SUPPORTING INFORMATION

For

Facile Synthesis of Chiral 2-functionalized Tetrahydroquinolines via Pd/Cu−catalyzed Cascade γ−C(sp^3)−H Arylation/C-N Coupling of Amides Derived from Amino Acids and Their Derivatives

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I General consideration

General experimental section

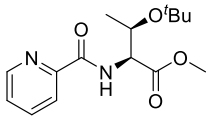
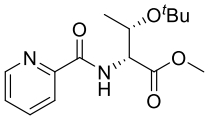
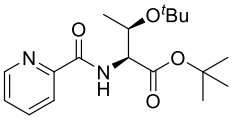
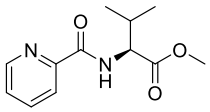
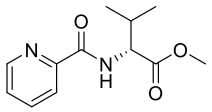
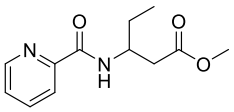
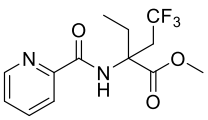
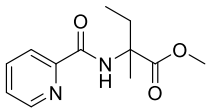
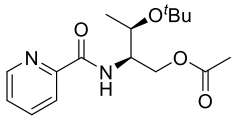
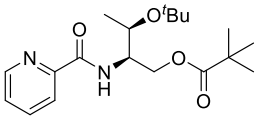
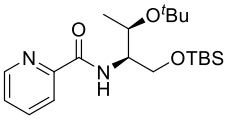
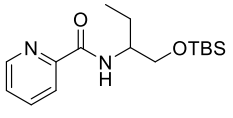
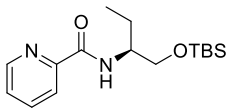
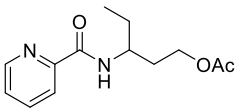
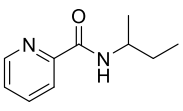
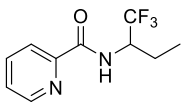
^{1}H NMR, ^{13}C NMR data, and ^{19}F NMR spectra were obtained on Bruker 600 M nuclear resonance spectrometers unless otherwise specified, respectively. $CDCl_3$ was employed as the solvent and tetramethyl silane (TMS) as the internal standard. Chemical shifts were reported in units (ppm) by assigning TMS resonance in the ^{1}H NMR spectrum as 0.00 ppm. The data of ^{1}H NMR was reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, m = multiple, dq = double quadruplet, dt = double triplet, and br = broad), coupling constant (*J* values) in Hz and integration. Chemical shifts for ^{13}C NMR spectra were recorded in ppm from TMS using the central peak of $CDCl_3$ (77.2 ppm) as the internal standard. According to standard techniques, flash chromatography was performed using 200-300 mesh silica gels with the indicated solvent system. Analytical thin-layer chromatography (TLC) was performed on pre-coated, glass-backed silica gel plates. Visualization of the developed chromatogram was performed by UV absorbance (254 nm). Optical rotations were measured by polarimeter. HRMS (ESI) analysis was performed by Analytical Instrumentation Center, Peking University. The analytical data for the known compounds were found to match the literature data.

General preparation for chemicals

The ortho halogen substituted phenyl iodides were all purchased from Ark. The metal catalyst $Pd(OAc)_2$, Ag_2CO_3, Cs_2CO_3, amino acids and amino alcohols were purchased from Energy-Chemical Co. Ltd. CuI was purchased from Sinopharm Chemical Reagent Co. Ltd. *t*-Amyl OH was purchased from Alfa Aesar Co. Ltd and used directly without further purification. Toluene and other related solvents were purchased from Tongguang Chemical Reagent Co. Ltd and used directly without further purification.

II Substrate amides in this manuscript

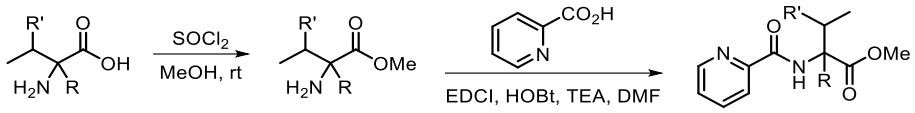
Table S1 Substrate Amides

 **1a**	 **1a**-R	 **1b**	 **1c**-S
 **1c**-R	 **1d**	 **1e**	 **1f**
 **1g**	 **1h**	 **1i**	 **1j**
 **1j**-S	 **1k**	 **1l**	 **1m**

III General procedure for the synthesis of substrate amides

3-1 General procedure for the synthesis of amides 1a-1f, 1l, and 1m

Scheme S1 General procedure for the synthesis of amides 1a-1f, 1l, and 1m



According to the literature procedures.[1]

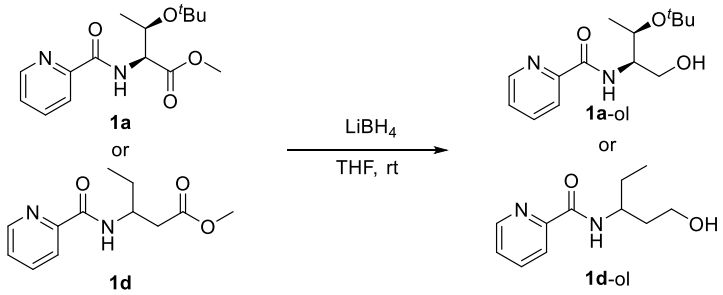
Step1: To a solution of the amino acids (20 mmol) in MeOH (30 mL) in ice water bath was slowly added $SOCl_2$ (20 mmol), and then two drops of DMF were added. The resulting mixture was stirred in oil bath at 50 ºC with a condenser for 4 h. After the reaction, the mixture was evaporated under vacuum, dissolved in CH_2Cl_2, and further evaporated under vacuum to give crude amino acid esters without further purification.

Step2: To a solution of the amino acid esters in DMF (0.3 M) was sequentially added picolinic acid (1 equiv), EDCI (1equiv), triethylamine (2 equiv), HOBt (1 equiv) at rt. The reaction mixture was stirred for 12 h under the same conditions. Upon completion, the mixture was quenched with water and diluted with EA. The organic layer was removed and the aqueous layer was extracted with EA. The combined organic layers were washed with brine. The organic layer was dried with Na_2SO_4, filtered, and concentrated by rotary evaporation. The residue thus obtained was purified by silica gel column chromatography (PE/EtOAc) to afford the pure amide.

3-2 General procedure for the synthesis of amides 1g-1k

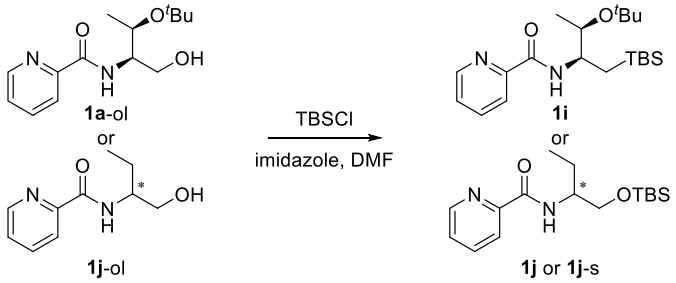
According to the literature procedures with slight modification.[2]

Scheme S2 General procedure for the reduction of amides 1a and 1d



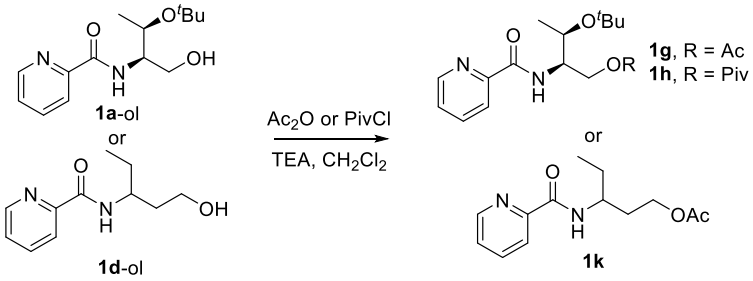
Step 1, reduction step: The solution of picolinamides **1a or 1d**, (1 equiv) in THF (20 mL) under an argon atmosphere was cooled in water/ice bath to 0 °C and lithium borohydride (4 M in THF, 1.3 equiv.) was added slowly dropwise, then the reaction mixture was stirred at room temperature for 3 h. The reaction was monitored by TLC to achieve full conversion, then cooled in water/ice bath and quenched by 15% citric acid solution in water. The organic solvent was evaporated in vacuum and water phase was extracted by DCM (2 × 30 mL). The combined organic phase was dried over Na_2SO_4, filtered and evaporated under reduced pressure to afford the crude product, which was used in next step without further purification.

Scheme S3 General procedure of the silylation of amino alcohols for 1i, 1j, and 1j-s



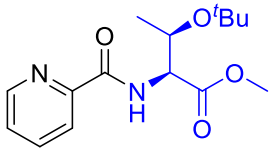
Step 2, alcohol protection with silyl reagent. alcohols **1a**-ol or **1j**-ol in DMF (5 mL) was added with imidazole (1.3 equiv) and tertbutyldimethylsilyl chloride (1.3 equiv). The reaction mixture was stirred at room temperature to achieve full conversion, the solution was diluted with EtOAc (30 mL) and H_2O (20 mL). The organic phase was separated and the water phase was extracted with EtOAc (20 mL), the combined organic phase was washed with brine (20 mL) and further dried over Na_2SO_4, filtered and evaporated under reduced pressure to afford the crude product, which was further purified by flash chromatography on silica gel using petroleum ether/EtOAc (6/1) as an eluent to give the corresponding product as colorless oil.

Scheme S4 General procedure of esterification of amino alcohols for 1g, 1h, and 1k



Step 3, esterification of alcohols step, alcohols **1a**-ol or **1d**-ol in CH_2Cl_2 (20 mL) was added with Ac_2O or PivCl (1.1 equiv) and TEA (1.2 equiv) and DMAP (0.1 equiv). The reaction mixture was stirred at room temperature to achieve full conversion, the solution was evaporated under vacuum and diluted with EtOAc (30 mL) and H_2O (20 mL). The organic phase was separated and the water phase was extracted with EtOAc (20 mL), the combined organic phase was washed with brine (20 mL) and further dried over Na_2SO_4, filtered and evaporated under reduced pressure to afford the crude product, which was further purified by flash chromatography on silica gel using petroleum ether/EtOAc (3/1) as an eluent to give the corresponding product as a colourless oil.

IV Characterization data for substrates



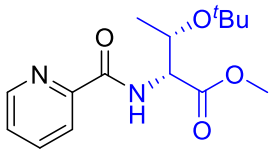
1a

methyl O-(tert-butyl)-N-picolinoyl-*L*-threoninate (**1a**), 4.4 g (20 mmol scale), 75%, White solid, *Rf* = 0.45 (PE/EtOAc = 3/1), $[\alpha]^{30}_D$ = 44.8 (c 0.1, $CHCl_3$), mp=126.8-128.0 °C.

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.69 (d, *J* = 8.3 Hz, 1H), 8.63 (s, 1H), 8.17 (d, *J* = 7.7 Hz, 1H), 7.84 (t, *J* = 7.5 Hz, 1H), 7.44 (s, 1H), 4.71 (d, *J* = 9.2 Hz, 1H), 4.37 – 4.30 (m, 1H), 3.74 (s, 3H), 1.23 (d, *J* = 5.8 Hz, 3H), 1.18 (s, 9H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 171.4, 164.9, 149.7, 148.5, 137.3, 126.4, 122.5, 74.3, 67.8, 58.2, 52.4, 28.5, 21.1.

The data is in agreement with that reported in the literature[1].



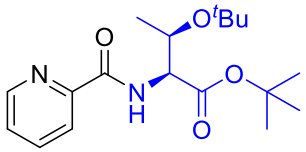
1a-R

methyl O-(tert-butyl)-N-picolinoyl-*D*-threoninate (**1a**-R), 2.4 g (10 mmol scale), 82%, White solid, *Rf* = 0.45 (PE/EtOAc = 3/1), $[\alpha]^{26}_{D}$ = -53.28 (c 0.404, $CHCl_3$), mp=131.5-132.4 °C.

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.68 (d, *J* = 8.6 Hz, 1H), 8.63 (d, *J* = 4.7 Hz, 1H), 8.18 (d, *J* = 8.6 Hz, 1H), 7.84 (t, *J* = 7.7 Hz, 1H), 7.43 (d, *J* = 4.8 Hz, 0H), 4.71 (dd, *J* = 9.3, 2.2 Hz, 1H), 4.34 (qd, *J* = 6.3, 2.2 Hz, 1H), 3.74 (s, 2H), 1.24 (d, *J* = 6.3 Hz, 2H), 1.18 (s, 6H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 171.4, 164.9, 149.8, 149.7, 148.54, 148.50, 137.4, 137.3, 126.4, 122.6, 74.3, 67.9, 58.3, 52.4, 28.5, 21.1.

The data is in agreement with that reported in the literature[3].



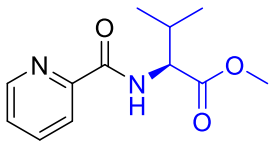
1b

tert-butyl O-(tert-butyl)-N-picolinoyl-*L*-threoninate (**1b**), 2.6 g (10 mmol scale), 78%, Colorless liquid, *Rf* = 0.45 (PE/EA=3:1, v/v), $[\alpha]^{29}_{D}$ = 50.5 (c 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.66 (d, *J* = 7.9 Hz, 1H), 8.61 (s, 1H), 8.17 (d, *J* = 7.4 Hz, 1H), 7.84 (s, 1H), 7.42 (dt, *J* = 7.8, 3.7 Hz, 1H), 4.59 (d, *J* = 9.1 Hz, 1H), 4.29 (s, 1H), 1.47 (s, 9H), 1.22 (s, 9H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 169.9, 164.7, 149.8, 148.5, 137.3, 137.3, 126.3, 122.4, 122.4, 82.0, 74.0, 67.7, 58.7, 28.8, 28.2, 20.9.

HRMS (ESI): found: 351.2289 ($[M+H]^+$), calcd. Chemical Formula: $C_{19}H_{31}N_2O_4$, Exact Mass: 351.2284.



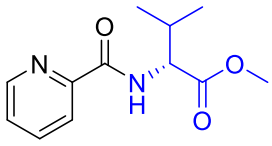
1c-S

methyl picolinoyl-L-valinate (**1c**-S), 3.3 g (20 mmol scale), 78%, Colorless liquid, *Rf* = 0.45 (PE/EA=3:1, v/v), $[\alpha]^{29}_{D}$ = 33.5 (c 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.59 (s, 1H), 8.51 (d, *J* = 5.7 Hz, 1H), 8.17 (d, *J* = 6.8 Hz, 1H), 7.85 (d, *J* = 6.7 Hz, 1H), 7.44 (s, 1H), 4.73 (s, 1H), 3.76 (s, 3H), 2.31 (s, 1H), 1.01 (s, 6H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 172.4, 164.4, 149.6, 148.4, 137.4, 126.5, 122.5, 57.5, 52.3, 31.6, 19.3, 18.0.

The data is in agreement with that reported in the literature[1].



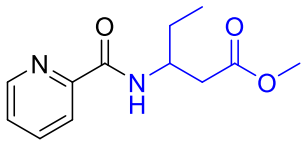
1c-R

methyl picolinoyl-*L*-valinate (**1c**-R), 3.3 g (20 mmol scale), 78%, Colorless liquid, *Rf* = 0.45 (PE/EA=3:1, v/v), $[\alpha]^{29}_{D}$ = -24.6 (c 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.54 (s, 1H), 8.48 (d, *J* = 7.5 Hz, 1H), 8.12 (d, *J* = 7.6 Hz, 1H), 7.80 (t, *J* = 7.3 Hz, 1H), 7.39 (s, 1H), 4.76 – 4.63 (m, 1H), 3.72 (s, 3H), 2.27 (dt, *J* = 10.4, 5.3 Hz, 1H), 0.97 (s, 6H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 172.2, 164.3, 149.4, 148.3, 137.4, 126.4, 122.3, 57.3, 52.2, 31.5, 19.2, 17.9.

The data is in agreement with that reported in the literature[1].



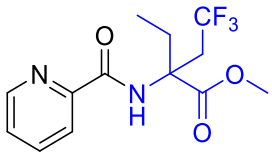
1d

methyl 3-(picolinamido)pentanoate, 1.7 g (20 mmol scale), 72%, Colorless liquid, *Rf* = 0.51 (PE/EA=3:1, v/v).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.47 (ddd, *J* = 4.8, 1.8, 0.9 Hz, 1H), 8.29 (d, *J* = 9.3 Hz, 1H), 8.09 (dt, *J* = 7.8, 1.1 Hz, 1H), 7.74 (td, *J* = 7.7, 1.7 Hz, 1H), 7.33 (ddd, *J* = 7.6, 4.8, 1.2 Hz, 1H), 4.31 (ddt, *J* = 12.6, 9.3, 5.9 Hz, 1H), 3.59 (s, 3H), 2.64 – 2.52 (m, 2H), 1.67 – 1.57 (m, 2H), 0.89 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 171.9, 163.8, 149.8, 148.1, 137.2, 126.0, 122.1, 51.6, 47.7, 38.5, 27.3, 10.6.

The data is in agreement with that reported in the literature[4].



1e

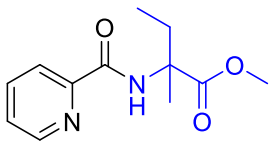
ethyl 2-ethyl-4,4,4-trifluoro-2-(picolinamido)butanoate (**1e**), 1.9 g (20 mmol scale), 61%, white solid, mp=66.5-67.1 °C, *Rf* = 0.48 (PE/EA=3:1, v/v).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 9.16 (s, 1H), 8.62 (s, 1H), 8.13 (d, *J* = 7.7 Hz, 1H), 7.85 (t, *J* = 7.8 Hz, 1H), 7.45 (p, *J* = 3.5 Hz, 1H), 4.35 (tq, *J* = 7.8, 4.1, 3.7 Hz, 2H), 3.68 (p, *J* = 11.1 Hz, 1H), 2.90 – 2.79 (m, 1H), 2.70 (dq, *J* = 14.8, 7.6 Hz, 1H), 1.88 (dq, *J* = 14.7, 7.4, 6.7 Hz, 1H), 1.35 (t, *J* = 6.8 Hz, 3H), 0.81 (t, *J* = 7.1 Hz, 3H).

^{19}F NMR (565 MHz, Chloroform-*d*) δ -62.35.

^{13}C NMR (151 MHz, Chloroform-*d*) δ 171.8, 163.9, 149.7, 148.5, 137.5, 126.5, 121.9, 62.7, 60.7, 38.0 (q, *J* = 30.2 Hz), 28.9, 14.2, 7.9.

HRMS (ESI): found: 305.1119 ([M+H]$^+$), calcd. Chemical Formula: $C_{13}H_{16}F_3N_2O_3$, Exact Mass: 305.1113.



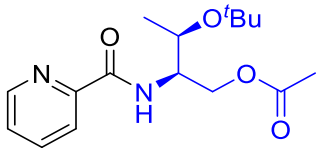
1f

methyl 2-methyl-2-(picolinamido)butanoate(**1f**), 1.8 g (10 mmol), 76%, Colorless liquid, *Rf* = 0.48 (PE/EA=3:1, v/v).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.71 (s, 1H), 8.56 (s, 1H), 8.13 (d, *J* = 7.6 Hz, 1H), 7.82 (t, *J* = 7.4 Hz, 1H), 7.41 (s, 1H), 3.78 (s, 3H), 2.28-2.34 (m, 1H), 1.95- 2.01(m, 1H), 1.68 (s, 3H), 0.85 (t, *J* = 6 Hz, 3H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 174.7, 163.4, 150.1, 148.2, 137.4, 126.3, 122.0, 60.7, 52.7, 30.1, 22.6, 8.6.

HRMS (ESI): found: 237.1234 ([M+H]$^+$), calcd. Chemical Formula: $C_{12}H_{17}N_2O_3$, Exact Mass: 237.1239.



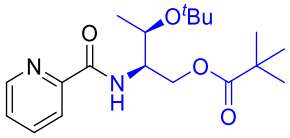
1g

(2*R*,3*R*)-3-(tert-butoxy)-2-(picolinamido)butyl acetate (1g), 2.0 g (10 mmol), 65%, colorless liquid, *Rf* = 0.46 (PE/EA=3:1, v/v), $[\alpha]^{28}_D$ = 20.62 (c 0.12, $CHCl_3$).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.58 (s, 1H), 8.28 (d, *J* = 9.2 Hz, 1H), 8.18 (d, *J* = 7.7 Hz, 1H), 7.84 (t, *J* = 7.5 Hz, 1H), 7.43 (s, 1H), 4.33 – 4.25 (m, 1H), 4.19 (p, *J* = 9.6, 9.2 Hz, 2H), 3.98 (d, *J* = 4.6 Hz, 1H), 2.04 (s, 3H), 1.22 (s, 9H), 1.17 (d, *J* = 5.2 Hz, 3H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 171.1, 164.7, 149.8, 148.3, 137.4, 126.3, 122.4, 74.1, 65.5, 63.7, 53.30, 28.7, 21.0, 20.1.

HRMS (ESI): found: 309.1811 ([M+H]$^+$), calcd. Chemical Formula: $C_{16}H_{25}N_2O_4$, Exact Mass: 309.1814.



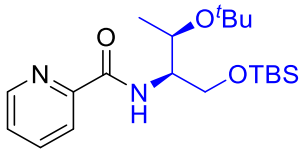
1h

(2*R*,3*R*)-3-(tert-butoxy)-2-(picolinamido)butyl pivalate (1h), 320 mg (1mmol), 90%, white solid, mp=64.9-65.5 °C, *Rf* = 0.49 (PE/EA=3:1, v/v), $[\alpha]^{28}_D$ = 20.60 (c 0.12, $CHCl_3$).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.58 (s, 1H), 8.28 (d, *J* = 8.6 Hz, 1H), 8.18 (d, *J* = 7.8 Hz, 1H), 7.84 (t, *J* = 7.5 Hz, 1H), 7.43 (s, 1H), 4.31 (q, *J* = 7.5 Hz, 1H), 4.21 (d, *J* = 7.1 Hz, 1H), 4.19 – 4.15 (m, 1H), 4.00 – 3.95 (m, 1H), 1.23 (s, 9H), 1.19 (d, *J* = 6.4 Hz, 3H), 1.17 (s, 9H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 178.4, 164.7, 148.4, 137.4, 126.3, 122.4, 74.1, 65.5, 63.4, 53.3, 38.9, 28.8, 27.3, 20.3.

HRMS (ESI): found: 351.2287 ([M+H]$^+$), calcd. Chemical Formula: $C_{19}H_{31}N_2O_4$, Exact Mass: 351.2284.



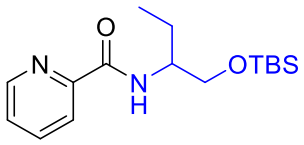
1i

N-((2*R*,3*R*)-3-(tert-butoxy)-1-((tert-butyldimethylsilyl)oxy)butan-2-yl) picolinamide (**1i**), 380.6 mg (1 mmol), 94%, white solid, mp=56.6-56.9 °C, *Rf* = 0.54 (PE/EA=3:1, v/v), $[\alpha]^{27}_D$ = 16.5 (c 0.1, $CHCl_3$).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.57 (s, 1H), 8.37 (d, *J* = 8.2 Hz, 1H), 8.19 (d, *J* = 7.3 Hz, 1H), 7.84 (t, *J* = 7.5 Hz, 1H), 7.41 (s, 1H), 4.10 (d, *J* = 4.7 Hz, 1H), 3.98 (s, 1H), 3.74 (d, *J* = 5.8 Hz, 1H), 3.66 (t, *J* = 8.6 Hz, 1H), 1.24 (s, 9H), 1.17 (d, *J* = 5.9 Hz, 3H), 0.90 (s, 9H), 0.08 (s, 3H), 0.05 (s, 3H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 164.5, 150.3, 148.3, 137.4, 126.1, 122.3, 73.9, 64.6, 61.2, 55.9, 28.8, 26.0, 20.5, 18.3, -5.2, -5.3.

HRMS (ESI): found: 381.2578 ([M+H]$^+$), calcd. Chemical Formula: $C_{20}H_{37}N_2O_3Si$, Exact Mass: 381.2573.



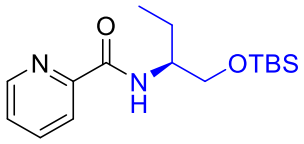
1j

N-(1-((tert-butyldimethylsilyl)oxy)butan-2-yl)picolinamide (**1j**), 262.2 mg (1 mmol), 85%, colorless liquid, *Rf* = 0.52 (PE/EA=3:1, v/v).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.54 (s, 1H), 8.22 (d, *J* = 7.4 Hz, 1H), 8.19 (d, *J* = 7.7 Hz, 1H), 7.83 (t, *J* = 7.4 Hz, 1H), 7.40 (s, 1H), 4.05 (s, 1H), 3.81 – 3.62 (m, 2H), 1.75 (dt, *J* = 13.7, 6.9 Hz, 1H), 1.64 (dt, *J* = 13.9, 7.2 Hz, 1H), 0.97 (t, *J* = 7.2 Hz, 3H), 0.90 (s, 9H), 0.04 (s, 6H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 164.0, 150.2, 148.1, 137.4, 126.1, 122.3, 64.4, 52.2, 26.0, 24.6, 18.4, 10.7, -5.3, -5.4.

The data is in agreement with that reported in the literature[5].



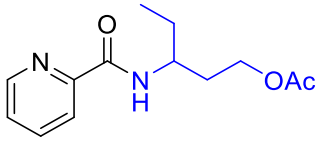
1j-s

(S)-N-(1-((tert-butyldimethylsilyl)oxy)butan-2-yl)picolinamide (**1j**-s), 274.6 mg (1 mmol), 89%, colorless liquid, *Rf* = 0.52 (PE/EA=3:1, v/v), $[\alpha]^{29}_D$ = -50.30 (c 0.12, $CHCl_3$).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.56 (s, 1H), 8.22 (d, *J* = 7.6 Hz, 1H), 7.85 (d, *J* = 7.2 Hz, 1H), 7.43 (s, 1H), 4.08 (s, 1H), 3.78 (d, *J* = 9.9 Hz, 1H), 3.73 – 3.68 (m, 1H), 1.82 – 1.74 (m, 1H), 1.67 (ddt, *J* = 15.7, 11.3, 6.7 Hz, 1H), 1.00 (t, *J* = 7.0 Hz, 4H), 0.93 (s, 8H), 0.07 (s, 6H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 164.00, 150.23, 148.14, 137.38, 126.09, 122.29, 64.35, 52.19, 25.97, 24.64, 18.39, 10.74, -5.33, -5.37.

The data is in agreement with that reported in the literature[5].



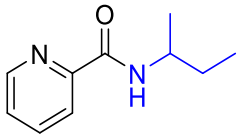
1k

3-(picolinamido)pentyl acetate (**1k**), 237.8 mg (1 mmol), 95%, colorless liquid, *Rf* = 0.42 (PE/EA=3:1, v/v).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.53 (s, 1H), 8.19 (d, *J* = 7.7 Hz, 1H), 7.97 (d, *J* = 7.5 Hz, 1H), 7.85 (t, *J* = 7.5 Hz, 1H), 7.42 (s, 1H), 4.25 – 4.09 (m, 3H), 2.03 (s, 3H), 1.99 (d, *J* = 7.2 Hz, 1H), 1.85 (dd, *J* = 13.6, 6.1 Hz, 1H), 1.73 – 1.65 (m, 1H), 1.60 (dt, *J* = 14.0, 7.2 Hz, 1H), 0.96 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 171.2, 164.1, 149.9, 148.0, 137.6, 126.3, 122.5, 61.9, 48.4, 33.5, 28.1, 21.1, 10.5.

HRMS (ESI): found: 251.1390 ([M+H]$^+$), calcd. Chemical Formula: $C_{13}H_{19}N_2O_3$, Exact Mass: 251.1396.



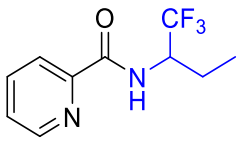
1l

N-(sec-butyl)picolinamide (**1l**), 2.82 g (20 mmol), 79%, white solid, mp=66.5-67.6 ºC, *Rf* = 0.45 (PE/EA=3:1, v/v).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.54 (s, 1H), 8.20 (s, 1H), 7.96 – 7.76 (m, 2H), 7.41 (s, 1H), 4.18 – 4.04 (m, 1H), 1.66 – 1.53 (m, 2H), 1.25 (s, 3H), 1.02 – 0.89 (m, 3H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 163.7, 150.2, 148.0, 137.5, 126.1, 122.4, 122.4, 46.8, 29.9, 20.6, 10.6.

The data is in agreement with that reported in the literature[5].



1m

N-(1,1,1-trifluorobutan-2-yl)picolinamide (**1m**), 998.4 mg (20 mmol), 86%, white solid, mp=79.6-80.7 ºC, *Rf* = 0.45 (PE/EA=3:1, v/v).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.58 (s, 1H), 8.22 (d, *J* = 7.1 Hz, 1H), 8.12 (d, *J* = 6.2 Hz, 1H), 7.88 (t, *J* = 6.7 Hz, 1H), 7.48 (s, 1H), 4.78 – 4.61 (m, 1H), 2.07 – 1.93 (m, 1H), 1.77 – 1.64 (m, 1H), 1.04 (t, *J* = 6.3 Hz, 3H).

^{19}F NMR (565 MHz, Chloroform-*d*) δ -75.74.

^{13}C NMR (151 MHz, Chloroform-*d*) δ 164.7, 148.9, 148.3, 137.7, 126.9, 122.8, 52.2, 52.0, 51.8, 21.9, 9.9.

The data is in agreement with that reported in the literature[6].

Reference

[1] He, G.; Zhao, Y.; Zhang, S.; Lu, C.; Chen G. *J. Am. Chem. Soc*. **2012**, *134*, 3−6.

[2] Lukasevics, L.; Cizikovs, A.; Grigorjeva, L. *Org. Lett.* **2020**, *22*, 2720–2723.

[3] Biswas, S.; Bheemireddy, N. R.; Bal, M.; Van Steijvoort, B. F.; Maes, B. U. W. *J. Org. Chem.* **2019**, *84*, 13112–13123.

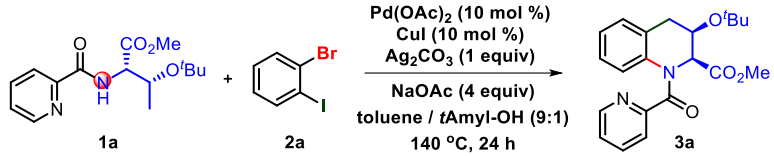
[4] Wang, P.-L.; Li, Y.; Wu, Y.; Li, C.; Lan, Q.; Wang X.-S. *Org. Lett.* **2015**, *17*, 3698−3701.

[5] Li, Q.; Zhang, S.-Y.; He, G.; Nack, W. A.; Chen, G. *Adv. Syn. Cat.* **2014**, *356(7)*: 1544-1548.

[6] Nack, W. A.; He, G.; Zhang, S.-Y.; Lu, C.; Chen, G. *Org. Lett.* **2013**, *15(13)*: 3440-3443.

V Standard procedure for Pd-catalyzed C-H Arylation / C-N Coupling

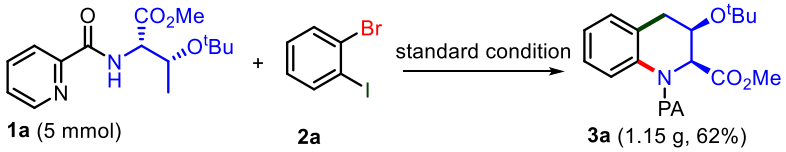
Scheme S5 standard procedure Pd-catalyzed C-H Arylation / C-N Coupling



To an oven-dried Schlenk tube equipped with a magnetic stir bar added by amides (0.2 mmol), $Pd(OAc)_2$ (4.5 mg, 0.02 mmol, 10 mol%), Ag_2CO_3 (55.0 mg, 0.2 mmol, 1equiv), CuI (3.9 mg, 0.02 mmol, 0.1 equiv) and NaOAc (65.6 mg, 0.8 mmol, 4 equiv). Then 0.9 mL of toluene and 0.1 mL of t-AmylOH were added sequentially and 2-bromo iodobenzene (0.3 mmol, 1.5 equiv) was injected into the resulting mixture with a microinjector. After that, the tube was sealed with a rubber stopper and the resulting mixture was stirred at 140 °C in an oil bath for 24 h. After the reaction was finished, the reaction mixture was cooled to room temperature and concentrated under reduced pressure. The residue was purified by column chromatography on silica gel using petroleum ether/ethyl acetate (1:1) as the eluent to give the desired product.

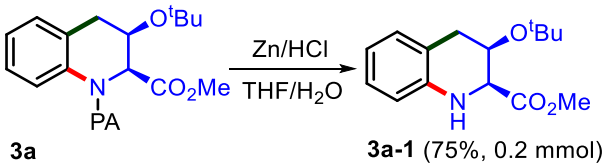
VI Gram-scale synthesis of 3a and removal of the protecting group

Scheme S6 Gram-scale synthesis of 3a



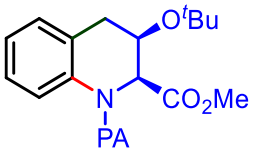
To an oven-dried Schlenk tube(100 mL) equipped with a magnetic stir bar added by methyl O-(tert-butyl)-N-picolinoyl-L-threoninate (**3a**, 5 mmol), $Pd(OAc)_2$ (112 mg, 0.5 mmol, 10 mol%), Ag_2CO_3 (55.0 mg, 5 mmol, 1equiv), CuI (96 mg, 0.5 mmol, 0.1 equiv) and NaOAc (1.64 g, 20 mmol, 4 equiv). Then 22.5 mL of toluene and 2.5 mL of t-AmylOH were added sequentially and 2-bromo iodobenzene (7.5 mmol, 1.5 equiv) was injected into the resulting mixture. After that, the tube was sealed with a rubber stopper and the resulting mixture was stirred at 140 °C in an oil bath for 24 h. After the reaction was finished, the reaction mixture was cooled to room temperature and concentrated under reduced pressure. The residue was purified by column chromatography on silica gel using petroleum ether/ethyl acetate (1:1) as the eluent to give **3a** (1.15 g, 62%).

Scheme S7 removal of picolinyl protecting group



To a solution of compound **3a** (0.2 mmol, 74 mg) in a mixture of THF/H_2O (2:1, 12 mL) an aqueous solution of HCl (1M, 2 mL) and Zn powder (2 mmol, 130 mg) were added, and the resulting solution was stirred at room temperature for 16 hours. After evaporation of the solvent, the resulting crude was diluted with EtOAc and washed with a saturated solution of $NaHCO_3$. The organic phase was dried with Na_2SO_4 and concentrated under reduced pressure. The residue was purified by column chromatography on silica gel using petroleum ether/ethyl acetate (3:1) as the eluent to give **3a-1** (39.5 mg, 75%).

VII Characterization data for products



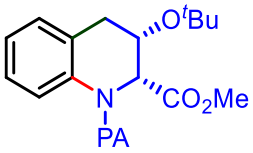
3a

methyl (2*S*,3*R*)-3-(tert-butoxy)-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2-carboxylate (3a), 47.9 mg (0.2 mmol scale), 65%, light yellow solid, *Rf* = 0.4 (PE/EtOAc = 1/1), $[\alpha]^{25}_D$ = -83.80 (c 0.502, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.43 (d, *J* = 3.7 Hz, 1H), 7.68 (t, *J* = 7.5 Hz, 1H), 7.53 (d, *J* = 7.3 Hz, 1H), 7.25 (dd, *J* = 10.9, 4.9 Hz, 1H), 7.13 (d, *J* = 7.3 Hz, 1H), 7.00 (t, *J* = 7.3 Hz, 1H), 6.85 (s, 1H), 6.58 (s, 1H), 5.18 (d, *J* = 6.8 Hz, 1H), 4.52 (s, 1H), 3.74 (s, 3H), 3.10 (dd, *J* = 14.4, 6.9 Hz, 1H), 2.91 – 2.71 (m, 1H), 1.15 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.6, 168.7, 154.0, 148.9, 137.7, 136.7, 129.6, 128.8, 126.3, 125.0, 124.8, 124.3, 74.9, 68.3, 62.4, 52.0, 34.7, 28.4.

HRMS (ESI): found: 369.1811 ($[M+H]^+$), calcd. Chemical Formula: $C_{21}H_{25}N_2O_4$, Exact Mass: 369.1814.



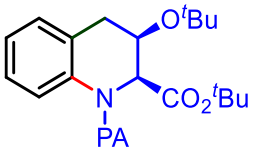
3a-R

methyl (2*R*,3*S*)-3-(tert-butoxy)-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2-carboxylate (3a-R), 44.9 mg (0.2 mmol scale), 61%, light yellow oil, *Rf* = 0.4 (PE/EtOAc = 1/1), $[\alpha]^{25}_D$ = 93.00 (c 0.514, $CHCl_3$).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.44 (s, 1H), 7.72 – 7.65 (m, 1H), 7.53 (d, *J* = 6.1 Hz, 1H), 7.27 (d, *J* = 16.4 Hz, 1H), 7.15 (d, *J* = 7.1 Hz, 1H), 7.01 (t, *J* = 7.1 Hz, 1H), 6.86 (s, 1H), 6.52 (s, 1H), 5.18 (d, *J* = 6.4 Hz, 1H), 4.54 (s, 1H), 3.75 (s, 3H), 3.12 (dd, *J* = 14.1, 6.4 Hz, 1H), 2.85 (d, *J* = 14.2 Hz, 1H), 1.16 (s, 9H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 169.5, 168.6, 153.8, 148.9, 136.7, 129.5, 128.8, 126.3, 124.9, 124.8, 124.7, 124.2, 74.9, 68.2, 62.3, 52.0, 34.6, 28.4.

HRMS (ESI): found: 369.1817 ($[M+H]^+$), calcd. Chemical Formula: $C_{21}H_{25}N_2O_4$, Exact Mass: 369.1814.



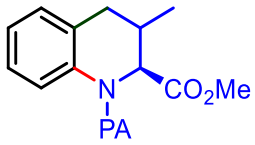
3b

tert-butyl (2*S*,3*R*)-3-(tert-butoxy)-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2-carboxylate (3b), 43.5 mg (0.2 mmol scale), 53%, light yellow oil, *Rf* = 0.45 (PE/EtOAc = 1/1), $[\alpha]^{29}_D$ = -57.64 (c 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.49 (d, *J* = 3.7 Hz, 1H), 7.66 (t, *J* = 7.2 Hz, 1H), 7.48 (s, 1H), 7.27 – 7.21 (m, 1H), 7.12 (d, *J* = 7.4 Hz, 1H), 6.98 (t, *J* = 7.3 Hz, 1H), 6.85 (s, 1H), 6.54 (s, 1H), 5.04 (d, *J* = 3.5 Hz, 1H), 4.35 (s, 1H), 3.11 (dd, *J* = 13.9, 8.6 Hz, 1H), 2.83 (d, *J* = 13.0 Hz, 1H), 1.46 (s, 9H), 1.20 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 168.6, 168.1, 154.3, 149.1, 137.5, 136.6, 129.3, 128.7, 126.1, 124.6, 124.5, 124.0, 81.4, 74.8, 67.9, 62.1, 34.5, 28.3, 28.2.

HRMS (ESI): found: 411.2286 ($[M+H]^+$), calcd. Chemical Formula: $C_{24}H_{31}N_2O_4$, Exact Mass: 411.2284.



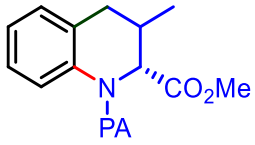
3c-S

methyl (2*S*)-3-methyl-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2-carboxylate (3c-S), 46.5 mg (0.2 mmol scale), 75%, dr = 5.8:1, light yellow oil, *Rf* = 0.43 (PE/EtOAc = 1/1), $[\alpha]^{25}_D$ = -232.48 (c 0.45, $CHCl_3$).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.39 (s, 1H), 7.70 (d, *J* = 24.5 Hz, 1H), 7.54 (d, *J* = 13.7 Hz, 1H), 7.26 (s, 1H), 7.14 (d, *J* = 7.1 Hz, 1H), 6.99 (s, 1H), 6.82 (s, 1H), 6.45 (s, 1H), 4.80 – 4.68 (m, 1H), 3.73 (s, 2H), 2.76 – 2.71 (m, 1H), 2.61 (t, *J* = 12.2 Hz, 1H), 2.05 (s, 1H), 1.41 (s, 2H), 1.24 (s, 1H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 172.1, 171.8, 168.6, 168.5, 153.64, 148.8, 148.7, 138.3, 138.0, 136.6, 133.2, 131.8, 128.6, 128.4, 127.5, 127.3, 126.33, 126.25, 125.2, 125.0, 124.95, 124.88, 124.8, 124.5, 124.3, 124.2, 63.0, 52.5, 52.3, 40.6, 36.5, 35.0, 35.5, 32.0, 20.5.

HRMS (ESI): found: 311.1393 ($[M+H]^+$), calcd. Chemical Formula: $C_{18}H_{19}N_2O_3$, Exact Mass: 311.1396.



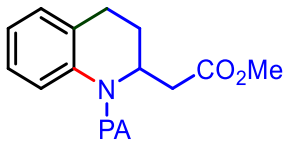
3c-R

methyl (2*R*)-3-methyl-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2-carboxylate (3c-R), 49.0 mg (0.2 mmol scale), 79%, dr = 3.6:1, light yellow oil, *Rf* = 0.43 (PE/EtOAc = 1/1), $[\alpha]^{25}_D$ = 159.12 (c 0.45, $CHCl_3$).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.39 (s, 1H), 7.70 (d, *J* = 18.9 Hz, 1H), 7.58 – 7.49 (m, 1H), 7.24 (d, *J* = 4.8 Hz, 1H), 7.14 (d, *J* = 7.1 Hz, 1H), 6.99 (s, 1H), 6.82 (s, 1H), 6.45 (s, 1H), 4.79 – 4.70 (m, 1H), 3.75 (s, 1H), 3.73 (s, 2H), 2.73 (d, *J* = 13.6 Hz, 1H), 2.61 (d, *J* = 12.7 Hz, 1H), 2.06 (s, 1H), 1.41 (s, 2H), 1.25 (s, 1H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 172.0, 171.7, 170.5,168.6, 168.5, 153.9,153.6, 148.74, 148.65, 138.3, 137.9, 137.1, 136.6, 136.5, 128.5, 128.3, 127.4, 127.2, 126.3, 126.2, 125.2, 124.9, 124.7, 124.5, 124.1, 62.9, 60.8, 52.4, 52.2, 51.8, 40.6, 36.4, 34.9, 33.5, 31.9,20.3, 16.8.

HRMS (ESI): found: 311.1390 ($[M+H]^+$), calcd. Chemical Formula: $C_{18}H_{19}N_2O_3$, Exact Mass: 311.1396.

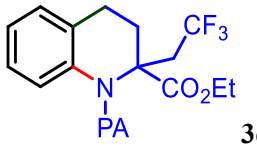


3d

methyl 2-(1-picolinoyl-1,2,3,4-tetrahydroquinolin-2-yl)acetate (**3d**), 37.9 mg (0.2 mmol scale), 61%, light yellow oil, *Rf* = 0.43 (PE/EtOAc = 1/1).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.46 (s, 1H), 7.60 (s, 1H), 7.30 (s, 1H), 7.21 (s, 1H), 7.15 (d, *J* = 7.4 Hz, 1H), 7.00 (t, *J* = 7.0 Hz, 1H), 6.84 (s, 1H), 6.49 (s, 1H), 4.97 (s, 1H), 3.70 – 3.58 (m, 1H), 3.37 – 3.28 (m, 4H), 2.82 – 2.72 (m, 2H), 2.42 (s, 1H), 1.77 (s, 1H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 171.2, 171.1, 168.2, 154.7, 148.9, 148.0, 137.5, 126.4, 126.1, 126.0, 125.3, 124.3, 123.6, 122.4, 61.7, 61.5, 44.6, 33.7, 21.12, 21.06.



3e

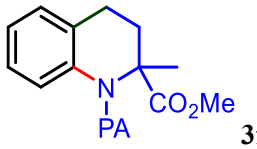
ethyl 1-picolinoyl-2-(2,2,2-trifluoroethyl)-1,2,3,4-tetrahydroquinoline-2-carboxylate (**3e**), 52.6 mg (0.2 mmol scale), 67%, light yellow oil, *Rf* = 0.46 (PE/EtOAc = 1/1).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.54 (d, *J* = 4.8 Hz, 1H), 7.55 (t, *J* = 7.7 Hz, 1H), 7.21 (dd, *J* = 14.6, 6.9 Hz, 2H), 7.13 (d, *J* = 7.4 Hz, 1H), 6.98 (t, *J* = 7.5 Hz, 1H), 6.77 (t, *J* = 7.8 Hz, 1H), 6.43 (d, *J* = 8.1 Hz, 1H), 4.33 – 4.19 (m, 2H), 3.87 (dq, *J* = 15.3, 11.5 Hz, 1H), 3.23 (t, *J* = 13.7 Hz, 1H), 2.91 (dq, *J* = 15.5, 10.8 Hz, 1H), 2.61 (d, *J* = 14.5 Hz, 1H), 2.40 – 2.33 (m, 2H), 2.35 – 2.28 (m, 1H), 1.26 (t, *J* = 7.1 Hz, 3H).

^{19}F NMR (565 MHz, DMSO-d_6) δ -58.72.

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.9, 169.7, 154.3, 149.6, 137.6, 136.3, 133.4, 127.2, 127.1, 126.5, 126.3, 125.3, 125.2, 124.5, 123.4, 63.2, 62.4, 37.5(q, *J* = 3 Hz), 35.1, 25.3, 14.2.

HRMS (ESI): found: 393.1430 ($[M+H]^+$), calcd. Chemical Formula: $C_{20}H_{20}F_3N_2O_3$, Exact Mass: 393.1426.



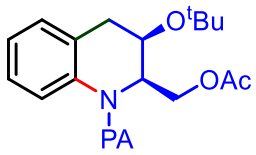
3f

methyl 2-methyl-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2-carboxylate (**3f**), 36.0 mg (0.2 mmol scale), 58%, light yellow oil, *Rf* = 0.42 (PE/EtOAc = 1/1).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.52 (s, 1H), 7.57 (s, 1H), 7.22 (s, 1H), 7.14 (d, *J* = 7.0 Hz, 1H), 6.97 (t, *J* = 7.2 Hz, 1H), 6.78 (t, *J* = 7.3 Hz, 1H), 6.46 (d, *J* = 7.6 Hz, 1H), 3.78 (s, 3H), 3.10 (t, *J* = 12.9 Hz, 1H), 2.64 (d, *J* = 14.2 Hz, 1H), 2.38 (d, *J* = 13.5 Hz, 1H), 1.78 (d, *J* = 14.0 Hz, 4H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 174.1, 168.8, 154.6, 149.5, 137.9, 136.4, 134.6, 127.2, 126.3, 126.2, 125.1, 124.5, 123.6, 63.6, 52.8, 39.2, 25.9, 23.5.

HRMS (ESI): found: 311.1398 ($[M+H]^+$), calcd. Chemical Formula: $C_{18}H_{19}N_2O_3$, Exact Mass: 311.1396.



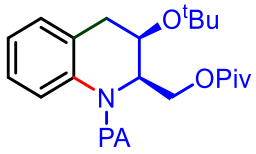
3g

(2*R*,3*R*)-3-(tert-butoxy)-1-picolinoyl-1,2,3,4-tetrahydroquinolin-2-yl)methyl acetate (**3g**), 55.1 mg (0.2 mmol scale), 72%, light yellow oil, *Rf* = 0.42 (PE/EtOAc = 1/1), $[\alpha]^{25}_D$ = -150.12 (c 0.364, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.50 (s, 1H), 7.75 (s, 1H), 7.58 (s, 1H), 7.30 (s, 1H), 7.27 (s, 1H), 7.12 (d, *J* = 7.1 Hz, 1H), 7.03 (s, 1H), 6.91 (s, 1H), 4.53 (d, *J* = 11.2 Hz, 1H), 4.24 (s, 1H), 3.92 (t, *J* = 10.5 Hz, 1H), 3.16 (dd, *J* = 17.1, 6.9 Hz, 1H), 2.73 (dd, *J* = 17.3, 10.2 Hz, 1H), 2.02 (s, 3H), 1.20 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.1, 168.4, 154.8, 148.8, 136.8, 129.2, 128.6, 126.0, 125.7, 125.1, 124.6, 123.7, 74.8, 65.6, 60.3, 33.9, 28.3, 21.1.

HRMS (ESI): found: 383.1967 ($[M+H]^+$), calcd. Chemical Formula: $C_{22}H_{27}N_2O_4$, Exact Mass: 383.1971.



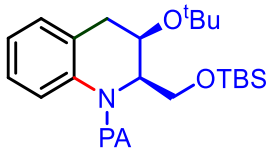
3h

((2*R*,3*R*)-3-(tert-butoxy)-1-picolinoyl-1,2,3,4-tetrahydroquinolin-2-yl)methyl pivalate (**3h**), 54.3 mg (0.2 mmol scale), 64%, light yellow oil, *Rf* = 0.48 (PE/EtOAc = 1/1), $[\alpha]^{25}_D$ = -144.18 (c 0.338, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.47 (s, 1H), 7.76 (s, 1H), 7.60 (s, 1H), 7.29 (s, 1H), 7.26 – 7.25 (m, 1H), 7.12 (d, *J* = 7.3 Hz, 1H), 7.02 (s, 1H), 6.89 (s, 1H), 4.43 (s, 1H), 4.32 (d, *J* = 6.6 Hz, 1H), 3.93 (d, *J* = 10.6 Hz, 1H), 3.21 (dd, *J* = 17.3, 7.4 Hz, 1H), 2.74 (dd, *J* = 17.4, 9.8 Hz, 1H), 1.23 (s, 9H), 1.14 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 178.4, 168.2, 154.9, 148.6, 136.9, 129.3, 128.8, 125.9, 125.5, 125.0, 124.6, 123.9, 74.8, 65.6, 60.3, 38.8, 34.2, 28.4, 27.3.

HRMS (ESI): found: 425.2445 ($[M+H]^+$), calcd. Chemical Formula: $C_{25}H_{33}N_2O_4$, Exact Mass: 425.2440.



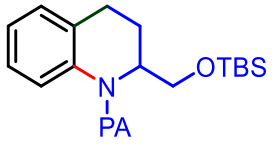
3i

((2*R*,3*R*)-3-(tert-butoxy)-2-(((tert-butyldimethylsilyl)oxy)methyl)-3,4-dihydroquinolin-1(2H)-yl)(pyridin-2-yl)methanone (**3i**), 55.5 mg (0.2 mmol scale), 61%, light yellow oil, *Rf* = 0.52 (PE/EtOAc = 1/1), $[\alpha]^{25}_D$ = -118.94 (c 0.398, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.68 (s, 1H), 7.94 (t, *J* = 7.2 Hz, 1H), 7.81 (s, 1H), 7.47 (s, 1H), 7.44 (s, 1H), 7.26 (t, *J* = 17.6 Hz, 3H), 4.47 (s, 1H), 4.00 (d, *J* = 24.0 Hz, 1H), 3.65 (s, 1H), 3.31 (dd, *J* = 16.9, 7.3 Hz, 1H), 2.84 (dd, *J* = 16.5, 10.3 Hz, 1H), 1.37 (s, 9H), 0.98 (s, 9H), 0.05 (s, 3H), -0.00 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 168.6, 155.6, 148.6, 136.8, 129.0, 129.0, 125.9 124.8, 124.2, 123.8, 74.64 (s), 65.62 (s), 58.63 (s), 34.26 (s), 28.41 (s), 26.00 (s), 18.33 (s), -5.38 (s), -5.55 (s).

HRMS (ESI): found: 455.2735 ($[M+H]^+$), calcd. Chemical Formula: $C_{26}H_{39}N_2O_3Si$, Exact Mass: 455.2730.



3j

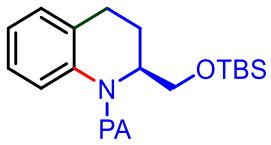
2-(((tert-butyldimethylsilyl)oxy)methyl)-3,4-dihydroquinolin-1(2H)-yl)(pyridin-2-yl) methanone (**3j**), 46.7 mg (0.2 mmol scale), 61%, light yellow oil, *Rf* = 0.54 (PE/EtOAc = 1/1),

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.47 (s, 1H), 7.59 (s, 1H), 7.26 – 7.25 (m, 1H), 7.20 (s, 1H), 7.13 (d, *J* = 7.4 Hz, 1H), 6.97 (t, *J* = 6.7 Hz, 1H), 6.81 (s, 1H), 6.46 (s, 1H), 4.77 (s, 1H), 3.87 (dd, *J* = 9.7, 4.1 Hz,

1H), 3.66 (s, 1H), 2.74 (d, *J* = 5.0 Hz, 2H), 2.37 (s, 1H), 1.86 (dd, *J* = 12.6, 7.1 Hz, 1H), 0.76 (s, 9H), -0.02 (d, *J* = 11.6 Hz, 6H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 168.5, 155.0, 149.1, 136.3, 127.5, 126.0, 125.9, 125.05, 124.20 123.5, 63.8, 54.9, 26.0, 25.8, 18.2, -5.3, -5.4.

HRMS (ESI): found: 383.2153 ([M+H]$^+$), calcd. Chemical Formula: $C_{22}H_{31}N_2O_2Si$, Exact Mass: 383.2155.



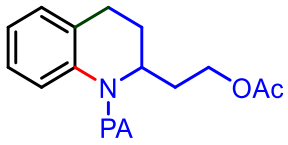
3j-S

(*S*)2-(((tert-butyldimethylsilyl)oxy)methyl)-3,4-dihydroquinolin-1(2H)-yl)(pyridin-2-yl) methanone (**3j**), 44.4 mg (0.2 mmol scale), 58%, light yellow oil, *Rf* = 0.54 (PE/EtOAc = 1/1), $[\alpha]^{25}_D$ = -226.54 (c 0.806, $CHCl_3$).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.47 (s, 1H), 7.60 (s, 1H), 7.26 (s, 1H), 7.23–7.17 (m, 1H), 7.13 (d, *J* = 7.4 Hz, 1H), 6.97 (t, *J* = 7.3 Hz, 1H), 6.82 (s, 1H), 6.49 (s, 1H), 4.77 (s, 1H), 3.87 (dd, *J* = 9.8, 4.5 Hz, 1H), 3.67 (d, *J* = 6.8 Hz, 1H), 2.75 (t, *J* = 5.6 Hz, 2H), 2.41 – 2.31 (m, 1H), 1.86 (td, *J* = 16.7, 15.0, 7.0 Hz, 1H), 0.77 (s, 9H), -0.02 (d, *J* = 11.4 Hz, 6H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 168.4, 155.0, 149.0, 136.5, 126.0, 125.9, 125.1, 124.2, 123.5, 26.0, 25.8, 18.2 -5.3, -5.4.

HRMS (ESI): found: 383.2153 ([M+H]$^+$), calcd. Chemical Formula: $C_{22}H_{31}N_2O_2Si$, Exact Mass: 383.2150.



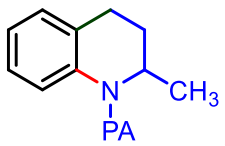
3k

2-(1-picolinoyl-1,2,3,4-tetrahydroquinolin-2-yl)ethyl acetate (**3k**), 50.6 mg (0.2 mmol scale), 78%, light yellow oil, *Rf* = 0.44 (PE/EtOAc = 1/1).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.44 (s, 1H), 7.63 (s, 1H), 7.35 (s, 1H), 7.21 (s, 1H), 7.14 (d, *J* = 7.5 Hz, 1H), 7.00 (s, 1H), 6.80 (s, 1H), 6.37 (s, 1H), 4.27 – 4.05 (m, 3H), 2.81 (ddt, *J* = 28.7, 15.4, 7.5 Hz, 2H), 2.03 (s, 2H), 2.02 (s, 3H), 1.80 – 1.69 (m, 2H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.2, 171.1, 168.2, 164.1, 154.8, 149.7, 148.9, 148.1, 137.6, 136.5, 128.2, 126.4, 126.1, 125.3, 124.3, 123.6, 122.4, 61.7, 61.6, 44.7, 33.8, 21.1, 21.1.

HRMS (ESI): found: 325.1548 ([M+H]$^+$), calcd. Chemical Formula: $C_{19}H_{21}N_2O_3$, Exact Mass: 325.1552.



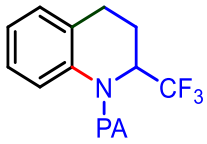
3l

(2-methyl-3,4-dihydroquinolin-1(2H)-yl)(pyridin-2-yl)methanone (**3l**), 49.6 mg (0.2 mmol scale), 87%, light yellow oil, *Rf* = 0.5 (PE/EtOAc = 1/1).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.49 (s, 1H), 7.61 (s, 1H), 7.28 (d, *J* = 16.7 Hz, 1H), 7.22 (s, 1H), 7.15 (d, *J* = 7.4 Hz, 1H), 7.00 (t, *J* = 7.2 Hz, 1H), 6.84 (s, 1H), 6.59 (s, 1H), 4.85 (s, 1H), 2.77 (q, *J* = 15.0, 11.2 Hz, 2H), 2.43 (s, 1H), 1.54 (s, 1H), 1.28 (d, *J* = 6.4 Hz, 3H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 168.0, 155.1, 148.1, 137.5, 149.0, 136.4, 127.8, 126.2, 125.9, 125.1, 124.2, 123.4, 49.6, 31.8, 25.7, 19.5.

HRMS (ESI): found: 253.1343 ([M+H]$^+$), calcd. Chemical Formula: $C_{16}H_{17}N_2O$, Exact Mass: 253.1341.



3m

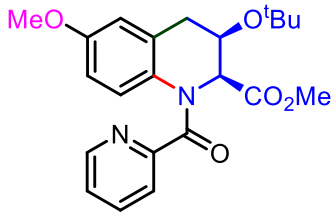
pyridin-2-yl(2-(trifluoromethyl)-3,4-dihydroquinolin-1(2H)-yl)methanone (**3m**), 49.6 mg (0.2 mmol scale), 81%, light yellow oil, *Rf* = 0.49 (PE/EtOAc = 1/1).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.36 (s, 1H), 7.68 (t, *J* = 7.6 Hz, 1H), 7.44 (d, *J* = 7.6 Hz, 1H), 7.23 (t, *J* = 7.9 Hz, 2H), 7.09 (dd, *J* = 14.8, 7.4 Hz, 1H), 6.90 (t, *J* = 7.5 Hz, 1H), 6.57 (s, 1H), 5.66 – 5.55 (m, 1H), 2.83 (d, *J* = 4.7 Hz, 2H), 2.73 – 2.63 (m, 1H), 2.01 (dt, *J* = 16.1, 8.2 Hz, 1H).

^{19}F NMR (565 MHz, Chloroform-*d*) δ -73.96.

^{13}C NMR (151 MHz, $CDCl_3$) δ 168.5, 153.7, 148.7, 138.1, 136.5, 134.4, 127.3, 126.7, 126.3, 126.0, 124.6, 123.7, 52.6, 52.4, 52.2, 25.4, 25.3.

HRMS (ESI): found: 307.1055 ([M+H]$^+$), calcd. Chemical Formula: $C_{16}H_{14}F_3N_2O$, Exact Mass: 307.1058.



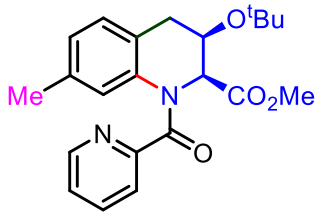
4a

methyl (2*S*,3*R*)-3-(tert-butoxy)-6-methoxy-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2-carboxylate (**4a**), 47.8 mg (0.2 mmol scale), 60%, light yellow oil, *Rf* = 0.40 (PE/EtOAc = 1/1), $[\alpha]^{25}_D$ = -86.45 (c 0.44, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.46 (s, 1H), 7.67 (s, 1H), 7.48 (s, 1H), 7.25 (s, 1H), 6.69 (s, 1H), 6.36 (s, 2H), 5.19 (s, 1H), 4.53 (s, 1H), 3.73 (d, *J* = 6.0 Hz, 6H), 3.06 (s, 1H), 2.82 (dd, *J* = 14.4, 2.0 Hz, 1H), 1.16 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.5, 168.2, 156.9, 154.0, 148.9, 136.7, 131.0, 125.6, 124.6, 124.2, 114.1, 111.6, 74.9, 68.2, 62.2, 55.4, 51.9, 35.0, 28.4.

HRMS (ESI): found: 399.1921 ([M+H]$^+$), calcd. Chemical Formula: $C_{22}H_{27}N_2O_5$, Exact Mass: 399.1920.



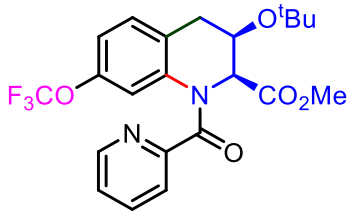
4b

methyl (2*S*,3*R*)-3-(tert-butoxy)-7-methyl-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2-carboxylate (**4b**), 48.1 mg (0.2 mmol scale), 63%, light yellow oil, *Rf* = 0.40 (PE/EtOAc = 1/1), $[\alpha]^{25}_D$ = -68.26 (c 0.576, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.47 (d, *J* = 2.7 Hz, 1H), 7.68 (t, *J* = 7.5 Hz, 1H), 7.50 (d, *J* = 6.4 Hz, 1H), 7.26 (d, *J* = 5.9 Hz, 1H), 7.00 (d, *J* = 7.5 Hz, 1H), 6.80 (d, *J* = 7.4 Hz, 1H), 6.34 (s, 1H), 5.16 (d, *J* = 6.6 Hz, 1H), 4.48 (s, 1H), 3.75 (s, 3H), 3.06 (dd, *J* = 14.3, 6.9 Hz, 1H), 2.80 (d, *J* = 14.4 Hz, 1H), 1.99 (s, 3H), 1.16 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.6, 168.6, 154.1, 148.9, 137.2, 136.7, 135.9, 128.5, 126.3, 125.8, 125.4, 124.6, 124.2, 74.9, 68.2, 62.2, 52.0, 34.2, 28.4, 21.1.

HRMS (ESI): found: 383.1975 ($[M+H]^+$), calcd. Chemical Formula: $C_{22}H_{27}N_2O_4$, Exact Mass: 383.1971.



4c

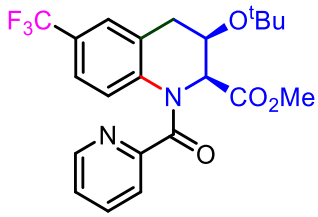
methyl (2*S*,3*R*)-3-(tert-butoxy)-1-picolinoyl-6-(trifluoromethoxy)-1,2,3,4-tetrahydroquinoline-2-carboxylate (**4c**), 60.6 mg (0.2 mmol scale), 67%, light yellow oil, *Rf* = 0.40 (PE/EtOAc = 1/1), $[\alpha]^{25}_D$ = -106.42 (c 0.666, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.38 (d, *J* = 3.8 Hz, 1H), 7.75 (t, *J* = 7.6 Hz, 1H), 7.66 (d, *J* = 7.7 Hz, 1H), 7.29 (d, *J* = 6.1 Hz, 1H), 7.14 (d, *J* = 8.2 Hz, 1H), 6.87 (d, *J* = 8.2 Hz, 1H), 6.43 (s, 1H), 5.19 (d, *J* = 6.8 Hz, 1H), 4.60 (s, 1H), 3.75 (s, 3H), 3.07 (dd, *J* = 14.6, 6.3 Hz, 1H), 2.86 (d, *J* = 14.5 Hz, 1H), 1.15 (s, 9H).

^{19}F NMR (565 MHz, Chloroform-*d*) δ -58.16.

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.2, 168. 6, 153.0, 148.8, 147.1, 138.8, 137.0, 129.6, 128.2, 125.2, 124.5, 121.1, 119.4, 117.9, 117.8, 75.1, 67.9, 62.4, 52.1, 34.3, 28.4.

HRMS (ESI): found: 453.1639 ($[M+H]^+$), calcd. Chemical Formula: $C_{22}H_{24}F_3N_2O_5$, Exact Mass: 453.1637.



4d

methyl (2*S*,3*R*)-3-(tert-butoxy)-1-picolinoyl-6-(trifluoromethyl)-1,2,3,4-tetrahydroquinoline-2-carboxylate (**4C**), 49.8 mg (0.2 mmol scale), 57%, light yellow oil, *Rf* = 0.40 (PE/EtOAc = 1/1),

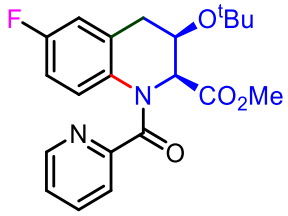
$[\alpha]^{25}_D$ = -123.82 (c 0.556, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.38 (d, *J* = 3.7 Hz, 1H), 7.77 (t, *J* = 7.6 Hz, 1H), 7.68 (d, *J* = 7.7 Hz, 1H), 7.40 (s, 1H), 7.33 – 7.28 (m, 1H), 7.13 (d, *J* = 8.1 Hz, 1H), 6.69 (s, 1H), 5.20 (d, *J* = 6.8 Hz, 1H), 4.62 (s, 1H), 3.75 (s, 3H), 3.10 (dd, *J* = 14.6, 6.2 Hz, 1H), 2.92 (d, *J* = 14.6 Hz, 1H), 1.15 (s, 9H).

^{19}F NMR (565 MHz, Chloroform-*d*) δ -62.10.

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.2, 168.6, 153.0, 148.7, 141.1, 137.1, 130.1, 127.1,126.9, 126.8, 126.6, 126.4, 126.0, 125.9, 125.9, 125.9, 125.34, 125.1, 124.7, 124.6, 123.4, 123.4, 123.4, 123.4, 123.3, 75.2, 67.8, 62.7, 52.1, 34.8, 28.4.

HRMS (ESI): found: 437.1684 ([M+H]$^+$), calcd. Chemical Formula: $C_{22}H_{24}F_3N_2O_4$, Exact Mass: 437.1688.



4e

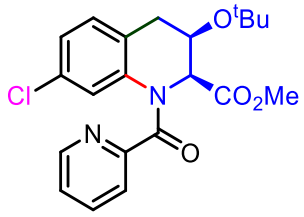
methyl (2*S*,3*R*)-3-(tert-butoxy)-6-fluoro-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2-carboxylate (**4e**), 50.2 mg (0.2 mmol scale), 61%, light yellow oil, *Rf* = 0.40 (PE/EtOAc = 1/1), $[\alpha]^{25}_D$ = -144.34 (c 0.388, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.41 (s, 1H), 7.73 (t, *J* = 7.3 Hz, 1H), 7.60 (s, 1H), 7.27 (s, 1H), 6.86 (d, *J* = 8.3 Hz, 1H), 6.57 (s, 1H), 6.43 (s, 1H), 5.20 (d, *J* = 5.7 Hz, 1H), 4.62 (s, 1H), 3.74 (s, 3H), 3.03 (dd, *J* = 14.4, 5.3 Hz, 1H), 2.86 (d, *J* = 14.5 Hz, 1H), 1.14 (s, 9H).

^{19}F NMR (565 MHz, Chloroform-*d*) δ -117.85.

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.3, 168.3, 160.8, 159.2, 153.5, 148.7, 136.9, 132.0, 126.1, 125.0, 124.5, 115.6, 115.4, 113.3, 113.1, 75.0, 68.0, 62.6, 52.0, 34.9, 29.8, 28.5.

HRMS (ESI): found: 387.1723 ([M+H]$^+$), calcd. Chemical Formula: $C_{21}H_{24}FN_2O_4$, Exact Mass: 387.1720.



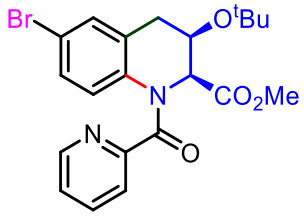
4f

methyl (2*S*,3*R*)-3-(tert-butoxy)-6-chloro-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2-carboxylate (**4f**), 55.6 mg (0.2 mmol scale), 69%, light yellow oil, *Rf* = 0.40 (PE/EtOAc = 1/1), $[\alpha]^{25}_D$ = -85.20 (c 0.544, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.42 (s, 1H), 7.76 (d, *J* = 7.4 Hz, 1H), 7.66 (d, *J* = 6.9 Hz, 1H), 7.32 (d, *J* = 3.8 Hz, 1H), 7.06 (d, *J* = 7.6 Hz, 1H), 6.99 (d, *J* = 7.8 Hz, 1H), 6.59 (s, 1H), 5.17 (d, *J* = 6.2 Hz, 1H), 4.59 (s, 1H), 3.74 (s, 3H), 3.06 – 2.94 (m, 1H), 2.83 (d, *J* = 14.6 Hz, 1H), 1.14 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.2, 168.3, 153.1, 148.6, 138.7, 137.1, 131.4, 129.7, 128.0, 125.2, 125.0, 124.7, 124.5, 75.0, 67.8, 62.5, 52.1, 34.3, 28.4.

HRMS (ESI): found: 403.1423 ([M+H]$^+$), calcd. Chemical Formula: $C_{21}H_{24}ClN_2O_4$, Exact Mass: 403.1425.



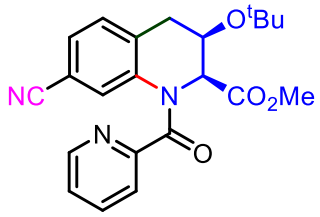
4g

methyl (2*S*,3*R*)-6-bromo-3-(tert-butoxy)-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2-carboxylate (**4g**), 54.6 mg (0.2 mmol scale), 61%, light yellow oil, *Rf* = 0.40 (PE/EtOAc = 1/1), $[\alpha]^{25}_D$ = -128.62 (c 0.374, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.42 (s, 1H), 7.76 (t, *J* = 7.5 Hz, 1H), 7.63 (d, *J* = 7.5 Hz, 1H), 7.30 (dd, *J* = 12.6, 6.5 Hz, 2H), 7.23 – 7.21 (m, 1H), 6.99 (s, 1H), 6.44 (s, 1H), 5.17 (s, 1H), 4.58 (s, 1H), 3.74 (s, 3H), 3.02 (dd, *J* = 14.6, 6.1 Hz, 1H), 2.86 (d, *J* = 14.4 Hz, 1H), 1.15 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.2, 168.1, 153.2, 148.6, 137.2, 131.9, 131.6, 129.3, 126.1, 125.2, 124.6, 118.2, 75.1, 67.9, 62.5, 52.1, 34.6, 28.4.

HRMS (ESI): found: 447.0921 ([M+H]$^+$), calcd. Chemical Formula: $C_{21}H_{24}BrN_2O_4$, Exact Mass: 447.0919.



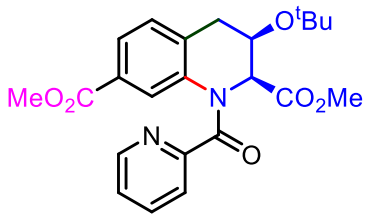
4h

methyl (2*S*,3*R*)-3-(tert-butoxy)-7-cyano-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2-carboxylate (**4h**), 44.1 mg (0.2 mmol scale), 56%, light yellow oil, *Rf* = 0.40 (PE/EtOAc = 1/1), $[\alpha]^{25}_D$ = -97.12 (c 0.214, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.35 (s, 1H), 7.85 (d, *J* = 7.5 Hz, 1H), 7.81 (d, *J* = 7.6 Hz, 1H), 7.39 – 7.31 (m, 2H), 7.28 (d, *J* = 8.3 Hz, 1H), 6.92 (s, 1H), 5.23 (d, *J* = 6.6 Hz, 1H), 4.71 (s, 1H), 3.76 (s, 3H), 3.10 (dd, *J* = 14.8, 5.0 Hz, 1H), 2.96 (d, *J* = 14.8 Hz, 1H), 1.14 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 168.9, 168.2, 152.4, 148.4, 139.1, 137.5, 135.6, 129.8, 128.4, 127.9, 125.7, 125.0, 118.6, 110.1, 75.3, 67.7, 62.9, 52.2, 35.2, 28.5.

HRMS (ESI): found: 394.1763 ([M+H]$^+$), calcd. Chemical Formula: $C_{22}H_{24}N_3O_4$, Exact Mass: 394.1767.



4i

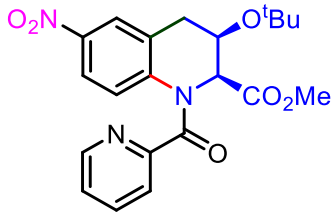
dimethyl (2*S*,3*R*)-3-(tert-butoxy)-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2,6-dicarboxylate

(**4h**), 49.5 mg (0.2 mmol scale), 58%, light yellow oil, *Rf* = 0.3 (PE/EtOAc = 1/1), $[\alpha]^{25}_{D}$ = -70.86 (c 0.682, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.34 (s, 1H), 7.76 (t, *J* = 7.6 Hz, 1H), 7.69 (d, *J* = 7.9 Hz, 2H), 7.34 – 7.11 (m, 3H), 5.22 (d, *J* = 6.6 Hz, 1H), 4.63 (s, 1H), 3.73 (s, 6H), 3.11 (dd, *J* = 14.7, 5.9 Hz, 1H), 2.92 (d, *J* = 14.7 Hz, 1H), 1.13 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.2 (s), 168.5, 166.5, 153.3, 148.5, 138.0, 137.0, 135.0, 128.9, 128.4, 126.0, 125.8, 125.00, 124.6, 75.0, 67.9, 62.55 (s), 52.01 (d, *J* = 8.5 Hz), 34.94 (s), 28.42 (s).

HRMS (ESI): found: 427.1871 ($[M+H]^+$), calcd. Chemical Formula: $C_{23}H_{27}N_2O_6$, Exact Mass: 427.1869.



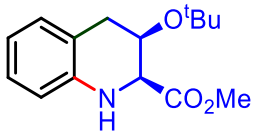
4j

methyl (2*S*,3*R*)-3-(tert-butoxy)-6-nitro-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2-carboxylate (**4j**), 51.3 mg (0.2 mmol scale), 62%, light yellow oil, *Rf* = 0.40 (PE/EtOAc = 1/1), $[\alpha]^{25}_{D}$ = -70.86 (c 0.682, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.29 (s, 1H), 7.90 (d, *J* = 8.1 Hz, 1H), 7.84 (d, *J* = 3.4 Hz, 2H), 7.47 (s, 1H), 7.33 – 7.26 (m, 2H), 5.24 (d, *J* = 6.7 Hz, 1H), 4.73 (s, 1H), 3.75 (s, 3H), 1.13 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 168.9, 168.3, 152.4, 148.4, 146.4, 139.0, 137.5, 137.3, 129.4, 125.7, 125.0, 119.6, 75.3, 67.6, 62.8, 52.2, 35.1, 28.4.

HRMS (ESI): found: 414.1665 ($[M+H]^+$), calcd. Chemical Formula: $C_{21}H_{24}N_3O_6$, Exact Mass: 414.1665.



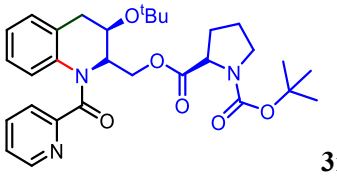
3a-1

methyl (2*S*,3*R*)-3-(tert-butoxy)-1,2,3,4-tetrahydroquinoline-2-carboxylate (3a-1), 39.5 mg (0.2 mmol scale), 75%, light yellow oil, *Rf* = 0.6 (PE/EtOAc = 3/1), $[\alpha]^{25}_{D}$ = -18.26 (c 0.614, $CHCl_3$).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 7.01 (d, *J* = 7.8 Hz, 1H), 6.95 (d, *J* = 7.4 Hz, 1H), 6.68 (t, *J* = 7.3 Hz, 1H), 6.62 (d, *J* = 8.0 Hz, 1H), 4.32 (q, *J* = 4.2 Hz, 1H), 4.06 (d, *J* = 3.1 Hz, 1H), 3.77 (s, 3H), 2.94 (td, *J* = 17.6, 16.9, 4.5 Hz, 2H), 1.17 (s, 9H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 172.1, 142.4, 129.8, 127.0, 119.3, 118.1, 114.8, 74.3, 64.2, 59.1, 52.1, 34.5, 28.6.

HRMS (ESI): found: 264.1605 ($[M+H]^+$), calcd. Chemical Formula: $C_{15}H_{22}NO_3$, Exact Mass: 264.1600.



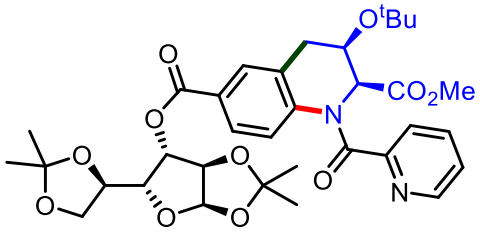
3n

2-(((2*S*,3*R*)-3-(tert-butoxy)-1-picolinoyl-1,2,3,4-tetrahydroquinolin-2-yl)methyl) 1-(tert-butyl) (*S*)-pyrrolidine-1,2-dicarboxylate (**3n**), 58.1 mg (0.2 mmol scale), 54%, light yellow oil, *Rf* = 0.34 (PE/EtOAc = 1/1), $[\alpha]^{25}_{D}$ = 38.26 (c 0.275, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.47 (d, *J* = 18.9 Hz, 1H), 7.76 (s, 1H), 7.58 (d, *J* = 5.9 Hz, 1H), 7.29 (s, 1H), 7.27 – 7.26 (m, 1H), 7.12 (d, *J* = 7.0 Hz, 1H), 7.01 (s, 1H), 6.88 (s, 1H), 4.46 (dd, *J* = 83.8, 10.2 Hz, 1H), 4.33 – 4.15 (m, 2H), 4.14 – 4.00 (m, 1H), 3.53 – 3.28 (m, 2H), 3.19 (td, *J* = 16.9, 7.4 Hz, 1H), 2.72 (dt, *J* = 26.8, 13.4 Hz, 1H), 2.19 (dd, *J* = 19.2, 10.3 Hz, 1H), 1.99 (s, 1H), 1.93 – 1.79 (m, 2H), 1.43 (s, 3H), 1.33 (s, 6H), 1.22 (d, *J* = 17.9 Hz, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 173.1, 172.7, 168.3, 154.7,154.5, 153.8, 148.8, 148.7, 136.9, 129.3, 129.3, 129.0, 128.5, 126.0, 125.6, 125.0, 124.6, 124.5, 123.9, 79.9, 79.8, 74.9, 74.8, 65.5, 60.8, 59.4, 58.9, 46.6, 46.4, 34.1, 30.8, 30.0, 28.6, 28.4, 28.4, 28.4, 24.4, 23.8.

HRMS (ESI): found: 538.2913 ($[M+H]^+$), calcd. Chemical Formula: $C_{30}H_{40}N_3O_6$, Exact Mass: 538.2917.



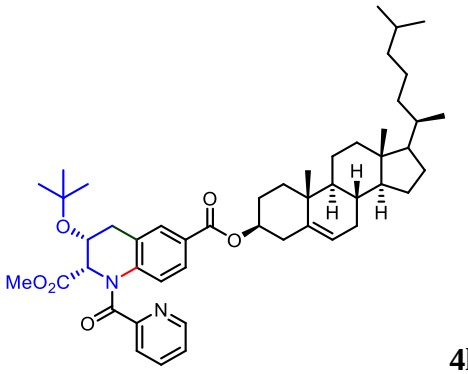
4k

6-((3a*R*,5*R*,6*S*,6a*R*)-5-((*R*)-2,2-dimethyl-1,3-dioxolan-4-yl)-2,2-dimethyltetrahydrofuro[2,3-d][1,3]dioxol-6-yl) 2-methyl (2*S*,3*R*)-3-(tert-butoxy)-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2,6-dicarboxylate (**4k**), 70.7 mg (0.2 mmol scale), 54%, light yellow oil, *Rf* = 0.41 (PE/EtOAc = 1/1), $[\alpha]^{25}_{D}$ = -28.62 (c 0.476, $CHCl_3$).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.34 (s, 1H), 7.73 (dd, *J* = 23.8, 7.5 Hz, 3H), 7.26 (s, 2H), 7.23 (d, *J* = 7.6 Hz, 1H), 5.84 (s, 1H), 5.37 (s, 1H), 5.21 (s, 1H), 4.64 (s, 1H), 4.46 (s, 1H), 4.22 (s, 1H), 3.95 (d, *J* = 20.6 Hz, 3H), 3.74 (s, 3H), 3.12 (d, *J* = 14.4 Hz, 1H), 2.92 (d, *J* = 14.7 Hz, 1H), 1.51 (s, 3H), 1.38 (s, 3H), 1.29 (s, 3H), 1.27 (s, 3H), 1.13 (s, 9H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 169.2, 148.6, 138.4, 137.0, 135.7, 129.1, 127.9, 126.4, 125.6, 125.2, 124.6, 112.4, 109.4, 105.1, 83.4, 79.9, 76.4, 75.2, 72.4, 68.0, 67.2, 62.7, 52.1, 35.1, 28.5, 27.0, 26.8, 26.3, 25.6.

HRMS (ESI): found: 655.2861 ($[M+H]^+$), calcd. Chemical Formula: $C_{34}H_{43}N_2O_{11}$, Exact Mass: 655.2867.



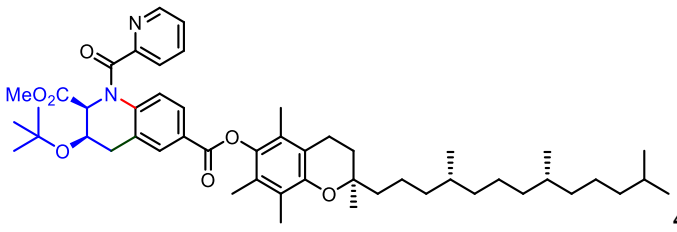
4l

6-((3*S*,8*S*,9*S*,10*R*,13*R*,14*S*)-10,13-dimethyl-17-((*R*)-6-methylheptan-2-yl)-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenanthren-3-yl) 2-methyl (2*S*,3*R*)-3-(tert-butoxy)-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2,6-dicarboxylate (**4l**), 101.5 mg (0.2 mmol scale), 65%, light yellow oil, *Rf* = 0.51 (PE/EtOAc = 1/1), $[\alpha]^{25}_{D}$ = -33.4 (c 0.374, $CHCl_3$).

^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.36 (s, 1H), 7.76 – 7.72 (m, 1H), 7.68 (d, *J* = 7.8 Hz, 1H), 7.65 (d, *J* = 7.7 Hz, 1H), 7.24 (s, 2H), 7.19 (d, *J* = 7.8 Hz, 1H), 5.37 (d, *J* = 4.7 Hz, 1H), 5.22 (d, *J* = 6.8 Hz, 1H), 4.65 (ddd, *J* = 24.9, 12.3, 6.7 Hz, 2H), 3.75 (s, 3H), 3.12 (dd, *J* = 14.8, 6.3 Hz, 1H), 2.91 (dd, *J* = 14.8, 2.6 Hz, 1H), 2.33 – 2.24 (m, 2H), 2.02 (d, *J* = 12.3 Hz, 1H), 1.97 (d, *J* = 16.5 Hz, 1H), 1.86 (d, *J* = 13.2 Hz, 2H), 1.76 (d, *J* = 12.7 Hz, 1H), 1.55 – 1.44 (m, 6H), 1.34 (d, *J* = 7.8 Hz, 2H), 1.29 (d, *J* = 3.5 Hz, 1H), 1.25 (s, 3H), 1.14 (s, 10H), 1.13 – 1.11 (m, 2H), 1.09 (d, *J* = 9.8 Hz, 3H), 1.04 (s, 3H), 1.02 – 0.94 (m, 3H), 0.92 (d, *J* = 6.5 Hz, 3H), 0.87 (d, *J* = 2.7 Hz, 3H), 0.86 (d, *J* = 2.7 Hz, 3H), 0.69 (s, 3H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 169.3, 168.7, 165.3, 153.6, 148.8, 139.7, 137.8, 136.9, 129.1,128.8, 126.0, 125.7 125.0, 124.4, 122.9, 75.1, 74.4, 67.9, 62.4, 56.8, 56.3, 52.1, 50.1, 42.5, 39.9, 39.7, 38.2, 37.1, 36.7, 36.3, 35.9, 35.0, 32.04, 32.00, 29.8, 28.5, 28.4, 28.2, 27.9, 24.4, 24.0, 23.0, 22.7, 21.2, 19.5, 18.9, 12.0.

HRMS (ESI): found: 781.5159 ($[M+H]^+$), calcd. Chemical Formula: $C_{49}H_{69}N_2O_6$, Exact Mass: 781.5156.



4m

2-methyl 6-((*R*)-2,5,7,8-tetramethyl-2-((4*R*,8*R*)-4,8,12-trimethyltridecyl)chroman-6-yl) (2*S*,3*R*)-3-(tert-butoxy)-1-picolinoyl-1,2,3,4-tetrahydroquinoline-2,6-dicarboxylate (**4m**), 92.4 mg (1.252 mmol scale), 56%, light yellow oil, *Rf* = 0.46 (PE/EtOAc = 1/1), $[\alpha]^{25}_{D}$ = -68.26 (c 0.576, $CHCl_3$).

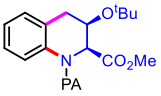
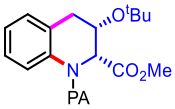
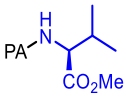
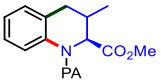
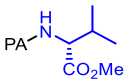
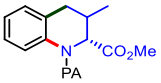
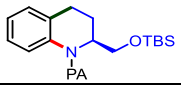
^{1}H NMR (600 MHz, Chloroform-*d*) δ 8.32 (d, *J* = 4.4 Hz, 1H), 7.86 (d, *J* = 7.8 Hz, 1H), 7.72 (d, *J* = 7.7 Hz, 2H), 7.38 (s, 1H), 7.28 (d, *J* = 7.8 Hz, 1H), 7.23 (t, *J* = 4.9 Hz, 1H), 5.25 (d, *J* = 6.8 Hz, 1H), 4.65 (s, 1H), 3.77 (s, 3H), 3.18 (dd, *J* = 14.8, 6.3 Hz, 1H), 2.96 (dd, *J* = 14.8, 2.6 Hz, 1H), 2.60 – 2.54 (m, 2H), 2.07 (s, 3H), 1.88 (d, *J* = 19.8 Hz, 3H), 1.77 (d, *J* = 11.1 Hz, 5H), 1.58 – 1.49 (m, 3H), 1.41 (s, 4H), 1.26 (s, 7H), 1.23 (s, 4H), 1.18 (s, 9H), 1.13 (t, *J* = 4.4 Hz, 3H), 1.06 (dd, *J* = 11.2, 6.1 Hz, 3H), 0.86 (dd, *J* = 11.4, 6.6 Hz, 12H).

^{13}C NMR (151 MHz, Chloroform-*d*) δ 169.3, 168.7, 164.7, 153.4, 149.5, 148.5, 140.5, 138.2, 137.1, 135.4, 129.1, 127.8, 126.6, 126.0, 125.1, 124.7, 123.1, 117.5, 75.2, 67.9, 62.4, 52.1, 40.5, 39.5, 37.6, 37.4, 35.1, 32.94, 32.89, 31.3, 28.5, 28.1, 24.9, 24.6, 24.2, 23.9, 22.9, 22.8, 21.2, 20.7, 19.9, 19.8, 13.2, 12.4, 12.3, 12.0.

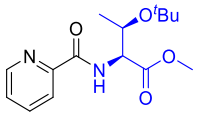
HRMS (ESI): found: 825.5414 ([M+H]$^+$), calcd. Chemical Formula: $C_{51}H_{73}N_2O_7$, Exact Mass: 825.5418.

VIII Ee value of selected starting materials and related products

Table S2 ee value of selected starting materials and related products

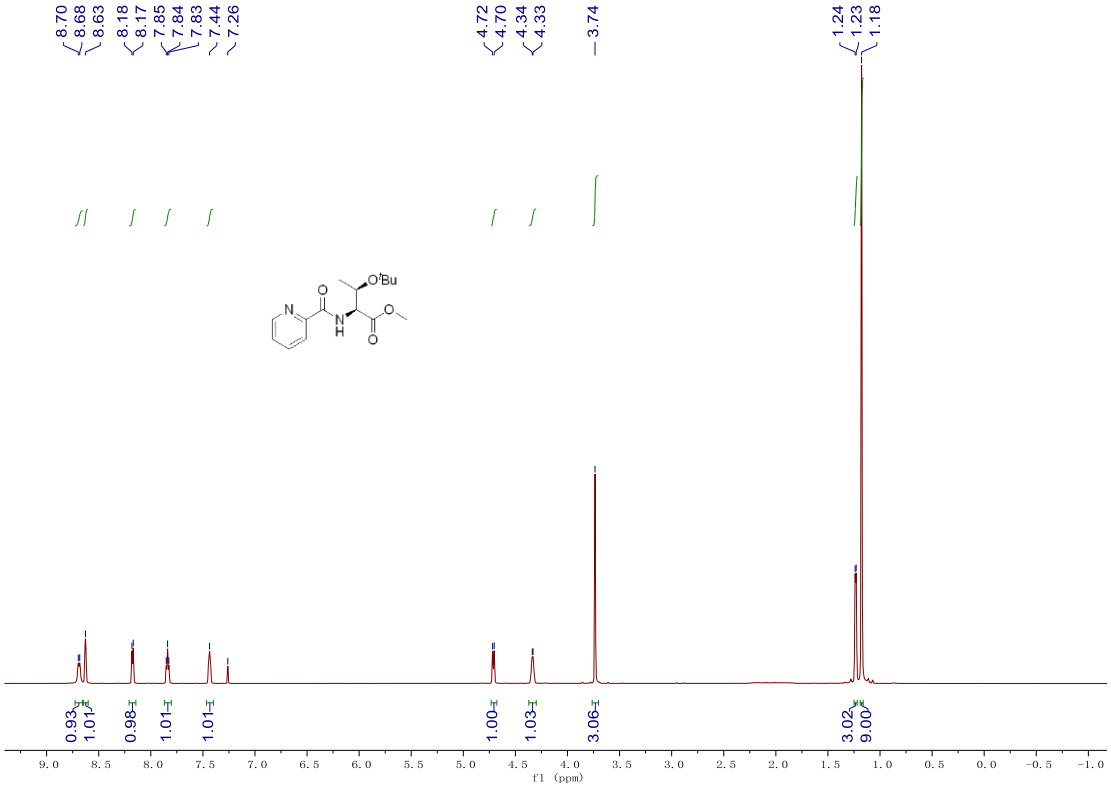
Entry	Compound name	Structure	ee
1	**1a**		>99%
2	**3a**		98%
3	**1a**-R		>99%
4	**3a**-R		98%
5	**1c**-*S*		>99%
6	**3c**-*S*		>99%
7	**1c**-R		>99%
8	**3c**-R		>99%
9	**1j**-S		99%
10	**3j**-S		99%

IX NMR spectra of substrates and products

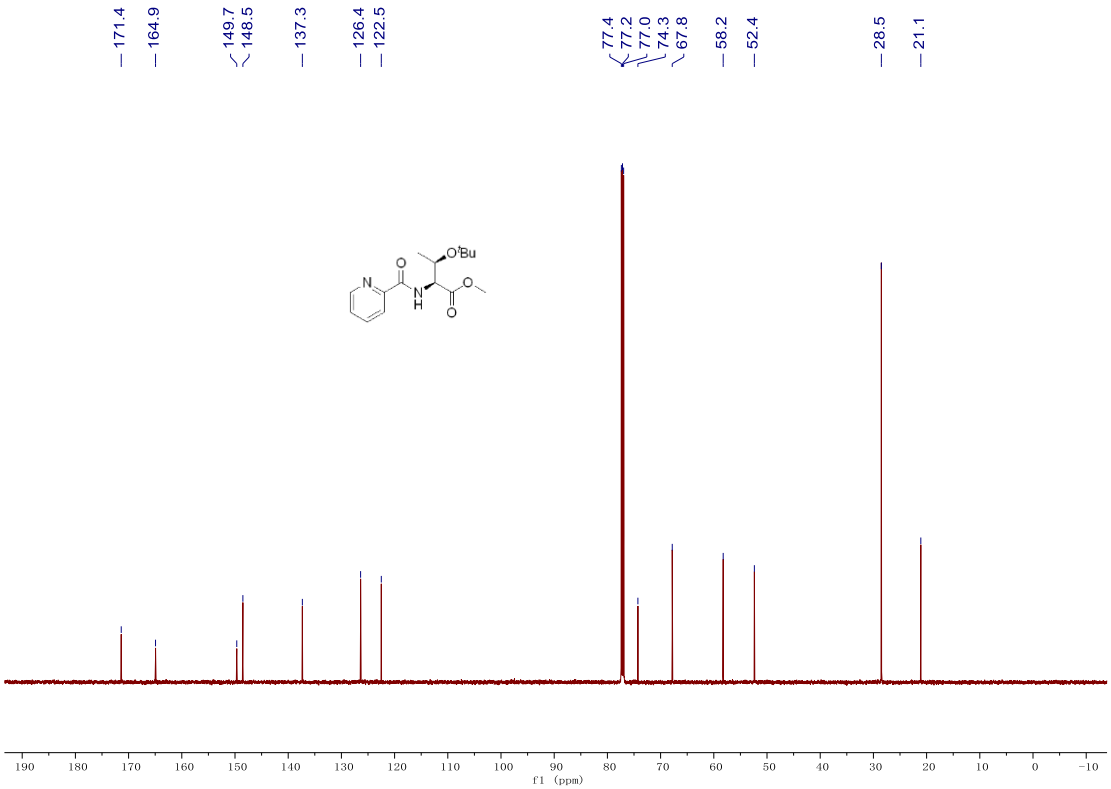


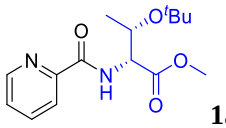
1a

1a ^{1}H NMR



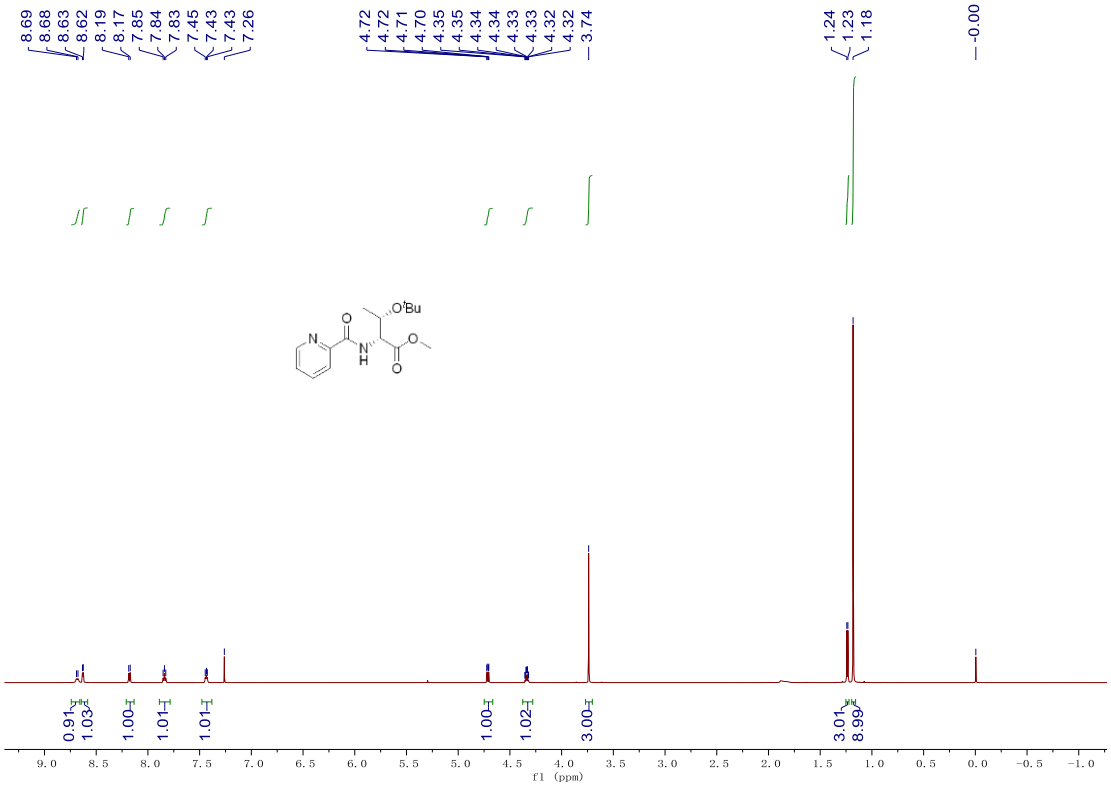
1a ^{13}C NMR



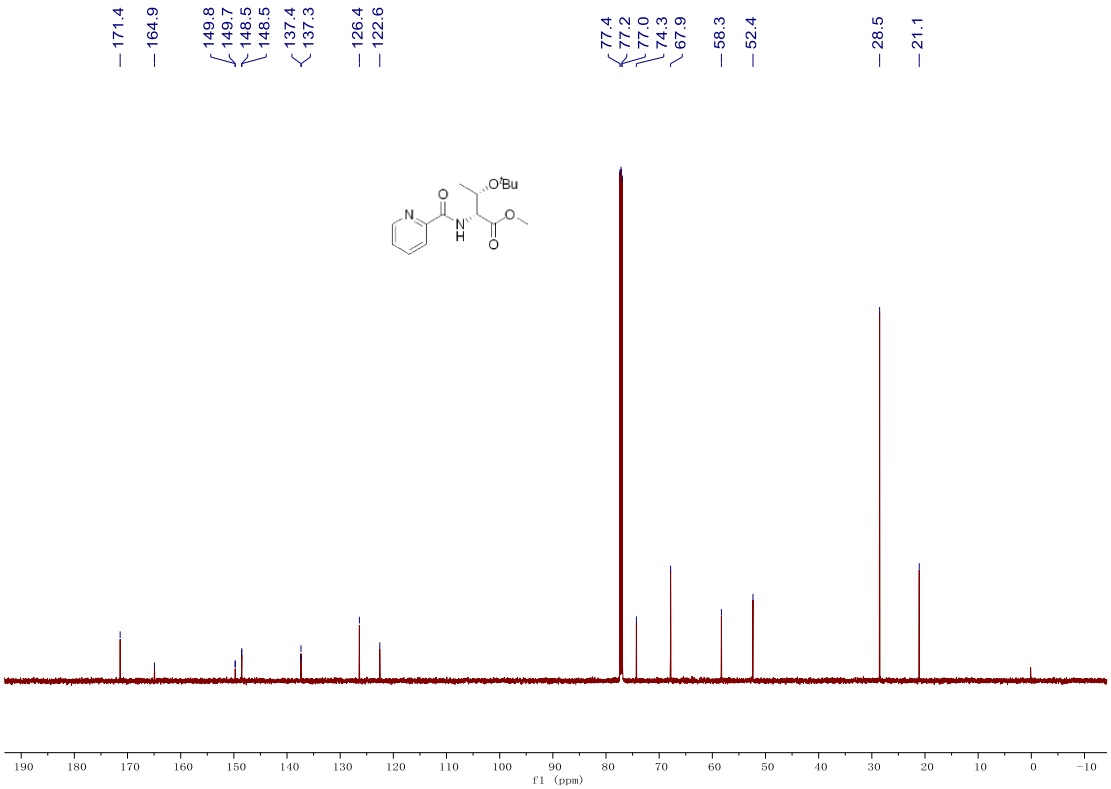


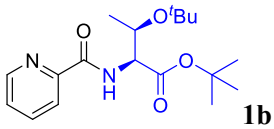
1a-R

1a-R ^{1}H NMR



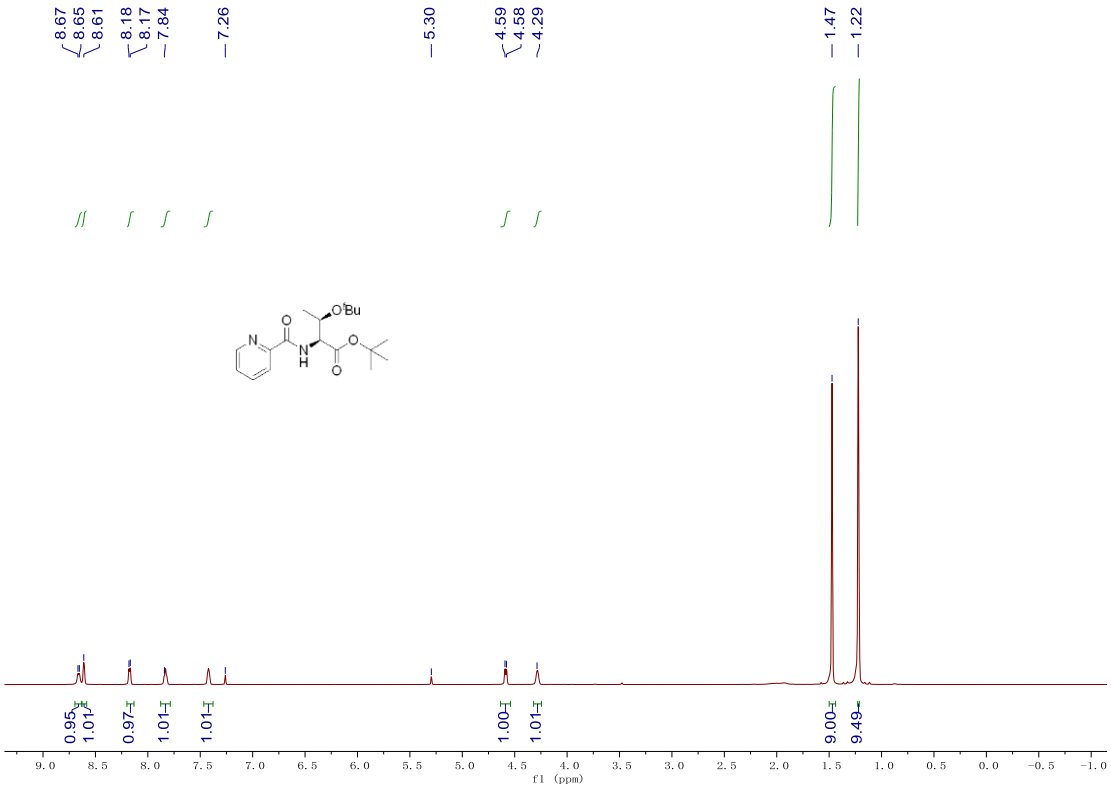
1a-R ^{13}C NMR



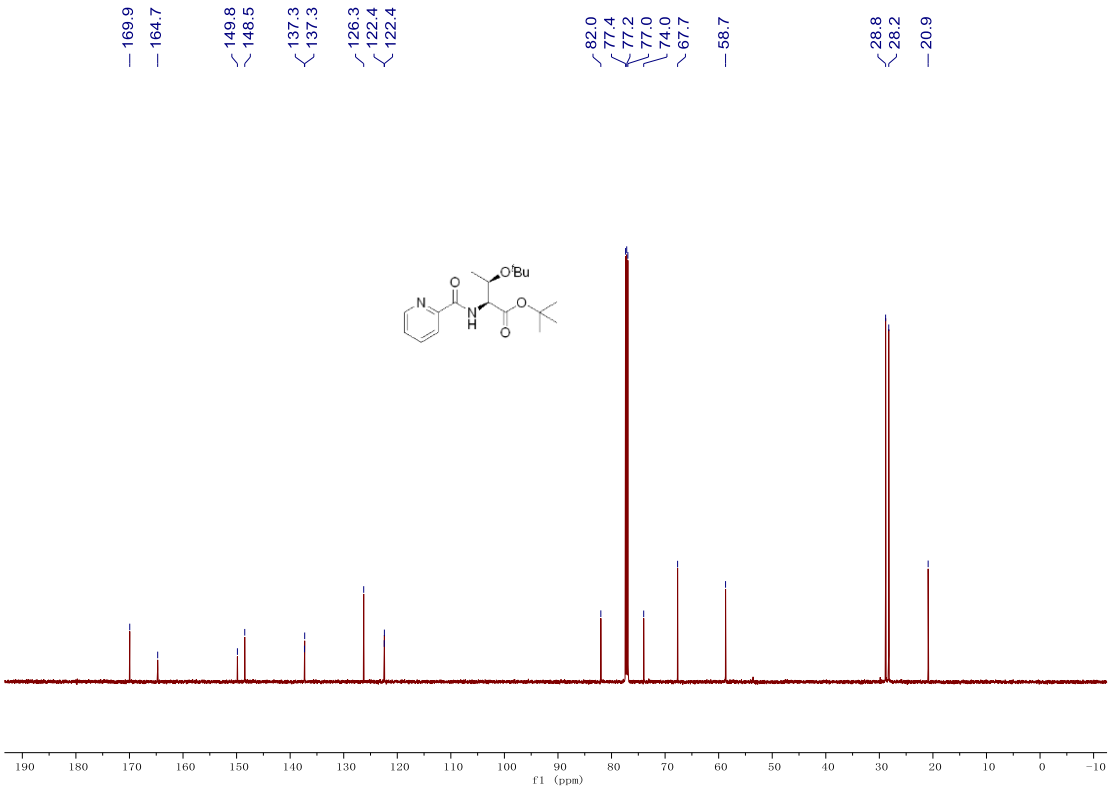


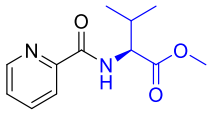
1b

1b ^{1}H NMR



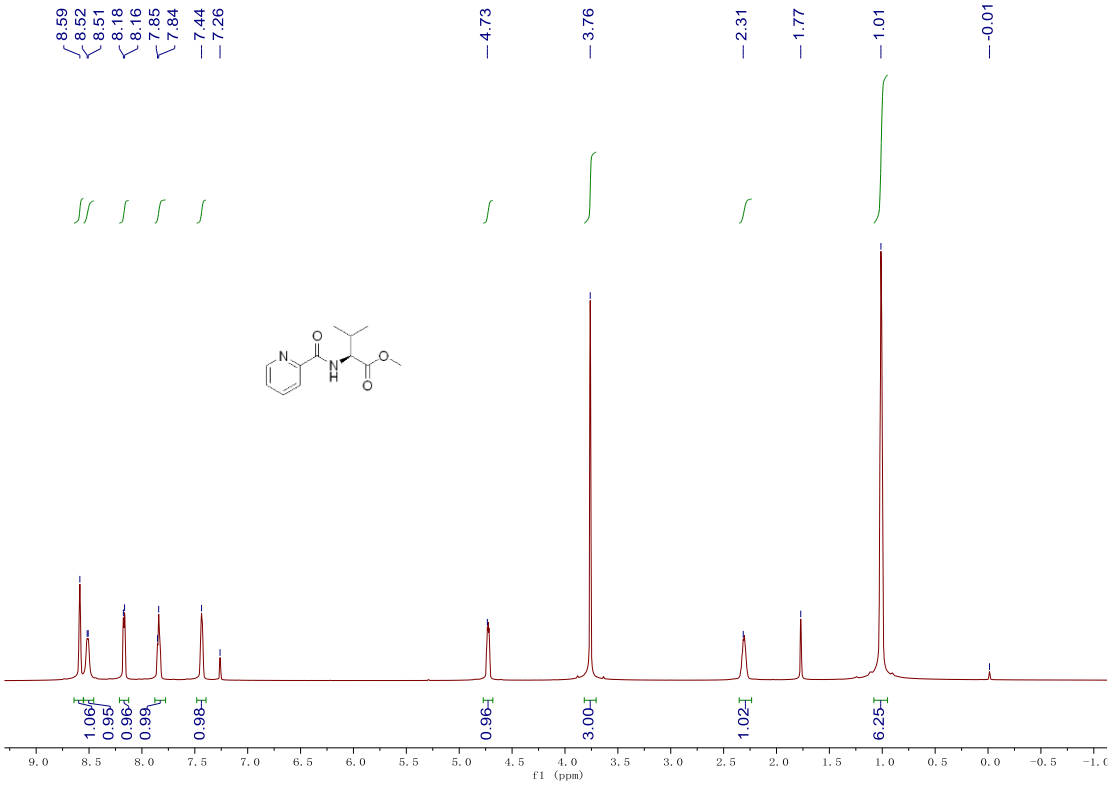
1b ^{13}C NMR



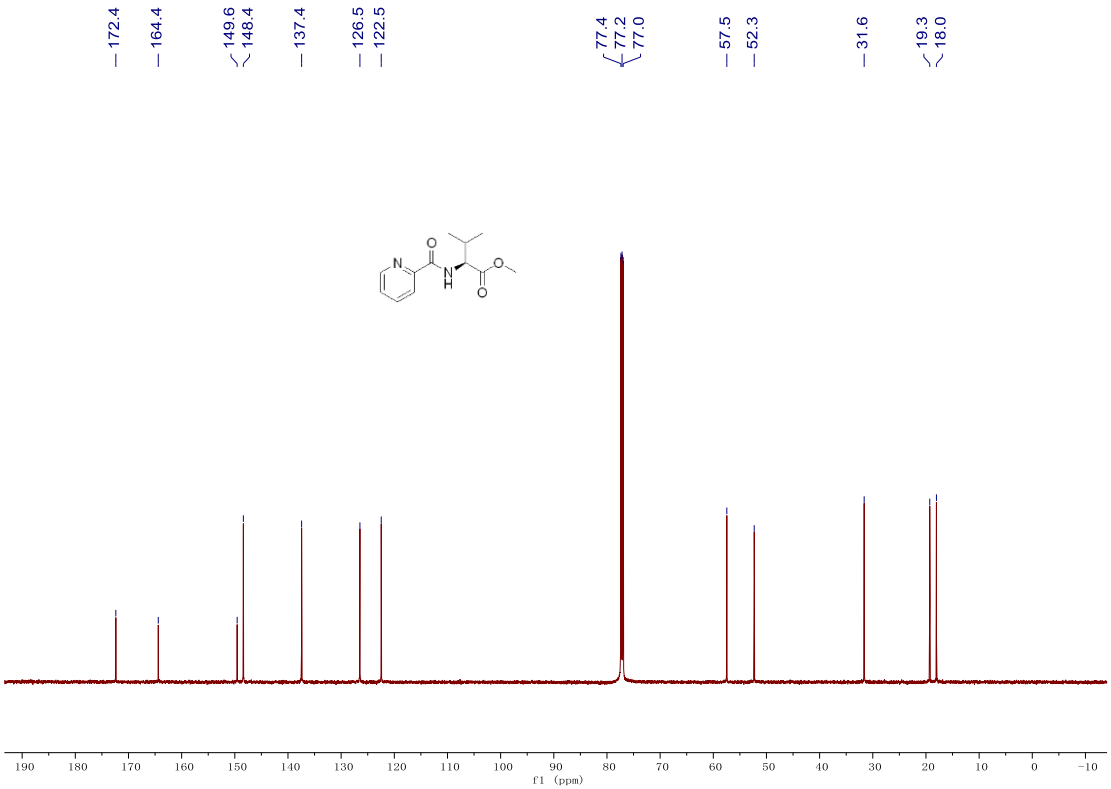


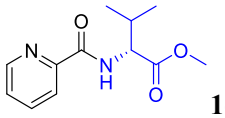
1c-s

1c-S ^{1}H NMR



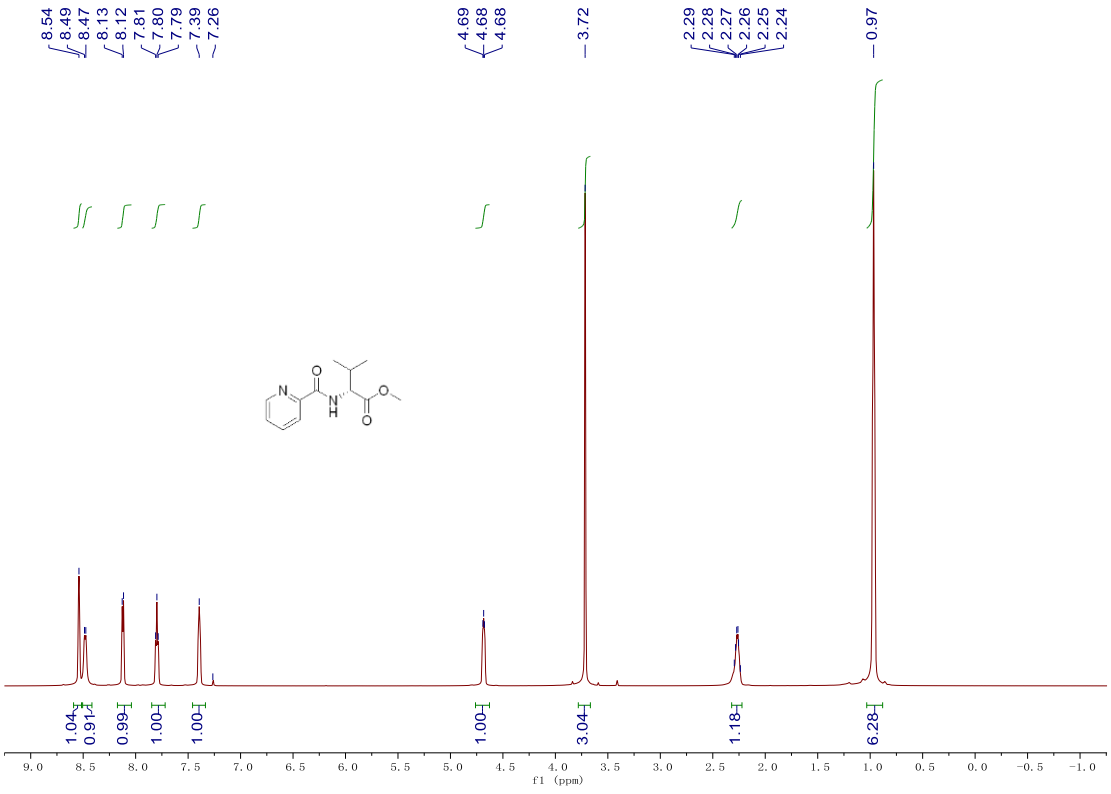
1c-S ^{13}C NMR



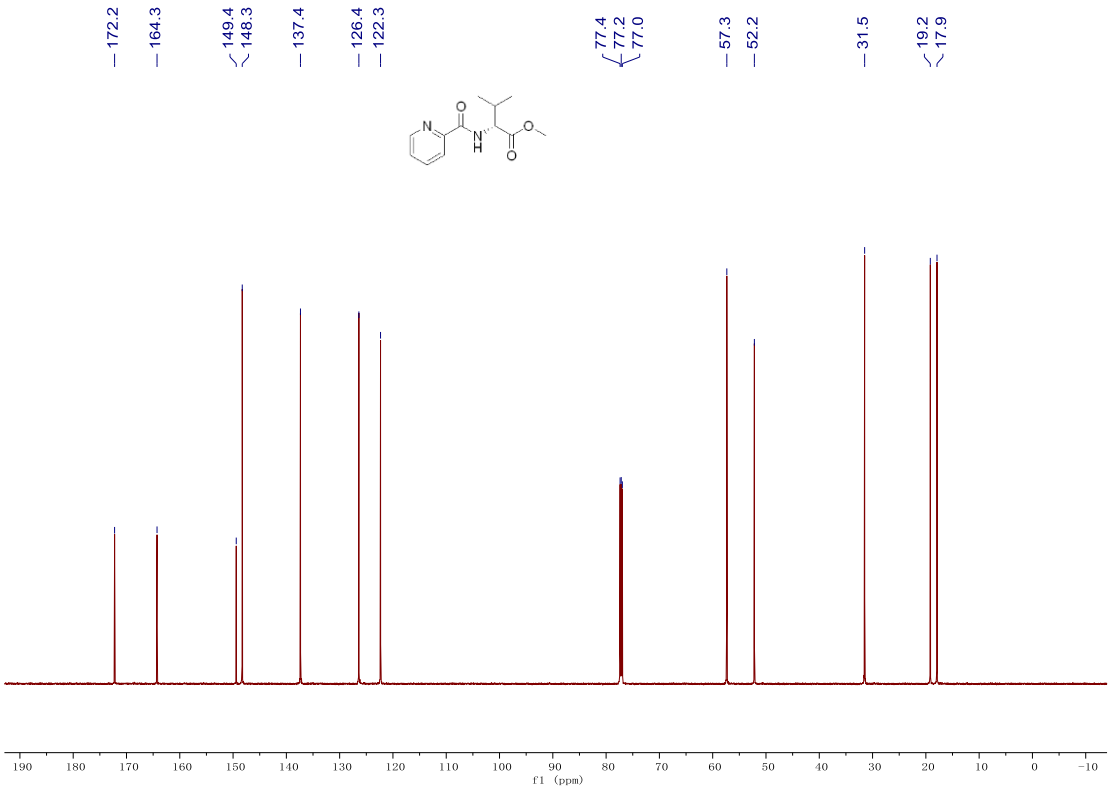


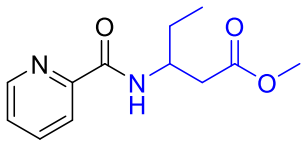
1c-R

1c-R ^{1}H NMR



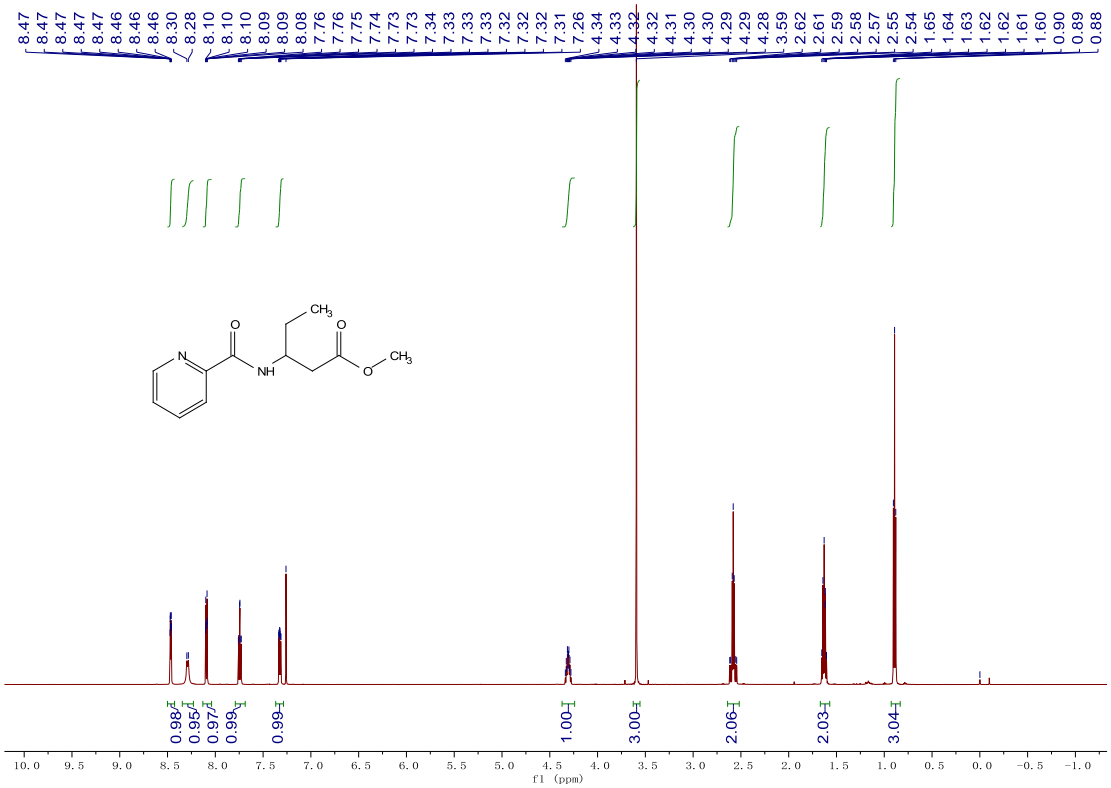
1c-R ^{13}C NMR



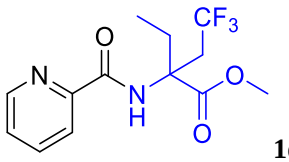


1d

1d ^{1}H NMR

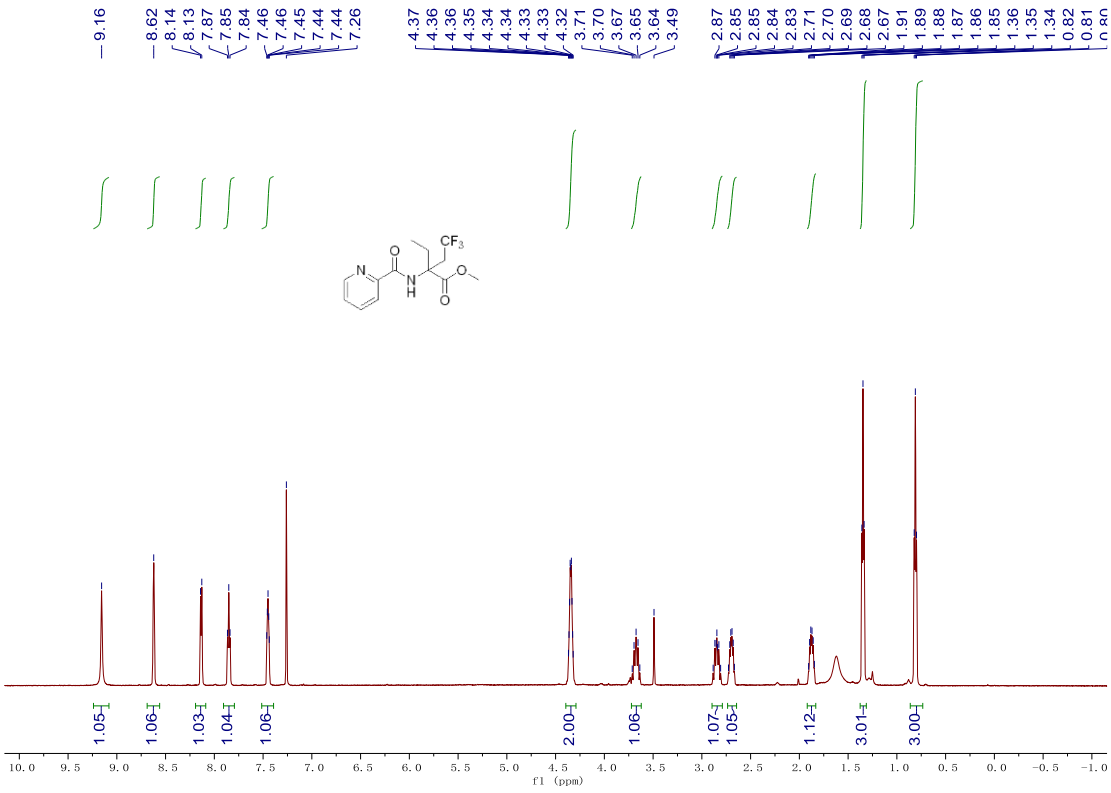


1d ^{13}C NMR

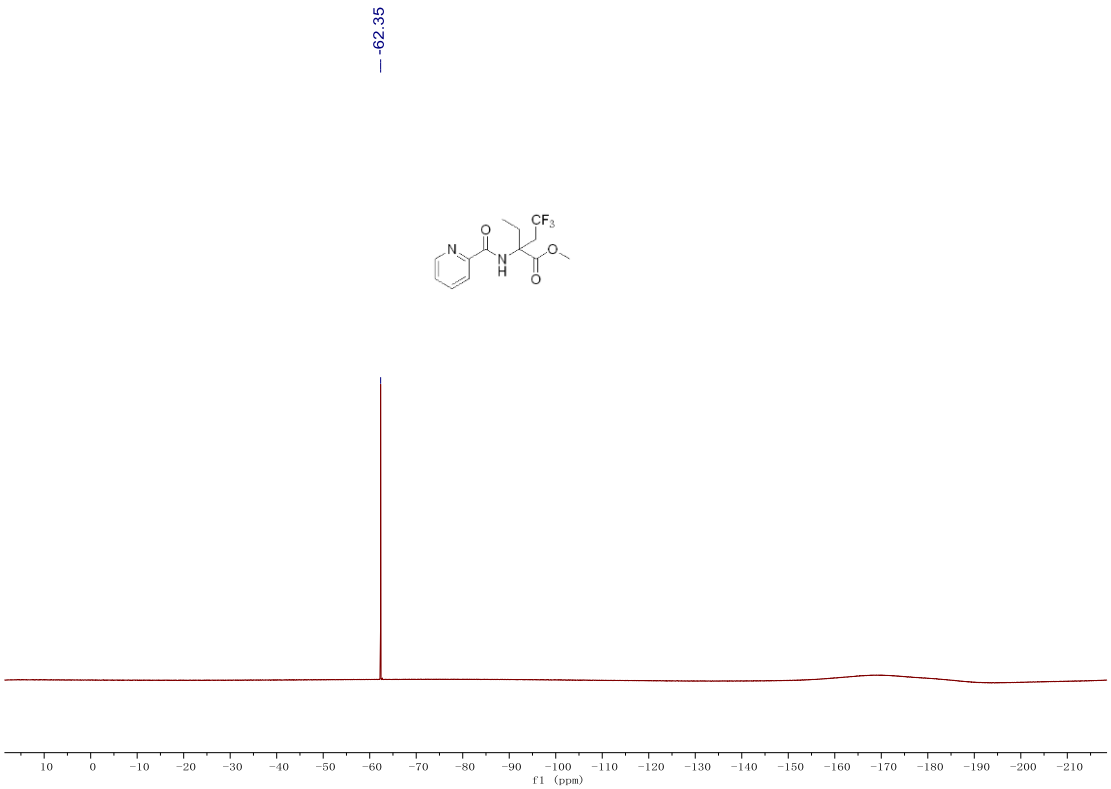


1e

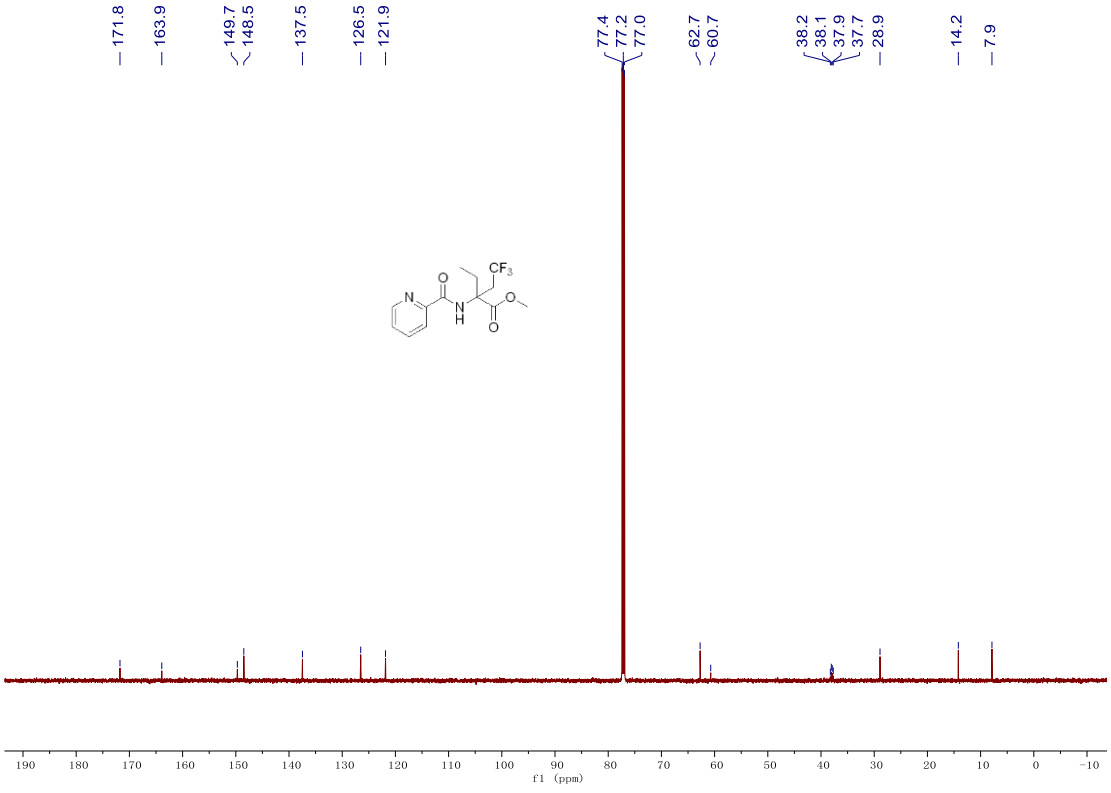
1e ^{1}H NMR

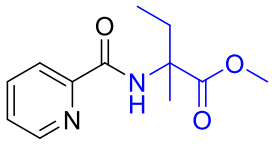


1e ^{19}F NMR



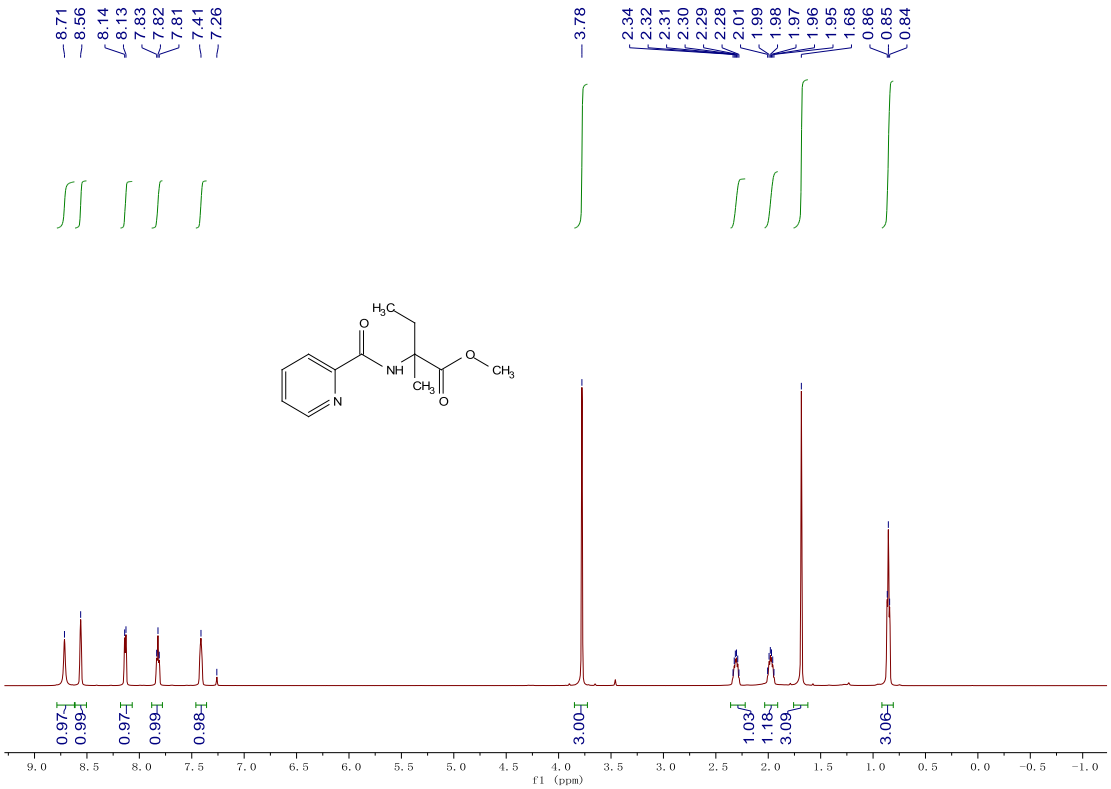
1e ^{13}C NMR



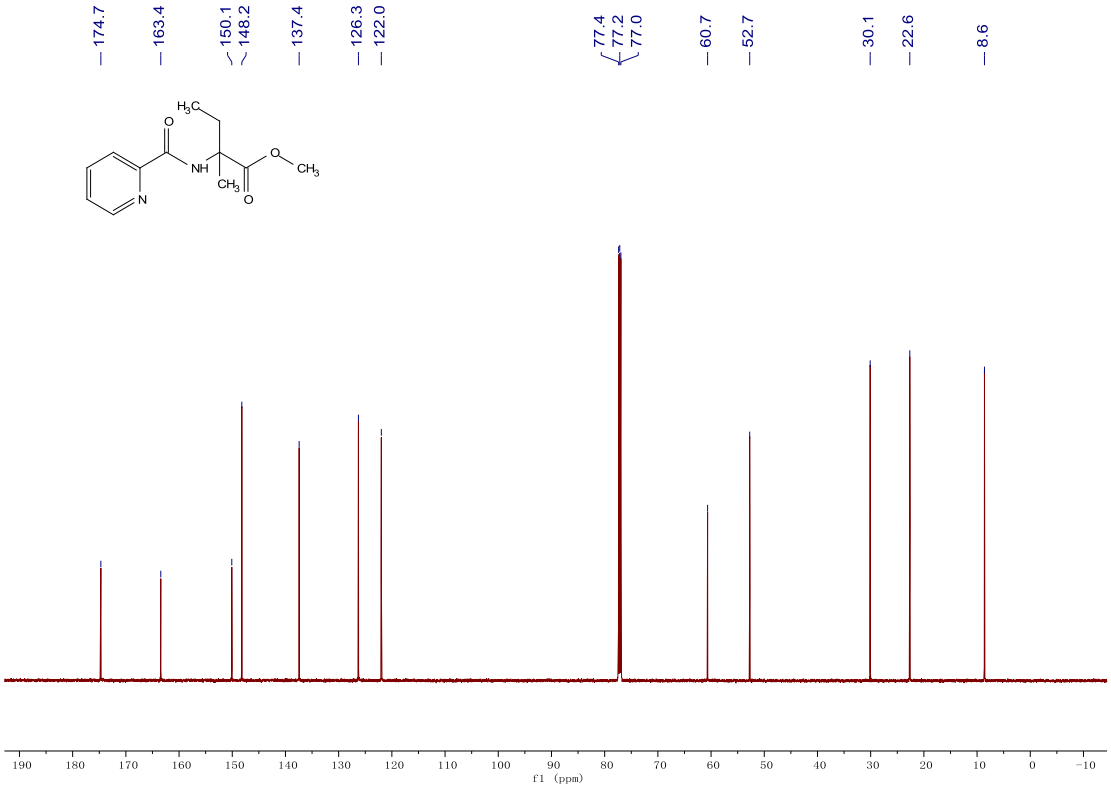


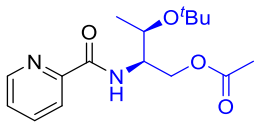
1f

1f ^{1}H NMR



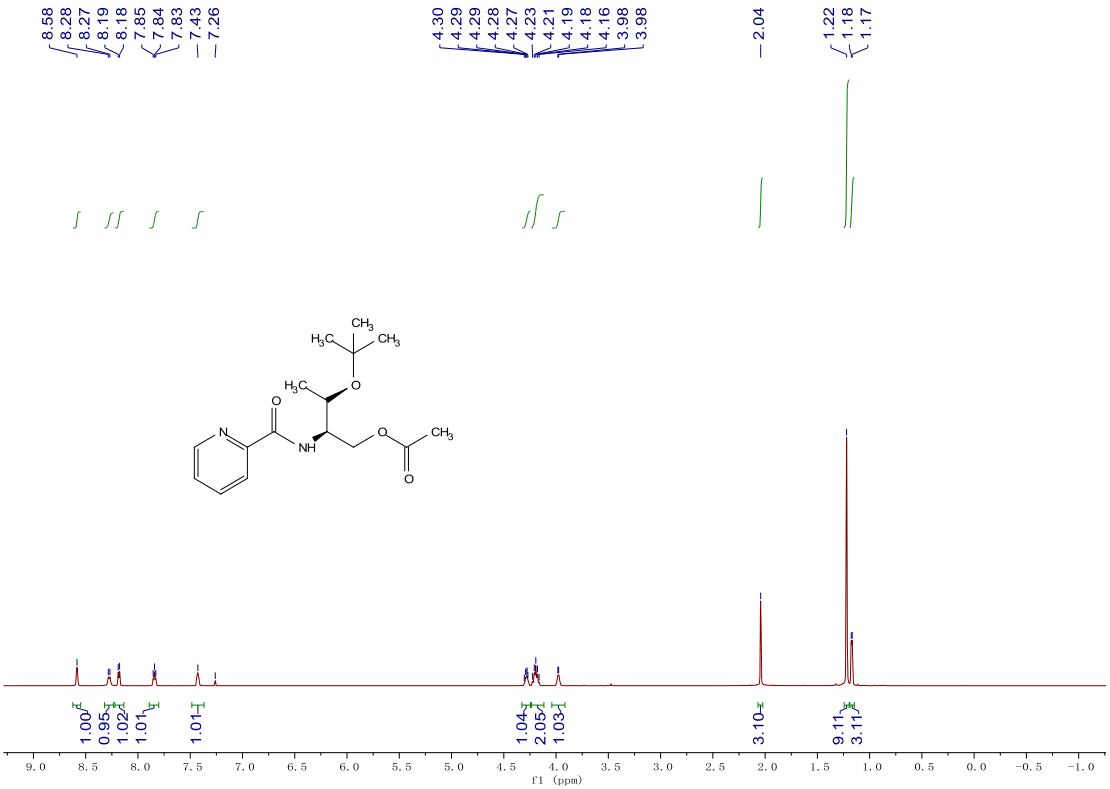
1f ^{13}C NMR



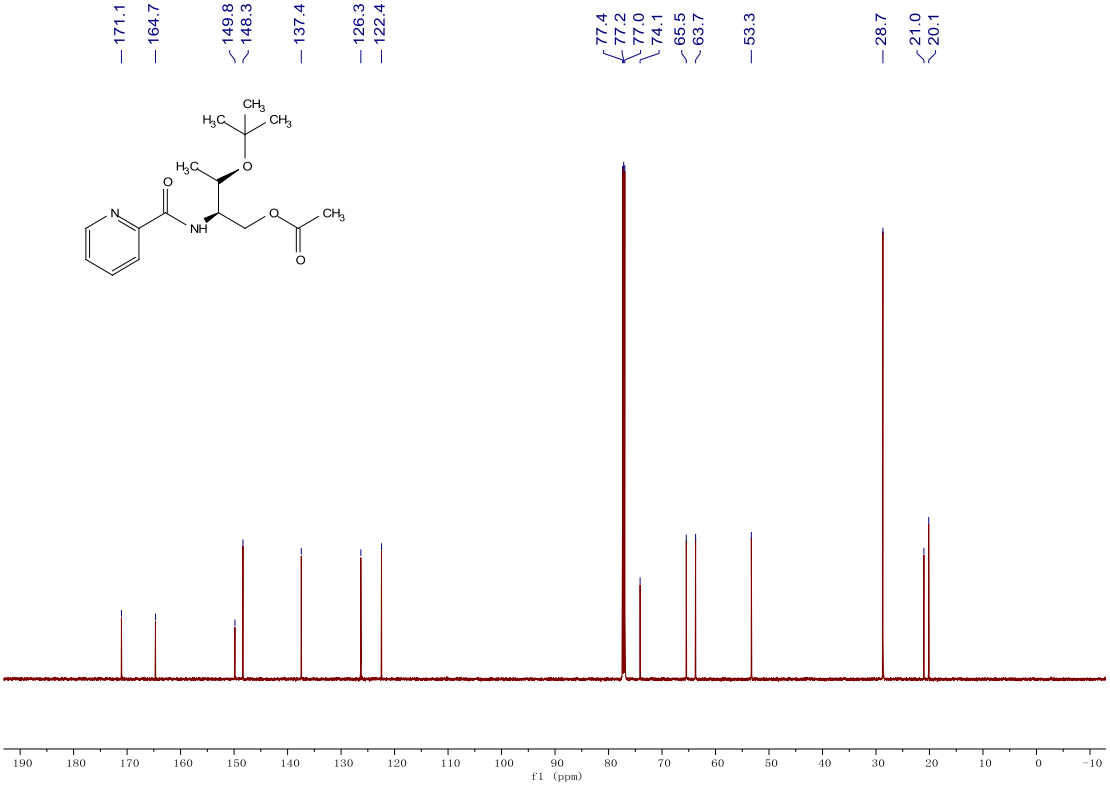


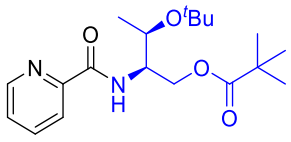
1g

1g ^{1}H NMR



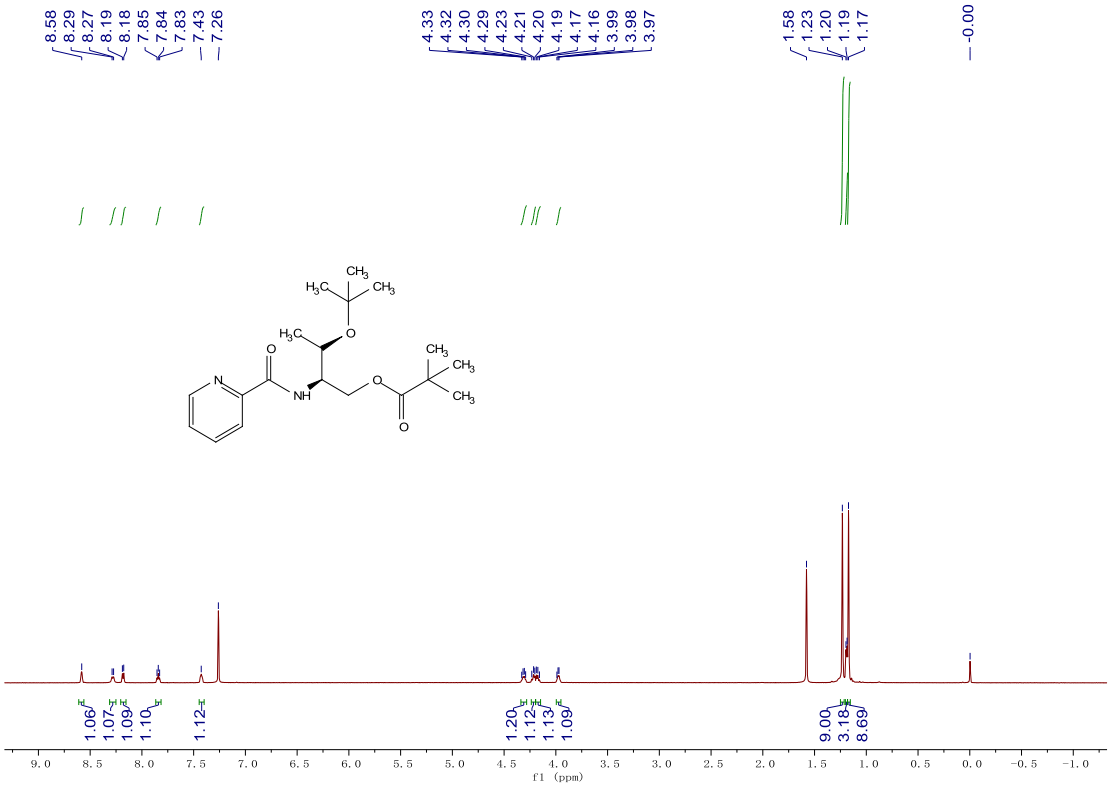
1g ^{13}C NMR



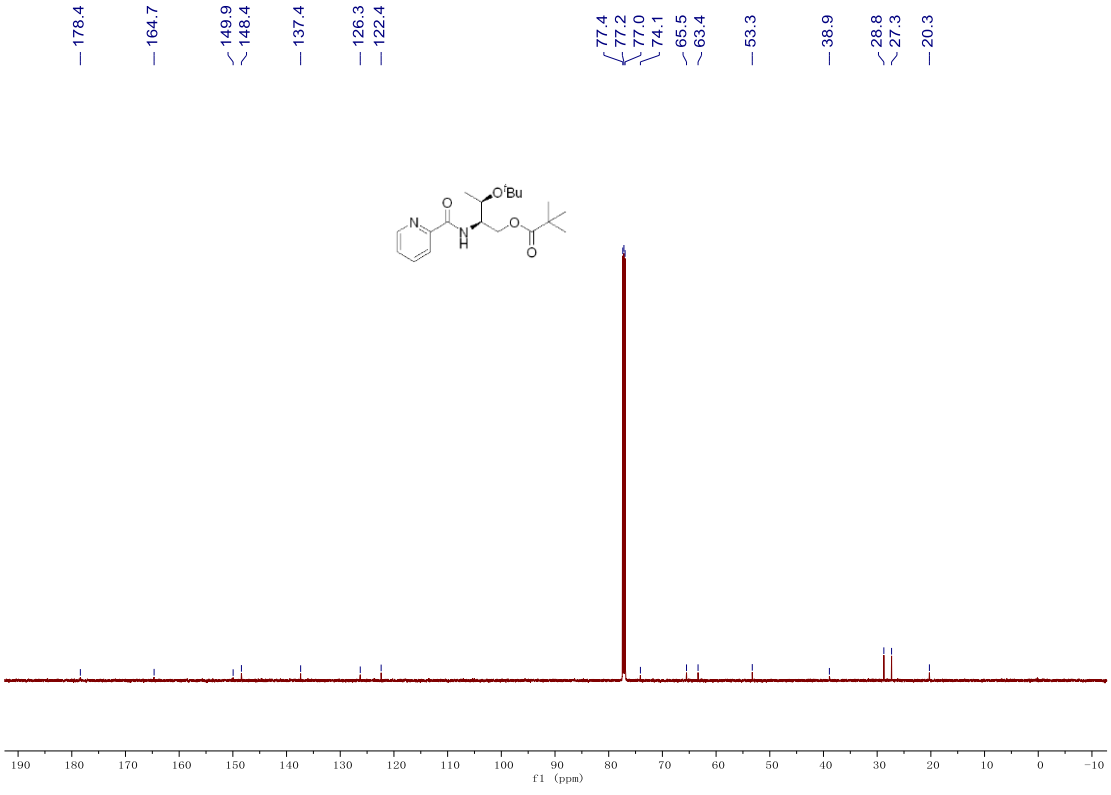


1h

1h ^{1}H NMR

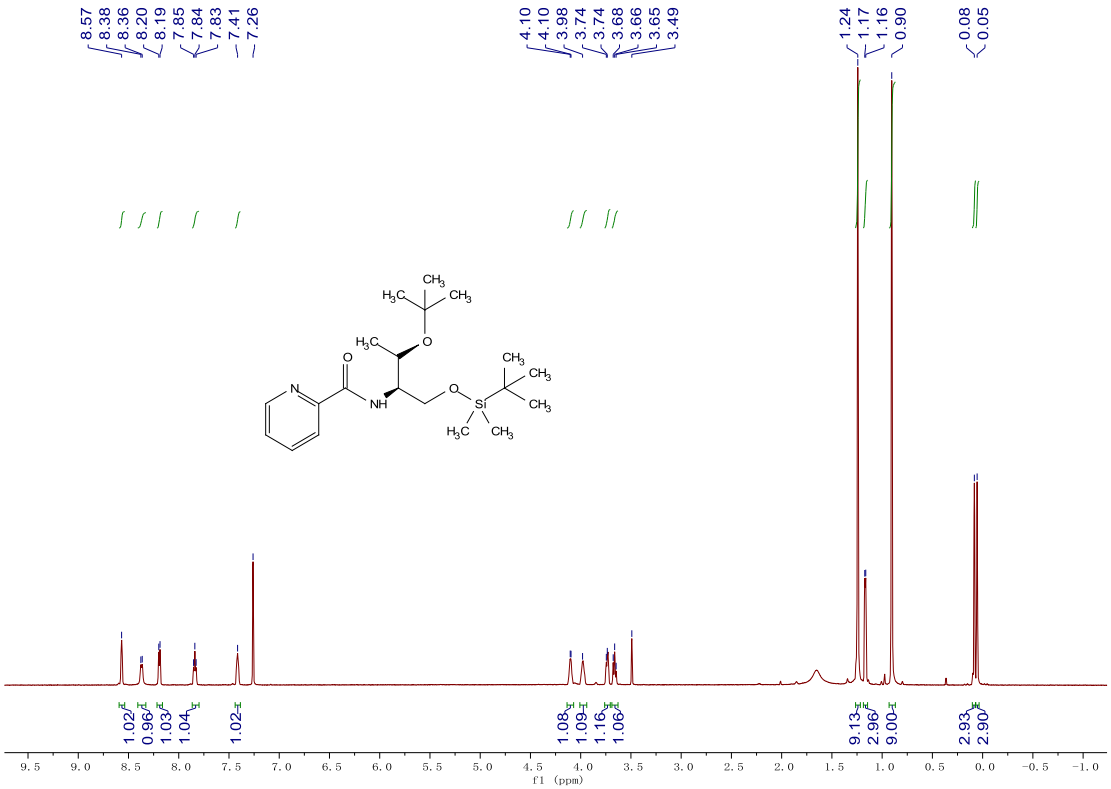


1h^{13}C NMR

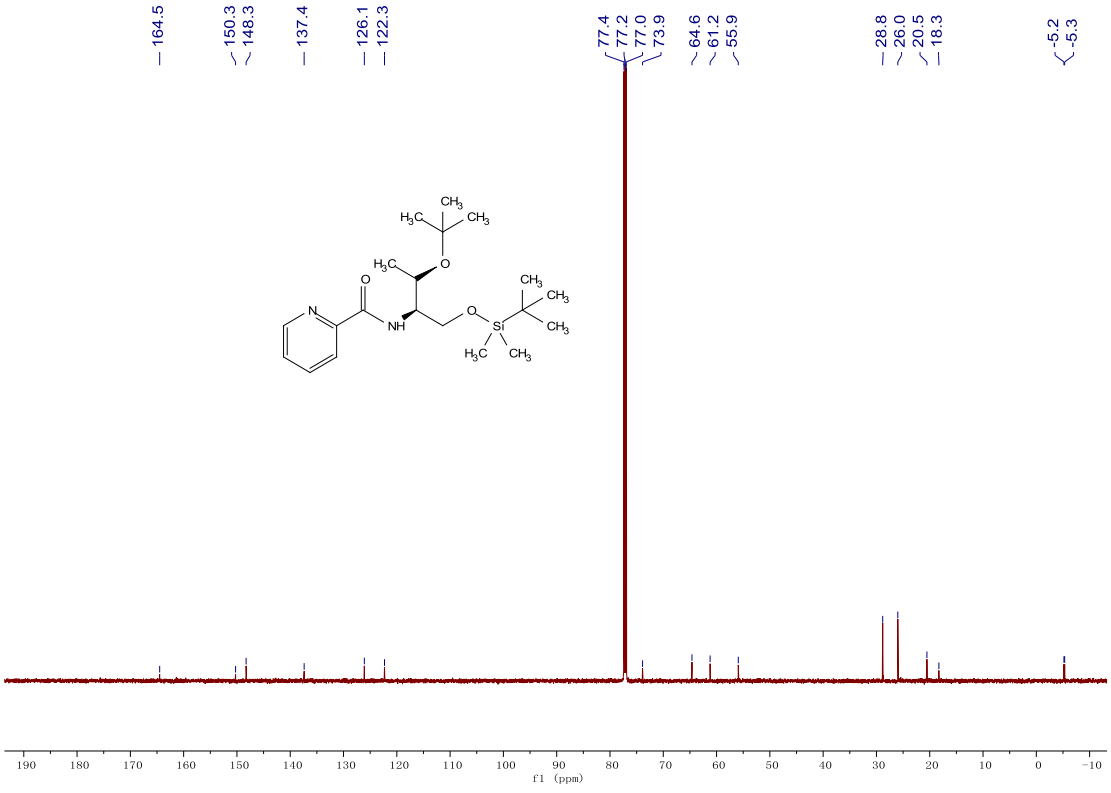


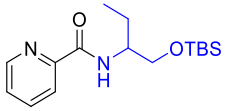
1i

1i ^{1}H NMR



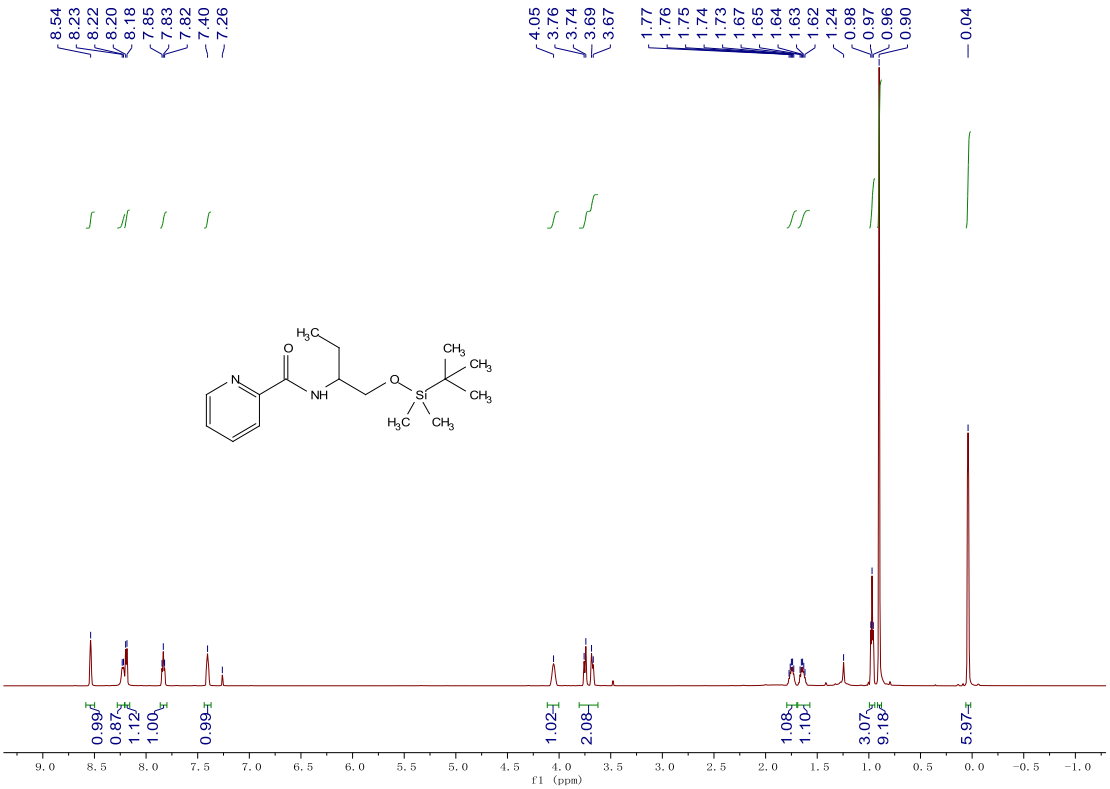
1i ^{13}C NMR



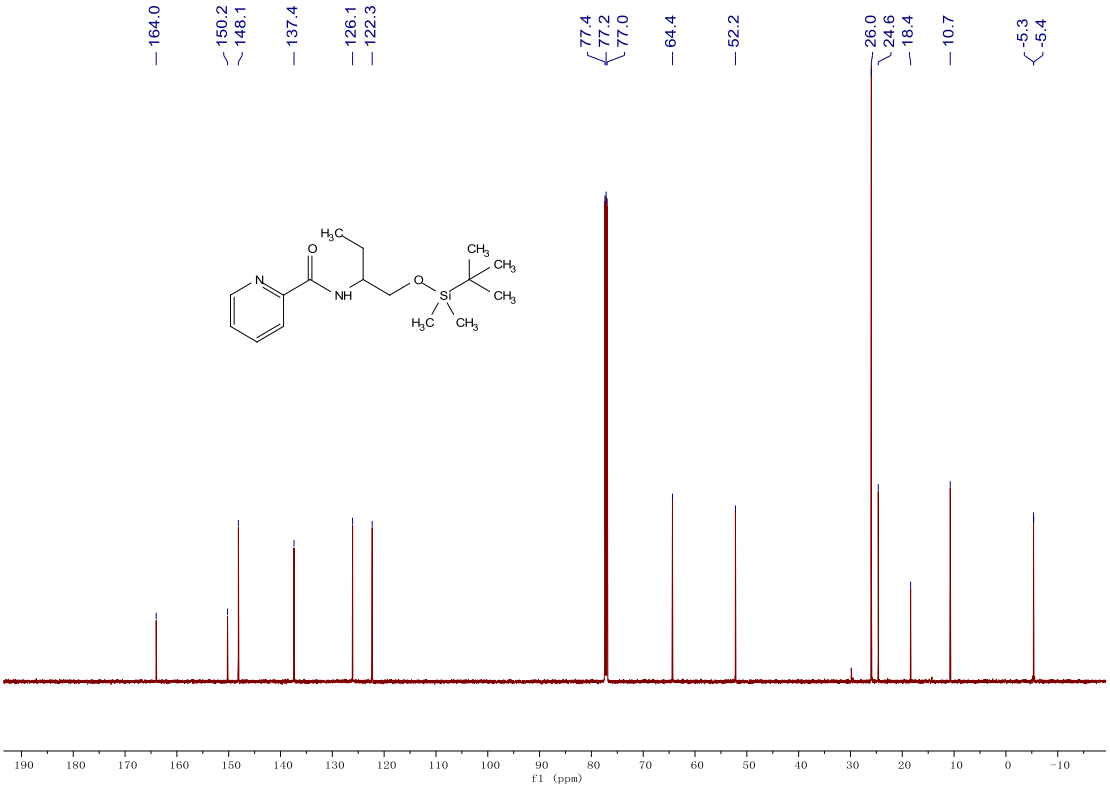


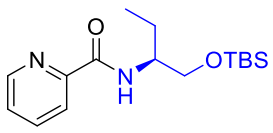
1j

1j ^{1}H NMR



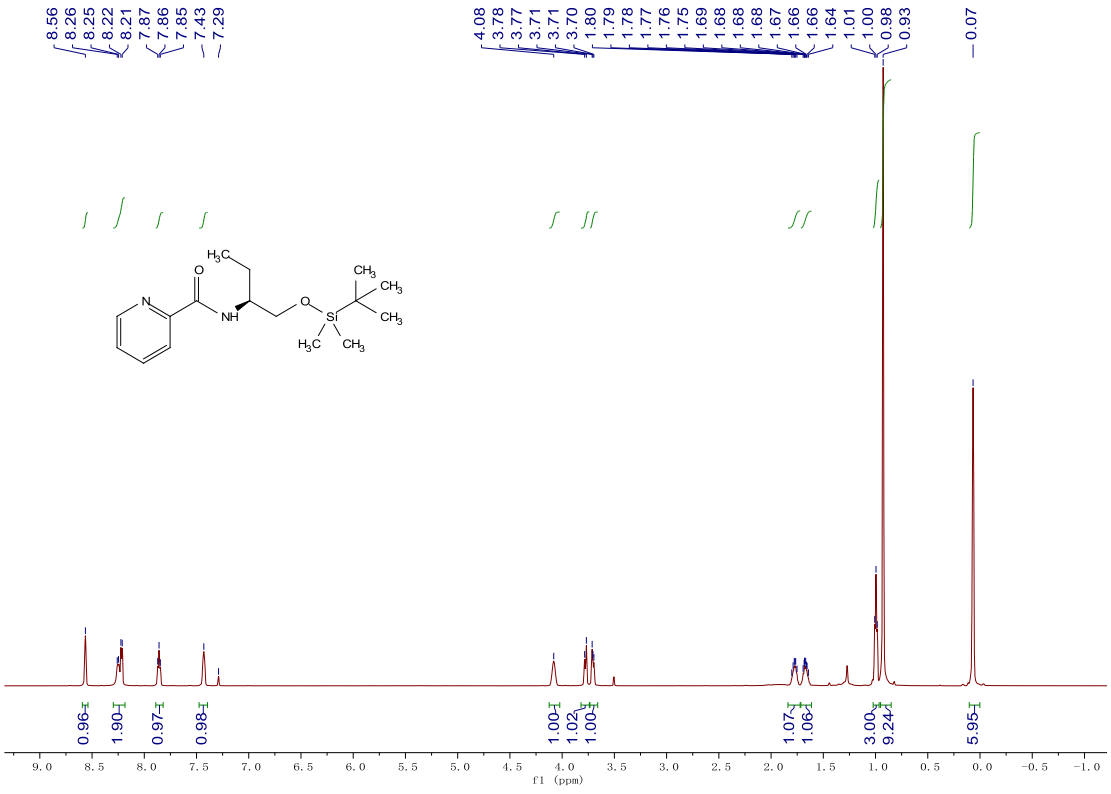
1j ^{13}C NMR



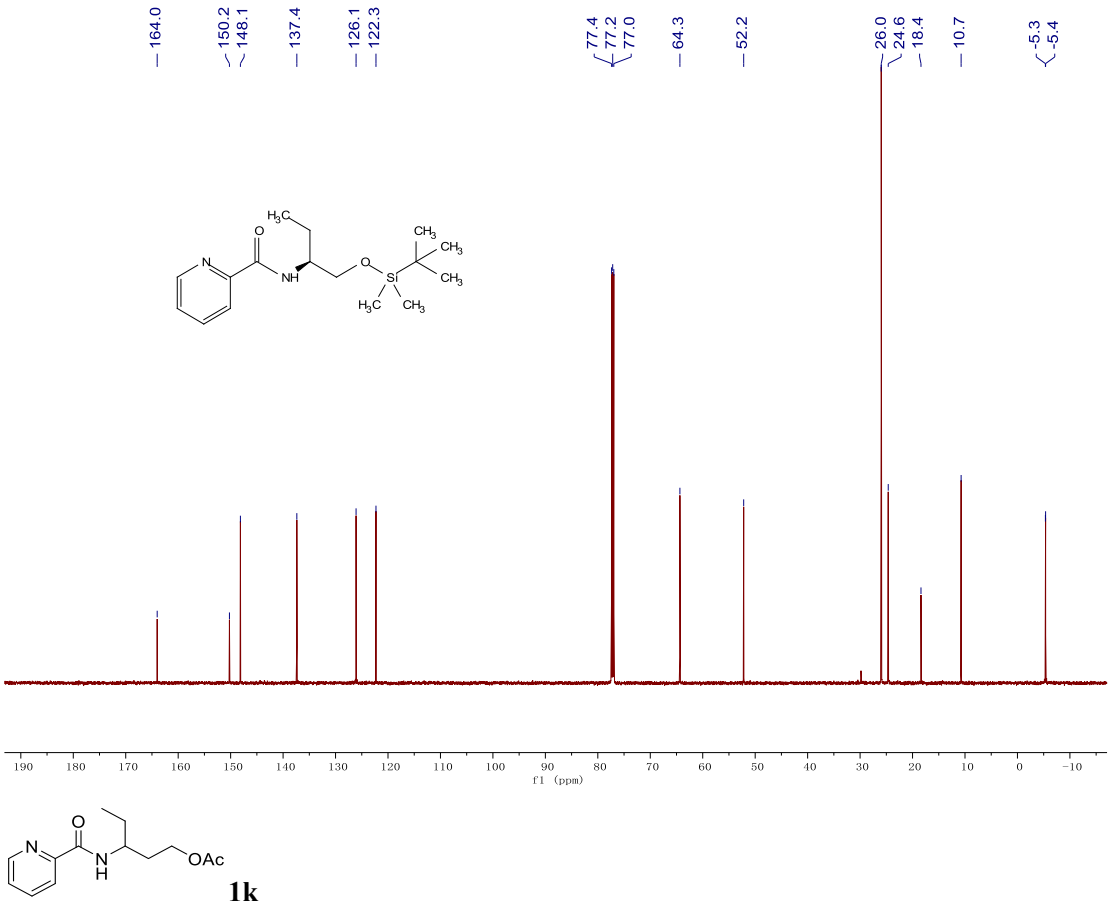


1j-s

1j-s ^{1}H NMR

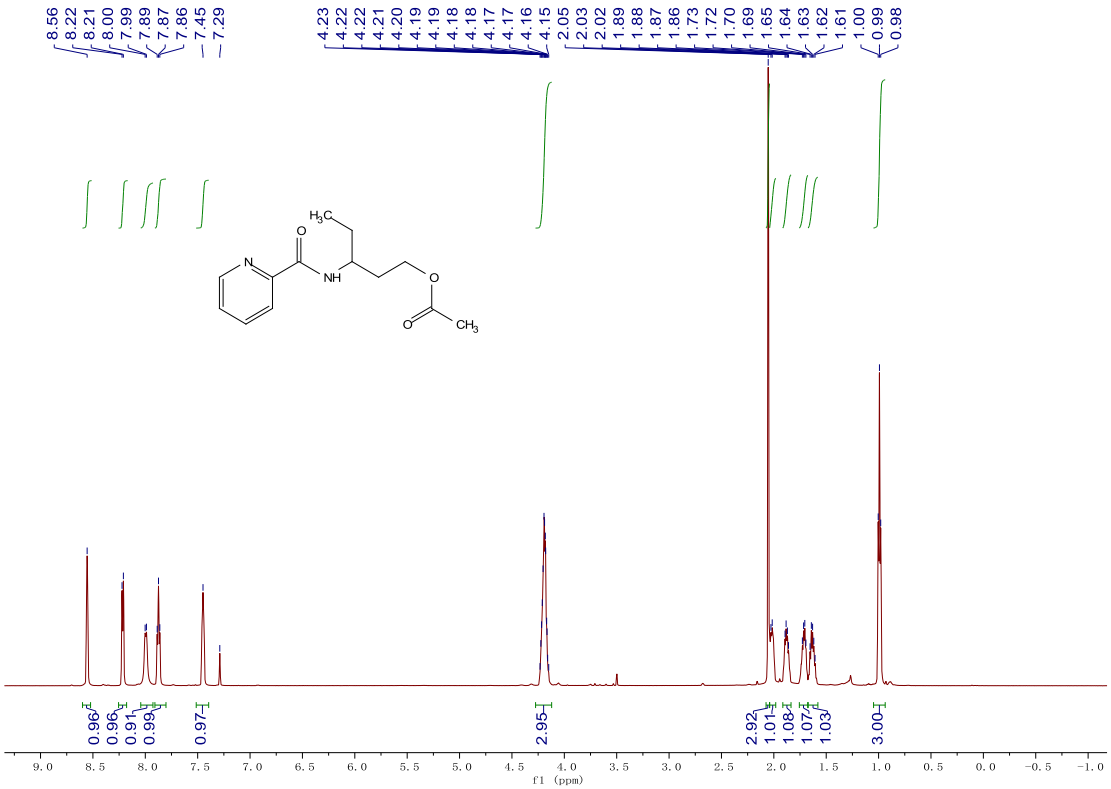


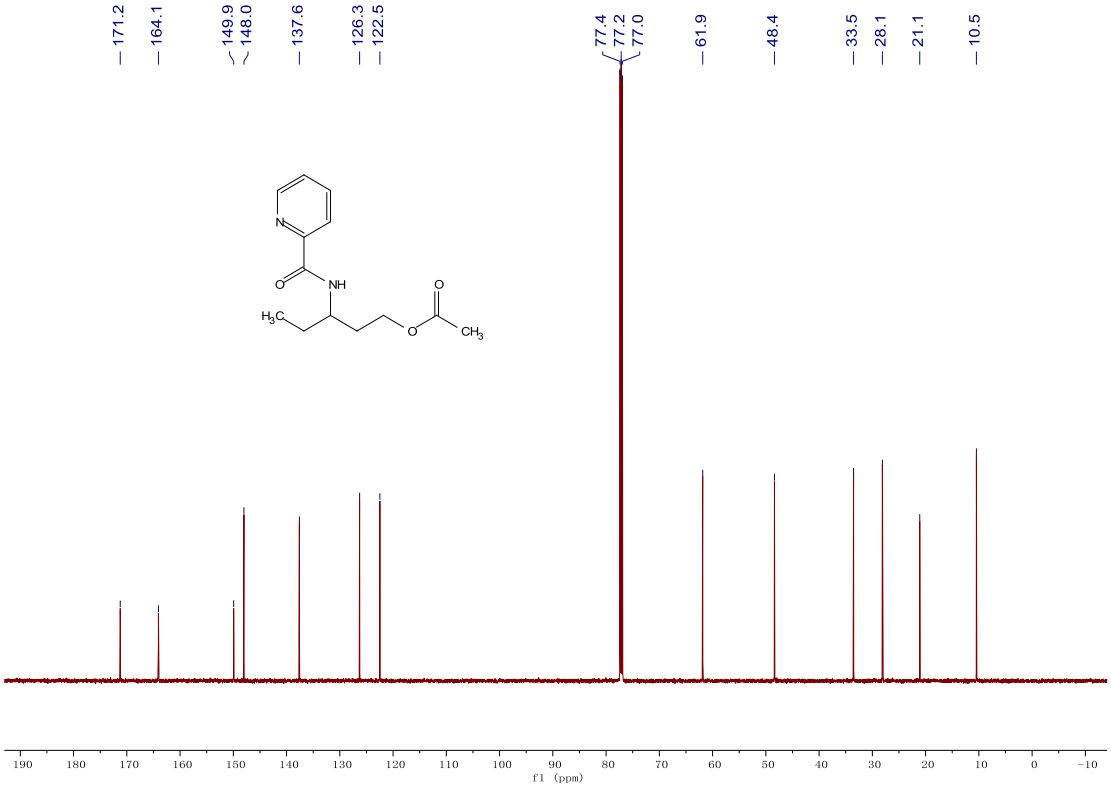
1j-s ^{13}C NMR

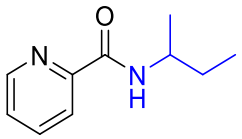


1k

1k ^{1}H NMR

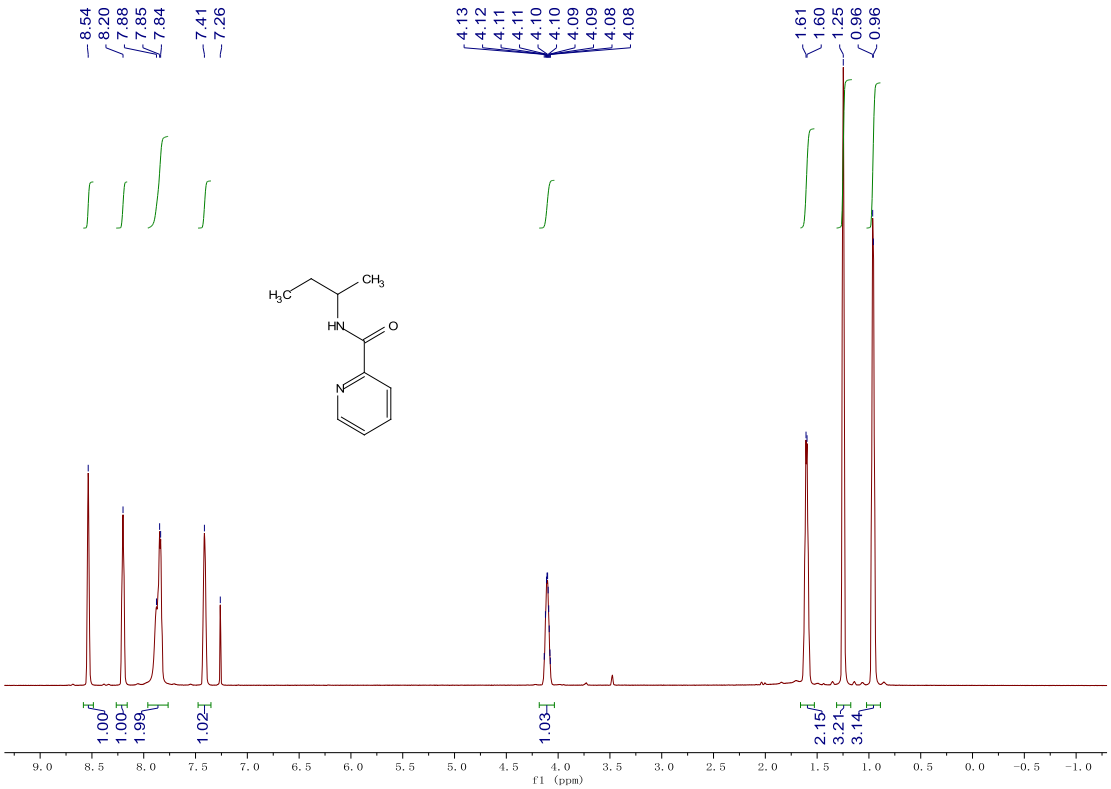

8.56
8.22
8.21
8.00
7.99
7.89
7.87
7.86
7.45
7.29
4.23
4.22
4.22
4.21
4.20
4.19
4.19
4.18
4.18
4.17
4.17
4.16
4.15
2.05
2.03
2.02
1.89
1.88
1.87
1.86
1.73
1.72
1.70
1.69
1.65
1.64
1.63
1.62
1.61
1.00
0.99
0.98
0.96
0.96
0.91
0.99
0.97
2.95
2.92
1.01
1.08
1.07
1.03
3.00
f1 (ppm)


171.2
164.1
149.9
148.0
137.6
126.3
122.5
77.4
77.2
77.0
61.9
48.4
33.5
28.1
21.1
10.5
f1 (ppm)

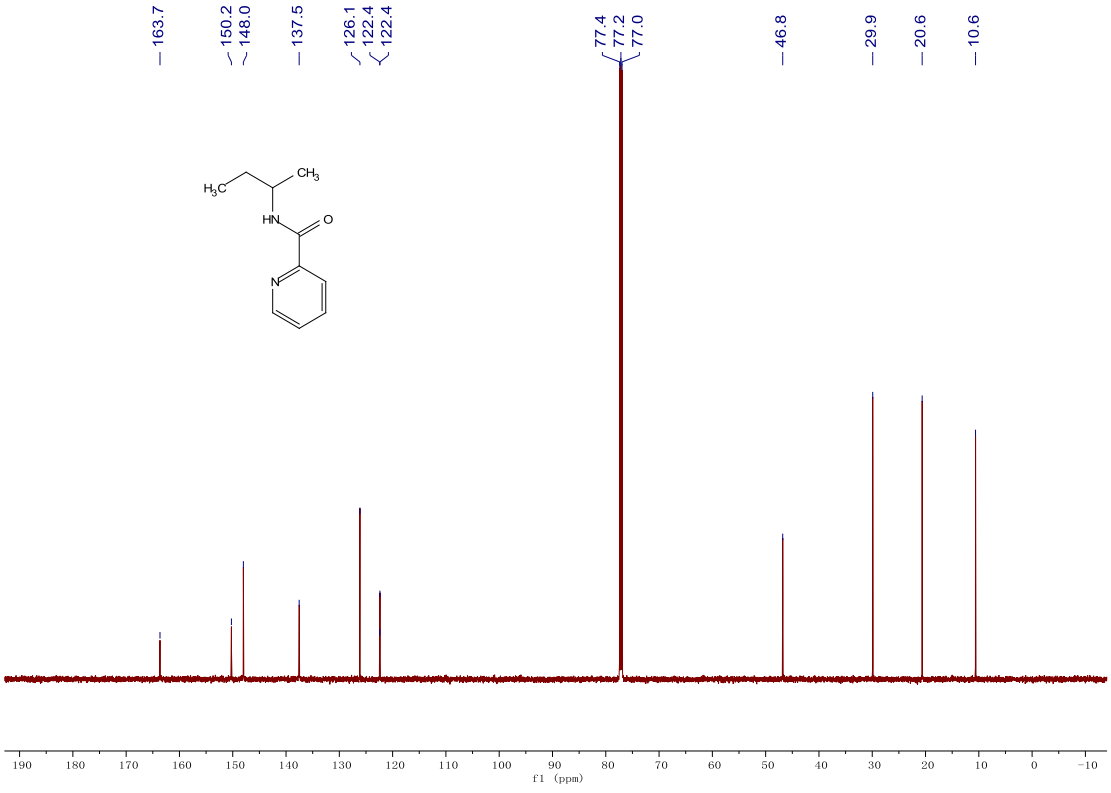


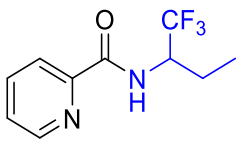
1l

1l ^{1}H NMR



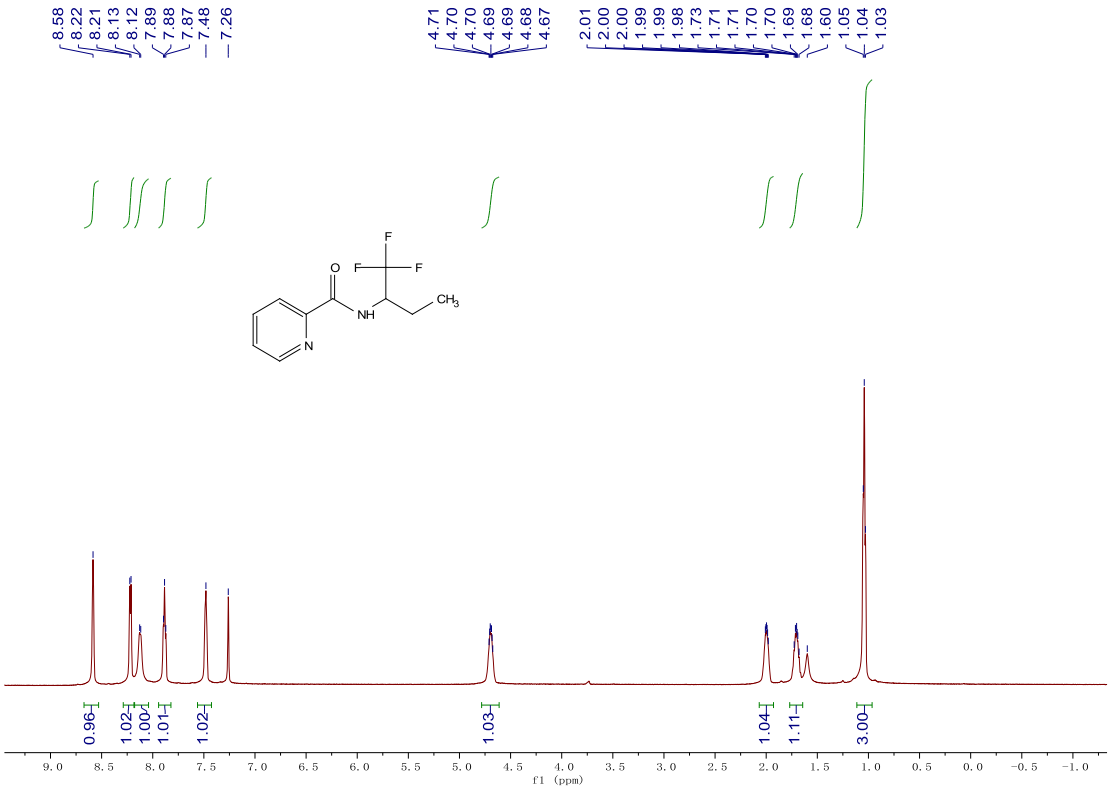
1l ^{13}C NMR



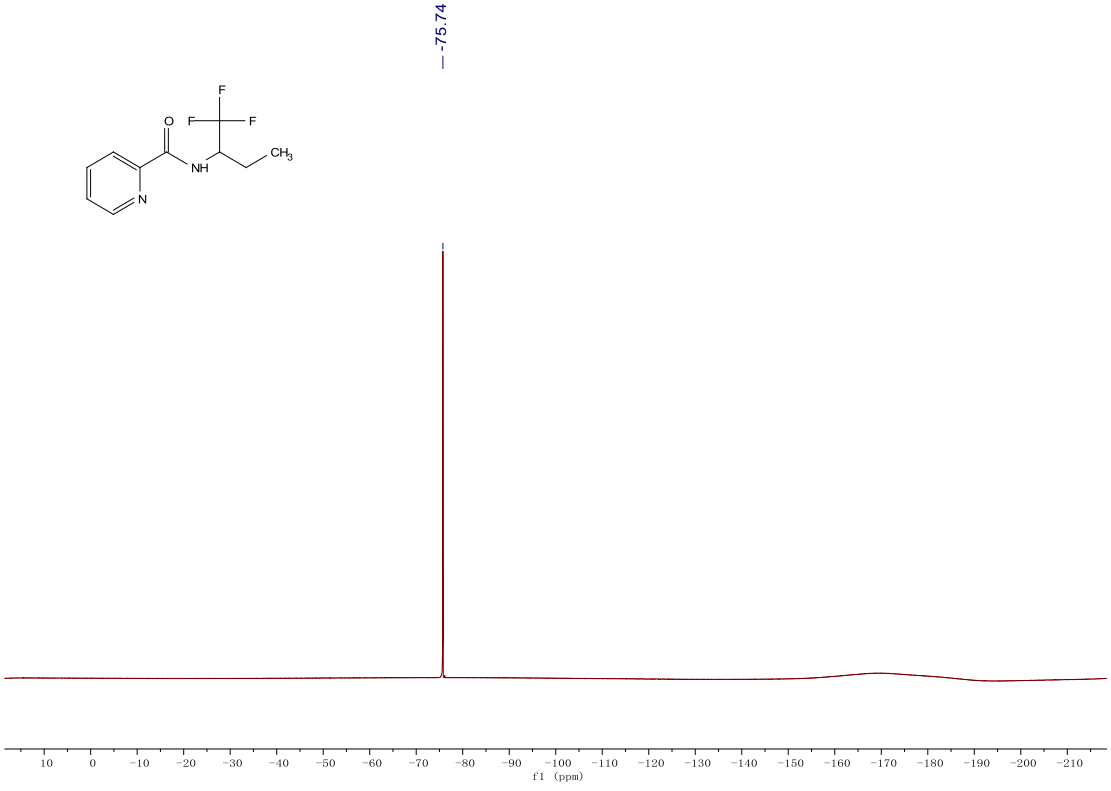


1m

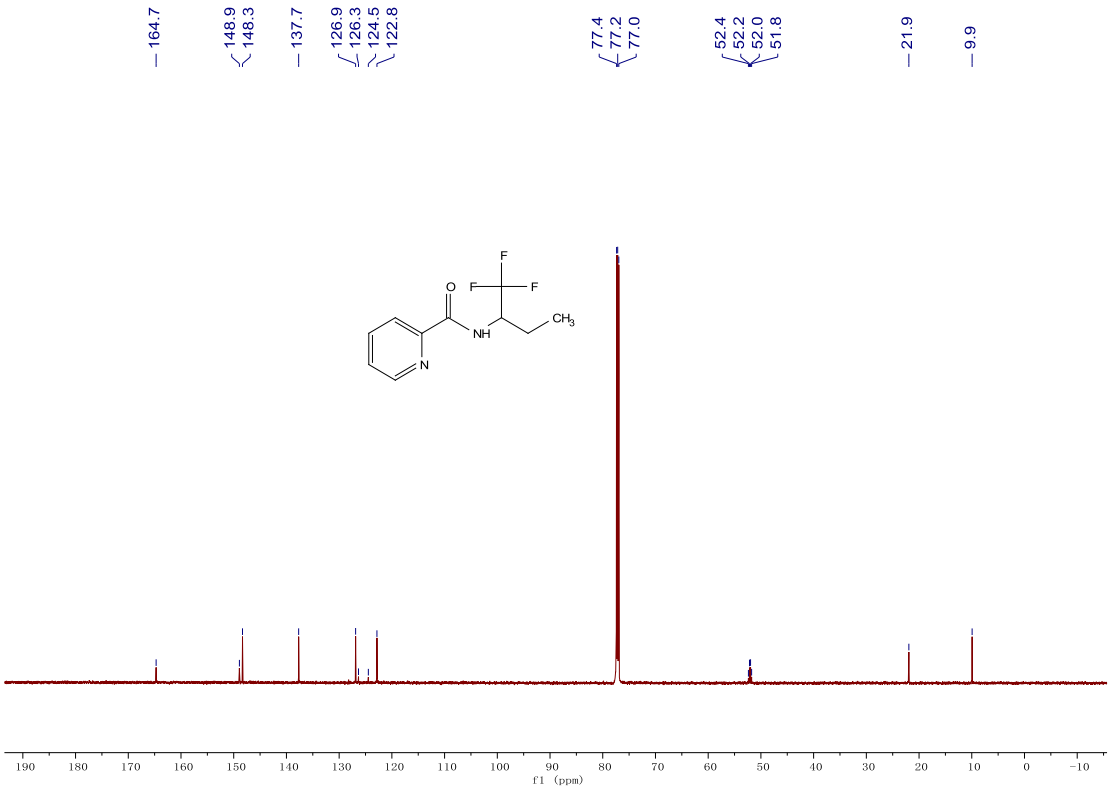
1m ^{1}H NMR



1m ^{19}F NMR



1m ^{13}C NMR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148.9
148.3
137.7
126.9
126.3
124.5
122.8
77.4
77.2
77.0
52.4
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51.8
21.9
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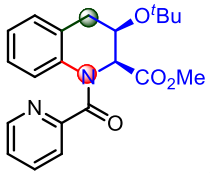
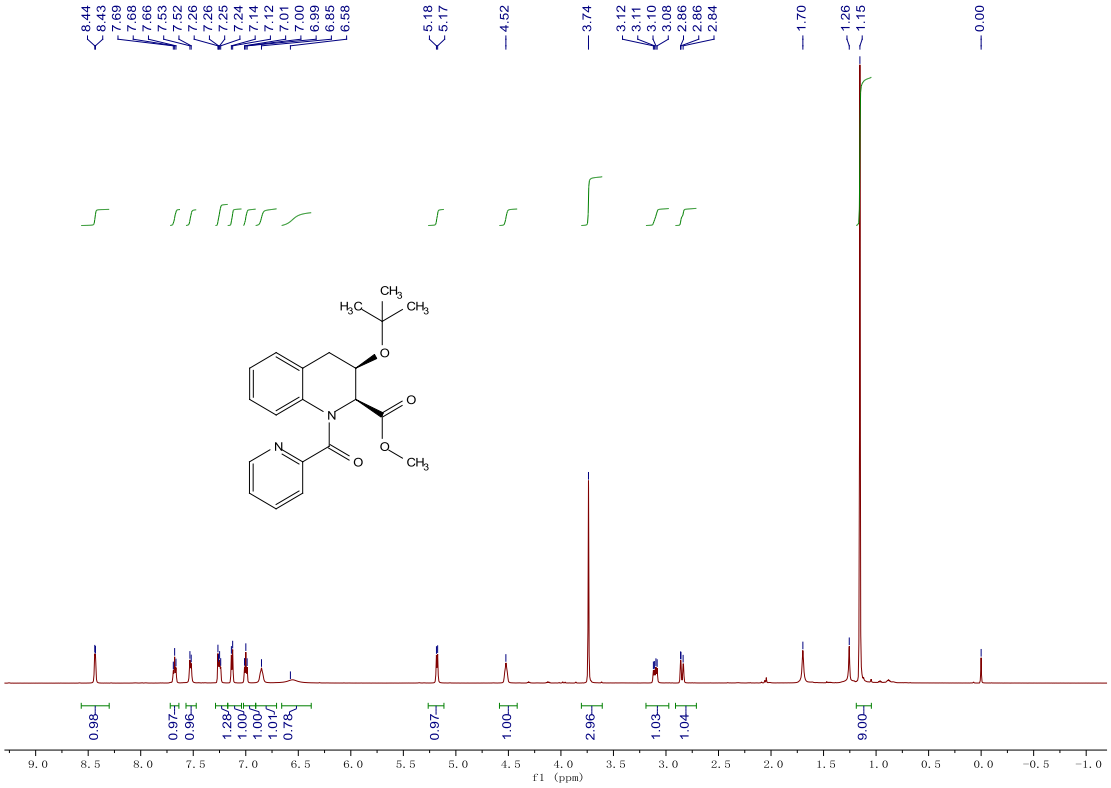
F
F
F
O
NH
CH3
N
f1 (ppm)

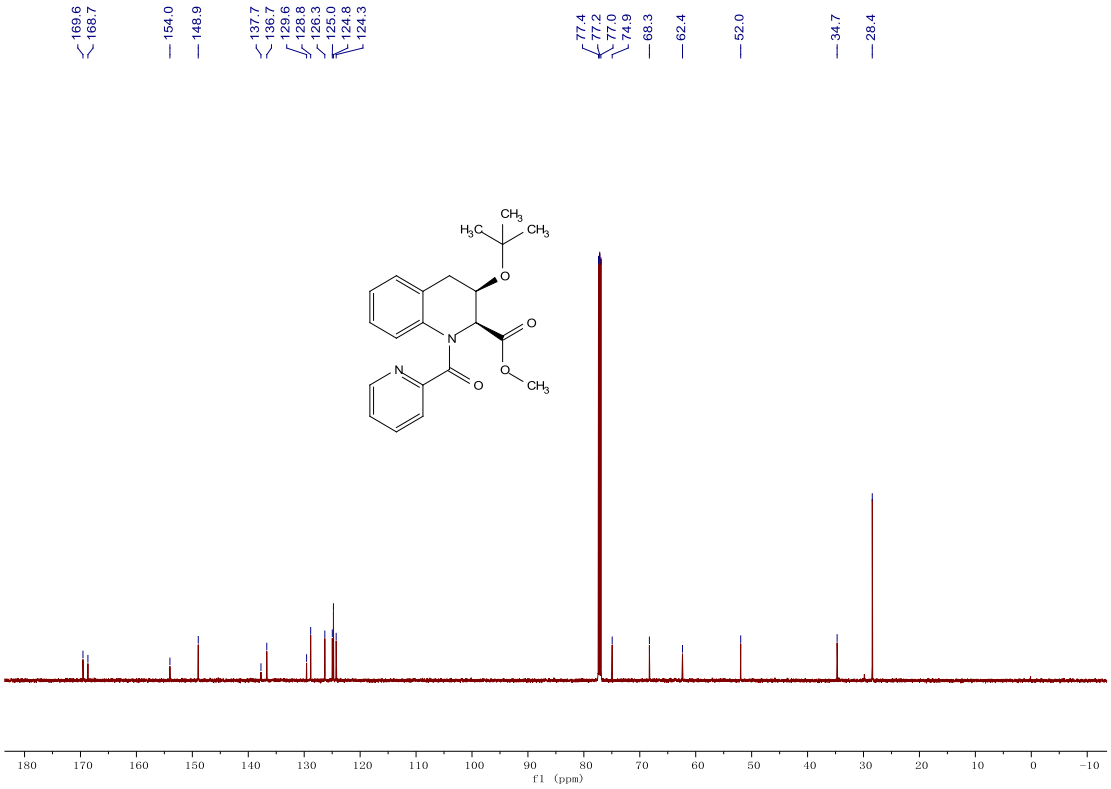

O^{t}Bu
CO$_2$Me
N
O

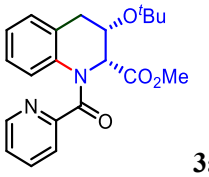
3a

3a ^{1}H NMR


8.44
8.43
7.69
7.68
7.66
7.53
7.52
7.26
7.26
7.25
7.24
7.14
7.12
7.01
7.00
6.99
6.85
6.58
5.18
5.17
4.52
3.74
3.12
3.11
3.10
3.08
2.86
2.86
2.84
1.70
1.26
1.15
0.00
CH3
H3C
CH3
O
N
O
O
O
CH3
N
0.98
0.97
0.96
1.28
1.00
1.00
1.01
0.78
0.97
1.00
2.96
1.03
1.04
9.00
f1 (ppm)

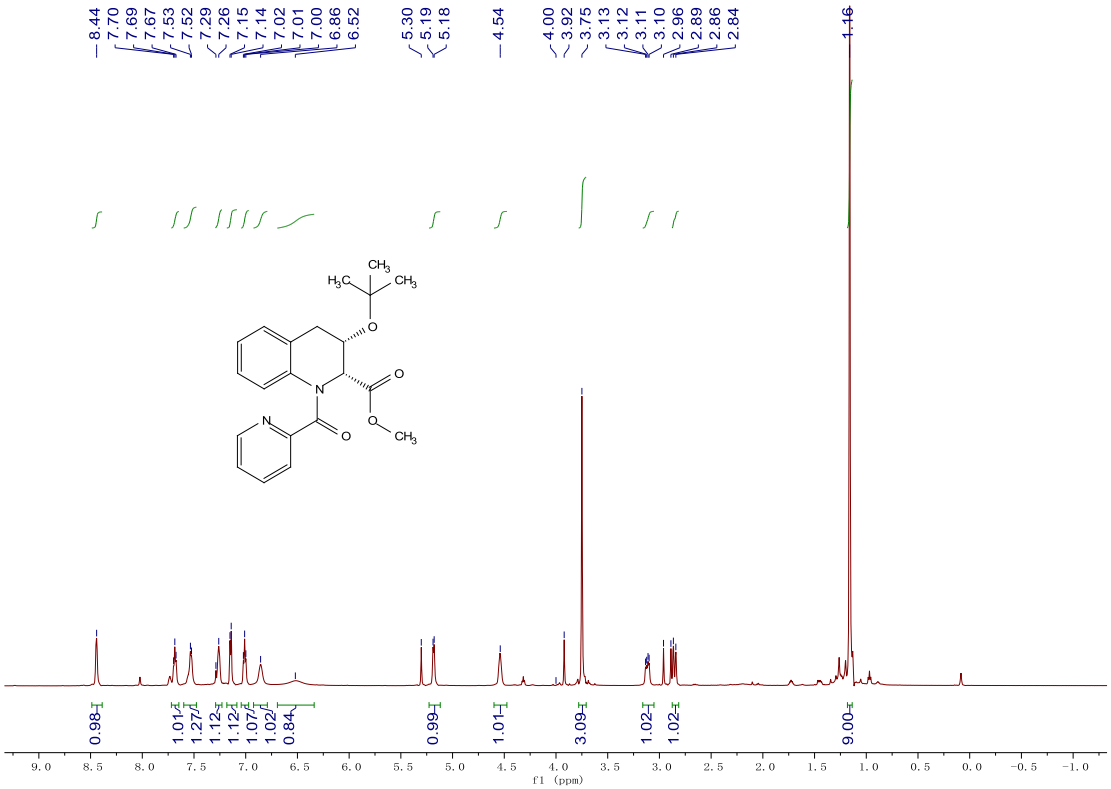
3a ^{13}C NMR



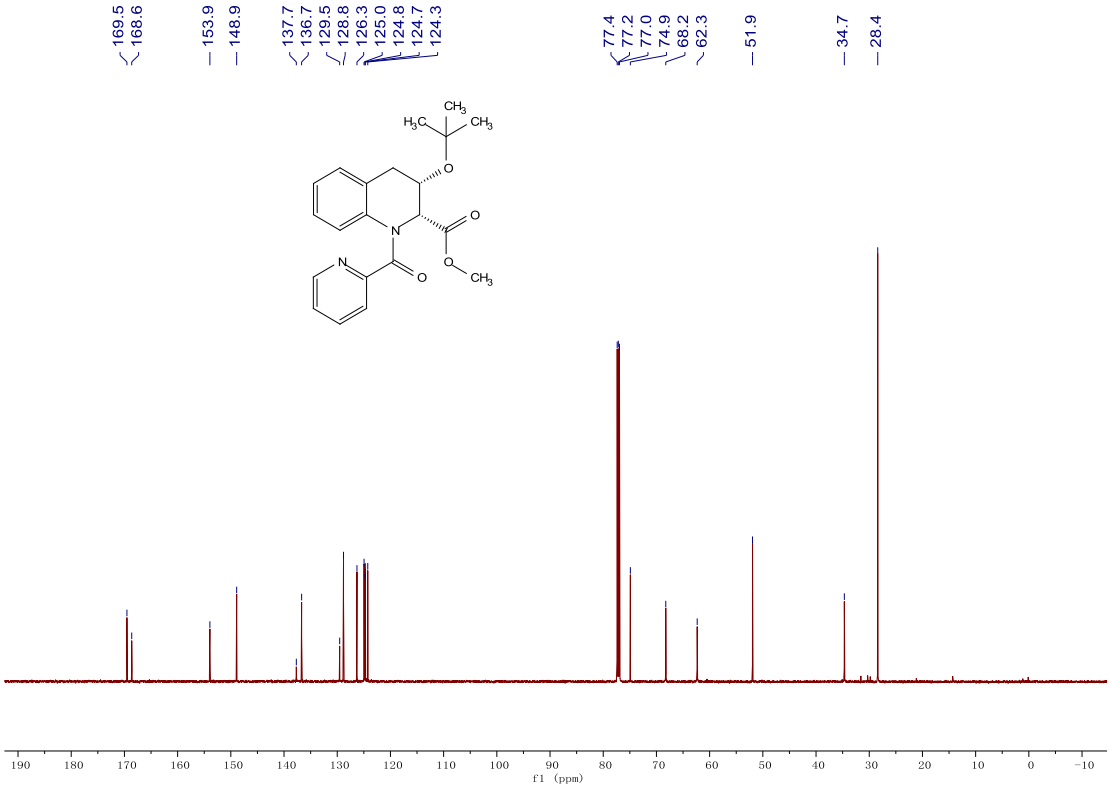


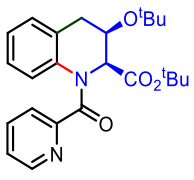
3a-R

3a-R ^{1}H NMR



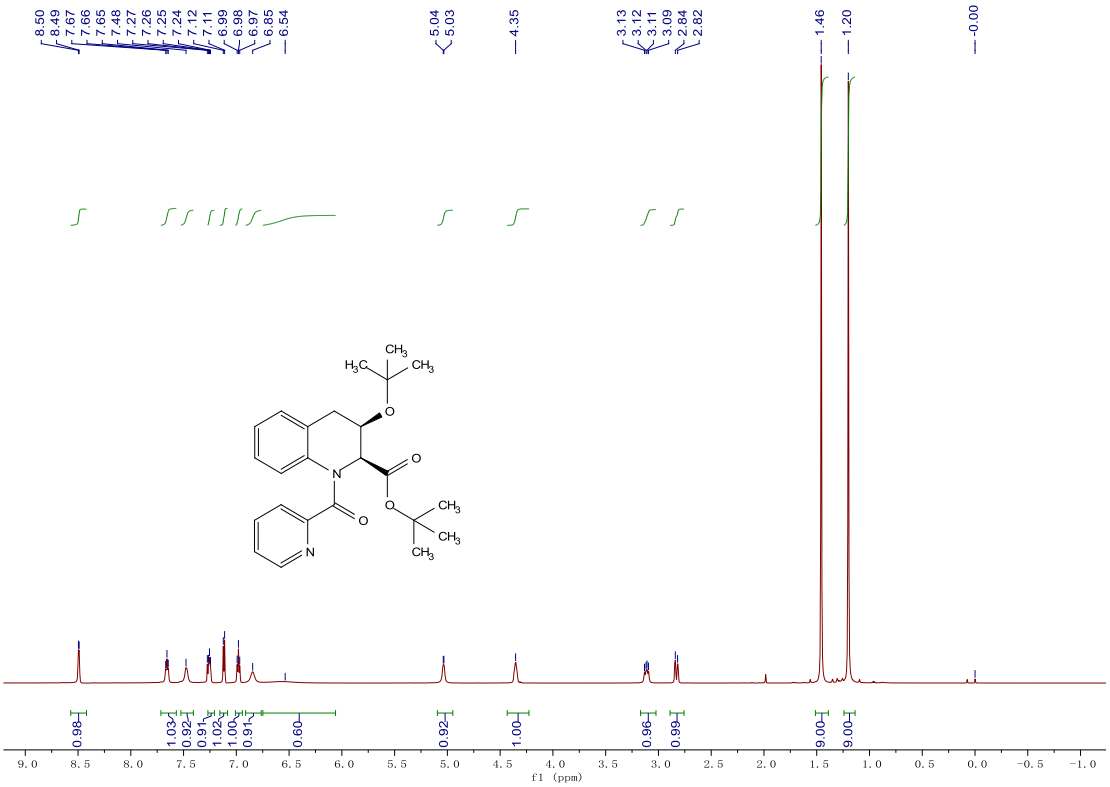
3a-R ^{13}C NMR



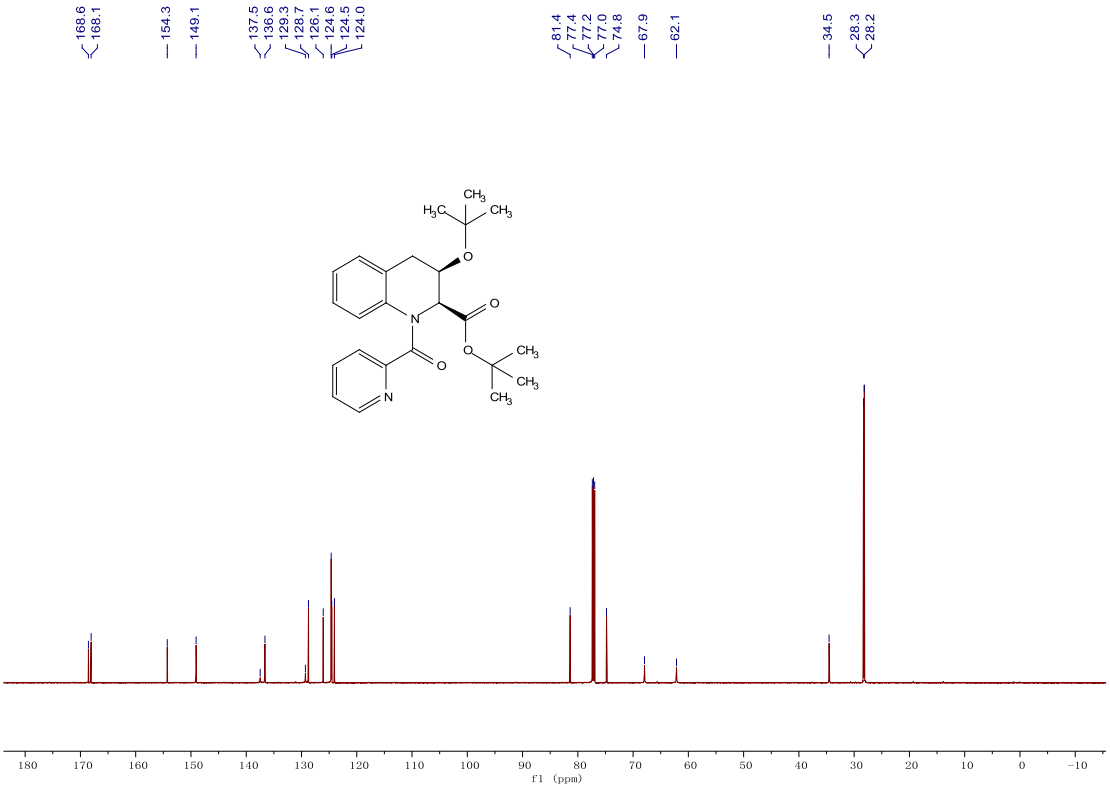


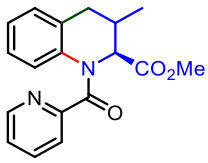
3b

3b ^{1}H NMR



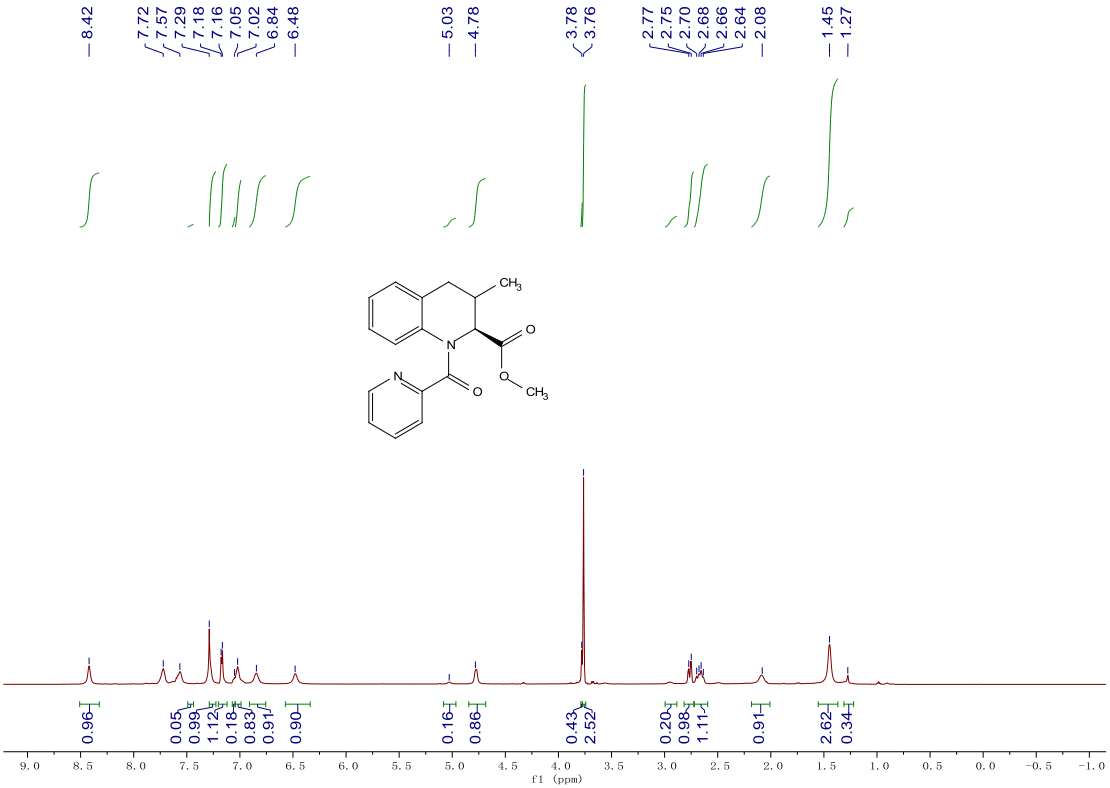
3b ^{13}C NMR



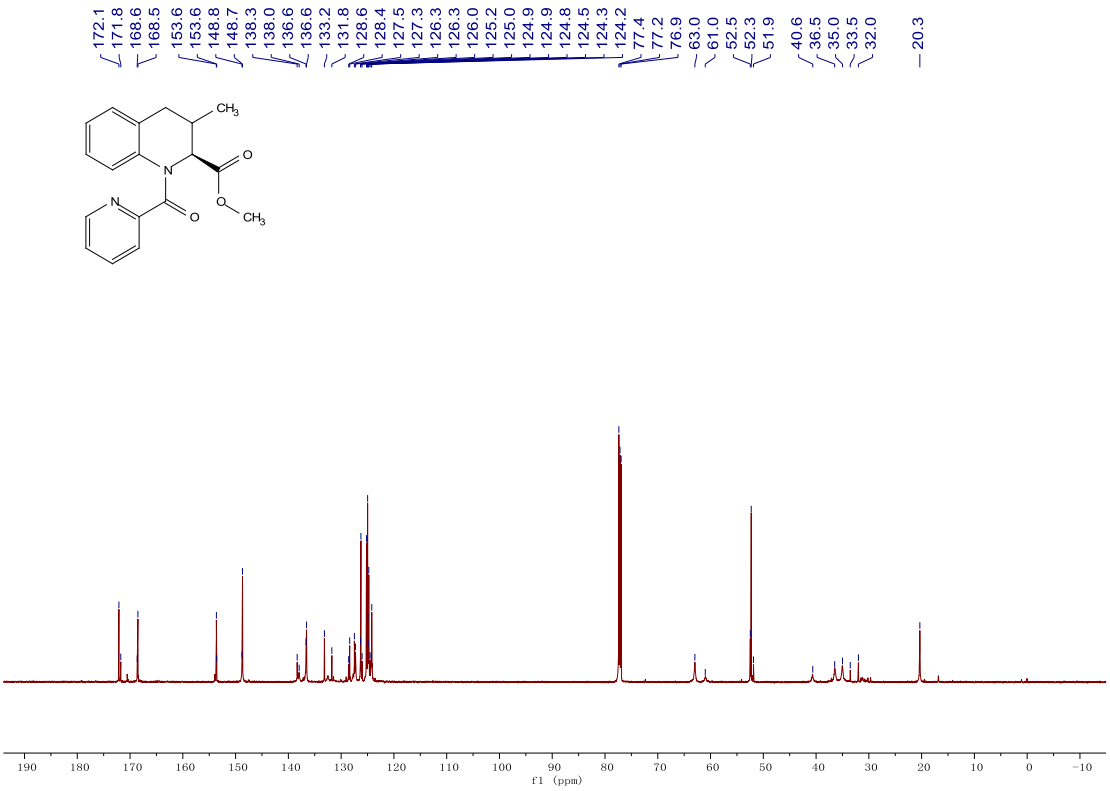


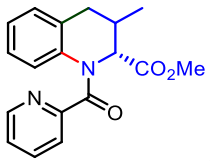
3c-S

3c-S ^{1}H NMR



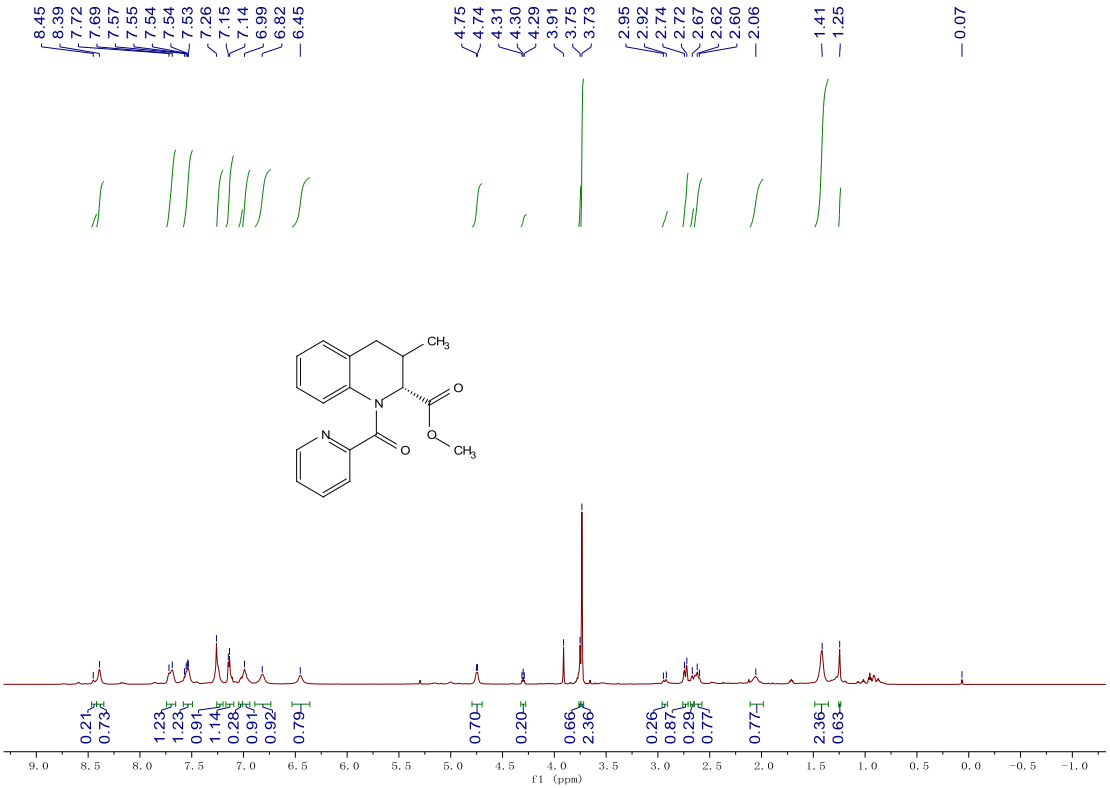
3c-S ^{13}C NMR



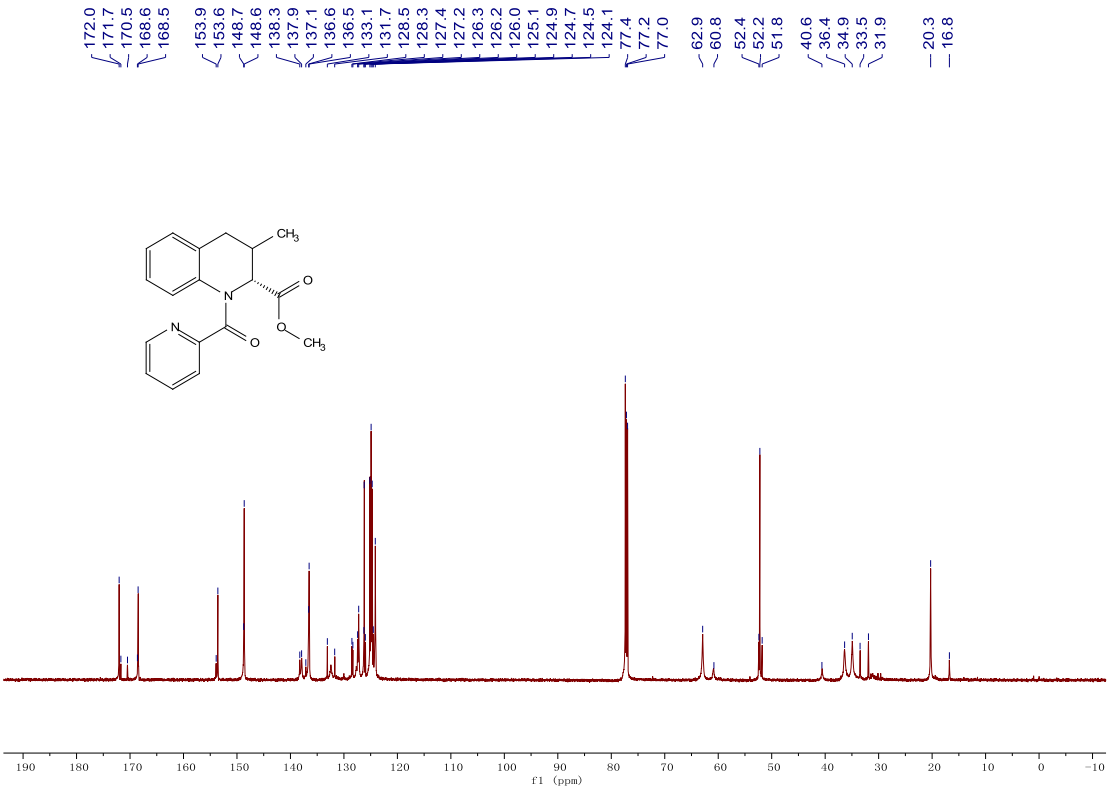


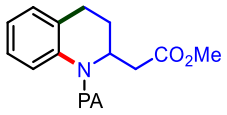
3c-R

3c-R ^{1}H NMR



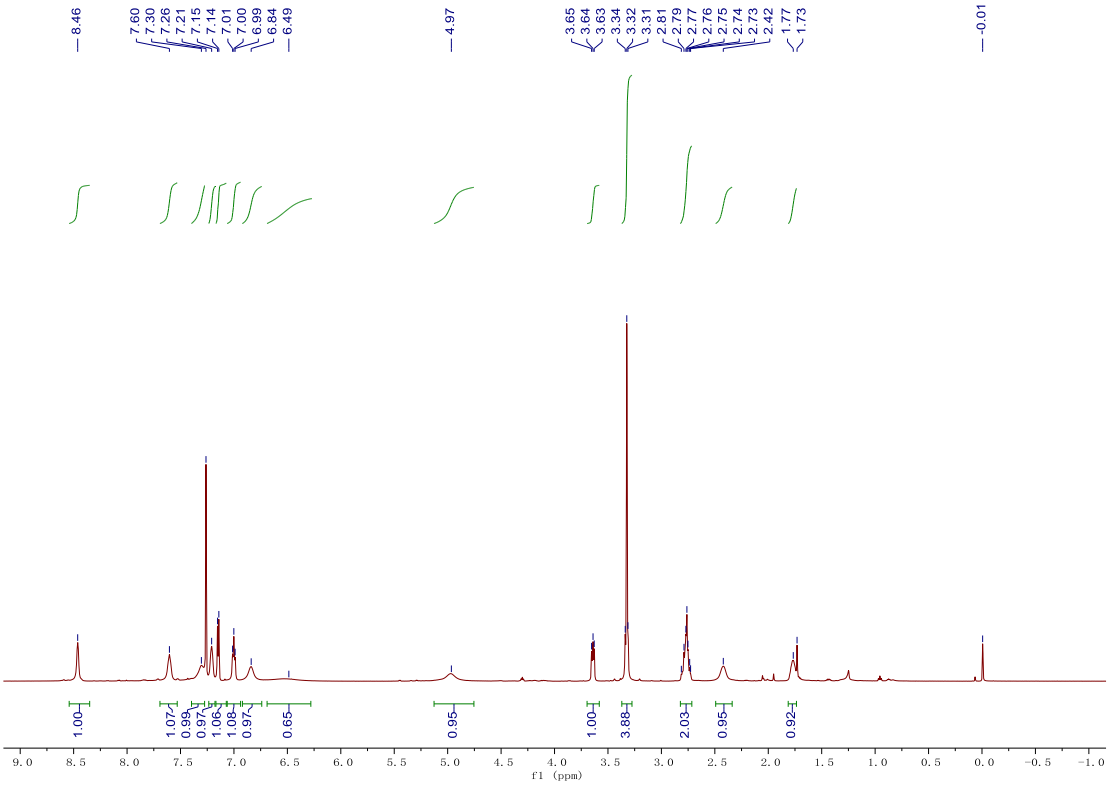
3c-R ^{13}C NMR



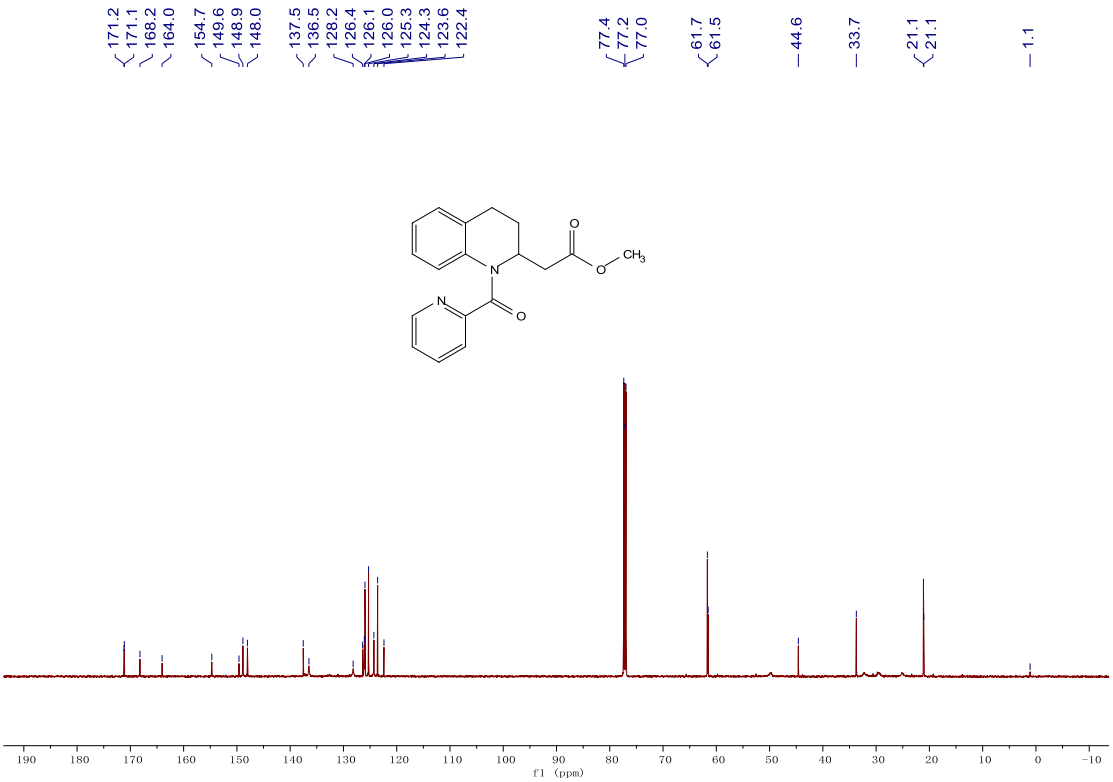


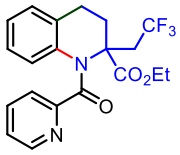
3d

3d ^{1}H NMR



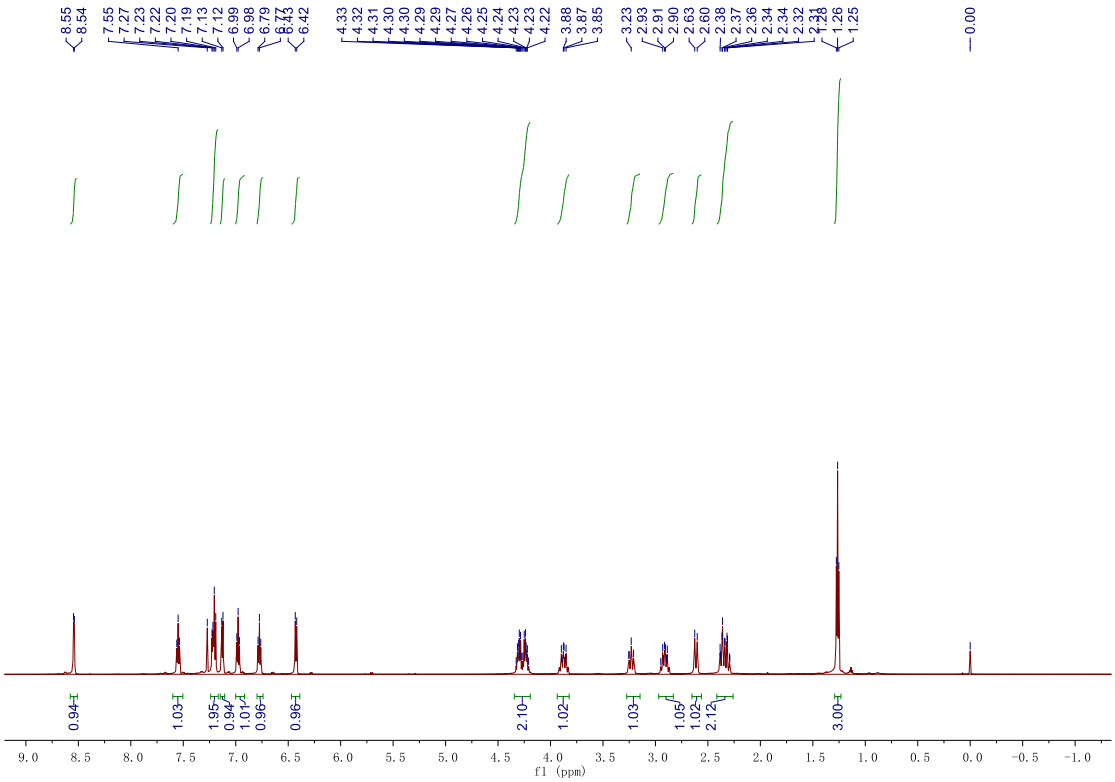
3d ^{13}C NMR



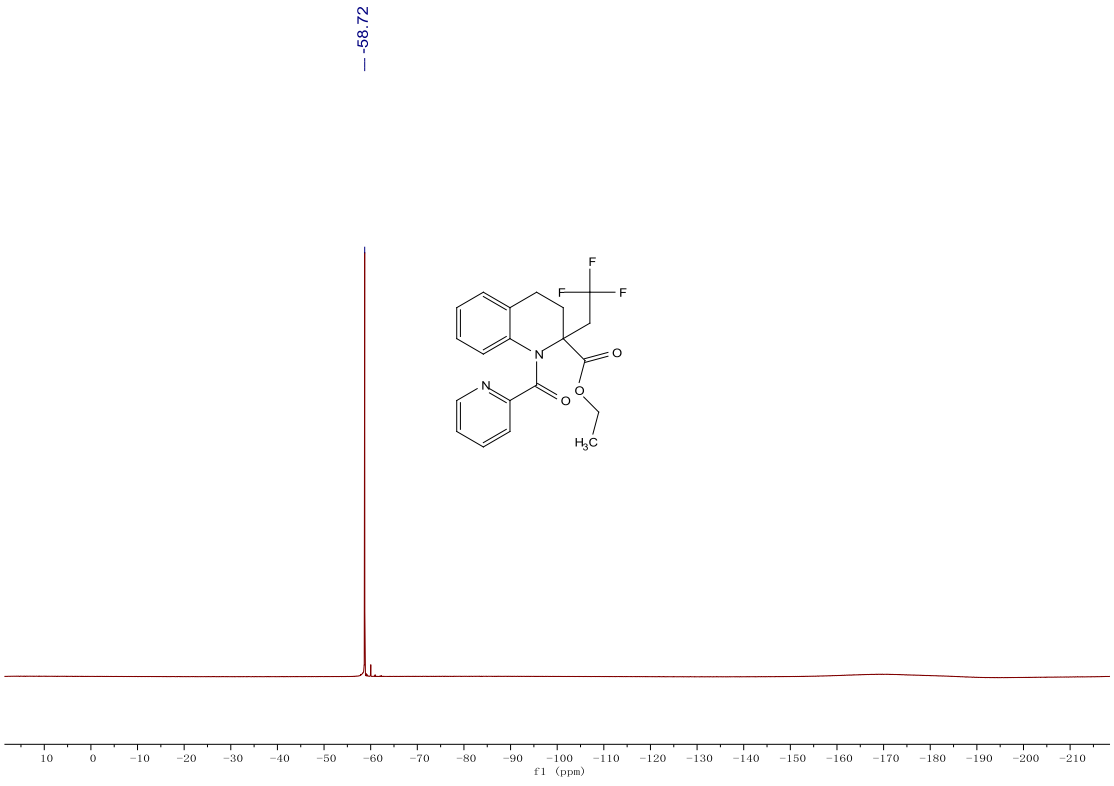


3e

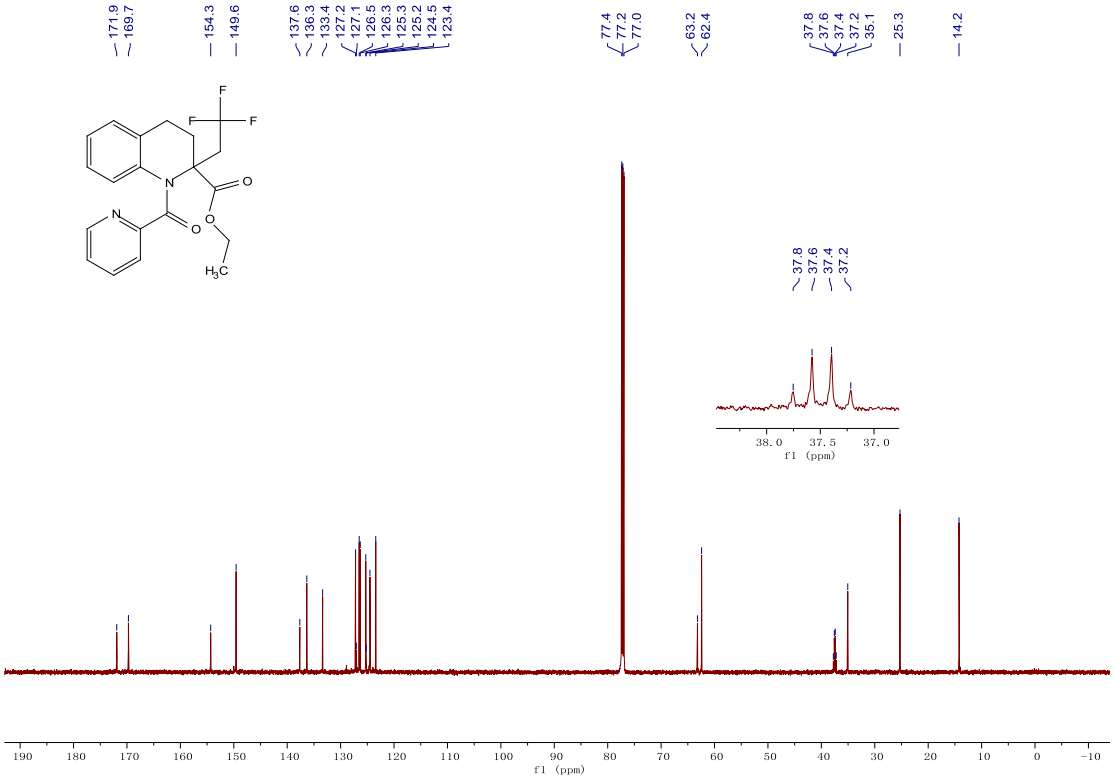
3e ^{1}H NMR

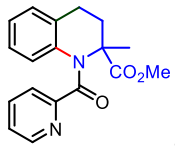


3e ^{19}F NMR



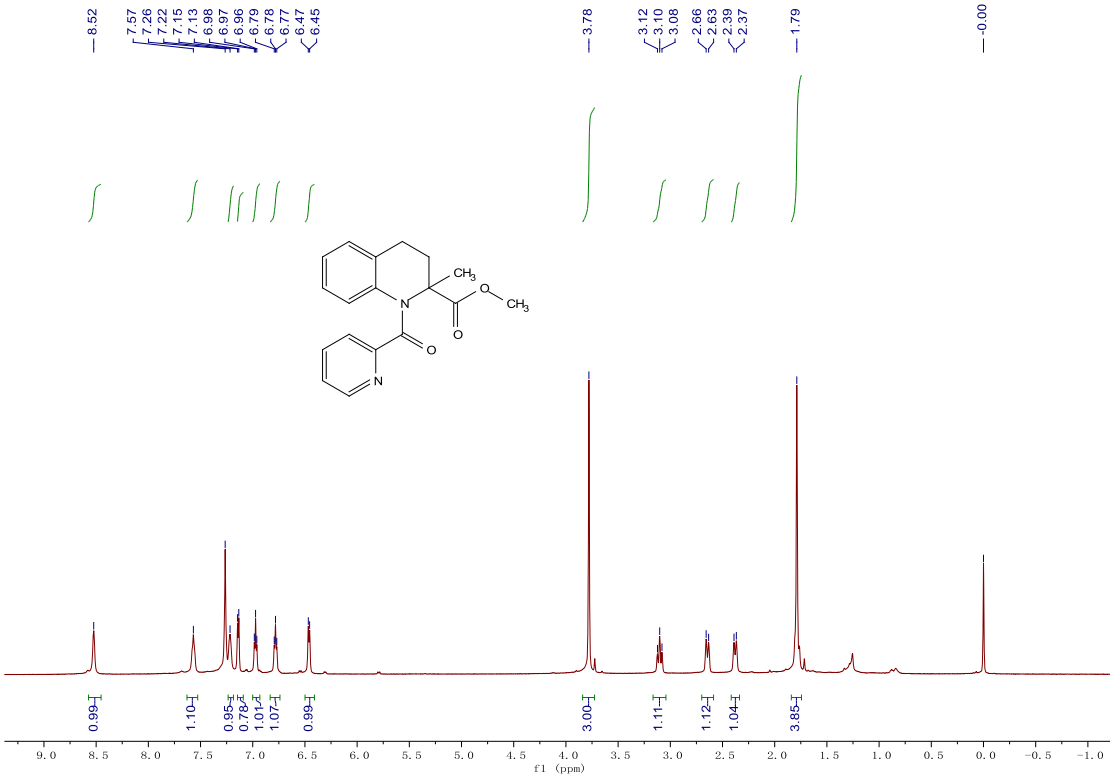
3e ^{13}C NMR



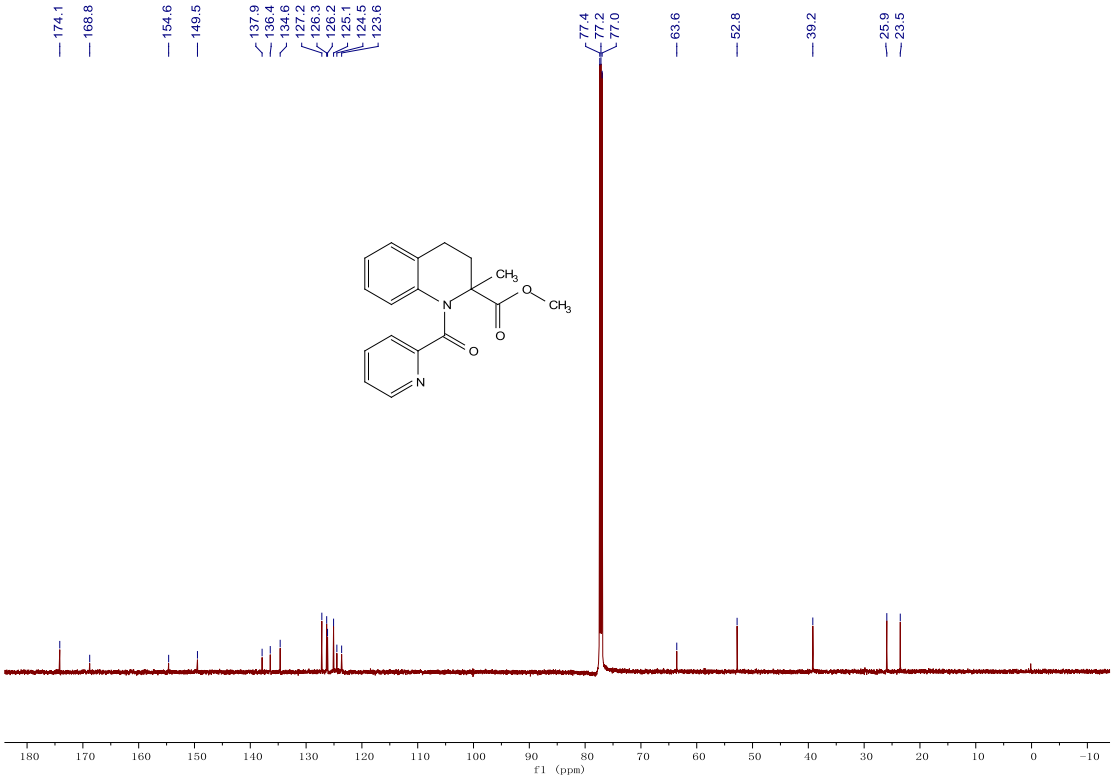


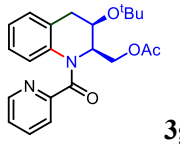
3f

3f ^{1}H NMR



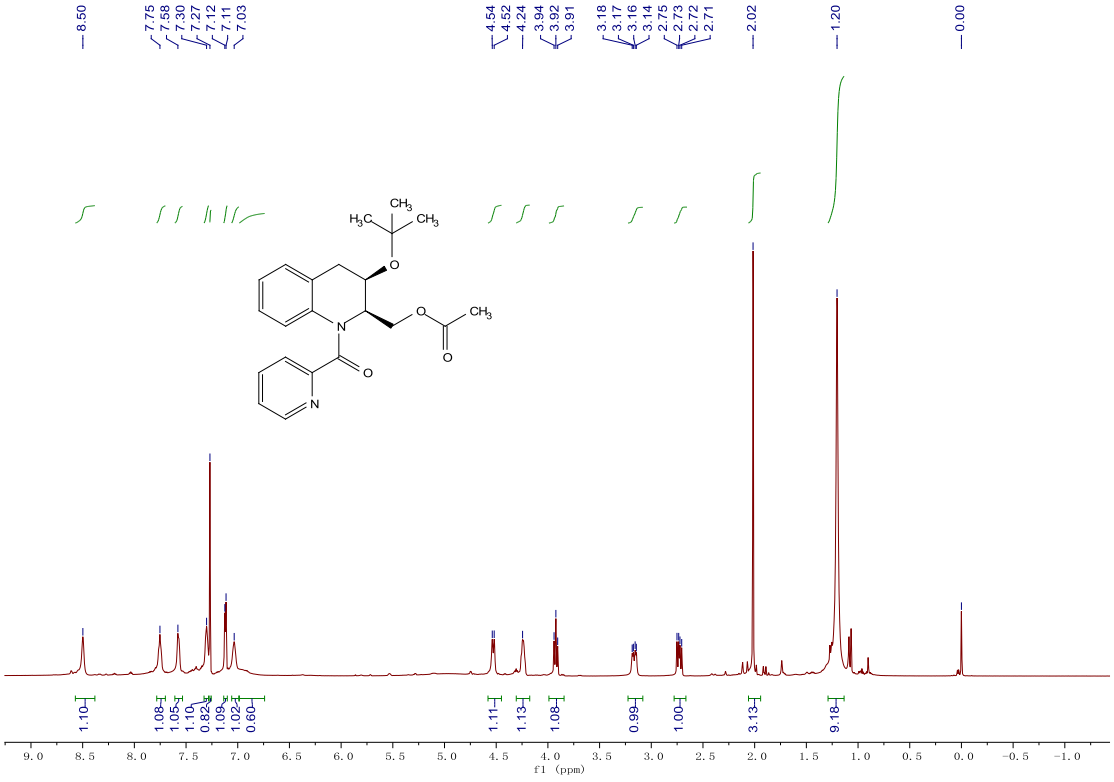
3f ^{13}C NMR



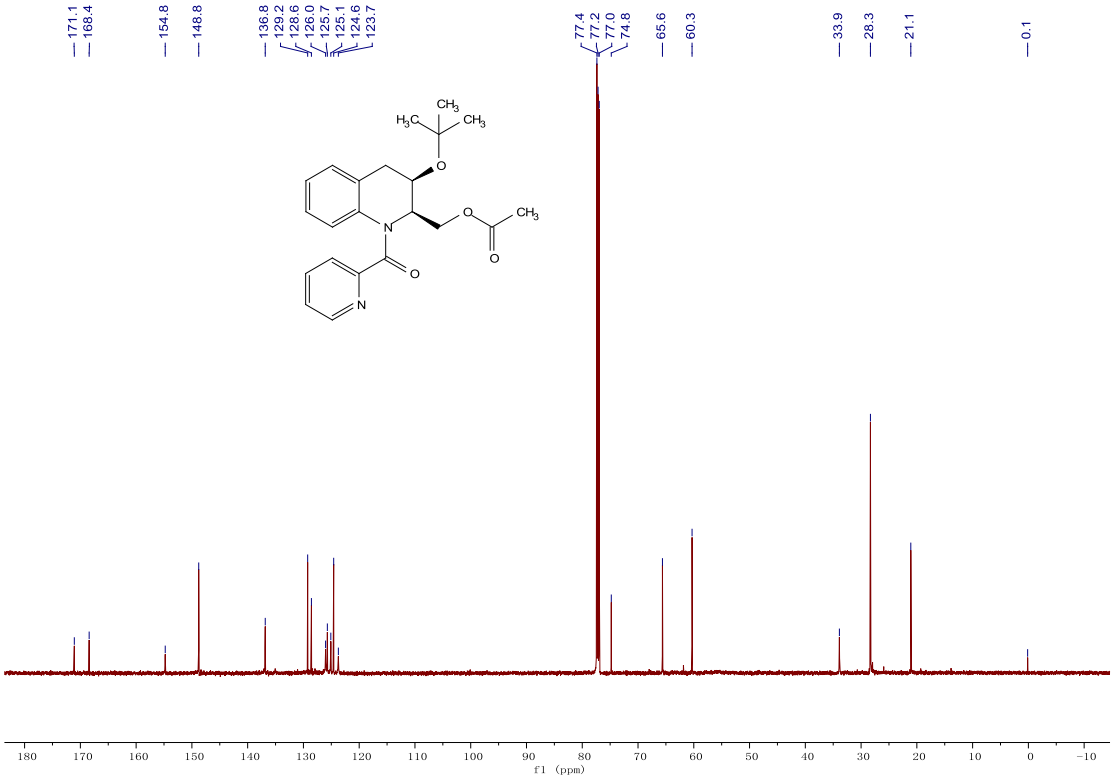


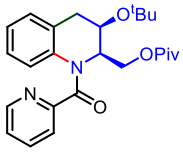
3g

3g ^{1}H NMR



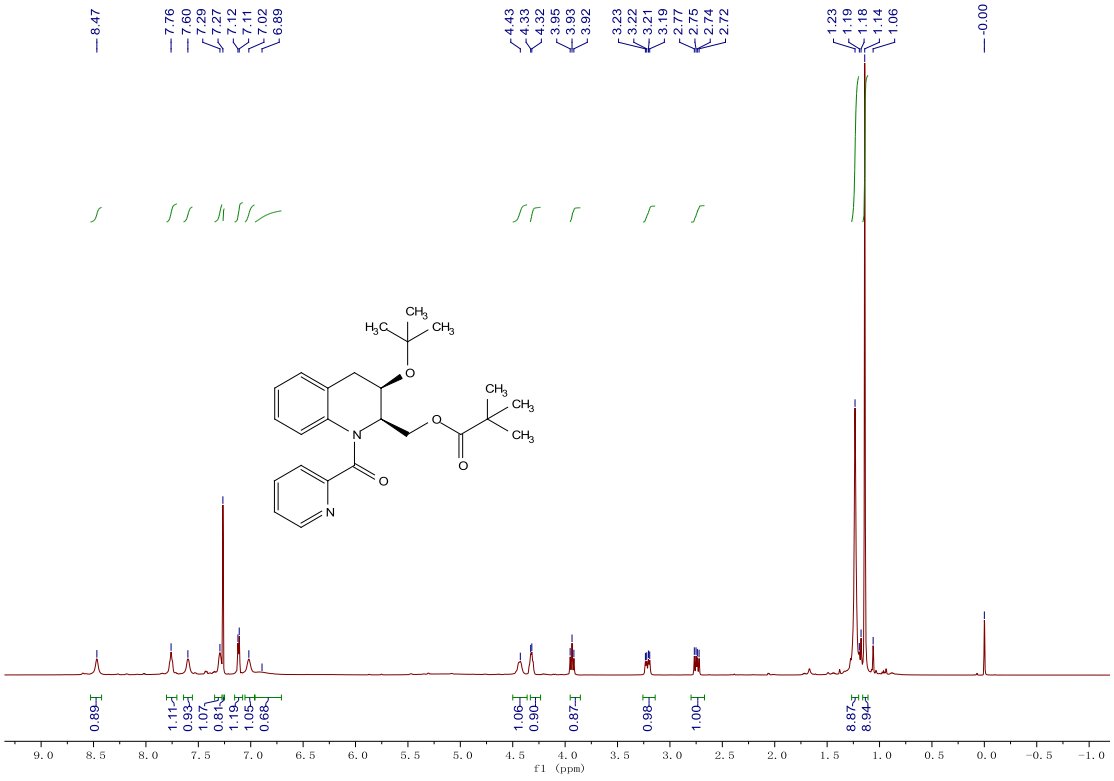
3g ^{13}C NMR



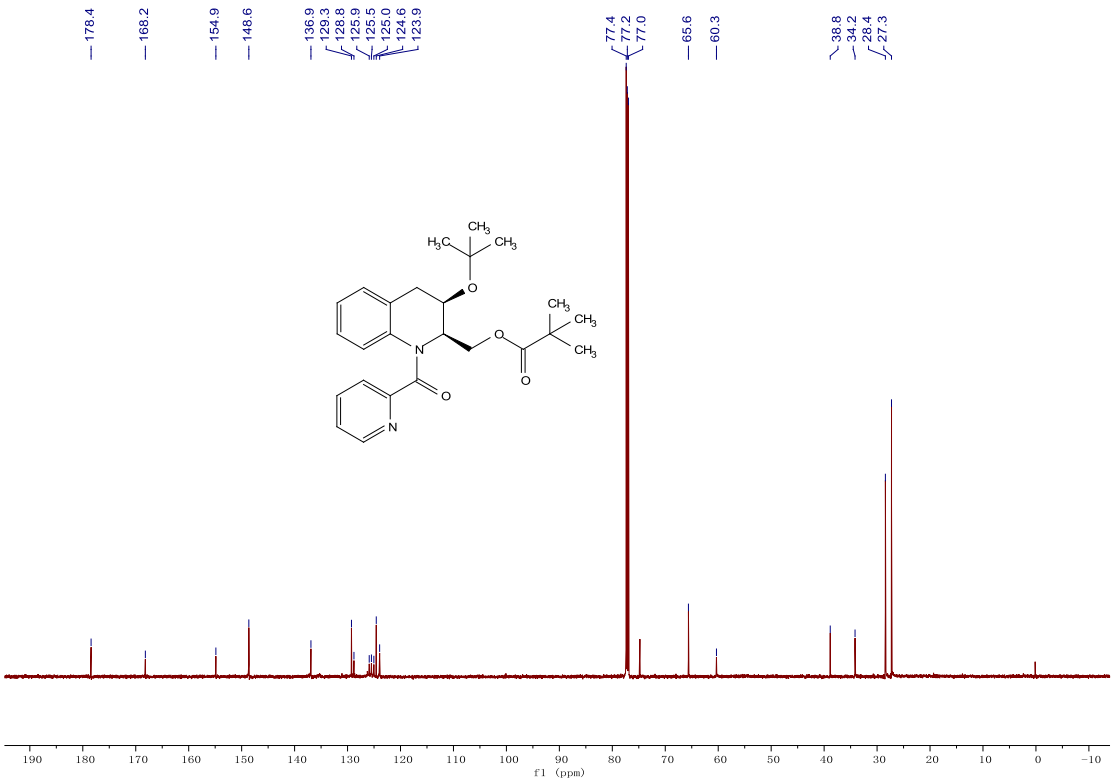


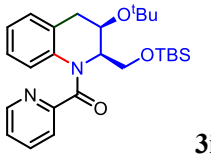
3h

3h ^{1}H NMR



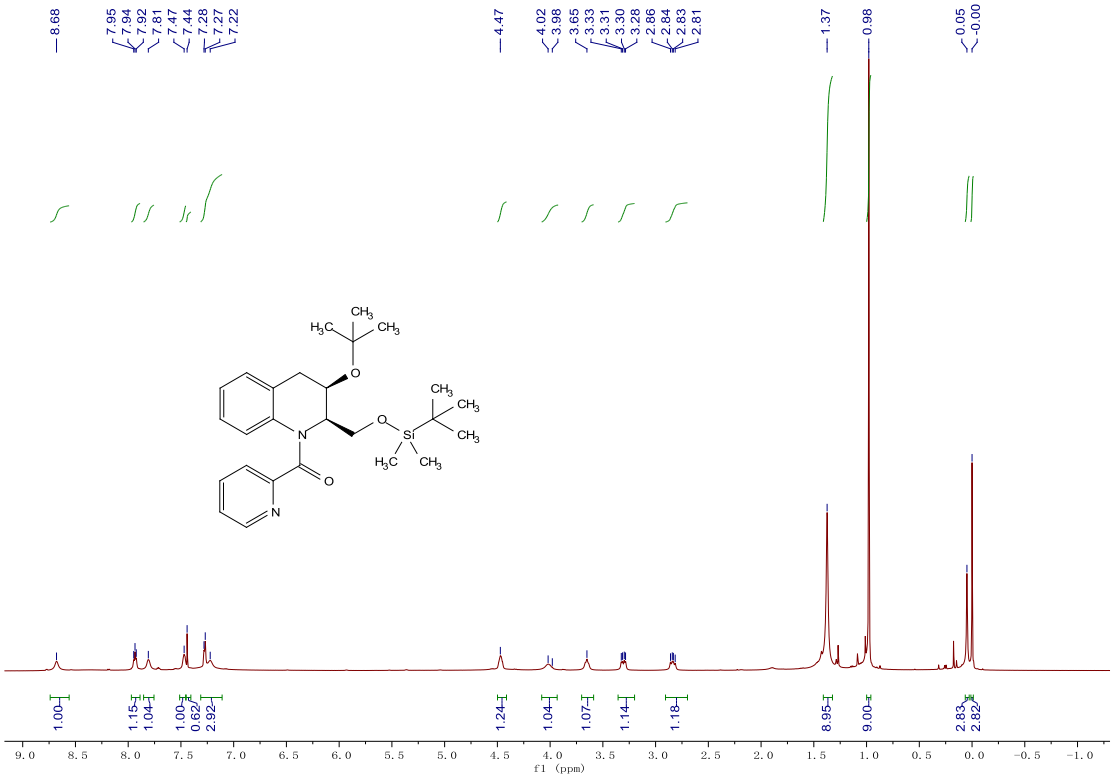
3h ^{13}C NMR



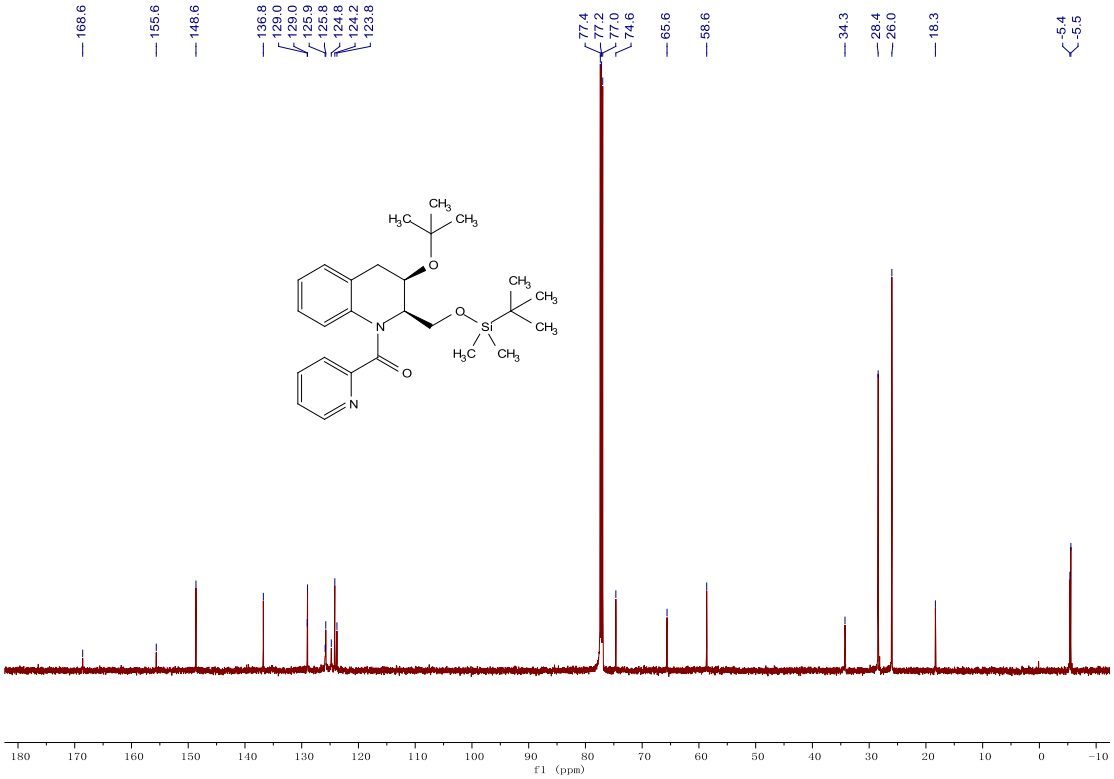


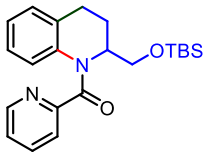
3i

3i ^{1}H NMR



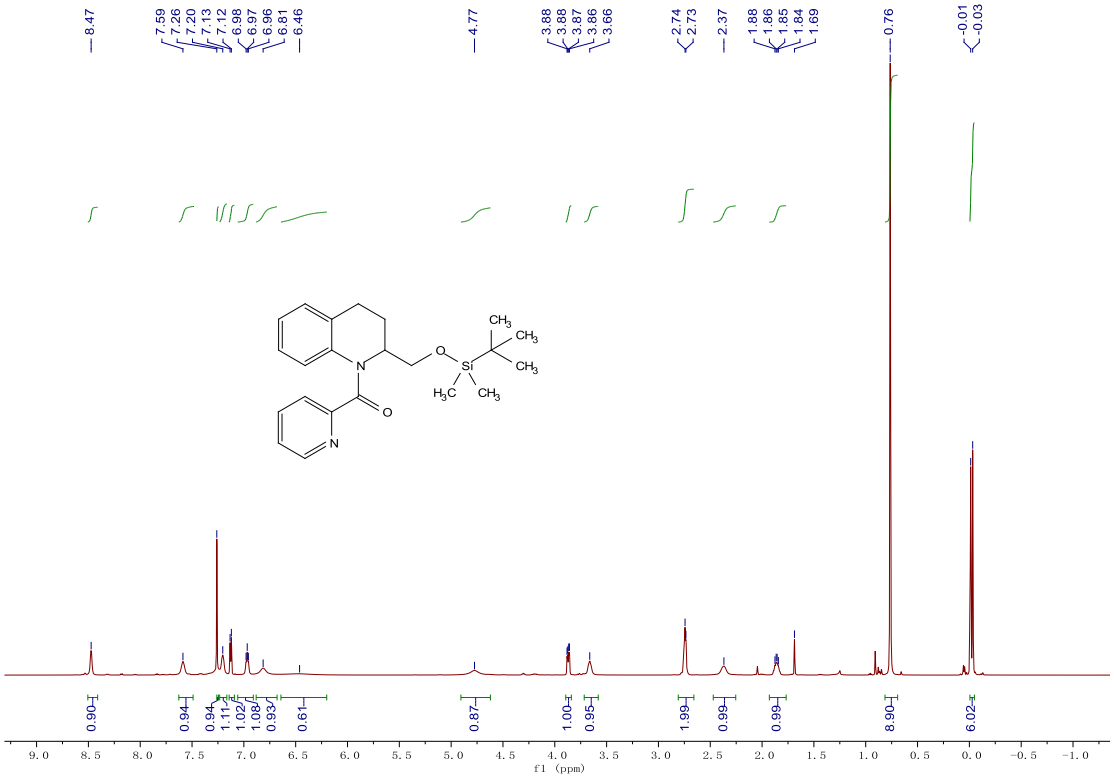
3i ^{13}C NMR



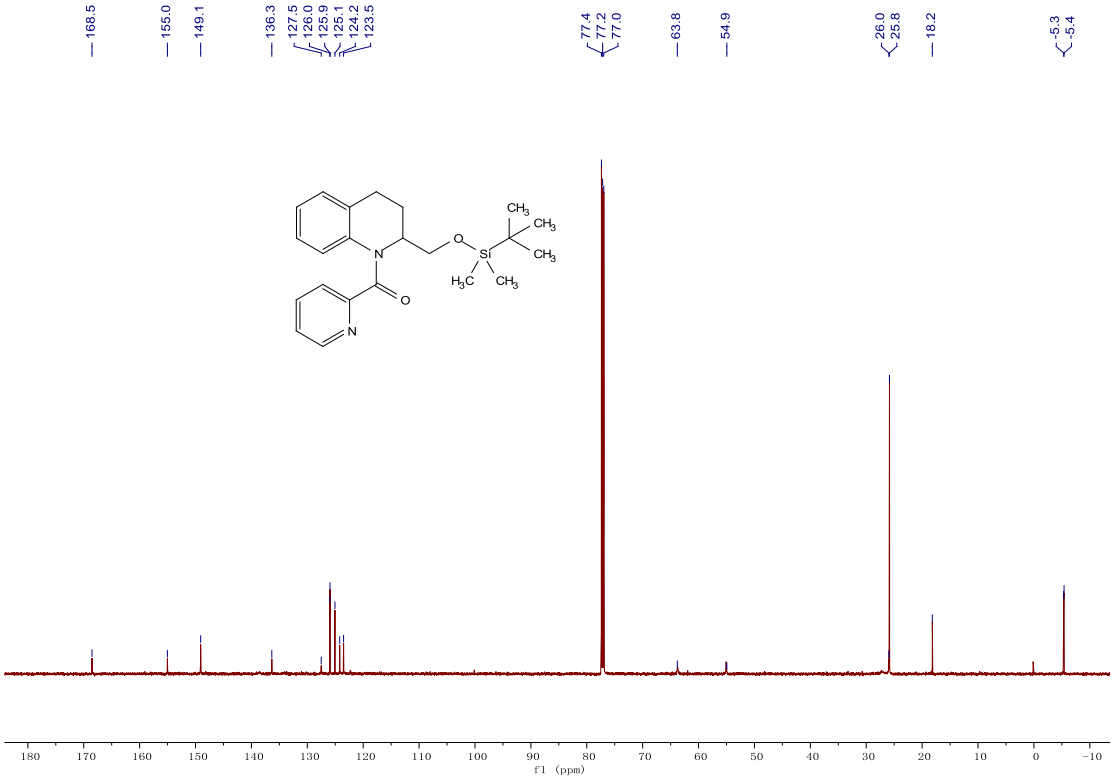


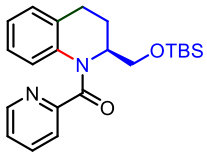
3j

3j ^{1}H NMR



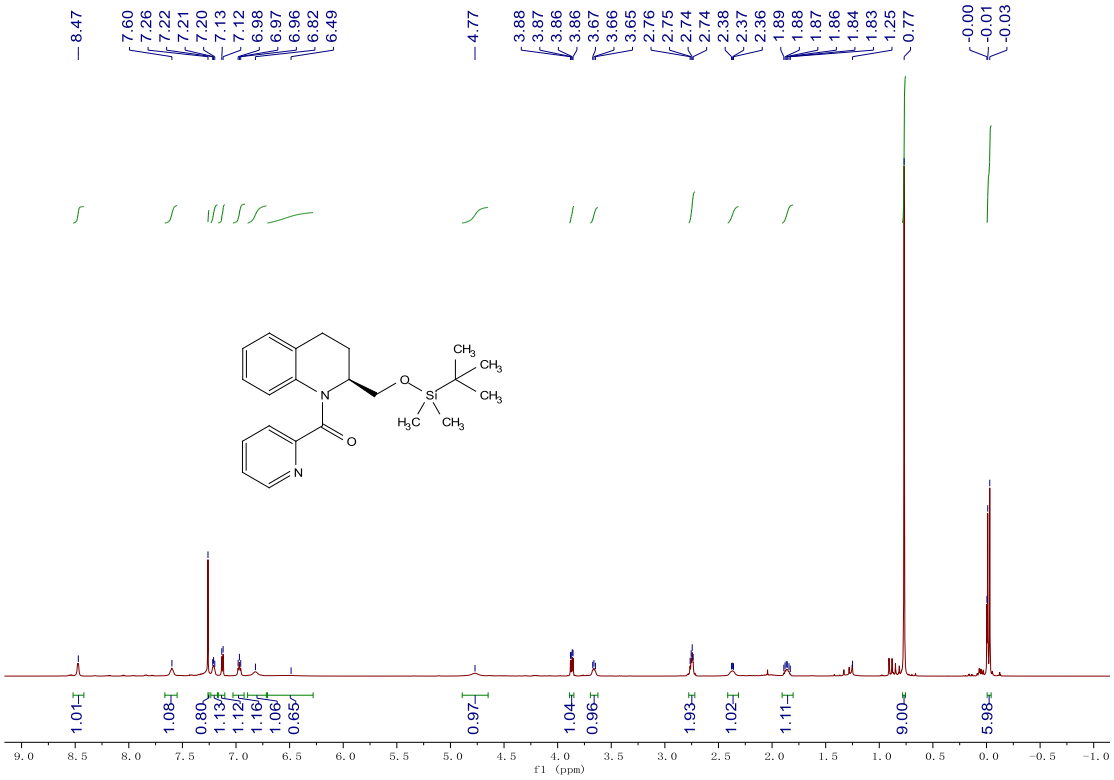
3j ^{13}C NMR



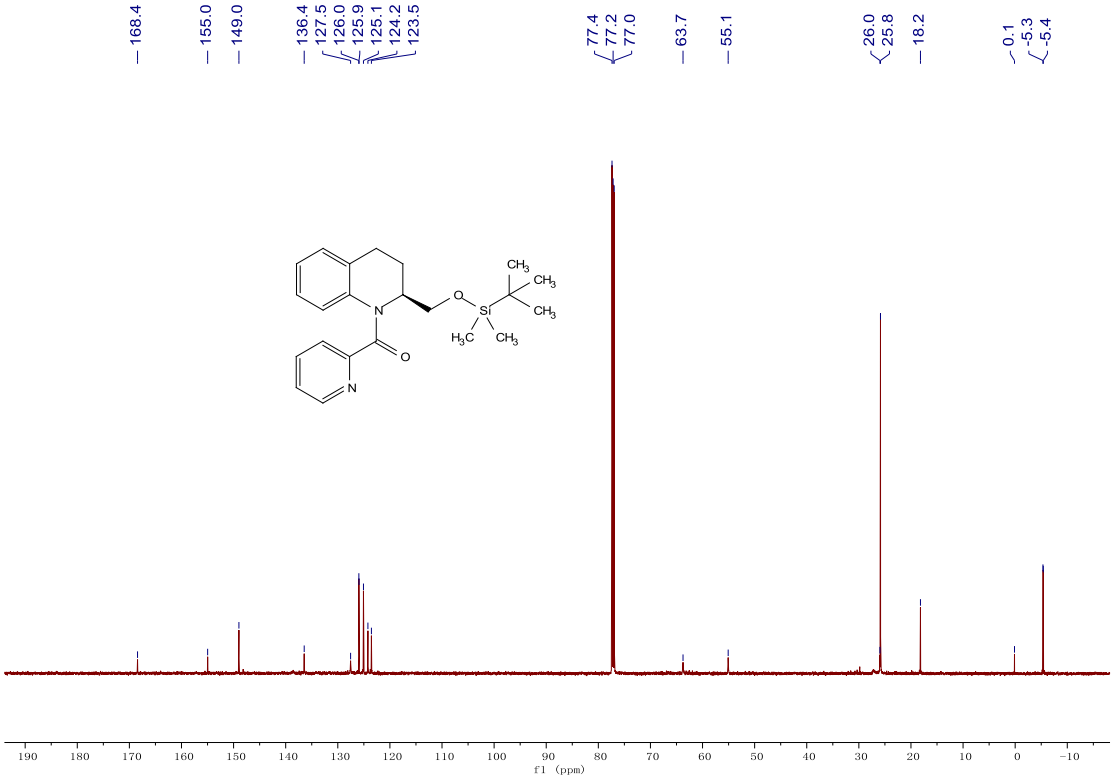


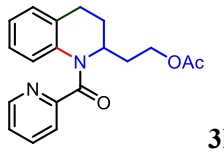
3j-S

3j-S ^{1}H NMR



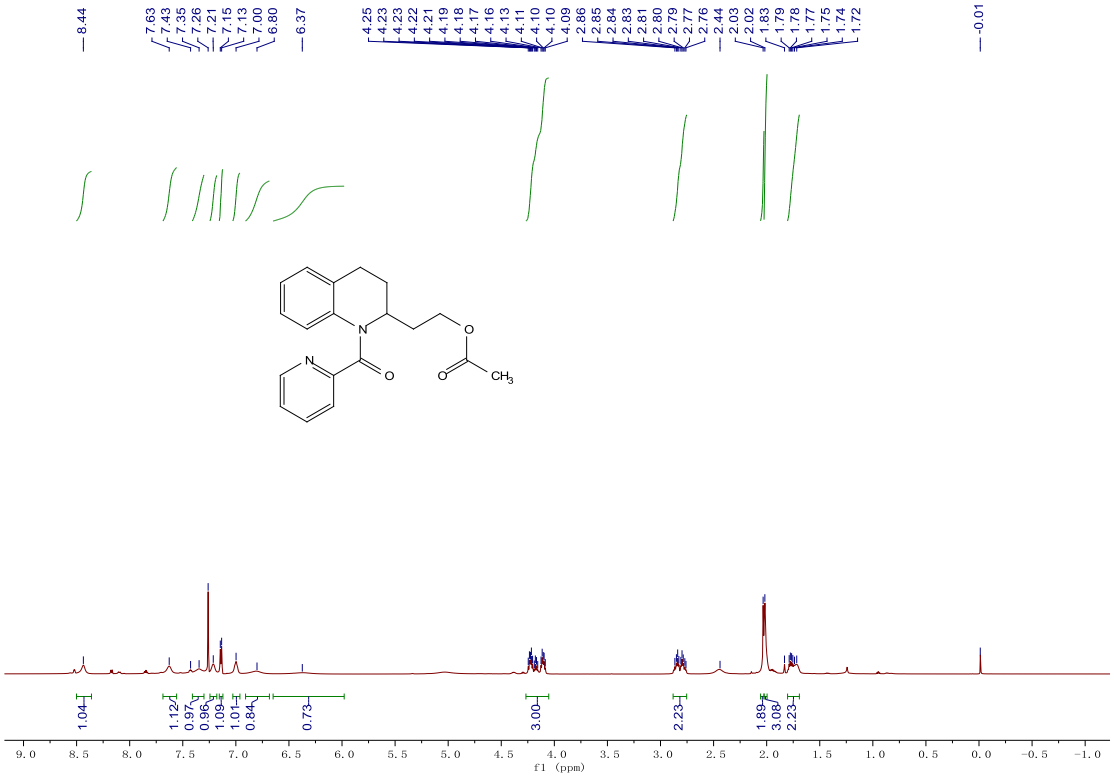
3j-S ^{13}C NMR



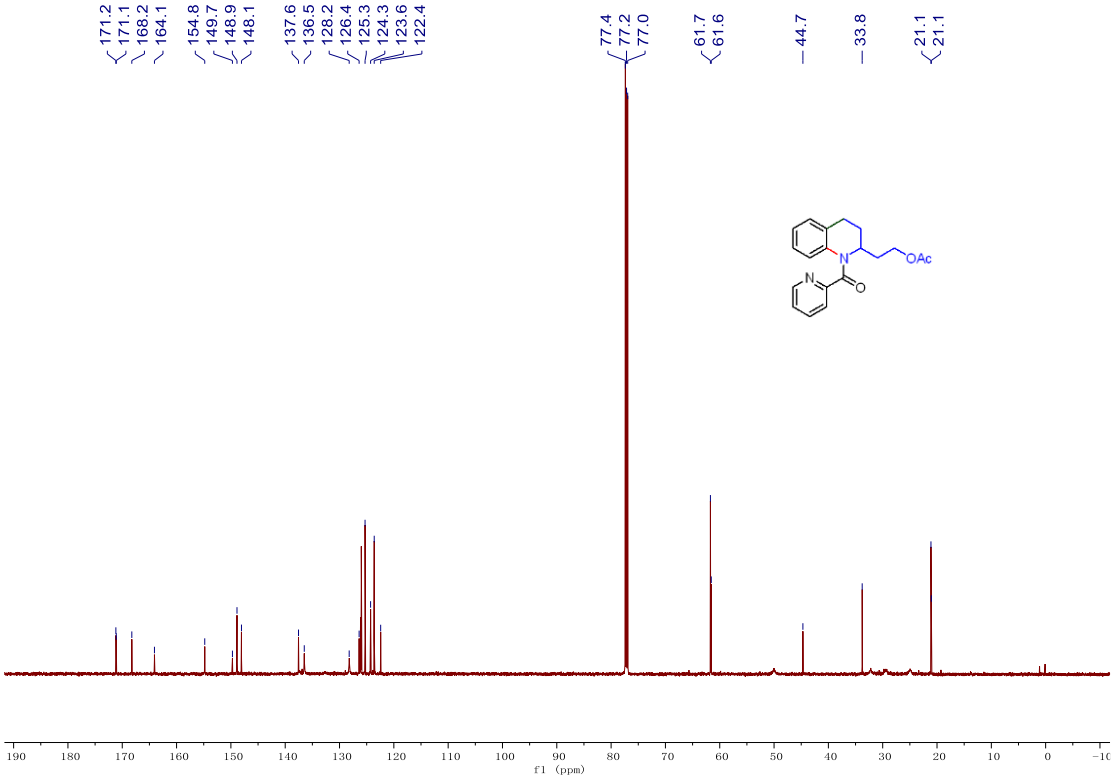


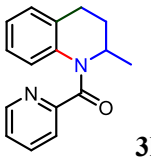
3k

3k ^{1}H NMR



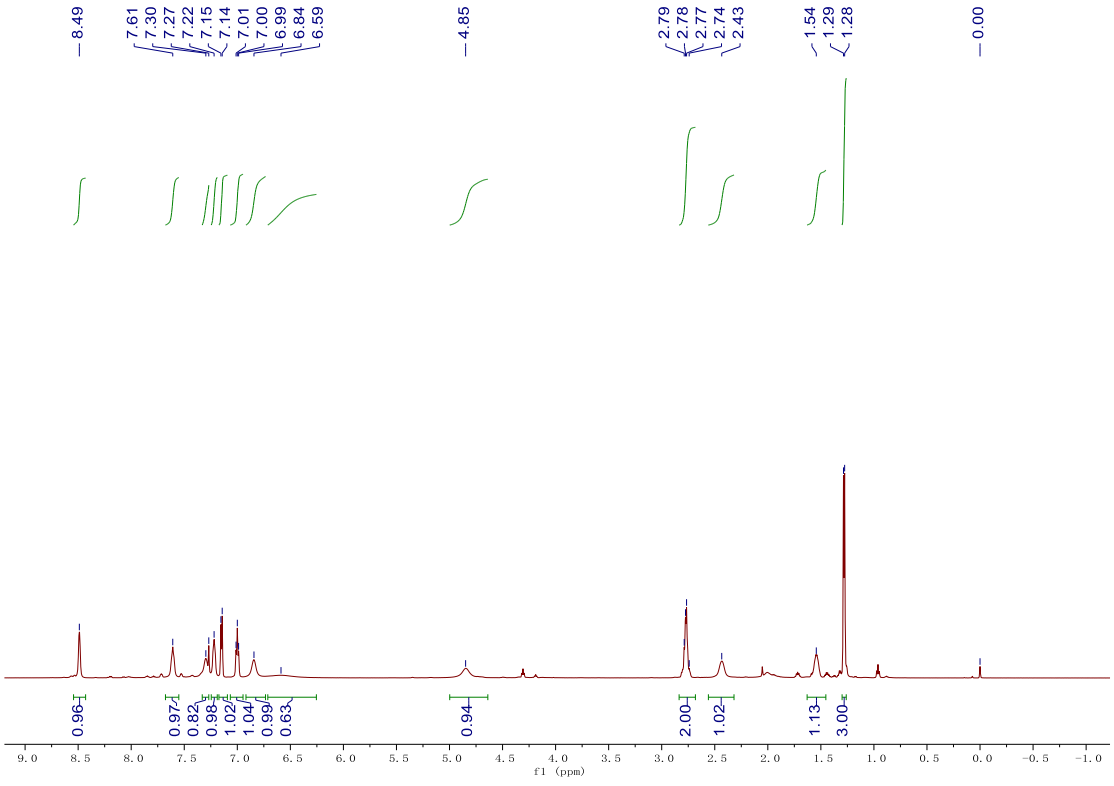
3k ^{13}C NMR



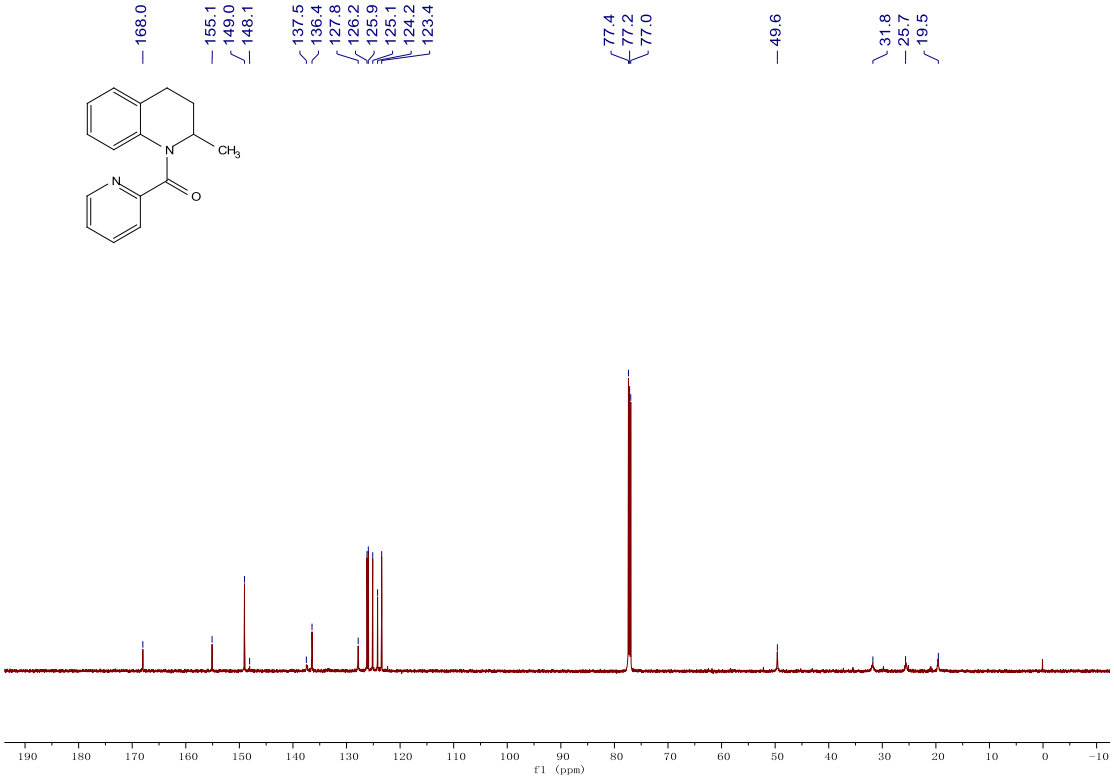


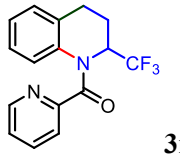
3l

3l ^{1}H NMR



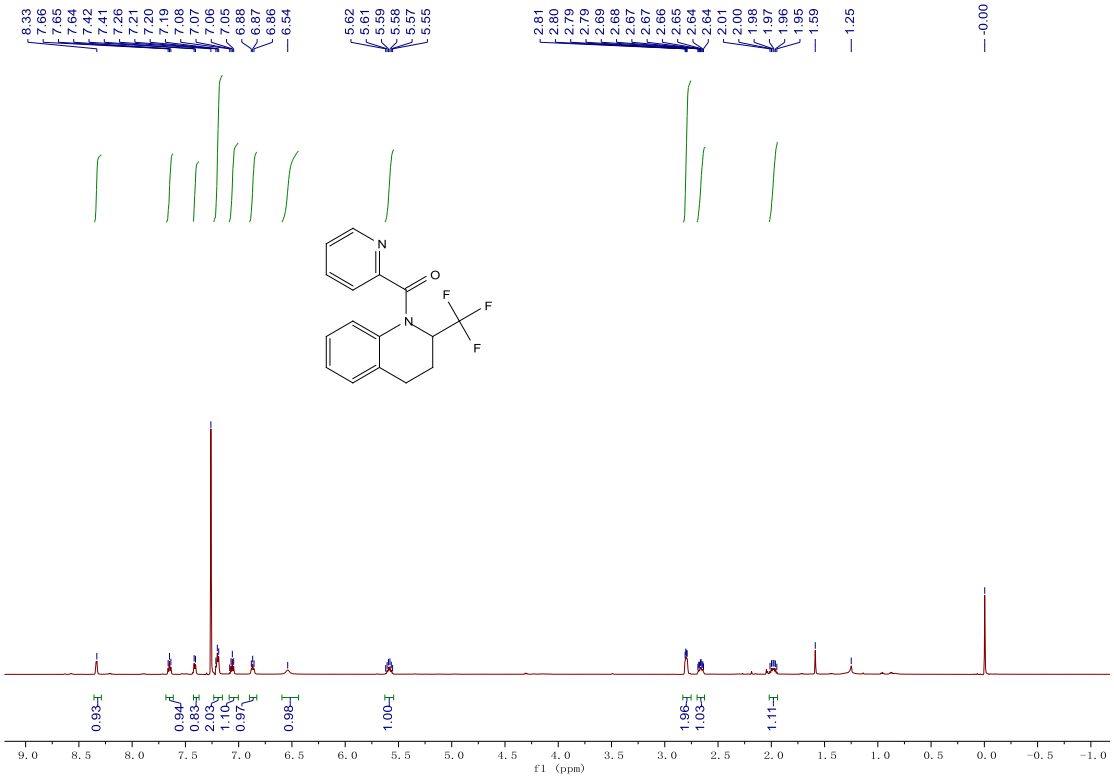
3l ^{13}C NMR



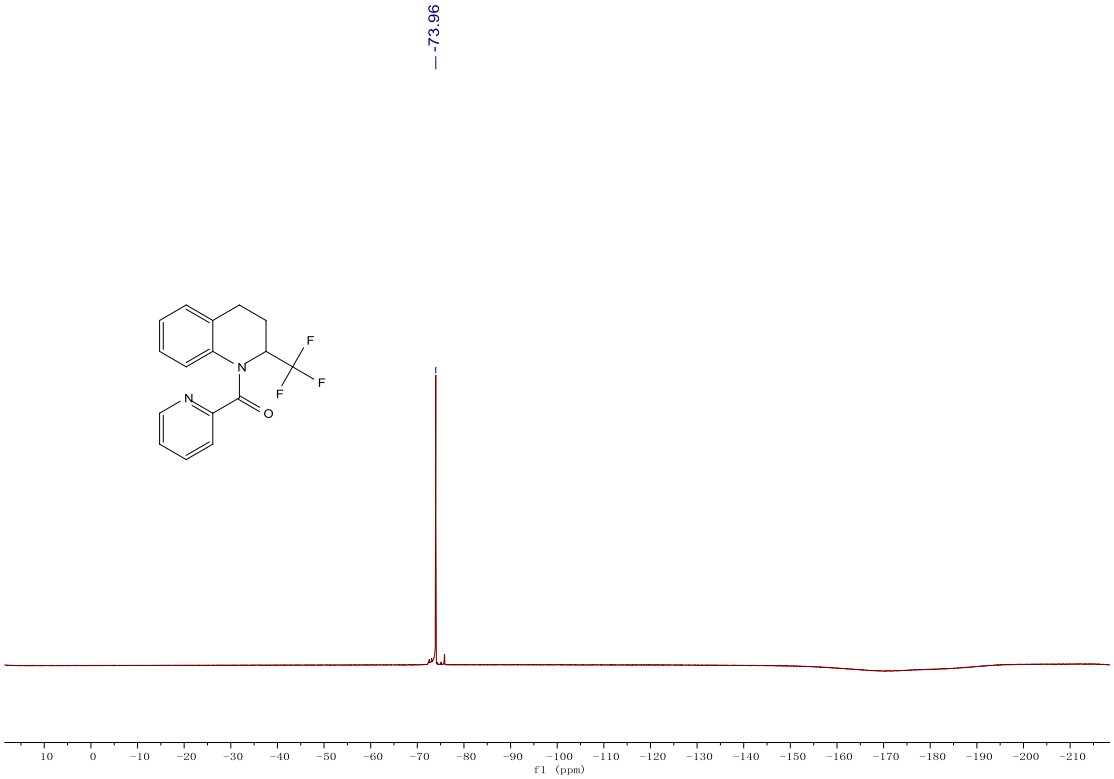


3m

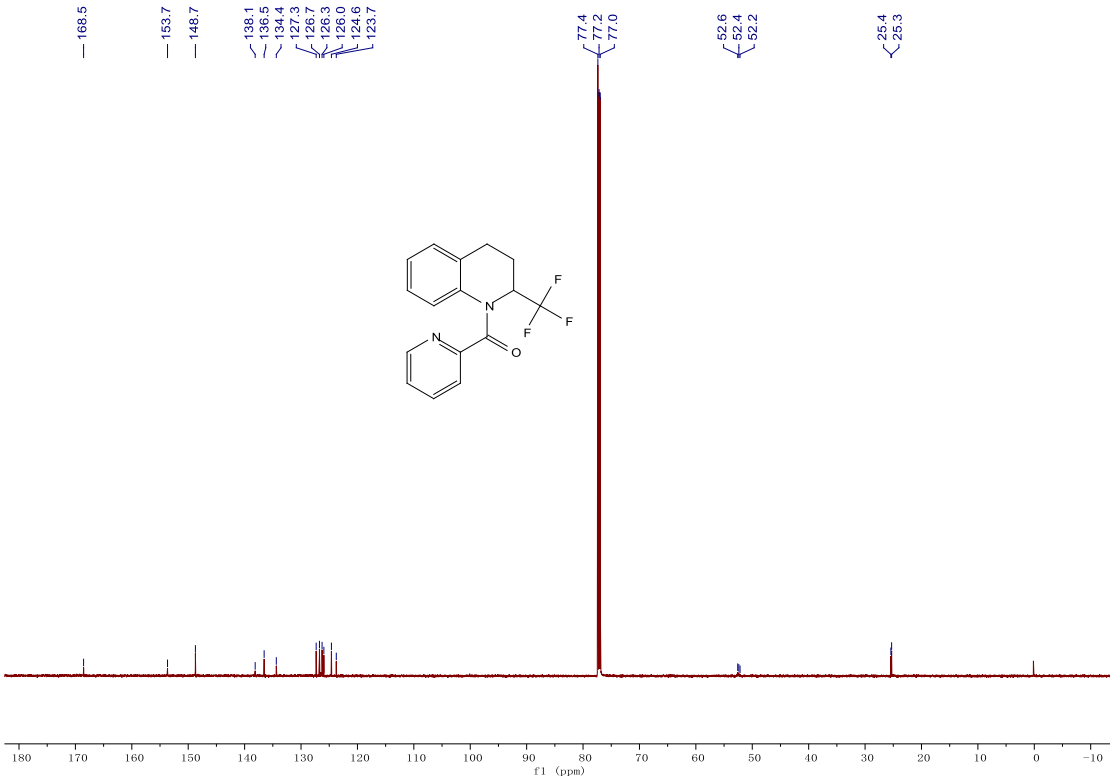
3m ^{1}H NMR

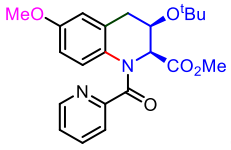


3m ^{19}F NMR



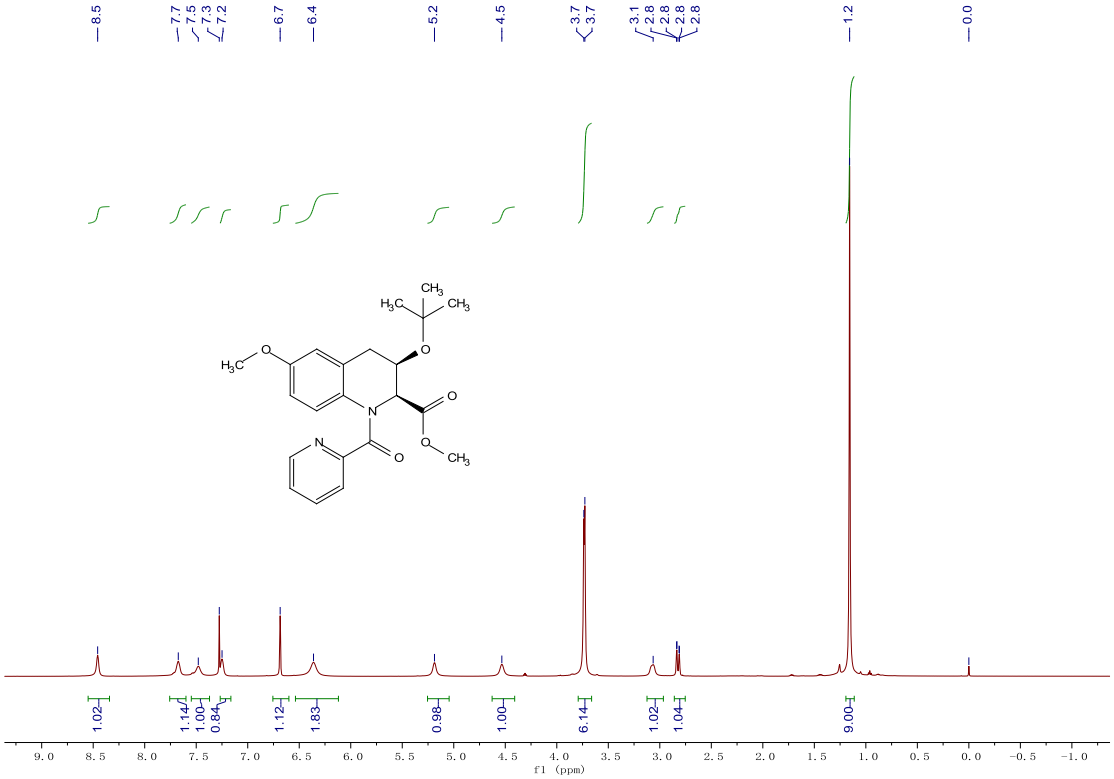
3m ^{13}C NMR



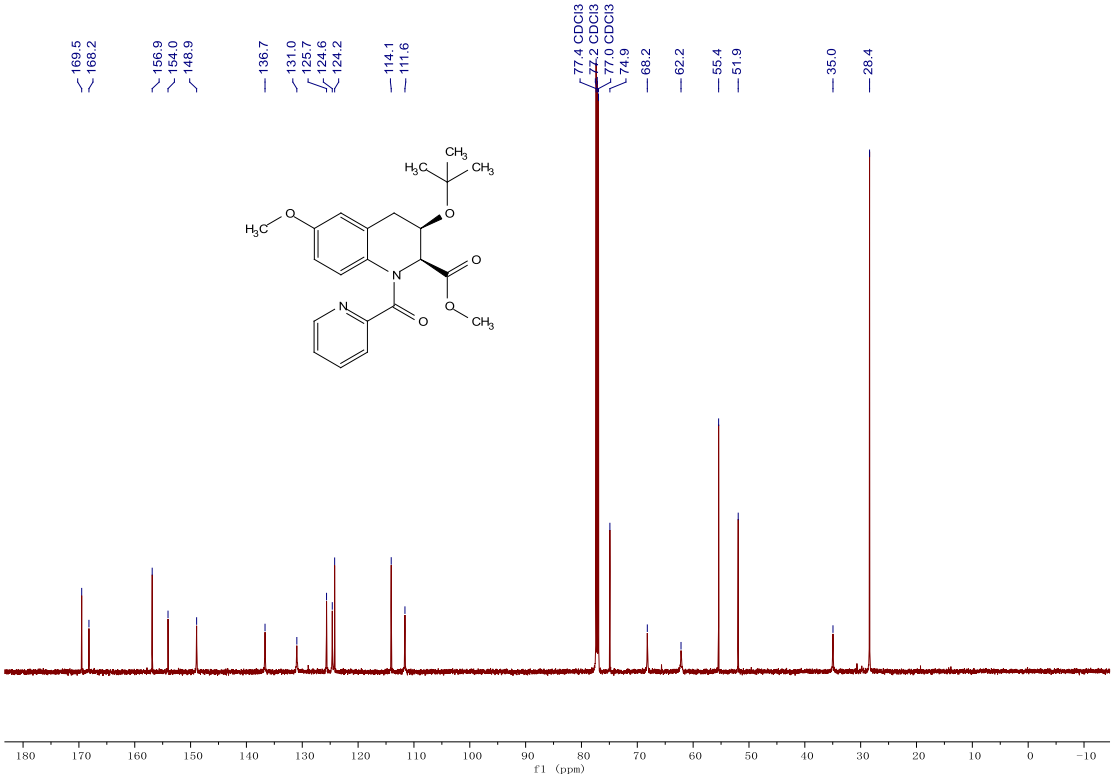


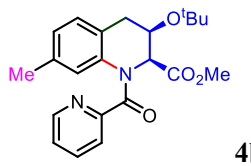
4a

4a ^{1}H NMR



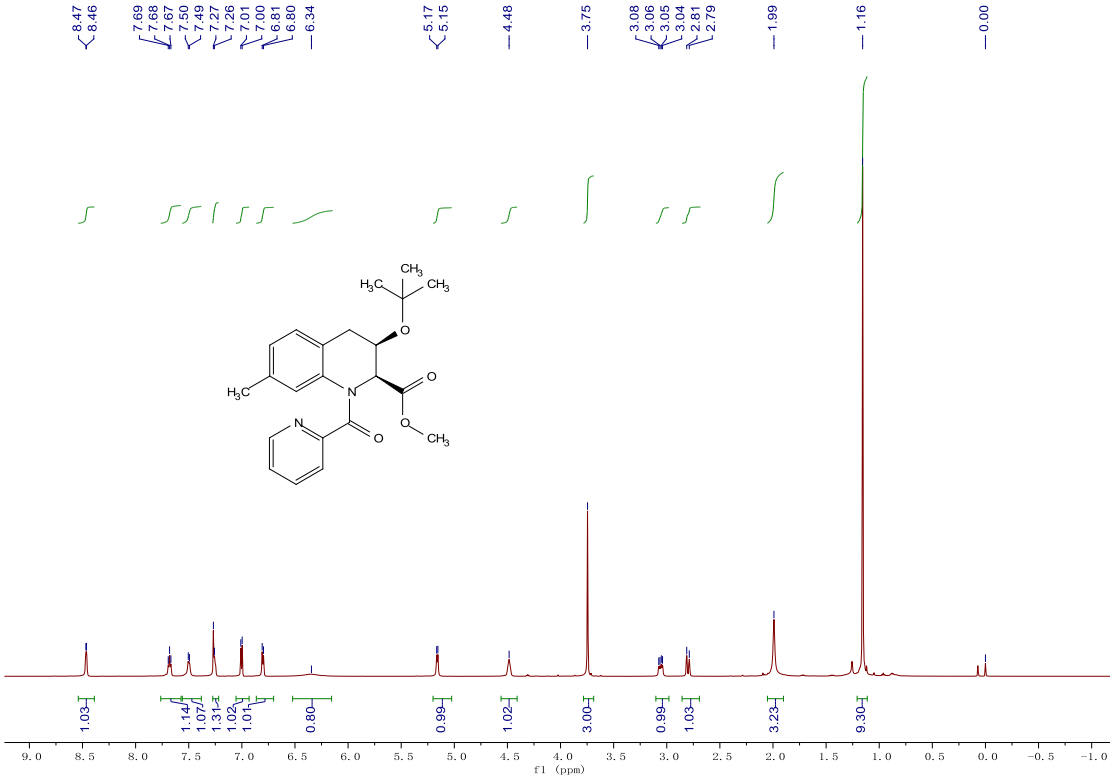
4a ^{13}C NMR



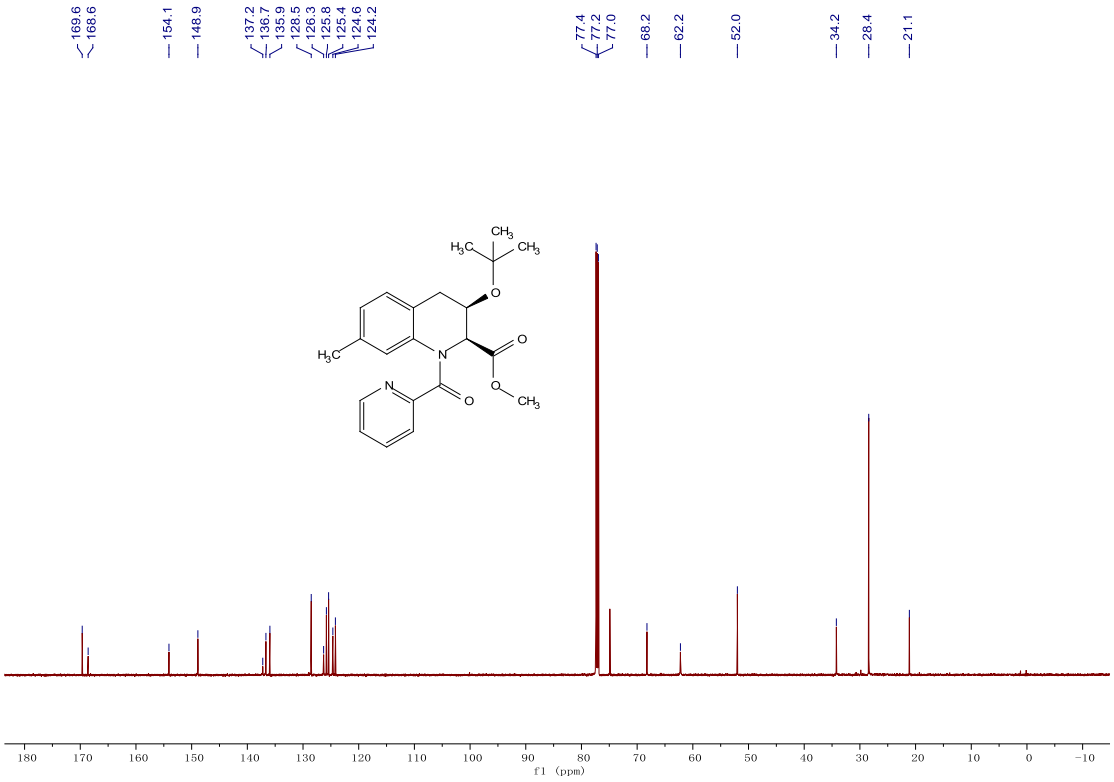


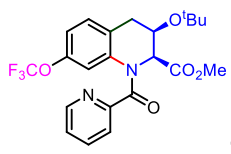
4b

4b ^{1}H NMR



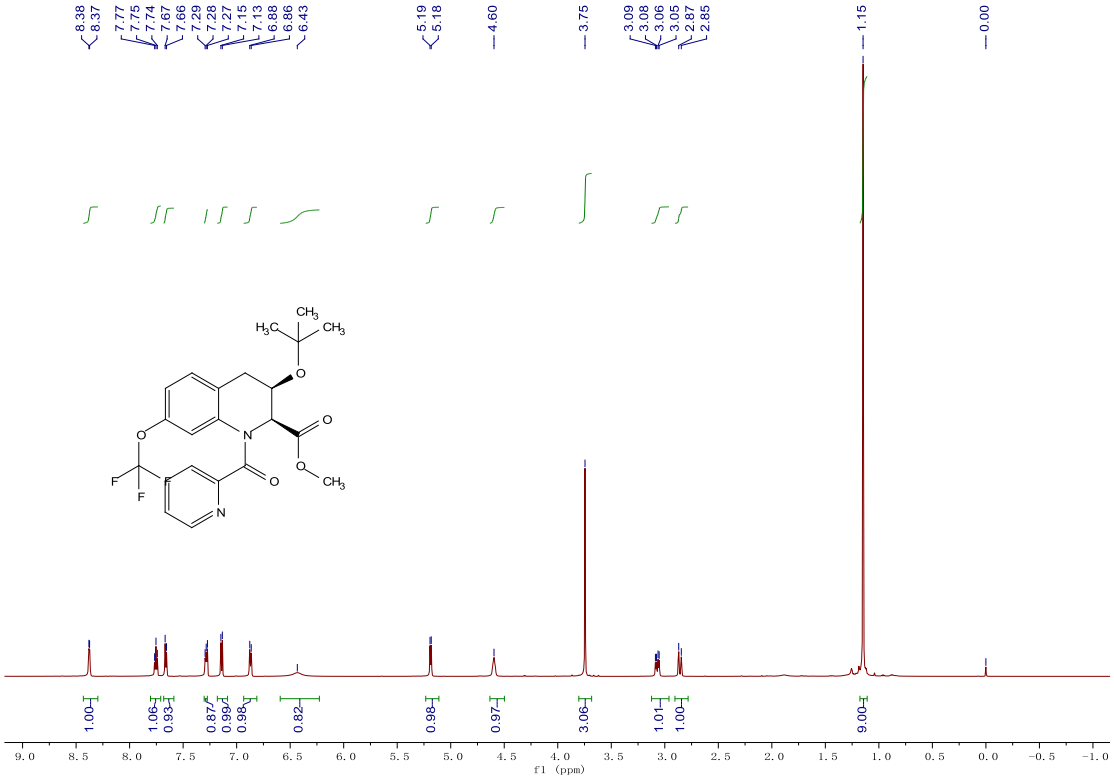
4b ^{13}C NMR



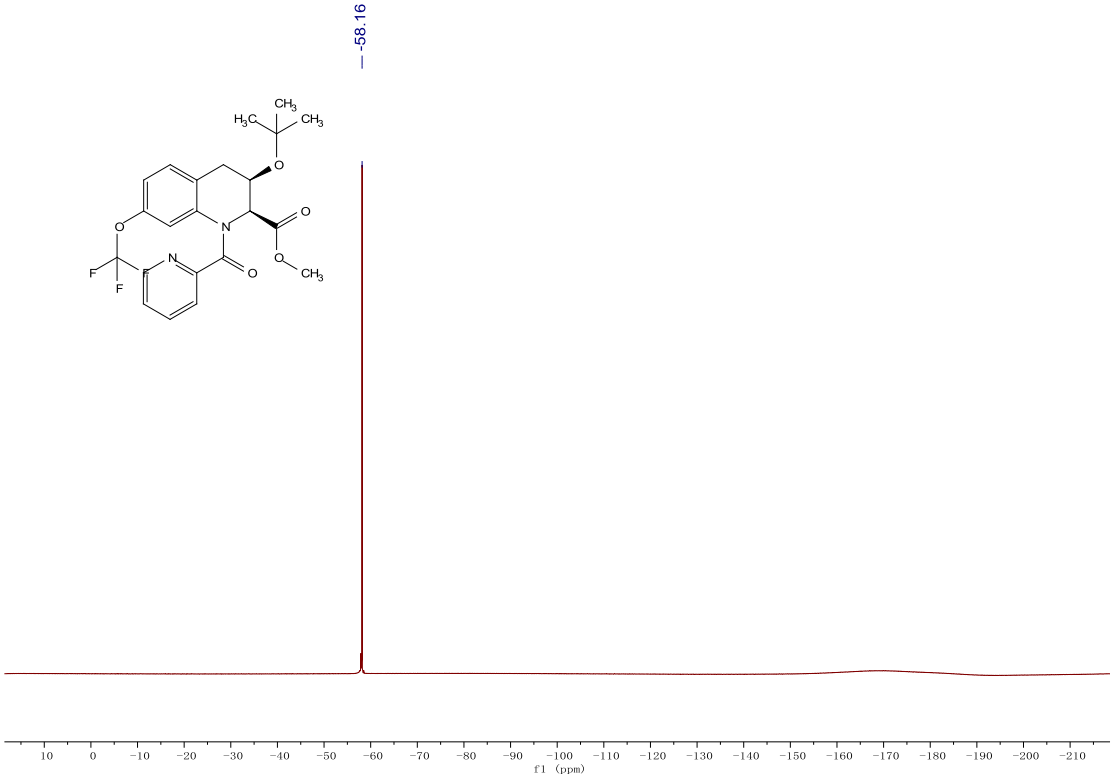


4c

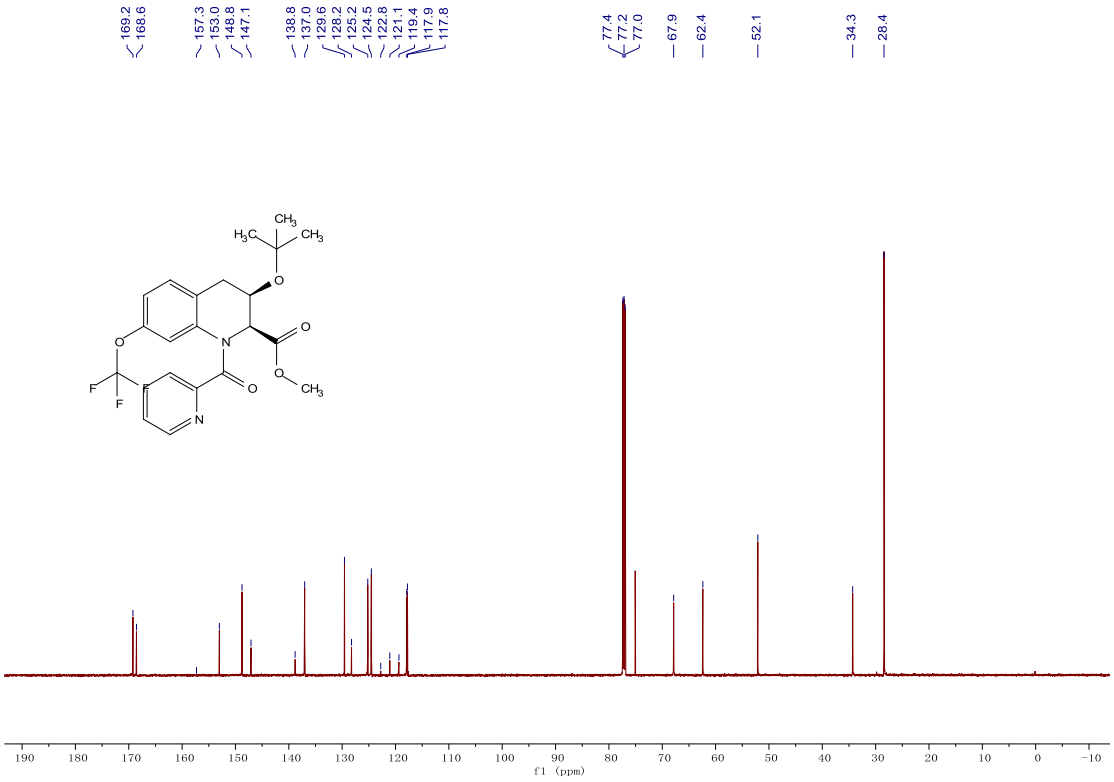
4c ^{1}H NMR

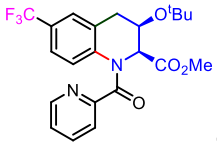


4c ^{19}F NMR



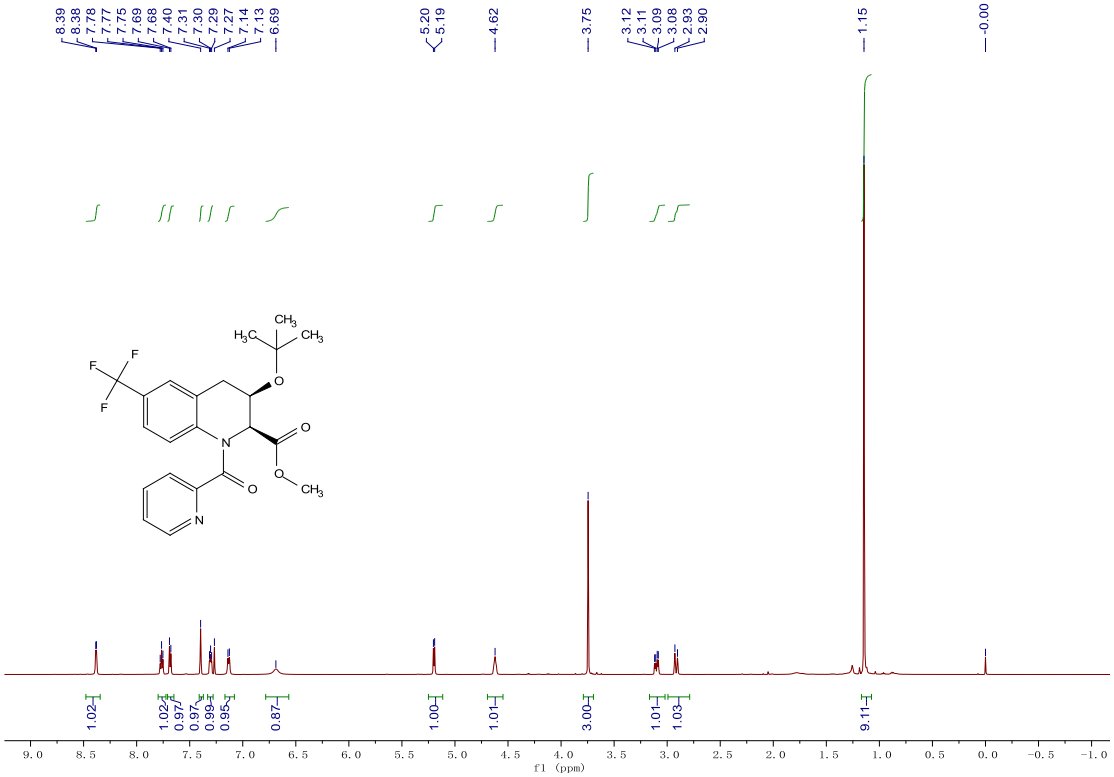
4c ^{13}C NMR





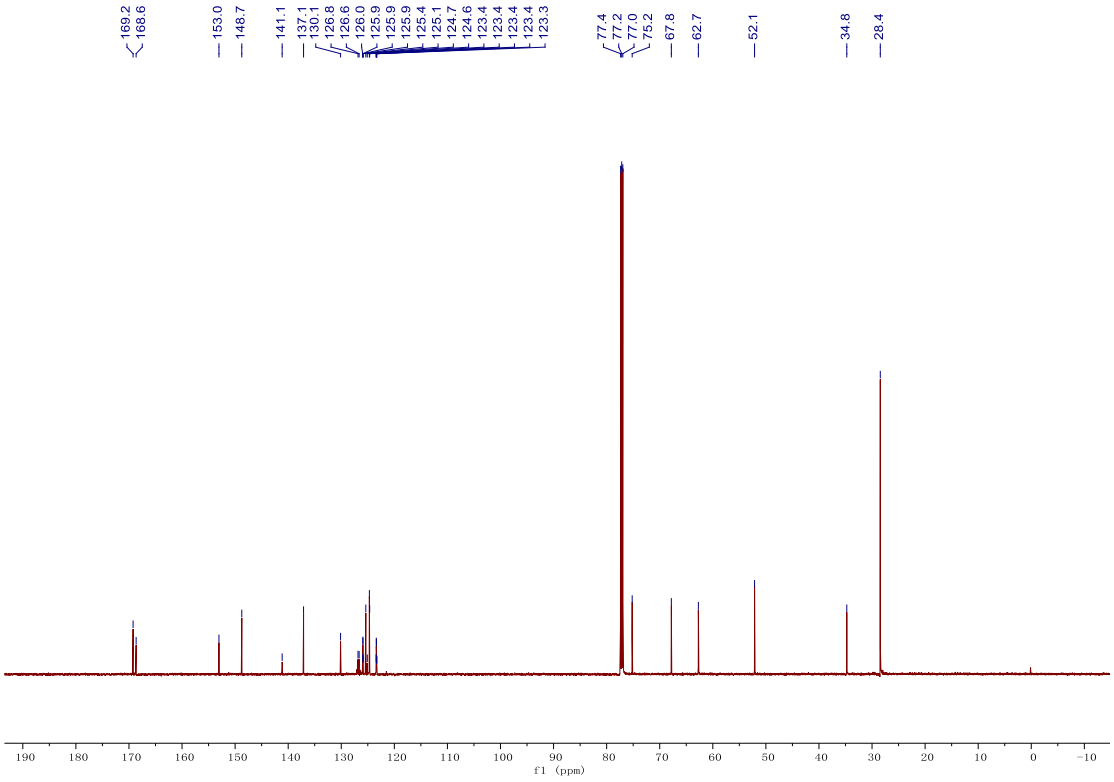
4d

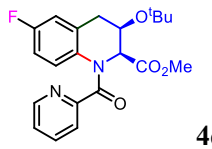
4d ^{1}H NMR



4d ^{19}F NMR

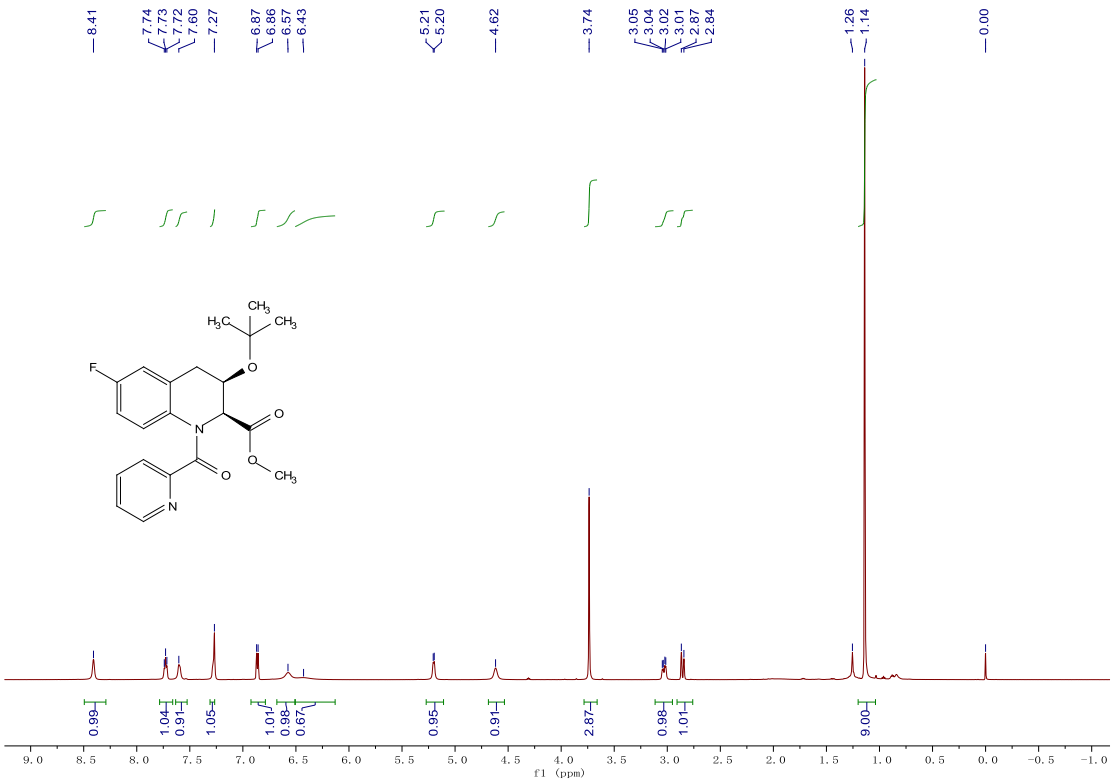
4d^{13}C NMR



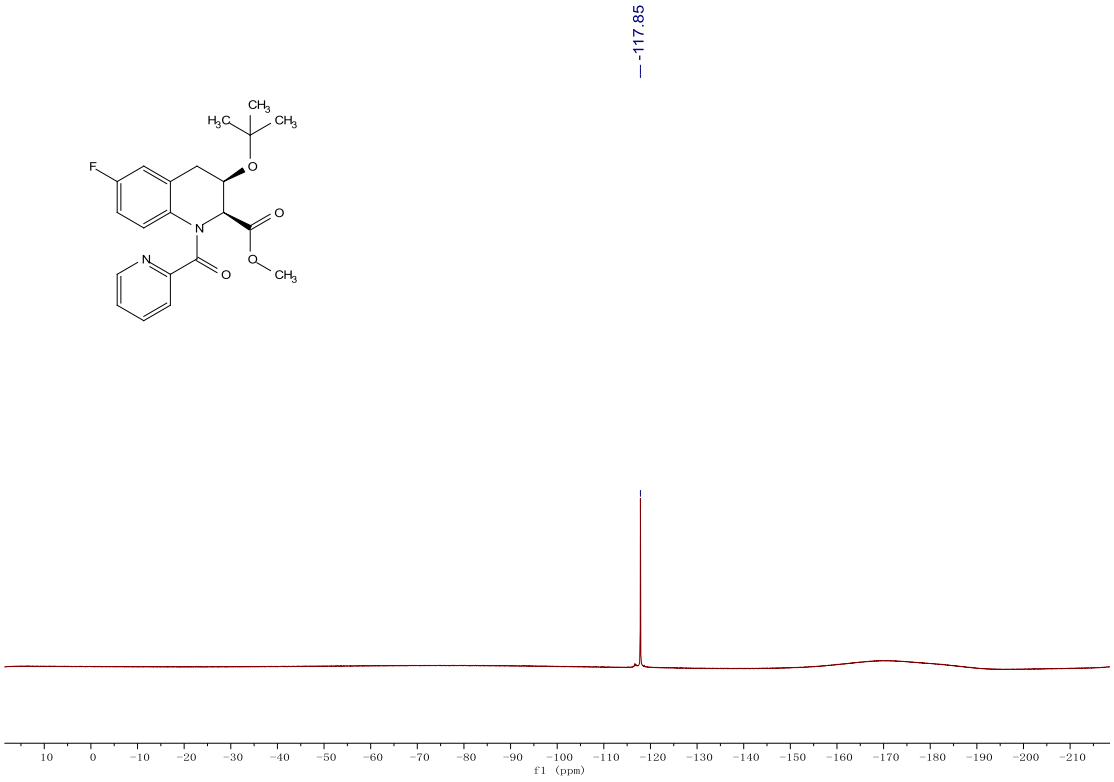


4e

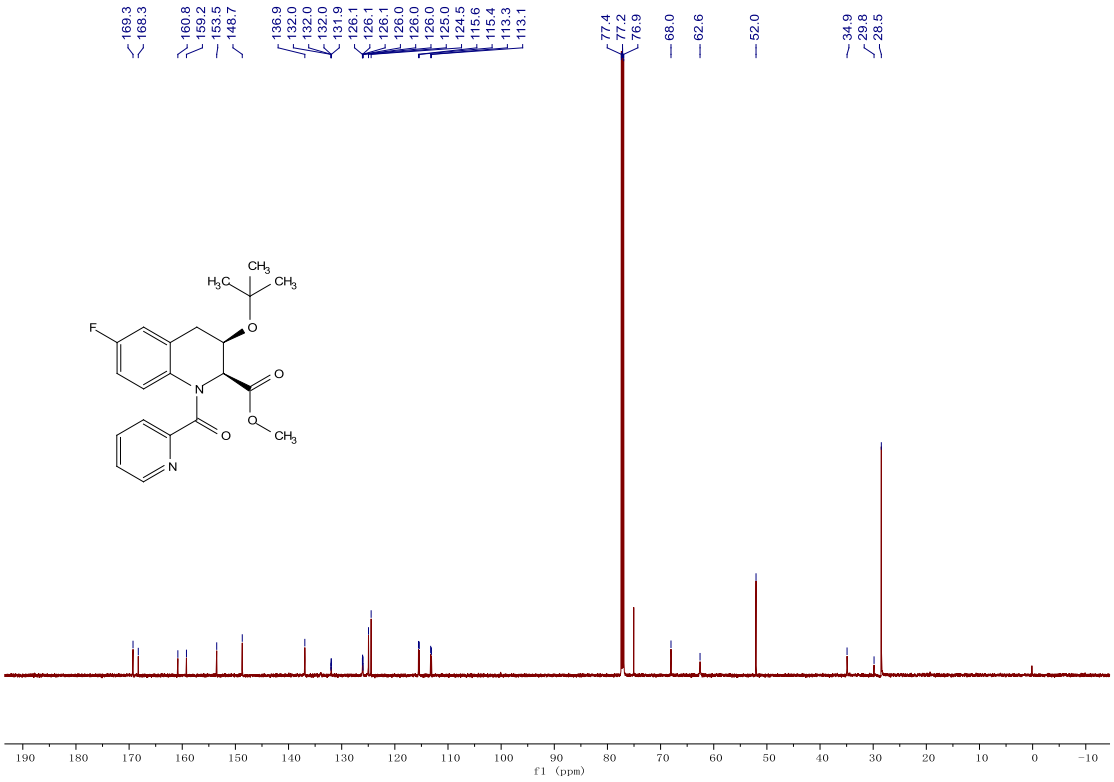
4e ^{1}H NMR

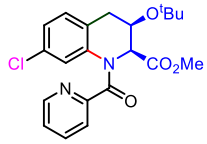


4e ^{19}F NMR



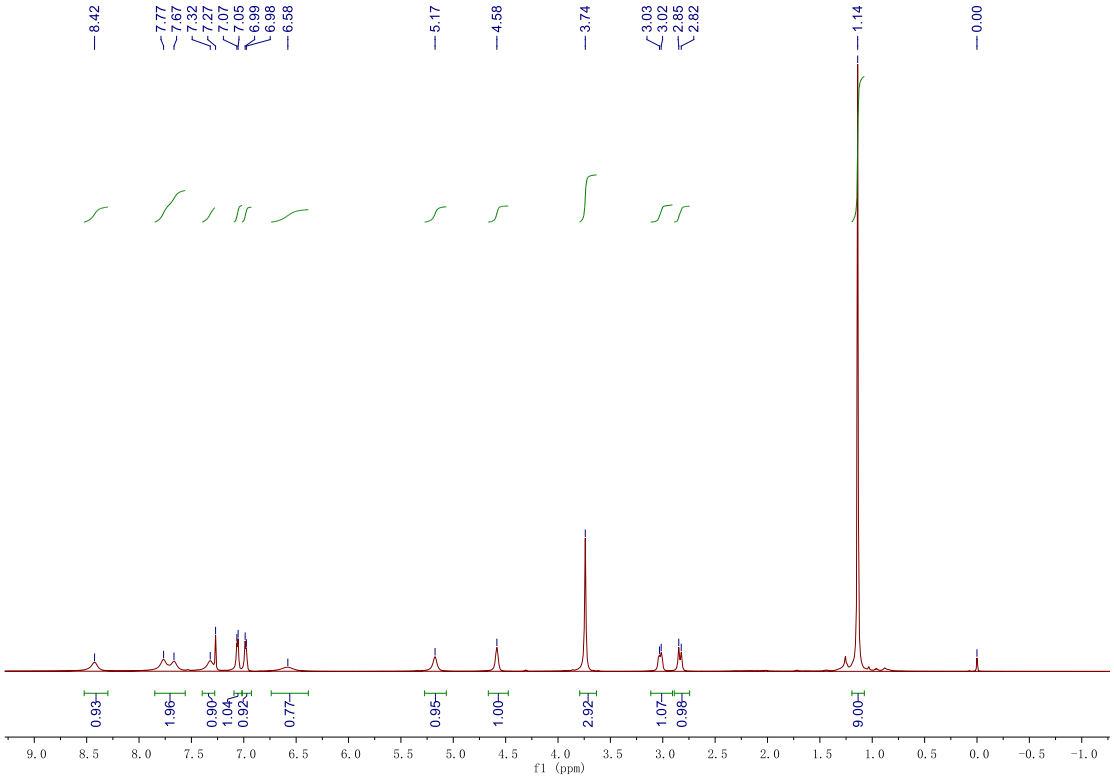
4e ^{13}C NMR


169.3
168.3
160.8
159.2
153.5
148.7
136.9
132.0
132.0
132.0
131.9
126.1
126.1
126.1
126.0
126.0
126.0
125.0
124.5
115.6
115.4
113.3
113.1
77.4
77.2
76.9
68.0
62.6
52.0
34.9
29.8
28.5
F
O
N
O
O
O
CH3
H3C
CH3
N
f1 (ppm)

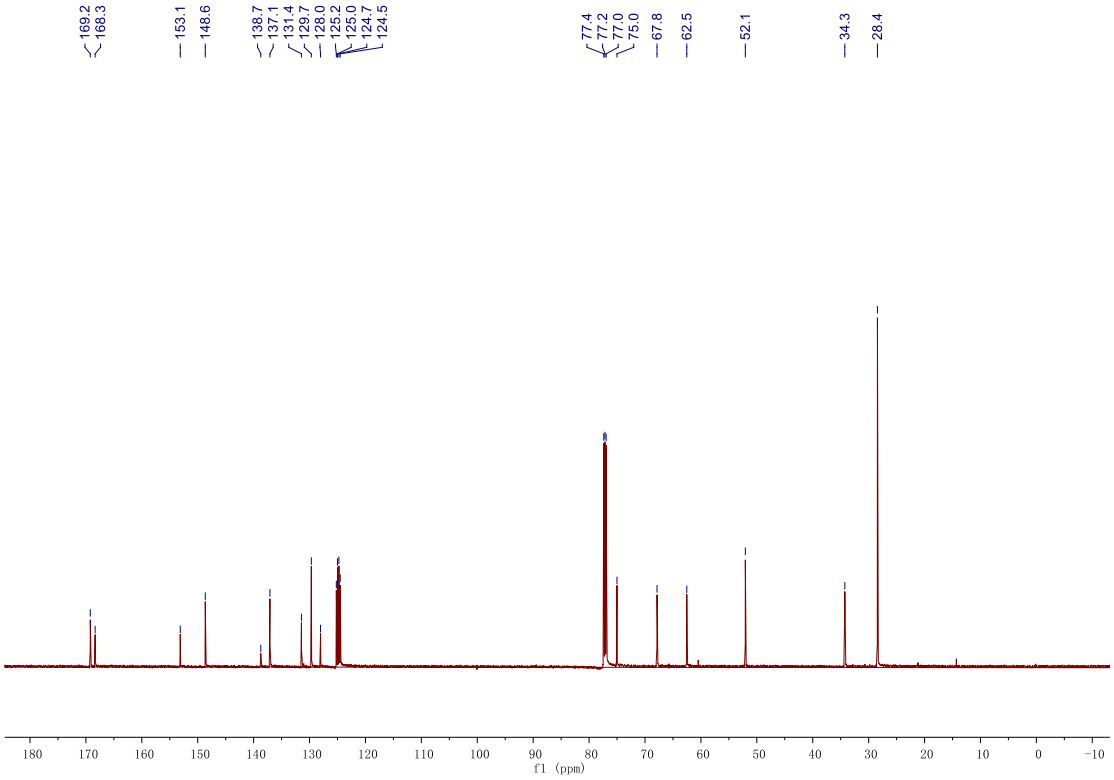

O^{t}Bu
Cl
N
CO$_2$Me
N
O

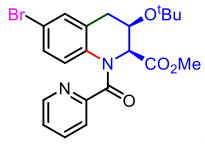
4f

4f ^{1}H NMR


8.42
7.77
7.67
7.32
7.27
7.07
7.05
6.99
6.98
6.58
5.17
4.58
3.74
3.03
3.02
2.85
2.82
1.14
0.00
0.93
1.96
0.90
1.04
0.92
0.77
0.96
1.00
2.92
1.07
0.98
9.00
f1 (ppm)

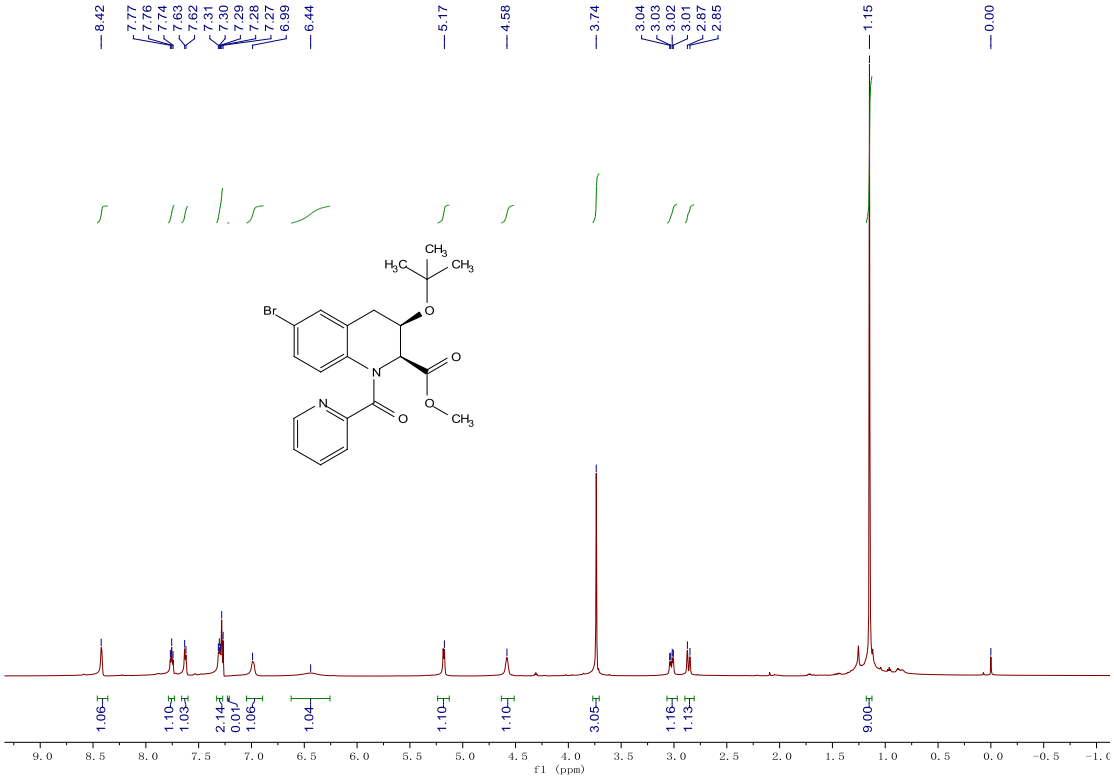
4f ^{13}C NMR



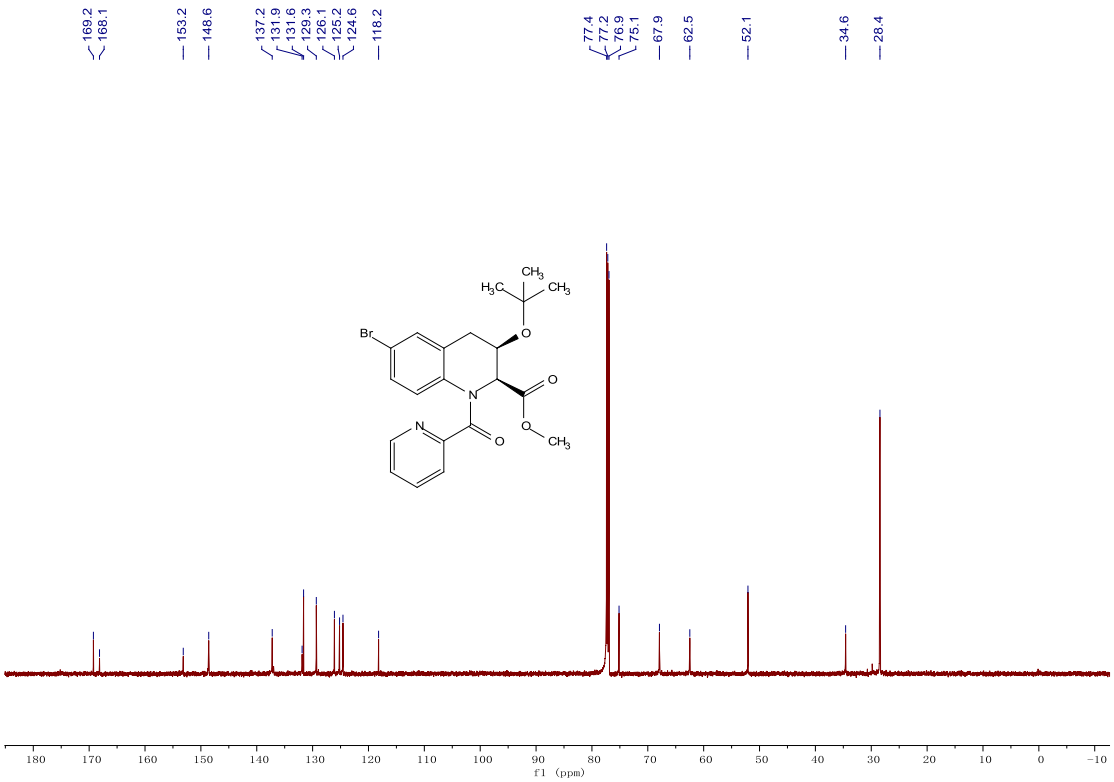


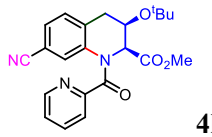
4g

4g ^{1}H NMR



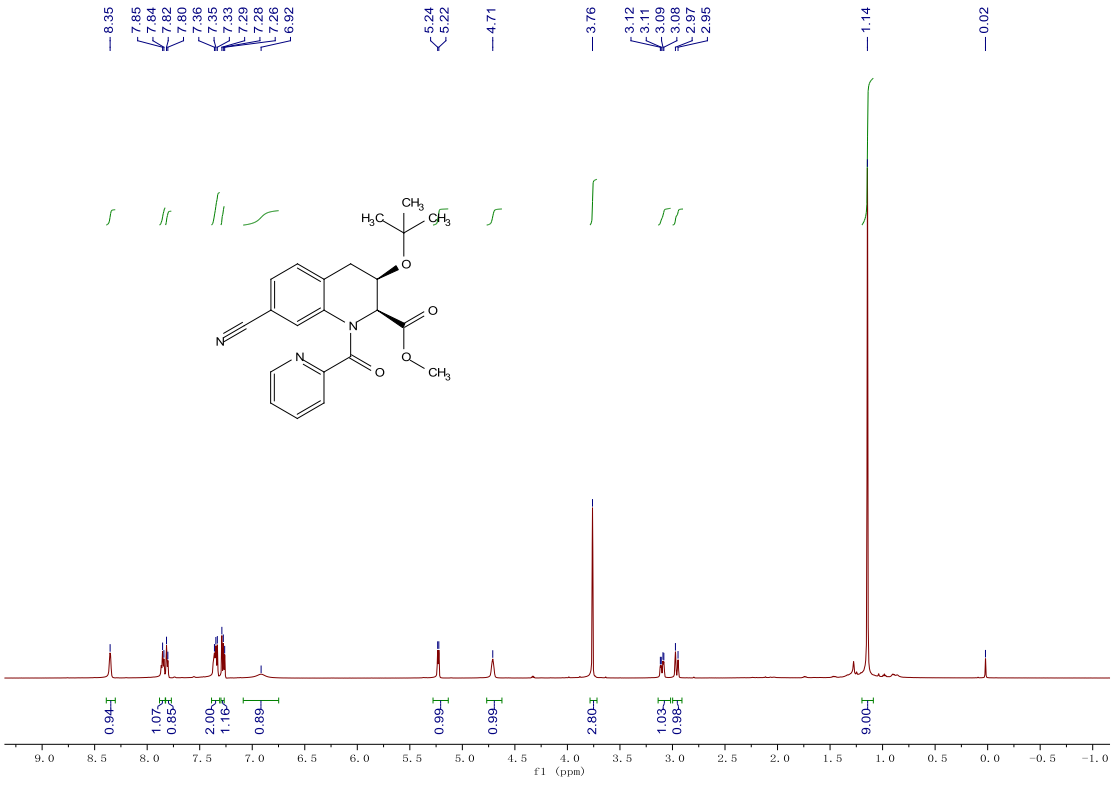
4g ^{13}C NMR



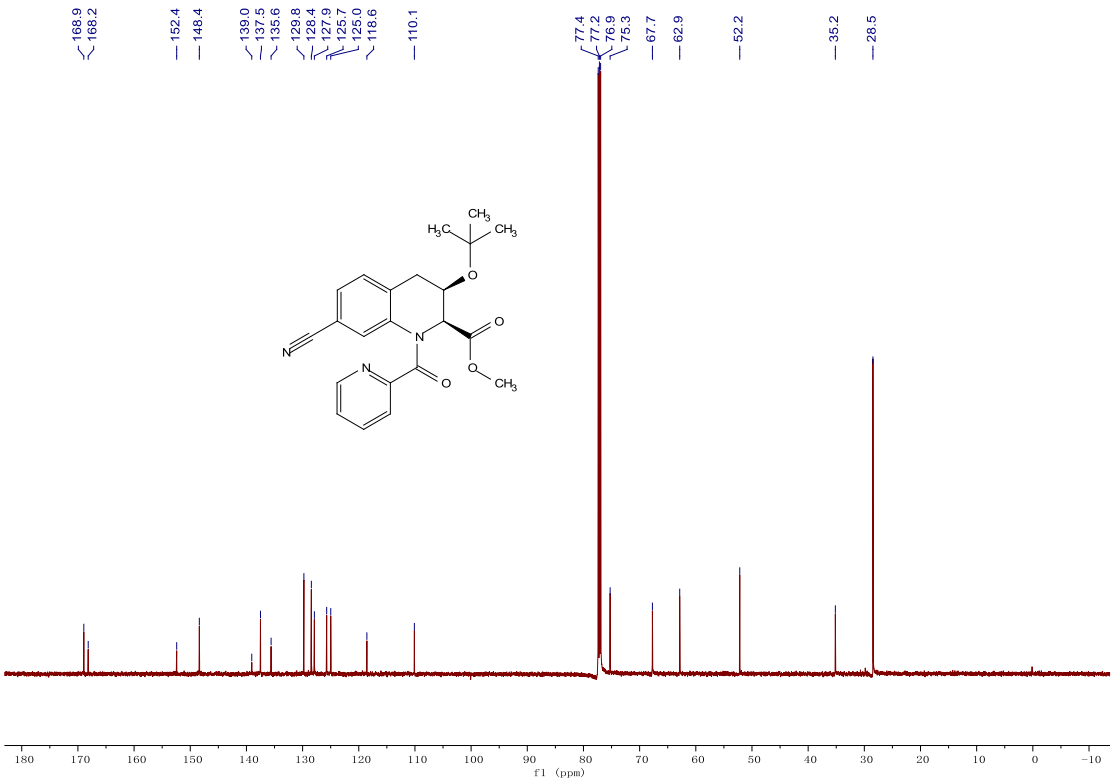


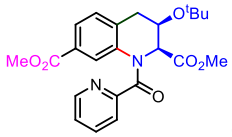
4h

4h ^{1}H NMR



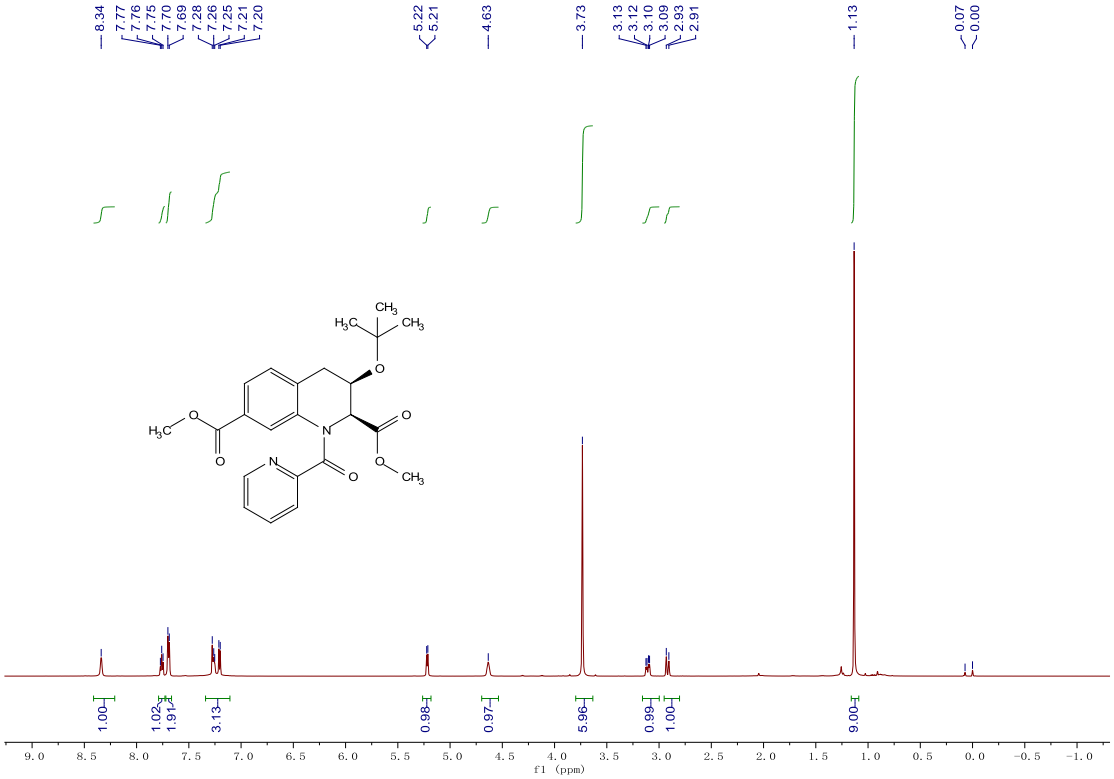
4h ^{13}C NMR



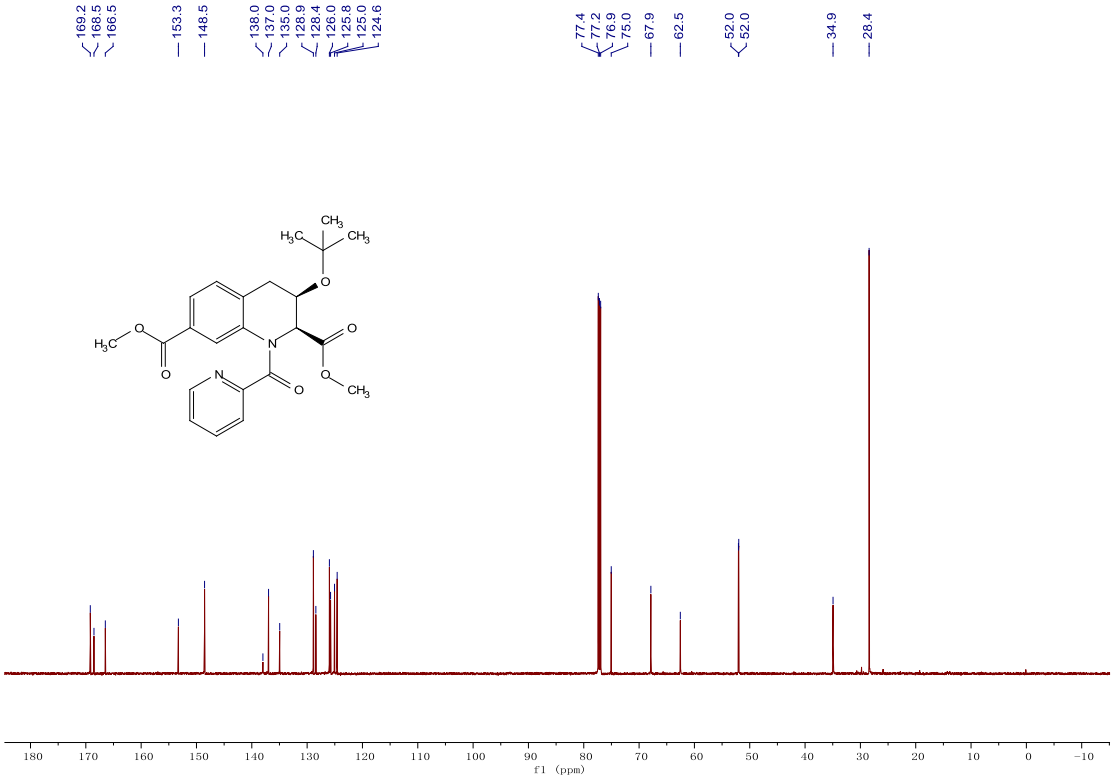


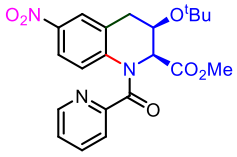
4i

4i ^{1}H NMR



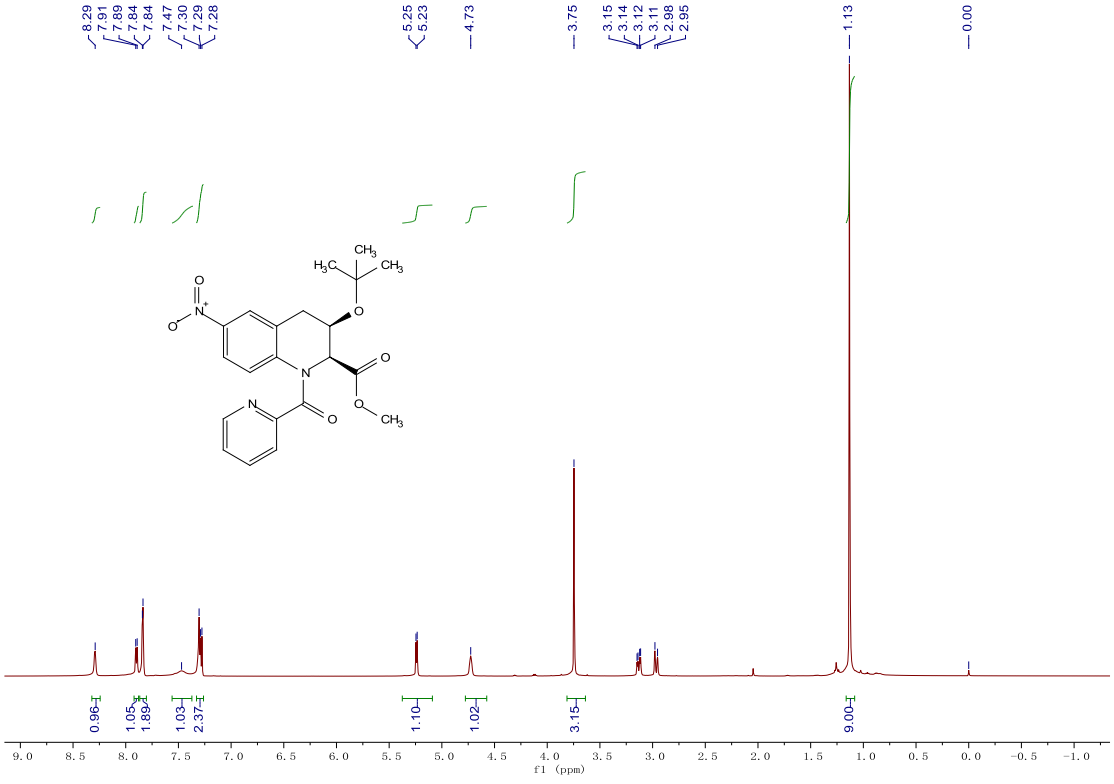
4i ^{13}C NMR



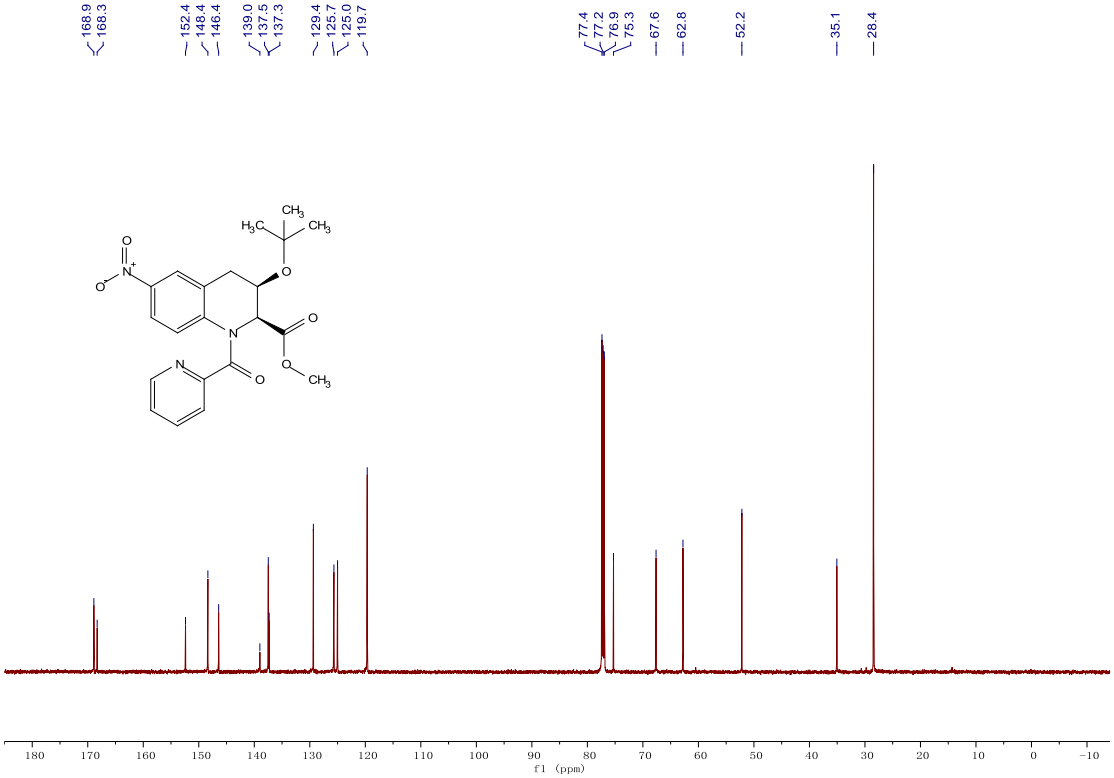


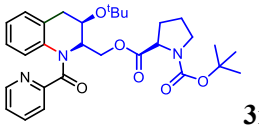
4j

4j ^{1}H NMR



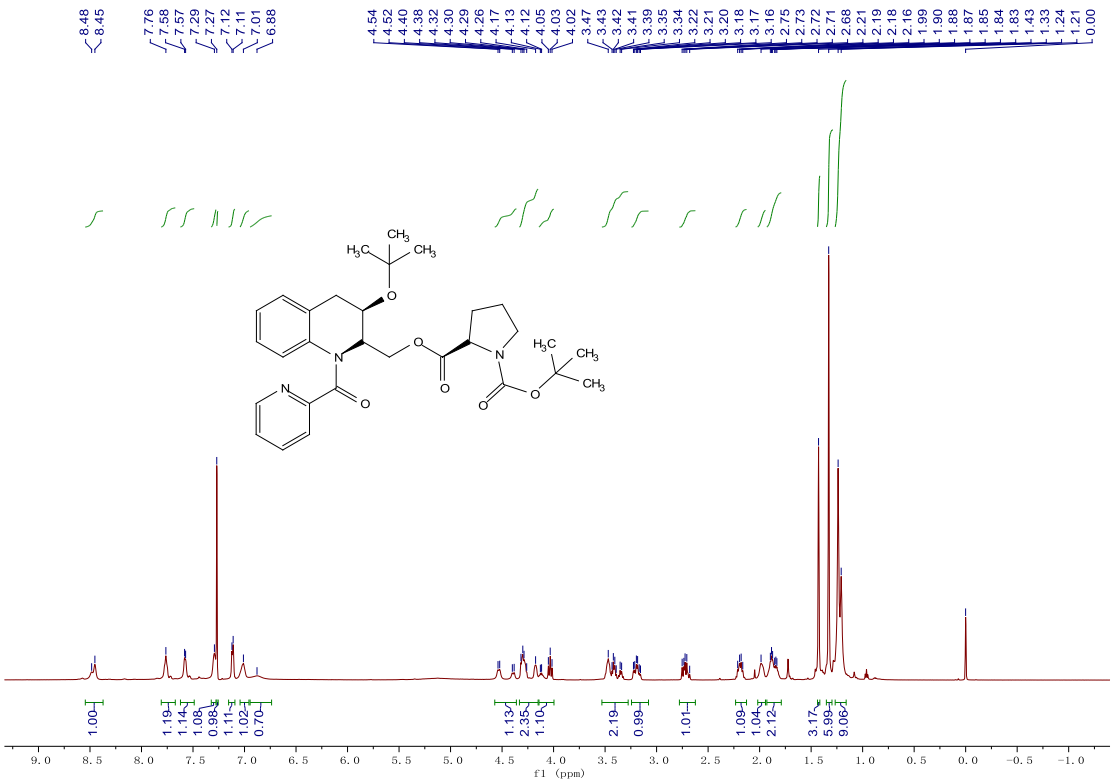
4j ^{13}C NMR



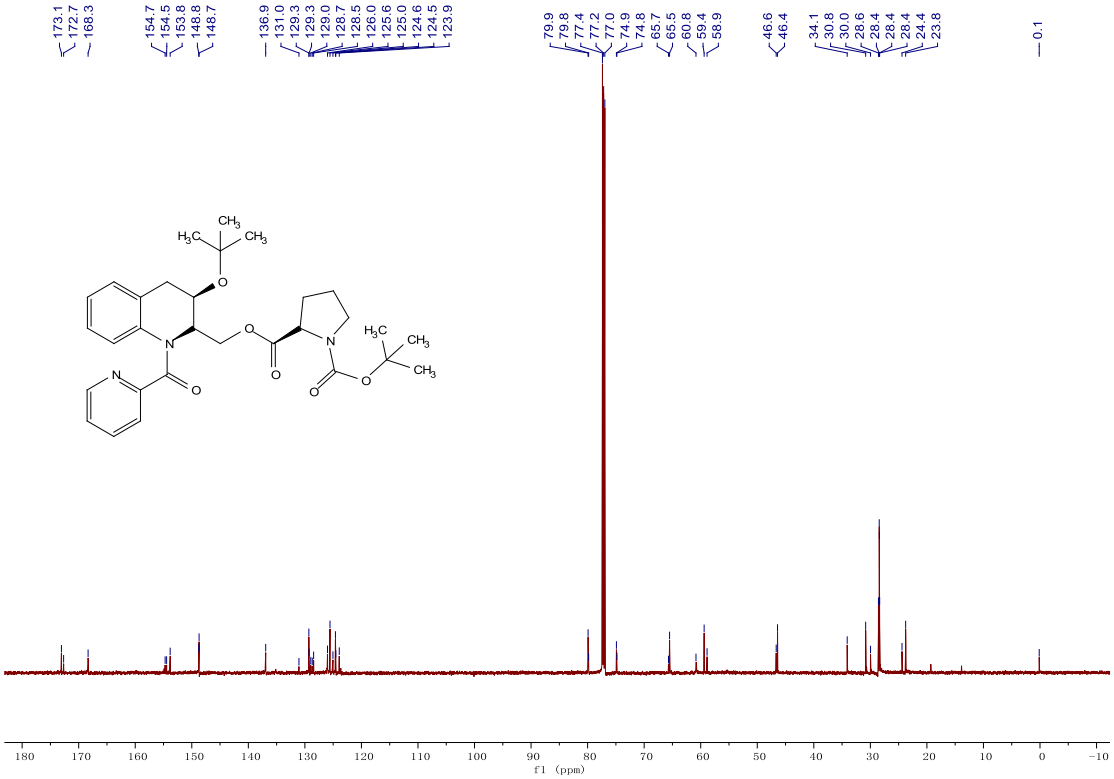


3n

3n ^{1}H NMR

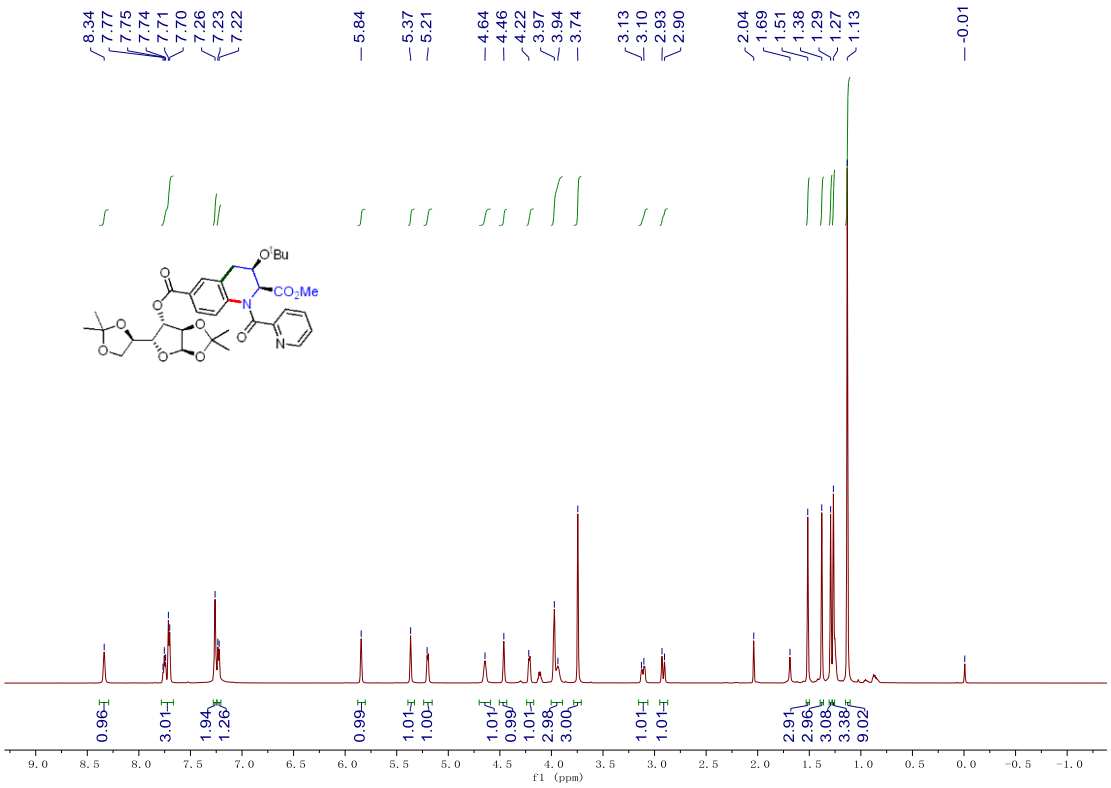


3n ^{13}C NMR

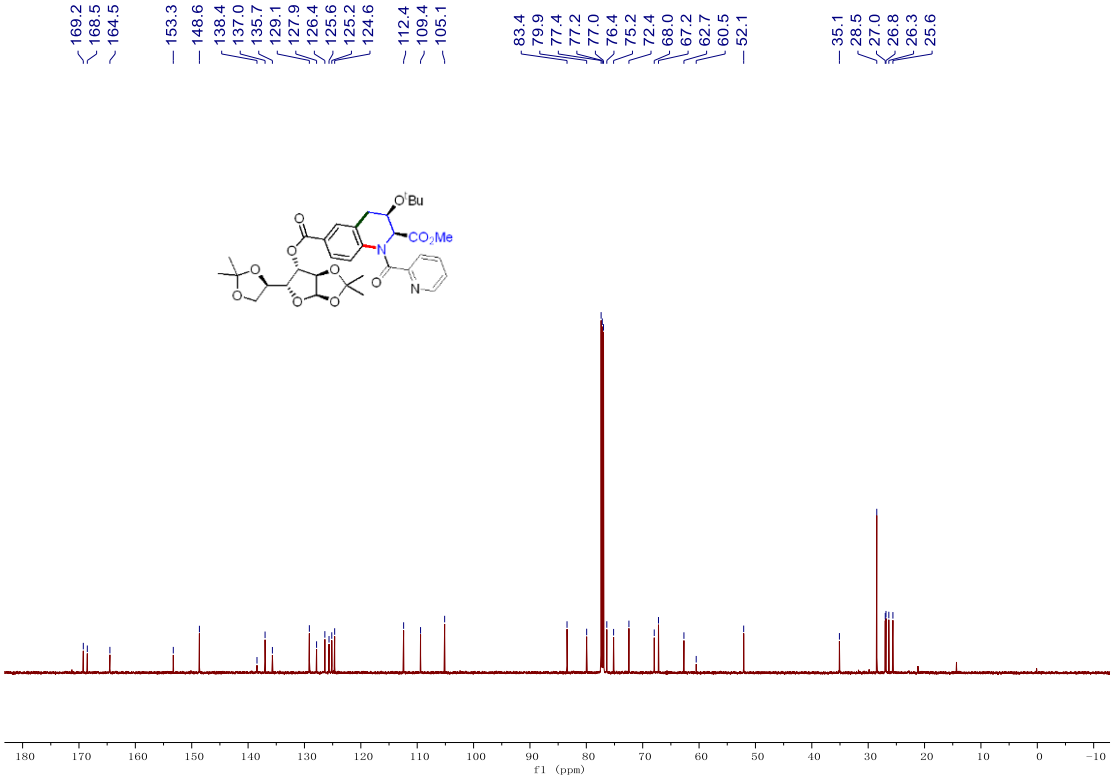


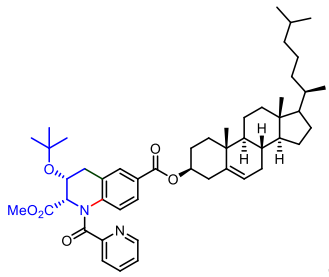
4k

4k ^{1}H NMR



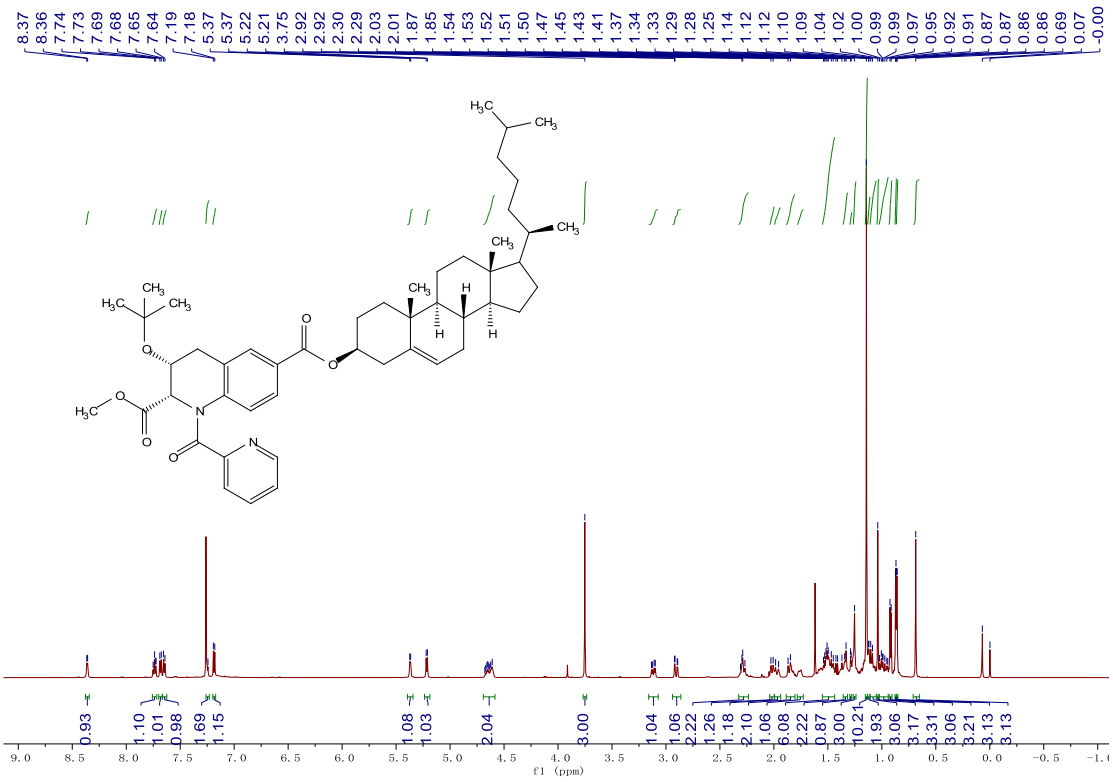
4k ^{13}C NMR



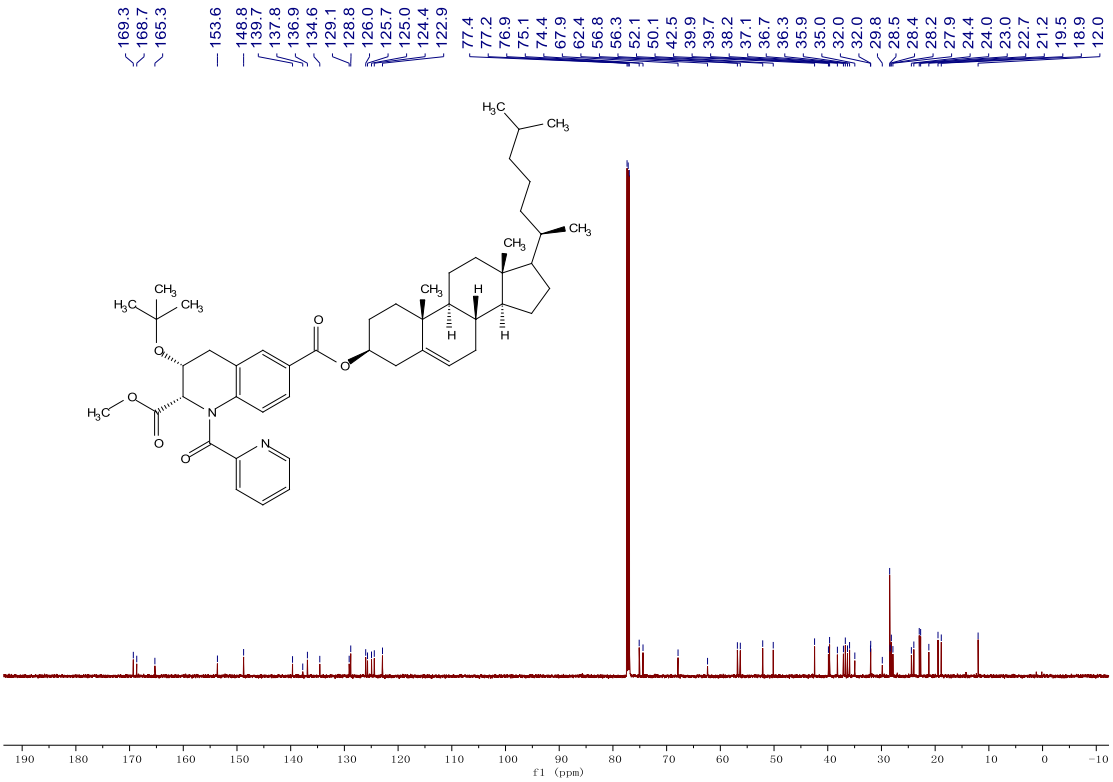


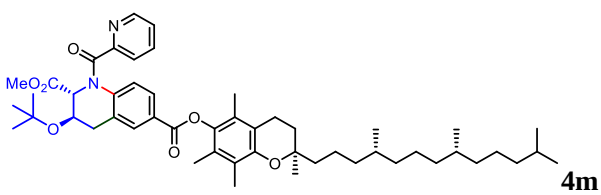
4l

4l ^{1}H NMR



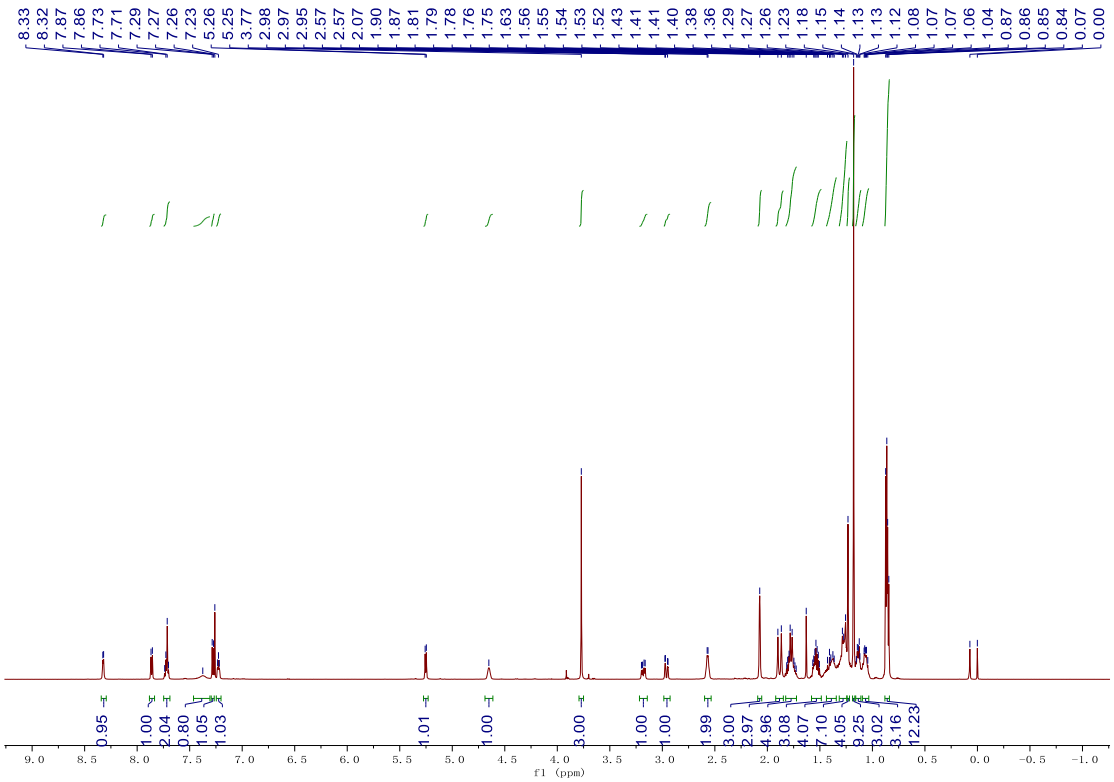
4l ^{13}C NMR



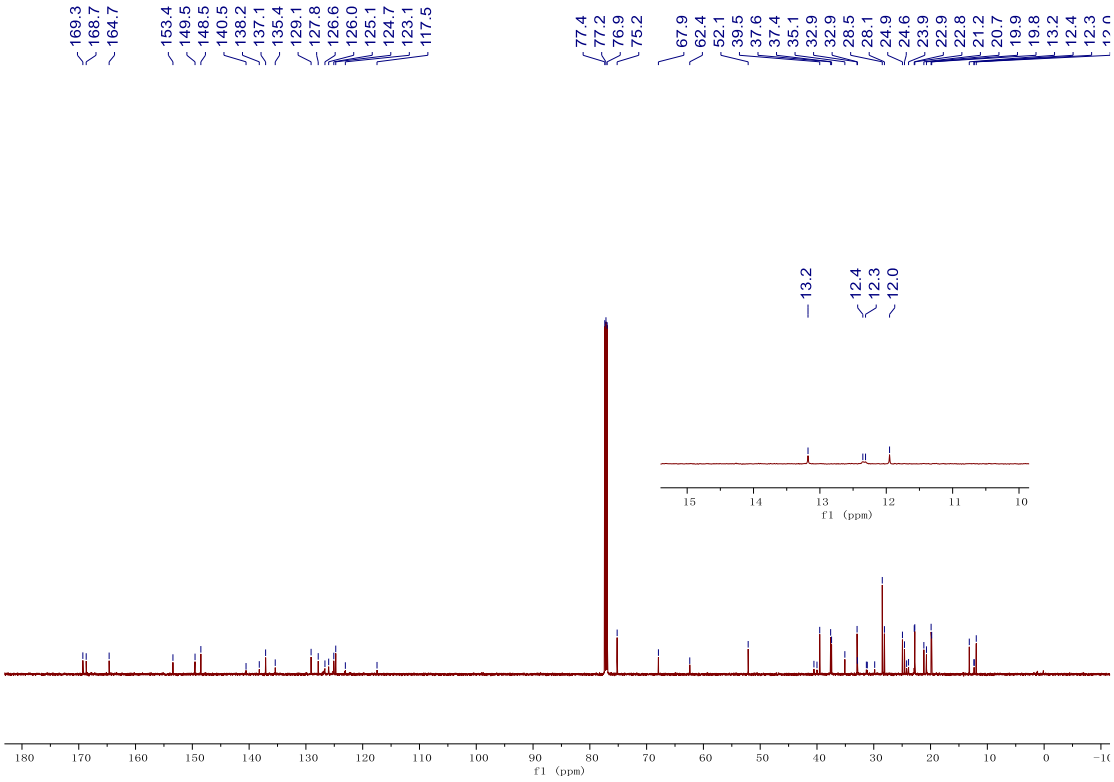


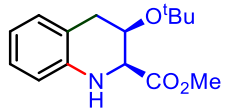
4m

4m[1]HNMR



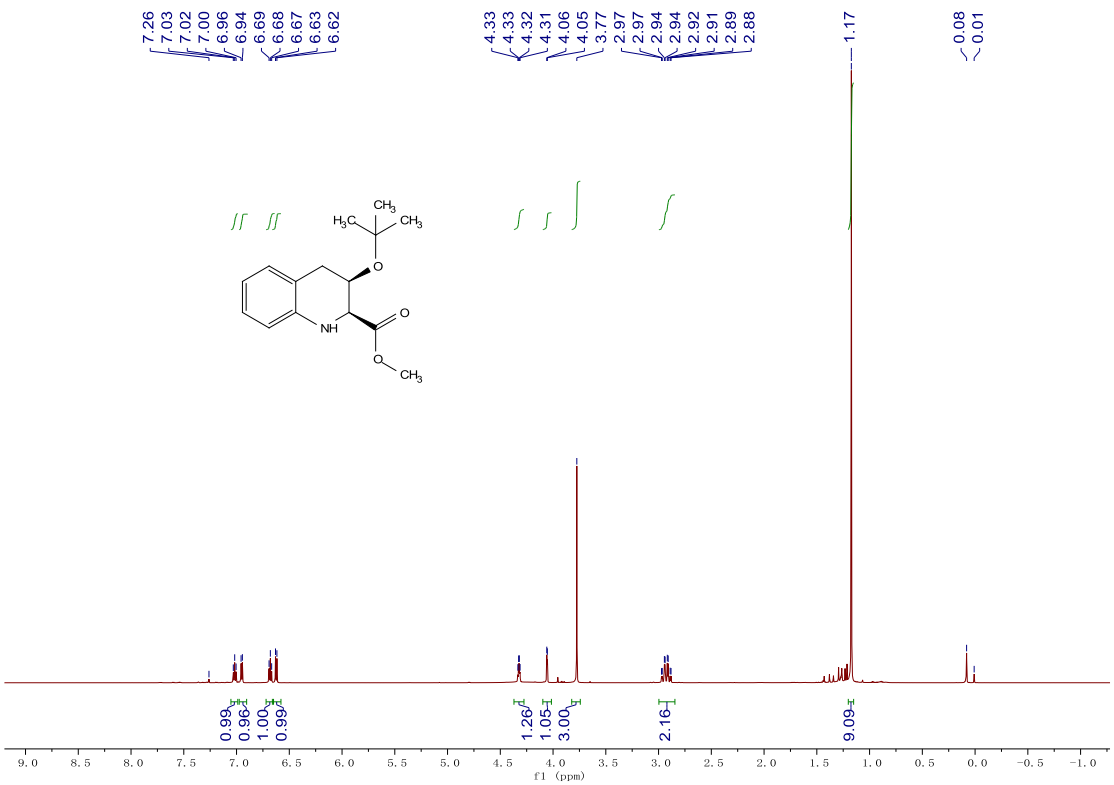
4m [13]C NMR



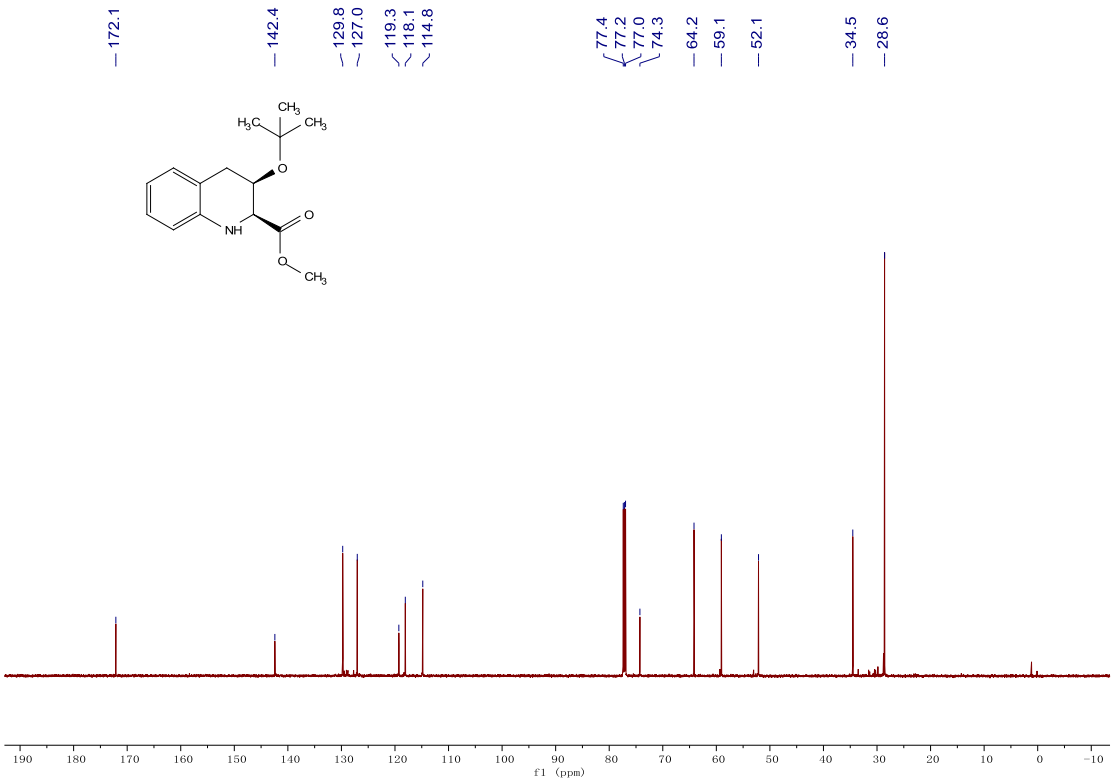


3a-1

3a-1 [1]H NMR



3a-1 ^{13}C NMR

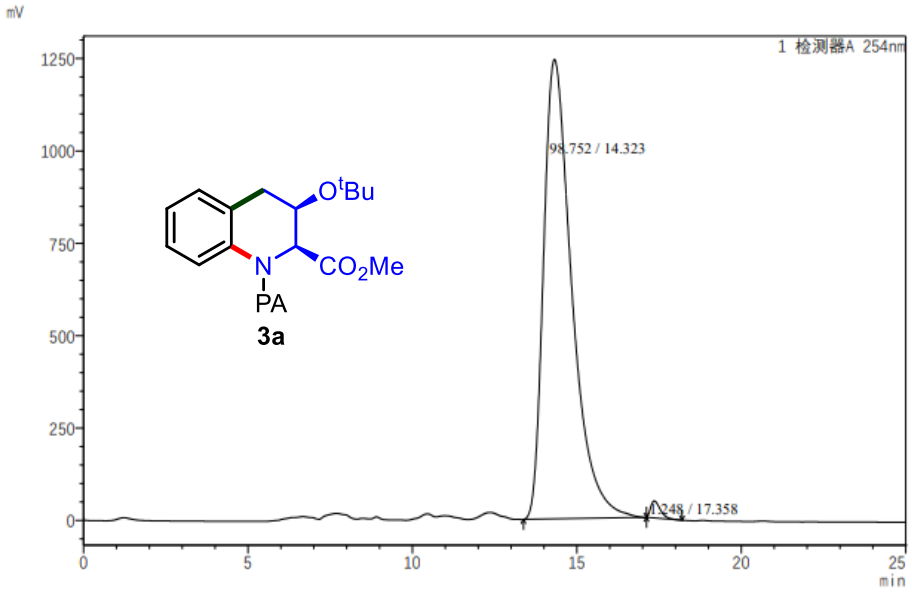


X HPLC spectra

3a, OJ-3, Hex/^{i}PrOH = 80/20, rate = 0.5 mL/min, 254 nm

2022/12/12 16:01:18 1 / 1

==== Shimadzu LabSolutions 分析报告 ====

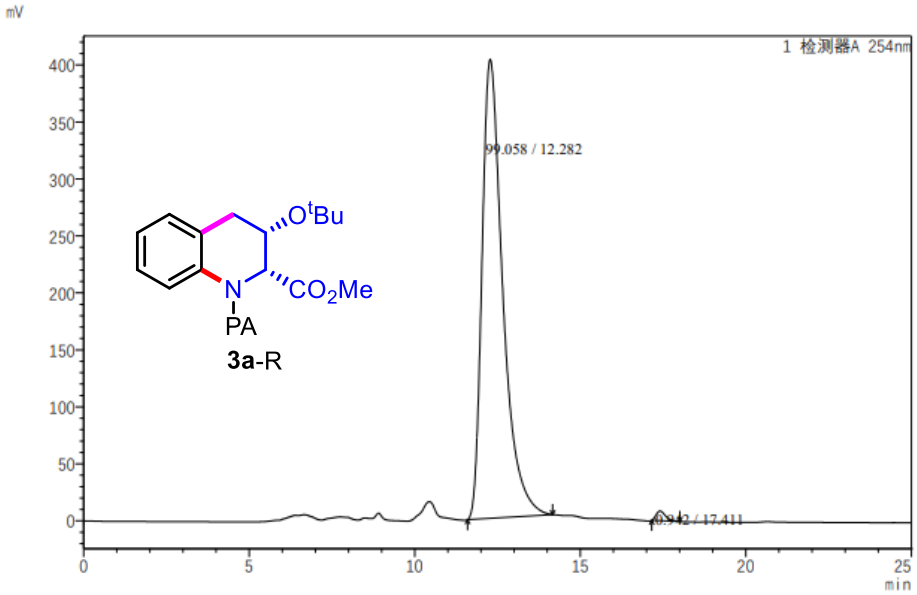


D:\zymzdx\2\2-S.lcd

3a-R, OJ-3, Hex/^{i}PrOH = 80/20, rate = 0.5 mL/min, 254 nm

2022/12/12 16:01:11 1 / 1

==== Shimadzu LabSolutions 分析报告 ====

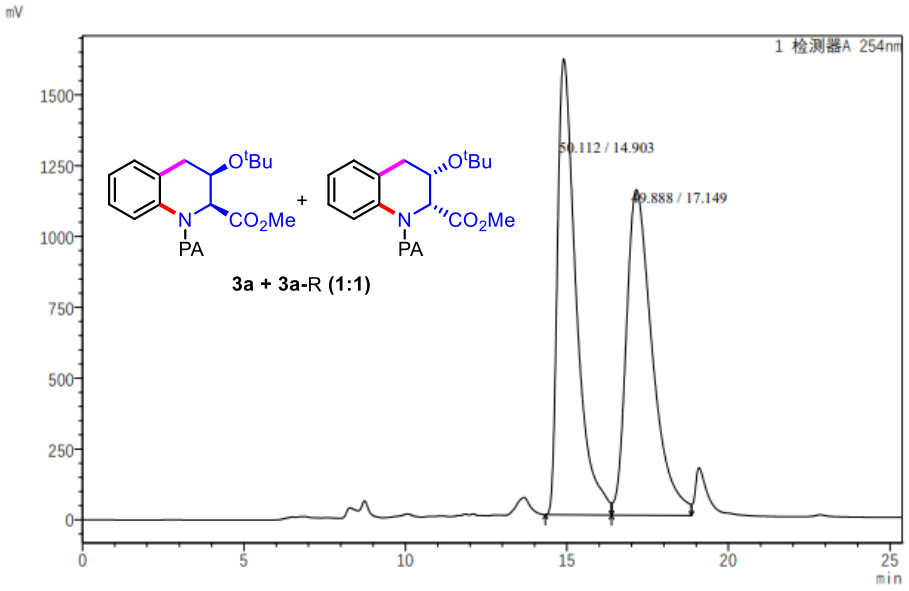


D:\zymzdx\2\2-R.lcd

3a-R+**3a** (1:1), OJ-3, Hex/^{i}PrOH = 80/20, rate = 0.5 mL/min, 254 nm

2022/12/12 16:01:24 1 / 1

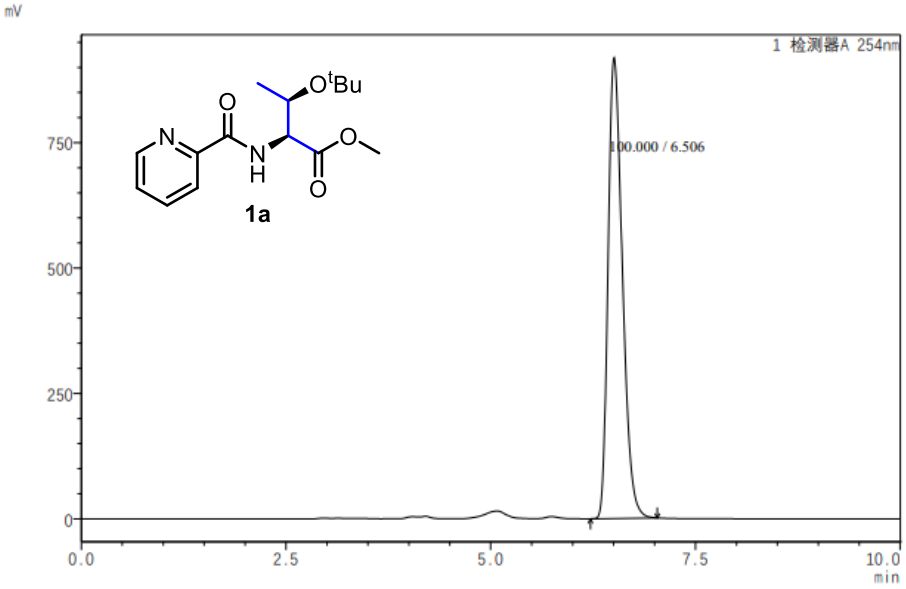
==== Shimadzu LabSolutions 分析报告 ====



D:\zymzdx\2\2-SR.lcd

1a IB-N5, Hex/^{i}PrOH = 80/20, rate = 1 mL/min, 254 nm

==== Shimadzu LabSolutions 分析报告 ====

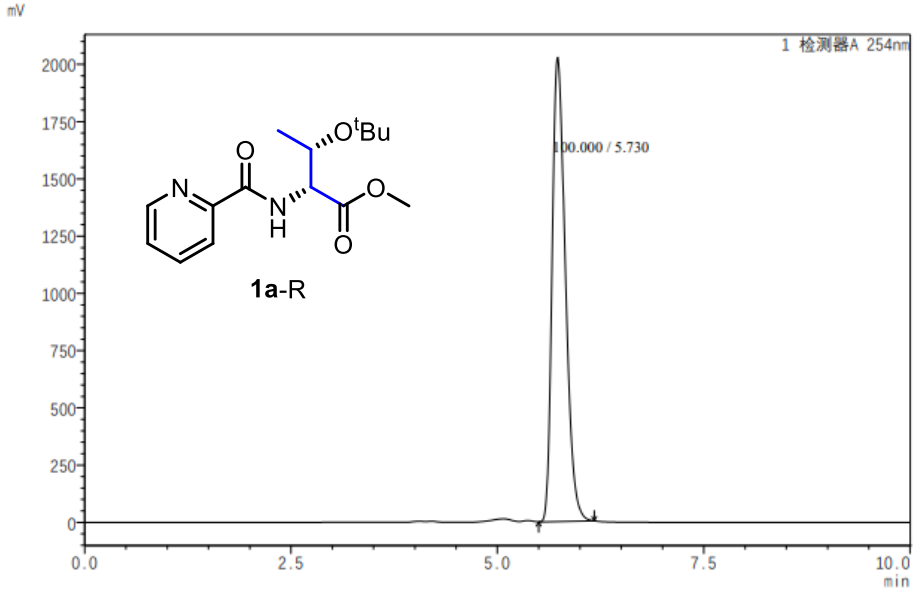


D:\zymzdx\2-S and 2-Y-SR\2-Y-S.lcd

1a-R, IB-N5, Hex/^{i}PrOH = 80/20, rate = 1 mL/min, 254 nm

2022/12/11 21:45:18 1 / 1

==== Shimadzu LabSolutions 分析报告 ====

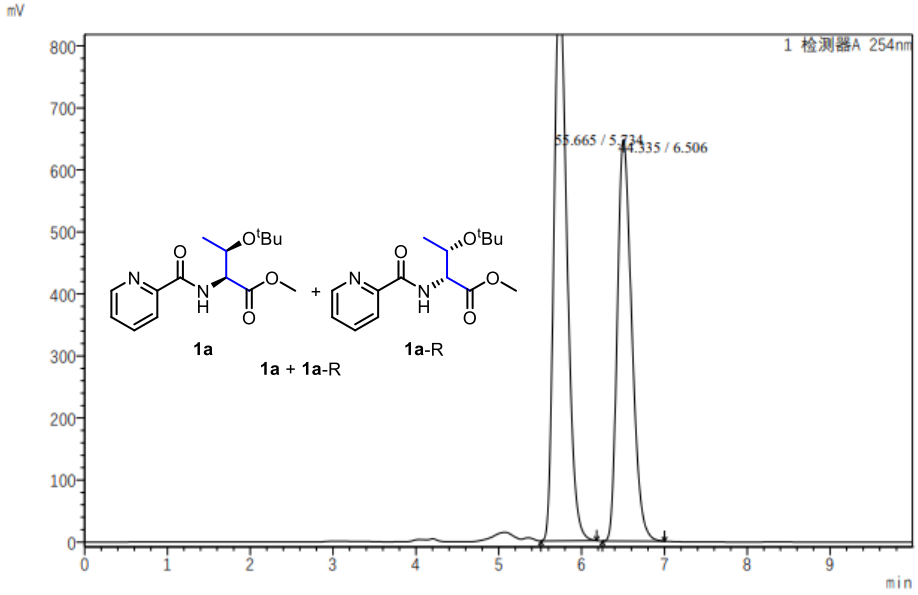


D:\zymzdx\2-S and 2-Y-SR\2-Y-R.lcd

1a-R+**1a** (1:1), IB-N5, Hex/^{i}PrOH = 80/20, rate = 1 mL/min, 254 nm

2022/12/11 21:30:28 1 / 1

==== Shimadzu LabSolutions 分析报告 ====

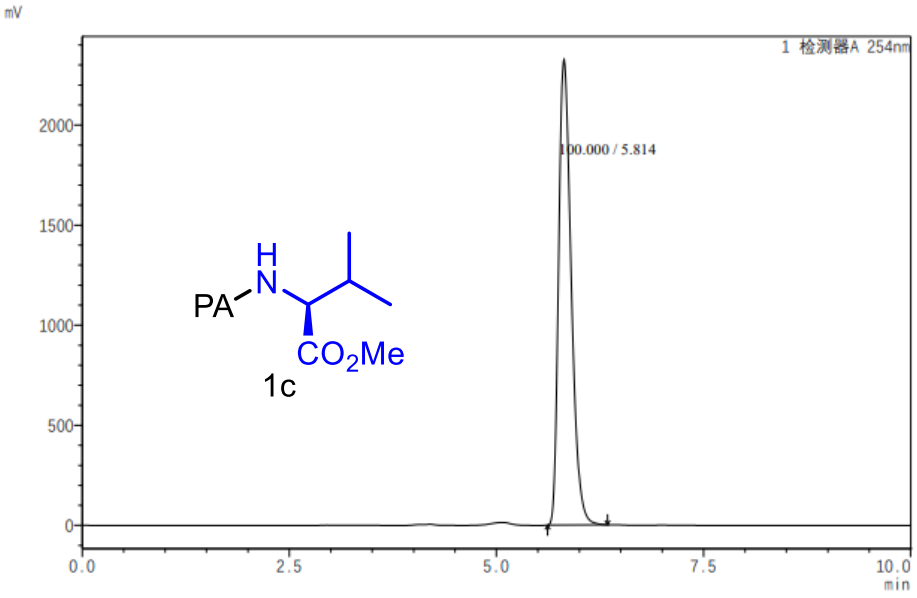


D:\zymzdx\2-S and 2-Y-SR\2-Y-SR.lcd

1c, IB-N5, Hex/^{i}PrOH = 80/20, rate = 1 mL/min, 254 nm

2022/12/11 22:41:04 1 / 1

==== Shimadzu LabSolutions 分析报告 ====

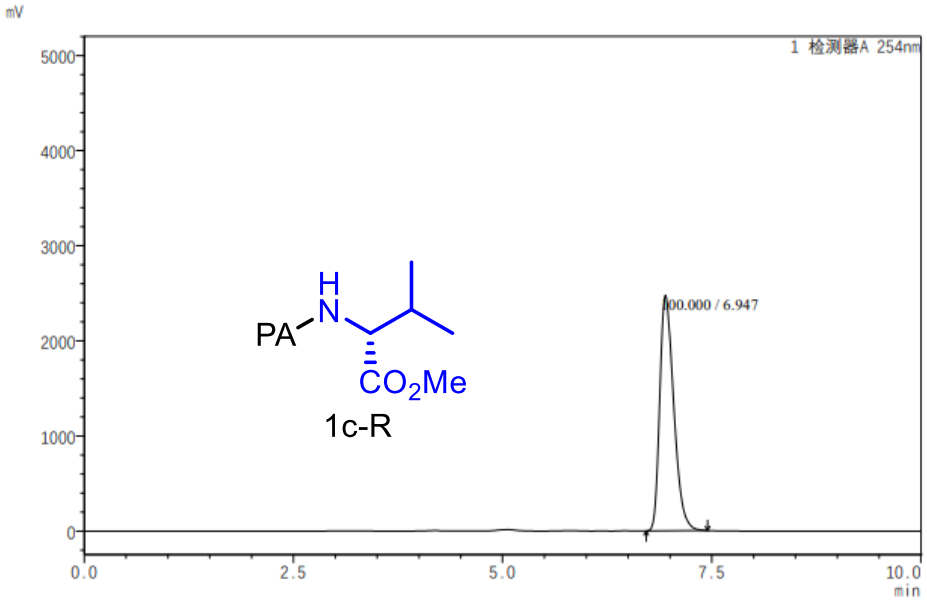


D:\zymzdx\3-Y\3-Y-L.lcd

1c-R, IB-N5, Hex/^{i}PrOH = 80/20, rate = 1 mL/min, 254 nm

2022/12/11 22:24:33 1 / 1

==== Shimadzu LabSolutions 分析报告 ====

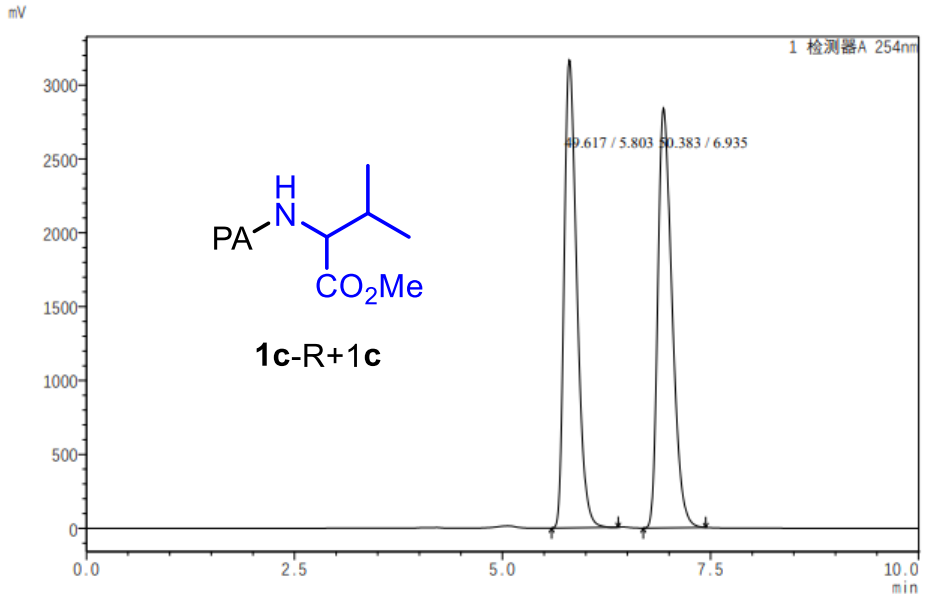


D:\zymzdx\3-Y\3-Y-D.lcd

1c+**1c**-R, IB-N5, Hex/^{i}PrOH = 80/20, rate = 1 mL/min, 254 nm

2022/12/11 22:24:41 1 / 1

==== Shimadzu LabSolutions 分析报告 ====

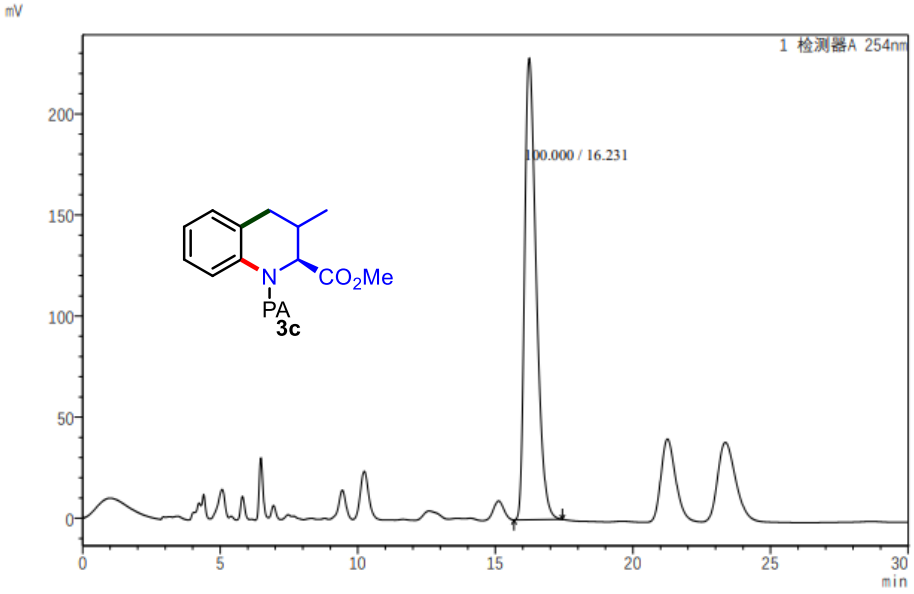


D:\zymzdx\3-Y\3-Y-DL.lcd

3c, IB-N5, Hex/^{i}PrOH = 80/20, rate = 1 mL/min, 254 nm

2022/12/12 12:55:50 1 / 1

==== Shimadzu LabSolutions 分析报告 ====

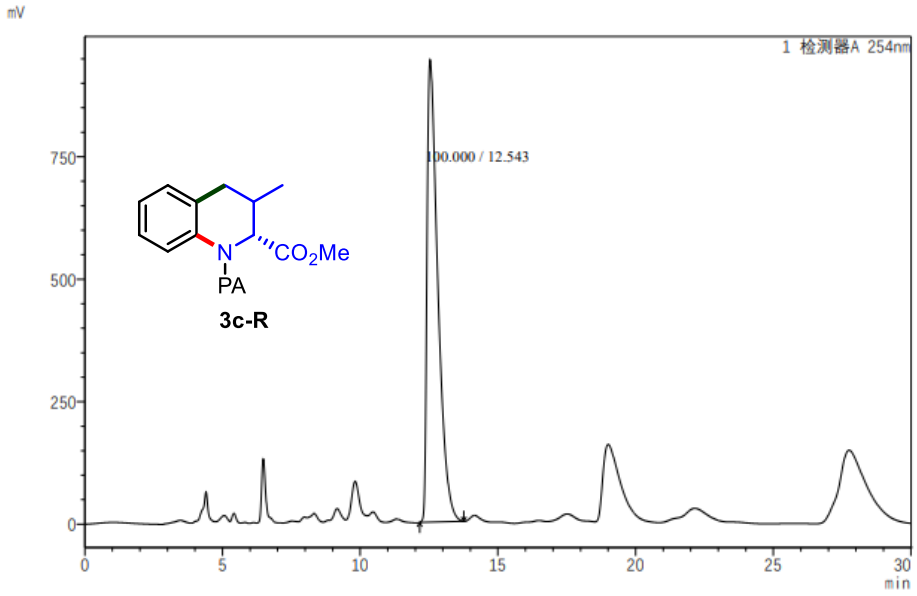


D:\zymzdx\3\3-L.lcd

3c-R, IB-N5, Hex/^{i}PrOH = 80/20, rate = 1 mL/min, 254 nm

2022/12/12 12:56:04 1 / 1

==== Shimadzu LabSolutions 分析报告 ====

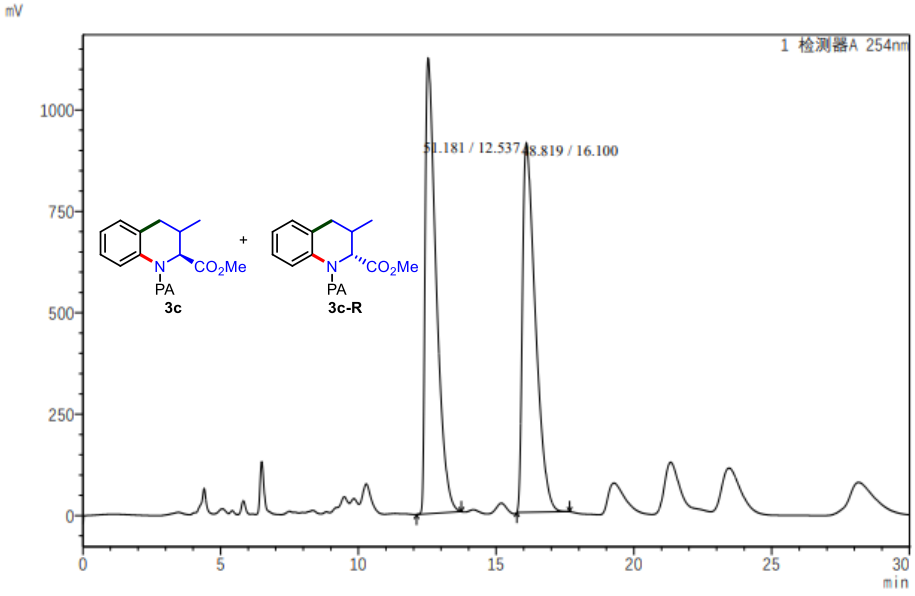


D:\zymzdx\3\3-D.lcd

3c+**3c**-R (1:1), IB-N5, Hex/^{i}PrOH = 80/20, rate = 1 mL/min, 254 nm

2022/12/12 12:55:57 1 / 1

==== Shimadzu LabSolutions 分析报告 ====



D:\zymzdx\3\3-DL.lcd

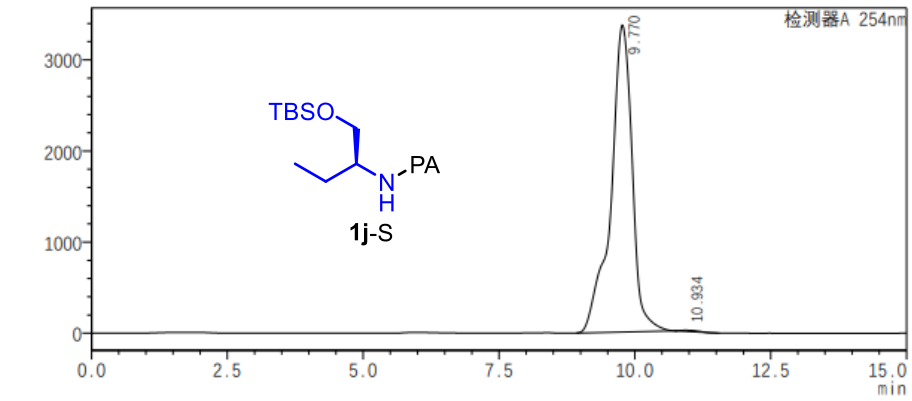
1j-S, AD-3 rate 0.5ml/min Hex:iPr 90:10, 254 nm

SHIMADZU LabSolutions 分析报告

<样品信息>

样品名	: 1-S		
样品ID	:		
数据文件名	: 1-S1.lcd		
方法文件名	: 消旋产物.lcm		
批处理文件名	:		
样品瓶号	: 1-1	样品类型	: 未知
进样体积	: 20 uL		
分析日期	: 2022/12/28 20:14:58	分析者	: System Administrator
处理日期	: 2022/12/29 09:36:01	处理者	: System Administrator

<色谱图>



<峰表>

检测器A 254nm

峰号	保留时间	面积	高度	浓度	浓度单位	标记	化合物名
1	9.770	92430011	3368278	99.720		M	
2	10.934	259430	11969	0.280		M	
总计		92689441	3380247				

D:\zymzdx\1\1-S1.lcd

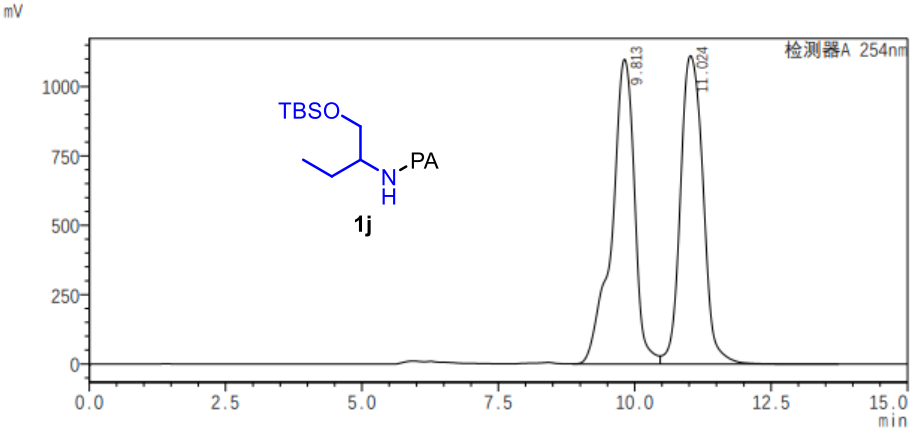
1j, AD-3 rate 0.5ml/min Hex:iPr 90:10, 254 nm

SHIMADZU LabSolutions 分析报告

<样品信息>

样品名	: 1-SR		
样品ID	:		
数据文件名	: 1-SR1.lcd		
方法文件名	: 消旋产物.lcm		
批处理文件名	:		
样品瓶号	: 1-1	样品类型	: 未知
进样体积	: 20 uL		
分析日期	: 2022/12/28 19:58:49	分析者	: System Administrator
处理日期	: 2022/12/28 20:16:19	处理者	: System Administrator

<色谱图>



<峰表>

检测器A 254nm

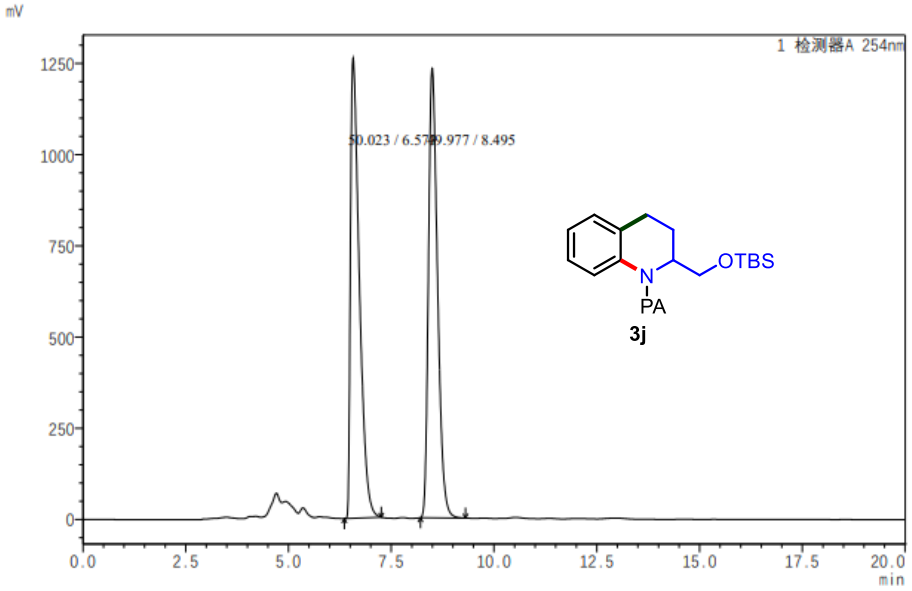
峰号	保留时间	面积	高度	浓度	浓度单位	标记	化合物名
1	9.813	31958331	1099012	49.786			
2	11.024	32232607	1111730	50.214		V	
总计		64190938	2210742				

D:\zymzdx\1\1-SR1.lcd

3j IB-N5, Hex/^{i}PrOH = 80/20, rate = 1 mL/min, 254 nm

2022/11/12 16:38:32 1 / 1

==== Shimadzu LabSolutions 分析报告 ====

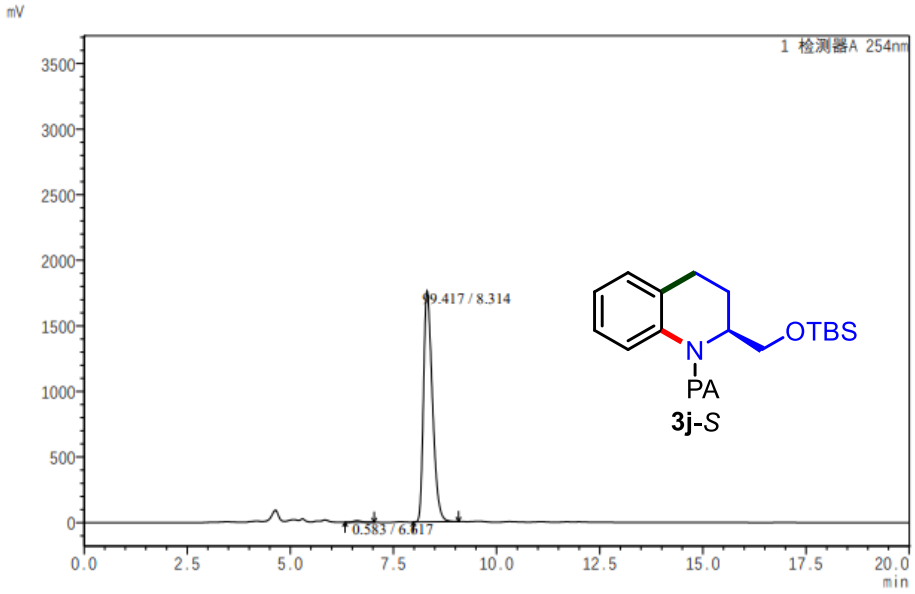


D:\HL\不饱和亚胺全氢化\中央民族大学\test 80: 20IB-6.lcd

3j-S IB-N5, Hex/^{i}PrOH = 80/20, rate = 1 mL/min, 254 nm

2022/11/12 17:24:19 1 / 1

==== Shimadzu LabSolutions 分析报告 ====



D:\HL\不饱和亚胺全氢化\中央民族大学\test 80: 20IB-N5(手性样品)1.lcd