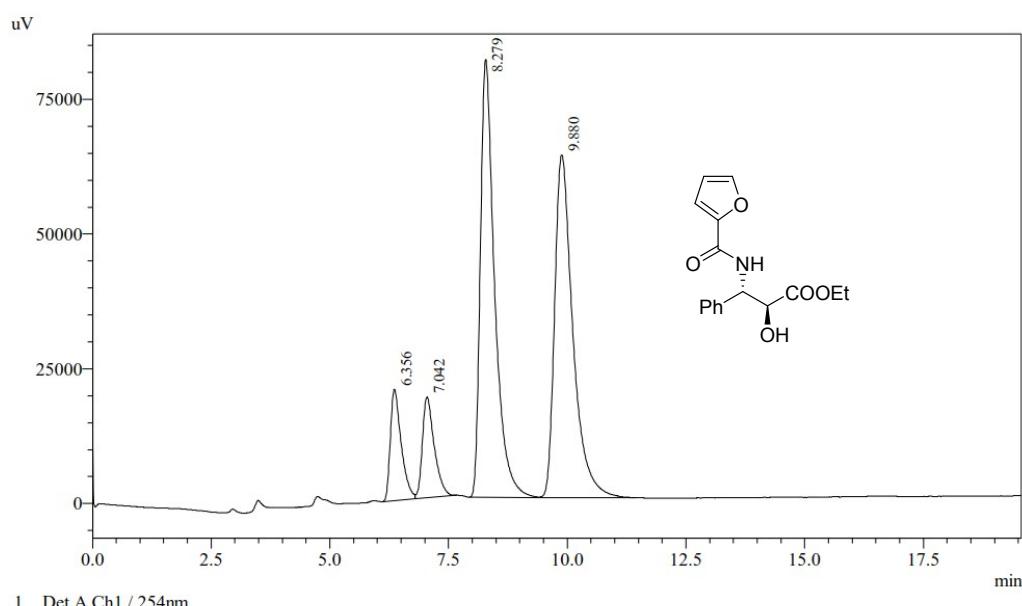
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.030	1720862	122927	49.905	61.855
2	8.318	1727384	75806	50.095	38.145
Total		3448246	198733	100.000	100.000



Detector A Ch1 254nm

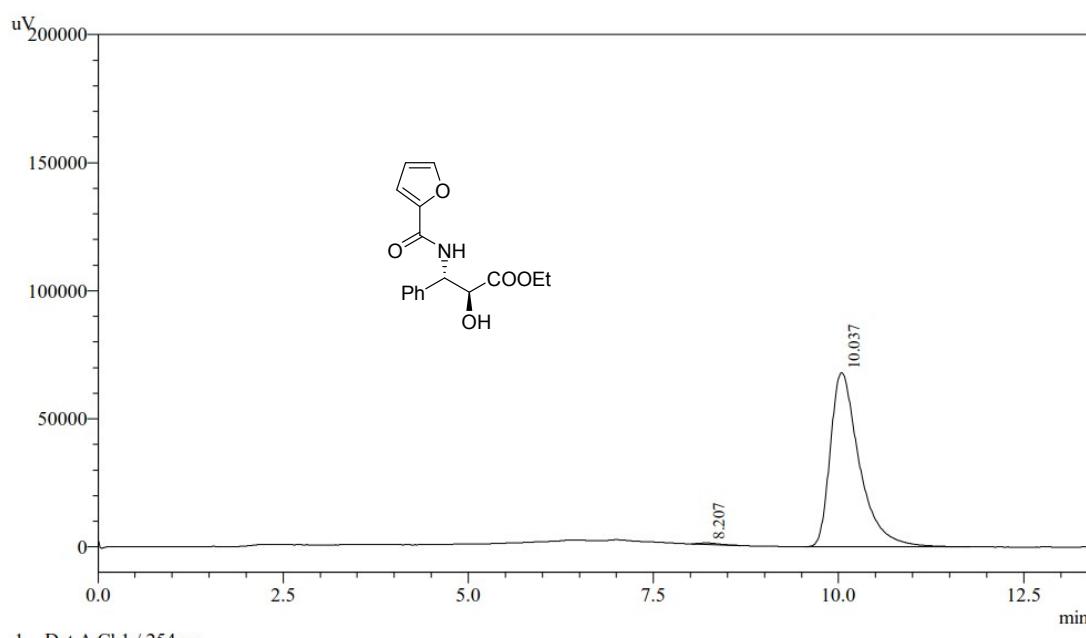
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.022	2465419	174640	94.522	96.484
2	8.304	142895	6364	5.478	3.516
Total		2608314	181003	100.000	100.000

ethyl (2S,3S) -3-phenyl -3-(furan-2-carboxamido)-2-hydroxy propanoate (3A)



Detector A Ch1 254nm

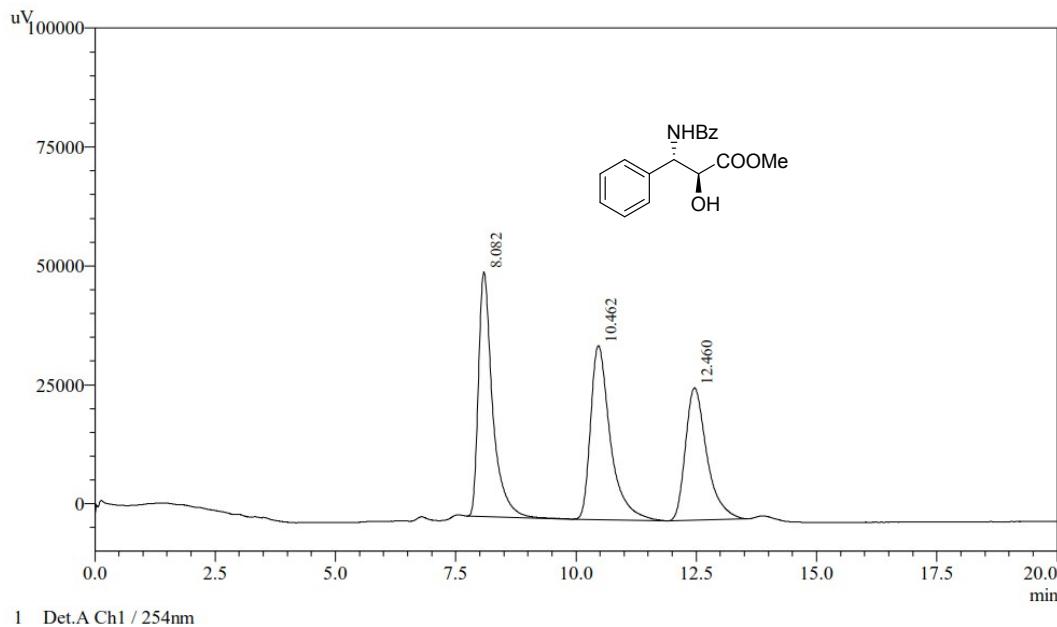
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.356	329441	20693	8.140	11.232
2	7.042	326709	18710	8.072	10.155
3	8.279	1694931	81254	41.878	44.104
4	9.880	1696208	63577	41.910	34.509
Total		4047289	184233	100.000	100.000



Detector A Ch1 254nm

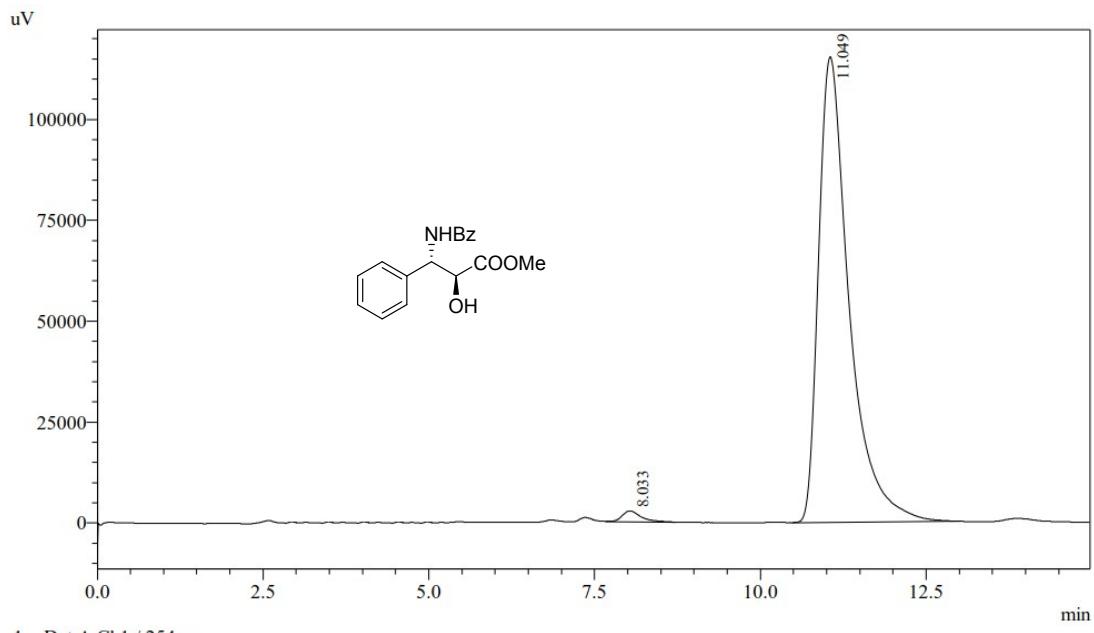
Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.207	9418	570	0.504	0.831
2	10.037	1860465	68028	99.496	99.169
Total		1869883	68598	100.000	100.000

methyl (2S, 3S)-3-benzamido-2-hydroxy-3-phenylpropanoate (3B)



Detector A Ch1 254nm

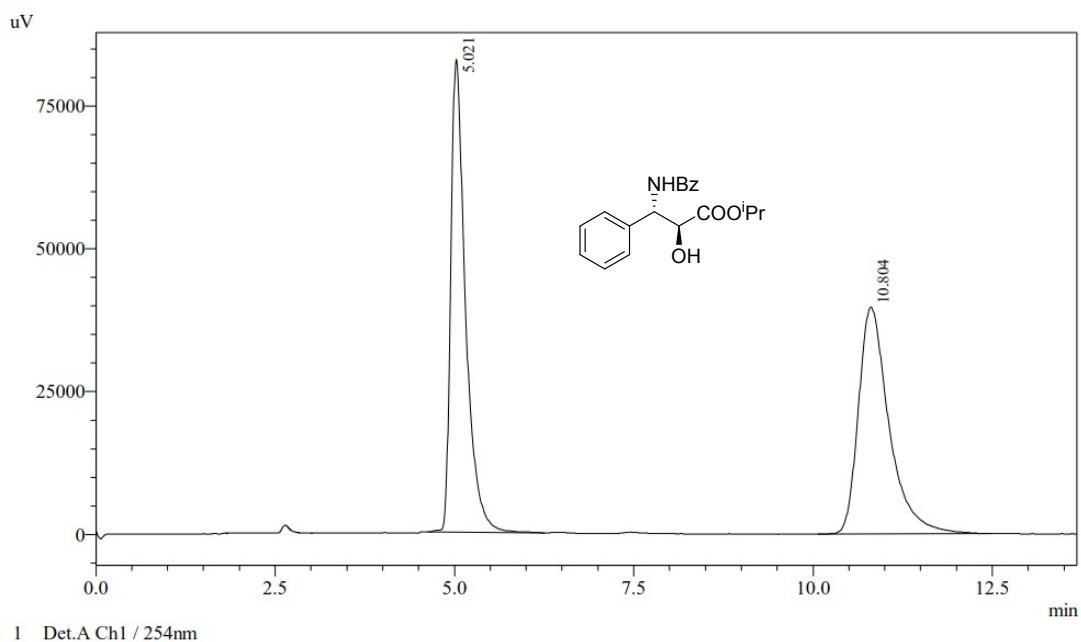
Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.082	1050114	51394	35.468	44.389
2	10.462	1046235	36553	35.337	31.570
3	12.460	864406	27835	29.195	24.041
Total		2960755	115782	100.000	100.000



Detector A Ch1 254nm

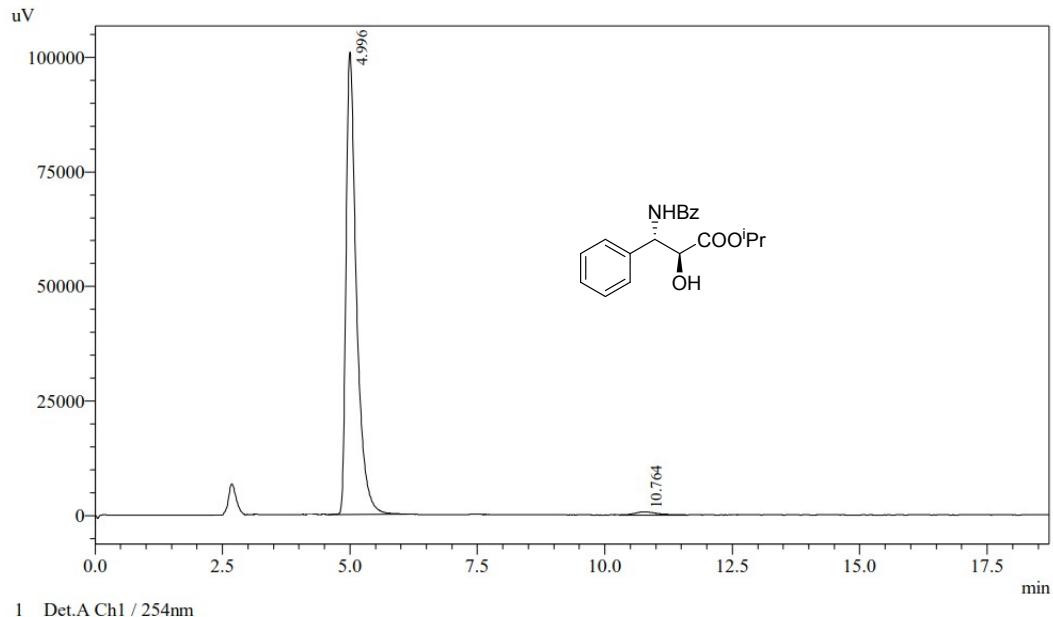
Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.033	52844	2675	1.414	2.267
2	11.049	3685533	115340	98.586	97.733
Total		3738377	118015	100.000	100.000

isopropyl (2S, 3S)-3-benzamido-2-hydroxy-3-phenylpropanoate (3C)



Detector A Ch1 254nm

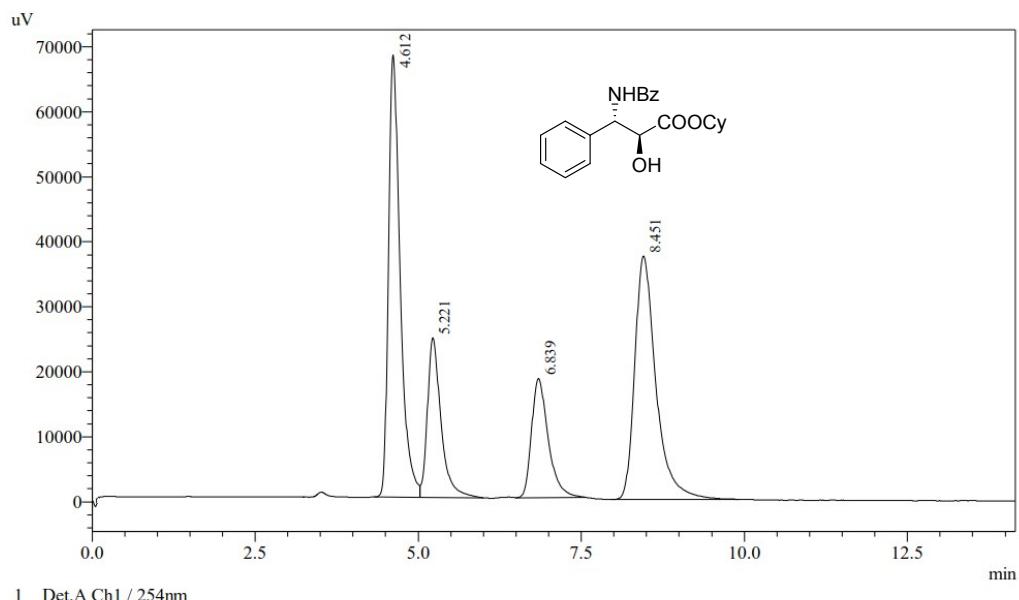
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.021	1188623	82774	50.104	67.566
2	10.804	1183683	39735	49.896	32.434
Total		2372306	122509	100.000	100.000



Detector A Ch1 254nm

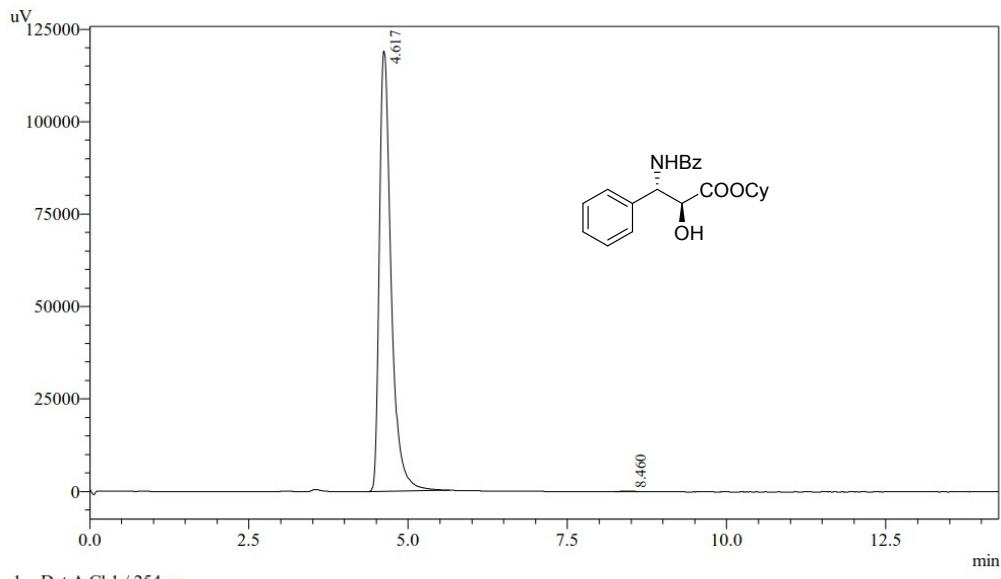
Peak#	Ret. Time	Area	Height	Area %	Height %
1	4.996	1430930	100908	98.631	99.319
2	10.764	19861	692	1.369	0.681
Total		1450791	101600	100.000	100.000

cyclohexyl (2S,3S)-3-benzamido-2-hydroxy-3-phenylpropanoate (3D)



Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	4.612	848177	68019	35.621	45.842
2	5.221	357083	24576	14.997	16.563
3	6.839	326392	18311	13.708	12.341
4	8.451	849452	37471	35.675	25.254
Total		2381104	148377	100.000	100.000



Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	4.617	1533071	119007	99.899	99.899
2	8.460	1542	120	0.101	0.101
Total		1534614	119127	100.000	100.000