Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Supporting Information

Dynamic Kinetic Resolution of *α*-F-*β*-Ketone Amides (Esters) via Ir/*f*-Diaphos Catalyzed Asymmetric Hydrogenation

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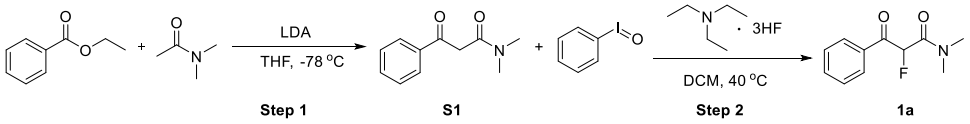
1. General experimental information

All reactions were performed in an argon-filled glovebox. Anhydrous EA and toluene were distilled from sodium benzophenoneketyl. Anhydrous MeOH, EtOH and ^{i}PrOH were freshly distilled from magnesium. Hydrogen gas (99.999%), $[Ir(COD)Cl]_2$ and other chemical reagents were purchased from commercial suppliers. ^{1}H NMR (400 or 600 MHz), ^{13}C NMR (100 or 151 MHz) and ^{19}F NMR (376 or 565 MHz) spectra were recorded on a Bruker ADVANCE III instruments in $CDCl_3$ with TMS as internal standard. ^{1}H NMR chemical shifts were referenced to Deuterium chloroform signal (7.26 ppm), ^{13}C NMR chemical shifts were referenced to the solvent resonance (77.00 ppm, $CDCl_3$). Optical rotations were determined using an AUTOPOL V polarimeter. HPLC analysis were performed using Agilent 1100 or Waters e2695 equipped with ID-H, OD-H, AD-H and IJ-H. HRMS spectra were recorded on an Agilent 1200HPLC-6210TOFMS using ESI as ion source. The conversion of starting materials was monitored by thin layer chromatography (TLC) using silica gel plates (silica gel 60 F254 0.25 mm), and components were visualized by observation under UV light (254 and 365 nm).

2. General procedure for the preparation of ligands

Ligand **1-6** were prepared according to a procedure reported in our previous papers.[1-5]

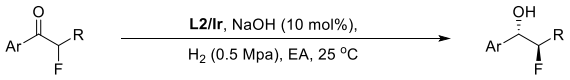
3. General procedure for the preparation of substrates



Step 1[6]**:** To a dried three-necked flask equipped with a dropping funnel, a condenser, and a magnetic stirrer, DMAc (20 mmol) and THF (20 ml) were added under N_2 atmosphere. The mixture was cooled to -78 ℃. A solution of LDA (40 mmol) in THF (10 mL) was added dropwise from the dropping funnel over 0.5 h and the reaction mixture stirred for another 0.5 h. Ethyl benzoate (23 mmol) was then added and the reaction mixture stirred for a further 0.5 h. The reaction mixture was poured onto saturated aqueous NH_4Cl and extracted with dichloromethane (3×15 mL). The combined organic extracts were washed with brine, dried over Na_2SO_4 and the solvent removed in vacuo. The residue was purified by flash column chromatography over silica to give the corresponding key intermediates **S1** in 55-86% yield.

Step 2[7]: To a dried flask equipped with a magnetic stirrer, Iodosyl benzene (2.7 mmol), $Et_3N{\cdot}3HF$ (18 mmol) and DCM (6 ml) were added. The mixture was stirred at room temperature for 20 minutes. **S1** (2 mmol) was added and the reaction mixture stirred for another 0.5 h. The mixture was heated to 40 ℃ for 10 h. The reaction mixture was poured onto saturated aqueous $NaHCO_3$ and extracted with dichloromethane (3 × 15 mL). The combined organic extracts were washed with brine, dried over Na_2SO_4 and the solvent removed in vacuo. The residue was purified by flash column chromatography over silica to give the corresponding *α*-F-*β*-ketone amides (Esters) **1a-3h** in 68-95% yield.

4. General procedure for the asymmetric hydrogenation of *α*-F-*β*-ketone amides (Esters)



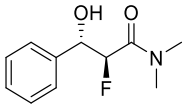
R = amide, ester, sulfone groups

General procedure for the Preparation procedure of Ir-L2 catalyst: Under argon atmosphere, $[Ir(COD)Cl]_2$ (1.68 mg, 0.0025 mmol), **L2** (3.48 mg, 0.0055 mmol), and anhydrous ^{i}PrOH (2 mL) were added to an oven-dried vial (10 mL) and then stirred at 40 °C for 1.0 h to give a clear yellow solution. The mixture was concentrated to dryness, then 2 mL of dried ethyl acetate or Toluene was added to the crude **Ir-L2** complex.

General procedure for the asymmetric hydrogenation of *α*-F-*β*-ketone amides: An aliquot of the catalyst solution (0.4 mL, 0.001 mmol) was transferred into a 10 mL hydrogenation vessel, and then substrates (1.0 mmol), NaOH (4.0 mg, 0.10 mmol, in EA) and anhydrous ethyl acetate were added. The vial was placed in an alloy plate which was then placed into the autoclave. And the autoclave was purged five times with argon atmosphere and hydrogen, then pressurized to 5 atm of H_2 and stirred at 25℃ for 16 h. Upon completion, the reaction mixture was cooled to room temperature. After the hydrogen pressure was slowly released, the solvent was removed, and the mixture was purified by passing through a short column of silica gel to afford the corresponding products. The ee values of all compounds were determined by HPLC with a chiral column.

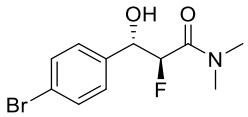
General procedure for the asymmetric hydrogenation of *α*-F-*β*-ketone Esters: An aliquot of the catalyst solution (0.4 mL, 0.001 mmol) was transferred into a 10 mL hydrogenation vessel, and then substrates (1.0 mmol), NaOH (4.0 mg, 0.10 mmol, in Toluene) and anhydrous Toluene (2 mL) were added. The vial was placed in an alloy plate which was then placed into the autoclave. And the autoclave was purged five times with argon atmosphere and hydrogen, then pressurized to 10 atm of H_2 and stirred at 40 ℃ for 24 h. Upon completion, the reaction mixture was cooled to room temperature. After the hydrogen pressure was slowly released, the solvent was removed, and the mixture was purified by passing through a short column of silica gel to afford

the corresponding products. The ee values of all compounds were determined by HPLC with a chiral column.



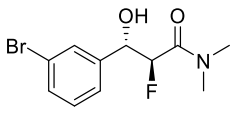
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-phenylpropanamide (2a):

White solid, 99% yield, ＞99% *ee*, 97/3 *dr*, m.p. 67.4 - 70.6 ℃; $[\alpha]^{20}_{D}$ = +372.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 25.99 min (major), t_{R2} = 28.31 min (minor), t_{R3}= 33.94 min (minor), t_{R4} = 35.06 min (minor).^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 (d, *J* = 7.2 Hz, 2H), 7.39 – 7.33 (m, 3H), 5.11 (t, *J* = 7.2 Hz, 1H), 4.97 (dd, *J* = 46.0, 7.2 Hz, 1H), 4.28 (s, 1H), 2.98 (s, 3H), 2.94 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.0 (d, *J* = 19.8 Hz), 128.5, 128.4, 127.0 (d, *J* = 1.3 Hz), 88.5 (d, *J* = 185.0 Hz), 72.8 (d, *J* = 24.2 Hz), 36.9 (d, *J* = 5.8 Hz), 35.9. ^{19}F NMR (376 MHz, $CDCl_3$) δ -187.12. HRMS (ESI) calcd for $C_{16}H_{18}BrO_3S$ $[M+H]^+$: 211.1009, found: 211.1005.



(2*S*,3*S*)-3-(4-bromophenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2b):

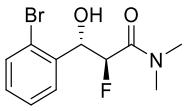
White solid, 98% yield, 99% *ee*, 97/3 *dr*, m.p. 131.5-133.6 ℃; $[\alpha]^{20}_{D}$ = +62.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak IJ-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 11.22 min (minor), t_{R2} = 12.33 min (major), t_{R3}= 14.25 min (minor), t_{R4} = 16.21 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (d, *J* = 8.4 Hz, 2H), 7.32 (d, *J* = 8.4 Hz, 2H), 5.08 (t, *J* = 8.0, 1H), 4.87 (dd, *J* = 46.0, 7.6 Hz, 1H), 4.13 (s, 1H), 3.01 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.9 (d, *J* = 19.6 Hz), 138.0, 131.6, 128.8 (d, *J* = 1.6 Hz), 122.4, 88.4 (d, *J* = 185.8 Hz), 72.1 (d, *J* = 24.4 Hz), 37.0 (d, *J* = 6.2 Hz), 36.0. ^{19}F NMR (376 MHz, $CDCl_3$) δ -187.01. HRMS (ESI) calcd for $C_{17}H_{20}BrO_3S$ $[M+H]^+$: 289.0114, found: 289.0112.



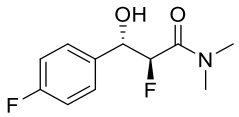
(2*S*,3*S*)-3-(3-bromophenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2c):

Colorless liquid, 95% yield, 99% *ee*, 93/7 *dr*; $[\alpha]^{20}_{D}$ = +72.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 94:6; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 20.23 min

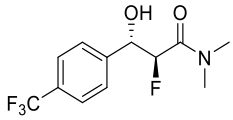
(major), t_{R2} = 31.81 min (minor), t_{R3}= 34.23 min (minor), t_{R4} = 39.17 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.66 (s, 1H), 7.50 (d, *J* = 8.0 Hz, 1H), 7.40 (d, *J* = 7.6 Hz, 1H), 7.29 (d, *J* = 7.6 Hz, 1H), 5.12 (t, *J* = 6.0, 1H), 4.94 (dd, *J* = 46.4, 8.0 Hz, 1H), 3.05 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.9 (d, *J* = 19.7 Hz), 141.3, 131.5, 130.1, 130.0, 125.9 (d, *J* = 1.7 Hz), 122.6, 88.4 (d, *J* = 185.9 Hz), 72.0 (d, *J* = 24.4 Hz), 37.0 (d, *J* = 6.0 Hz), 36.0. ^{19}F NMR (376 MHz, $CDCl_3$) δ -187.12. HRMS (ESI) calcd for $C_{20}H_{26}BrO_3S$ $[M+H]^+$: 289.0114, found: 289.0113.



(2*S*,3*S*)-3-(2-bromophenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2d):
White solid, 80% yield, 10% *ee*, 40/60 *dr*, m.p.75.0-78.0 ℃; $[\alpha]^{20}_D$ = +16.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 19.03 min (minor), t_{R2} = 23.69 min (minor), t_{R3}= 26.20 min (major), t_{R4} = 32.15 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.56 (dd, *J* = 12.4, 8.0 Hz, 2H), 7.37 (t, *J* = 7.6 Hz, 1H), 7.19 (t, *J* = 8.0 Hz, 1H), 5.58 (t, *J* = 7.6 Hz,1H), 5.28 (dd, *J* = 45.2, 4.8 Hz, 1H), 4.76 (d, *J* = 5.6 Hz, 1H), 2.92 (s, 4H), 2.81 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.5 (d, *J* = 20.3 Hz), 137.7 (d, *J* = 5.1 Hz), 132.8, 129.9, 128.8, 127.9, 123.0, 86.5 (d, *J* = 185.8 Hz), 72.6 (d, *J* = 24.2 Hz), 36.8 (d, *J* = 4.6 Hz), 35.8. ^{19}F NMR (376 MHz, $CDCl_3$) δ -187.52. HRMS (ESI) calcd for $C_{16}H_{17}BrNO_5S$ $[M+H]^+$: 289.0114, found: 289.0111.

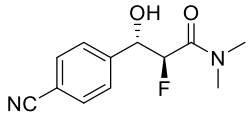


(2*S*,3*S*)-2-fluoro-3-(4-fluorophenyl)-3-hydroxy-N,N-dimethylpropanamide (2e):
White solid, 99% yield, 97% *ee*, 99/1 *dr*, m.p. 113.3-116.3 ℃; $[\alpha]^{20}_D$ = +48.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak OD-H column, hexane: isopropanol = 96:4; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 18.37 min (minor), t_{R2} = 24.78 min (minor), t_{R3}= 29.01 min (major), t_{R4} = 47.61 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42 (m, 2H), 7.07 (t, *J* = 8.8 Hz, 2H), 5.09 (t, *J* = 7.2 Hz, 1H), 4.88 (dd, *J* = 46.4, 8.0 Hz, 1H), 4.21 (s, 1H), 3.01 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.0 (d, *J* = 19.5 Hz), 164.1, 161.6, 134.7, 134.7 (d, *J* = 1.2 Hz), 128.9 (d, *J* = 1.6 Hz), 128.8 (d, *J* = 1.4 Hz), 115.4 (d, *J* = 21.4 Hz), 88.6 (d, *J* = 185.6 Hz), 72.1 (d, *J* = 24.4 Hz), 37.0 (d, *J* = 6.3 Hz), 36.0. ^{19}F NMR (376 MHz, $CDCl_3$) δ -113.96, -186.98. HRMS(ESI) calcd for $C_{16}H_{17}BrFO_3S$ $[M+H]^+$: 229.0914, found: 229.0911.



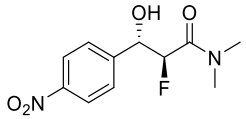
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(4-(trifluoromethyl)phenyl)propanamide (2f):

White solid, 99% yield, 99% *ee*, 96/4 *dr*, m.p.140.0-143.2 ℃; $[\alpha]^{20}_D$ = +78.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 8.03 min (minor), t_{R2} = 8.86 min (minor), t_{R3}= 12.86 min (major), t_{R4} = 19.35 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 (d, *J* = 8.4 Hz, 2H), 7.57 (d, *J* = 8.4 Hz, 2H), 5.17 (t, *J* = 6.4 Hz, 1H), 4.89 (dd, *J* = 46.4, 8.0 Hz, 1H), 4.38 (s, 1H), 3.01 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.5 (d, *J* = 19.5 Hz), 142.7, 130.4, 130.1, 127.2 (d, *J* = 1.7 Hz), 125.2, 125.1 (q, *J* = 3.7 Hz), 122.5, 88.1 (d, *J* = 186.2 Hz), 71.7 (d, *J* = 24.2 Hz), 36.7 (d, *J* = 6.2 Hz), 35.7. ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.57, -187.21. HRMS (ESI) calcd for $C_{17}H_{17}BrF_3O_3S$ $[M+H]^+$: 279.0882, found: 279.0884.



(2*S*,3*S*)-3-(4-cyanophenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2g):

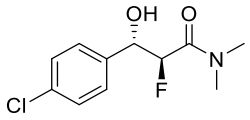
Colorless liquid, 92% yield, 98% *ee*, 95/*5 dr*.; $[\alpha]^{20}_D$ = +36.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak OD-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 43.16 min (minor), t_{R2} = 47.60 min (minor), t_{R3}= 63.71 min (major), t_{R4} = 95.60 min (minor). ^{1}H NMR (600 MHz, Chloroform-d) δ 7.70 (d, J = 8.4 Hz, 1H), 7.60 (d, J = 8.4 Hz, 1H), 5.181 (t, J = 7.8, 1H), 4.86 (dd, J = 46.2, 8.4 Hz, 1H), 4.36 (s, 1H), 3.07 (s, 3H), 3.05 (s, 3H). ^{13}C NMR (151 MHz, Chloroform-d) δ 167.6 (d, J = 19.5 Hz), 144.3, 132.2, 127.9 (d, J = 2.0 Hz), 118.9, 112.2, 88.3 (d, J = 188.3 Hz), 71.9 (d, J = 24.3 Hz), 37.1 (d, J = 6.5 Hz), 36.1. ^{19}F NMR (565 MHz, Chloroform-d) δ -187.16. HRMS (ESI) calcd for $C_{17}H_{21}O_4S$ $[M+H]^+$: 236.0961, found: 236.0965.



(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(4-nitrophenyl)propenamide (2h):

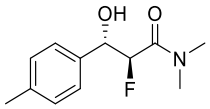
White solid, 90% yield, 96% *ee*, 90/10 *dr*, m.p. 132.2-135.1 ℃; $[\alpha]^{20}_D$ = +96.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak OD-H column, hexane: isopropanol = 93:7; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 56.05 min (minor), t_{R2} = 70.50 min (minor), t_{R3}= 100.66 min (major), t_{R4} = 166.4 min (minor). ^{1}H NMR (600 MHz, Chloroform-d) δ 8.23 (d, J = 8.4 Hz, 2H),

7.64 (d, J = 8.4 Hz, 2H), 5.22 (t, J = 7.2 Hz, 1H), 4.87 (dd, J = 46.2, 7.8 Hz, 1H), 4.46 (s, 1H), 3.03 (s, 6H). ^{13}C NMR (151 MHz, Chloroform-d) δ 167.4 (d, J = 19.6 Hz), 147.8, 146.2, 128.0, 123.5, 88.2 (d, J = 188.1 Hz), 71.6 (d, J = 24.2 Hz), 37.0 (d, J = 6.3 Hz), 36.0. ^{19}F NMR (565 MHz, Chloroform-d) δ -187.13. HRMS (ESI) calcd for $C_{17}H_{21}O_4S$ $[M+H]^+$: 256.0895, found: 256.0892.



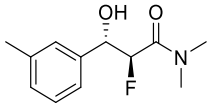
(2*S*,3*S*)-3-(4-chlorophenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2i):

White solid, 95% yield, 99% *ee*, 96/4 *dr*, m.p. 117.5-121.7 ℃; $[\alpha]^{20}_D$ = +58.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 16.42 min (major), t_{R2} = 18.52 min (minor), t_{R3}= 19.56 min (minor), t_{R4} = 23.82 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.39 – 7.33 (m, 4H), 5.09 (t, *J* = 6.8 Hz, 1H), 4.87 (dd, *J* = 46.0, 7.6 Hz, 1H), 4.28 (s, 1H), 3.00 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.9 (d, *J* = 19.5 Hz), 137.5 (d, *J* = 1.4 Hz), 134.2, 128.7, 128.5 (d, *J* = 1.5 Hz), 88.5 (d, *J* = 185.7 Hz), 72.0 (d, *J* = 24.4 Hz), 37.0 (d, *J* = 6.1 Hz), 36.0. ^{19}F NMR (376 MHz, $CDCl_3$) δ -187.08. HRMS (ESI) calcd for $C_{17}H_{20}BrO_3S$ $[M+H]^+$: 245.0619, found: 245.0613.



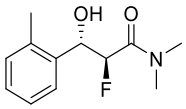
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(*p*-tolyl)propanamide (2j):

White solid, 99% yield, 99% *ee*, 90/10 *dr*, m.p.88.1-90.5 ℃; $[\alpha]^{20}_D$ = +52.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 20.27 min (major), t_{R2} = 24.15 min (minor), t_{R3}= 26.71 min (minor), t_{R4} = 32.28 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.32 (d, *J* = 8.0 Hz, 2H), 7.19 (d, *J* = 8.0 Hz, 2H), 5.09 (t, *J* = 7.2 Hz, 1H), 4.95 (dd, *J* = 46.4, 7.6 Hz, 1H), 4.13 (s, 1H), 3.00 (s, 3H), 2.97 (s, 3H), 2.35 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.1 (d, *J* = 19.8 Hz), 138.2, 135.9 (d, *J* = 1.8 Hz), 130.3, 129.2, 127.0 (d, *J* = 1.3 Hz), 88.6 (d, *J* = 184.7 Hz), 72.7 (d, *J* = 24.9 Hz), 37.0 (d, *J* = 5.8 Hz), 36.0, 21.3. ^{19}F NMR (376 MHz, $CDCl_3$) δ -186.87. HRMS (ESI) calcd for $C_{16}H_{17}BrFO_3S$ $[M+H]^+$: 225.1165, found:225.1168.



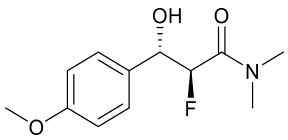
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(*m*-tolyl)propanamide (2k):

Colorless liquid, 99% yield, 98% *ee*, 96/4 *dr*. $[\alpha]^{20}_D$ = +74.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak OD-H column, hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 10.61 min (minor), t_{R2} = 11.43 min (minor), t_{R3}= 13.08 min (major), t_{R4} = 15.78 min (minor). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.26 (m, 2H), 7.22 (d, *J* = 7.6 Hz, 1H), 7.15 (d, *J* = 7.2 Hz, 1H), 5.08 (t, *J* = 7.2 Hz, 1H), 4.97 (dd, *J* = 46.4, 7.6 Hz, 1H), 4.18 (d, *J* = 3.6 Hz, 1H), 3.00 (s, 3H), 2.97 (s, 3H), 2.37 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.0 (d, *J* = 19.8Hz), 138.7 (d, *J* = 1.8 Hz), 138.1, 129.2, 128.3, 127.5, 124.1 (d, *J* = 1.4Hz), 88.4 (d, *J* = 184.8 Hz), 72.7 (d, *J* = 24.5 Hz), 36.9 (d, *J* = 5.8 Hz), 35.8, 21.5. ^{19}F NMR (376 MHz, $CDCl_3$) δ -186.91. HRMS (ESI) calcd for $C_{16}H_{17}BrO_3S$ $[M+H]^+$: 225.1165, found: 225.1162.



(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(*o*-tolyl)propanamide (2l):

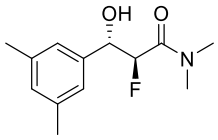
White solid, 85% yield, 60% *ee*, 89/11 *dr*, m.p.87.0-90.8 ℃; $[\alpha]^{20}_D$ = +60.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 90:10; flow rate = 1.0 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 20.27 min (major), t_{R2} = 23.15 min (minor), t_{R3}= 26.33 min (minor), t_{R4} = 31.41 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 (d, *J* = 5.6 Hz, 1H), 7.22 (m, 2H), 7.17 (d, *J* = 5.2 Hz, 1H), 5.44 (t, *J* = 6.0 Hz, 1H), 5.02 (dd, *J* = 46.4, 7.6 Hz, 1H), 4.09 (s, 1H), 3.01 (s, 3H), 2.94 (s, 3H), 2.38 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 168.2 (d, *J* = 19.7 Hz), 137.1, 136.4, 130.4, 128.2, 126.4, 126.4, 88.7 (d, *J* = 184.7 Hz), 68.8 (d, *J* = 24.9 Hz), 36.9 (d, *J* = 5.9 Hz), 36.0, 19.5 (d, *J* = 2.6 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -187.64. HRMS (ESI) calcd for $C_{20}H_{20}BrO_3S$ $[M+H]^+$:225.1165, found: 225.1160.



(2*S*,3*S*)-2-fluoro-3-hydroxy-3-(4-methoxyphenyl)-N,N-dimethylpropanamide (2m):

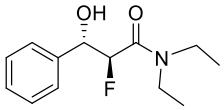
White solid, 85% yield, 99% *ee*, 87/13 *dr*, m.p.73.7-76.2 ℃; $[\alpha]^{20}_D$ = +54.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 38.81 min (major), t_{R2} = 49.46 min (minor), t_{R3}= 53.49 min (minor), t_{R4} = 59.93 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.35 (d, *J* =8.4 Hz, 2H), 6.91 (d, *J* = 8.8 Hz, 2H), 5.07 (t, *J* = 6.8 Hz, 1H), 4.94 (dd, *J* = 46.4, 7.6 Hz, 1H), 3.81 (s, 3H), 2.99 (s, 3H), 2.97 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.1 (d, *J* = 19.7 Hz),

159.6, 130.9 (d, *J* = 1.8 Hz), 128.2, 128.1, 113.8, 88.5 (d, *J* = 184.6 Hz), 72.3 (d, *J* = 24.4 Hz), 55.3, 36.9 (d, *J* = 5.8 Hz), 35.9. ^{19}F NMR (376 MHz, $CDCl_3$) δ -186.83. HRMS (ESI) calcd for $C_{15}H_{17}BrNO_3S$ $[M+H]^+$: 241.1114, found: 241.1118.



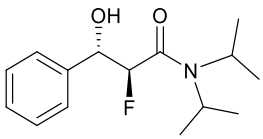
(2*S*,3*S*)-3-(3,5-dimethylphenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2n):

Colorless liquid, 99% yield, 99% *ee*, 93/7 *dr*; $[\alpha]^{20}_D$ = +83.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 220 nm; t_{R1} = 17.35 min (minor), t_{R2} = 18.96 min (major), t_{R3}= 22.72 min (minor), t_{R4} = 24.52 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.05 (s, 2H), 6.99 (s, 1H), 5.04 – 4.91 (m, 2H), 4.20 (s, 1H), 3.00 (s, 3H), 2.97 (s, 3H), 2.32 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.1 (d, *J* = 19.9 Hz), 138.7 (d, *J* = 1.7 Hz), 138.0, 130.1, 124.7 (d, *J* = 1.3 Hz), 88.4(d, *J* = 184.5 Hz), 72.7 (d, *J* = 24.5 Hz), 36.9 (d, *J* = 5.7 Hz), 35.9, 21.3. ^{19}F NMR (376 MHz, $CDCl_3$) δ -186.87. HRMS (ESI) calcd for $C_{14}H_{16}BrO_3S_2$ $[M+H]^+$: 239.1322, found: 239.1325.



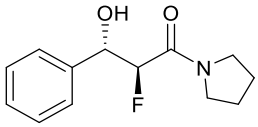
(2*S*,3*S*)-N,N-diethyl-2-fluoro-3-hydroxy-3-phenylpropanamide (2o):

Colorless liquid, 96% yield, 99% *ee*, 99/1 *dr*; $[\alpha]^{20}_D$ = +46.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 95:5; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 220 nm; t_{R1} = 14.77 min (major), t_{R2} = 15.86 min (minor), t_{R3}= 20.23 min (minor), t_{R4} = 21.69 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44 (d, *J* = 6.4 Hz, 2H), 7.40 – 7.33 (m, 3H), 5.14 (t, *J* = 7.2 Hz, 1H), 4.91 (dd, *J* = 46.8, 7.6 Hz, 1H), 4.41 (s, 1H), 3.47 – 3.23 (m, 4H), 1.17 – 1.09 (m, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.4 (d, *J* =19.8 Hz), 138.8 (d, *J* = 1.9 Hz), 128.4, 127.0, 88.3 (d, *J* = 185.0 Hz), 72.8 (d, *J* = 24.4 Hz), 41.9 (d, *J* = 4.5 Hz), 40.7. ^{19}F NMR (376 MHz, $CDCl_3$) δ -186.09. HRMS (ESI) calcd for $C_{11}H_{16}BrO_3S$ $[M+H]^+$: 239.1322, found: 239.1320.



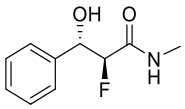
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-diisopropyl-3-phenylpropanamide (2p):

White solid, 96% yield, 57% *ee*, 61/39 *dr*, m.p. 89.2-102.3 ℃; $[\alpha]^{20}_D$ = +16.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 9.98 min (minor), t_{R2} = 11.02 min (major), t_{R3}= 13.35 min (minor), t_{R4} = 15.65 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 (d, *J* = 7.2 Hz, 2H), 7.39 – 7.31 (m, 3H), 5.20 (dd, *J* = 24.4, 3.6 Hz, 1H), 5.05 (dd, *J* = 47.2, 3.6 Hz, 1H), 4.21 (s, 1H), 3.96 – 3.89 (m, 1H), 3.48 – 3.44 (m, 1H), δ 1.45 – 1.35 (m, 6H), 1.06 (dd, *J* = 54.0, 6.8 Hz, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.8 (d, *J* = 20.0 Hz), 138.2 (d, *J* = 3.5 Hz), 128.5, 128.3, 127.1, 90.3 (d, *J* = 186.5 Hz), 73.7 (d, *J* = 19.9 Hz), 49.1 (d, *J* = 5.0 Hz), 46.8, 20.9 (d, *J* = 35.1 Hz), 20.3, 20.2. ^{19}F NMR (376 MHz, $CDCl_3$) δ -197.39. HRMS (ESI) calcd for $C_{18}H_{20}BrO_3S$ $[M+H]^+$: 267.1635, found: 267.1632.



(2*S*,3*S*)-2-fluoro-3-hydroxy-3-phenyl-1-(pyrrolidin-1-yl)propan-1-one (2q)：

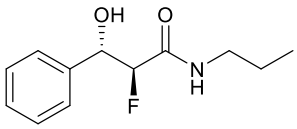
Colorless liquid, 99% yield, 94% *ee*, 84/16 *dr*; $[\alpha]^{20}_D$ = +23.8 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak IA-H column, hexane: isopropanol = 94:6; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 24.60 min (minor), t_{R2} = 27.21 min (minor), t_{R3}= 28.98 min (major), t_{R4} = 32.10 min (minor). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.46 – 7.30 (m, 5H), 5.13 (t, *J* = 4.0 Hz, 1H), 4.90 (dd, *J* = 47.2, 7.2 Hz, 1H), 4.40 (d, *J* = 4.4 Hz, 1H), 3.53 – 3.46 (m, 2H), 3.45 – 3.34 (m, 1H), 3.29 – 3.18 (m, 1H), 1.85 – 1.73 (m, 4H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 166.7 (d, *J* = 20.3 Hz), 138.9 (d, *J* = 2.2 Hz), 128.5, 128.5, 127.0, 89.9 (d, *J* = 186.4 Hz), 73.2 (d, *J* = 23.6 Hz), 46.6, 26.1 (d, *J* = 1.8 Hz), 23.7. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -190.30. HRMS (ESI) calcd for $C_{14}H_{14}BrO_3S$ $[M+H]^+$: 237.1165, found: 237.1162.



(2S,3S)-2-fluoro-3-hydroxy-N-methyl-3-phenylpropanamide (2r):

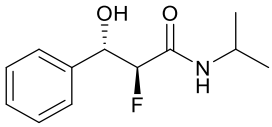
White solid, 98% yield, 99% *ee*, 75/25 *dr*, m.p. 123.0-125.4 ℃; $[\alpha]^{20}_D$ = -74.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak IA-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 17.78 min (minor), t_{R2} = 20.87 min (major), t_{R3}= 22.83 min (minor), t_{R4} = 26.44 min (minor). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.43 – 7.31 (m, 5H), 6.32 (s, 1H), 5.01 (t, *J* = 6.8 Hz, 1H), 4.90 (dd, *J* = 48.4, 6.8 Hz, 1H), 4.08 (s, 1H), 2.82 (d, *J* = 4.8 Hz, 1H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 169.7 (d, *J* = 19.0 Hz), 138.1 (d, *J* = 1.4 Hz), 128.6, 128.4, 127.2, 91.6 (d, *J* = 193.3 Hz), 73.6 (d, *J* = 20.8 Hz), 25.7.

^{19}F NMR (376 MHz, Chloroform-*d*) δ -197.72. HRMS (ESI) calcd for $C_{16}H_{19}O_3S$ $[M+H]^+$: 197.0852, found:197.0856.



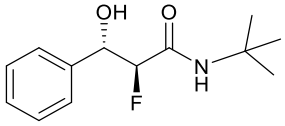
(2*S*,3*S*)-2-fluoro-3-hydroxy-3-phenyl-N-propylpropanamide (2s):

White solid, 98% yield, 99% *ee*, 74/26 *dr*, m.p. 101.7-104.6 ℃; $[\alpha]^{20}_D$ = -42.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak IJ-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 11.04 min (minor), t_{R2} = 12.38 min (minor), t_{R3}= 19.94 min (major), t_{R4} = 25.97 min (minor). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.43 – 7.31 (m, 5H), 6.27 (s, 1H), 5.03 (t, *J* = 8.8, 1H), 4.93 (dd, *J* = 49.2, *J* = 6.4, 1H), 4.00 (s, 1H), 3.29 – 3.08 (m, 2H), 1.73 (s, 1H), 1.46 (q, *J* = 7.0 Hz, 2H), 0.84 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 169.0 (d, *J* = 18.7 Hz), 138.1, 128.7, 128.5, 127.3, 126.5 (d, *J* = 1.2 Hz), 91.8 (d, *J* = 193.5 Hz), 73.8 (d, *J* = 20.4 Hz), 40.8, 22.6, 11.3. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -198.20. HRMS (ESI) calcd for $C_{17}H_{21}O_3S$ $[M+H]^+$: 225.1165, found:225.1162.



(2*S*,3*S*)-2-fluoro-3-hydroxy-N-isopropyl-3-phenylpropanamide (2t):

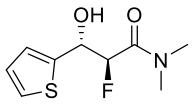
White solid, 94% yield, 99% *ee*, 73/27 *dr*, m.p. 112.4-117.3 ℃; $[\alpha]^{20}_D$ = -18.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak AD-H column, hexane: isopropanol = 98:2; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 11.01 min (minor), t_{R2} = 12.53 min (minor), t_{R3}= 28.05 min (major), t_{R4} = 43.78 min (minor). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.43 – 7.32 (m, 5H), 6.01 (s, 1H), 5.02 (dd, *J* = 15.6, 6.0 Hz, 1H), 4.90 (dd, *J* = 49.2, 6.0 Hz, 1H), 4.06 (m, 1H), 3.96 (s, 1H), 1.14 (d, *J* = 6.4 Hz, 3H), 1.02 (d, *J* = 6.4 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.9 (d, *J* = 18.6 Hz), 138.0 (d, *J* = 1.5 Hz), 128.5, 128.3, 127.2 (d, *J* = 1.1 Hz), 91.6 (d, *J* = 193.7 Hz), 73.7 (d, *J* = 20.4 Hz), 41.3, 22.4. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -198.36. HRMS (ESI) calcd for $C_{17}H_{21}O_4S$ $[M+H]^+$: 225.1165, found: 225.1161.



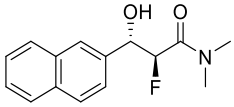
(2*S*,3*S*)-N-(tert-butyl)-2-fluoro-3-hydroxy-3-phenylpropanamide (2u):

White solid, 94% yield, 98% *ee*, 91/9 *dr*, m.p. 153.9-156.4 ℃; $[\alpha]^{20}_D$ = -24.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane:

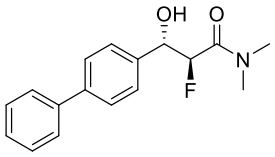
isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 21.08 min (minor), t_{R2} = 24.19 min (minor), t_{R3}= 27.18 min (minor), t_{R4} = 31.07 min (major). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40 – 7.34 (m, 5H), 5.97 (s, 1H), 5.01 (dd, *J* = 16.0, 6.0 Hz, 1H), 4.84 (dd, *J* = 49.6, 6.0 Hz, 1H), 1.29 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.1 (d, *J* = 17.4 Hz), 138.1 (d, *J* = 1.4 Hz), 128.6, 128.4, 127.4, 91.7 (d, *J* = 194.9 Hz), 73.9 (d, *J* = 20.4 Hz), 51.8, 28.6. ^{19}F NMR (376 MHz, $CDCl_3$) δ -195.90. HRMS (ESI) calcd for $C_{17}H_{18}F_3O_3S$ $[M+H]^+$: 239.1322, found: 239.1320.



(2*S*,3*R*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(thiophen-2-yl)propanamide (4a):
Colorless liquid, 99% yield, 99% *ee*, 97/3 *dr*; $[\alpha]^{20}_D$ = +89.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 11.19 min (minor), t_{R2} = 12.43 min (minor), t_{R3}= 13.33 min (major), t_{R4} = 17.07 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.32 (d, *J* = 4.8 Hz, 1H), 7.10 (d, *J* = 3.6 Hz, 1H), 7.01 (dd, *J* = 4.8 Hz, *J* = 3.6 Hz, 1H), 5.38 (t, *J* = 3.2 Hz, 1H), 4.99 (dd, *J* = 46.4, 7.6 Hz, 1H), 4.42 (d, *J* = 4.0 Hz, 1H), 3.06 (s, 3H), 3.01 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.8 (d, *J* = 19.6 Hz), 142.3, 142.3, 127.0, 125.7 (d, *J* = 1.7 Hz), 125.6, 88.2 (d, *J* = 185.9 Hz), 69.5 (d, *J* = 25.4 Hz), 37.1 (d, *J* = 5.9 Hz), 36.0. ^{19}F NMR (376 MHz, $CDCl_3$) δ -186.22. HRMS (ESI) calcd for $C_{16}H_{18}FO_3S$ $[M+H]^+$: 217.0573, found: 217.0575.

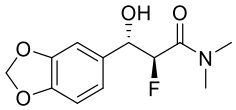


(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(naphthalen-2-yl)propanamide (4b):
White solid, 98% yield, 92% *ee*, 96/4 *dr*, m.p. 137.1-141.8 ℃; $[\alpha]^{20}_D$ = +52.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak OD-H column, hexane: isopropanol = 90:10; flow rate = A mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 13.02 min (minor), t_{R2} = 15.76 min (minor), t_{R3}= 19.96 min (major), t_{R4} = 24.42 min (minor). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.93 (s, 1H), 7.89 – 7.82 (m, 3H), 7.55 (d, *J* = 8.8 Hz, 1H), 7.53 – 7.46 (m, 2H), 5.30 (t, *J* = 6.8 Hz, 1H), 5.06 (dd, *J* = 46.4, 7.2 Hz, 1H), 4.33 (s, 1H), 3.01 (d, *J* = 1.6 Hz, 3H), 2.97 (d, *J* = 2.4 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.0 (d, *J* = 19.6 Hz), 136.2 (d, *J* = 1.7 Hz), 133.3 (d, *J* = 15.0 Hz), 128.1 (d, *J* = 1.4 Hz), 127.7, 126.2, 126.2, 124.6, 88.4 (d, *J* = 185.2 Hz), 72.9 (d, *J* = 24.3 Hz), 36.9 (d, *J* = 5.8 Hz), 35.9. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -186.61. HRMS (ESI) calcd for $C_{17}H_{21}O_3S$ $[M+H]^+$: 261.1165, found: 261.1162.



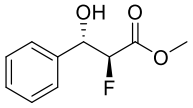
(2*S*,3*S*)-3-([1,1'-biphenyl]-4-yl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (4c):

White solid, 99% yield, 95% *ee*, 96/4 *dr*, m.p. 136.3-139.2 ℃; $[\alpha]^{20}_{D}$ = +58.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 34.48 min (major), t_{R2} = 37.97 min (minor), t_{R3}= 43.12 min (minor), t_{R4} = 49.75 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.61 (t, *J* = 7.6, 4H), 7.52 (d, *J* = 8.0 Hz, 2H), 7.44 (t, *J* = 8.0 Hz, 2H), 7.35 (t, *J* = 7.2 Hz, 1H), 5.17 (t, *J* = 4.0 Hz, 1H), 5.00 (dd, *J* = 46.4, 7.6 Hz, 1H), 4.24 (d, *J* = 4.0 Hz, 1H), 3.01 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.1 (d, *J* = 19.6 Hz), 141.4, 140.9, 137.9, 137.9, 128.9, 127.5, 127.5, 127.3 (d, *J* = 1.8 Hz), 88.5 (d, *J* = 185.2 Hz), 72.6 (d, *J* = 24.4 Hz), 37.0 (d, *J* = 5.9 Hz), 36.0, 1.2. ^{19}F NMR (376 MHz, $CDCl_3$) δ -186.83. HRMS (ESI) calcd for $C_{17}H_{21}O_4S$ $[M+H]^+$: 287.1322, found: 287.1326.



(2*S*,3*S*)-3-(benzo[d][1,3]dioxol-5-yl)-2-fluoro-3-hydroxy-N,N-dimethylpropanami-de (4d):

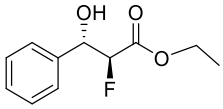
White solid, 91% yield, 98% *ee*, 92/8 *dr*, m.p. 140.2-143.6 ℃; $[\alpha]^{20}_{D}$ = +58.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak OD-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 38.58 min (minor), t_{R2} = 43.85 min (minor), t_{R3}= 51.53 min (major), t_{R4} = 71.31 min (minor). ^{1}H NMR (600 MHz, Chloroform-d) δ 6.94 (s, 1H), 6.89 (d, J = 7.8 Hz, 1H), 6.80 (d, J = 7.8 Hz, 1H), 5.96 (s, 4H), 5.02 (t, J = 6.6 Hz, 1H), 4.89 (dd, J = 46.2, 7.8 Hz, 1H), 4.16 (s, 1H), 3.01 (s, 6H). ^{13}C NMR (151 MHz, Chloroform-d) δ 168.1 (d, J = 19.9 Hz), 147.8 (d, J = 29.0 Hz), 132.8, 120.8, 108.3, 107.4, 101.2, 88.5 (d, J = 186.0 Hz), 72.5 (d, J = 24.9 Hz), 37.0 (d, J = 5.9 Hz), 36.0. ^{19}F NMR (565 MHz, Chloroform-d) δ -186.69. HRMS (ESI) calcd for $C_{17}H_{21}O_4S$ $[M+H]^+$: 255.0907, found: 255.0905.



Methyl (2*S*,3*S*)-2-fluoro-3-hydroxy-3-phenylpropanoate (4e):

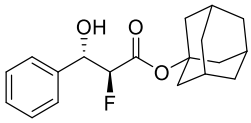
White solid, 85% yield, 70% *ee*, 70/30 *dr*, m.p.136.6-140.0 ℃; $[\alpha]^{20}_{D}$ = +6.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak OJ-H column, hexane:

isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 43.61 min (minor), t_{R2} = 45.87 min (minor), t_{R3}= 51.64 min (minor), t_{R4} = 60.24 min (major). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.43 – 7.33 (m, 5H), 5.13 (d, *J* = 2.4 Hz, 1H), 5.05 (dd, *J* = 38.0, 5.2 Hz, 1H), 3.74 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.4 (d, *J* = 23.3 Hz), 137.7 (d, *J* = 2.7 Hz), 126.8 (d, *J* = 1.2 Hz), 91.1 (d, *J* = 189.6 Hz), 73.7 (d, *J* = 22.2 Hz), δ 52.6 (s, *J* = 23.3 Hz). ^{19}F NMR (376 MHz, Chloroform-*d*) δ -197.67. HRMS (ESI) calcd for $C_{16}H_{18}BrO_3S$ $[M+H]^+$: 198.0692, found: 198.0695.



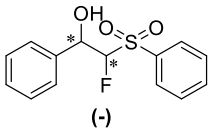
Ethyl (2*S*,3*S*)-2-fluoro-3-hydroxy-3-phenylpropanoate (4f):

Colorless liquid, 90% yield, 95% *ee*, 95/5 *dr*.; $[\alpha]^{20}_D$ = +28.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 94:6; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 50.41 min (minor), t_{R2} = 54.17 min (minor), t_{R3}= 60.90 min (minor), t_{R4} = 78.50 min (major). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.39 – 7.34 (m, 5H), 5.13 (t, *J* =4.0 Hz, 1H), 5.04 (dd, *J* = 48.0, 4.8 Hz, 1H), 4.18 (q, *J* = 7.2 Hz, 2H), 3.02 (d, *J* = 4.0 Hz, 1H), 1.19 (t, *J* = 8.0 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.9 (d, *J* = 23.2 Hz), 137.7 (d, *J* = 3.0 Hz), 128.7, 128.6, 126.9 (d, *J* = 1.4 Hz), 91.1 (d, *J* = 189.6 Hz), 73.8 (d, *J* = 22.0 Hz), 61.9, 14.1. ^{19}F NMR (376 MHz, $CDCl_3$) δ -197.73. HRMS (ESI) calcd for $C_{17}H_{21}O_3S$ $[M+H]^+$: 212.0849, found: 212.0845.



(3*R*,5*R*,7*R*)-adamantan-1-yl-(2*S*,3*S*)-2-fluoro-3-hydroxy-3-phenylpropanoate (4g):

Colorless liquid, 85% yield, 73% *ee*, 95*/5 dr*; $[\alpha]^{20}_D$ = +54.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak IJ-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 12.43 min (minor), t_{R2} = 13.59 min (major), t_{R3}= 15.31 min (minor), t_{R4} = 16.27 min (minor). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.44 – 7.30 (m, 5H), 5.10 (d, *J* = 16.4 Hz, 1H), 4.95 (dd, *J* = 48.5, 4.8 Hz, 1H), 2.83 (d, *J* = 4.4 Hz, 1H), 2.18 – 2.11 (m, 3H), 2.00 (s, 3H), 1.63 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 166.3 (d, *J* = 23.2 Hz), 137.9 (d, *J* = 3.3 Hz), 128.5, 128.4, 91.1 (d, *J* = 189.2 Hz), 83.5, 73.8 (d, *J* = 21.7 Hz), 68.1, 41.2, 36.1, 31.0, 25.7. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -196.06. HRMS (ESI) calcd for $C_{17}H_{21}O_4S$ $[M+H]^+$: 318.1631, found: 318.1635.

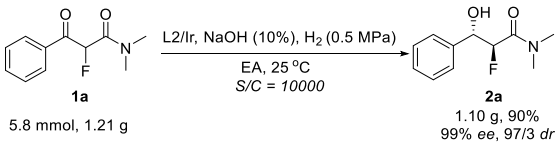


2-fluoro-1-phenyl-2-(phenylsulfonyl)ethan-1-ol (4h):

Colorless liquid, 87% yield, 99% *ee*, 82/18 *dr*; $[\alpha]^{20}_{D}$ = -18.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 220 nm; t_{R1} = 83.61 min (minor), t_{R2} = 85.50 min (major), t_{R3}= 89.80 min (minor), t_{R4} = 123.58 min (minor). ^{1}H NMR (400 MHz, Chloroform-d) δ 7.99 (d, *J* = 7.6 Hz, 2H), 7.74 (t, *J* = 7.6 Hz, 1H), 7.62 (t, *J* = 7.6 Hz, 2H), 7.43 – 7.31 (m, 5H), 5.22 – 5.17 (m, 1H), 5.07 (dd, *J* = 45.6, 8.4 Hz, 1H), 3.53 (s, 1H). ^{13}C NMR (100 MHz, Chloroform-d) δ 136.8, 135.4, 135.2, 129.6, 129.6, 129.3, 128.7, 127.4 (d, *J* = 1.6 Hz), 101.6 (d, *J* = 224.2 Hz), 70.6 (d, *J* = 22.2 Hz). ^{19}F NMR (376 MHz, Chloroform-d) δ -177.95. HRMS (ESI) calcd for $C_{17}H_{21}O_4S$ $[M+H]^+$: 280.0569, found: 280.0563.

5. General procedure for gram-scale reaction and Further transformations

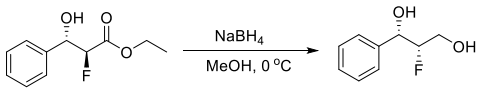
Gram-scale reaction



Under argon atmosphere, $[Ir(COD)Cl]_2$ (1.95 mg, 0.0029 mmol), **L2** (3.48 mg, 0.0061 mmol), and anhydrous ^{i}PrOH (4 mL) were added to an oven-dried vial (10 mL) and then stirred at 40 °C for 1.0 h to give a clear yellow solution. The mixture was concentrated to dryness, then 2 mL of dried ethyl acetate was added to the crude Ir-**L2** complex. An aliquot of the catalyst solution (0.2 mL, 0.00058 mmol) was transferred into a 10 mL hydrogenation vessel, and then substrates **1a** (5.8 mmol), NaOH (23.2 mg, 0.58 mmol, in EA) and anhydrous ethyl acetate were added. The vial was placed in an alloy plate which was then placed into the autoclave. And the autoclave was purged five times with argon atmosphere and hydrogen, then pressurized to 5 atm of H_2 and stirred at 25 °C for 24 h. Upon completion, the reaction mixture was cooled to room temperature. After the hydrogen pressure was slowly released, the solvent was removed, and the mixture was purified by passing through a short column of silica gel to afford the corresponding products **2a** with 1.10 g, 90% yield in 99% *ee* and 97/3 *dr*.

Further transformations

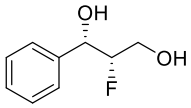
(1) The synthesis of 5a



4f
2.17 mmol, 0.46 g
95% *ee*, 95/5 *dr*

5a
0.33 g, 90%
95% *ee*, 93/7 *dr*

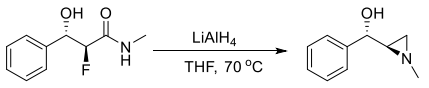
Under N_2 atmosphere, a solution of **4f** (2.17 mmol) and $NaBH_4$ (3.26 mmol, 1.5 eq.) in the solvent MeOH (5 mL) was stirred at 0 ℃ for 0.5 h. The solvent was removed under vacuum and 20 mL water and 15 mL DCM were added, organic layer was combined and dried by anhydrous sodium sulfate. The reaction mixture was filtered and concentrated to get the crude product **5a**. The crude product **5a** was purified by column chromatography on silica gel to get colorless liquid 0.330 g, 90% yield, 95% *ee*, 93/7 *dr*.



(1*S*,2*S*)-2-fluoro-1-phenylpropane-1,3-diol (5a):

Colorless liquid, 90% yield, 93% *ee*; $[\alpha]^{20}_D$ = +38.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak IJ-H column, hexane: isopropanol = 92:8; flow rate = 0.8 mL/min; column temperature 25 ℃; UV detection at 220 nm; t_{R1} = 38.14 min (major), t_{R2} = 41.71 min (minor), t_{R3}= 43.79 min (minor), t_{R4} = 49.06 min (minor). ^{1}H NMR (600 MHz, Chloroform-d) δ 7.40 – 7.31 (m, 5H), 5.00 (dd, J = 10.8, 6.0 Hz, 1H), 4.67 – 4.54 (m, 1H), 3.93 – 3.82 (m, 2H). ^{13}C NMR (150 MHz, Chloroform-d) δ 139.4 (d, J = 4.5 Hz), 128.7, 128.3, 126.4, 95.1 (d, J = 175.6 Hz), 73.5 (d, J = 24.5 Hz), 61.7 (d, J = 21.9 Hz). ^{19}F NMR (565 MHz, Chloroform-d) δ -195.22. HRMS (ESI) calcd for $C_{22}H_{23}O_3S$ $[M+H]^+$: 170.0473, found: 170.0476.

(2) The synthesis of 5b

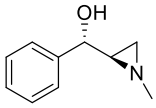


2r
recrystallization :
1.22 mmol, 0.24 g,
99% *ee*, 97/3 *dr*

5b
0.12 g, 75%
99% *ee*, 85/15 *dr*

Under N_2 atmosphere, $LiAlH_4$ (1.83 mmol, 1.5 eq.) was added to a solution of **2r** (1.22 mmol) in 10 mL dry THF at 0 ℃ and was stirred for an hour. Then the mixture was stirred at 70 ℃ overnight. The reaction was quenched with water in an ice-water after the finishing reaction. The solvent was removed and 20 mL water and 15 mL DCM were added, organic layer was combined and dried by anhydrous sodium sulfate.

The reaction mixture was filtered and concentrated to get the crude product **5b**. The crude product **5b** was purified by column chromatography on silica gel to get a white solid, 120 mg, 75% yield, 99% *ee*. and 85/15 *dr*.

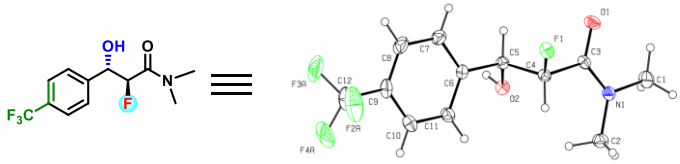


(*S*)-((*R*)-1-methylaziridin-2-yl)(phenyl)methanol (5b):
White solid, 75% yield, 99% *ee*, 85/15 *dr*., m.p. 82.5-85.6 ℃; $[\alpha]^{20}_{D}$ = -48.0 (c = 0.1, CH_2Cl_2). The *ee* was determined by HPLC on Chiralpak ID-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 ℃; UV detection at 210 nm; t_{R1} = 12.94 min (major), t_{R2} = 17.13 min (minor), t_{R3}= 31.02 min (minor), t_{R4} = 68.02 min (minor). ^{1}H NMR (600 MHz, Chloroform-d) δ 7.41 (d, *J* = 7.8 Hz, 2H), 7.36 (t, *J* = 7.8 Hz, 2H), 7.29 (t, *J* = 7.8 Hz, 1H), 4.71 (s, 1H), 4.23 (d, *J* = 6.0 Hz, 1H), 2.41 (s, 3H), 1.92 (d, *J* = 3.6 Hz, 1H), 1.74 – 1.72 (m, 1H), 1.33 (d, *J* = 6.6 Hz, 1H). ^{13}C NMR (151 MHz, Chloroform-*d*) δ 142.6, 128.6, 127.7, 126.1, 74.5, 47.3, 46.8, 33.5. HRMS (ESI) calcd for $C_{19}H_{21}O_3S$ $[M+H]^+$: 163.0997, found: 163.0993.

6. Determination of the absolute configuration

Crystal structure determination of ***2f***

Crystal Data for $C_{12}H_{13}F_4NO_2$ (*M* = 279.23 g/mol): monoclinic, space group $P2_1$ (no. 4), *a* = 6.3753(5) Å, *b* = 7.7643(6) Å, *c* = 13.1312(9) Å, *β* = 97.979(3), *V* = 643.70(8) Å^3, *Z* = 2, *T* = 170.00 K, μ(GaKα) = 0.764 mm^{-1}, *Dcalc* = 1.441 g/cm^3, 15240 reflections measured (11.548° ≤ 2Θ ≤ 122.216°), 2915 unique (R_{int} = 0.0434, R_{sigma} = 0.0386) which were used in all calculations. The final R_1 was 0.0366 (I > 2σ(I)) and wR_2 was 0.1008 (all data).



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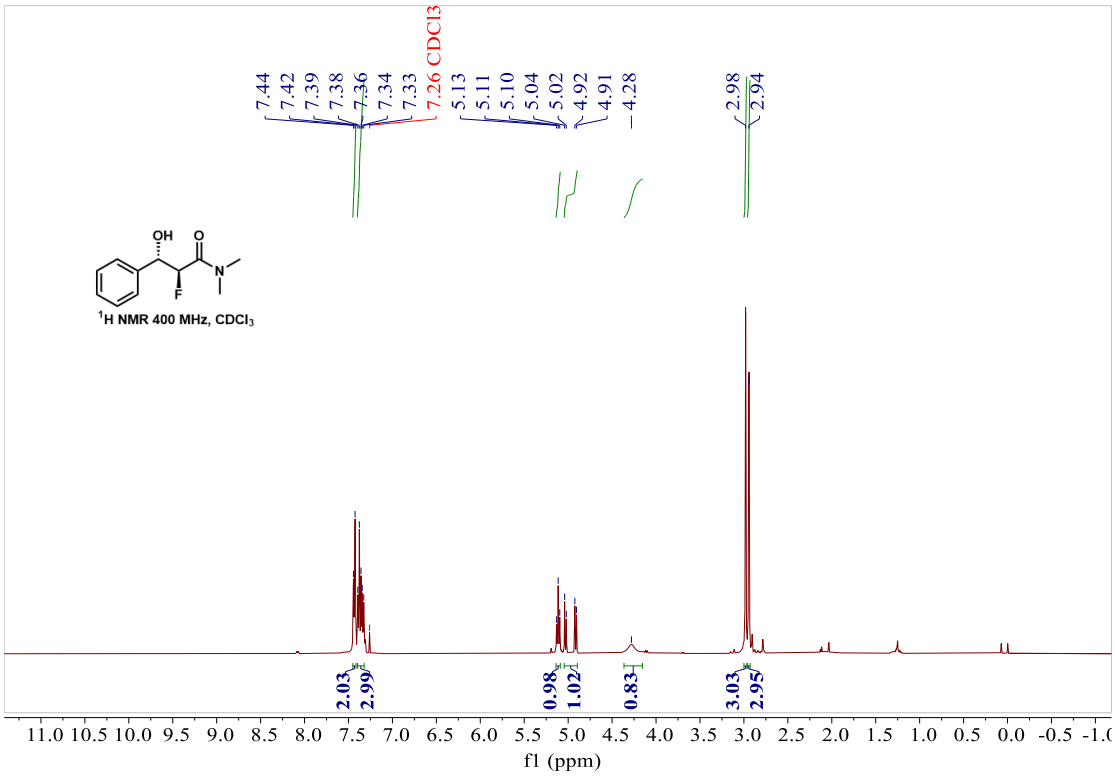
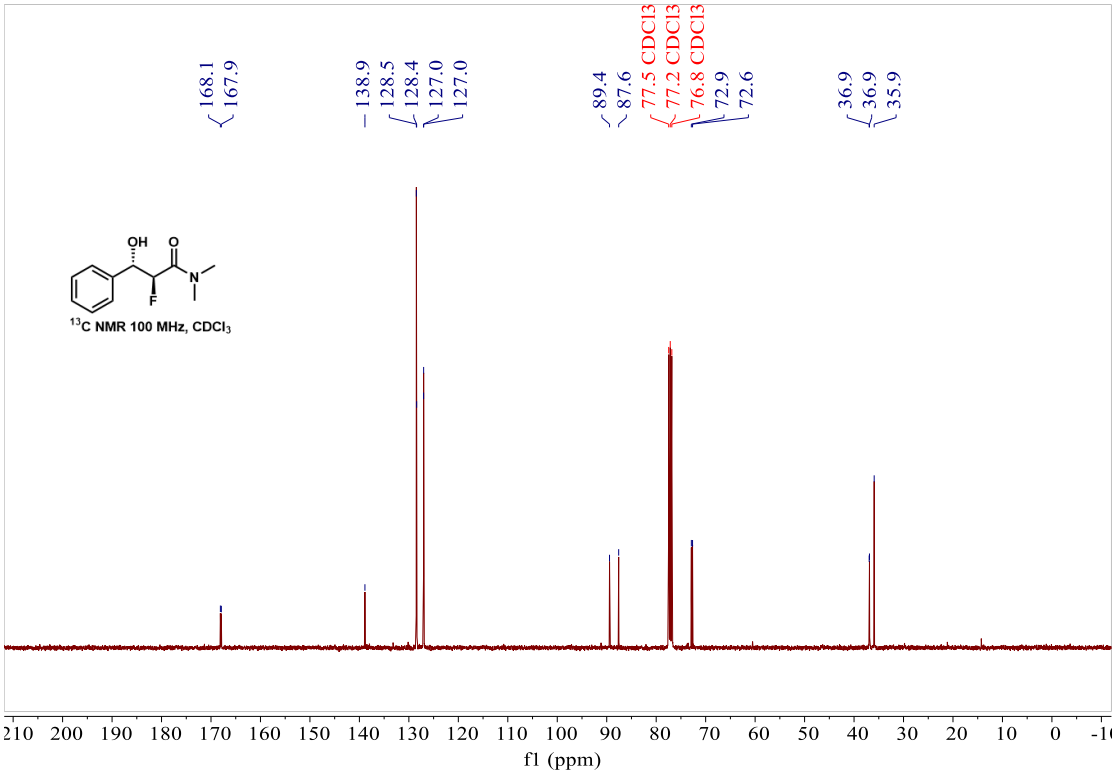
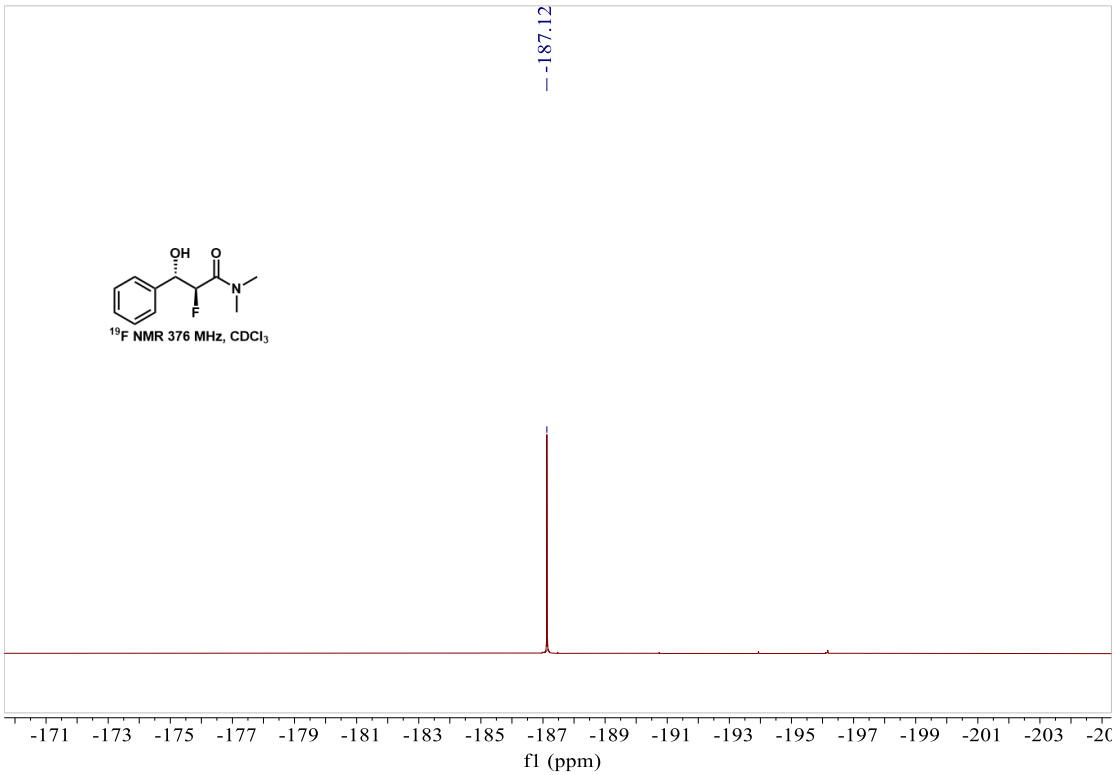
8. Copies of NMR spectra

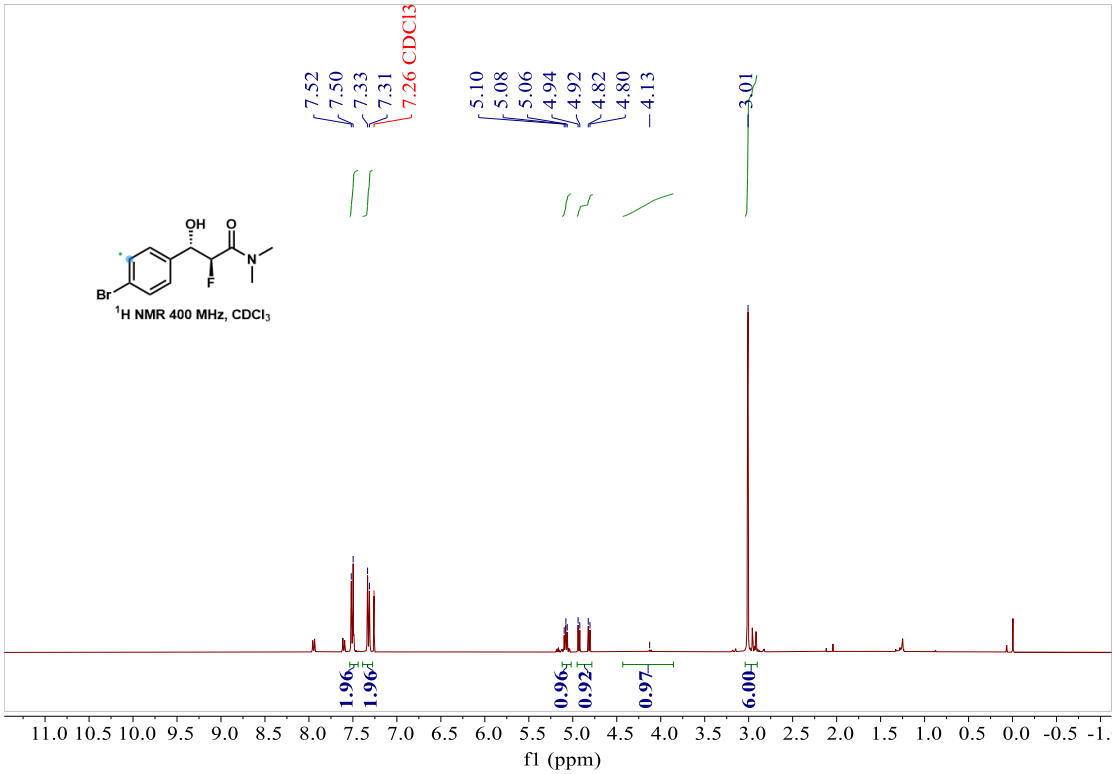
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-phenylpropanamide (2a):

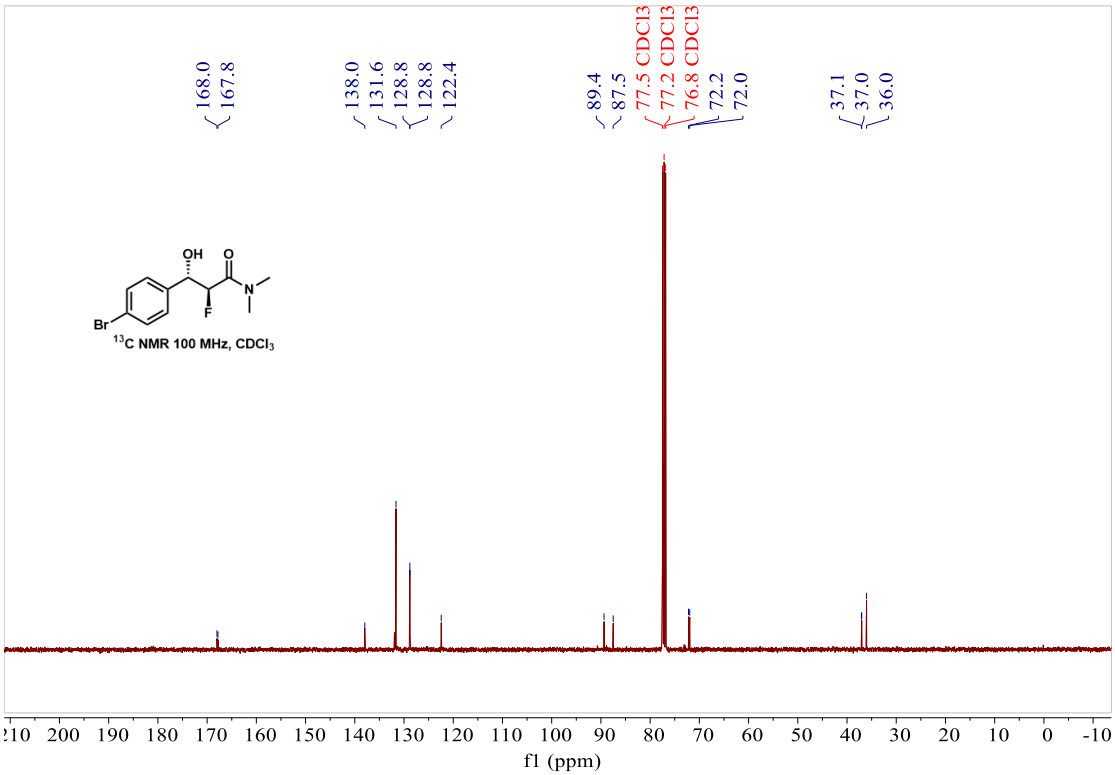


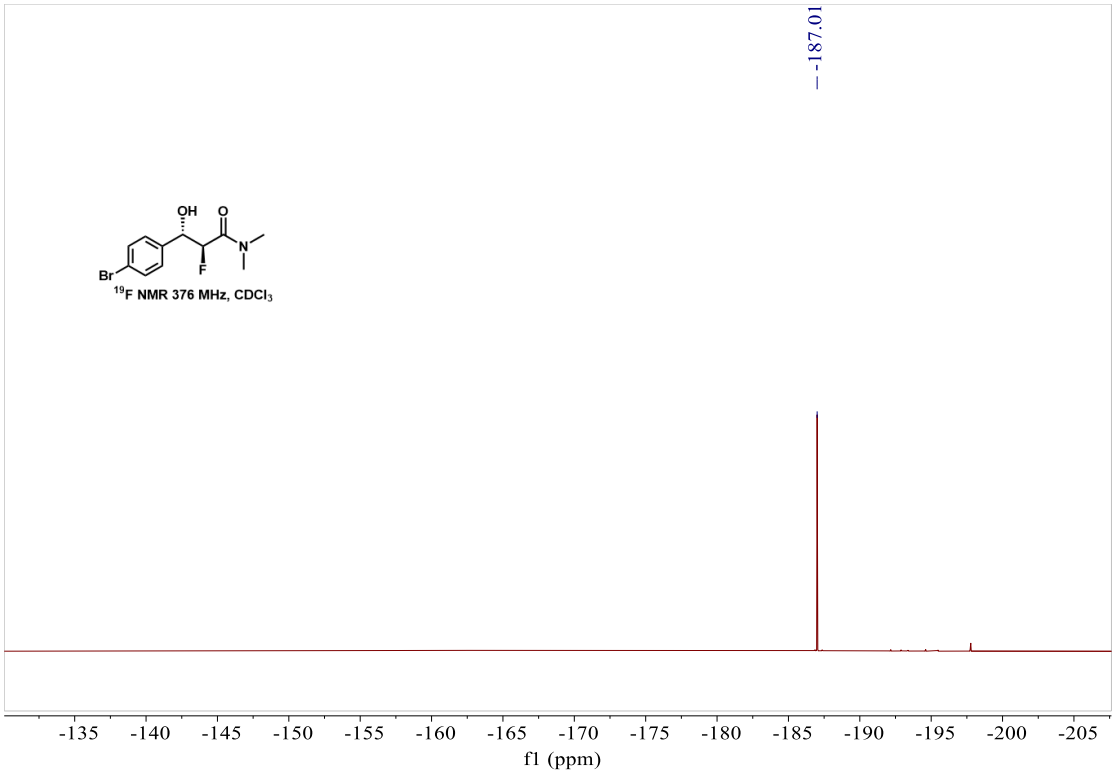




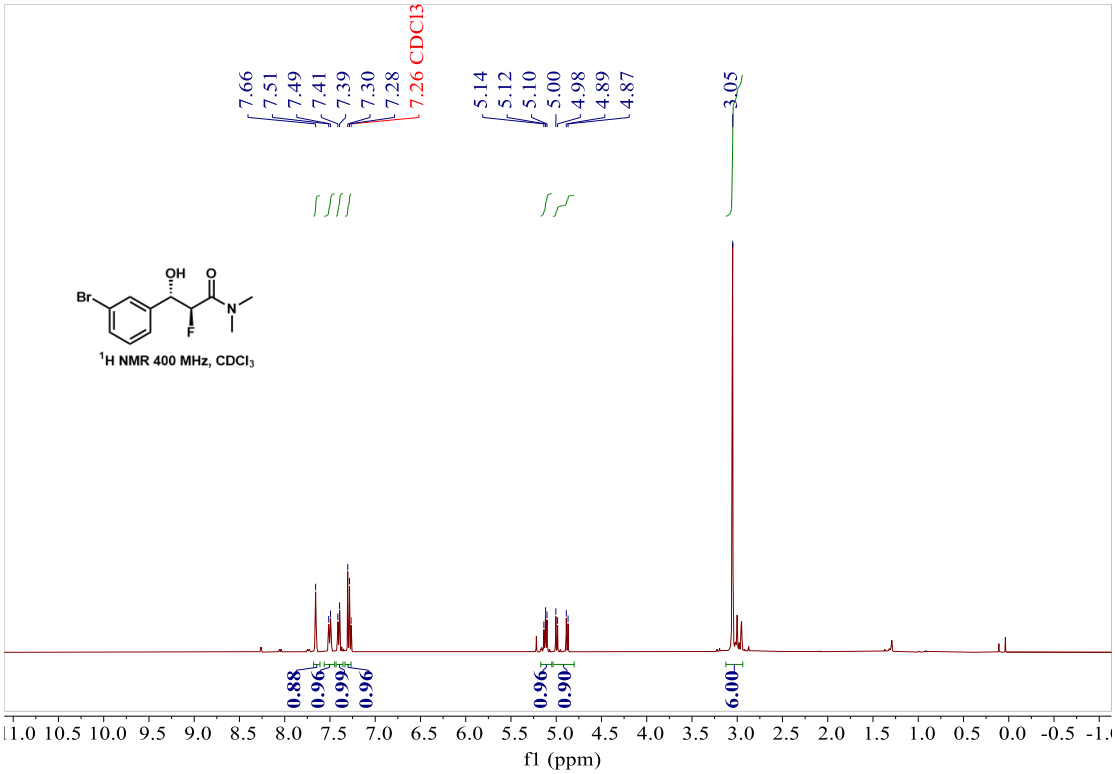
(2*S*,3*S*)-3-(4-bromophenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2b):

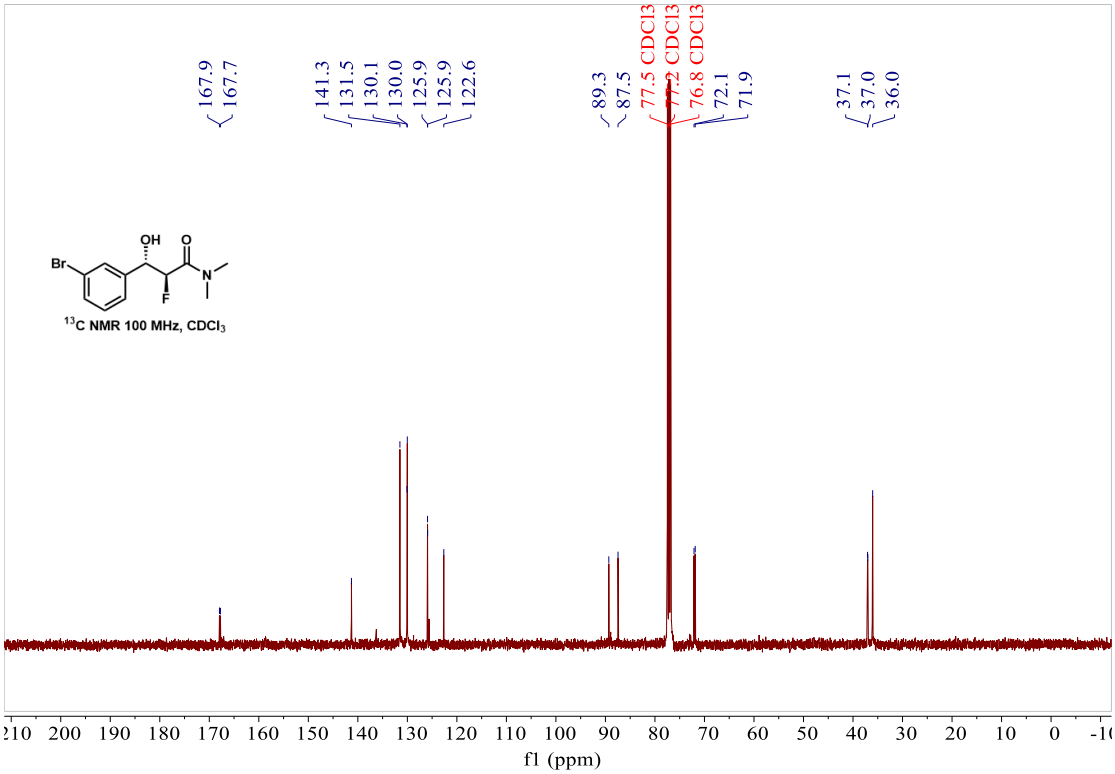


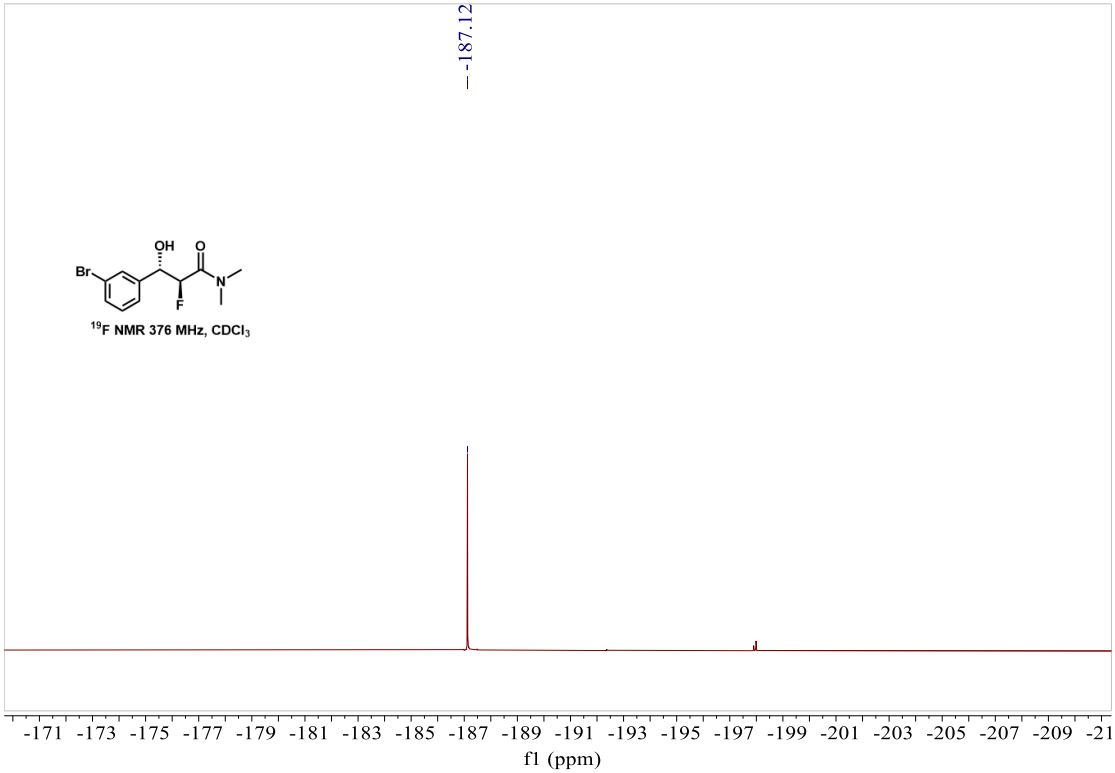

168.0
167.8
138.0
131.6
128.8
128.8
122.4
89.4
87.5
77.5 CDCl3
77.2 CDCl3
76.8 CDCl3
72.2
72.0
37.1
37.0
36.0
OH
O
N
F
Br
13C NMR 100 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-187.01
OH
O
N
F
Br
19F NMR 376 MHz, CDCl3
-135 -140 -145 -150 -155 -160 -165 -170 -175 -180 -185 -190 -195 -200 -205
f1 (ppm)

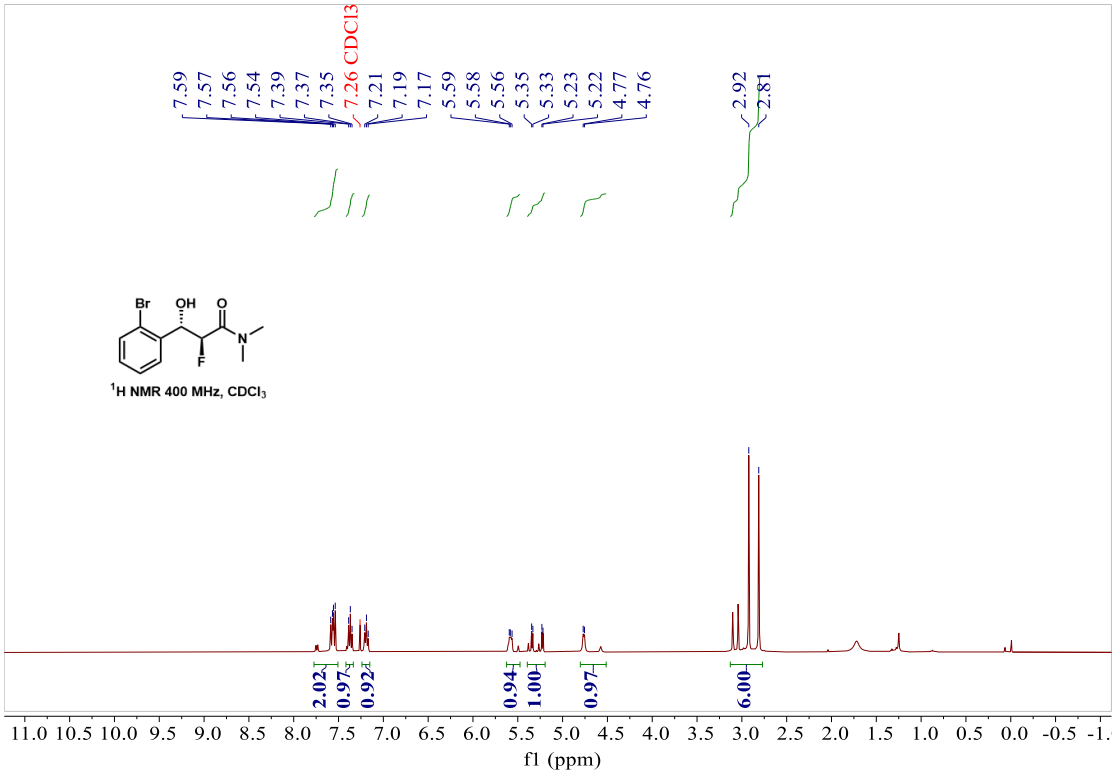
(2*S*,3*S*)-3-(3-bromophenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2c):

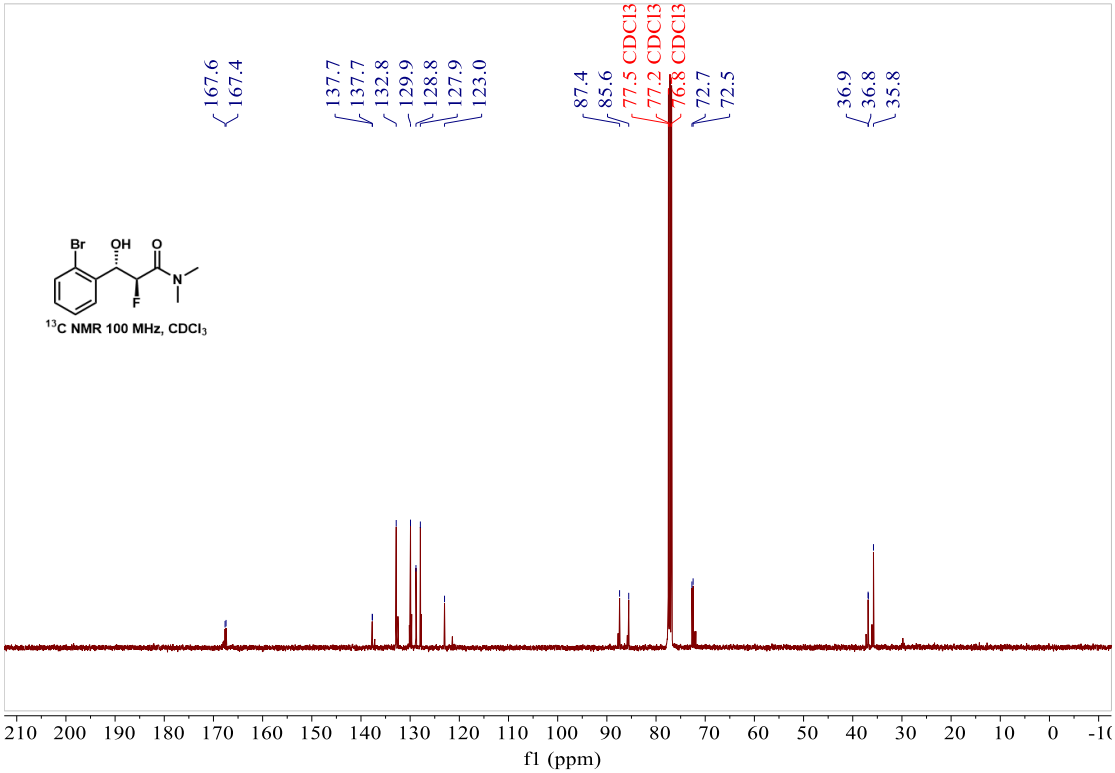


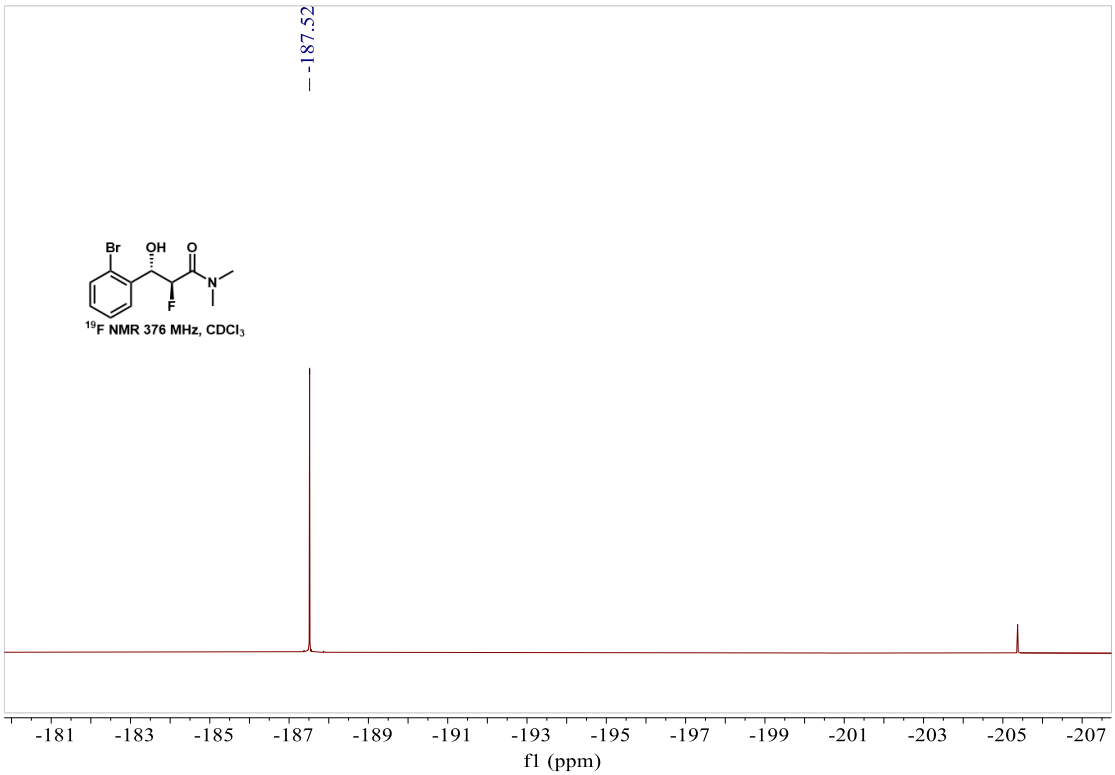




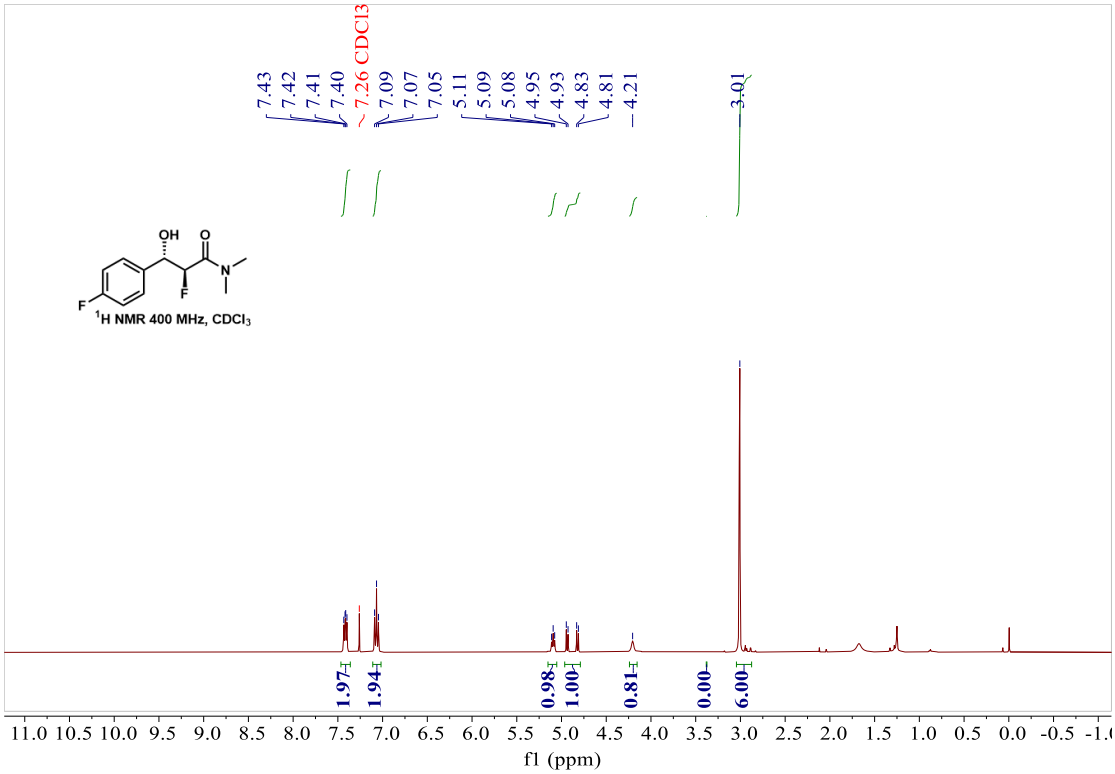
(2*S*,3*S*)-3-(2-bromophenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2d):

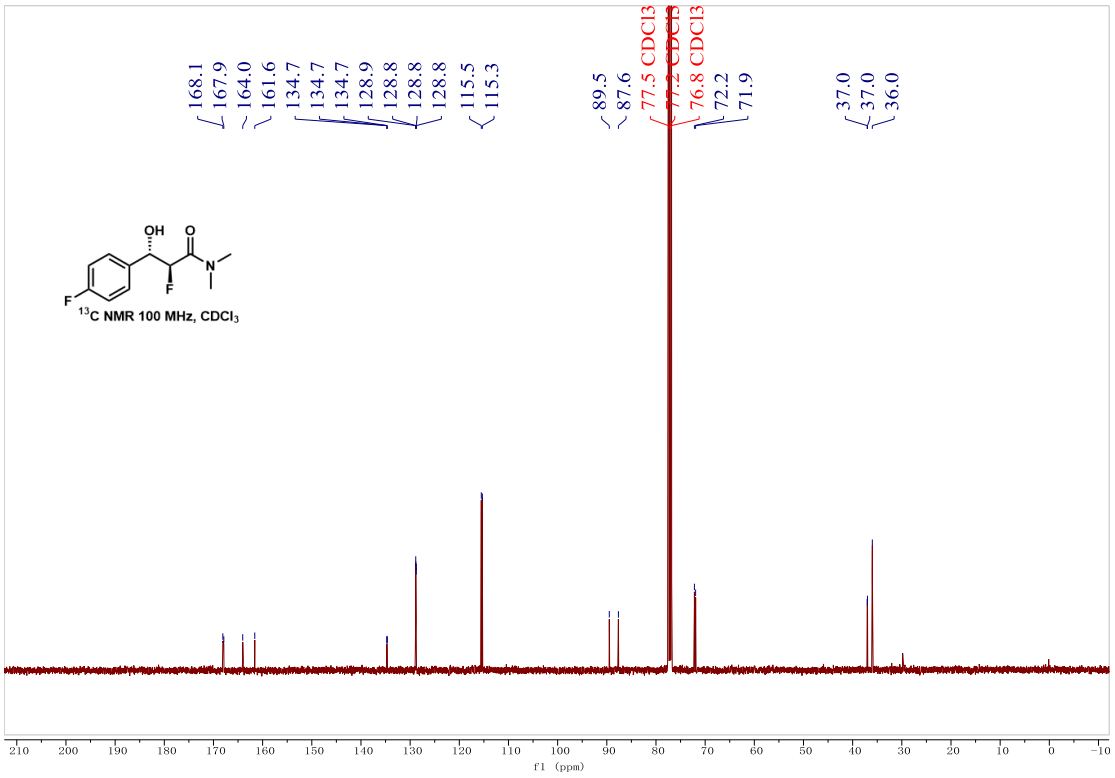


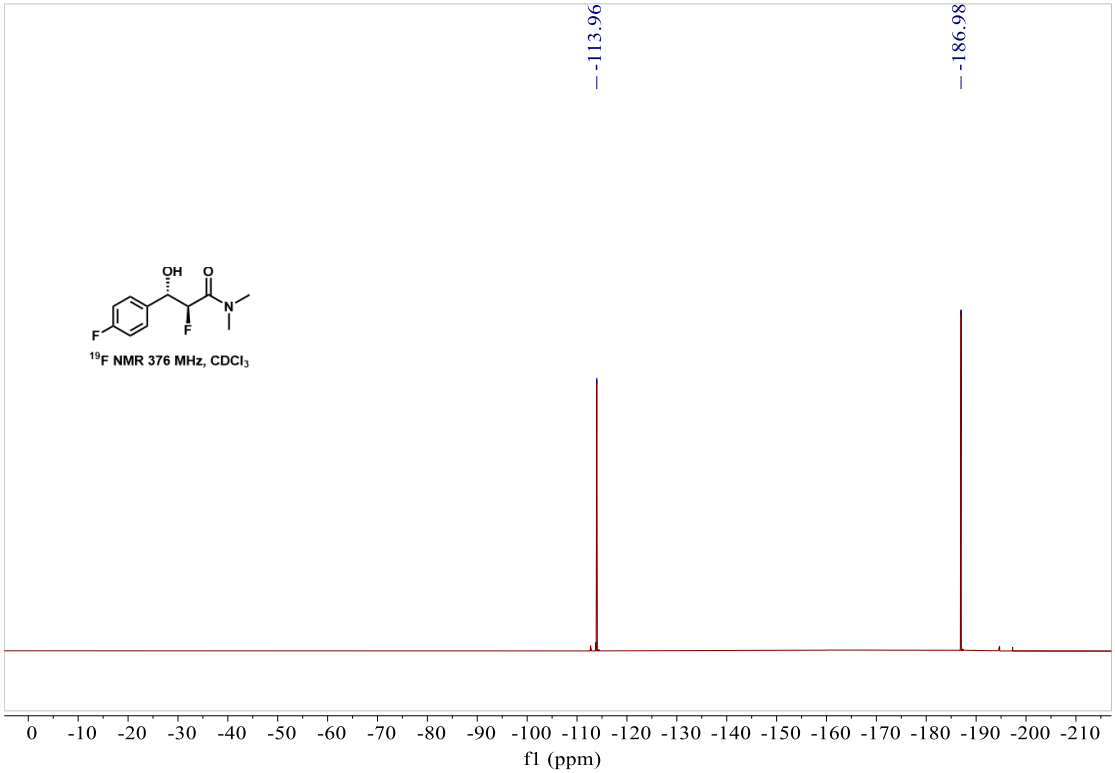

167.6
167.4
137.7
137.7
132.8
129.9
128.8
127.9
123.0
87.4
85.6
77.5 CDCl3
77.2 CDCl3
76.8 CDCl3
72.7
72.5
36.9
36.8
35.8
Br
OH
O
N
F
13C NMR 100 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-187.52
Br
OH
O
N
F
19F NMR 376 MHz, CDCl3
-181 -183 -185 -187 -189 -191 -193 -195 -197 -199 -201 -203 -205 -207
f1 (ppm)

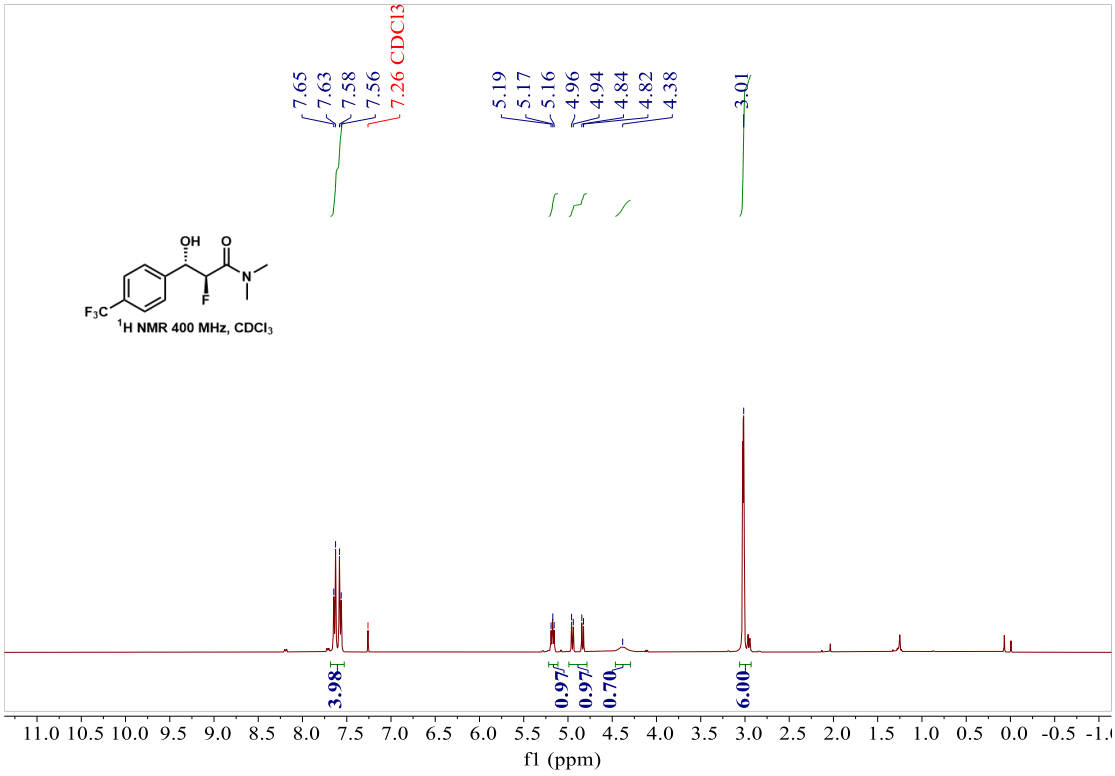
(2*S*,3*S*)-2-fluoro-3-(4-fluorophenyl)-3-hydroxy-N,N-dimethylpropanamide (2e):

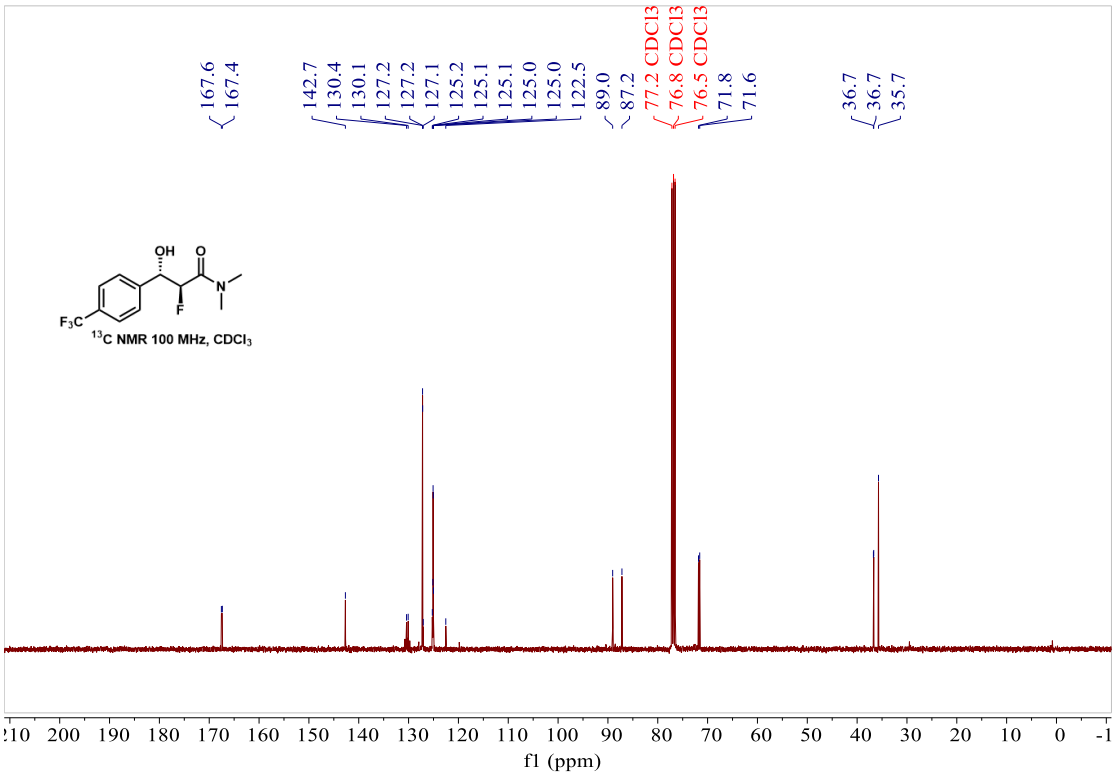


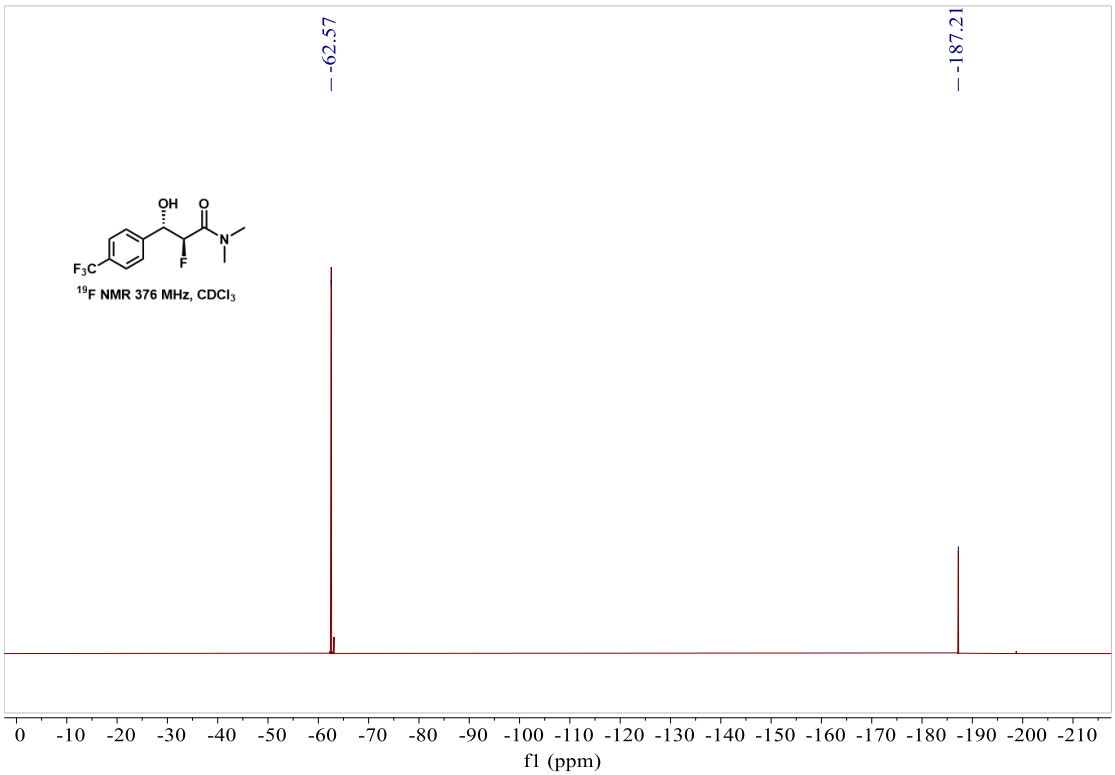




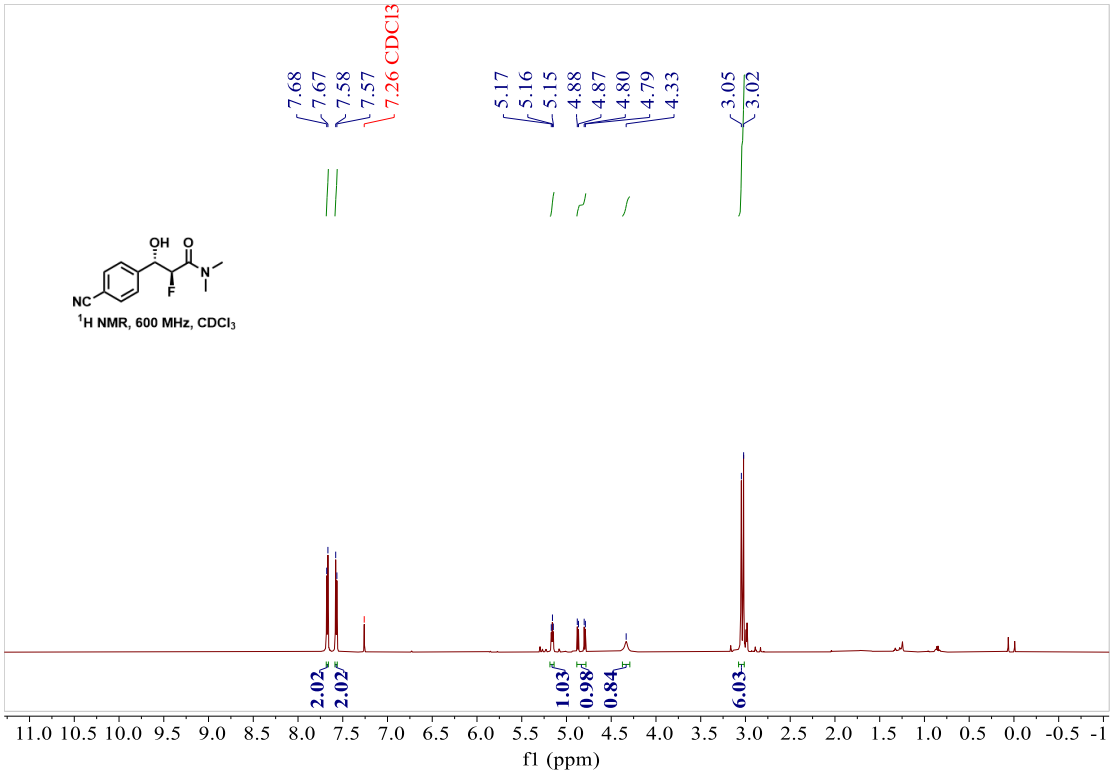
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(4-(trifluoromethyl)phenyl)propanamide (2f):

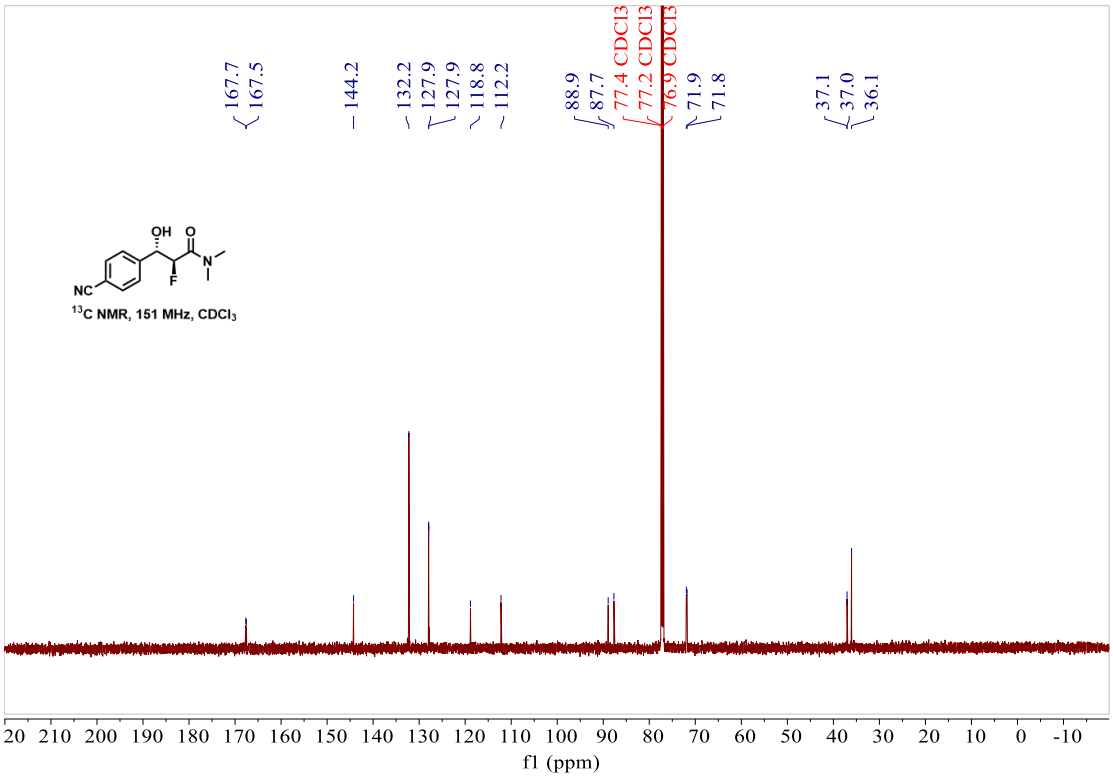


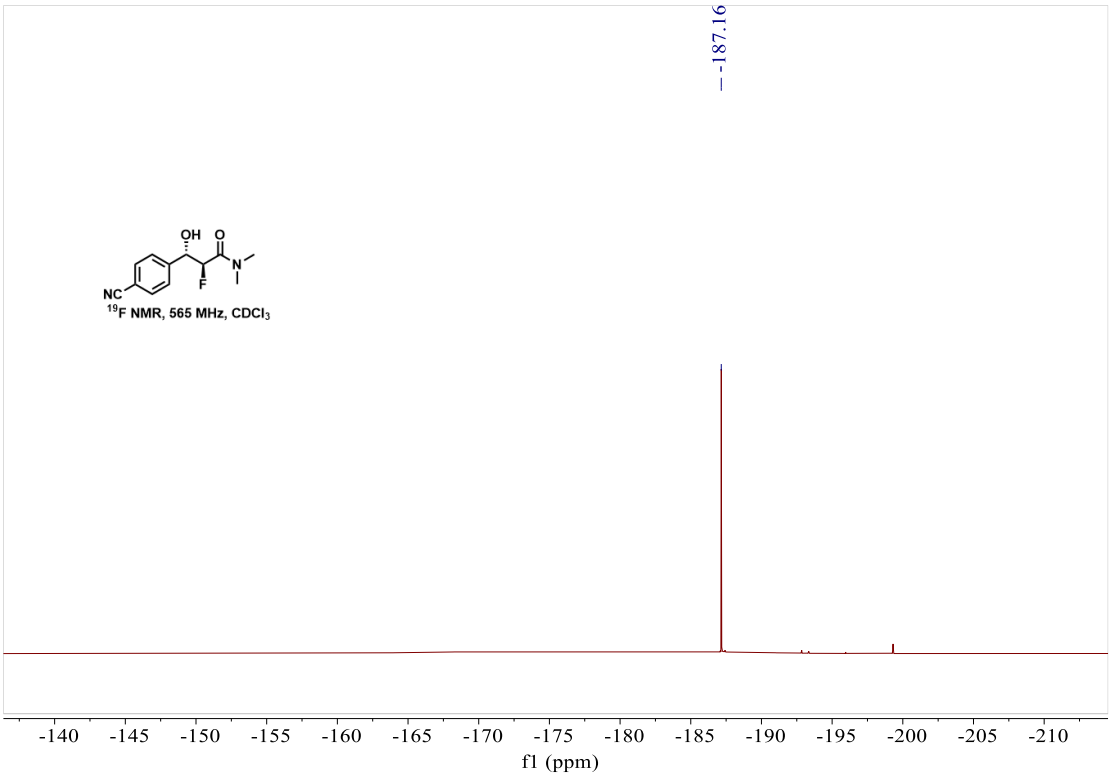

167.6
167.4
142.7
130.4
130.1
127.2
127.2
127.1
125.2
125.1
125.1
125.0
125.0
122.5
89.0
87.2
77.2 CDCl3
76.8 CDCl3
76.5 CDCl3
71.8
71.6
36.7
36.7
35.7
OH
O
N
F
F3C
13C NMR 100 MHz, CDCl3
f1 (ppm)


-62.57
-187.21
OH
O
N
F
F3C
19F NMR 376 MHz, CDCl3
f1 (ppm)

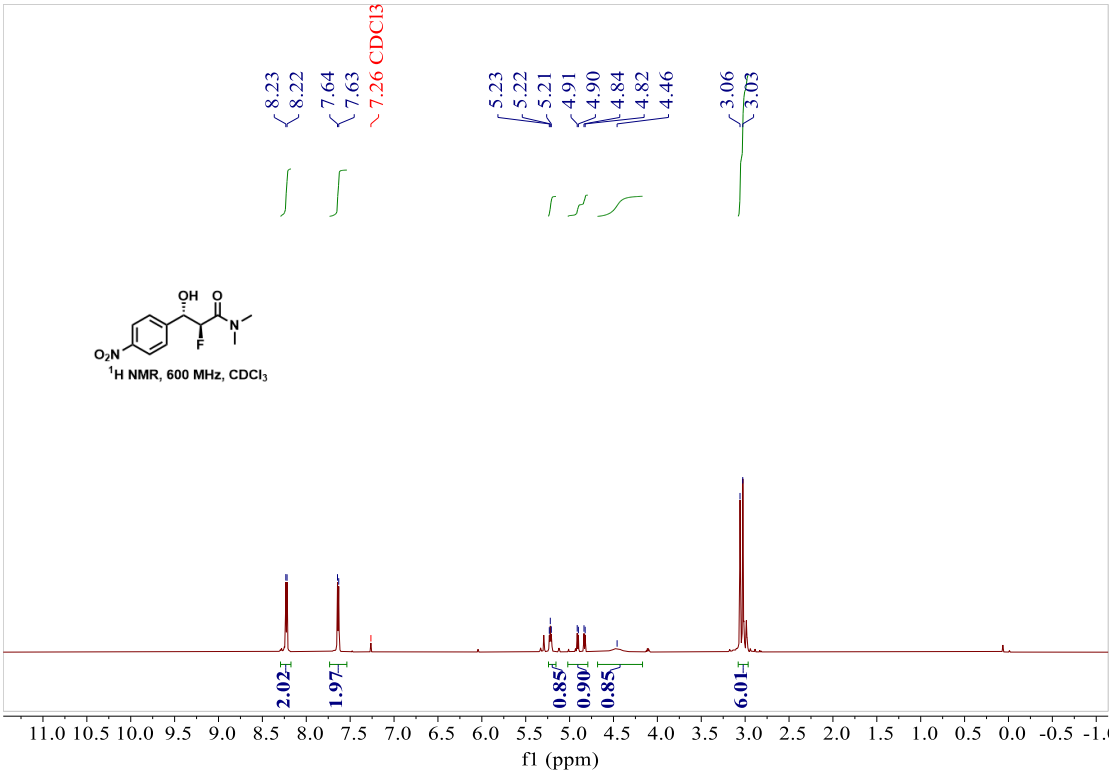
(2*S*,3*S*)-3-(4-cyanophenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2g):

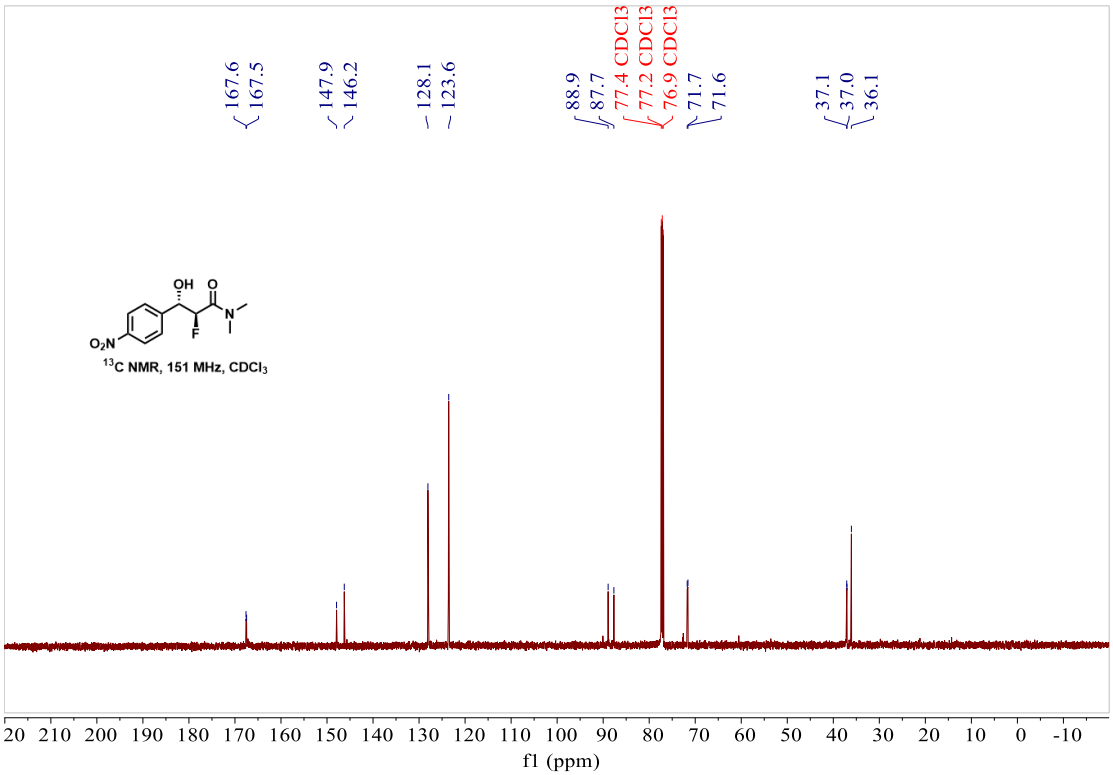


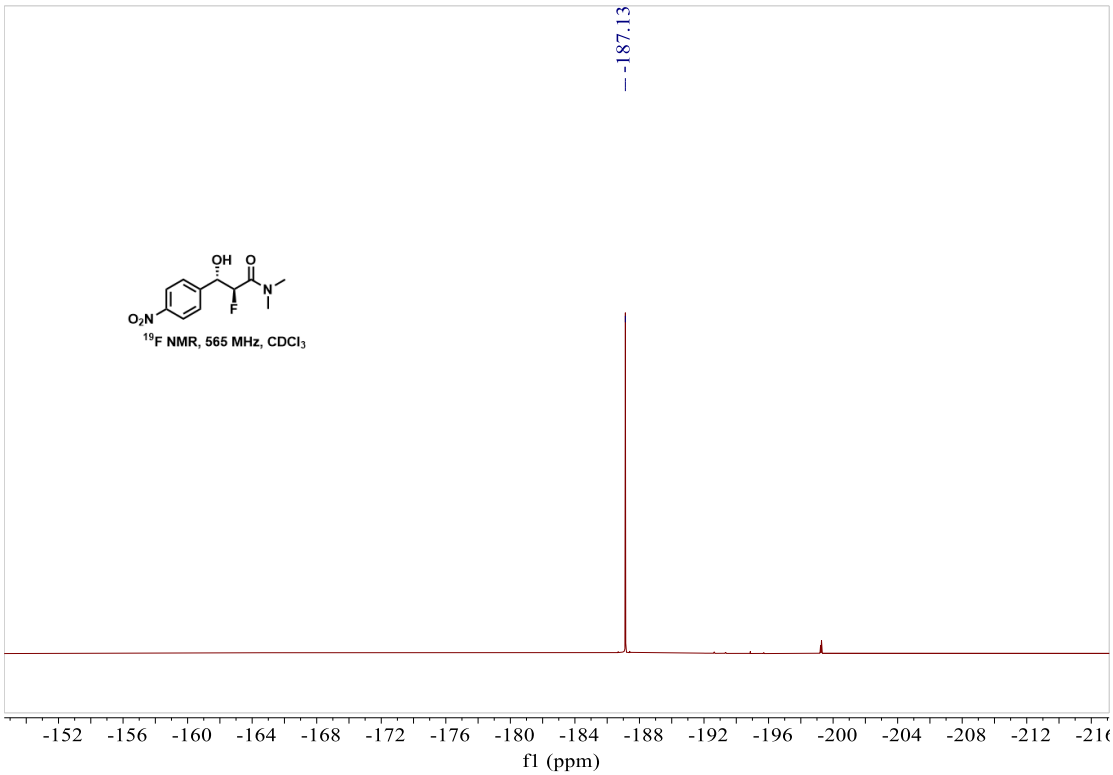




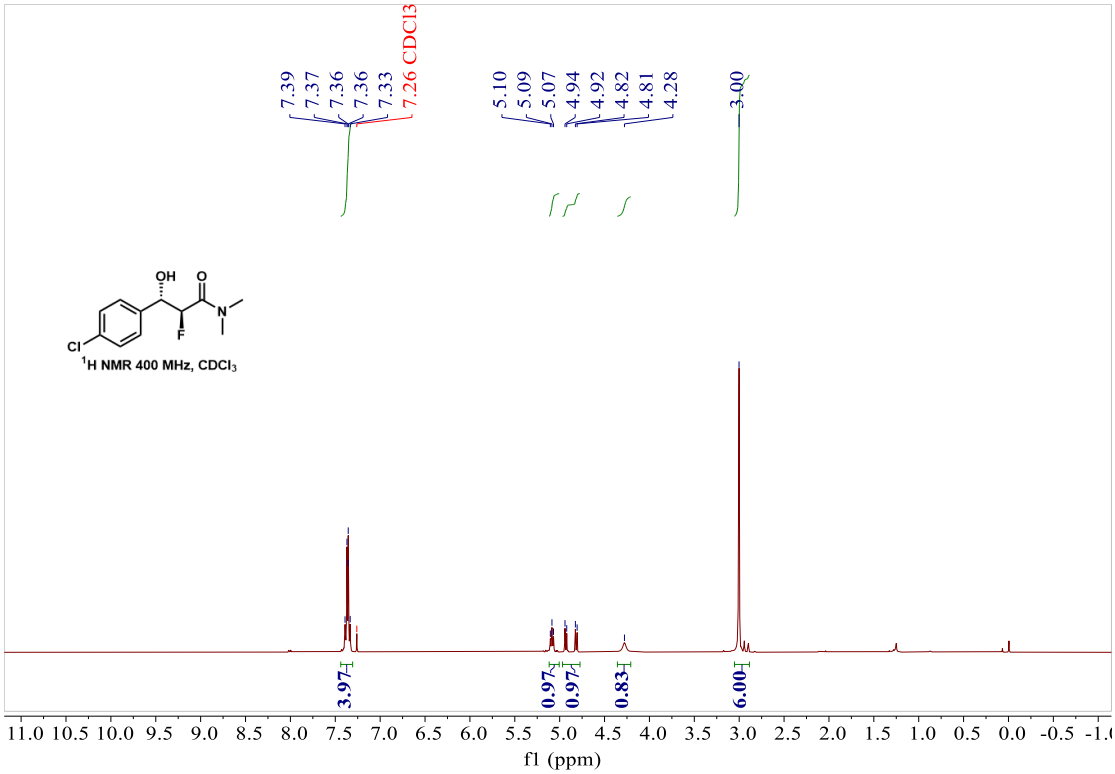
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(4-nitrophenyl)propenamide (2h):

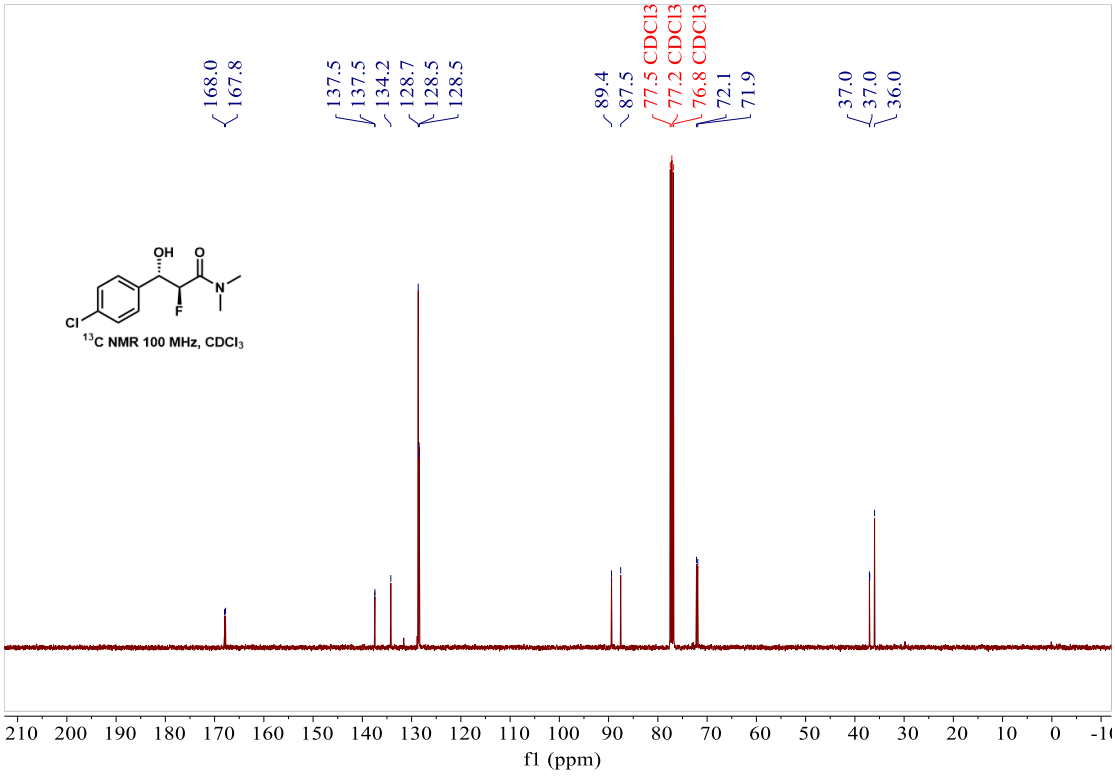


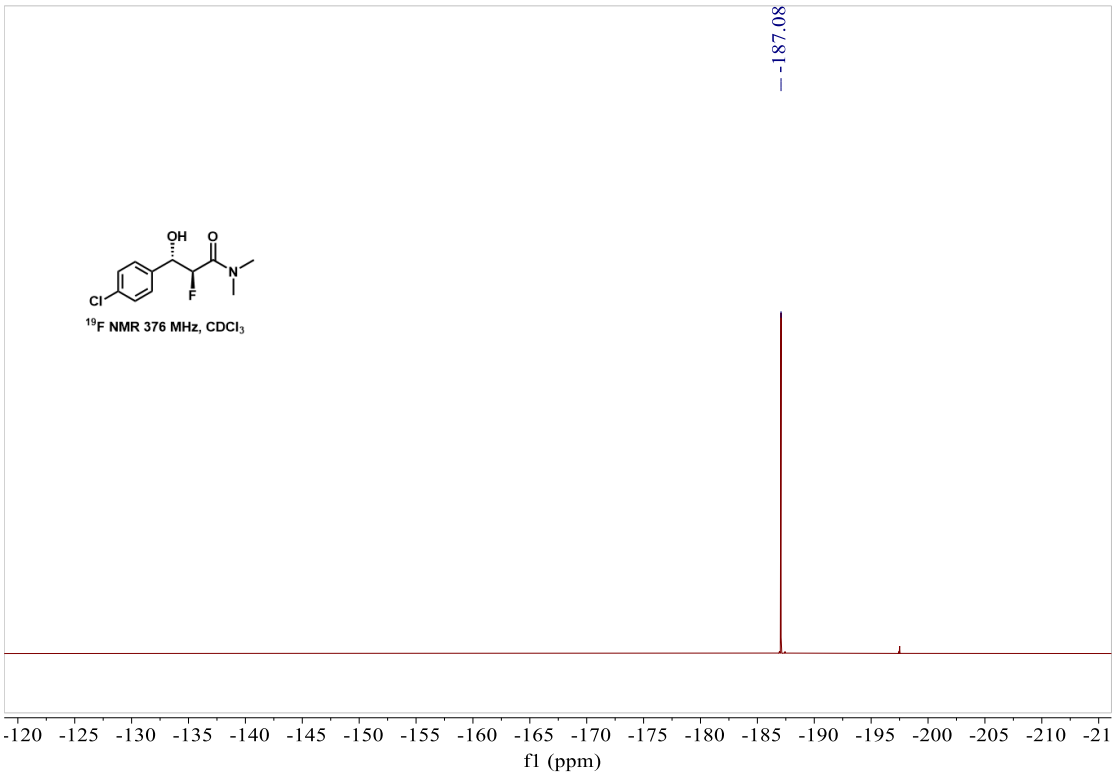

167.6
167.5
147.9
146.2
128.1
123.6
88.9
87.7
77.4 CDCl3
77.2 CDCl3
76.9 CDCl3
71.7
71.6
37.1
37.0
36.1
OH
O
N
F
O2N
13C NMR, 151 MHz, CDCl3
20 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-187.13
OH
O
N
F
O2N
19F NMR, 565 MHz, CDCl3
-152 -156 -160 -164 -168 -172 -176 -180 -184 -188 -192 -196 -200 -204 -208 -212
f1 (ppm)

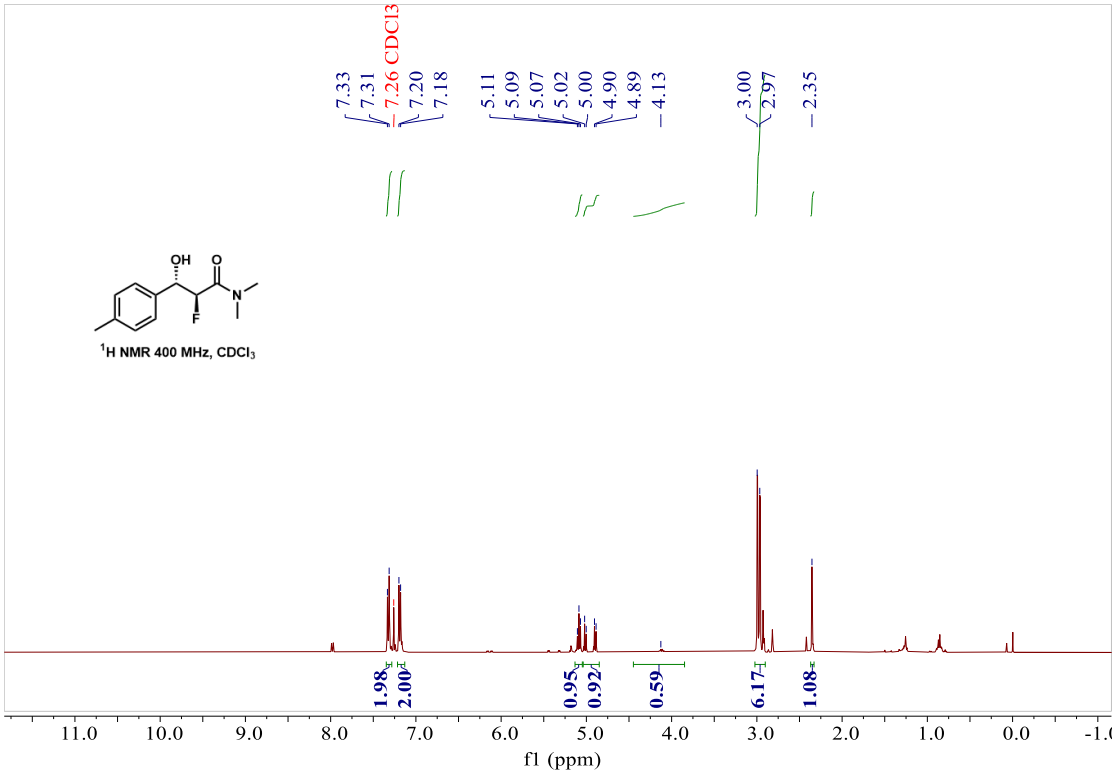
(2*S*,3*S*)-3-(4-chlorophenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2i):

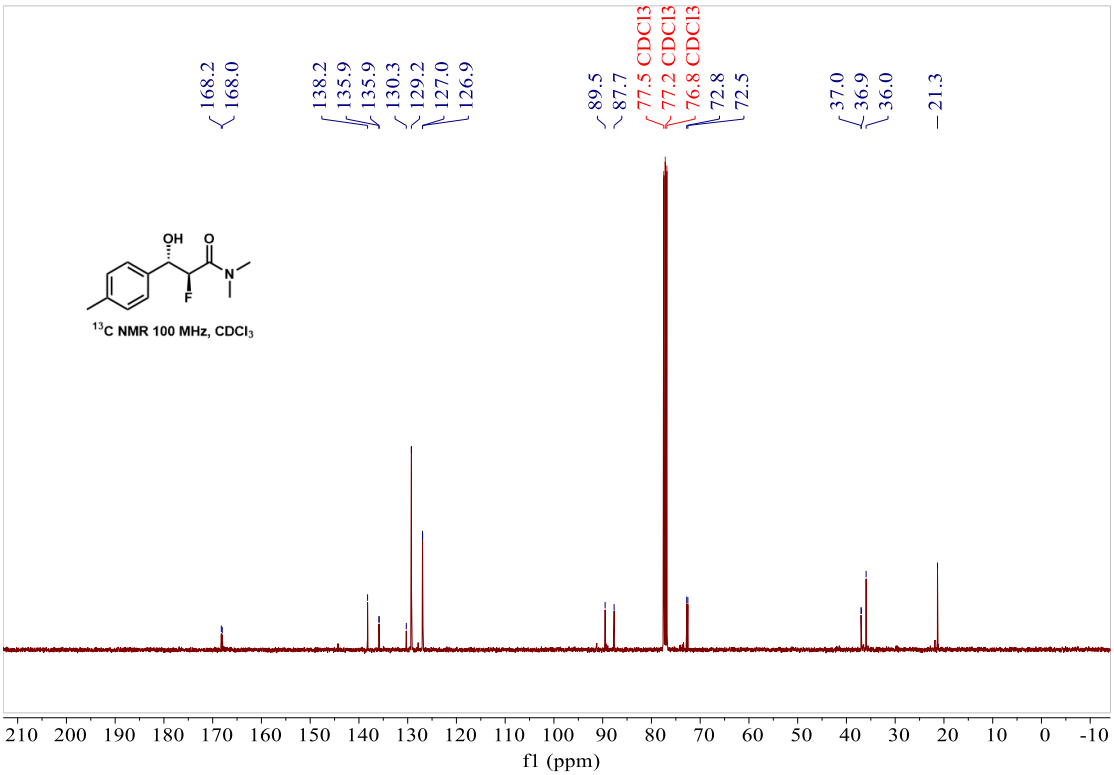


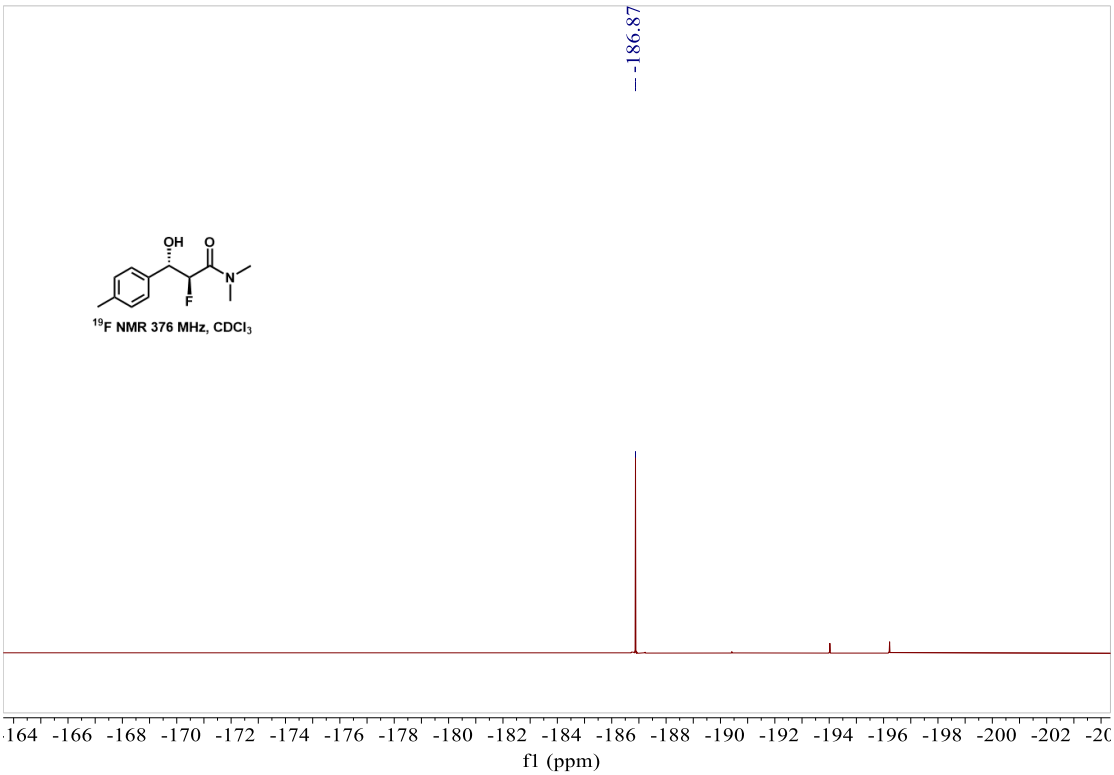




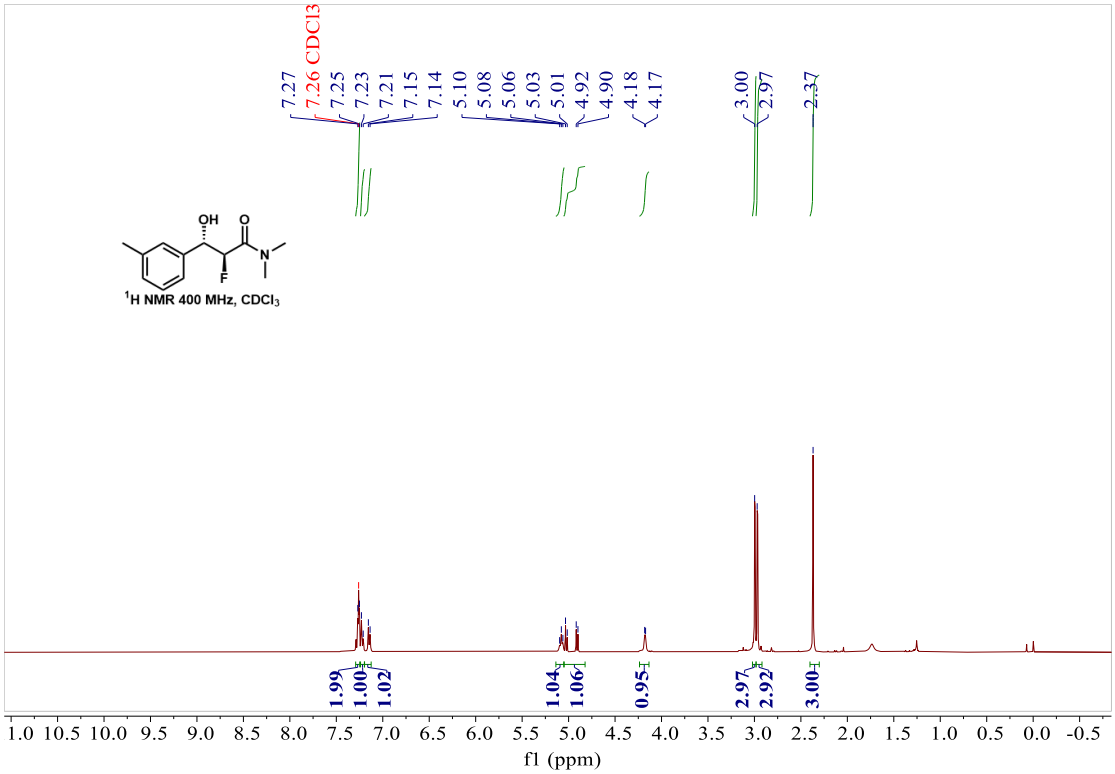
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(*p*-tolyl)propanamide (2j):

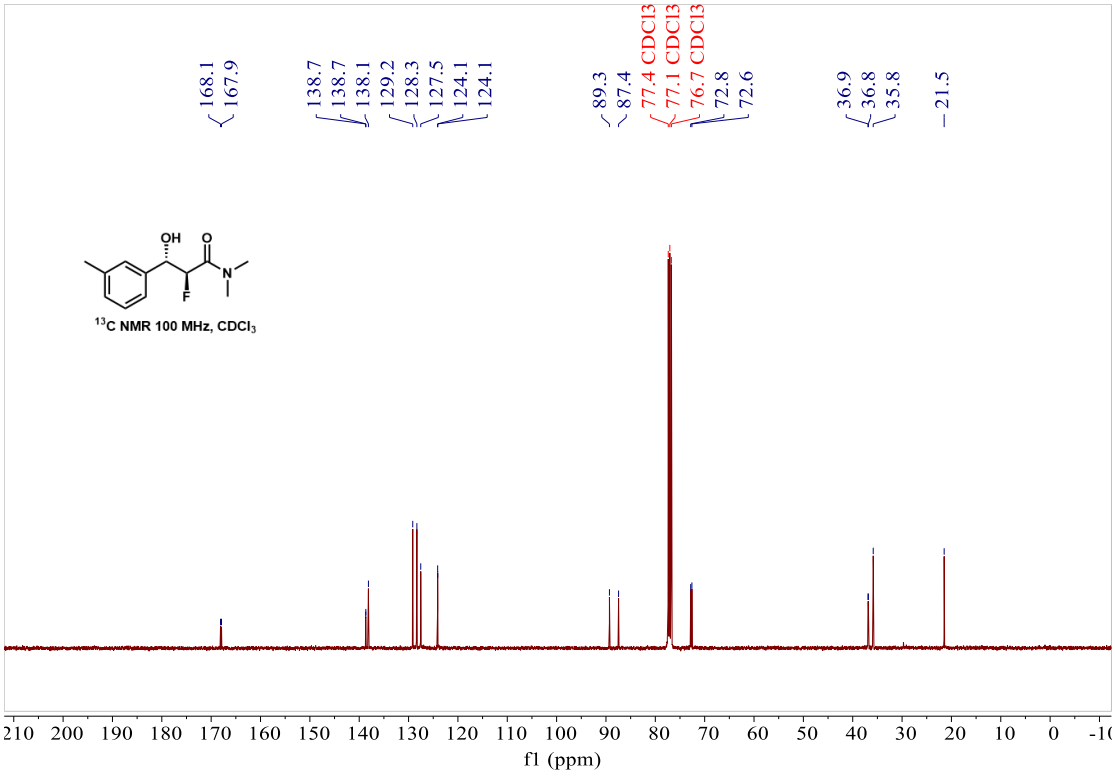


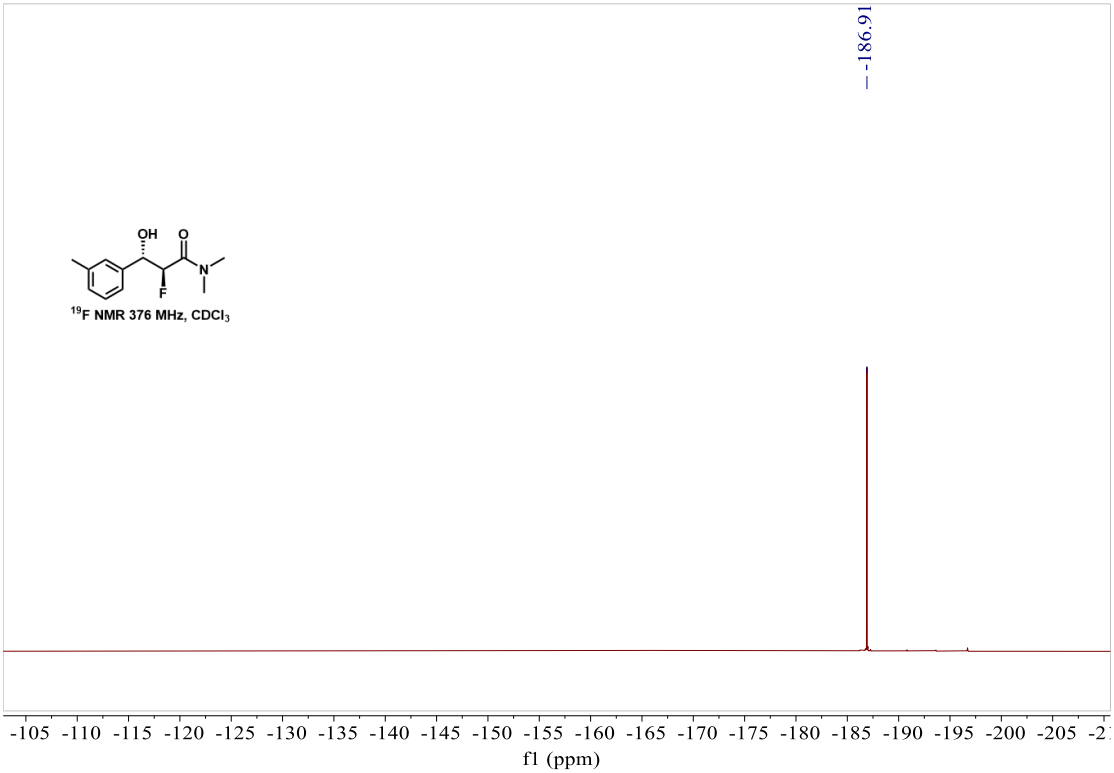

168.2
168.0
138.2
135.9
135.9
130.3
129.2
127.0
126.9
89.5
87.7
77.5 CDCl3
77.2 CDCl3
76.8 CDCl3
72.8
72.5
37.0
36.9
36.0
21.3
OH
O
N
F
13C NMR 100 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-186.87
OH
O
N
F
19F NMR 376 MHz, CDCl3
-164 -166 -168 -170 -172 -174 -176 -178 -180 -182 -184 -186 -188 -190 -192 -194 -196 -198 -200 -202 -20
f1 (ppm)

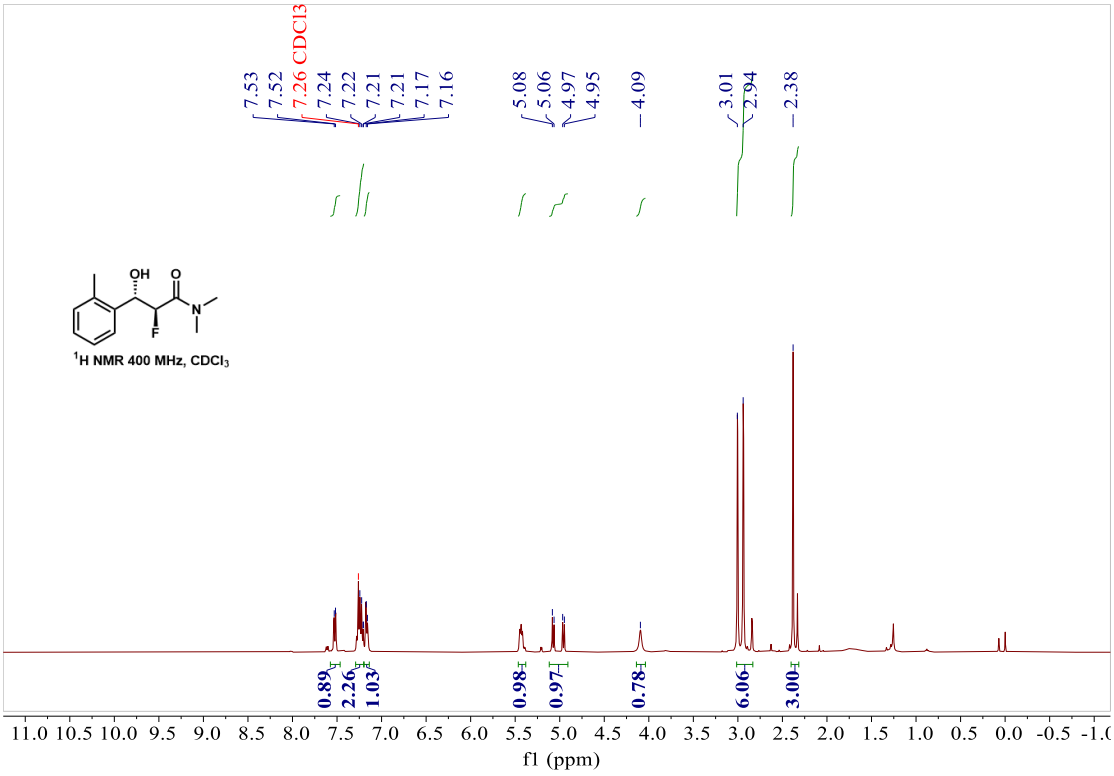
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(*m*-tolyl)propanamide (2k):

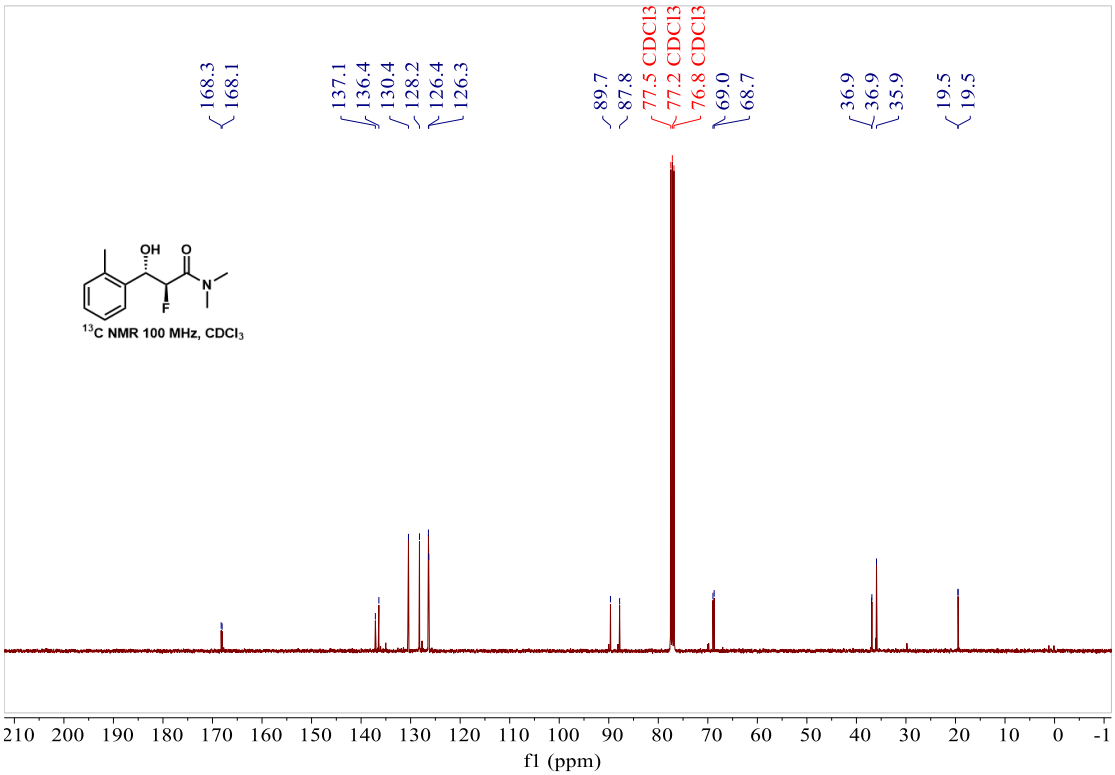


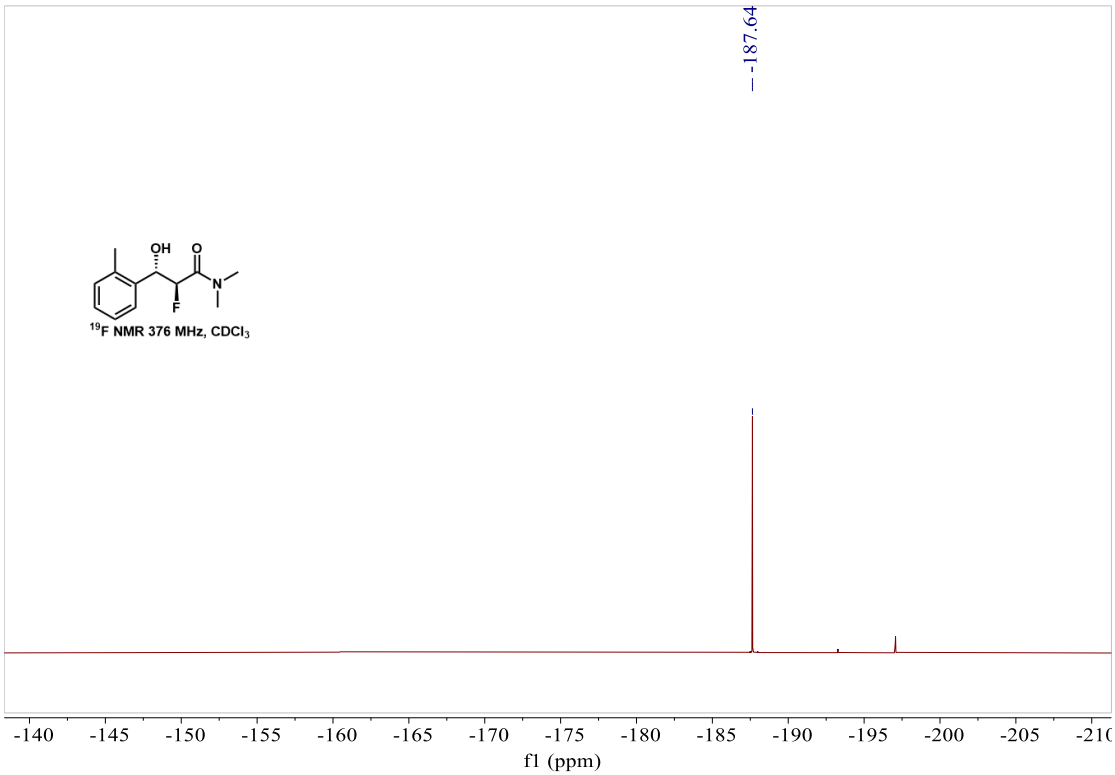




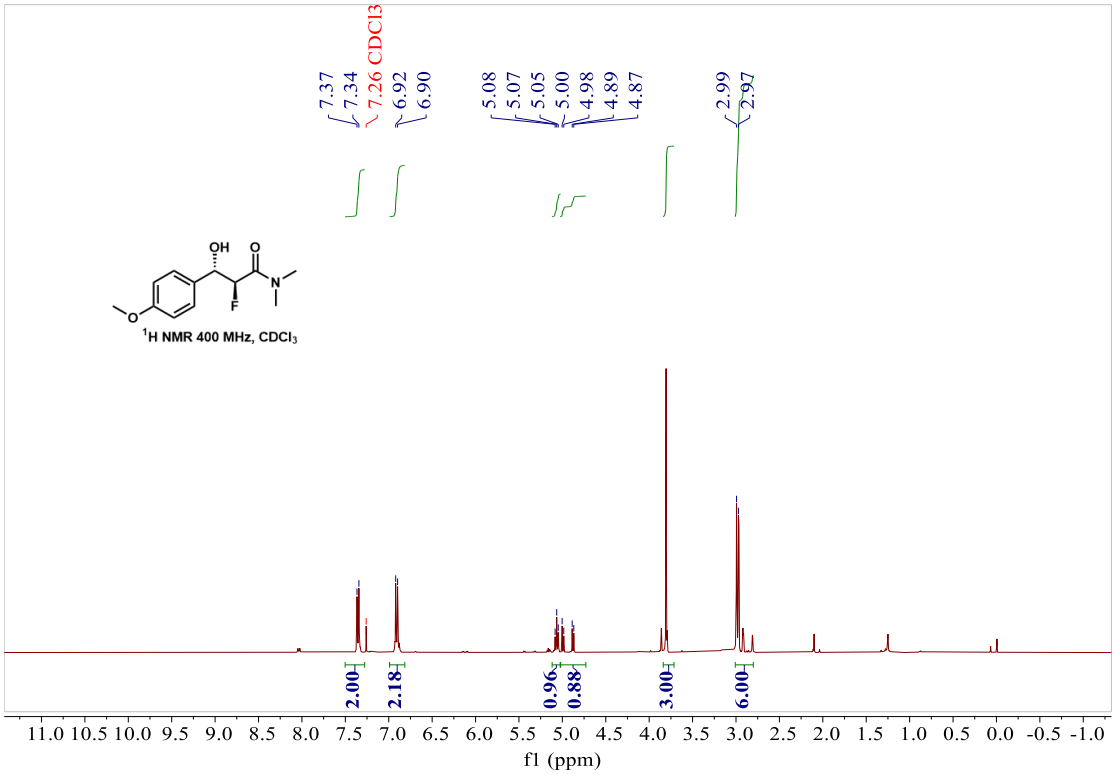
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(*o*-tolyl)propanamide (2l):

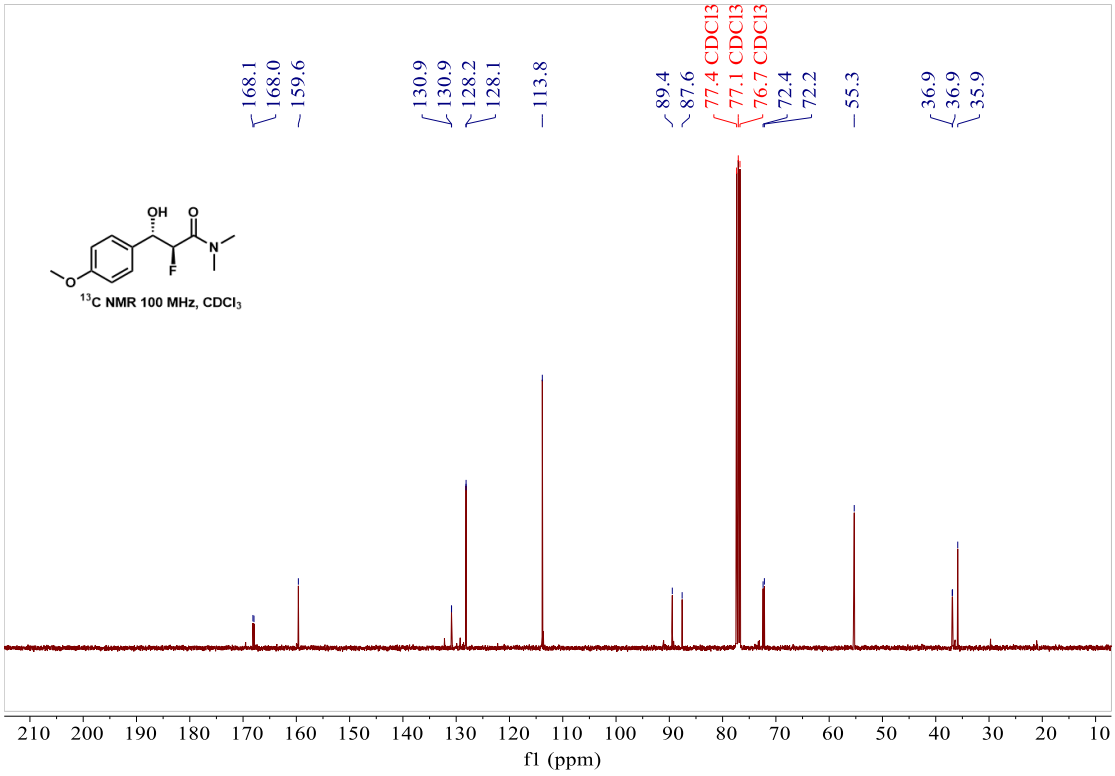


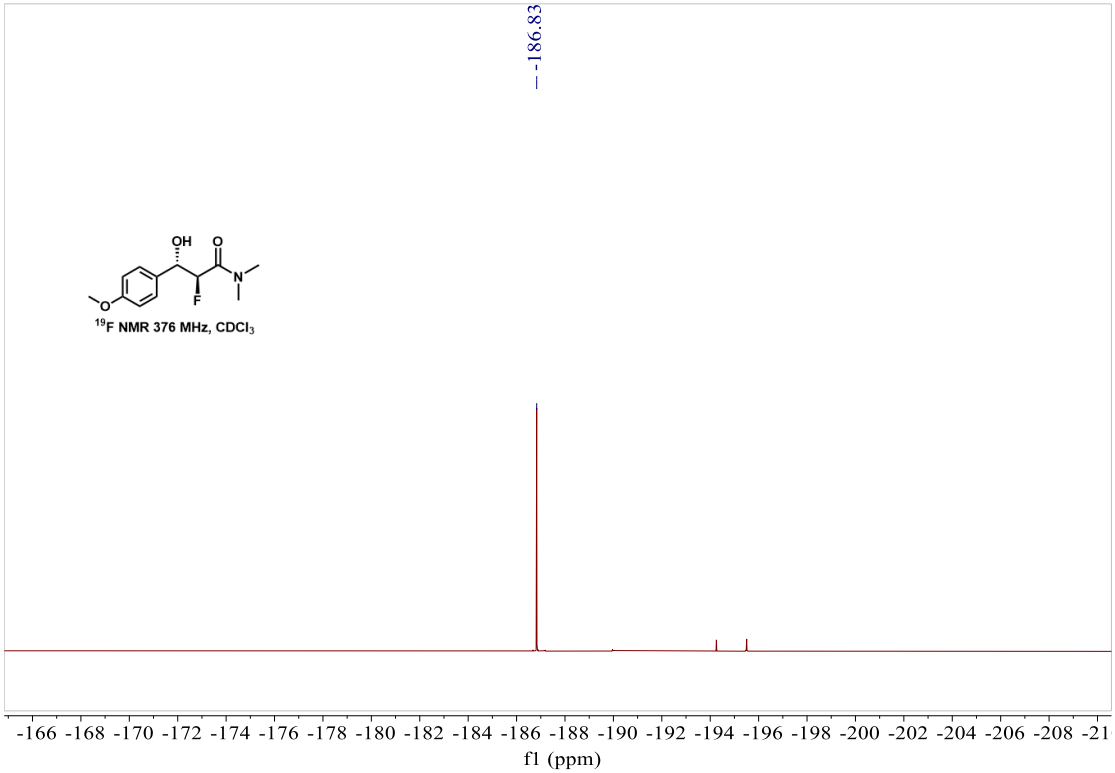

168.3
168.1
137.1
136.4
130.4
128.2
126.4
126.3
89.7
87.8
77.5 CDCl3
77.2 CDCl3
76.8 CDCl3
69.0
68.7
36.9
36.9
35.9
19.5
19.5
OH
O
N
F
13C NMR 100 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -1
f1 (ppm)


-187.64
OH
O
N
F
19F NMR 376 MHz, CDCl3
-140 -145 -150 -155 -160 -165 -170 -175 -180 -185 -190 -195 -200 -205 -210
f1 (ppm)

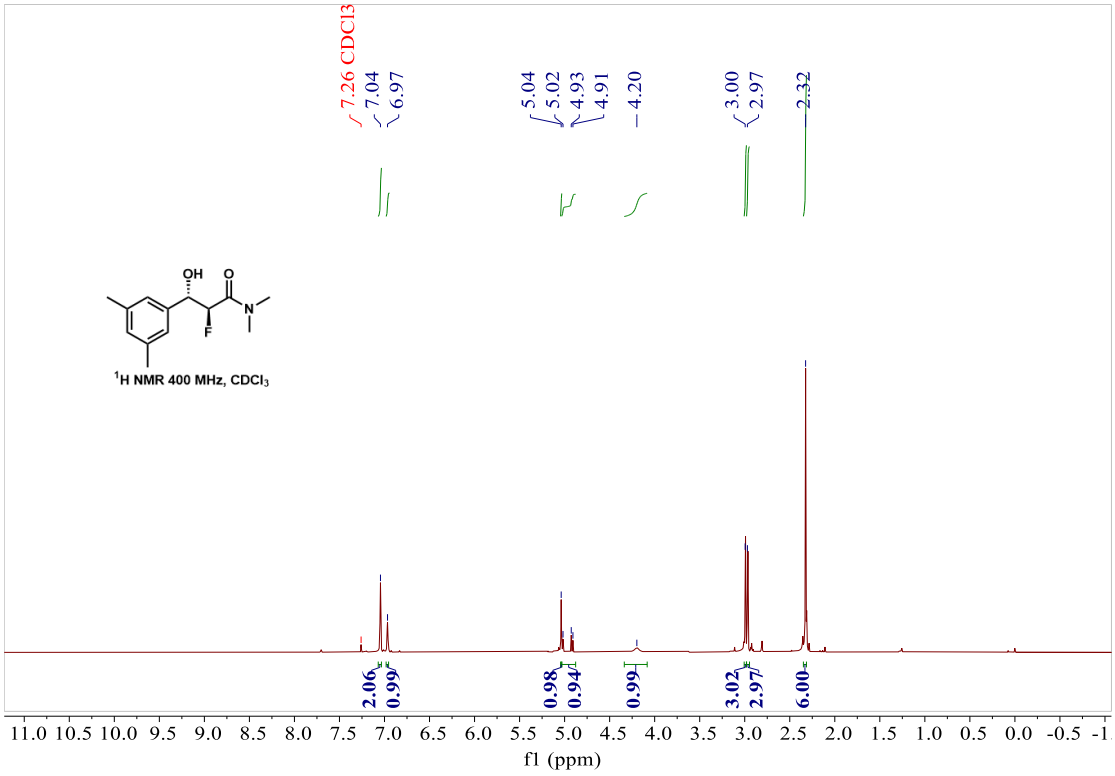
(2*S*,3*S*)-2-fluoro-3-hydroxy-3-(4-methoxyphenyl)-N,N-dimethylpropanamide (2m):

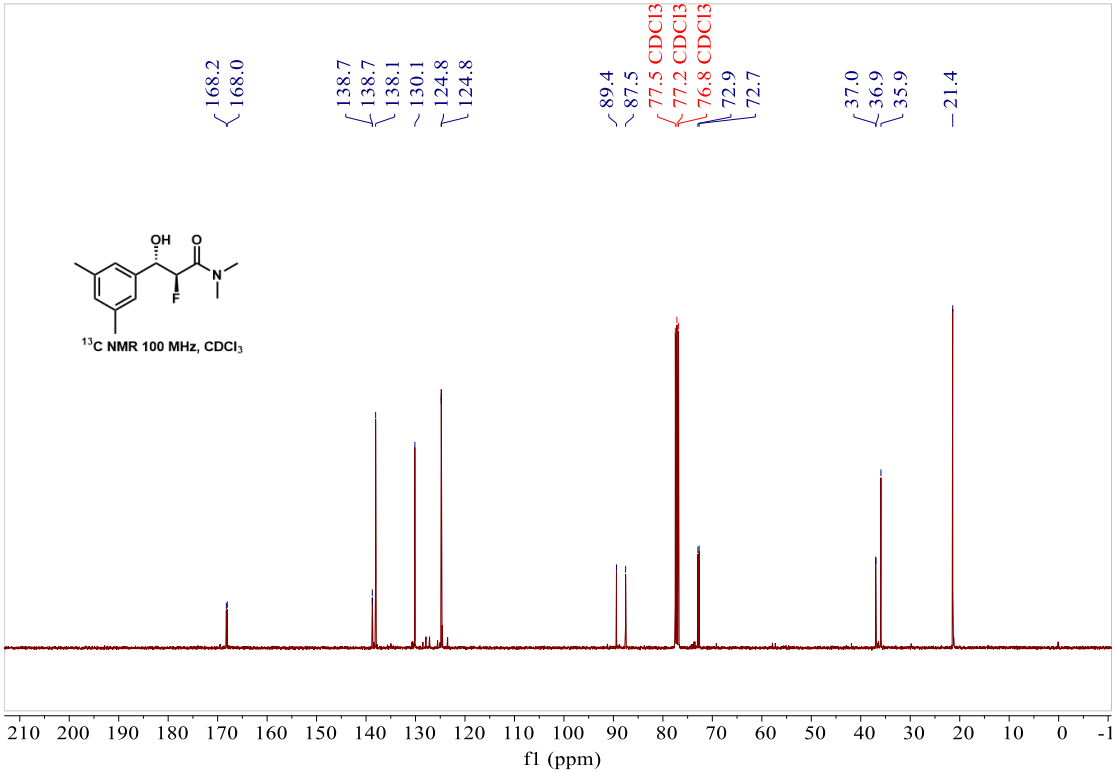


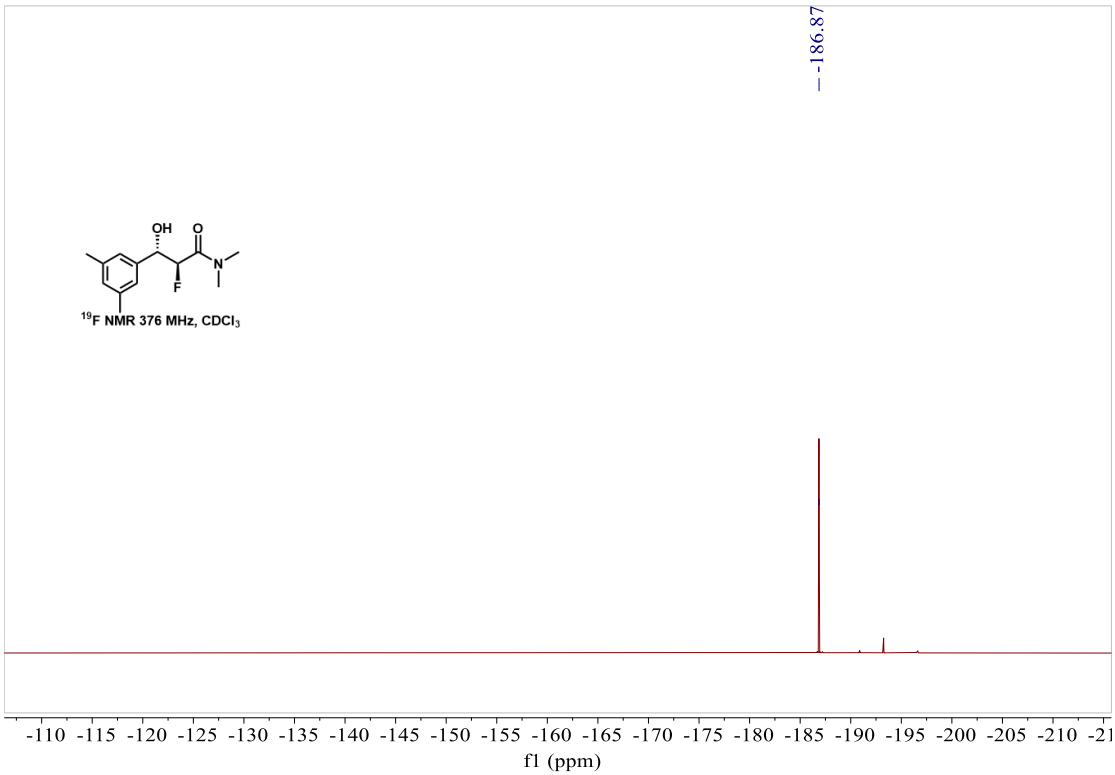




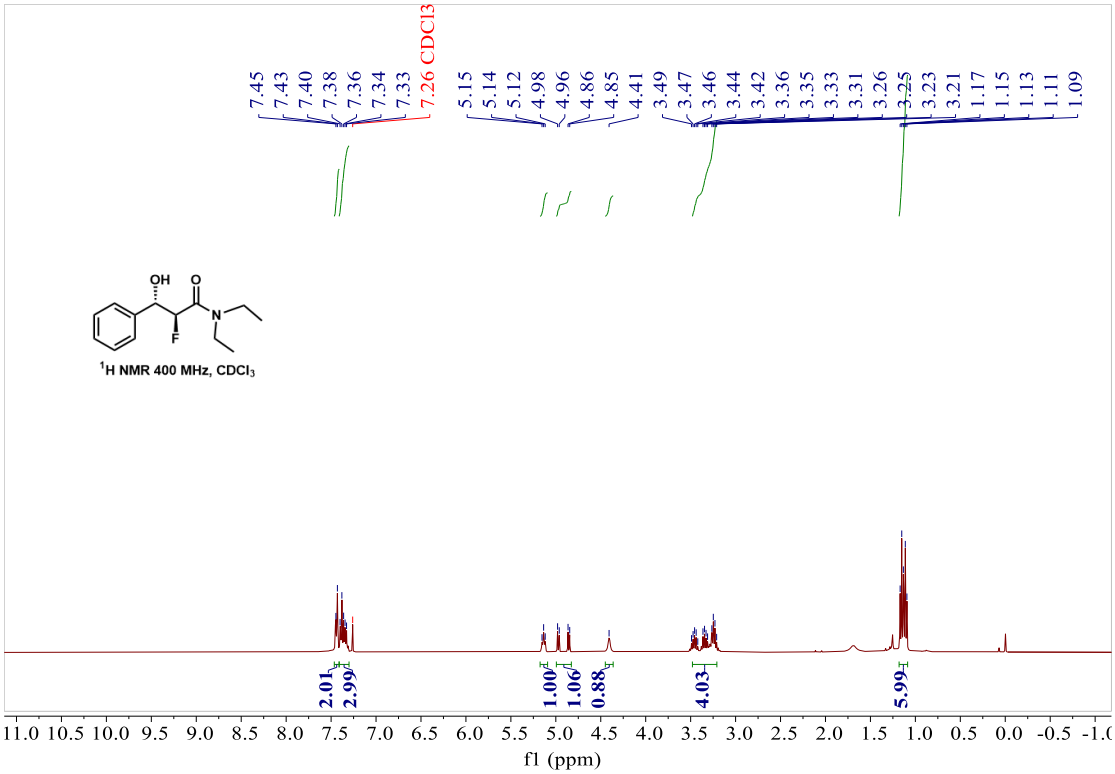
(2*S*,3*S*)-3-(3,5-dimethylphenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2n):

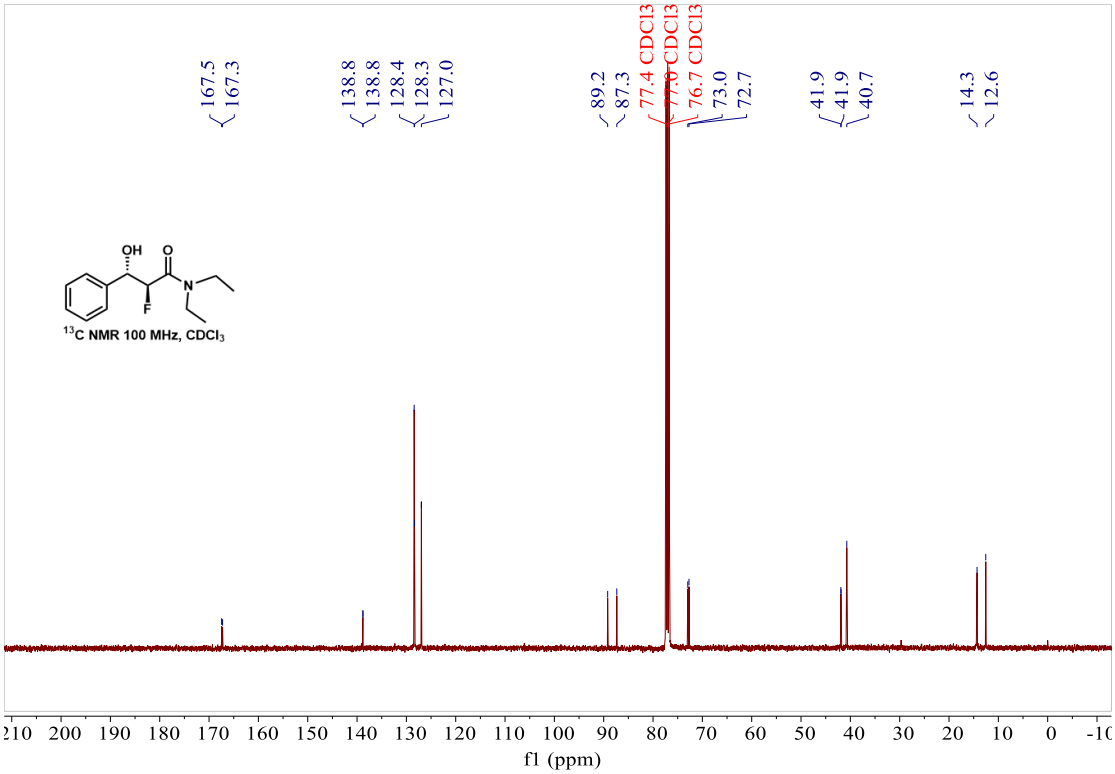


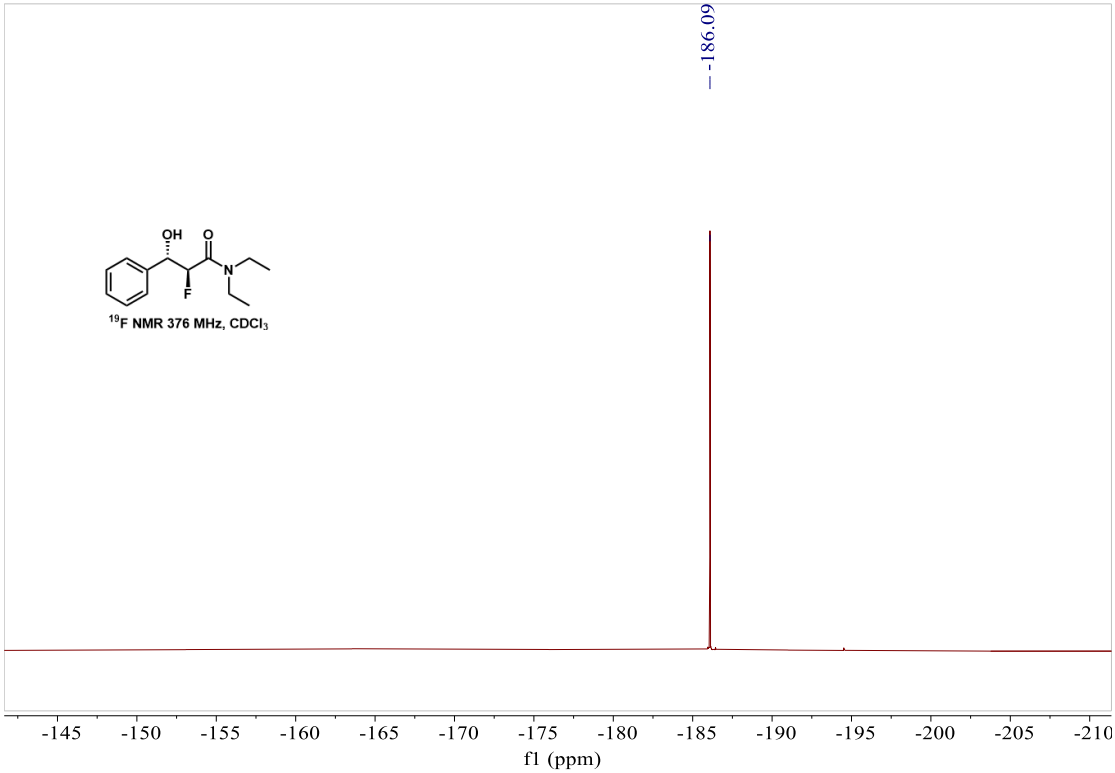

168.2
168.0
138.7
138.7
138.1
130.1
124.8
124.8
89.4
87.5
77.5 CDCl3
77.2 CDCl3
76.8 CDCl3
72.9
72.7
37.0
36.9
35.9
21.4
OH
O
N
F
13C NMR 100 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -1
f1 (ppm)


-186.87
OH
O
N
F
19F NMR 376 MHz, CDCl3
-110 -115 -120 -125 -130 -135 -140 -145 -150 -155 -160 -165 -170 -175 -180 -185 -190 -195 -200 -205 -210 -21
f1 (ppm)

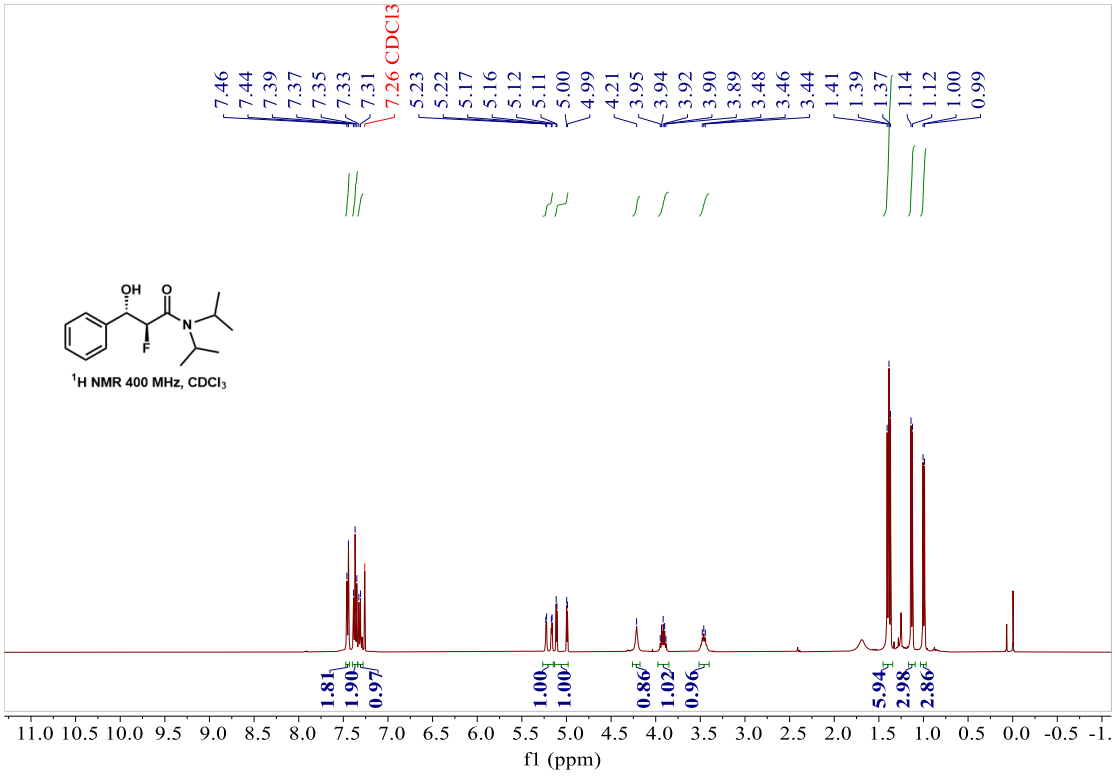
(2*S*,3*S*)-N,N-diethyl-2-fluoro-3-hydroxy-3-phenylpropanamide (2o):

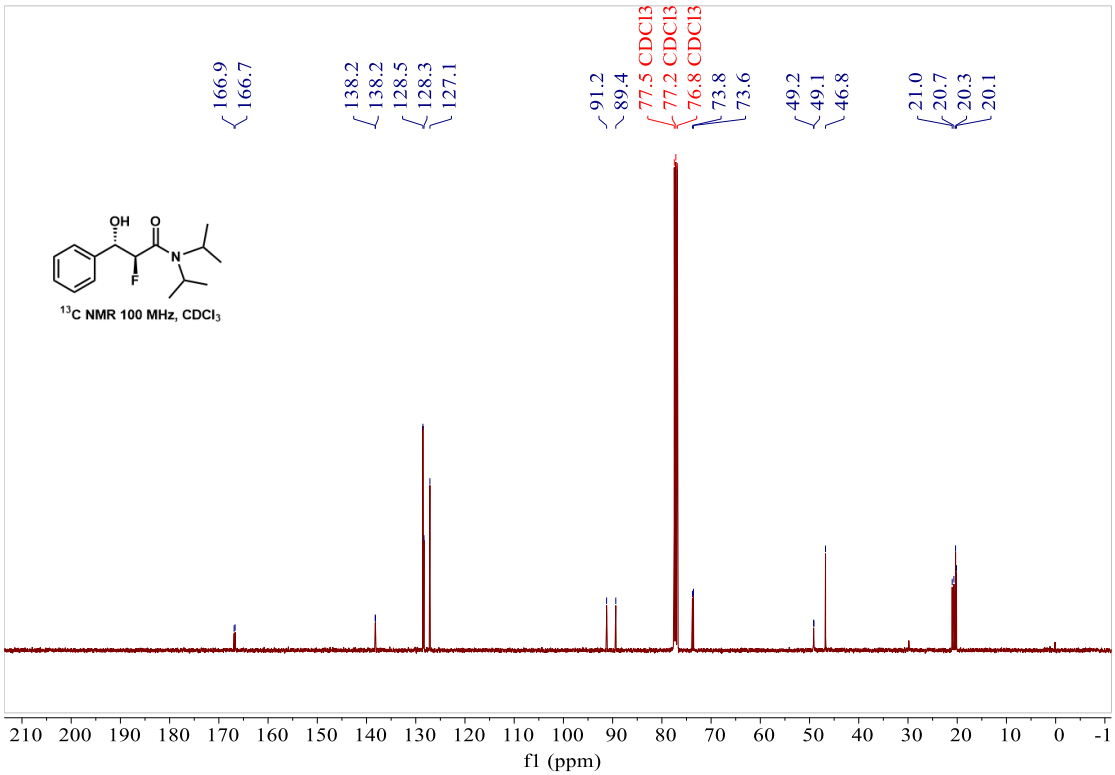


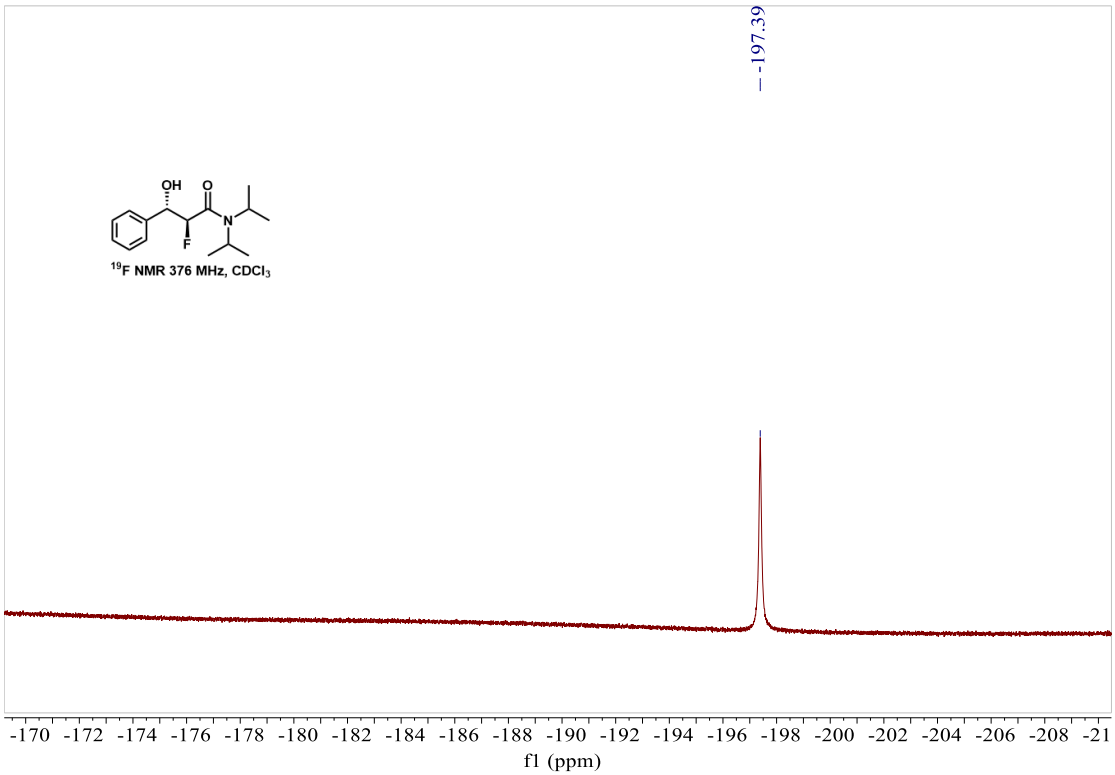




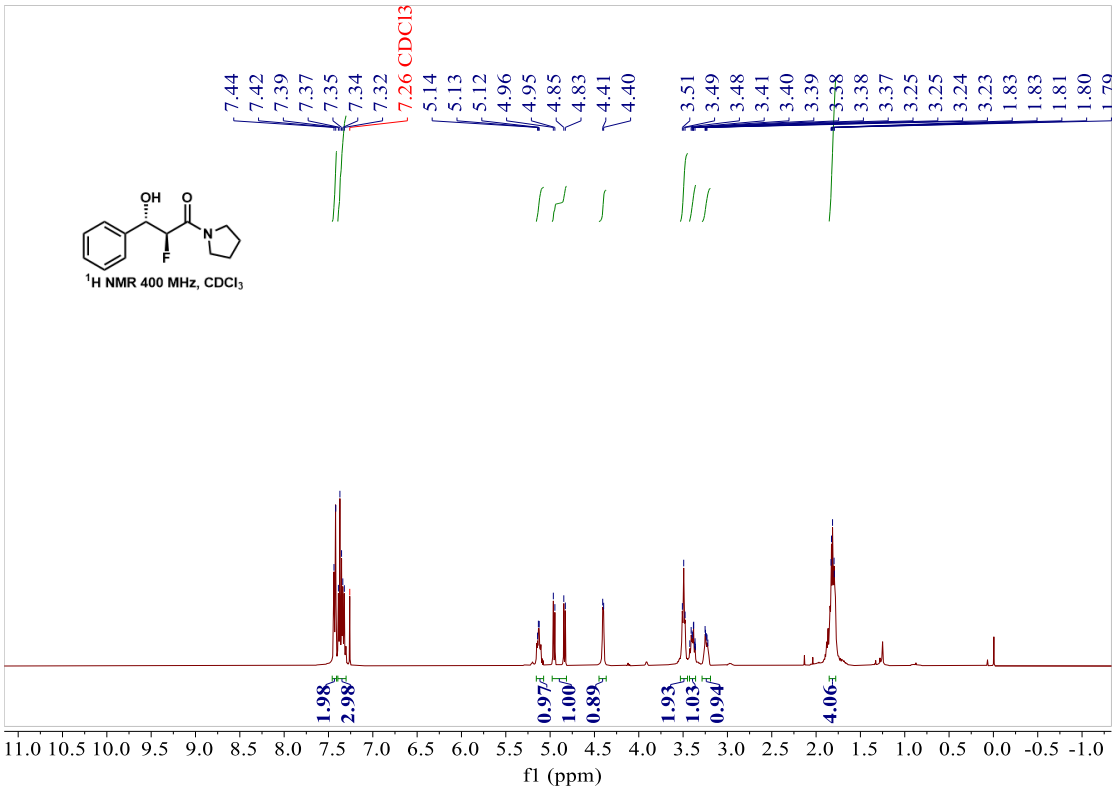
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-diisopropyl-3-phenylpropanamide (2p):

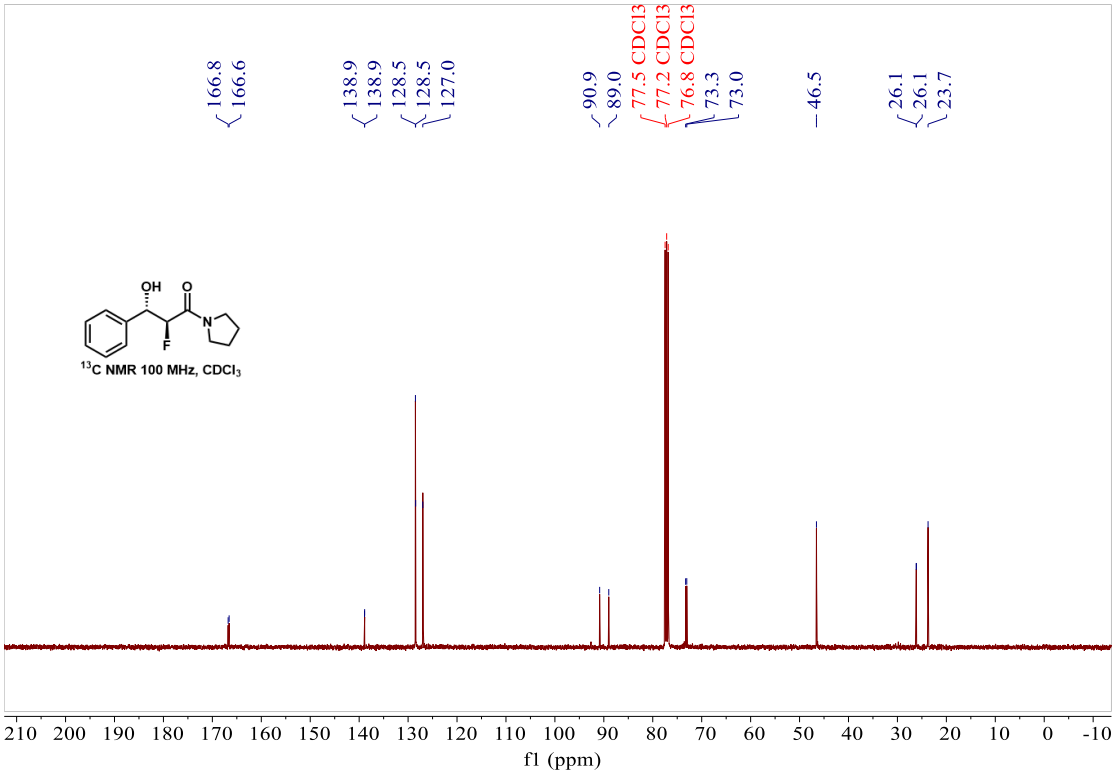


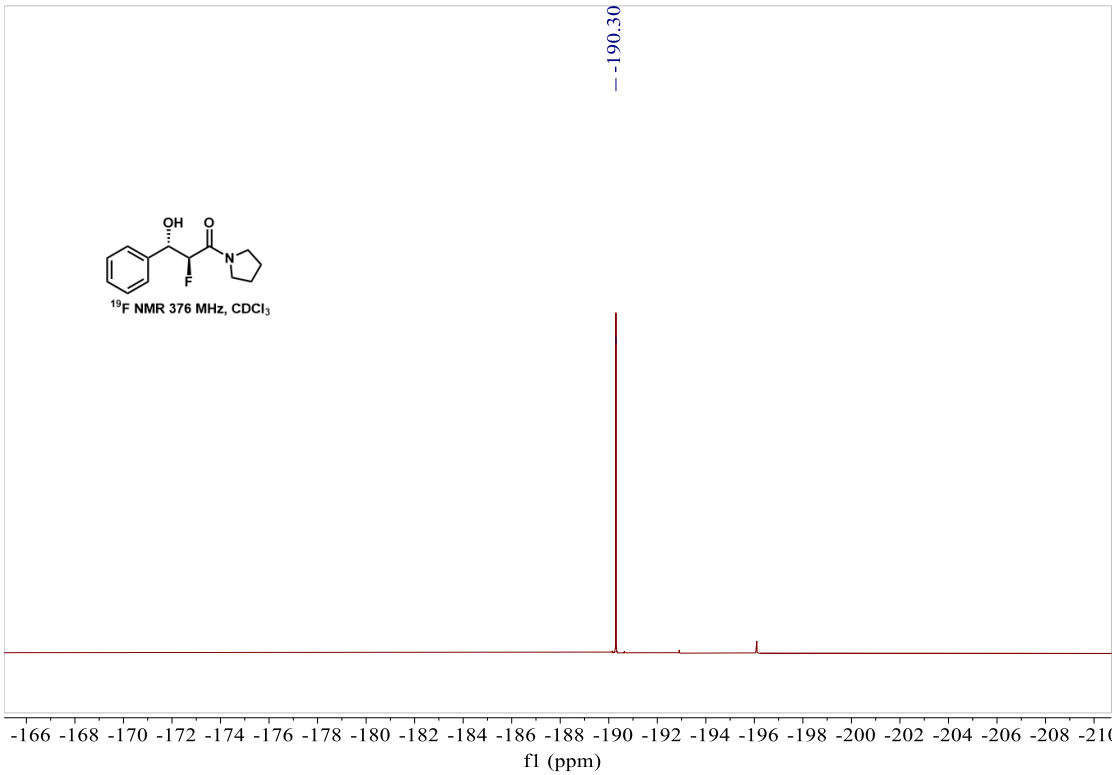

166.9
166.7
138.2
138.2
128.5
128.3
127.1
91.2
89.4
77.5 CDCl3
77.2 CDCl3
76.8 CDCl3
73.8
73.6
49.2
49.1
46.8
21.0
20.7
20.3
20.1
OH
O
N
F
13C NMR 100 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -1
f1 (ppm)


-197.39
OH
O
N
F
19F NMR 376 MHz, CDCl3
-170 -172 -174 -176 -178 -180 -182 -184 -186 -188 -190 -192 -194 -196 -198 -200 -202 -204 -206 -208 -21
f1 (ppm)

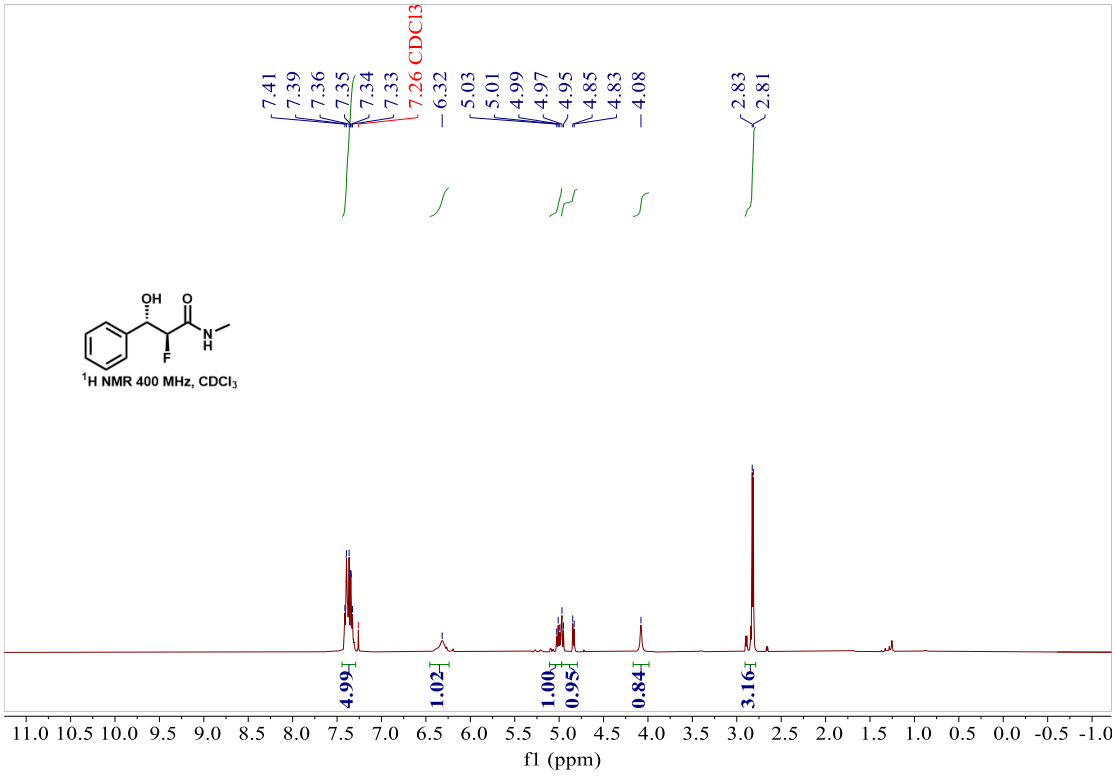
(2*S*,3*S*)-2-fluoro-3-hydroxy-3-phenyl-1-(pyrrolidin-1-yl)propan-1-one (2q):

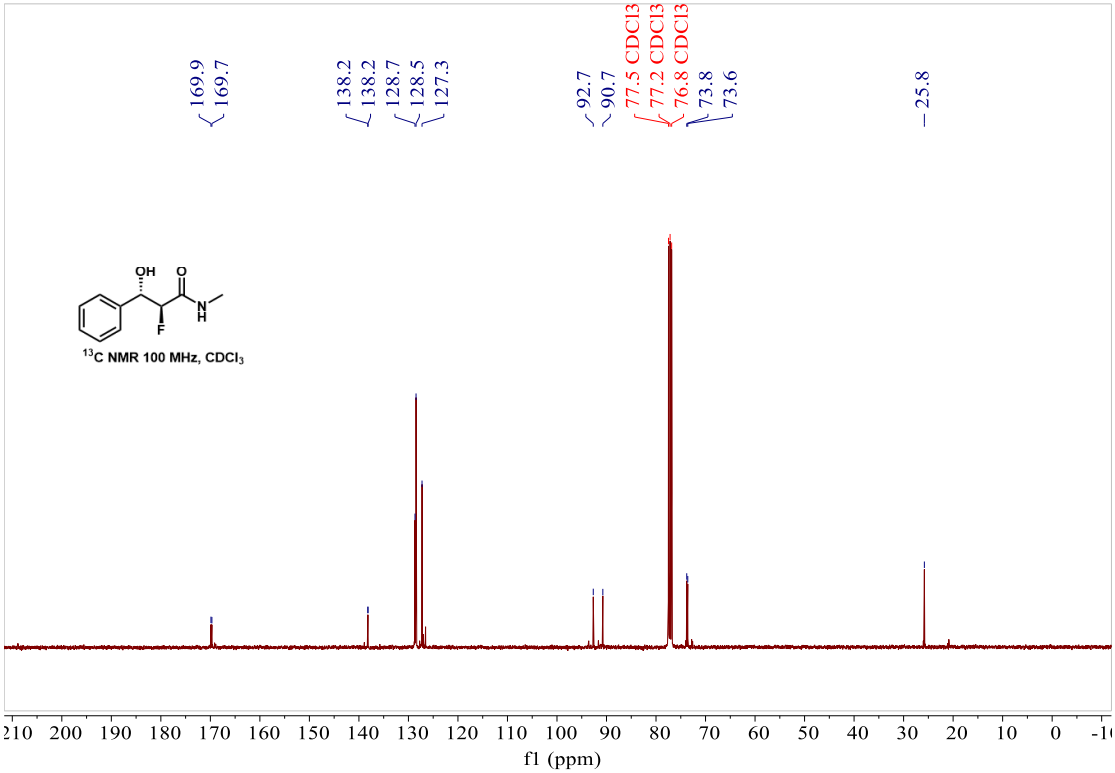


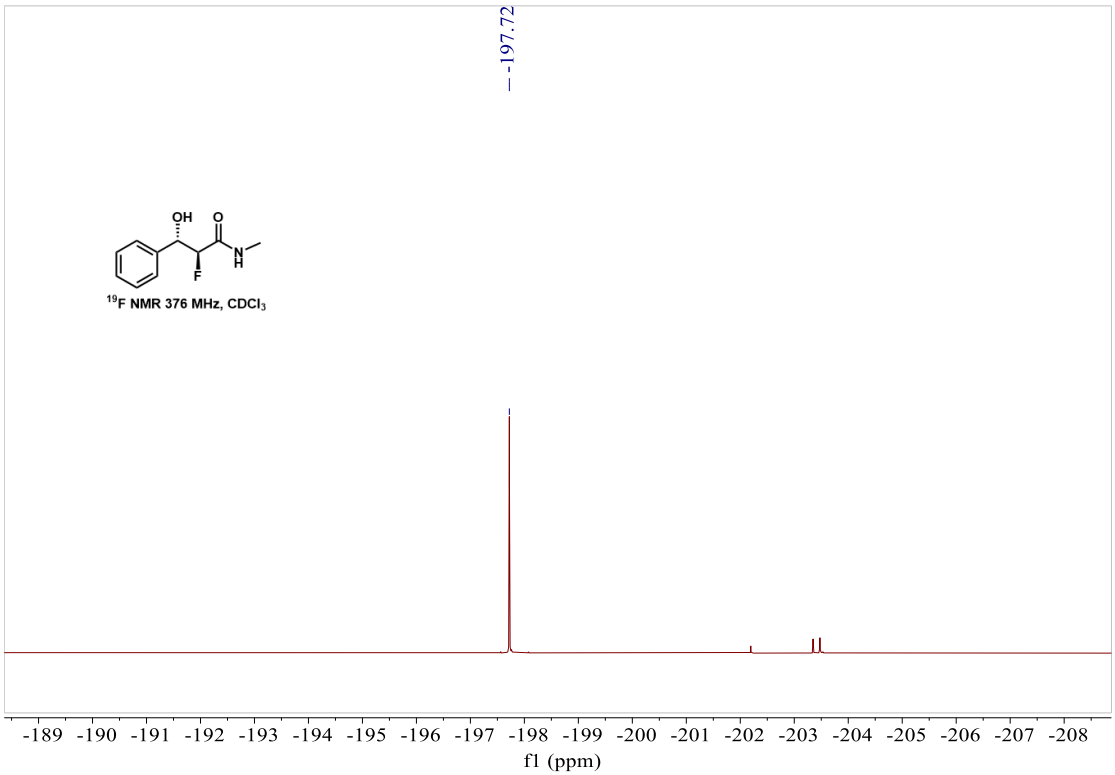




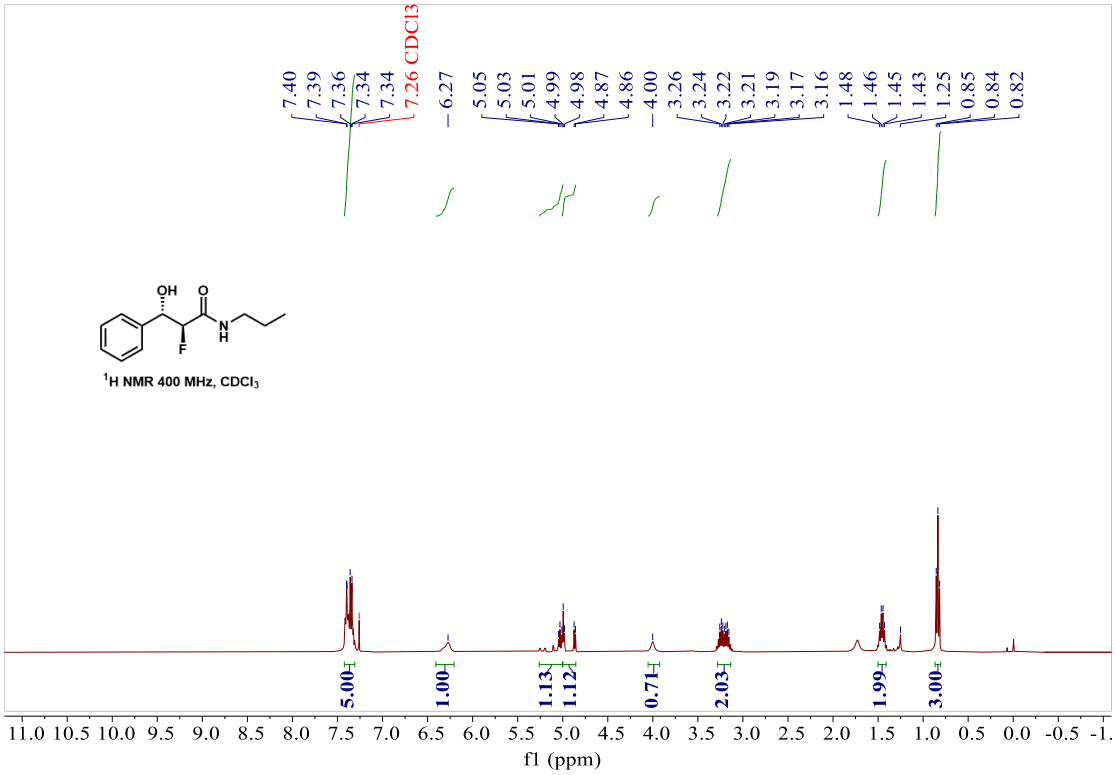
(2S,3S)-2-fluoro-3-hydroxy-N-methyl-3-phenylpropanamide (2r):

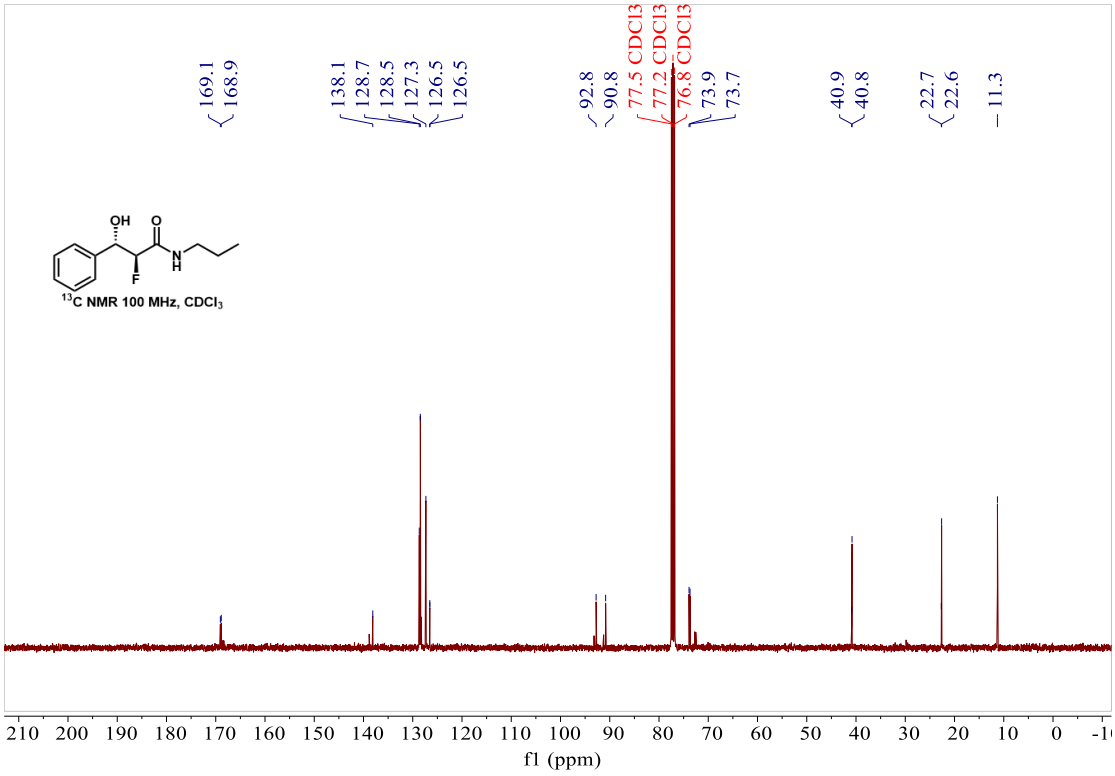


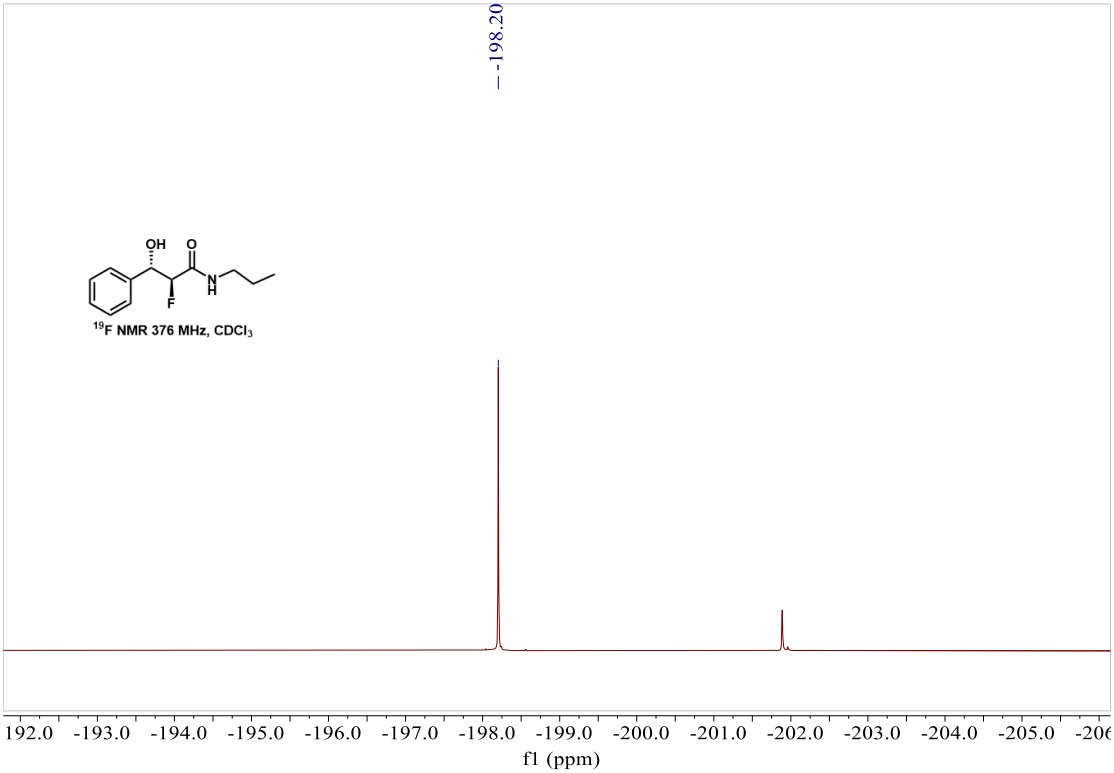

169.9
169.7
138.2
138.2
128.7
128.5
127.3
92.7
90.7
77.5 CDCl3
77.2 CDCl3
76.8 CDCl3
73.8
73.6
25.8
OH
O
N
H
F
13C NMR 100 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -1
f1 (ppm)


-197.72
OH
O
N
H
F
19F NMR 376 MHz, CDCl3
-189 -190 -191 -192 -193 -194 -195 -196 -197 -198 -199 -200 -201 -202 -203 -204 -205 -206 -207 -208
f1 (ppm)

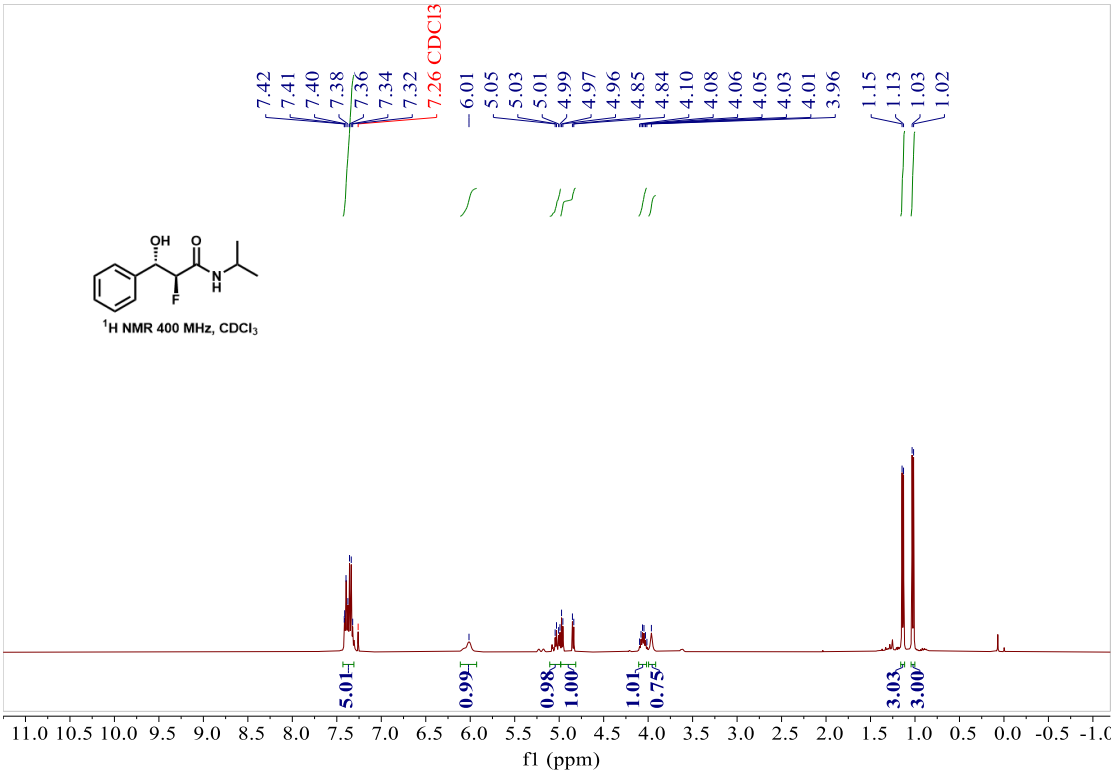
(2*S*,3*S*)-2-fluoro-3-hydroxy-3-phenyl-N-propylpropanamide (2s):

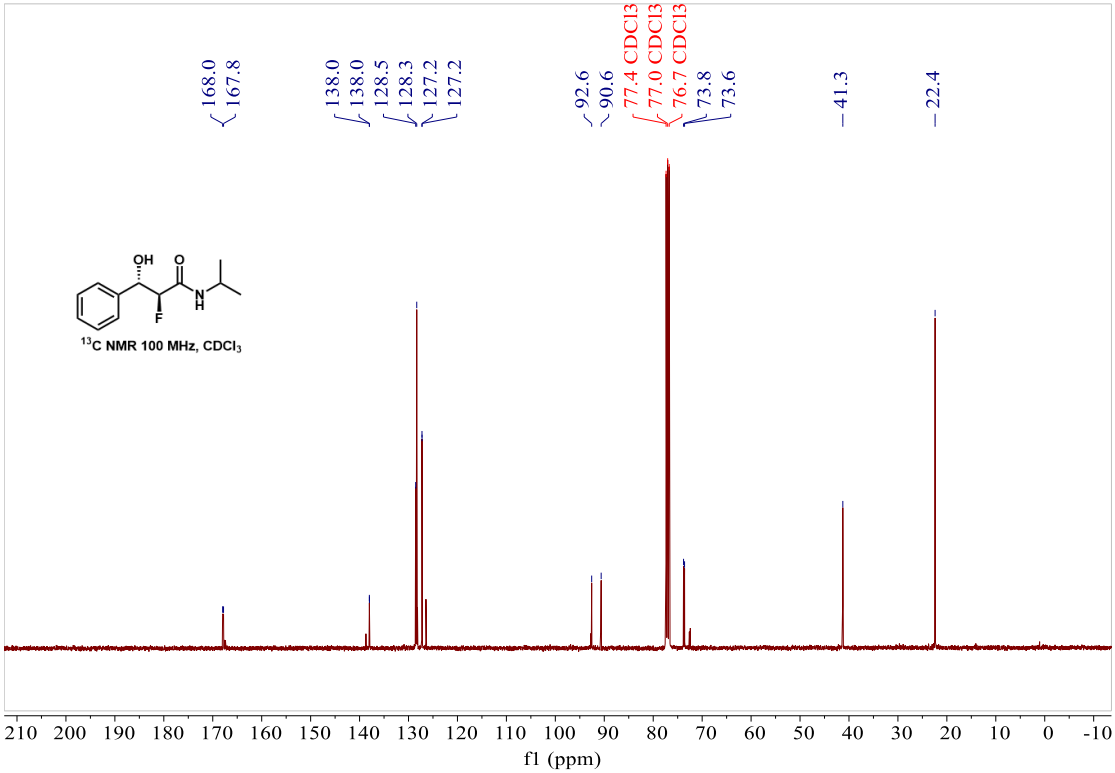


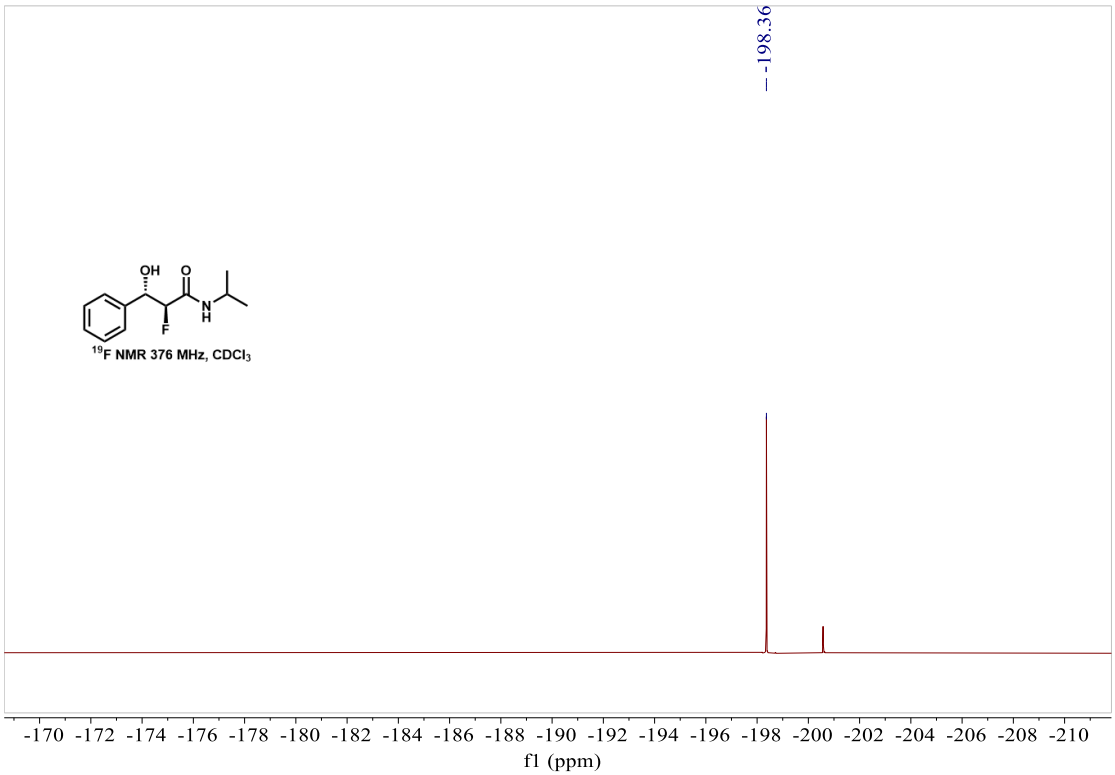




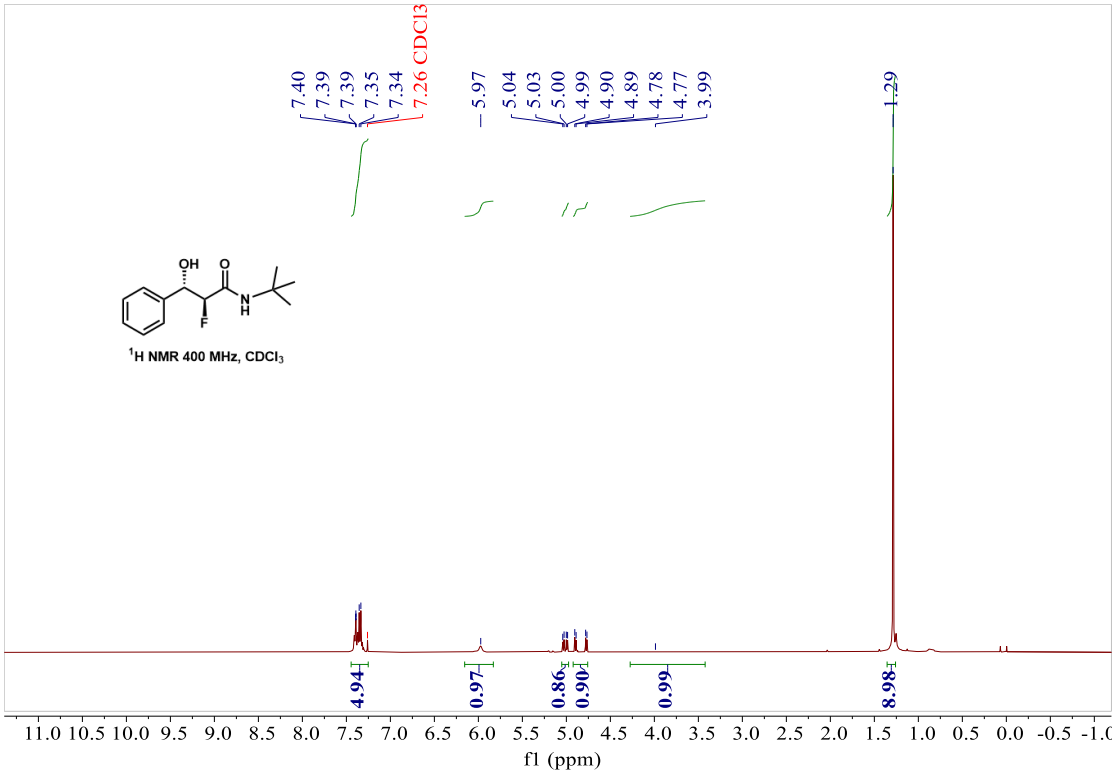
(2*S*,3*S*)-2-fluoro-3-hydroxy-N-isopropyl-3-phenylpropanamide (2t):

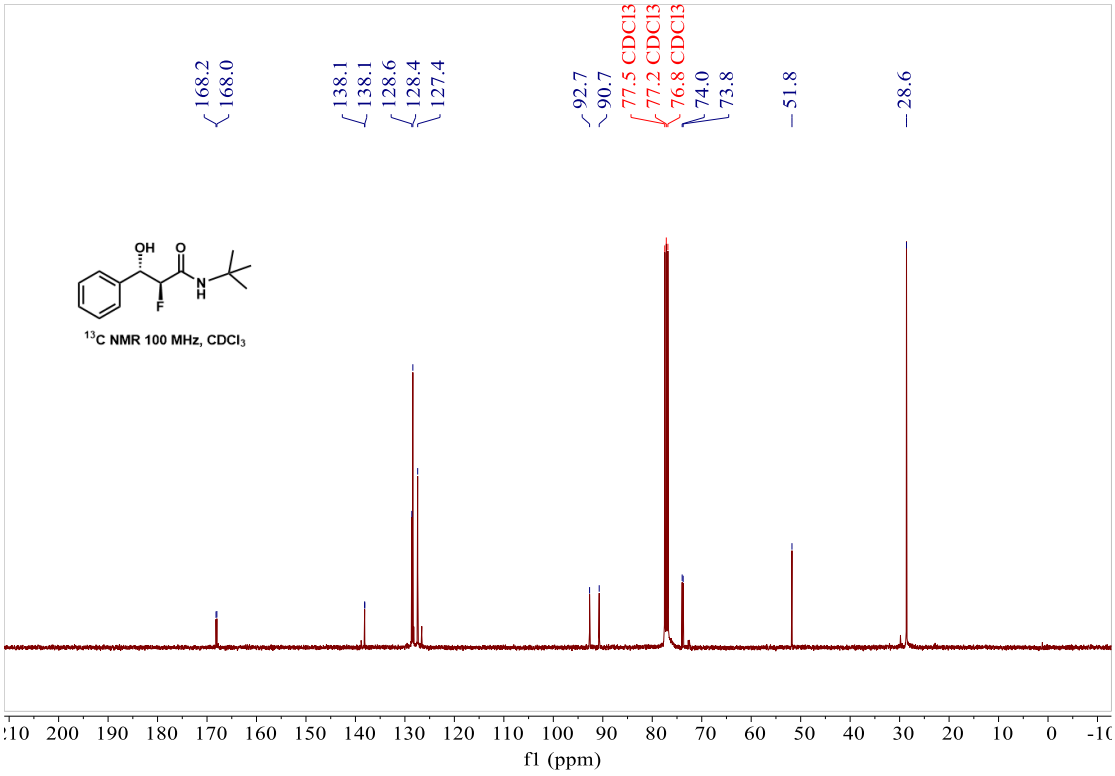


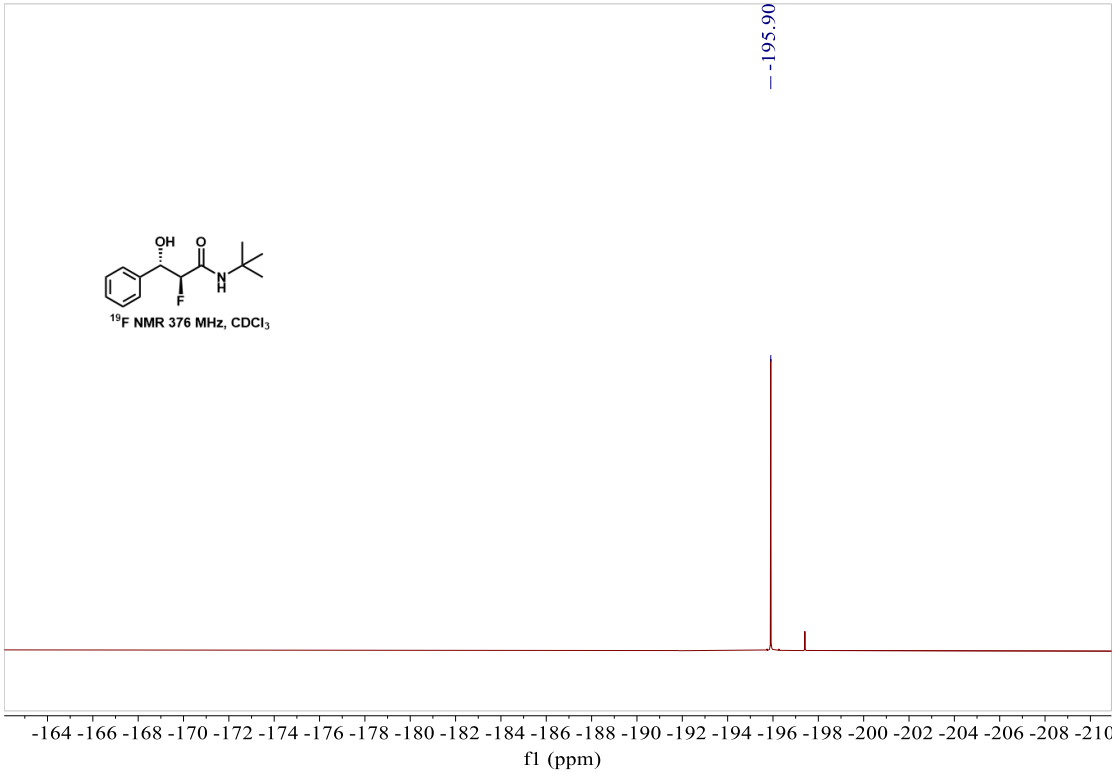

168.0
167.8
138.0
138.0
128.5
128.3
127.2
127.2
92.6
90.6
77.4 CDCl3
77.0 CDCl3
76.7 CDCl3
73.8
73.6
41.3
22.4
OH
O
N
H
F
13C NMR 100 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-198.36
OH
O
N
H
F
19F NMR 376 MHz, CDCl3
-170 -172 -174 -176 -178 -180 -182 -184 -186 -188 -190 -192 -194 -196 -198 -200 -202 -204 -206 -208 -210
f1 (ppm)

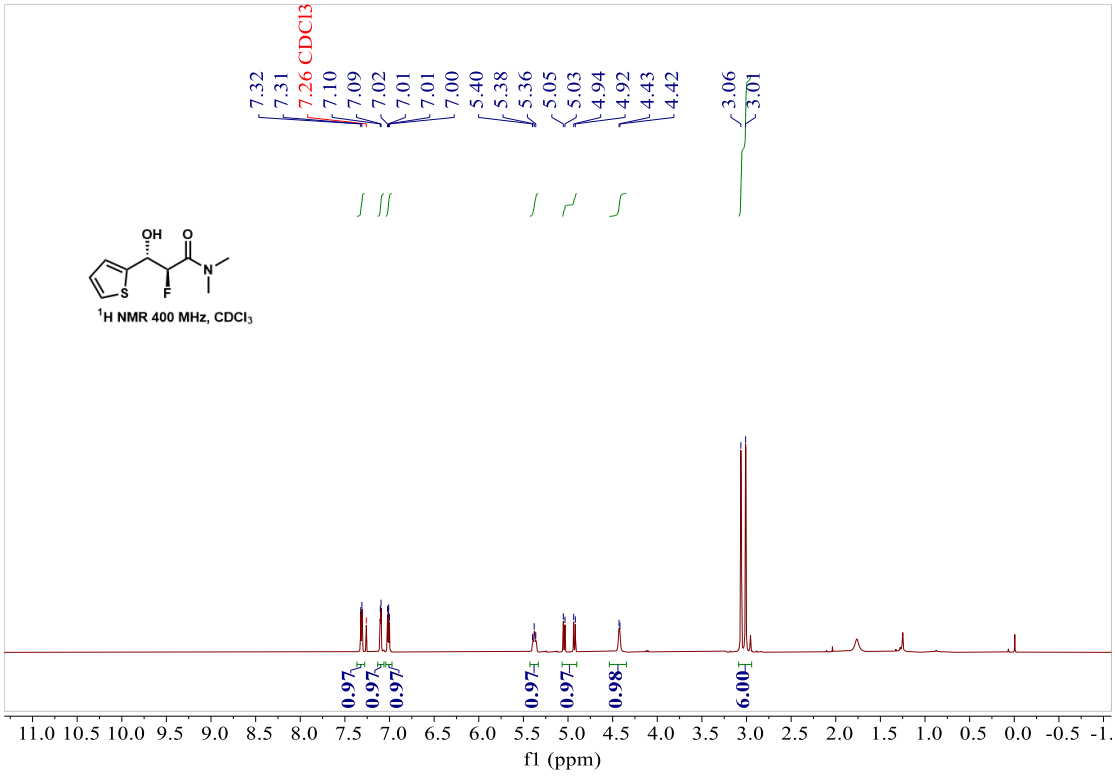
(2*S*,3*S*)-N-(tert-butyl)-2-fluoro-3-hydroxy-3-phenylpropanamide (2u):

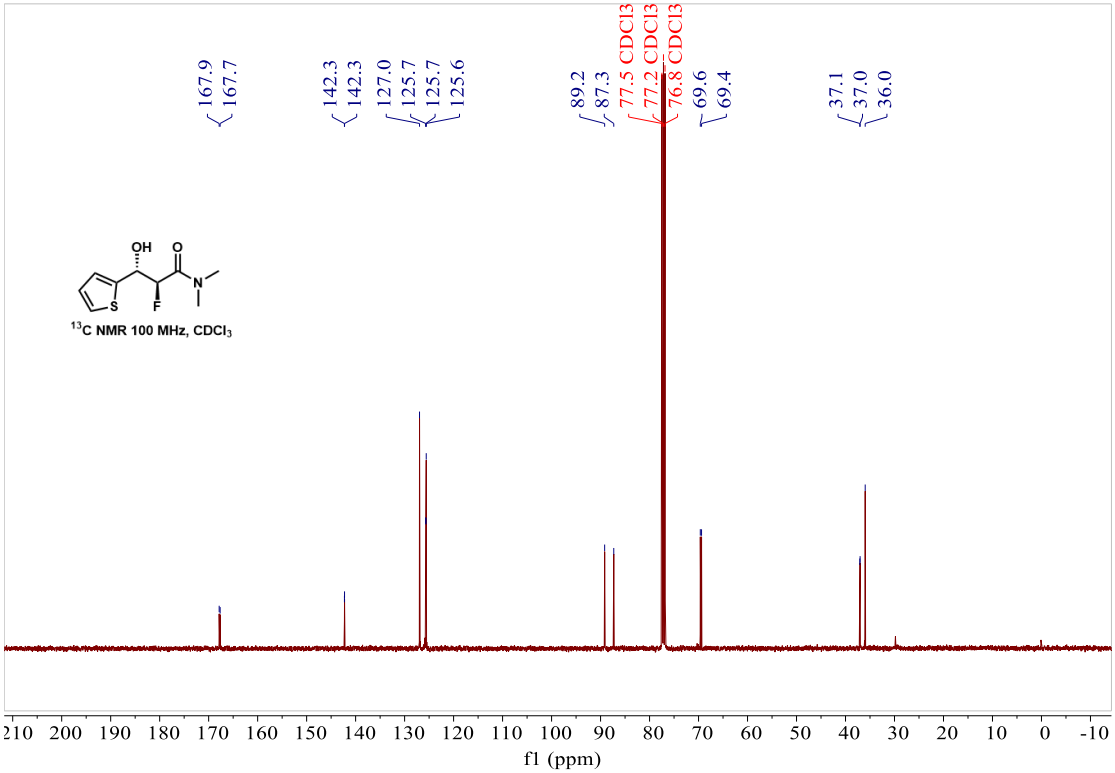


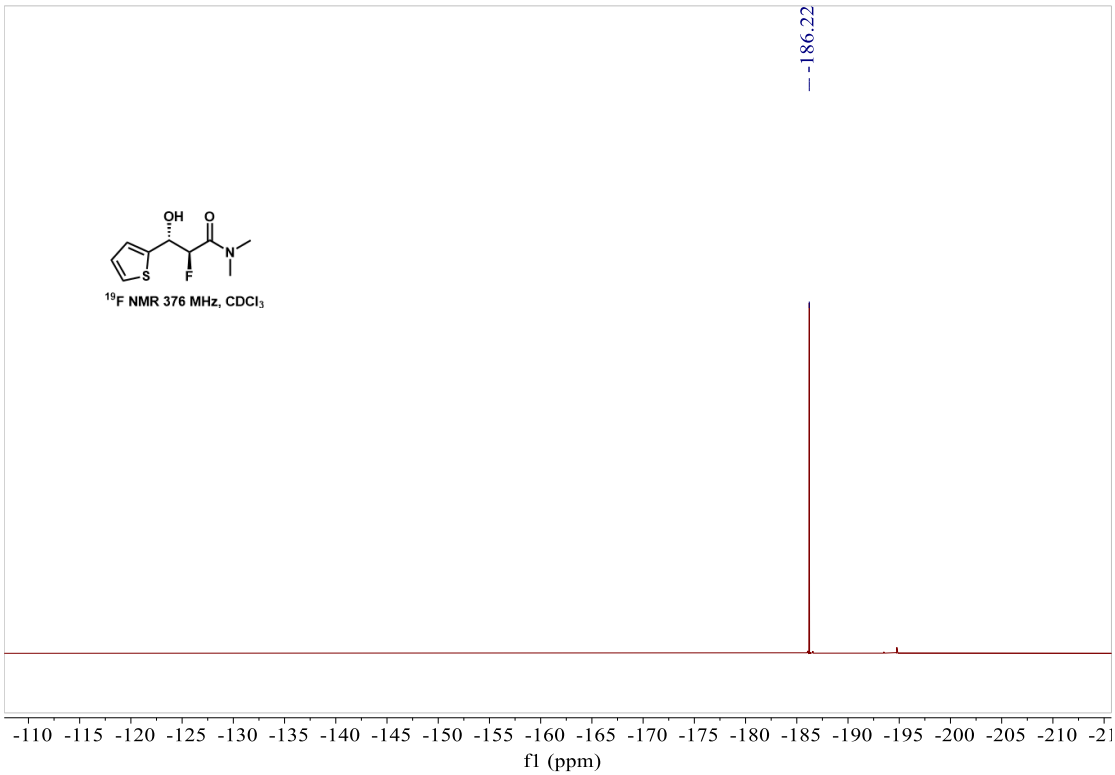




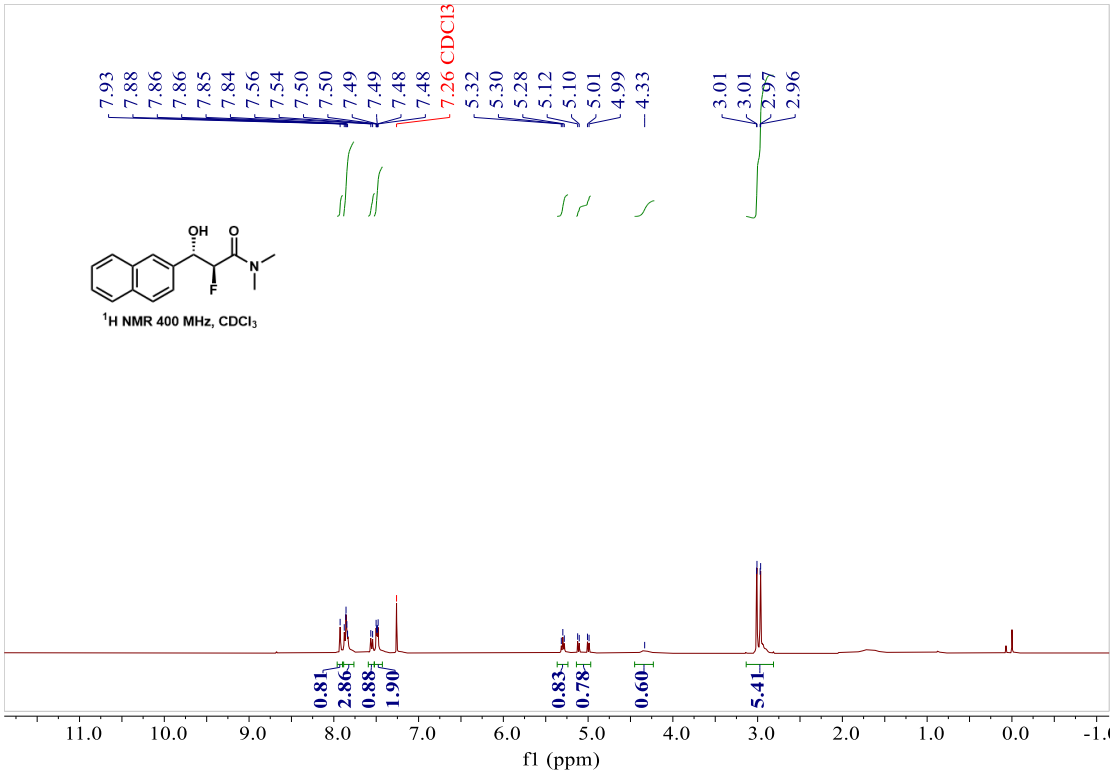
(2*S*,3*R*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(thiophen-2-yl)propanamide (4a):

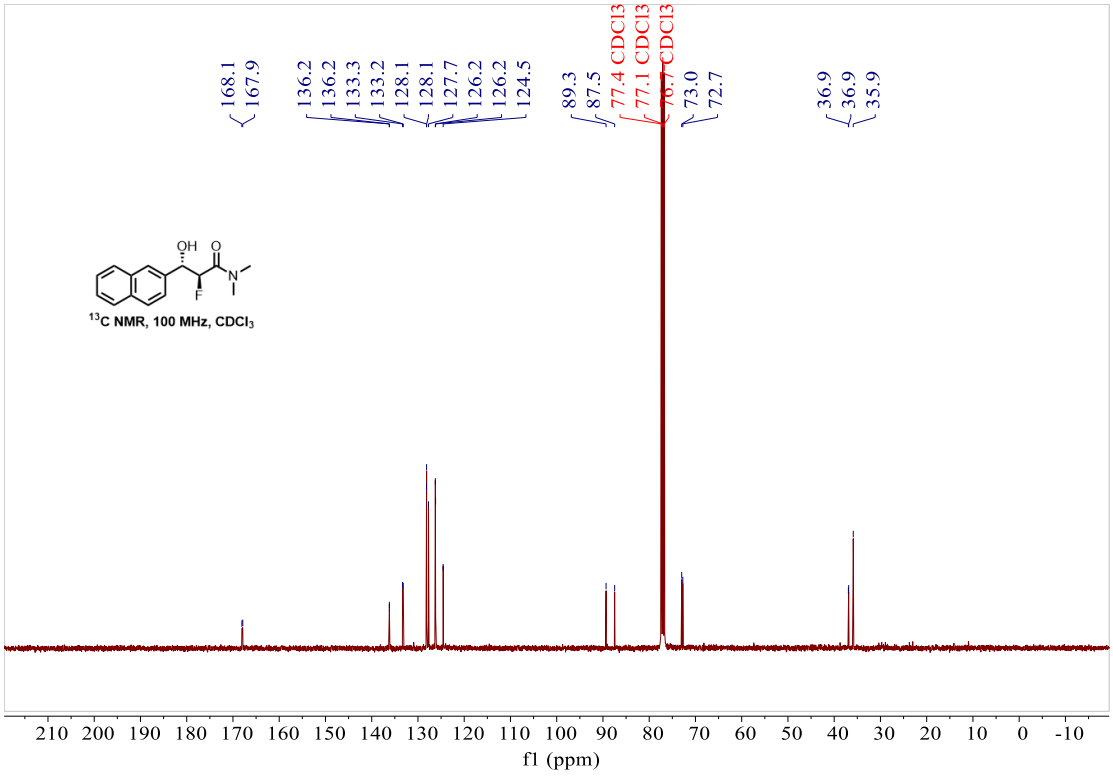


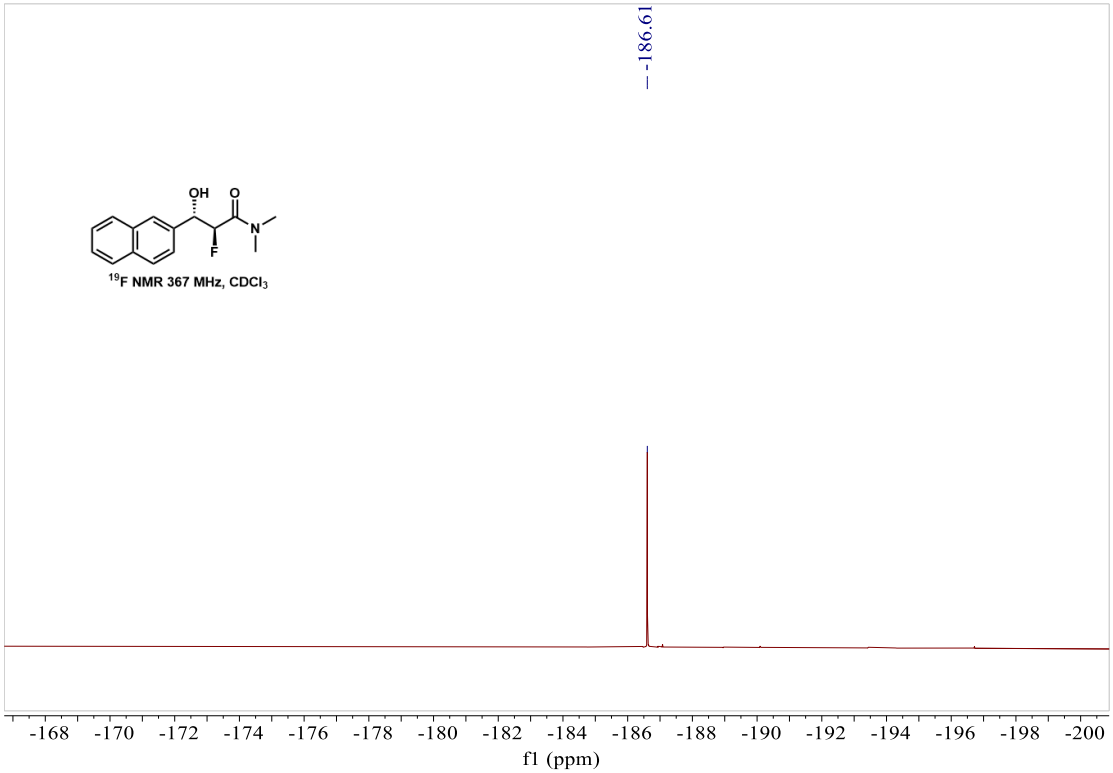

167.9
167.7
142.3
142.3
127.0
125.7
125.7
125.6
89.2
87.3
77.5 CDCl3
77.2 CDCl3
76.8 CDCl3
69.6
69.4
37.1
37.0
36.0
OH
O
N
S
F
13C NMR 100 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-186.22
OH
O
N
S
F
19F NMR 376 MHz, CDCl3
-110 -115 -120 -125 -130 -135 -140 -145 -150 -155 -160 -165 -170 -175 -180 -185 -190 -195 -200 -205 -210 -21
f1 (ppm)

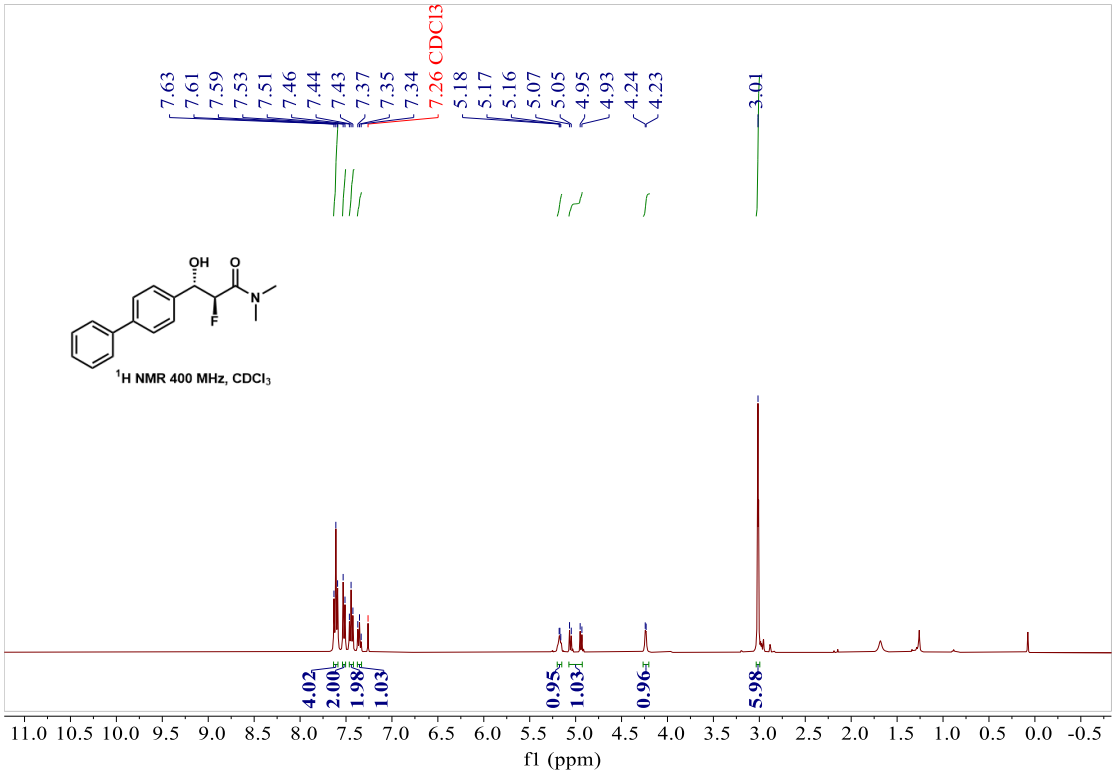
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(naphthalen-2-yl)propenamide (4b):

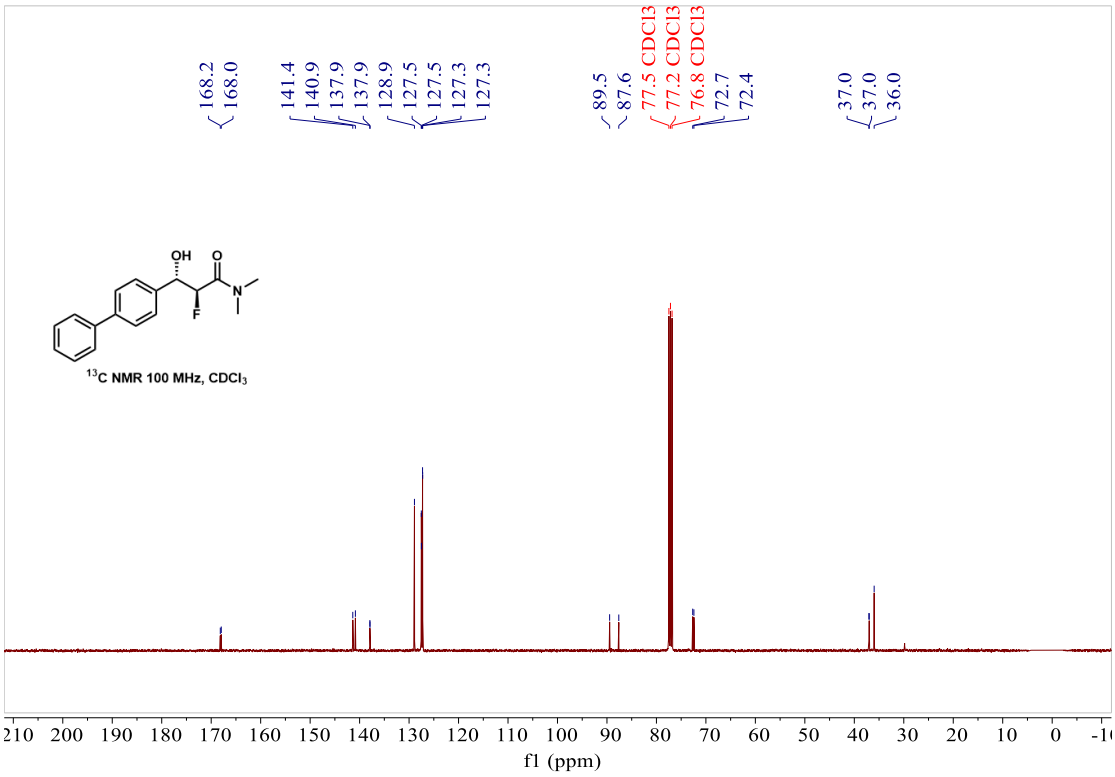


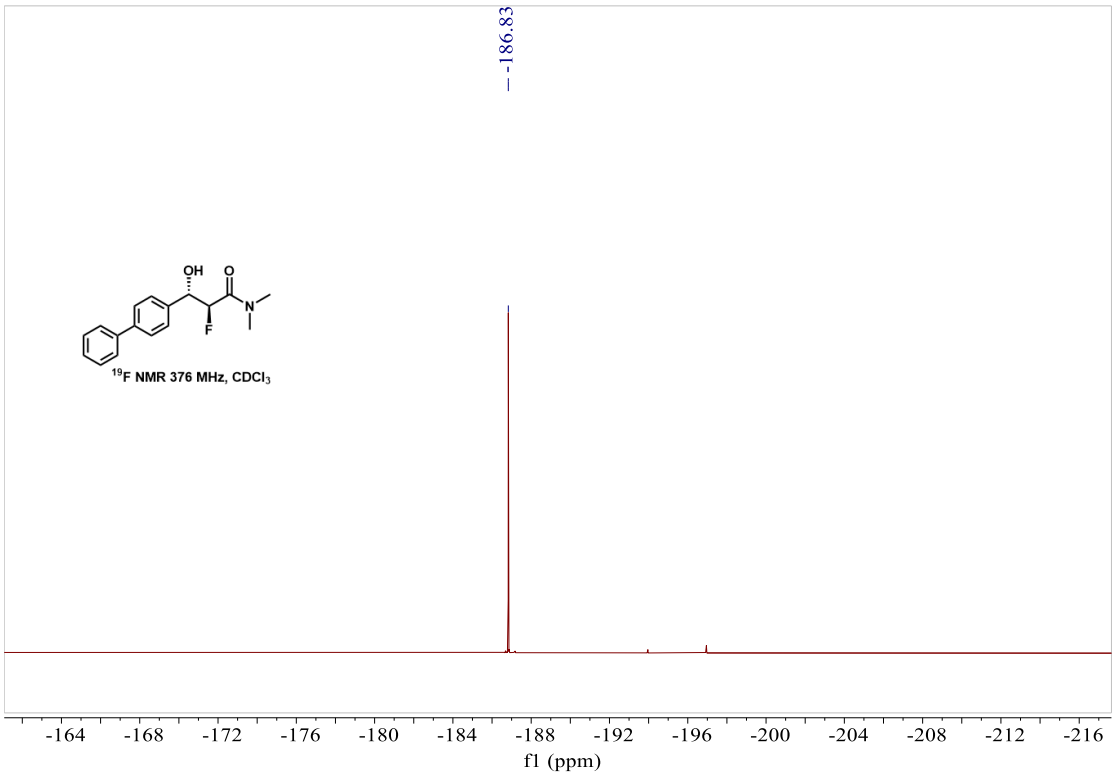




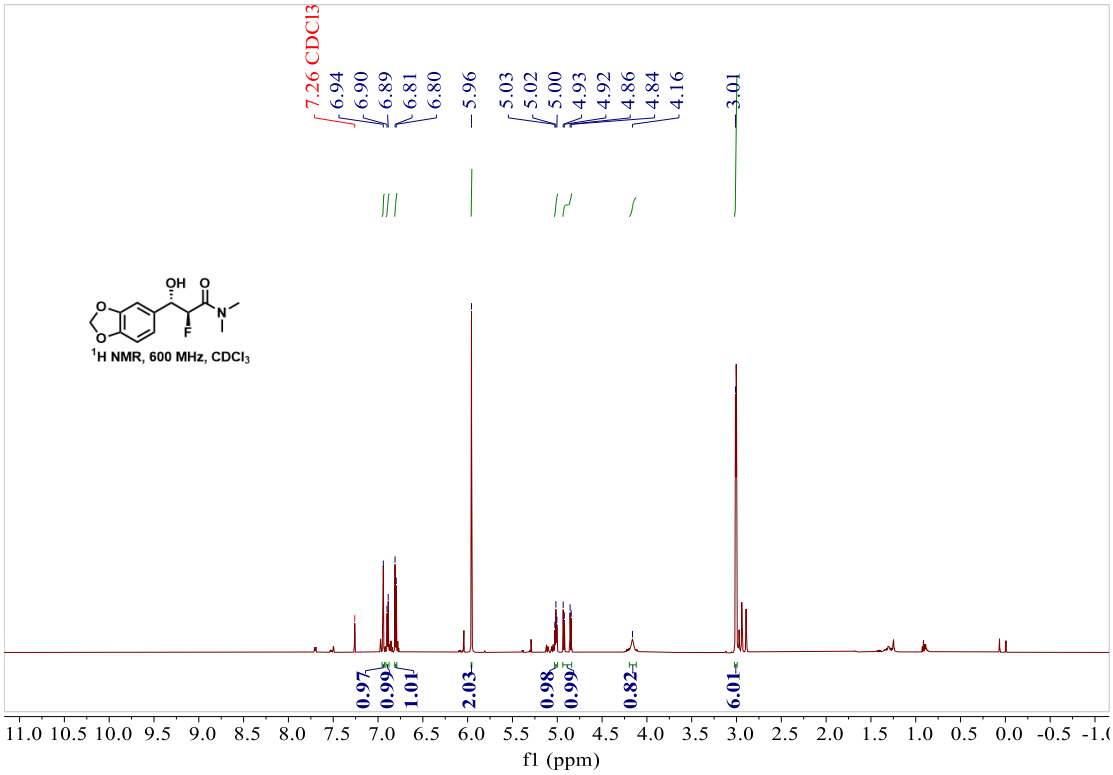
(2*S*,3*S*)-3-([1,1'-biphenyl]-4-yl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (4c):

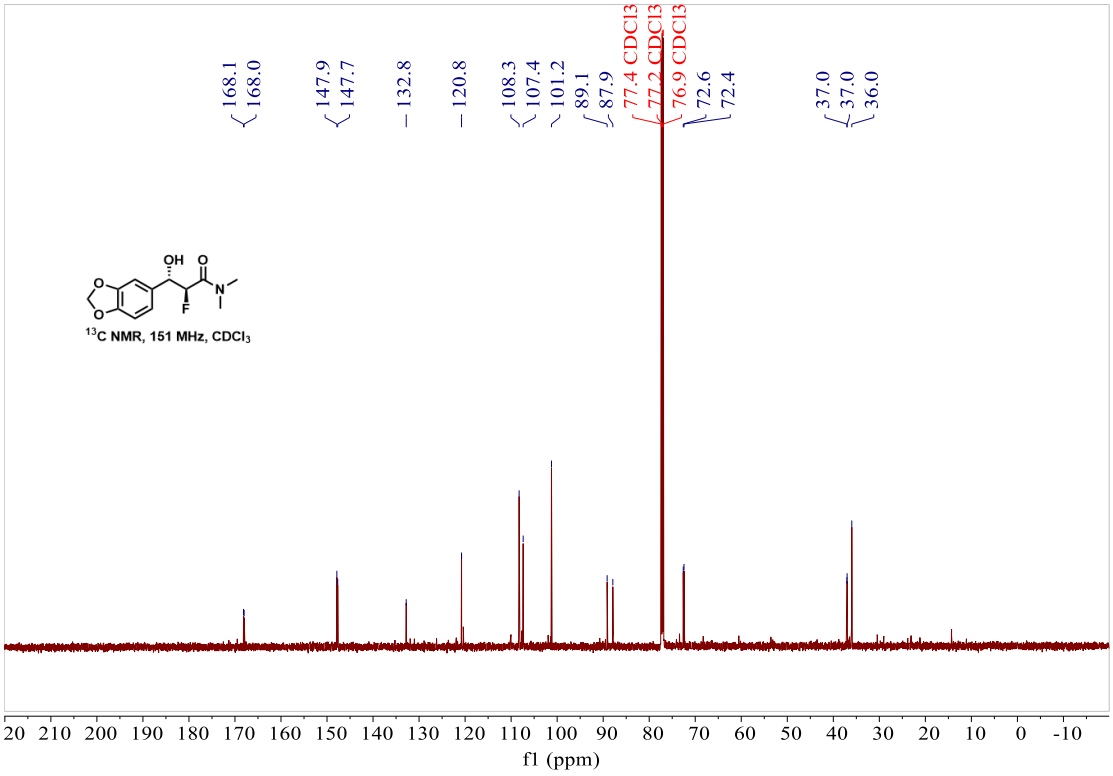


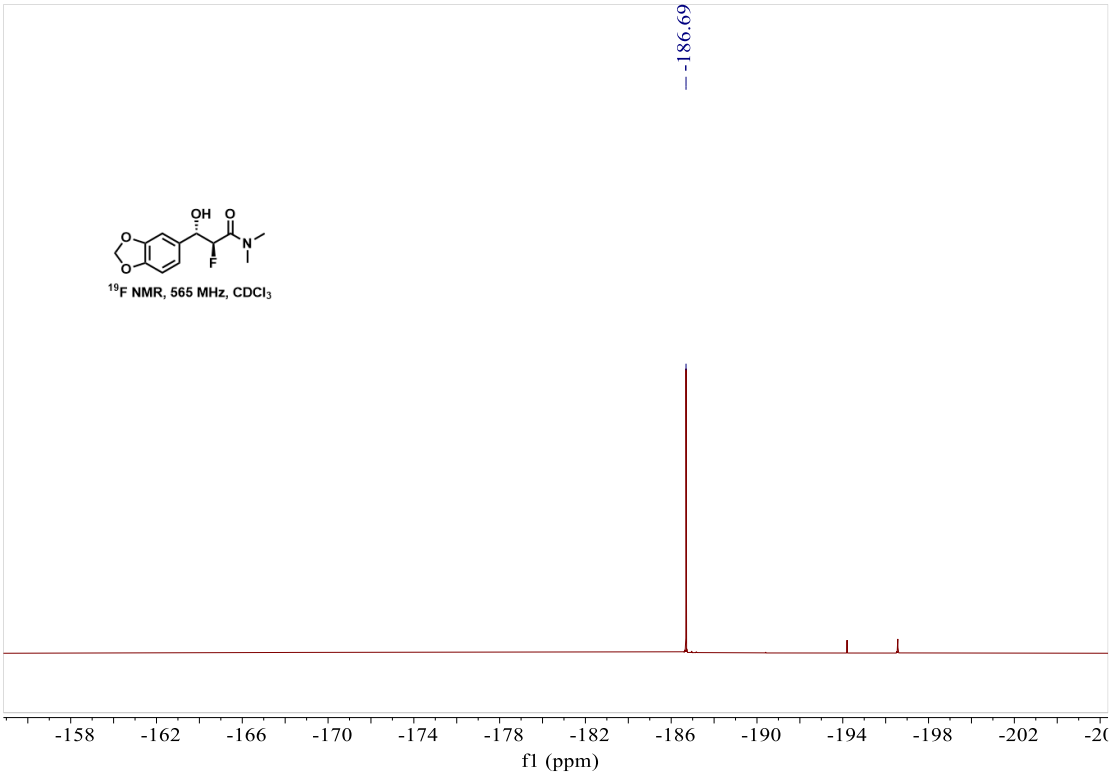

168.2
168.0
141.4
140.9
137.9
137.9
128.9
127.5
127.5
127.3
127.3
89.5
87.6
77.5 CDCl3
77.2 CDCl3
76.8 CDCl3
72.7
72.4
37.0
37.0
36.0
OH
O
N
F
13C NMR 100 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


-186.83
OH
O
N
F
19F NMR 376 MHz, CDCl3
-164 -168 -172 -176 -180 -184 -188 -192 -196 -200 -204 -208 -212 -216
f1 (ppm)

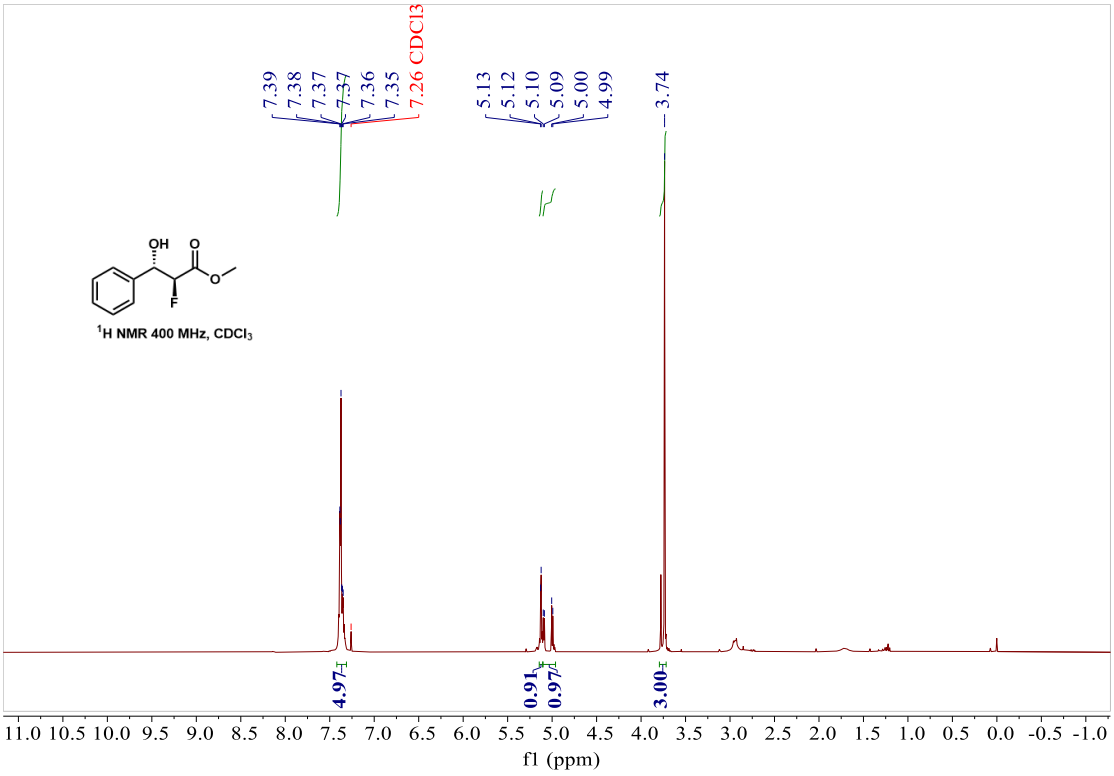
(2*S*,3*S*)-3-(benzo[d][1,3]dioxol-5-yl)-2-fluoro-3-hydroxy-N,N-dimethylpropanami-de (4d):

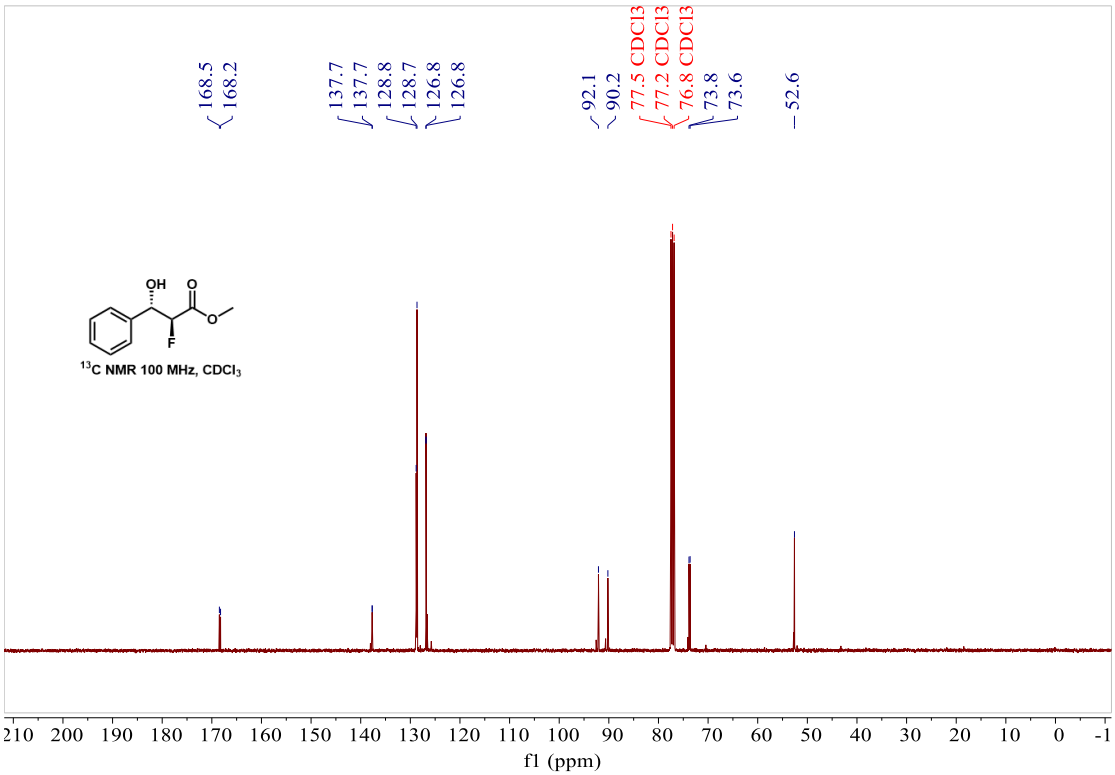


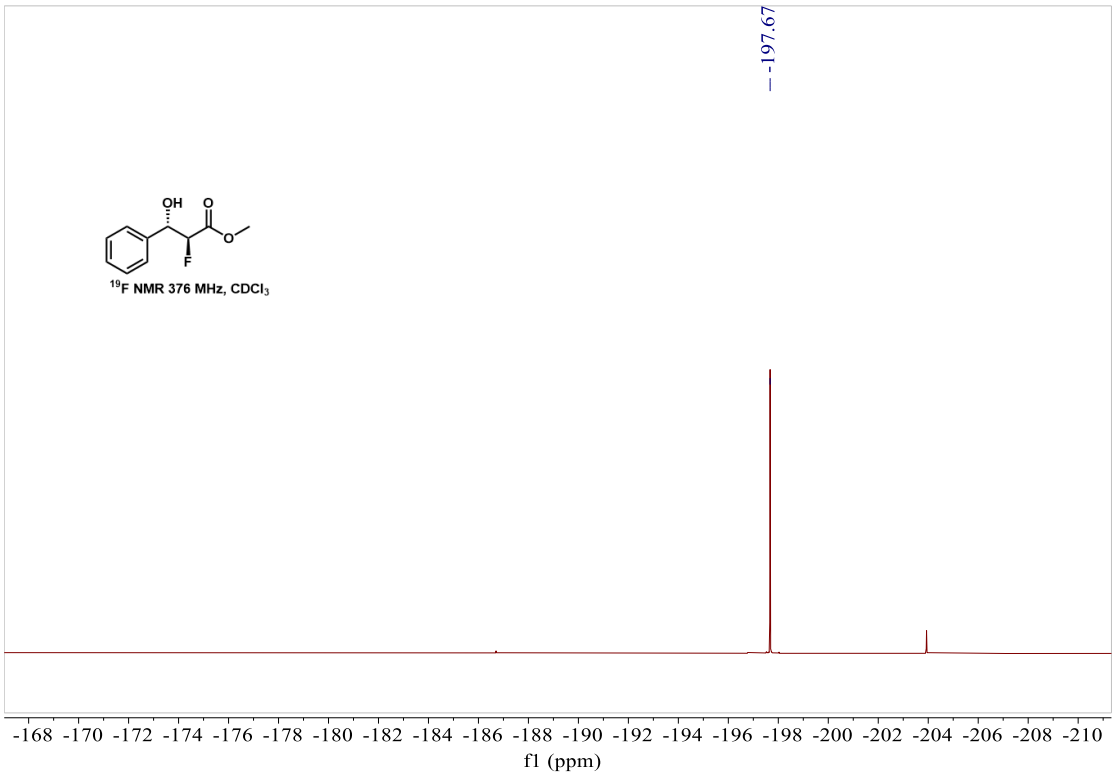




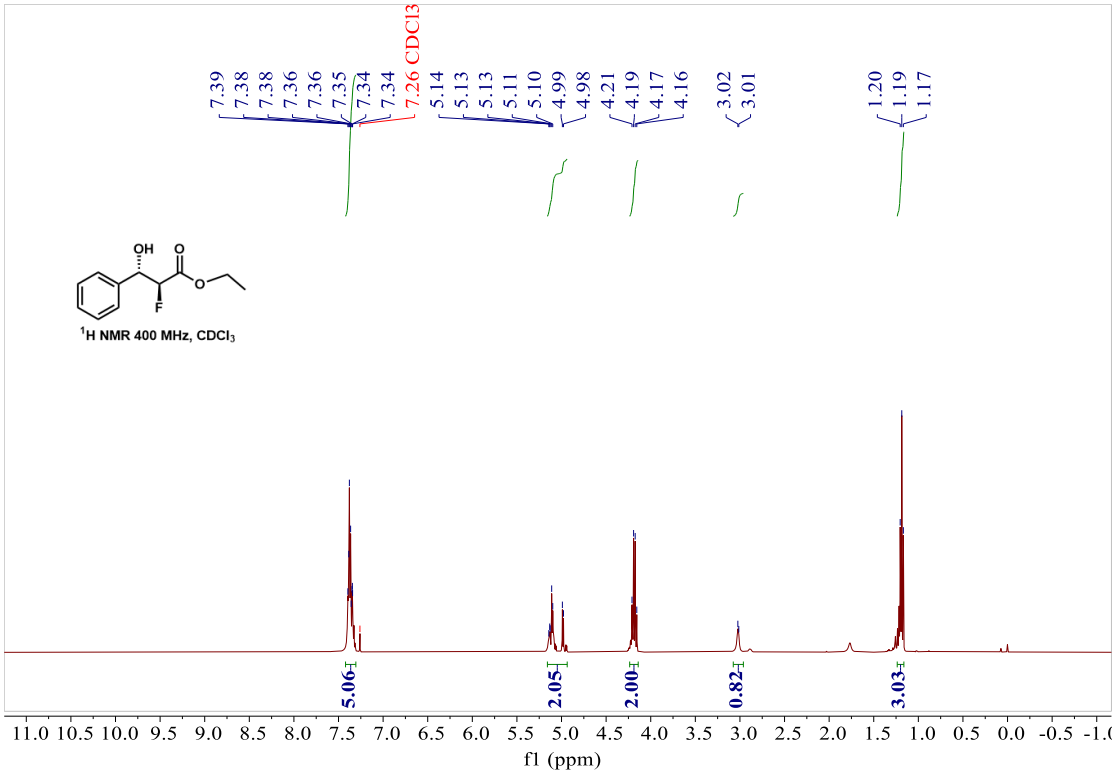
Methyl (2*S*,3*S*)-2-fluoro-3-hydroxy-3-phenylpropanoate (4e):

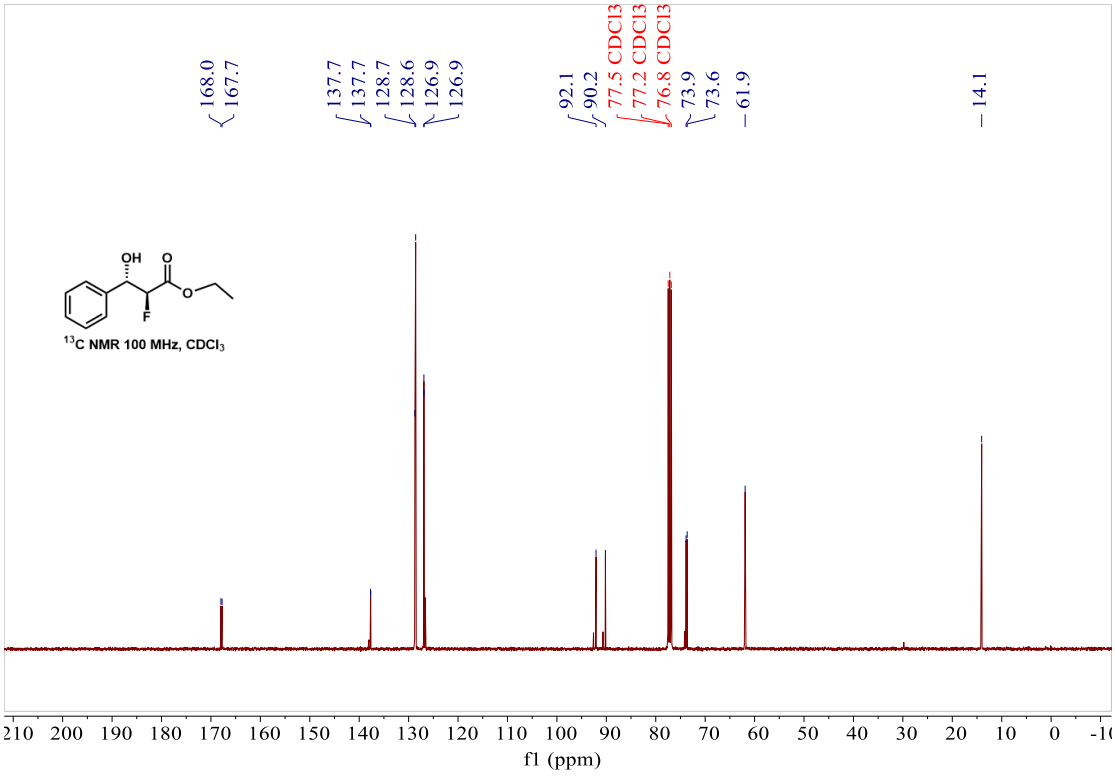


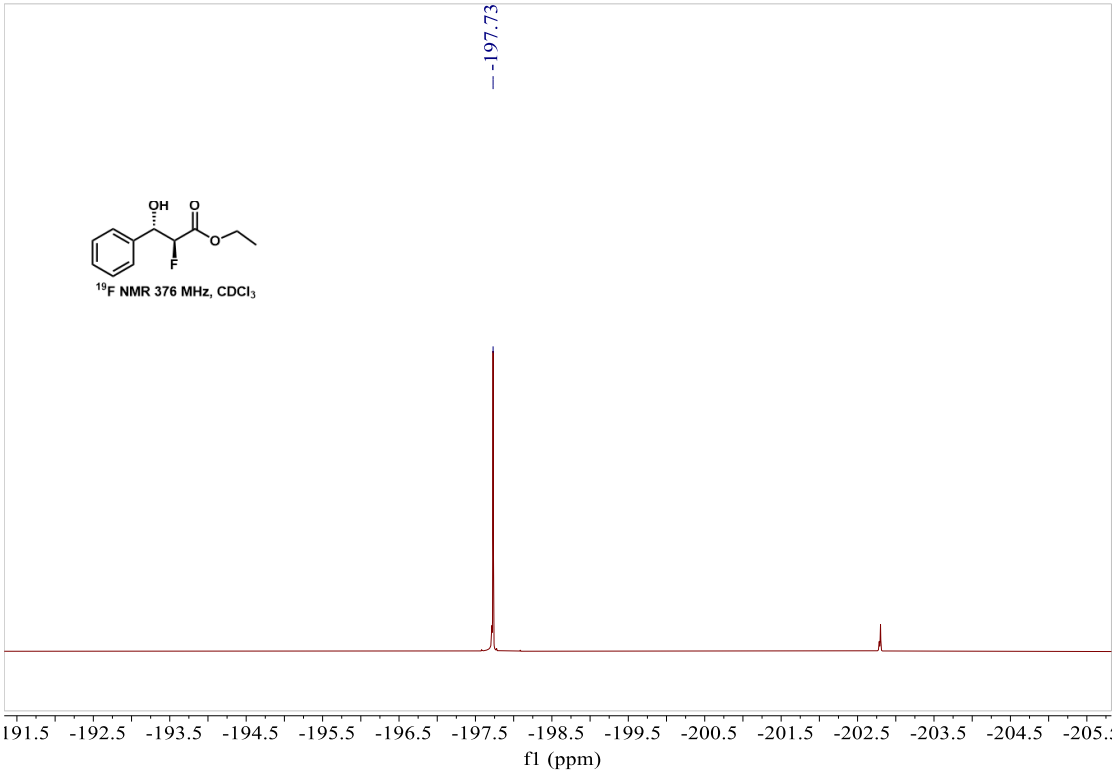

168.5
168.2
137.7
137.7
128.8
128.7
126.8
126.8
92.1
90.2
77.5 CDCl3
77.2 CDCl3
76.8 CDCl3
73.8
73.6
52.6
OH
O
O
F
13C NMR 100 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -1
f1 (ppm)


-197.67
OH
O
O
F
19F NMR 376 MHz, CDCl3
-168 -170 -172 -174 -176 -178 -180 -182 -184 -186 -188 -190 -192 -194 -196 -198 -200 -202 -204 -206 -208 -210
f1 (ppm)

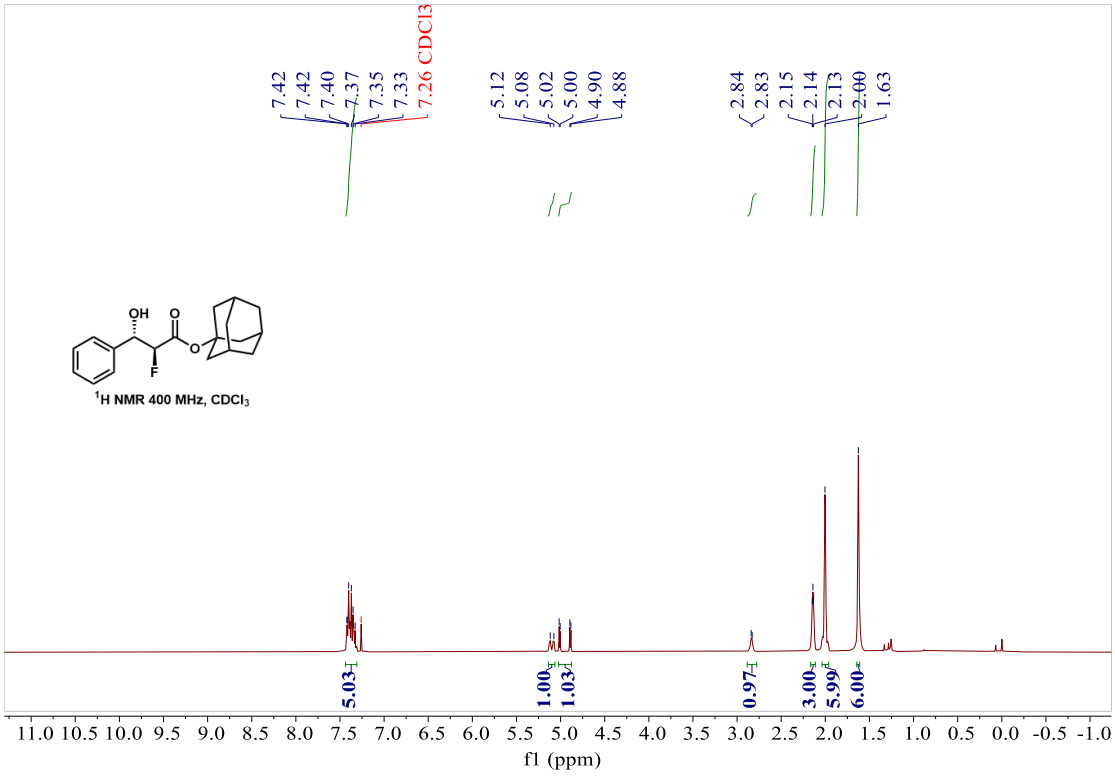
Ethyl (2*S*,3*S*)-2-fluoro-3-hydroxy-3-phenylpropanoate (4f):

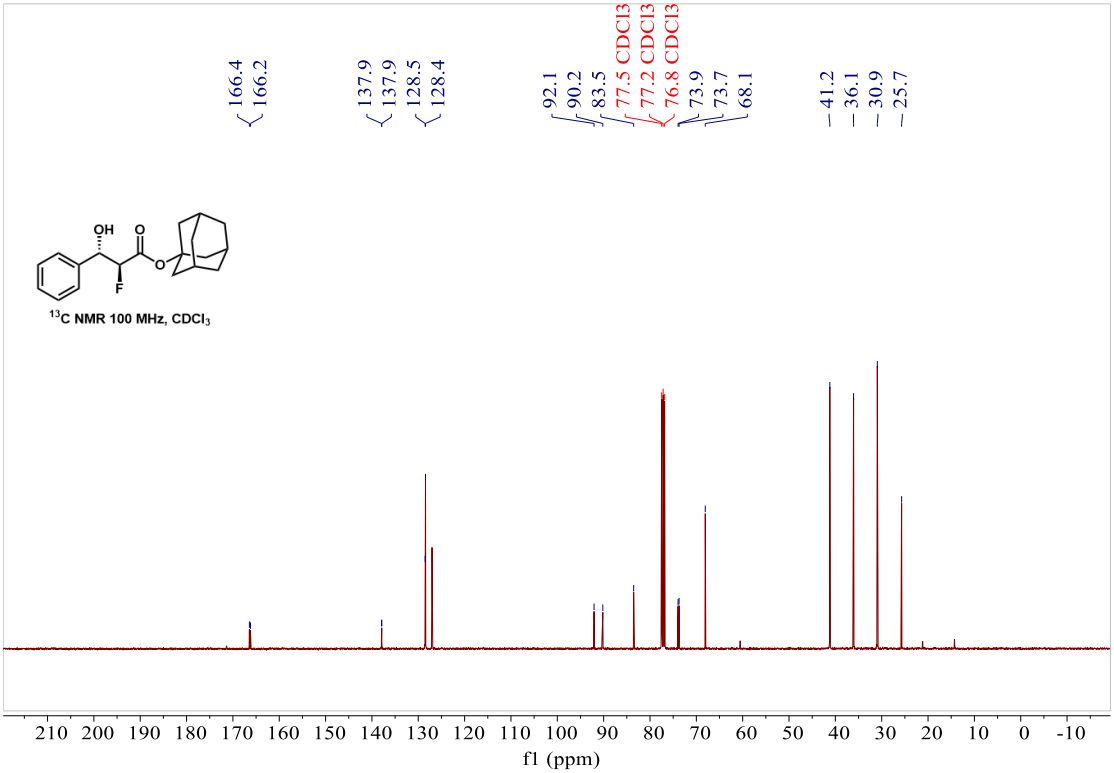


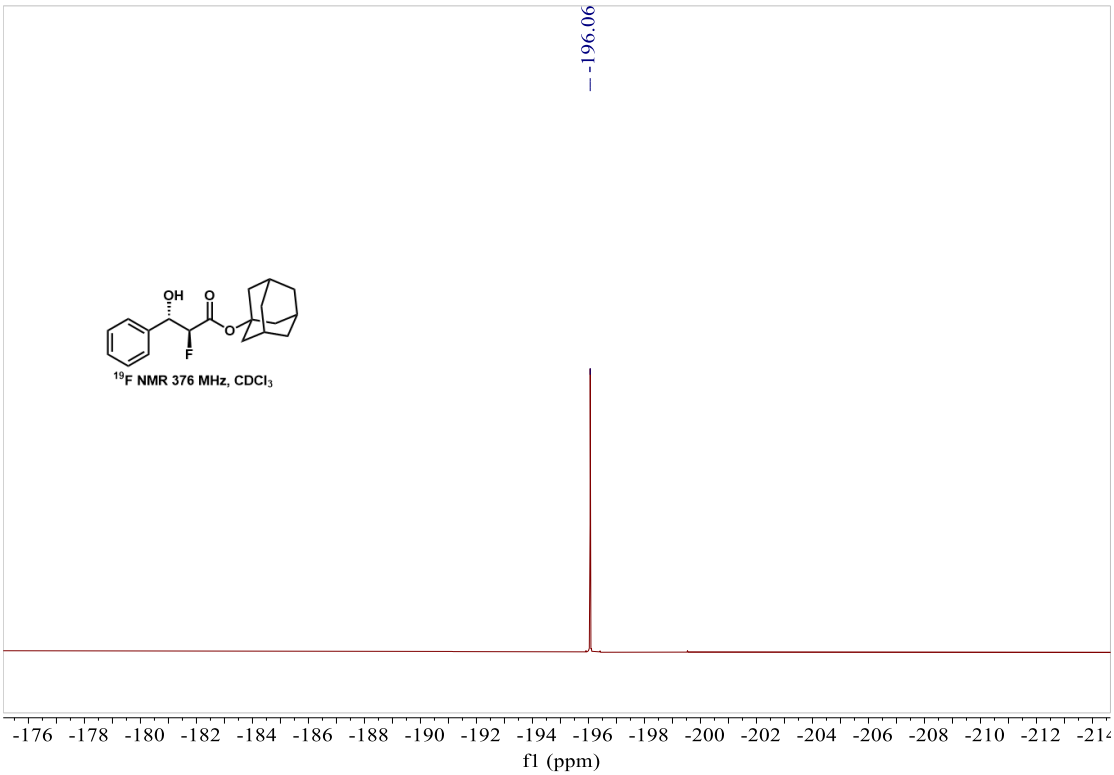




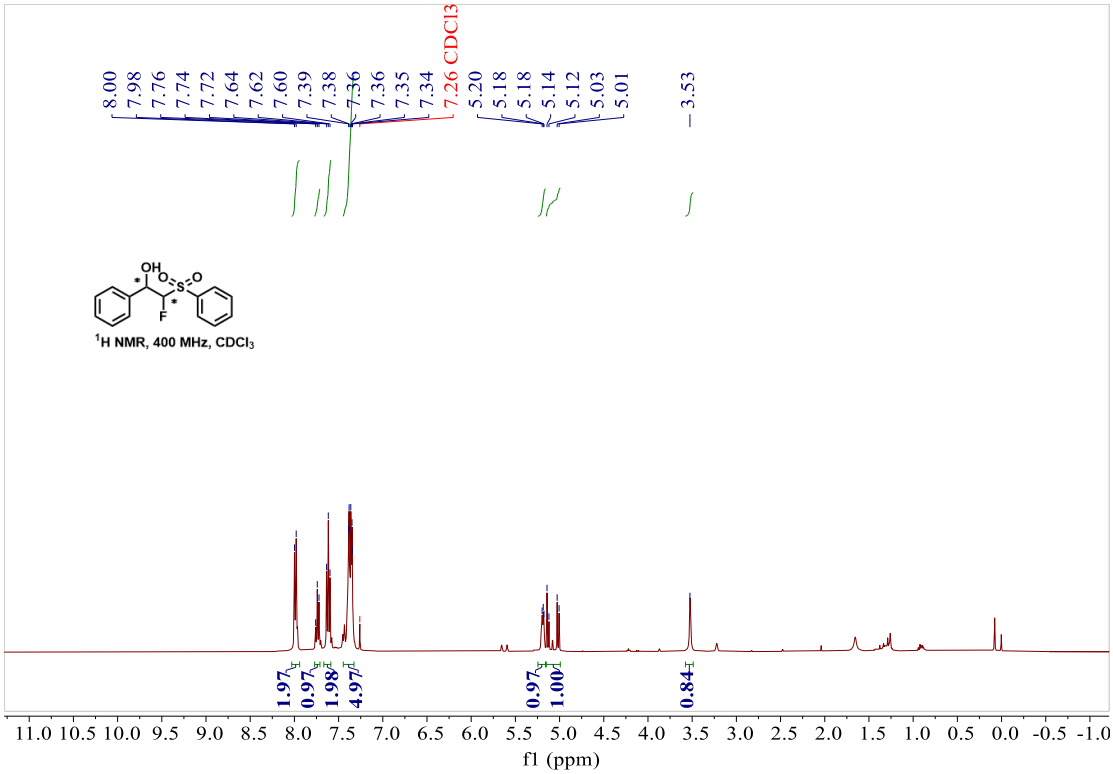
(3*R*,5*R*,7*R*)-adamantan-1-yl(2*S*,3*S*)-2-fluoro-3-hydroxy-3-phenylpropanoate (4g):

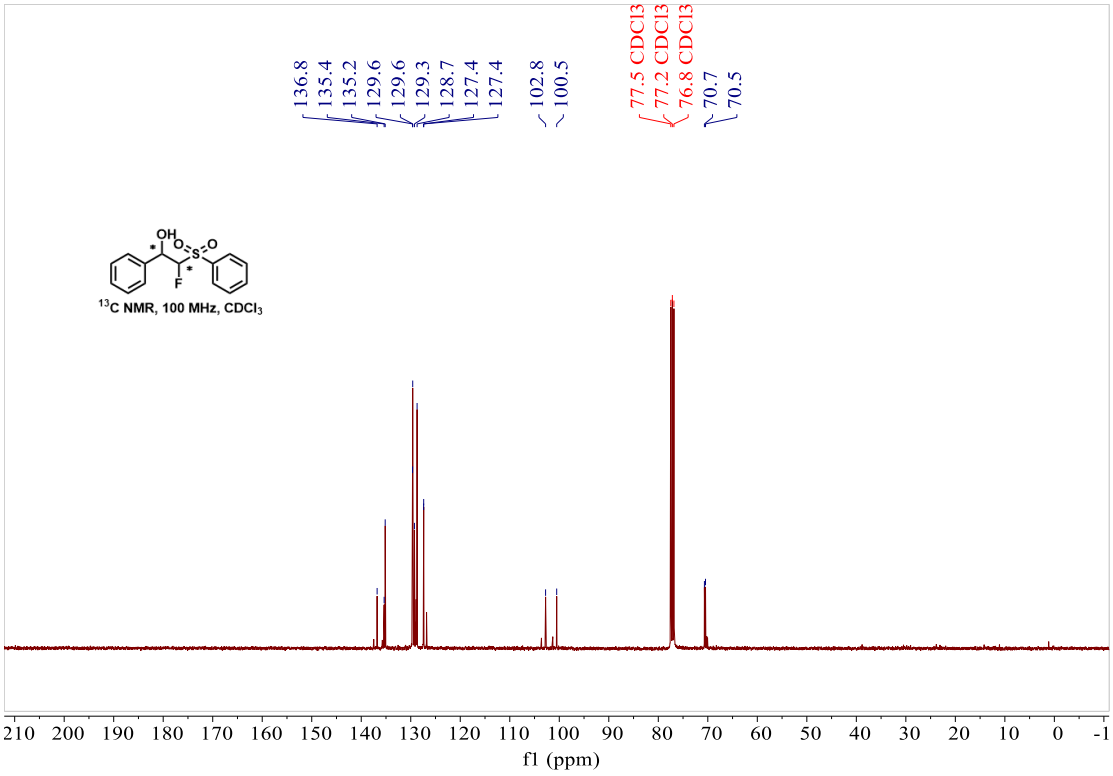


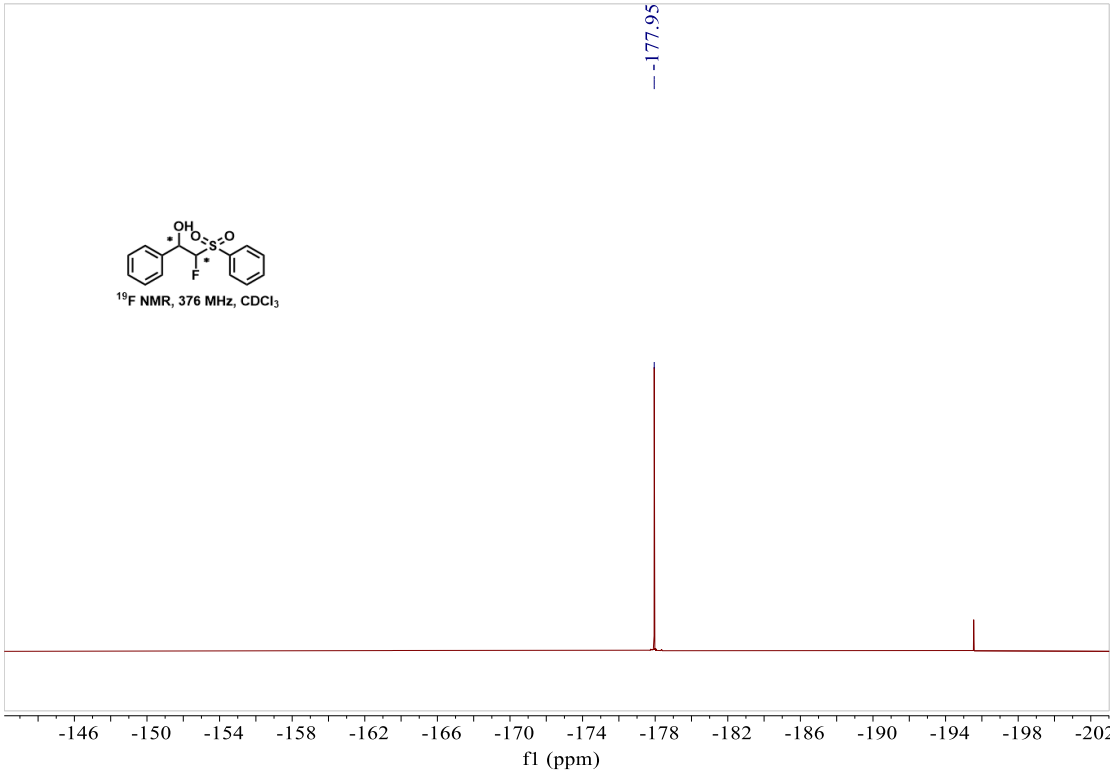

166.4
166.2
137.9
137.9
128.5
128.4
92.1
90.2
83.5
77.5 CDCl3
77.2 CDCl3
76.8 CDCl3
73.9
73.7
68.1
41.2
36.1
30.9
25.7
OH
O
O
F
13C NMR 100 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-196.06
OH
O
O
F
19F NMR 376 MHz, CDCl3
-176 -178 -180 -182 -184 -186 -188 -190 -192 -194 -196 -198 -200 -202 -204 -206 -208 -210 -212 -214
f1 (ppm)

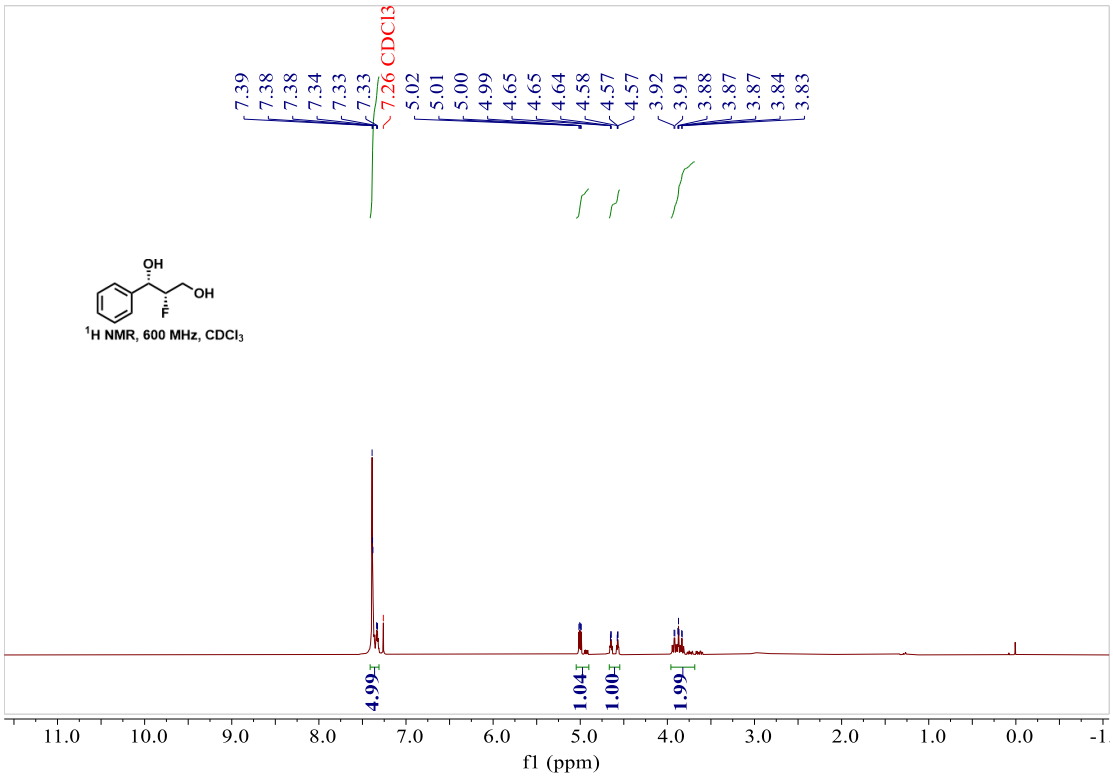
(1*S*,2*S*)-2-fluoro-1-phenyl-2-(phenylsulfonyl)ethan-1-ol (4h):

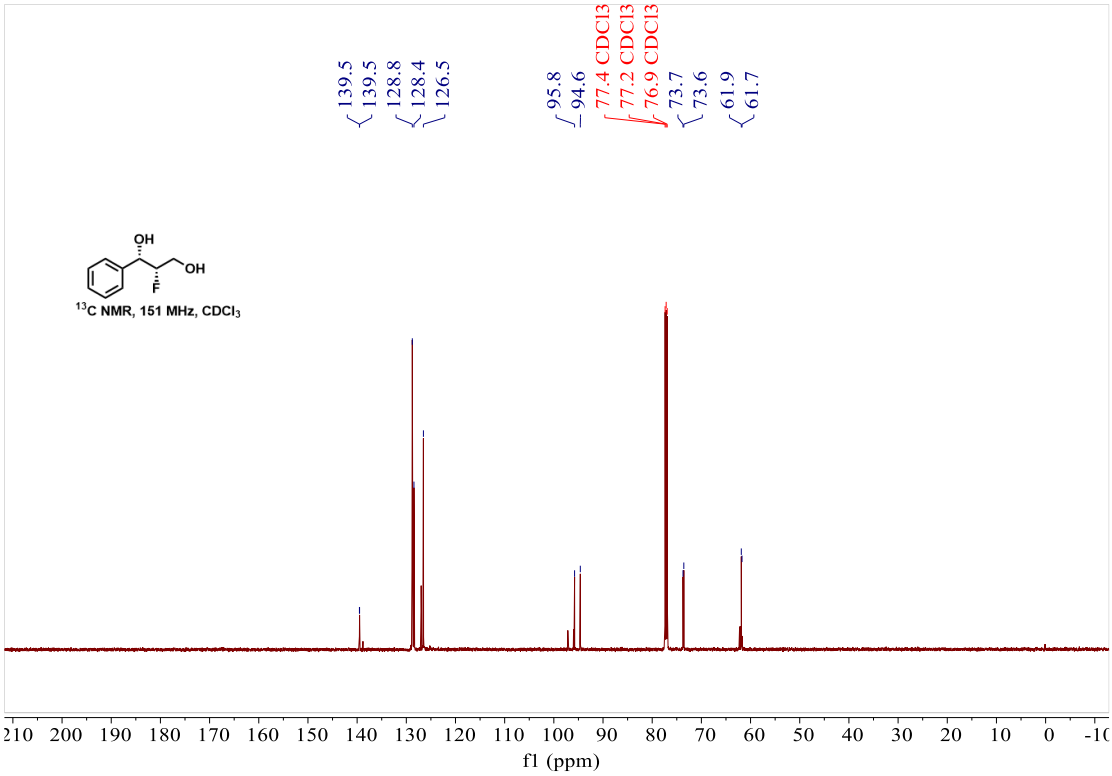


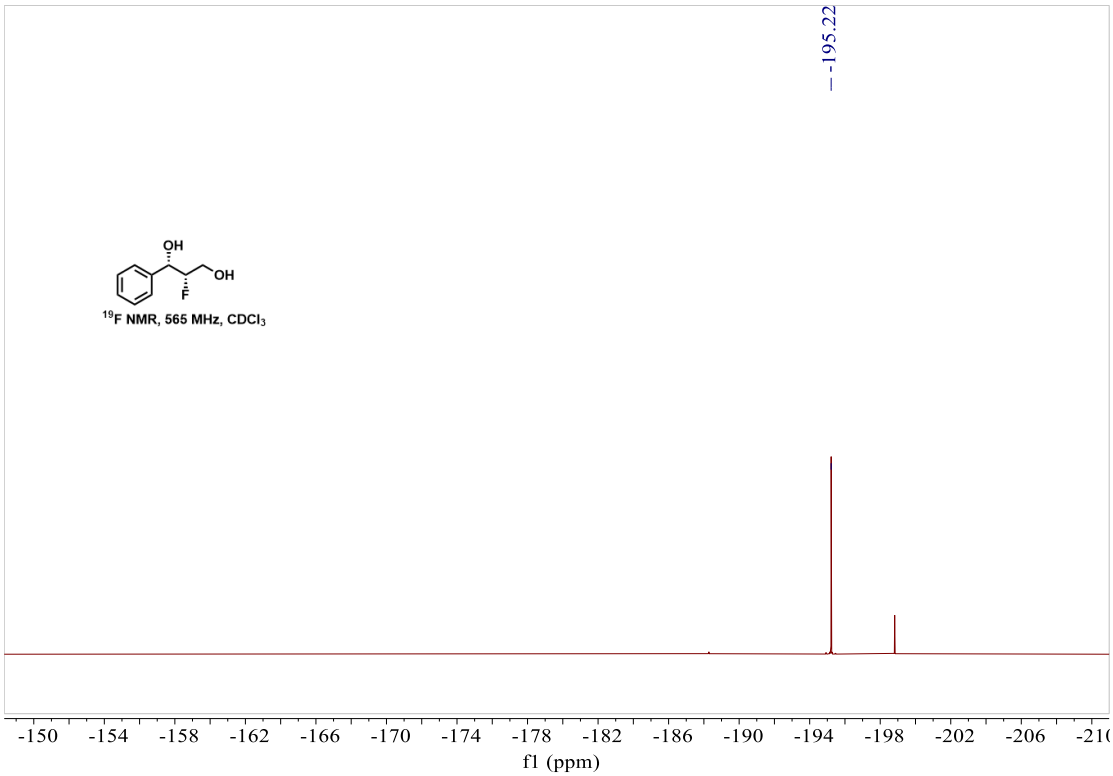




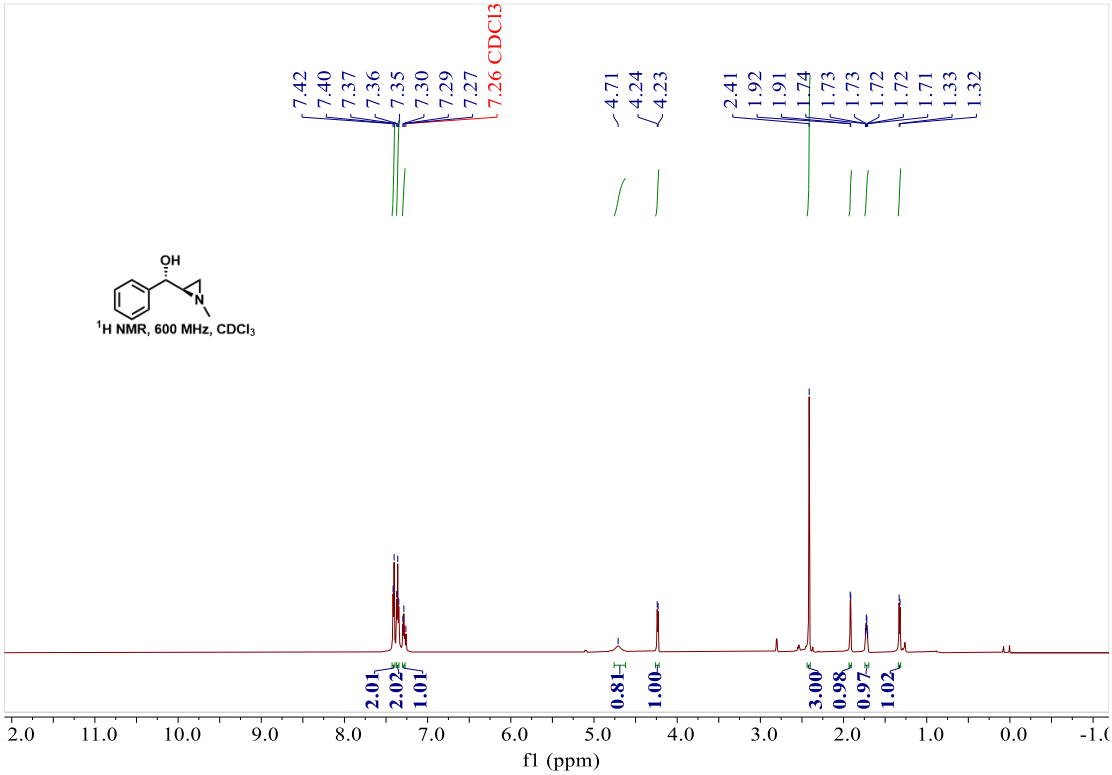
(1*S*,2*S*)-2-fluoro-1-phenylpropane-1,3-diol (5a):

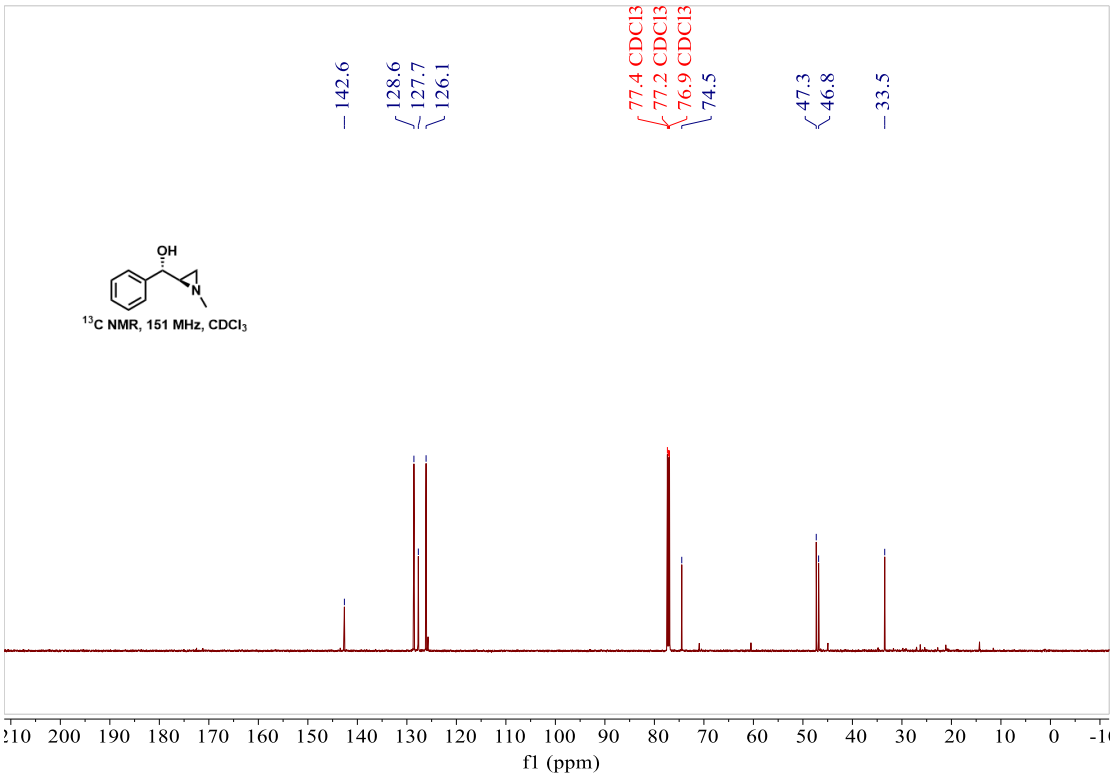



139.5
139.5
128.8
128.4
126.5
95.8
94.6
77.4 CDCl3
77.2 CDCl3
76.9 CDCl3
73.7
73.6
61.9
61.7
OH
OH
F
13C NMR, 151 MHz, CDCl3
f1 (ppm)


-195.22
OH
OH
F
19F NMR, 565 MHz, CDCl3
f1 (ppm)

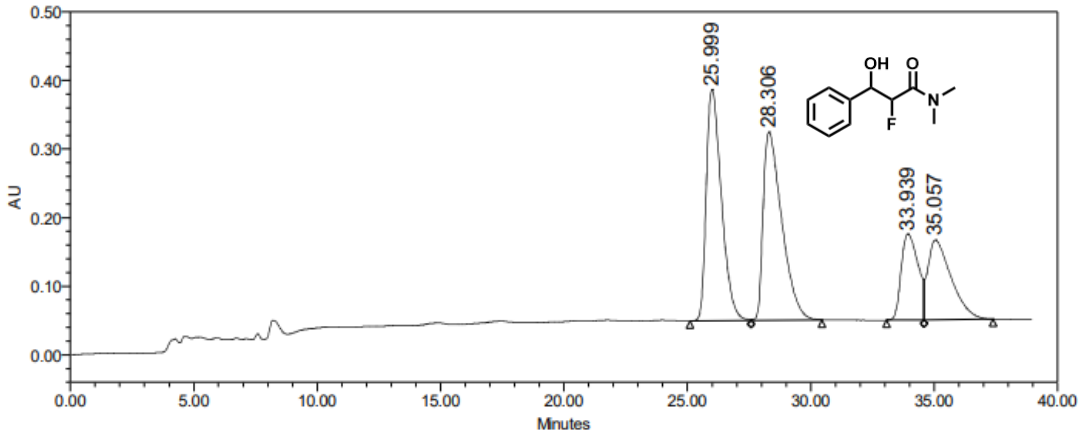
(*S*)-(*R*)-1-methylaziridin-2-yl)(phenyl)methanol (5b):





9. Copies of HPLC charts

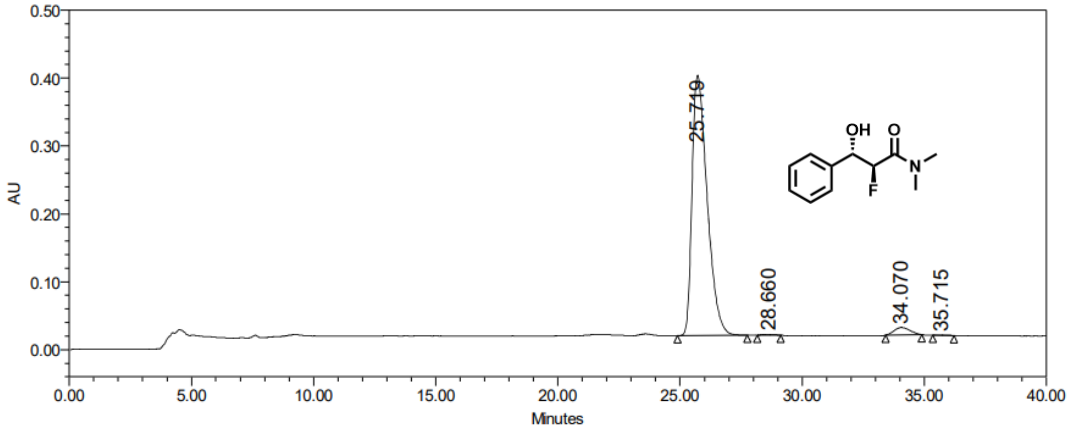
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-phenylpropanamide (2a):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4441; Processing Method: d jiaan xianan

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	25.999	14394929	33.87	336816
2	W2489 ChB 220nm	28.306	14442780	33.98	274397
3	W2489 ChB 220nm	33.939	5964574	14.03	125449
4	W2489 ChB 220nm	35.057	7701341	18.12	116272

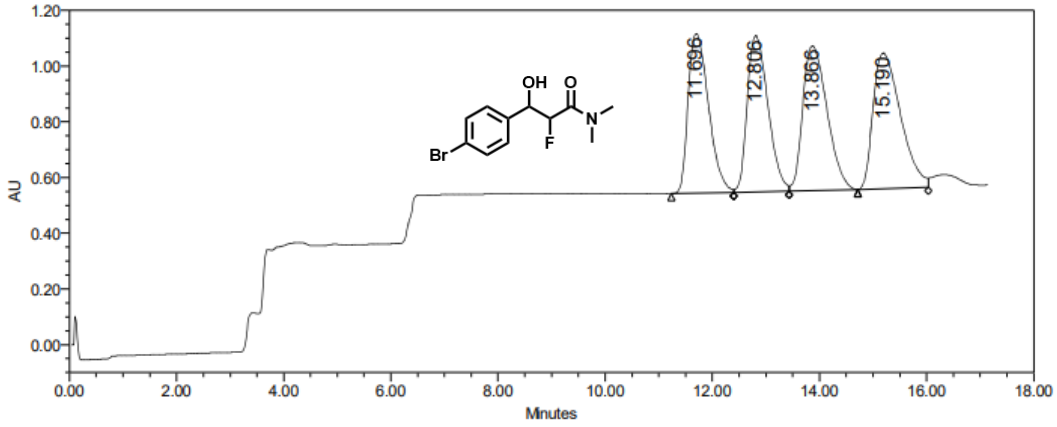


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4446; Processing Method: djiaan

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	25.719	16456060	96.81	382944
2	W2489 ChB 220nm	28.660	36751	0.22	1138
3	W2489 ChB 220nm	34.070	492977	2.90	10831
4	W2489 ChB 220nm	35.715	12670	0.07	437

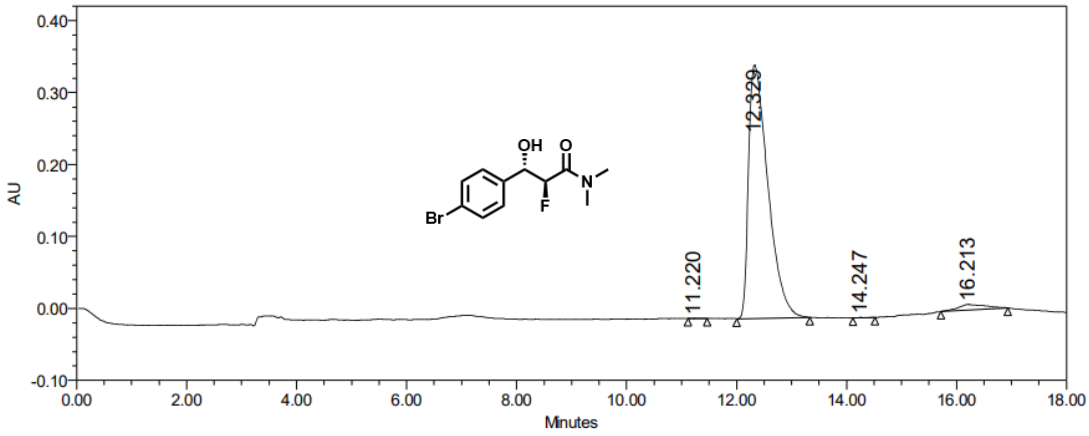
(2*S*,3*S*)-3-(4-bromophenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2b):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3489; Processing Method: p
Br xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	11.696	15171478	23.68	572660
2	W2489 ChB 220nm	12.806	15104528	23.57	559828
3	W2489 ChB 220nm	13.866	16390648	25.58	519264
4	W2489 ChB 220nm	15.190	17412709	27.17	486890

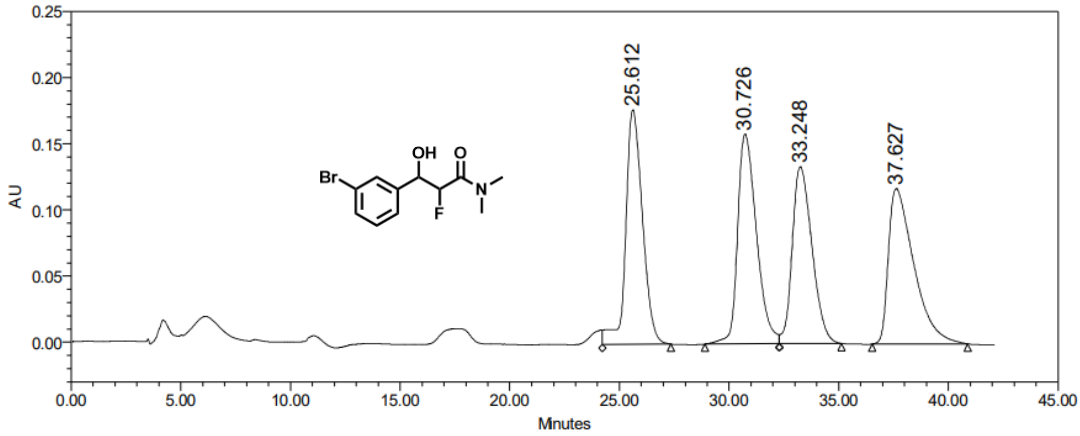


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3502; Processing Method: p
Br

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	11.220	6931	0.08	489
2	W2489 ChB 220nm	12.329	8593198	96.91	351976
3	W2489 ChB 220nm	14.247	2786	0.03	294
4	W2489 ChB 220nm	16.213	263946	2.98	7448

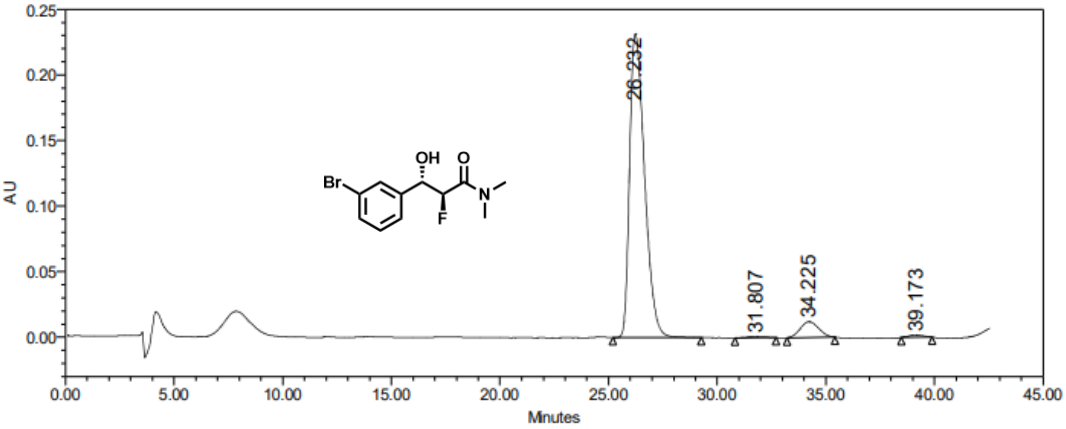
(2*S*,3*S*)-3-(3-bromophenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2c):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3431; Processing Method: m Br xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	25.612	9476774	25.75	177097
2	W2489 ChB 220nm	30.726	9469339	25.73	158524
3	W2489 ChB 220nm	33.248	8375799	22.76	133477
4	W2489 ChB 220nm	37.627	9485275	25.77	117392

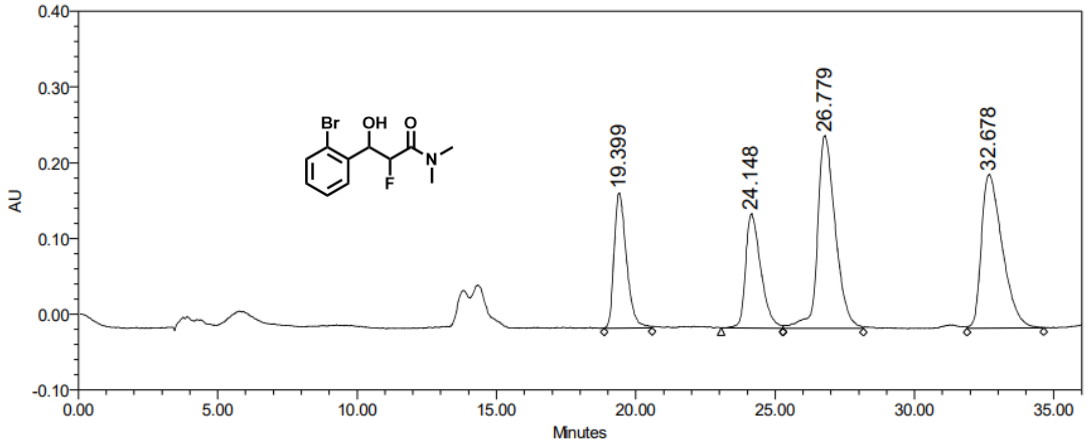


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3434; Processing Method: m Br

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	26.232	10966543	92.78	231712
2	W2489 ChB 220nm	31.807	60065	0.51	1083
3	W2489 ChB 220nm	34.225	712095	6.02	12076
4	W2489 ChB 220nm	39.173	81549	0.69	1681

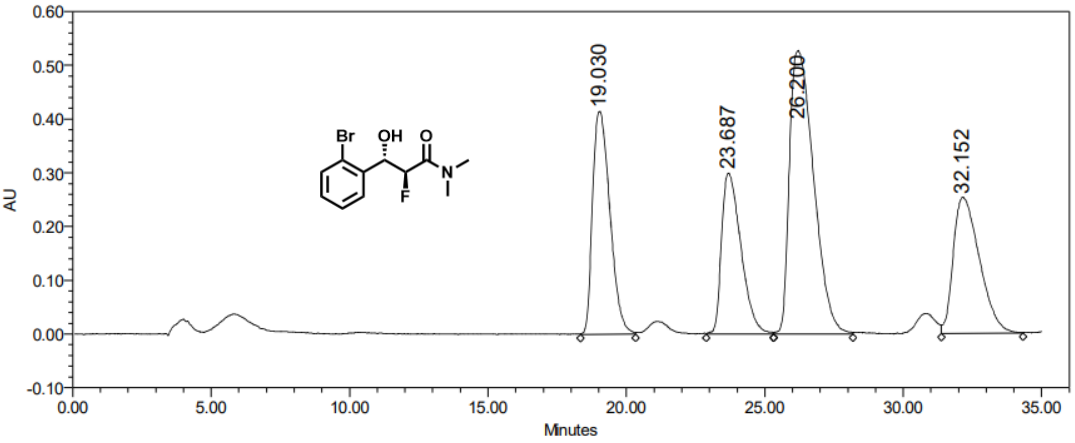
(2*S*,3*S*)-3-(2-bromophenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2d):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3604; Processing Method: o Br xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	19.399	5524347	16.63	178444
2	W2489 ChB 220nm	24.148	5746499	17.30	151008
3	W2489 ChB 220nm	26.779	11337511	34.12	254236
4	W2489 ChB 220nm	32.678	10616803	31.95	202812

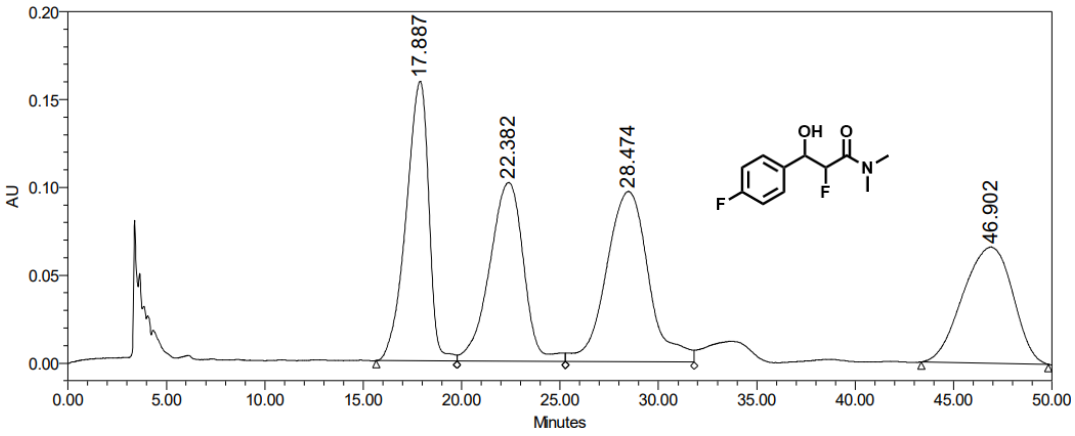


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3607; Processing Method: o Br

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	19.030	18122760	22.23	414845
2	W2489 ChB 220nm	23.687	14683875	18.01	299745
3	W2489 ChB 220nm	26.200	32017765	39.27	527458
4	W2489 ChB 220nm	32.152	16697856	20.48	253023

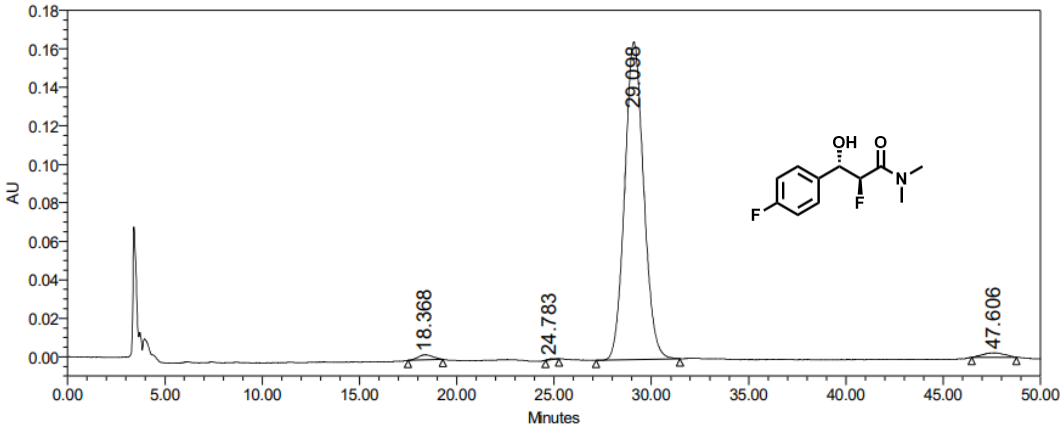
(2*S*,3*S*)-2-fluoro-3-(4-fluorophenyl)-3-hydroxy-N,N-dimethylpropanamide (2e):



Channel: W2489 ChA; Processed Channel: W2489 ChA 210nm; Result Id: 3184; Processing Method: p
F xxt

Processed Channel: W2489 ChA 210nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChA 210nm	17.887	12771103	25.00	159094
2	W2489 ChA 210nm	22.382	12247665	23.98	101577
3	W2489 ChA 210nm	28.474	14444587	28.28	96814
4	W2489 ChA 210nm	46.902	11619954	22.75	65989

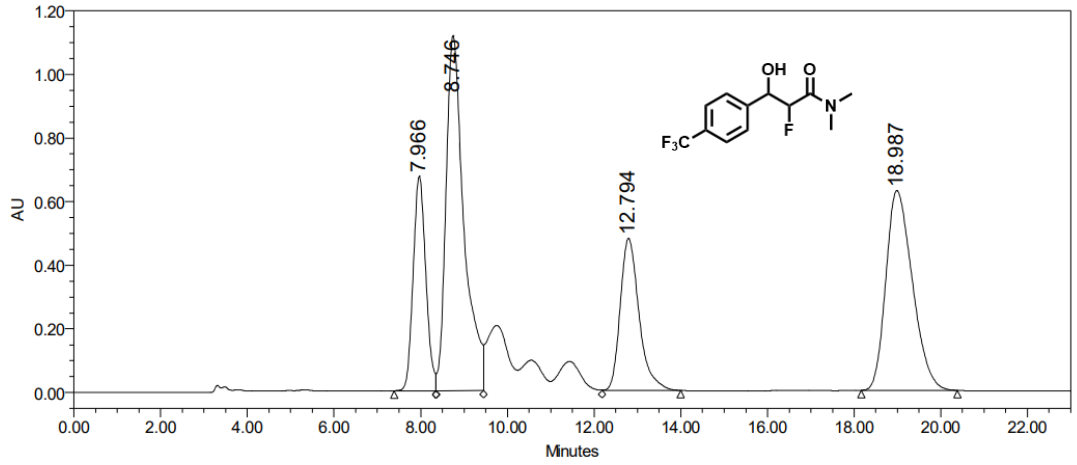


Channel: W2489 ChA; Processed Channel: W2489 ChA 210nm; Result Id: 3195; Processing Method: p
F

Processed Channel: W2489 ChA 210nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChA 210nm	18.368	144432	1.26	2680
2	W2489 ChA 210nm	24.783	8688	0.08	386
3	W2489 ChA 210nm	29.098	11152681	97.15	164942
4	W2489 ChA 210nm	47.606	174578	1.52	2245

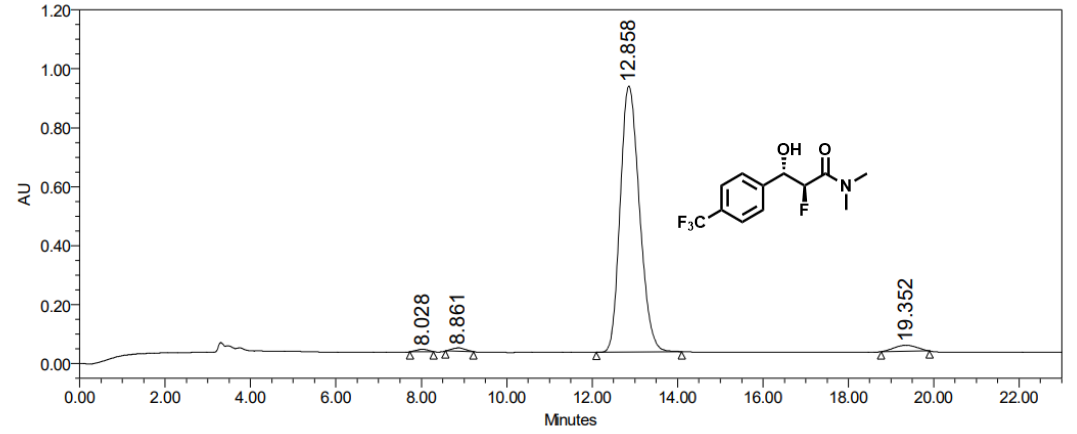
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(4-(trifluoromethyl)phenyl)propanamide (2f):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3210; Processing Method: p

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	7.966	13718788	15.75	674335
2	W2489 ChB 220nm	8.746	32183548	36.94	1116616
3	W2489 ChB 220nm	12.794	14453525	16.59	478570
4	W2489 ChB 220nm	18.987	26764015	30.72	628294

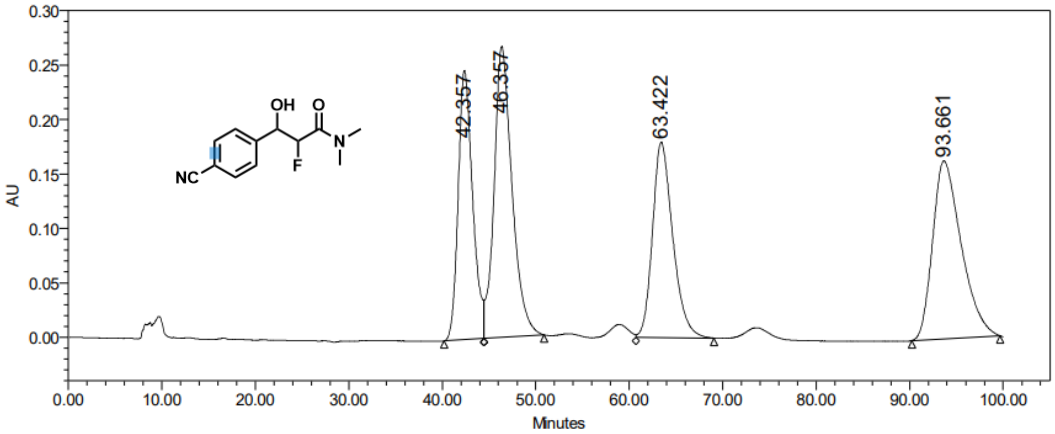


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3214; Processing Method: p CF3

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	8.028	155397	0.53	8202
2	W2489 ChB 220nm	8.861	245185	0.84	10962
3	W2489 ChB 220nm	12.858	28206620	96.06	902411
4	W2489 ChB 220nm	19.352	755471	2.57	20531

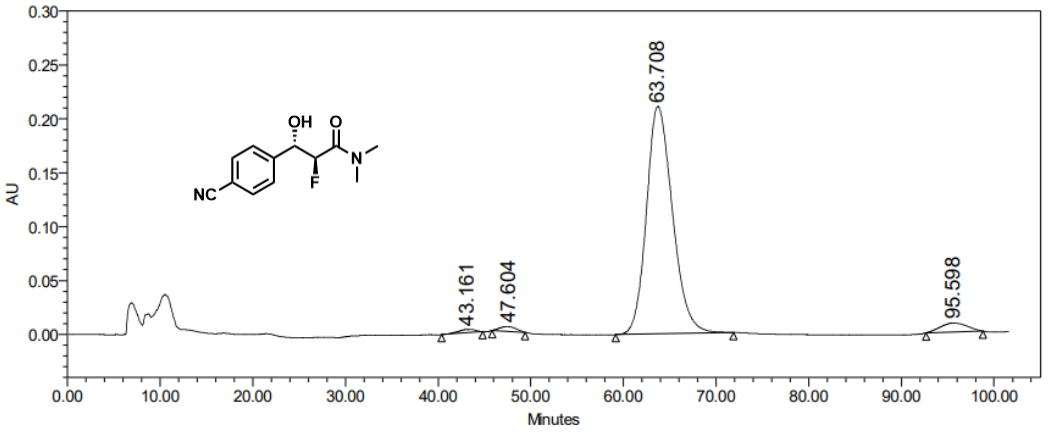
(2*S*,3*S*)-3-(4-cyanophenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2g):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4542; Processing Method: p CN xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	42.357	26509667	21.38	247209
2	W2489 ChB 220nm	46.357	35714900	28.80	267264
3	W2489 ChB 220nm	63.422	27018224	21.79	179198
4	W2489 ChB 220nm	93.661	34747296	28.02	163421

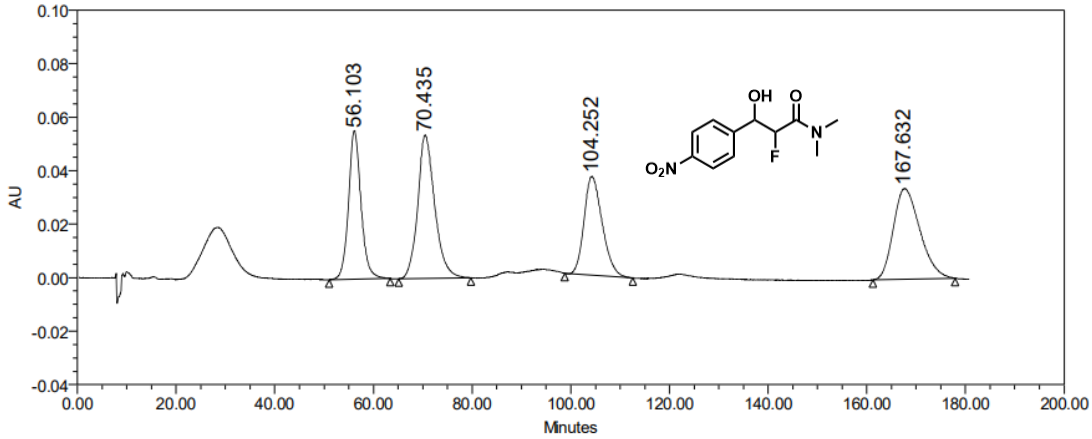


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4548; Processing Method: p CN

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	43.161	408859	0.93	3250
2	W2489 ChB 220nm	47.604	546055	1.24	4515
3	W2489 ChB 220nm	63.708	41257297	93.96	211083
4	W2489 ChB 220nm	95.598	1697761	3.87	8425

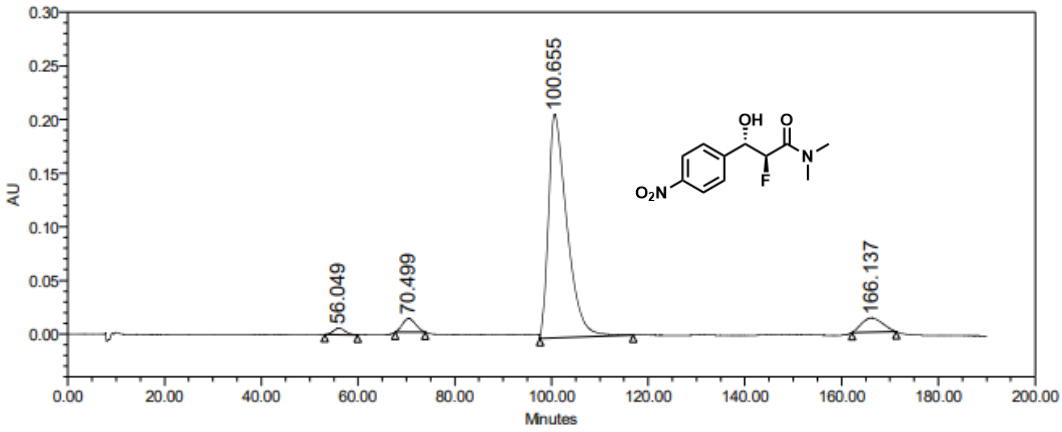
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(4-nitrophenyl)propenamide (2h):



Channel: W2489 ChA; Processed Channel: W2489 ChA 254nm; Result Id: 4585; Processing Method: p NO2 xxt

Processed Channel: W2489 ChA 254nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChA 254nm	56.103	9744899	21.70	55483
2	W2489 ChA 254nm	70.435	12942742	28.83	53619
3	W2489 ChA 254nm	104.252	9517212	21.20	36931
4	W2489 ChA 254nm	167.632	12694198	28.27	33916

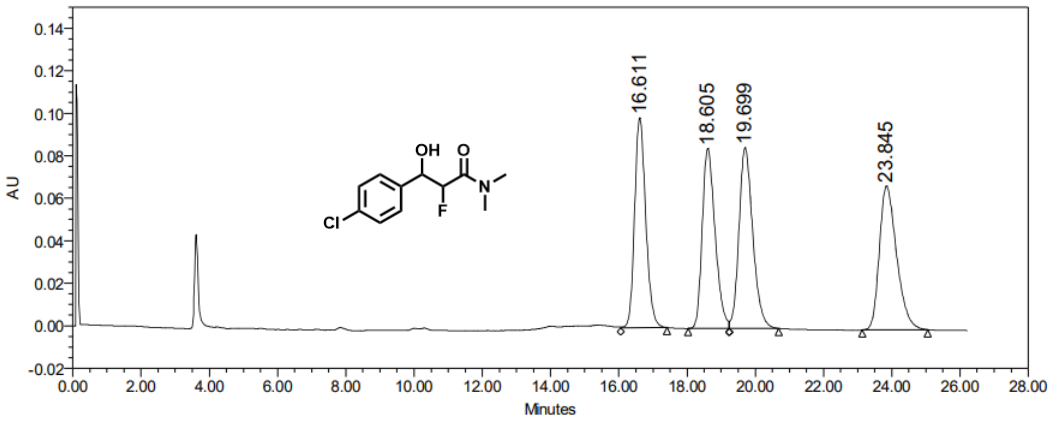


Channel: W2489 ChA; Processed Channel: W2489 ChA 254nm; Result Id: 4591; Processing Method: p no2

Processed Channel: W2489 ChA 254nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChA 254nm	56.049	1043353	1.66	6140
2	W2489 ChA 254nm	70.499	2416374	3.85	12778
3	W2489 ChA 254nm	100.655	55305266	88.17	208273
4	W2489 ChA 254nm	166.137	3962062	6.32	13177

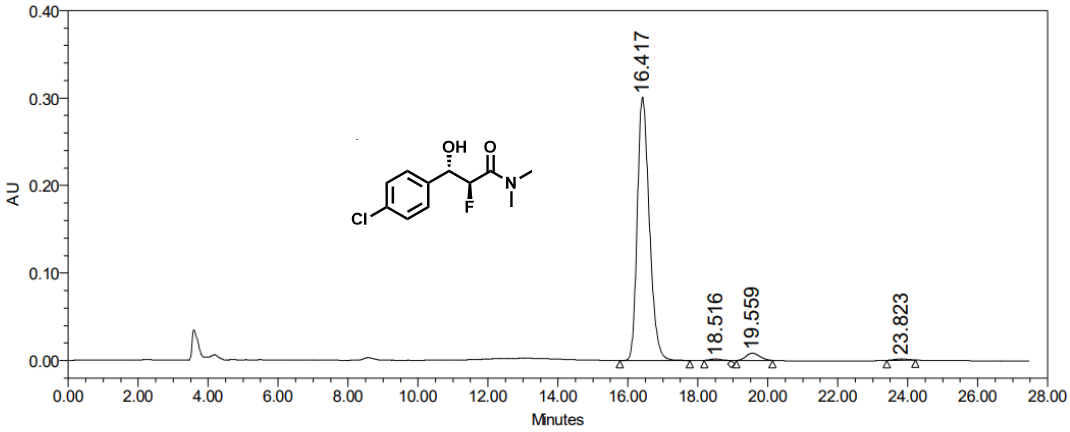
(2*S*,3*S*)-3-(4-chlorophenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2i):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3237; Processing Method: p Cl xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	16.611	2239707	24.28	98945
2	W2489 ChB 220nm	18.605	2214166	24.00	84745
3	W2489 ChB 220nm	19.699	2396236	25.97	85043
4	W2489 ChB 220nm	23.845	2375415	25.75	67682

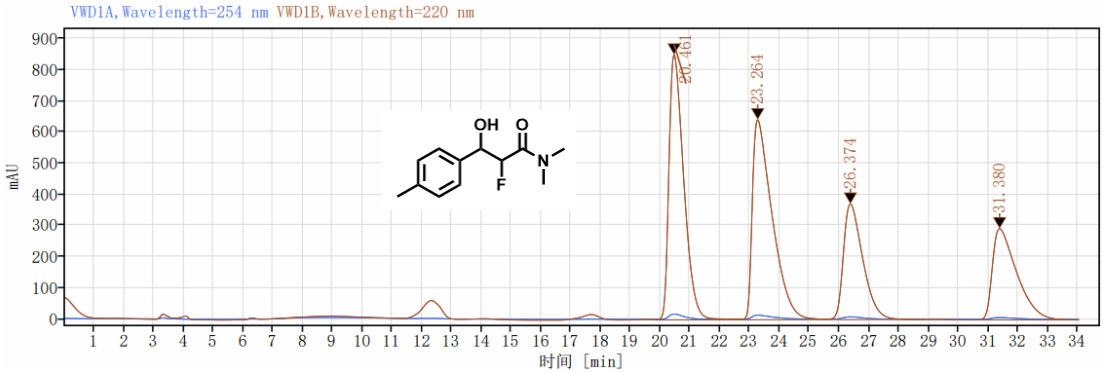


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3245; Processing Method: p Cl

Processed Channel: W2489 ChB 220nm

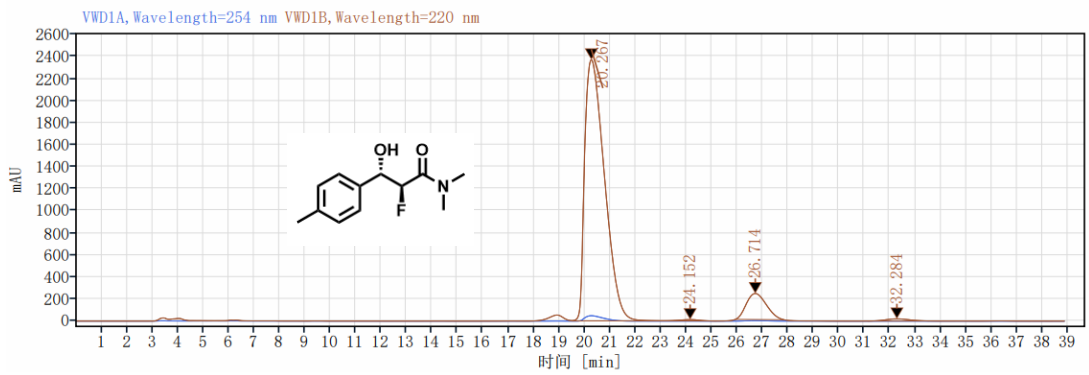
	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	16.417	7174942	95.97	300737
2	W2489 ChB 220nm	18.516	35626	0.48	1595
3	W2489 ChB 220nm	19.559	226310	3.03	8292
4	W2489 ChB 220nm	23.823	39466	0.53	1435

(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(*p*-tolyl)propanamide (2j):



信号: VWD1B,Wavelength=220 nm

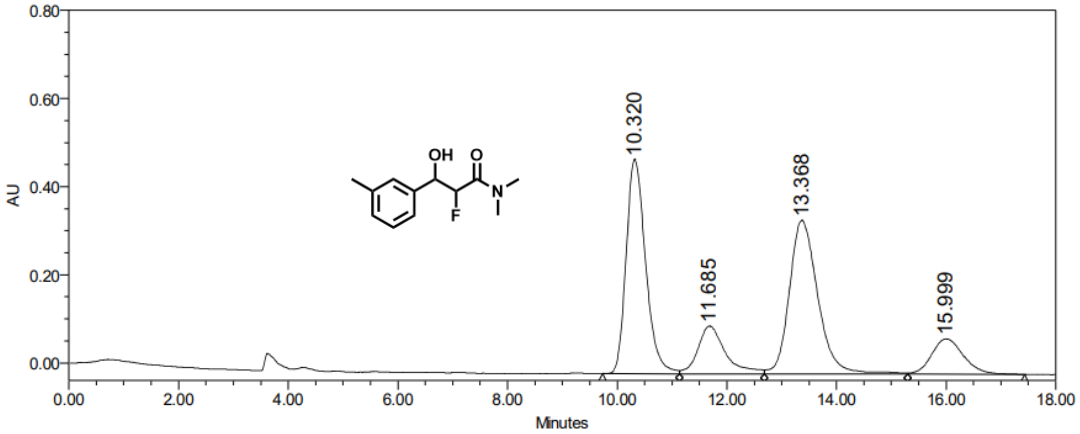
保留时间 [min]	类型	峰宽 [min]	峰面积	峰高	峰面积%	名称
20.461	VV	2.85	29425.48	851.09	31.80	
23.264	VV	2.89	29642.43	642.50	32.04	
26.374	VB	3.31	16801.39	371.50	18.16	
31.380	BBA	3.55	16652.36	293.20	18.00	
		总和	**92521.67**			



信号: VWD1B,Wavelength=220 nm

保留时间 [min]	类型	峰宽 [min]	峰面积	峰高	峰面积%	名称
20.267	VB	3.59	128198.22	2372.32	89.66	
24.152	BB	2.11	613.88	11.81	0.43	
26.714	MM m	1.91	12908.50	236.44	9.03	
32.284	MM m	2.42	1260.28	19.69	0.88	
		总和	**142980.88**			

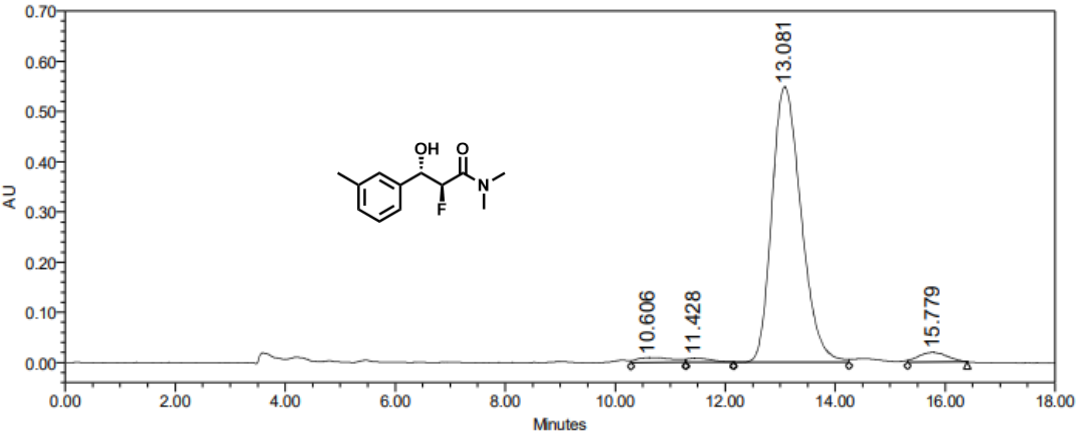
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(*m*-tolyl)propanamide (2k):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4421; Processing Method: m Me xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	10.320	12018139	37.83	487291
2	W2489 ChB 220nm	11.685	3808487	11.99	109022
3	W2489 ChB 220nm	13.368	12678317	39.91	349198
4	W2489 ChB 220nm	15.999	3263323	10.27	80515

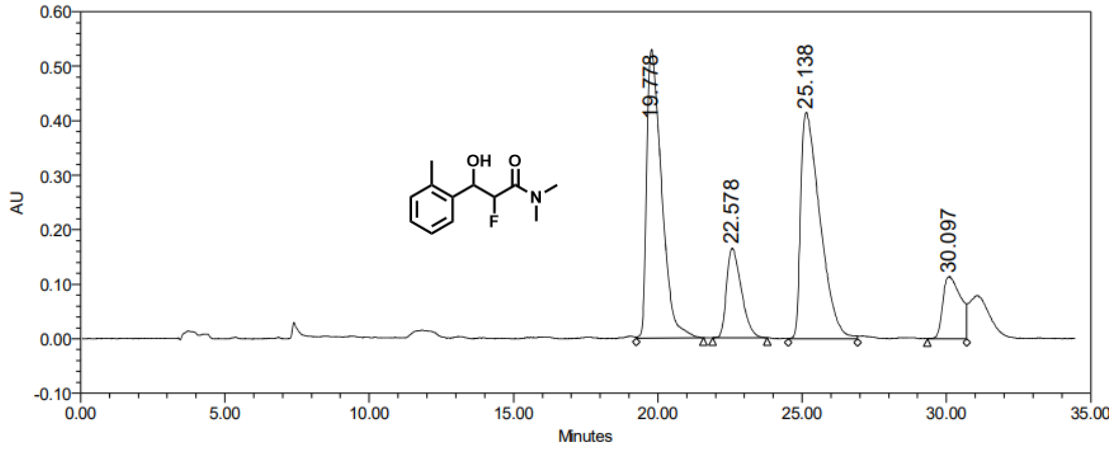


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4431; Processing Method: m Me 1

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	10.606	474835	2.19	10033
2	W2489 ChB 220nm	11.428	263001	1.21	8130
3	W2489 ChB 220nm	13.081	20291257	93.46	548333
4	W2489 ChB 220nm	15.779	680922	3.14	18800

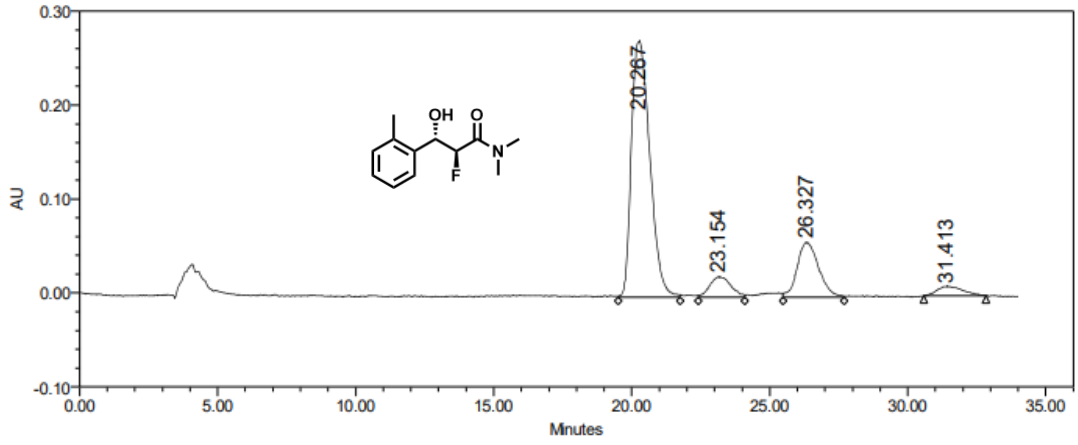
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(*o*-tolyl)propanamide (2l):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3589; Processing Method: oi Me xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	19.778	18948424	38.62	529023
2	W2489 ChB 220nm	22.578	5865598	11.95	164631
3	W2489 ChB 220nm	25.138	19350695	39.44	415007
4	W2489 ChB 220nm	30.097	4900559	9.99	113692

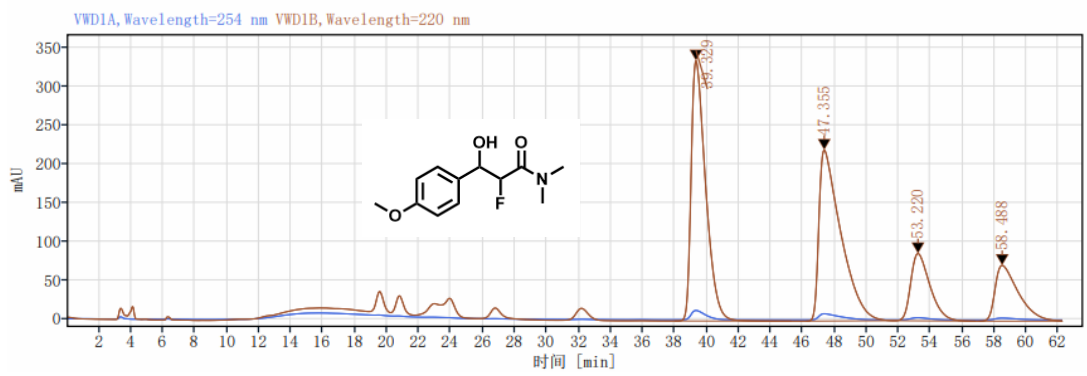


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3612; Processing Method: o Me

Processed Channel: W2489 ChB 220nm

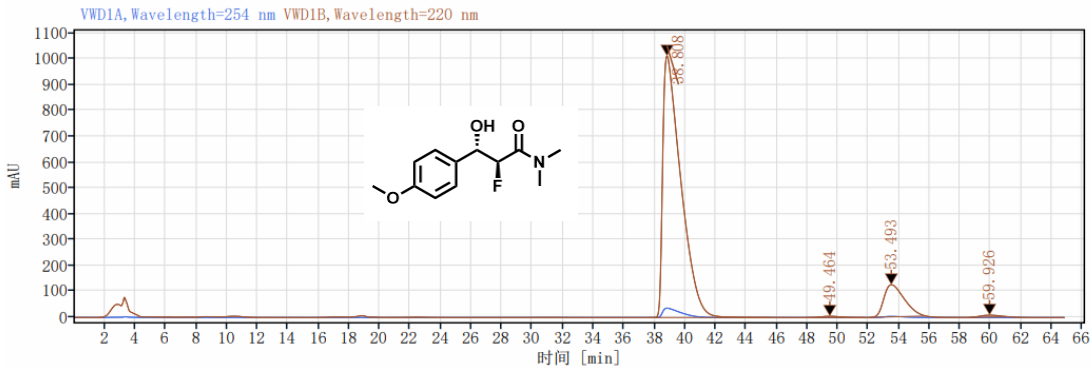
	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	20.267	12615132	71.87	272222
2	W2489 ChB 220nm	23.154	1138912	6.49	21369
3	W2489 ChB 220nm	26.327	3132493	17.85	57978
4	W2489 ChB 220nm	31.413	666838	3.80	10108

(2*S*,3*S*)-2-fluoro-3-hydroxy-3-(4-methoxyphenyl)-N,N-dimethylpropanamide (2m):



信号: VWD1B, Wavelength=220 nm

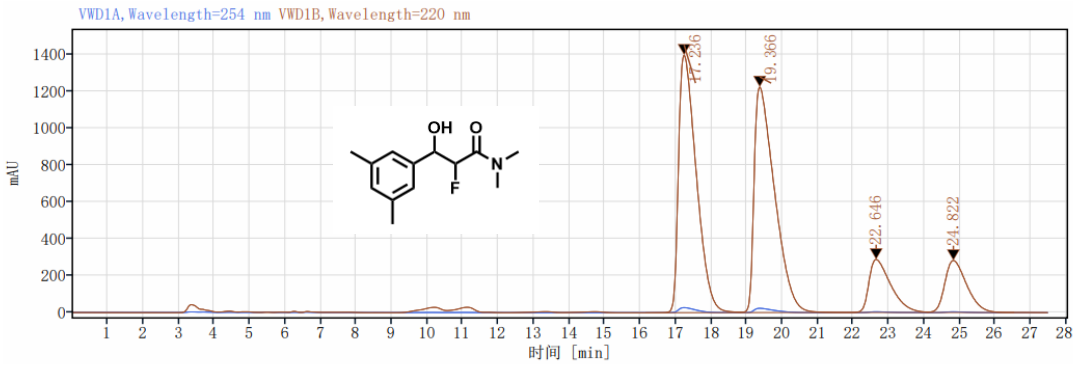
保留时间 [min]	类型	峰宽 [min]	峰面积	峰高	峰面积%	名称
39.329	BB	7.30	21143.38	335.50	37.32	
47.355	BB	5.52	20977.49	220.38	37.02	
53.220	BB	5.08	7258.02	86.67	12.81	
58.488	BBA	5.23	7279.59	71.83	12.85	
		总和	**56658.48**			



信号: VWD1B, Wavelength=220 nm

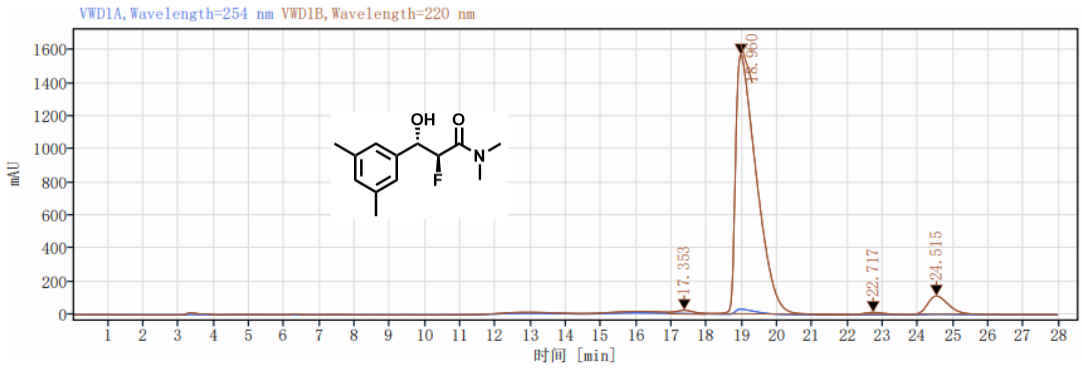
保留时间 [min]	类型	峰宽 [min]	峰面积	峰高	峰面积%	名称
38.808	BB	7.92	83111.09	1012.51	86.93	
49.464	BB	3.89	419.92	4.99	0.44	
53.493	MM m	3.63	11453.21	124.43	11.98	
59.926	MM m	2.59	621.79	7.42	0.65	
		总和	**95606.01**			

(2*S*,3*S*)-3-(3,5-dimethylphenyl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (2n):



信号: VWD1B,Wavelength=220 nm

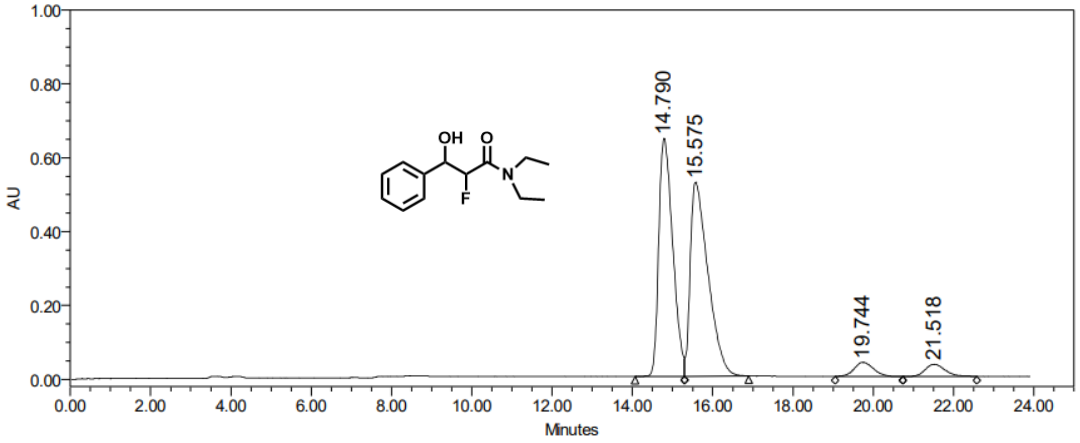
保留时间 [min]	类型	峰宽 [min]	峰面积	峰高	峰面积%	名称
17.236	BV	2.67	48739.07	1401.31	39.87	
19.366	VB	2.99	49136.52	1227.13	40.19	
22.646	BV	2.28	12285.10	288.44	10.05	
24.822	VB	2.43	12096.18	282.77	9.89	
		总和	122256.86			



信号: VWD1B,Wavelength=220 nm

保留时间 [min]	类型	峰宽 [min]	峰面积	峰高	峰面积%	名称
17.353	MM m	1.04	896.94	22.46	1.28	
18.960	MM m	3.84	64180.98	1565.54	91.89	
22.717	MM m	1.13	391.67	11.50	0.56	
24.515	MM m	1.66	4378.13	109.52	6.27	
		总和	69847.73			

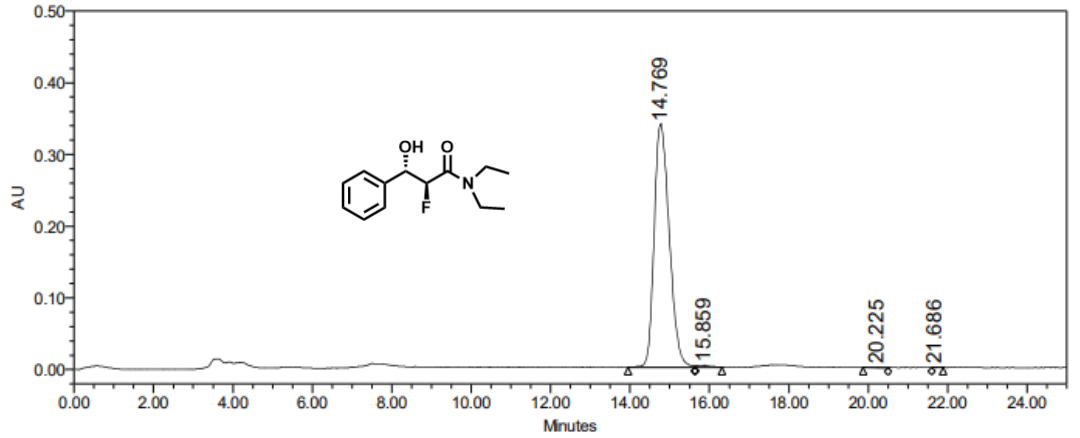
(2*S*,3*S*)-N,N-diethyl-2-fluoro-3-hydroxy-3-phenylpropanamide (2o):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4404; Processing Method: dyan xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	14.790	15534758	45.45	644762
2	W2489 ChB 220nm	15.575	16122376	47.17	525207
3	W2489 ChB 220nm	19.744	1326617	3.88	38791
4	W2489 ChB 220nm	21.518	1194017	3.49	33526

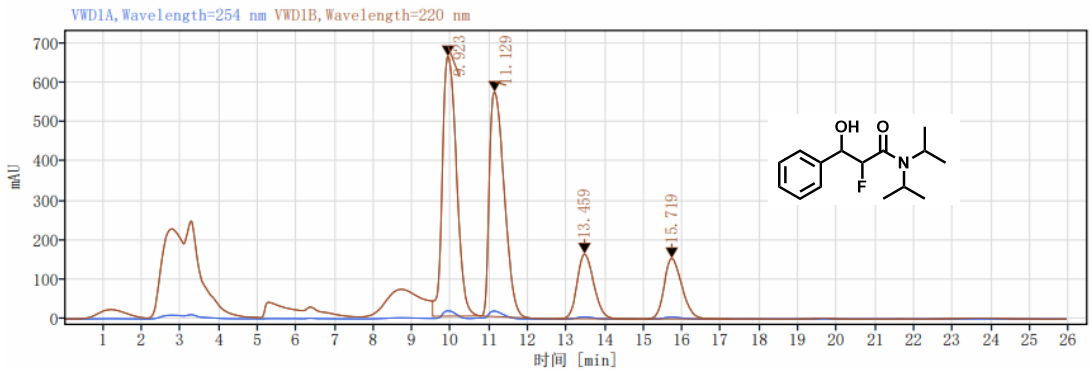


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4406; Processing Method: dyian

Processed Channel: W2489 ChB 220nm

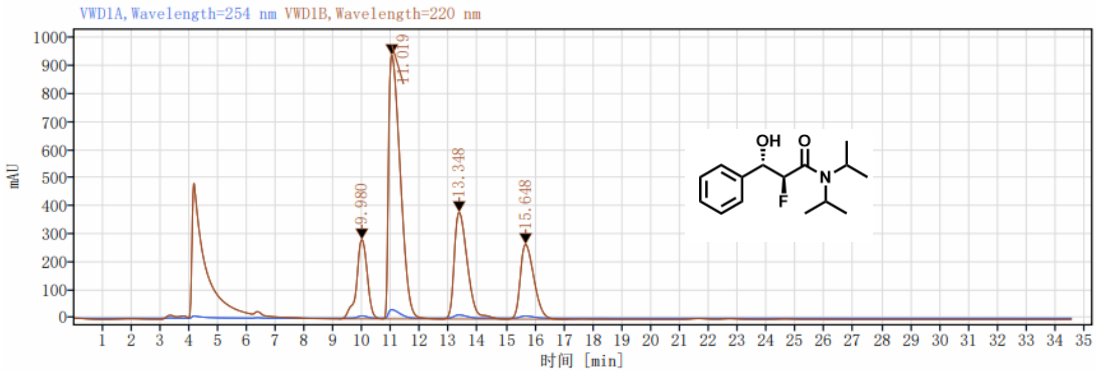
	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	14.769	8962886	99.13	339034
2	W2489 ChB 220nm	15.859	66385	0.73	2615
3	W2489 ChB 220nm	20.225	9650	0.11	425
4	W2489 ChB 220nm	21.686	2870	0.03	345

(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-diisopropyl-3-phenylpropanamide (2p):



信号: VWD1B, Wavelength=220 nm

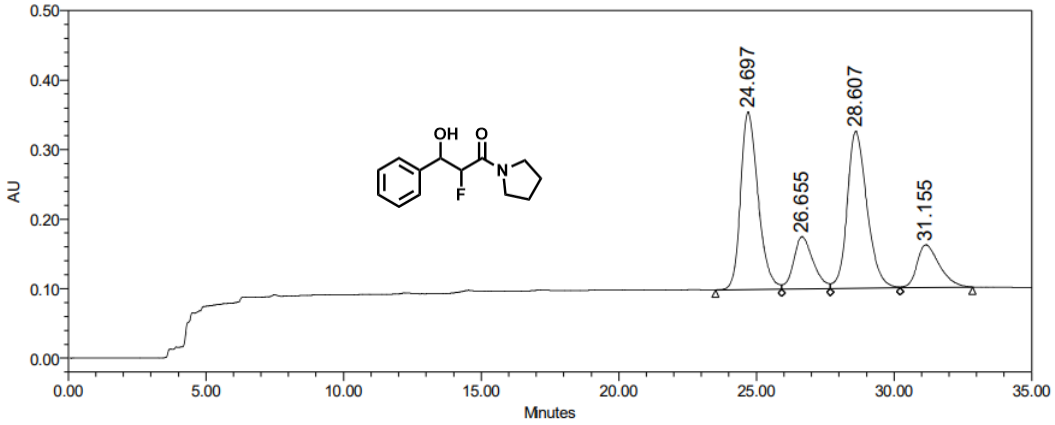
保留时间 [min]	类型	峰宽 [min]	峰面积	峰高	峰面积%	名称
9.923	VB	1.24	16802.98	658.83	40.03	
11.129	BB	1.42	15305.92	569.66	36.47	
13.459	BB	2.09	4930.68	164.17	11.75	
15.719	BB	2.37	4933.61	153.36	11.75	
		总和	**41973.20**			



信号: VWD1B, Wavelength=220 nm

保留时间 [min]	类型	峰宽 [min]	峰面积	峰高	峰面积%	名称
9.980	BV	1.52	7337.07	282.65	13.40	
11.019	VB	2.06	26557.42	941.23	48.50	
13.348	BB	2.21	12069.30	380.81	22.04	
15.648	BB	2.16	8793.93	267.09	16.06	
		总和	**54757.72**			

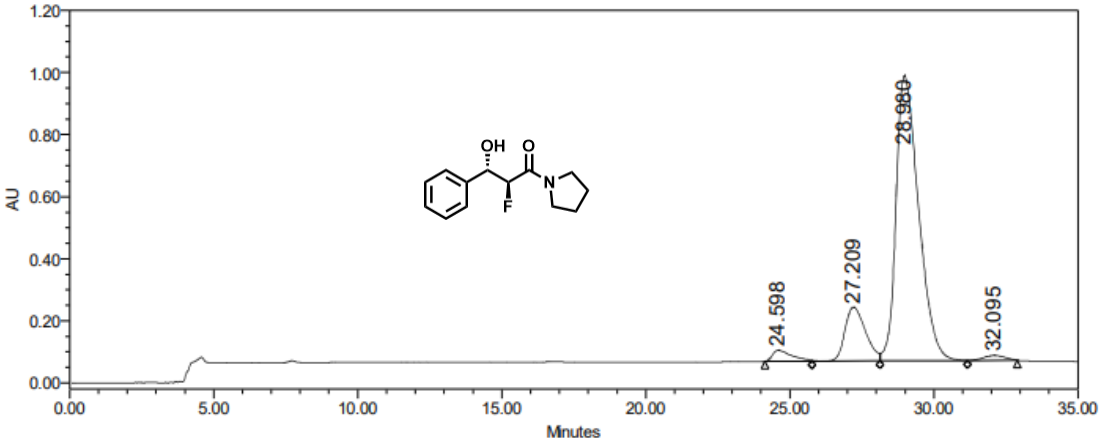
(2*S*,3*S*)-2-fluoro-3-hydroxy-3-phenyl-1-(pyrrolidin-1-yl)propan-1-one (2q)：



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4127; Processing Method: BL XXT

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	24.697	11266606	37.90	255399
2	W2489 ChB 220nm	26.655	3695795	12.43	74939
3	W2489 ChB 220nm	28.607	11300115	38.01	225950
4	W2489 ChB 220nm	31.155	3467600	11.66	61289

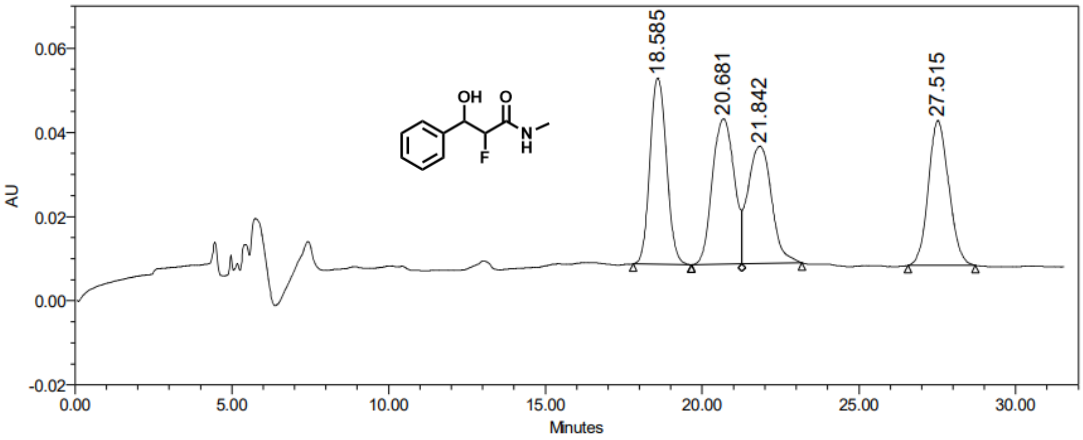


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4124; Processing Method: BL

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	24.598	1667319	2.74	35369
2	W2489 ChB 220nm	27.209	8592828	14.10	173165
3	W2489 ChB 220nm	28.980	49853205	81.83	918659
4	W2489 ChB 220nm	32.095	808686	1.33	15733

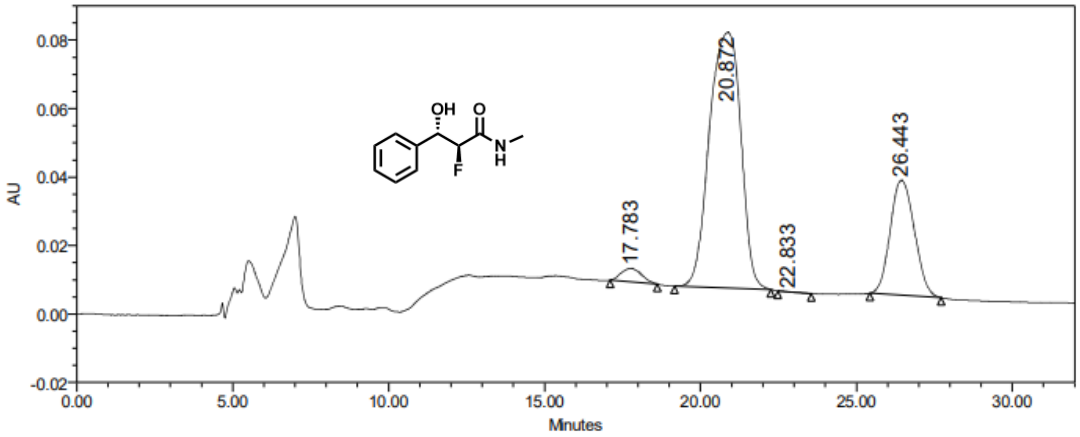
(2*S*,3*S*)-2-fluoro-3-hydroxy-N-methyl-3-phenylpropanamide (2r):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3985; Processing Method: Nh xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	18.585	1627259	25.67	44160
2	W2489 ChB 220nm	20.681	1678025	26.47	34498
3	W2489 ChB 220nm	21.842	1472033	23.22	27860
4	W2489 ChB 220nm	27.515	1562249	24.64	34397

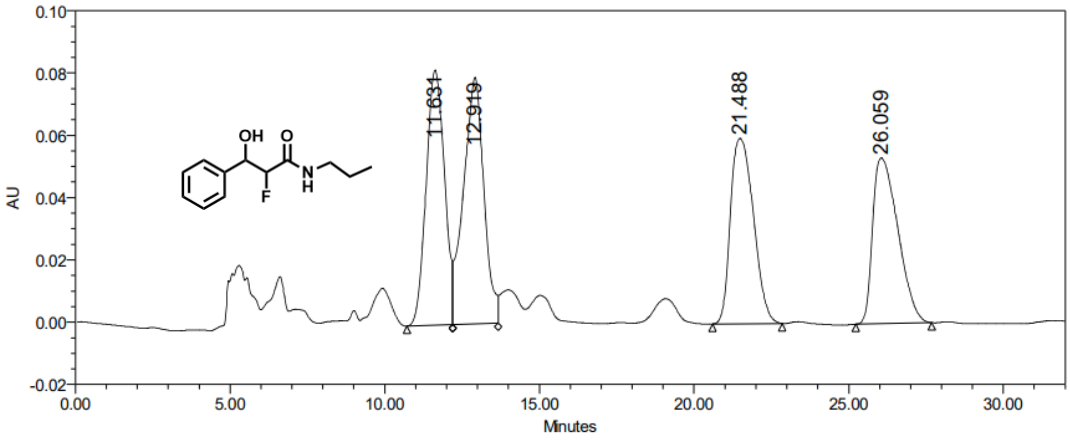


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3982; Processing Method: NH

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	17.783	179843	2.49	3920
2	W2489 ChB 220nm	20.872	5227732	72.37	74667
3	W2489 ChB 220nm	22.833	1512	0.02	-51
4	W2489 ChB 220nm	26.443	1814629	25.12	33552

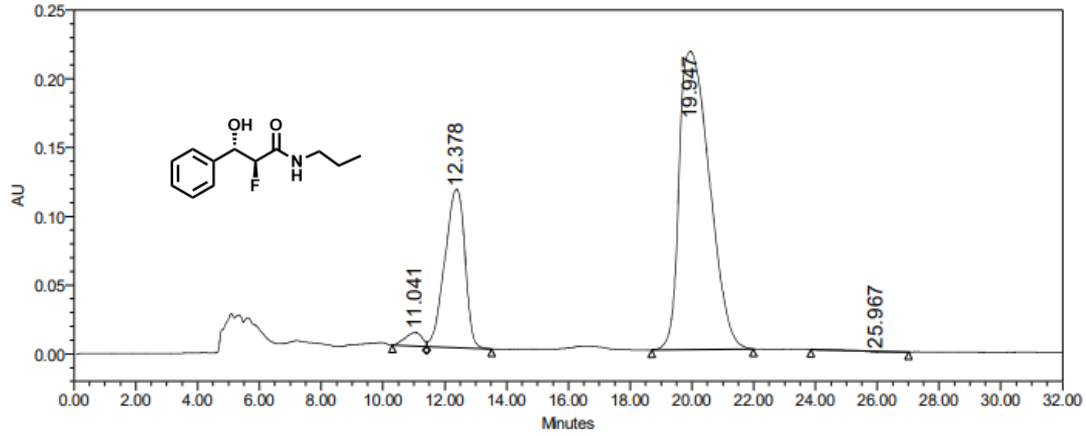
(2*S*,3*S*)-2-fluoro-3-hydroxy-3-phenyl-N-propylpropanamide (2s):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3959; Processing Method: Nw xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	11.631	3377685	25.74	81872
2	W2489 ChB 220nm	12.919	3642103	27.76	79055
3	W2489 ChB 220nm	21.488	3079857	23.47	59512
4	W2489 ChB 220nm	26.059	3022102	23.03	53238

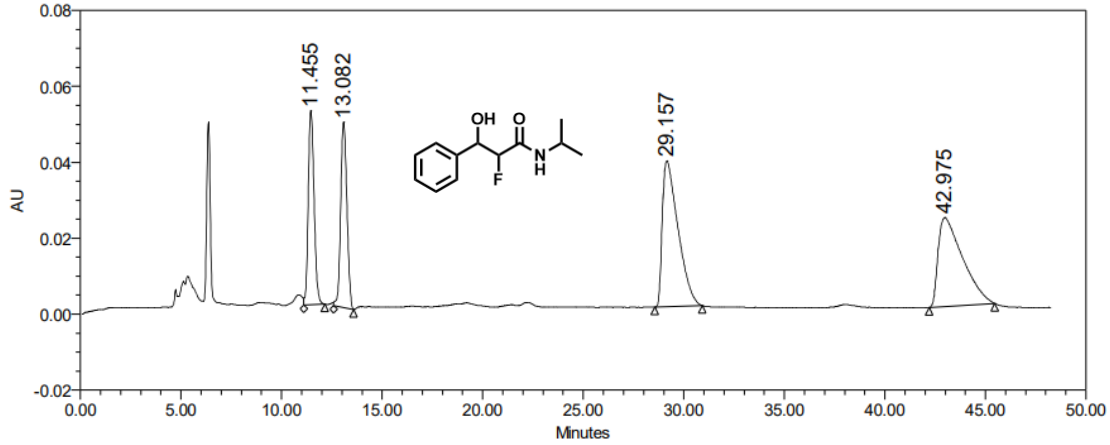


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3989; Processing Method: NW

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	11.041	369206	1.81	9592
2	W2489 ChB 220nm	12.378	5219296	25.54	115048
3	W2489 ChB 220nm	19.947	14795885	72.41	216717
4	W2489 ChB 220nm	25.967	47807	0.23	-643

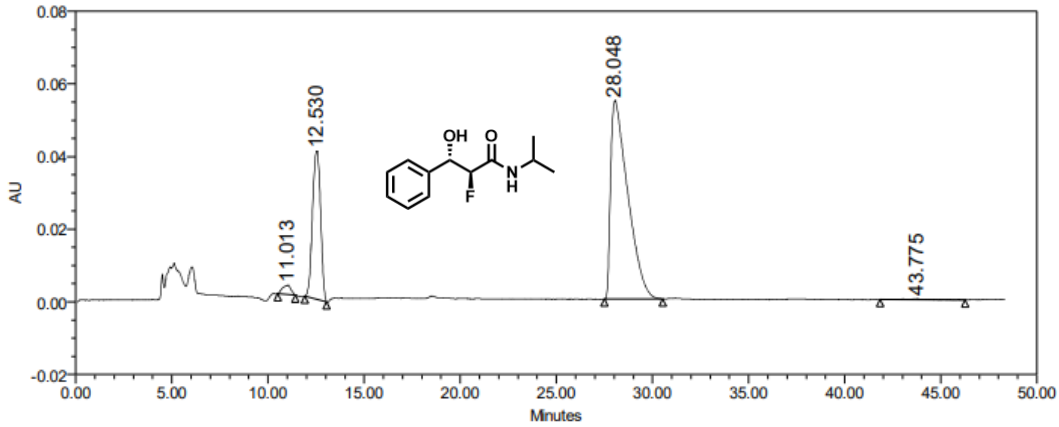
(2*S*,3*S*)-2-fluoro-3-hydroxy-N-isopropyl-3-phenylpropanamide (2t):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4371; Processing Method: ipr NH xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	11.455	1031801	16.80	51129
2	W2489 ChB 220nm	13.082	1047952	17.06	48824
3	W2489 ChB 220nm	29.157	2085376	33.95	38420
4	W2489 ChB 220nm	42.975	1976625	32.18	23410

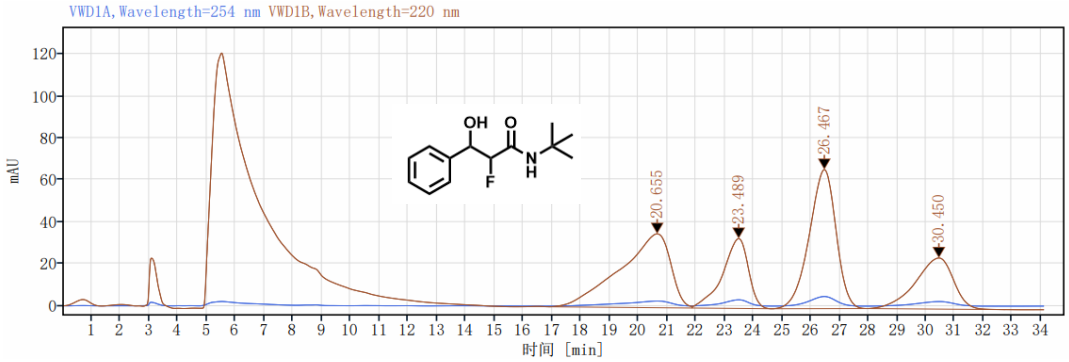


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4376; Processing Method: ipr NH

Processed Channel: W2489 ChB 220nm

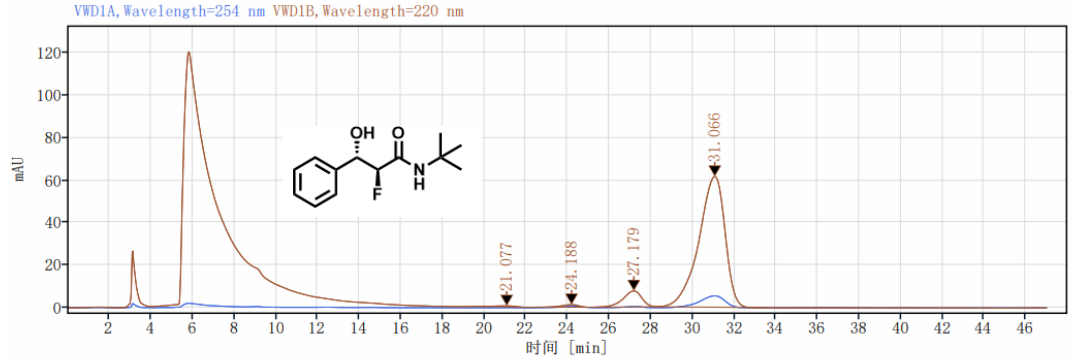
	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	11.013	74935	1.61	2414
2	W2489 ChB 220nm	12.530	1192777	25.56	40698
3	W2489 ChB 220nm	28.048	3383962	72.51	54505
4	W2489 ChB 220nm	43.775	15238	0.33	194

(2*S*,3*S*)-N-(tert-butyl)-2-fluoro-3-hydroxy-3-phenylpropanamide (2u):



信号: VWD1B,Wavelength=220 nm

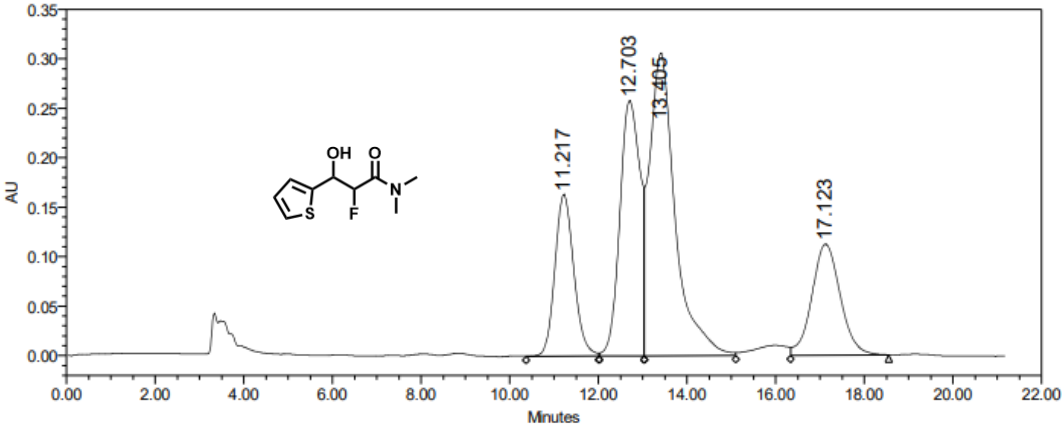
保留时间 [min]	类型	峰宽 [min]	峰面积	峰高	峰面积%	名称
20.655	BV	4.99	4278.76	35.29	33.19	
23.489	VB	2.76	2081.72	33.34	16.15	
26.467	BB	3.30	4289.58	66.37	33.27	
30.450	MM m	4.82	2242.65	24.39	17.39	
		总和	12892.71			



信号: VWD1B,Wavelength=220 nm

保留时间 [min]	类型	峰宽 [min]	峰面积	峰高	峰面积%	名称
21.077	MM m	2.35	32.54	0.49	0.55	
24.188	MM m	2.25	67.39	1.22	1.13	
27.179	BB	3.19	468.86	7.54	7.86	
31.066	MM m	5.10	5395.18	61.70	90.46	
		总和	5963.97			

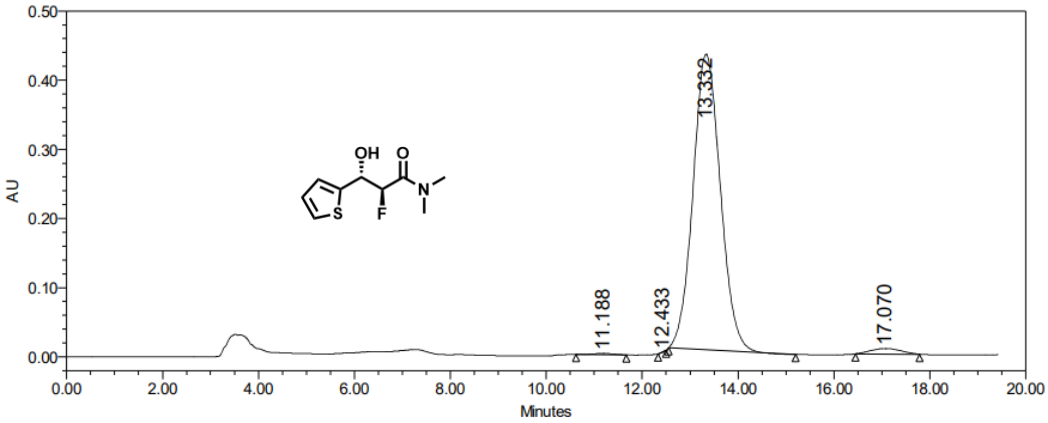
(2*S*,3*R*)-2-fluoro-3-hydroxy-*N*,*N*-dimethyl-3-(thiophen-2-yl)propanamide (4a):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3322; Processing Method: SF xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	11.217	4874177	16.00	163368
2	W2489 ChB 220nm	12.703	8181426	26.85	258046
3	W2489 ChB 220nm	13.405	12419212	40.76	305779
4	W2489 ChB 220nm	17.123	4994961	16.39	112192

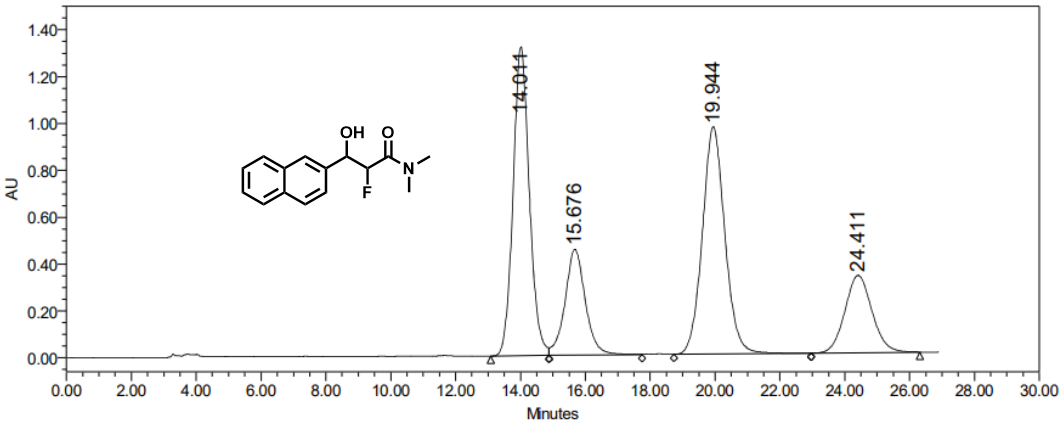


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3329; Processing Method: SF

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	11.188	62720	0.36	1930
2	W2489 ChB 220nm	12.433	5319	0.03	-806
3	W2489 ChB 220nm	13.332	16991502	97.64	427593
4	W2489 ChB 220nm	17.070	341869	1.96	7820

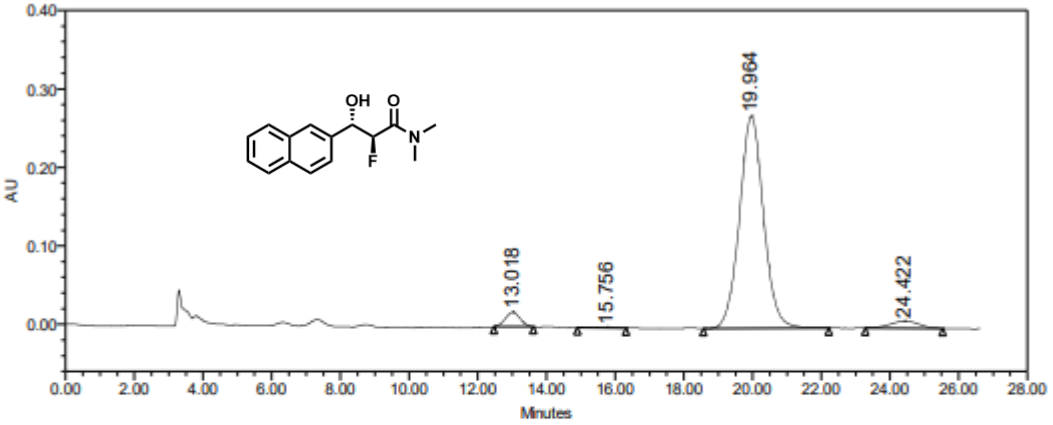
(2*S*,3*S*)-2-fluoro-3-hydroxy-N,N-dimethyl-3-(naphthalen-2-yl)propanamide (4b):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3167; Processing Method: Nai xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	14.011	45799949	34.86	1316506
2	W2489 ChB 220nm	15.676	19702823	15.00	451797
3	W2489 ChB 220nm	19.944	46720969	35.56	968113
4	W2489 ChB 220nm	24.411	19160128	14.58	329999

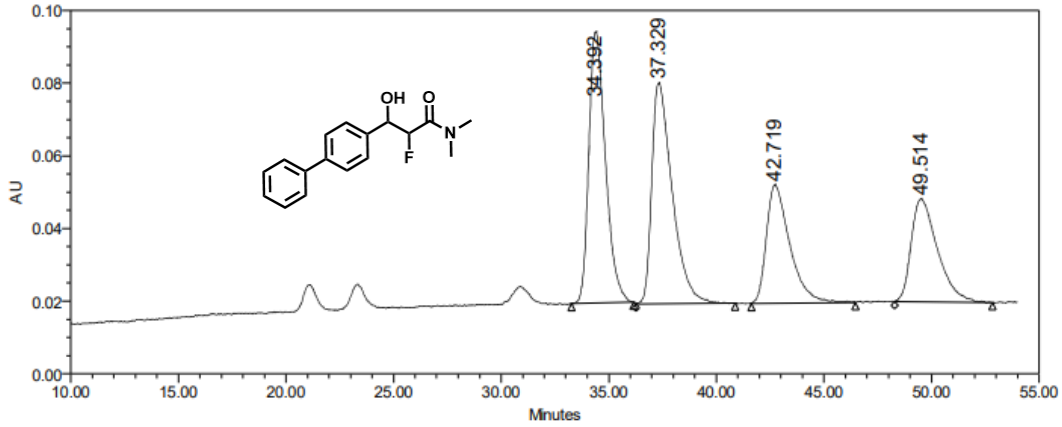


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 3169; Processing Method: Nai

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	13.018	548631	3.84	18489
2	W2489 ChB 220nm	15.756	34817	0.24	821
3	W2489 ChB 220nm	19.964	13171540	92.21	270327
4	W2489 ChB 220nm	24.422	529931	3.71	9204

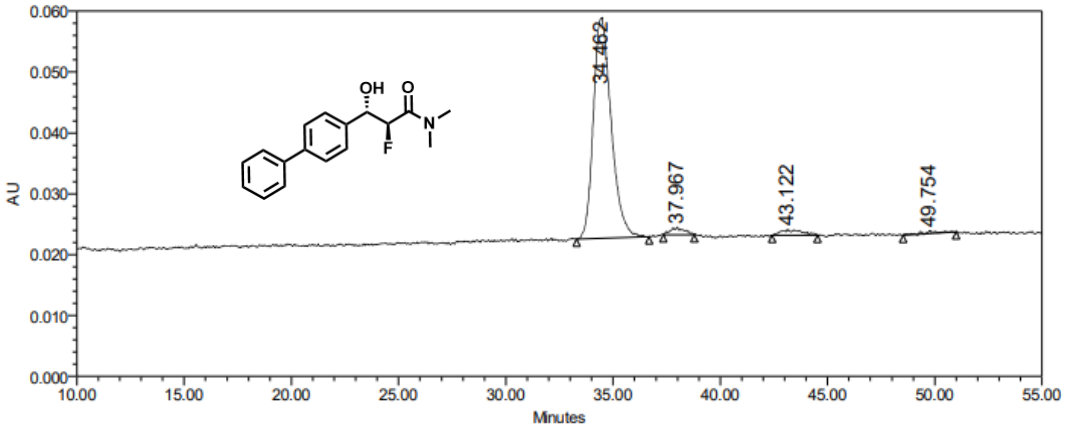
(2*S*,3*S*)-3-([1,1'-biphenyl]-4-yl)-2-fluoro-3-hydroxy-N,N-dimethylpropanamide (4c):



Channel: W2489 ChA; Processed Channel: W2489 ChA 254nm; Result Id: 2928; Processing Method: lianben xxt

Processed Channel: W2489 ChA 254nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChA 254nm	34.392	3990010	30.97	74758
2	W2489 ChA 254nm	37.329	4019765	31.20	60845
3	W2489 ChA 254nm	42.719	2457964	19.08	32617
4	W2489 ChA 254nm	49.514	2415325	18.75	28411

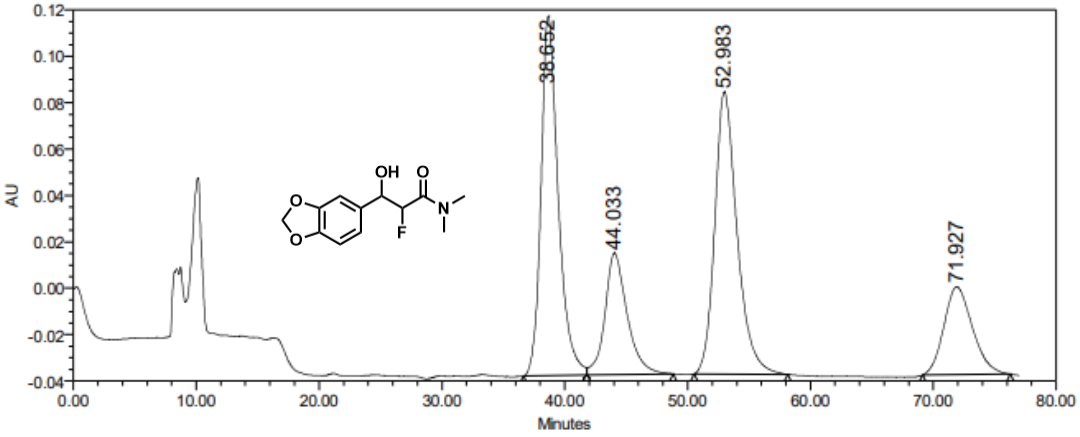


Channel: W2489 ChA; Processed Channel: W2489 ChA 254nm; Result Id: 2949; Processing Method: lianben

Processed Channel: W2489 ChA 254nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChA 254nm	34.462	2098350	93.98	36282
2	W2489 ChA 254nm	37.967	52220	2.34	1163
3	W2489 ChA 254nm	43.122	63771	2.86	893
4	W2489 ChA 254nm	49.754	18525	0.83	424

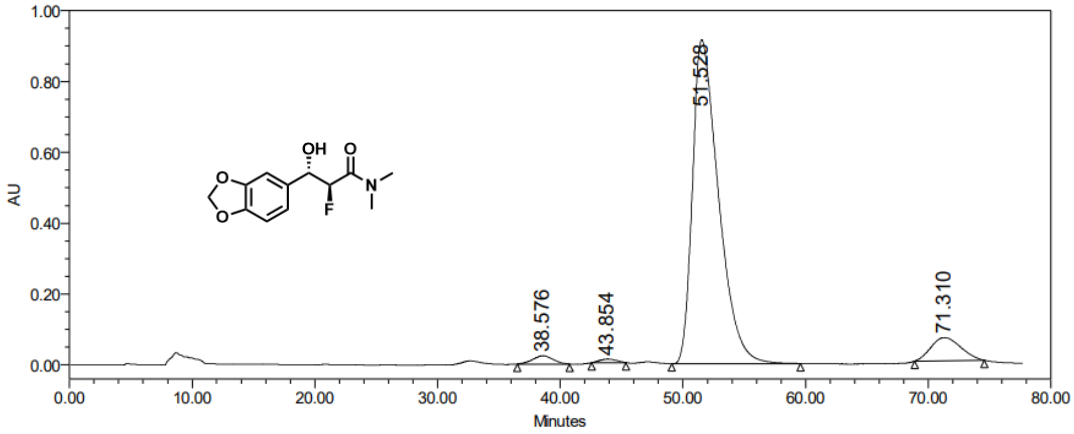
(2*S*,3*S*)-3-(benzo[d][1,3]dioxol-5-yl)-2-fluoro-3-hydroxy-N,N-dimethylpropanami -de (4d):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4522; Processing Method: HJS xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	38.652	15099469	35.00	154972
2	W2489 ChB 220nm	44.033	6579763	15.25	52436
3	W2489 ChB 220nm	52.983	15324298	35.52	121580
4	W2489 ChB 220nm	71.927	6133732	14.22	37840

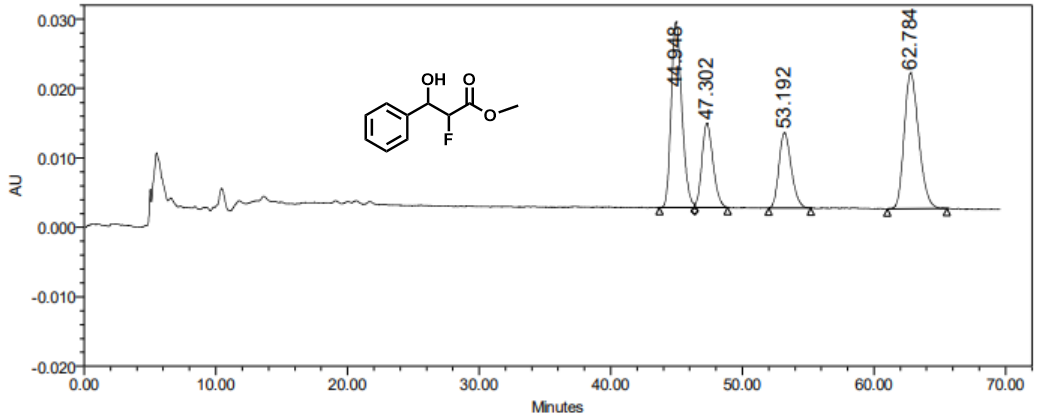


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4529; Processing Method: HJS

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	38.576	2641836	1.76	22998
2	W2489 ChB 220nm	43.854	951578	0.64	9972
3	W2489 ChB 220nm	51.528	135059170	90.23	914639
4	W2489 ChB 220nm	71.310	11037892	7.37	65522

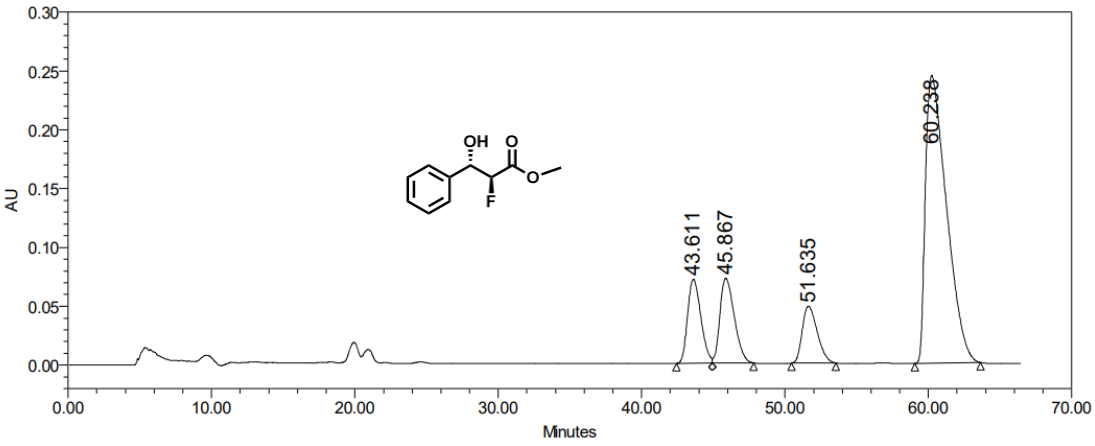
Methyl (2*S*,3*S*)-2-fluoro-3-hydroxy-3-phenylpropanoate (4e):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4012; Processing Method: jiazhi xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	44.948	1508486	34.10	26757
2	W2489 ChB 220nm	47.302	710671	16.06	12097
3	W2489 ChB 220nm	53.192	702770	15.89	10815
4	W2489 ChB 220nm	62.784	1501842	33.95	19515

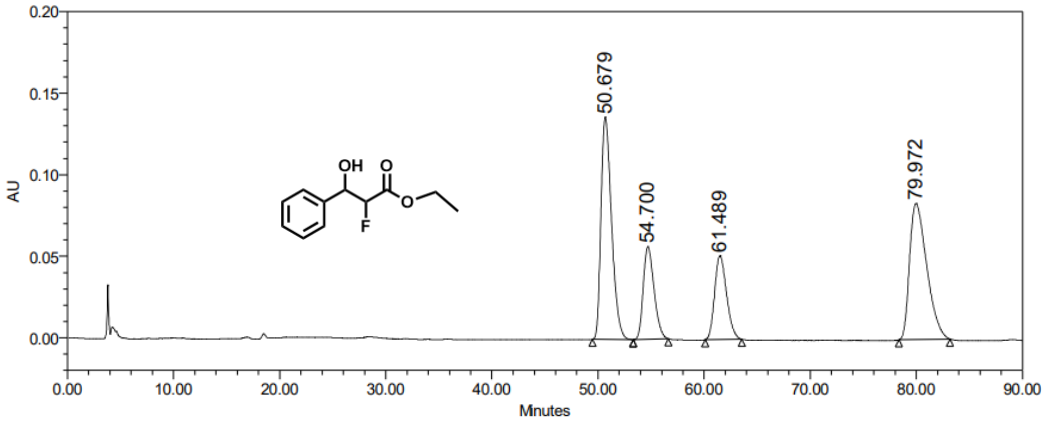


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4194; Processing Method: jiazhi

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	43.611	4581557	12.04	71223
2	W2489 ChB 220nm	45.867	5030244	13.22	72018
3	W2489 ChB 220nm	51.635	3504715	9.21	48241
4	W2489 ChB 220nm	60.238	24941816	65.54	244484

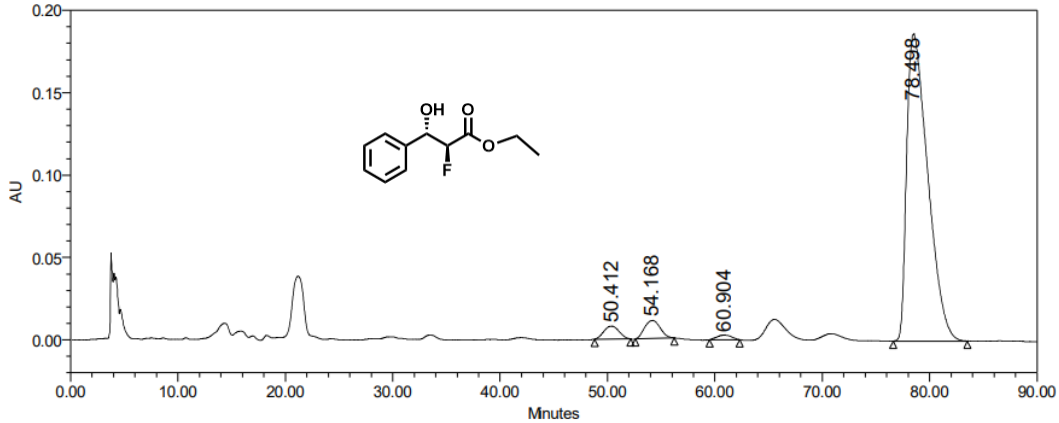
Ethyl (2*S*,3*S*)-2-fluoro-3-hydroxy-3-phenylpropanoate (4f):



Channel: W2489 ChA; Processed Channel: W2489 ChA 210nm; Result Id: 3753; Processing Method: yizhi xxt

Processed Channel: W2489 ChA 210nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChA 210nm	50.679	9418222	35.09	136298
2	W2489 ChA 210nm	54.700	4024965	15.00	56739
3	W2489 ChA 210nm	61.489	4012276	14.95	51336
4	W2489 ChA 210nm	79.972	9381467	34.96	83402

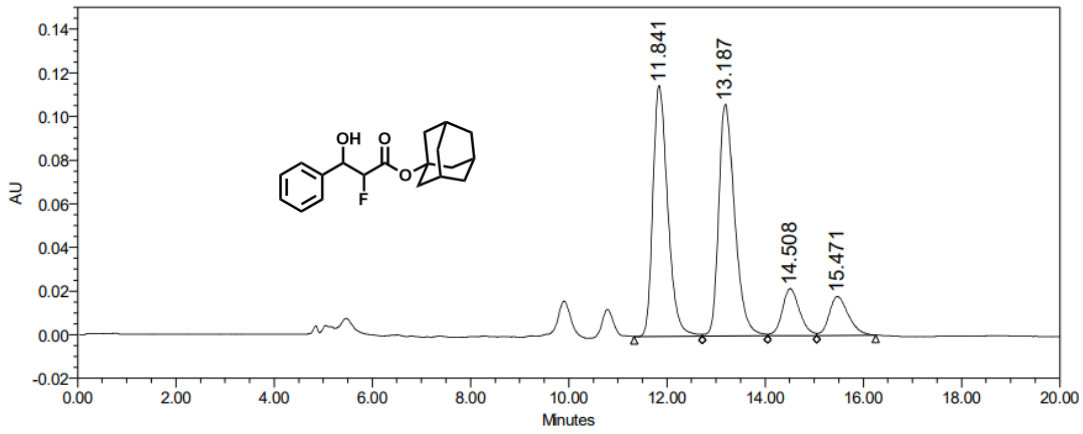


Channel: W2489 ChA; Processed Channel: W2489 ChA 210nm; Result Id: 3750; Processing Method: yizhi 40 1

Processed Channel: W2489 ChA 210nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChA 210nm	50.412	775262	2.82	7849
2	W2489 ChA 210nm	54.168	1106833	4.03	10935
3	W2489 ChA 210nm	60.904	258454	0.94	2796
4	W2489 ChA 210nm	78.498	25342505	92.21	186296

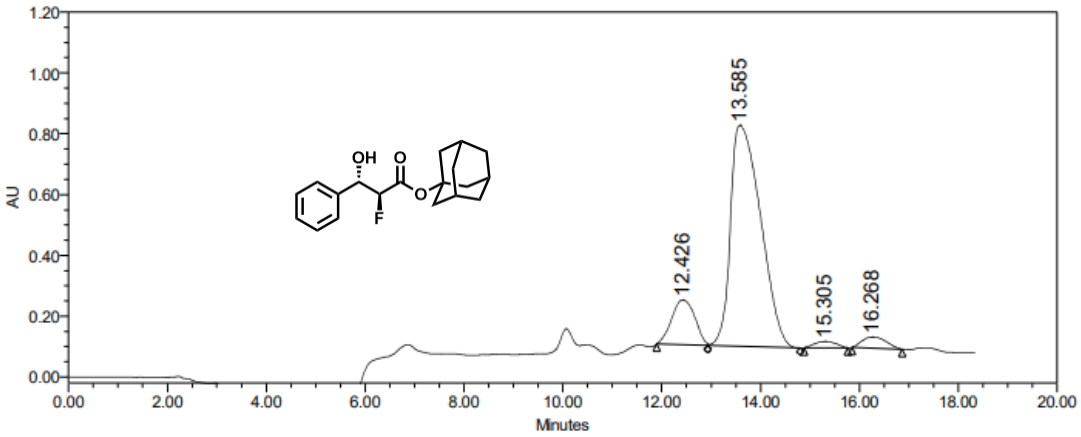
(3*R*,5*R*,7*R*)-adamantan-1-yl(2*S*,3*S*)-2-fluoro-3-hydroxy-3-phenylpropanoate (4g):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4181; Processing Method: jingangwan xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	11.841	2411639	41.36	114962
2	W2489 ChB 220nm	13.187	2410408	41.34	106222
3	W2489 ChB 220nm	14.508	526747	9.03	21426
4	W2489 ChB 220nm	15.471	481410	8.26	17788

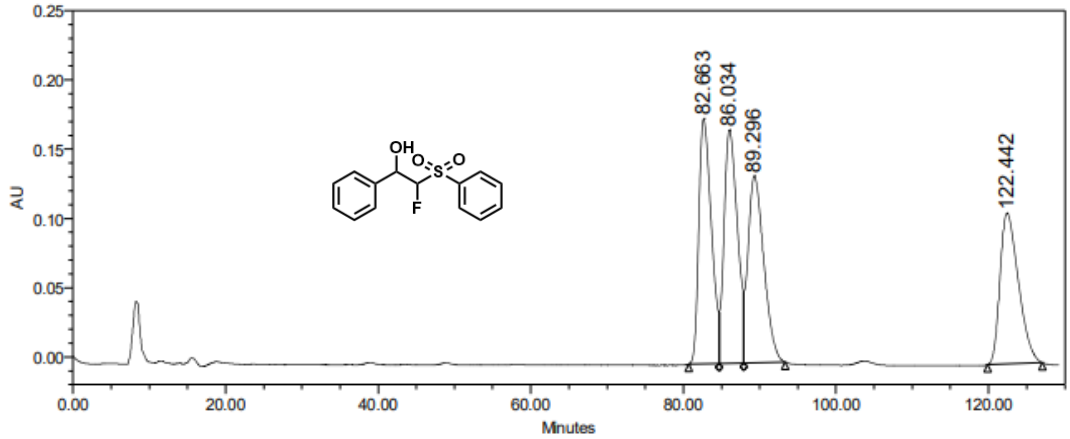


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4184; Processing Method: jinganwan

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	12.426	4818606	12.84	147625
2	W2489 ChB 220nm	13.585	30824425	82.15	727374
3	W2489 ChB 220nm	15.305	624018	1.66	20169
4	W2489 ChB 220nm	16.268	1254777	3.34	36306

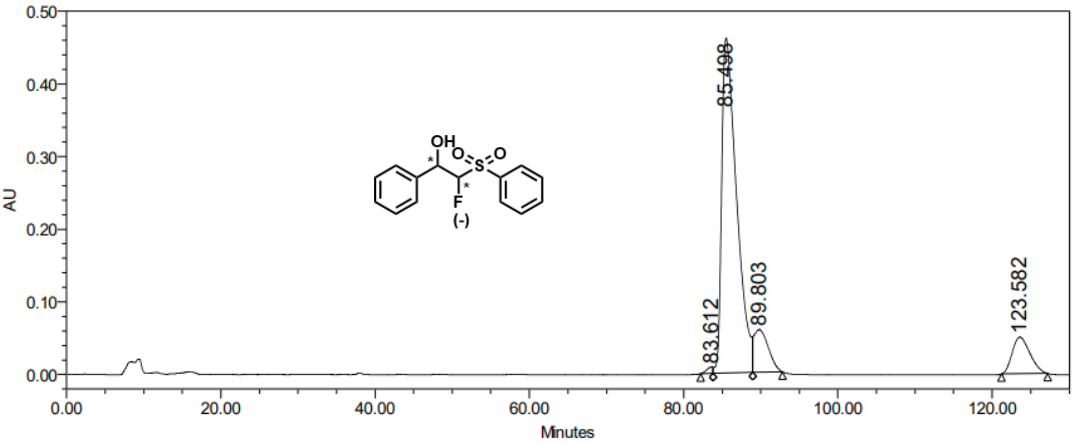
(1*S*,2*R*)-2-fluoro-1-phenyl-2-(phenylsulfonyl)ethan-1-ol (4h):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4381; Processing Method: LFxxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	82.663	20154174	25.63	176932
2	W2489 ChB 220nm	86.034	20601145	26.20	168371
3	W2489 ChB 220nm	89.296	19575895	24.89	134760
4	W2489 ChB 220nm	122.442	18307821	23.28	108887

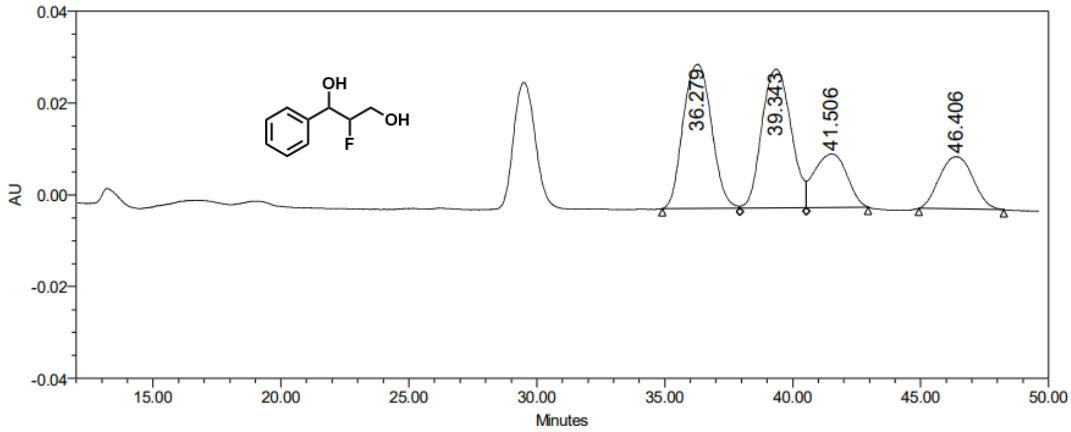


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4383; Processing Method: LF

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	83.612	477102	0.61	8776
2	W2489 ChB 220nm	85.498	61612871	79.31	460573
3	W2489 ChB 220nm	89.803	7616792	9.81	58569
4	W2489 ChB 220nm	123.582	7975354	10.27	50173

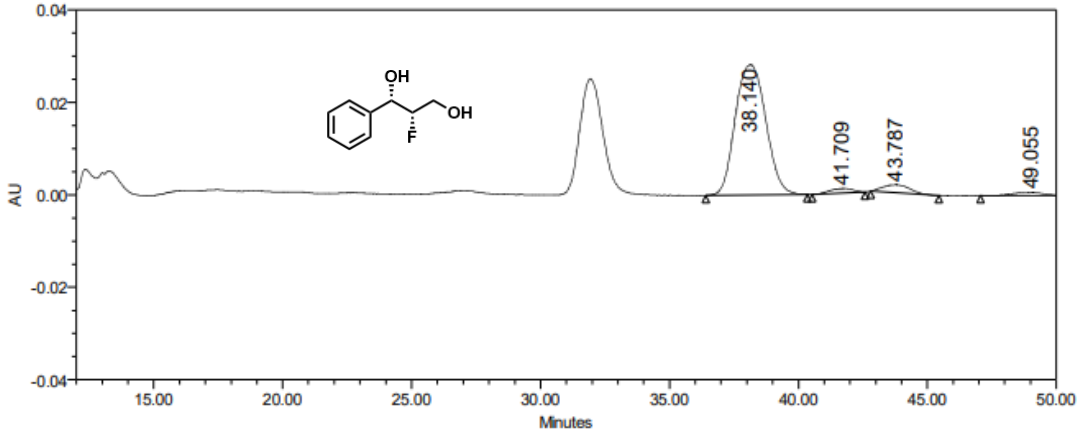
(1*S*,2*S*)-2-fluoro-1-phenylpropane-1,3-diol (5a):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4879; Processing Method: A1

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	36.279	2421167	35.14	31376
2	W2489 ChB 220nm	39.343	2399890	34.83	30205
3	W2489 ChB 220nm	41.506	1028754	14.93	11613
4	W2489 ChB 220nm	46.406	1040927	15.11	11392

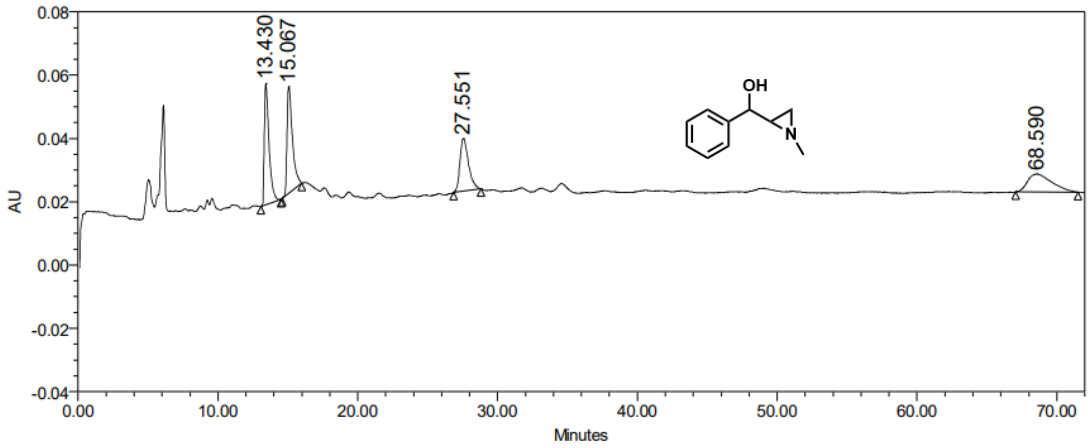


Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4888; Processing Method: A1

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	38.140	2319719	90.40	28170
2	W2489 ChB 220nm	41.709	63610	2.48	993
3	W2489 ChB 220nm	43.787	125867	4.91	1734
4	W2489 ChB 220nm	49.055	56829	2.21	631

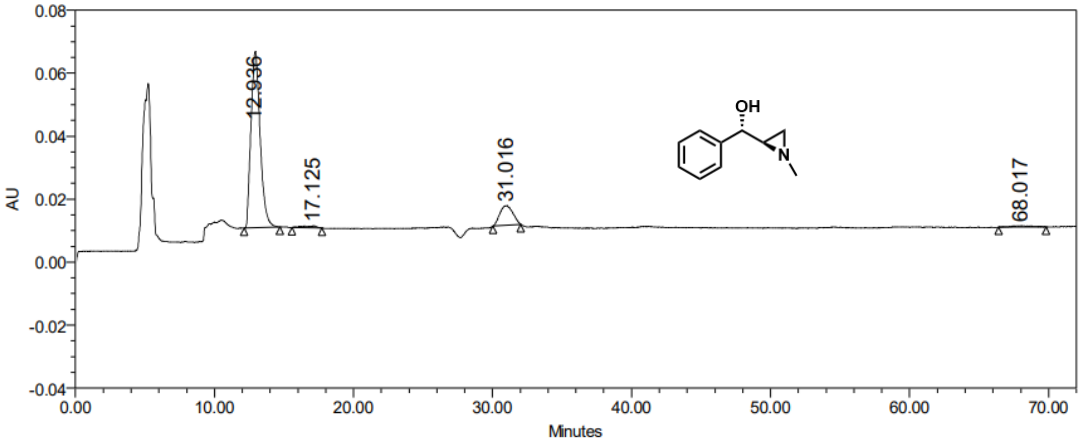
(*S*)-(*R*)-1-methylaziridin-2-yl)(phenyl)methanol (5b):



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4683; Processing Method: ys xxt

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	13.430	895817	28.01	38317
2	W2489 ChB 220nm	15.067	900982	28.18	33605
3	W2489 ChB 220nm	27.551	707927	22.14	16640
4	W2489 ChB 220nm	68.590	692950	21.67	5728



Channel: W2489 ChB; Processed Channel: W2489 ChB 220nm; Result Id: 4686; Processing Method: ys1

Processed Channel: W2489 ChB 220nm

	Processed Channel	Retention Time (min)	Area	% Area	Height
1	W2489 ChB 220nm	12.936	2557374	84.06	55947
2	W2489 ChB 220nm	17.125	44737	1.47	610
3	W2489 ChB 220nm	31.016	403087	13.25	6188
4	W2489 ChB 220nm	68.017	37257	1.22	376