Supporting information for:

# Metal-free, Visible-light Induced Enantioselective Three-component Dicarbofunctionalization and Oxytrifluoromethylation of Enamines via Chiral Phosphoric Acid Catalysis

Hui Liang, Dong-Sheng Ji, Guo-Qiang Xu, Yong-Chun Luo, Hai-Xue Zheng* and Peng-Fei Xu*

State Key Laboratory of Applied Organic Chemistry, College of Chemistry and Chemical Engineering, Lanzhou University, Lanzhou 730000, P.R. China.

Corresponding author: xupf@lzu.edu.cn, zhenghaixue@caas.cn

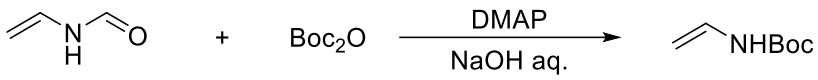
## Contents

## 1. General Information

All glassware was thoroughly oven-dried. Chemicals and solvents were either purchased from commercial suppliers or purified by standard techniques. Thin-layer chromatography (TLC) plates were visualized by exposure to ultraviolet light and/or staining with phosphomolybdic acid followed by heating on a hot plate. Flash chromatography was carried out using silica gel (200-300 mesh). $^{1}H$ NMR and $^{13}C$ NMR spectra were recorded on a Bruker AVANCE III 400 (400 MHz) and Bruker AVANCE NEO 600 (600 MHz). The spectra were recorded in $CDCl_3$ $CD_3OD$ and *d*$_6$-DMSO as solvent at room temperature, $^{1}H$ and $^{13}C$ NMR chemical shifts are reported in ppm relative to the residual solvent peak. The residual solvent signals were used as references and the chemical shifts were converted to the TMS scale ($CDCl_3$: $\delta_H$ = 7.26 ppm, $\delta_C$ = 77.00 ppm; *d*$_6$-DMSO: $\delta_H$ = 2.50 ppm, $\delta_C$ = 39.60 ppm). Data for $^{1}H$ NMR are reported as follows: chemical shift (δ ppm), multiplicity (s = singlet, d = doublet, t = triplet, q=quartet, m = multiplet, dd = doublet), integration, coupling constant (Hz) and assignment. Data for $^{13}C$ NMR are reported as chemical shift. HRMS were performed on a Bruker Apex II mass instrument (ESI). Enantiomeric excess values were determined by HPLC with Daicel Chirapak IA column on Agilent 1260 series with i-PrOH and n-hexane. Optical rotation was measured on the Perkin Elmer 341 polarimeter with [α]D values reported in degrees. Concentration (c) is in 0.1g/100 mL.

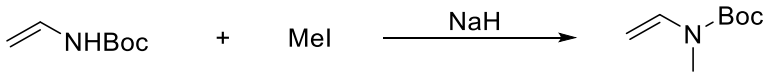
## 2. Synthesis of Substrates

**Synthesis of *tert*-butyl vinylcarbamate[1]:**




To a solution of N-vinylformamide (1.4 mL, 20 mmol) in THF (60 mL) were added $Boc_2O$ (5.24 g, 24 mmol) and DMAP (0.24 g, 2 mmol). The reaction mixture was stirred for 22 h under $N_2$ at room temperature, and the solvent was removed under reduced pressure. The residue was dissolved in THF (10 mL), and the mixture was added 2N NaOH (10 mL) at 0 ℃ for 20 min. the reaction mixture was left at 0 ℃ for 10 min and then stirred at room temperature for 5 h. the reaction mixture was diluted with water (20 mL) and extracted with MTBE (30 mL×3). The combined organic phase were washed with water and brine, and concentrated under reduced pressure. The crude mixture was purified by flash chromatography (silica gel, mixtures of petroleum/ethyl acetate) to afford the pure product.

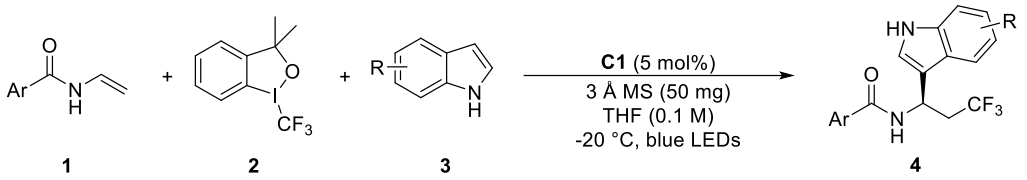
**Synthesis of *tert*-butyl methyl(vinyl)carbamate:**




A flask was charged with NaH (2.4 mmol) under $N_2$. Dry THF (15 mL) was added and cooled to 0 ℃. A solution of *tert*-butyl vinylcarbamate (286 mg, 2 mmol) dissolved in THF (5 mL) was added slowly and stirred for 20 min at 0 ℃. MeI (0.19 mL, 3 mmol) was added slowly dropwise. The reaction was warmed to room temperature and stirred for 16 h. upon completion, the reaction was quenched with $NH_4Cl$ aq. and extracted with EA. The combined organic layers were washed with brine and dried over $Na_2SO_4$. The crude mixture was purified by flash chromatography (silica gel, mixtures of petroleum/ethyl acetate) to afford the pure product.

## 3. General Procedure

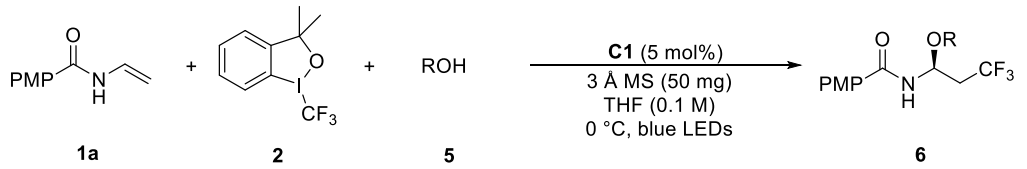
### 3.1 General procedure for the synthesis of product 4




1 2 3 4

A dried 10 mL reaction tube was charged with the catalyst **C1** (5 mol%, 3.8 mg), activated 3 Å molecular sieves (50 mg), **1** (0.1 mmol, 1.0 equiv), Togni-II **2** (0.15 mmol, 49.5 mg) and indole **3** (0.2 mmol, 2.0 equiv). Then THF (1.0 mL) was added via a syringe. The reaction mixture was degassed by three cycles of freeze-pump-thaw. After the mixture was thoroughly degassed, the reaction was then irradiated at -20 ℃ under blue LEDs. After completion of the reaction, the crude mixture was purified by flash chromatography (silica gel, mixtures of petroleum/ethyl acetate) to afford the pure product **4**.

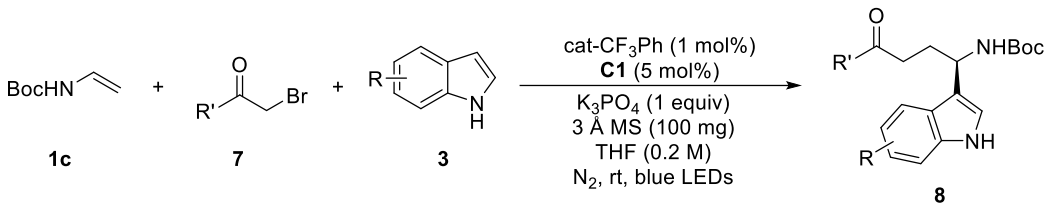
### 3.2 General procedure for the synthesis of product 6




1a 2 5 6

A dried 10 mL reaction tube was charged with the catalyst **C1** (5 mol%, 3.8 mg), activated 3 Å molecular sieves (50 mg), **1a** (0.1 mmol, 17.7 mg, 1.0 equiv), Togni-II **2** (0.15 mmol, 49.5 mg) and alcohols **3** (0.1 mmol, 1.0 equiv). Then THF (1.0 mL) was added via a syringe. The reaction mixture was degassed by three cycles of freeze-pump-thaw. After the mixture was thoroughly degassed, the reaction was then irradiated at 0 ℃ under blue LEDs. After completion of the reaction, the crude mixture was purified by flash chromatography (silica gel, mixtures of petroleum/ethyl acetate) to afford the pure product **6**.

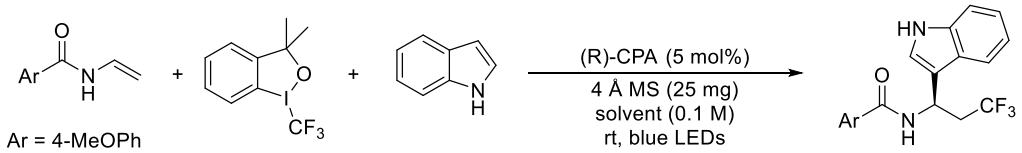
### 3.3 General procedure for the synthesis of product 8

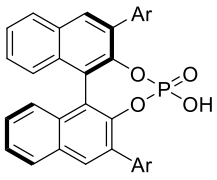



A dried 10 mL reaction tube was charged with the catalyst **C1** (5 mol%, 3.8 mg), cat-$CF_3$Ph (1 mmol, 0.4 mg), activated 3 Å molecular sieves (100 mg), enamine **1c** (0.2 mmol, 28.6 mg), **7** (0.1 mmol), indole **3** (0.15 mmol, 1.5 equiv) and $K_3PO_4$ (0.1 mmol, 21.2 mg, 1.0 equiv). Then THF (0.5 mL) was added via a syringe. The reaction mixture was degassed by three cycles of freeze-pump-thaw. After the mixture was thoroughly degassed, the reaction was then irradiated at room temperature under blue LEDs. After completion of the reaction, the crude mixture was purified by flash chromatography (silica gel, mixtures of petroleum/ethyl acetate) to afford the pure product **8**.

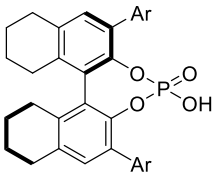
# 4. Screening of Reaction Conditions

## Table S1. Screening of the solvent and catalyst[a]

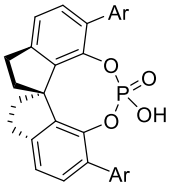


C1: Ar = 2,4,6-tri*i*Pr$_3$Ph
C2: Ar = 3,5-diMePh
C3: Ar = Ph$_3$Si
C4: Ar = 3,5-diCF$_3$Ph
C5: Ar = TCYP
C6: Ar = 2-naphthyl
C7: Ar = 9-anthracenyl
C8: Ar = 1-pyrene
C9: Ar = TPP



C10: Ar = 2,4,6-triMePh
C11: Ar = 3,5-diCF$_3$Ph
C12: Ar = 1-naphthyl



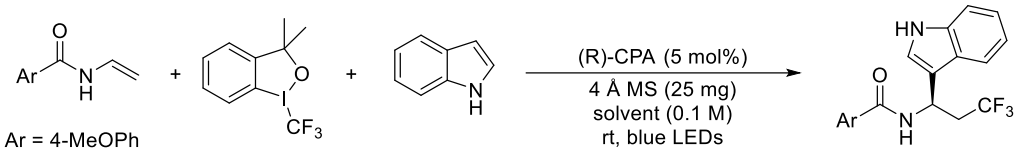
C13: Ar = 3,5-diCF$_3$Ph
C14: Ar = 2,4,6-*i*Pr$_3$Ph
C15: Ar = 3,5-di*t*Bu-4-MeOPh
C16: Ar = 9-phenanthrenyl

| Entry | (*R*)-CPA (5 mol%) | Solvent (0.1 M) | Yield (%)[b] | ee (%)[c] |
|---|---|---|---|---|
| 1 | C1 | $CH_2Cl_2$ | 46 | 86 |
| 2 | -- | $CH_2Cl_2$ | 11 | -- |
| 3[d] | C1 | $CH_2Cl_2$ | 0 | -- |
| 4[e] | C1 | $CH_2Cl_2$ | 35 | 82 |
| 5 | C1 | THF | 42 | 94 |
| 6 | C1 | DCE | 35 | 87 |
| 7 | C1 | toluene | trace | -- |
| 8 | C1 | MeCN | 31 | 73 |
| 9 | C1 | DMF | 0 | -- |
| 10 | C1 | 1,4-dioxane | 26 | 91 |
| 11 | C1 | acetone | 36 | 89 |
| 12 | C1 | EA | 32 | 91 |
| 13 | C1 | $Et_2O$ | 34 | 90 |
| 14 | C2 | THF | 17 | 23 |
| 15 | C3 | THF | 0 | -- |
| 16 | C4 | THF | 18 | 38 |
| 17 | C5 | THF | 28 | 88 |
| 18 | C6 | THF | 15 | 29 |
| 19 | C7 | THF | 17 | 91 |
| 20 | C8 | THF | 18 | 88 |
| 21 | C9 | THF | 16 | 94 |

| | | | | |
|---|---|---|---|---|
| 22 | C10 | THF | 18 | 91 |
| 23 | C11 | THF | 16 | 40 |
| 24 | C12 | THF | 36 | 87 |
| 25 | C13 | THF | 20 | 90 |
| 26 | C14 | THF | 0 | -- |
| 27 | C15 | THF | 0 | -- |
| 28 | C16 | THF | 31 | 89 |

[a]Reaction conditions: **1a** (0.1 mmol), **2** (0.12 mmol), **3a** (0.15 mmol), 4 Å MS (25 mg) and (*R*)-CPA (5 mol%) in a solvent (1.0 mL) at r.t. under irradiation of blue LEDs for 3 h. [b]Isolated yield after chromatography. [c] Determined by HPLC on a chiral stationary phase. [d]In the dark. [e]No 4 Å MS.

## Table S2. Screening of the reaction ratio[a]




**1a** **2** **3a** **4a**

| Entry | Reaction ratio | Yield (%)[b] | ee (%)[c] |
|---|---|---|---|
| 1 | 1 : 1.2 : 1.5 | 42 | 94 |
| 2 | 1 : 1.2 : 2 | 41 | 94 |
| 3 | 1 : 1.5: 1.5 | 42 | 94 |
| 4 | 1 : 1.5 : 2 | 44 | 94 |
| 5 | 1 : 2 : 1.5 | 42 | 94 |
| 6 | 1 : 2 : 2 | 44 | 94 |
| 7 | 2 : 1 : 2 | 39 | 94 |
| 8 | 1.5:1.5:1 | 37 | 94 |
| 9 | 2 : 2 : 1 | 39 | 94 |

[a]Reaction conditions: **1a** (x mmol), **2** (y mmol), **3a** (z mmol) , 4 Å MS (25 mg) and C1 (5 mol%) in THF (1.0 mL) at r.t. under irradiation of blue LEDs. [b]Isolated yield after chromatography. [c] Determined by HPLC on a chiral stationary phase.

## Table S3. Screening of the concentration and the loading of the catalyst[a]

| Entry | THF | Yield (%)[b] | ee (%)[c] |
|---|---|---|---|
| 1 | 0.5 ml | 44 | 94 |
| 2 | 2 ml | 36 | 94 |
| 3 | 3 ml | 32 | 95 |
| 4 | 4 ml | 23 | 94 |
| Entry | CPA | Yield (%)[b] | ee (%)[c] |
| 5 | 7.5 | 44 | 94 |
| 6 | 10 | 43 | 94 |
| 7 | 15 | 43 | 94 |

[a]Reaction conditions: **1a** (0.1 mmol), **2** (0.15 mmol), **3a** (0.2 mmol) , 4 Å MS (25 mg) and C1 in THF at r.t. under irradiation of blue LEDs for 3 h. [b]Isolated yield after chromatography. [c]Determined by HPLC

on a chiral stationary phase.

## Table S4. Screening of the MS[a]

| Entry | change | Yield (%)[b] | ee (%)[c] |
|---|---|---|---|
| 1 | 3Å MS | 47 | 94 |
| 2 | 5Å MS | 36 | 94 |
| 3 | $Mg_2SO_4$ | 45 | 94 |
| 4 | 3Å MS (50 mg ) | 50 | 94 |
| 5 | 3Å MS (100 mg ) | 50 | 94 |

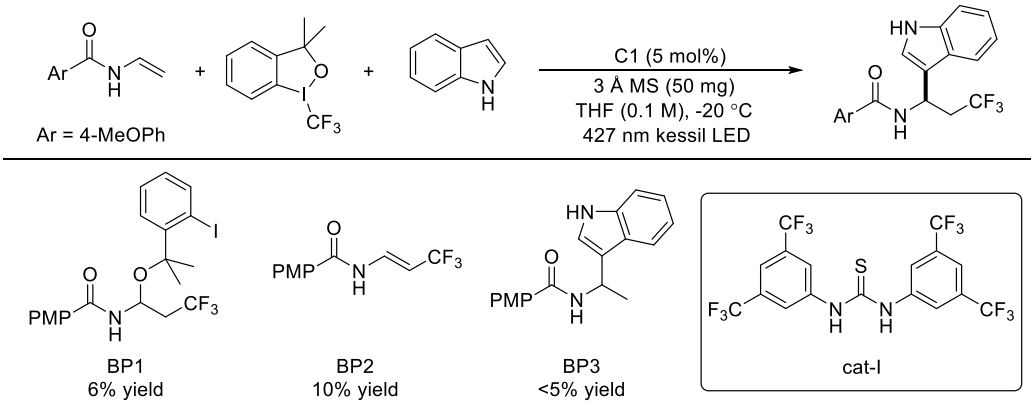
[a]Reaction conditions: **1a** (0.1 mmol), **2** (0.15 mmol), **3a** (0.2 mmol) , MS and C1 (5 mol%) in THF (1 mL) at r.t. under irradiation of blue LEDs for 3 h. [b]Isolated yield after chromatography. [c]Determined by HPLC on a chiral stationary phase.

## Table S5. Screening of the additive and reaction temperature[a]

| Entry | change | Yield (%)[b] | ee (%)[c] |
|---|---|---|---|
| 1 | $NaHCO_3$ (1 eq.) | 42 | 94 |
| 2 | $K_3PO_4$ (1 eq.) | 0 | -- |
| 3 | $K_2CO_3$ (1 eq.) | 0 | -- |
| 4 | $PMPCO_2H$ (1 eq.) | 48 | 94 |
| 5 | DIPEA (1 eq.) | 0 | -- |
| 6 | 2,6-lutidine (1 eq.) | tarce | -- |
| 7 | -20 ℃ | 54 | 96 |
| 8 | -30 ℃ | 48 | 95 |

[a]Reaction conditions: **1a** (0.1 mmol), **2** (0.15 mmol), **3a** (0.2 mmol) , 3Å MS (50 mg) and C1 (5 mol%) in THF (1 mL) under irradiation of blue LEDs for 3 h. [b]Isolated yield after chromatography. [c]Determined by HPLC on a chiral stationary phase.

## Table S6. Further optimization[a]



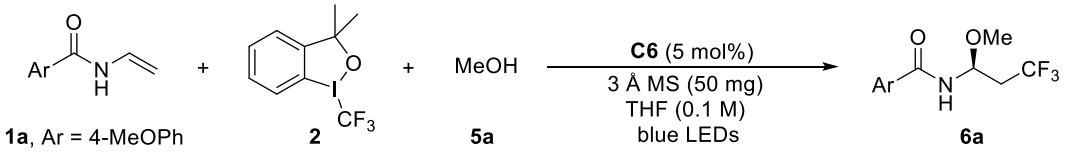

| Entry | Change/additives | Yield (%)[b] | ee (%)[c] |
|---|---|---|---|
| 1 | $Ac_2O$ (1 equiv.) | 51 | 96 |
| 2 | $Boc_2O$ (1 equiv.) | 51 | 96 |
| 3 | Acetyl chloride (1 equiv.) | 52 | 95 |

| | | | |
|---|---|---|---|
| 4 | $K_3PO_4$ (1 equiv.) | 0 | -- |
| 5 | $K_2CO_3$ (1 equiv.) | 0 | -- |
| 6 | NaOAc (1 equiv.) | 0 | -- |
| 7 | AcOH (1 equiv.) | 48 | 95 |
| 8 | propanoic acid (1 equiv.) | 50 | 93 |
| 9 | TFA (1 equiv.) | 50 | 80 |
| 10 | Cat-I (20 mol%) | 49 | 84 |
| 11 | $Al_2O_3$ instead of 3 Å MS | 49 | 95 |
| 12 | 390 nm kessil LED | 46 | 96 |

[a]Reaction conditions: **1a** (0.1 mmol), **2** (0.15 mmol), **3a** (0.2 mmol) , 3Å MS (50 mg) and C1 (5 mol%) in THF (1 mL) under irradiation of 427 nm kessil LEDs for 3 h. [b]Isolated yield after chromatography. [c]Determined by HPLC on a chiral stationary phase.

Under the standard conditions, the side products were determined as BP1 in 6% yield, BP2 in 10% yield and BP3 in less than 5% yield. In addition, the enamine could decomposed under acidic conditions to generate the amide which could be detected by GCMS. In order to increase the yield, we carried out a series of reactions for condition optimization. We first added anhydrides and acyl chloride to the reaction mixture to remove the alcohol generated from Togni-II, but no change in yield was observed. (Entries 1-3) Then different bases were added and no products were obtained, probably because the hydrogen bond was destroyed. (Entries 4-6) Similarly, the addition of acids did not increase the yield, either, and the strong acids reduced the enantioselectivity of the product. (Entries 7-9) Furthermore, when thiourea was used as the co-catalyst, there was no promotion for the yield and lower enantioselectivity was observed (Entry 10). Finally, when we tested the 390 nm LED, no obvious change in yield was observed (Entry 12).

## Table S7. Optimization of oxytrifluoromethylation reaction[a]

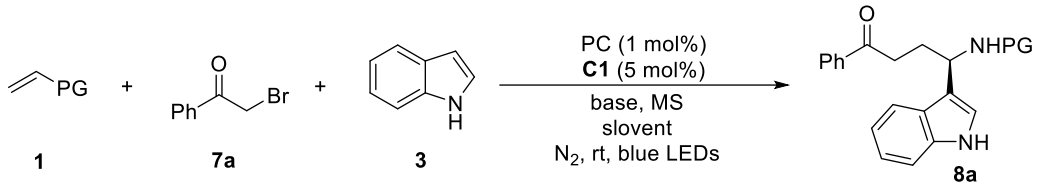


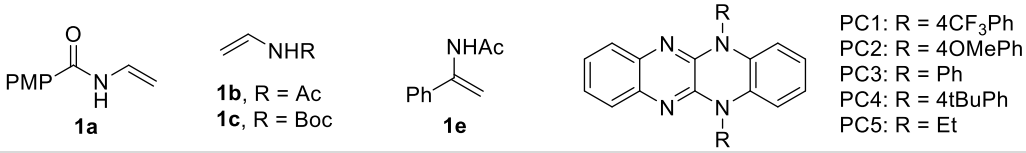

**1a**, Ar = 4-MeOPh **2** **5a** **6a**

| Entry | **5a** | T | Yield (%)[b] | ee (%)[c] |
|---|---|---|---|---|
| 1 | 0.5 mmol | r.t. | 69 | 83 |
| 2 | 0.2 mmol | r.t. | 67 | 86 |
| 3 | 0.1 mmol | r.t. | 69 | 89 |
| 4 | 1 ml (solvent) | r.t. | 72 | 0 |
| 5 | 0.1 mmol | 0 ºC | 69 | 89 |
| 6 | 0.1 mmol | -20 ºC | 0 | -- |

[a]Reaction conditions: **1a** (0.1 mmol), **2** (0.15 mmol), **5a** (n mmol) , 3Å MS (50 mg) and C1 (5 mol%) in THF (1 mL) under irradiation of blue LEDs for 3 h. [b]Isolated yield after chromatography. [c] Determined by HPLC on a chiral stationary phase.

## Table S8. Optimization for the synthesis chiral γ-amino acid derivatives[a]

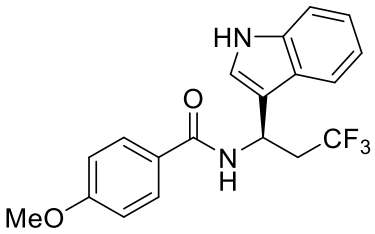



| Entry | **PC** | **1** | base | Solvent | Yield (%)[b] | ee (%)[c] |
|---|---|---|---|---|---|---|
| 1 | -- | 1a | $K_3PO_4$ | THF (0.1 M) | 0 | 0 |
| 2 | 4CzIPN | 1a | $K_3PO_4$ | THF | 34 | 91 |
| 3 | 4CzIPN | 1b | $K_3PO_4$ | THF | 0 | -- |
| 4 | 4CzIPN | 1c | $K_3PO_4$ | THF | 37 | 96 |
| 5 | 4CzIPN | 1e | $K_3PO_4$ | THF | 0 | -- |
| 6 | 4CzIPN | 1c | $K_2CO_3$ | THF | 30 | 94 |
| 7 | 4CzIPN | 1c | $NaHCO_3$ | THF | 45 | 94 |
| 8 | $Ir(ppy)_2(dtbbpy)PF_6$ | 1c | $K_3PO_4$ | THF | 24 | 96 |
| 9 | *fac*-$Ir(ppy)_3$ | 1c | $K_3PO_4$ | THF | 11 | 96 |
| 10 | $Ru(bpy)_3(PF_6)_2$ | 1c | $K_3PO_4$ | THF | 23 | 96 |
| 11 | Cat-$CF_3$Ph (PC1) | 1c | $K_3PO_4$ | THF | 49 | 96 |
| 12 | Cat-PMP (PC2) | 1c | $K_3PO_4$ | THF | 42 | 96 |
| 13 | Cat-Ph (PC3) | 1c | $K_3PO_4$ | THF | 38 | 95 |
| 14 | Cat-tBuPh (PC4) | 1c | $K_3PO_4$ | THF | 42 | 96 |
| 15 | Cat-Et (PC5) | 1c | $K_3PO_4$ | THF | 17 | 95 |
| 16 | Cat-$CF_3$Ph (PC1) | 1c | $K_3PO_4$ | $CH_2Cl_2$ | 0 | -- |
| 17 | Cat-$CF_3$Ph (PC1) | 1c | $K_3PO_4$ | DCE | 0 | -- |
| 18 | Cat-$CF_3$Ph (PC1) | 1c | $K_3PO_4$ | toluene | 0 | -- |
| 19 | Cat-$CF_3$Ph (PC1) | 1c | $K_3PO_4$ | MeCN | 0 | -- |
| 20 | Cat-$CF_3$Ph (PC1) | 1c | $K_3PO_4$ | 1,4-dioxane | 35 | 96 |
| 21 | Cat-$CF_3$Ph (PC1) | 1c | $K_3PO_4$ | DMF | 0 | -- |
| 22 | Cat-$CF_3$Ph (PC1) | 1c | $K_3PO_4$ | THF (0.05 M) | trace | -- |
| 23 | Cat-$CF_3$Ph (PC1) | 1c | $K_3PO_4$ | THF (0.2 M) | 60 | 96 |
| 24[d] | Cat-$CF_3$Ph (PC1) | 1c | $K_3PO_4$ | THF (0.2 M) | 29 | 87 |
| 25[e] | Cat-$CF_3$Ph (PC1) | 1c | $K_3PO_4$ | THF (0.2 M) | 41 | 96 |
| 26[f] | Cat-$CF_3$Ph (PC1) | 1c | $K_3PO_4$ | THF (0.2 M) | 34 | 93 |
| 27[g] | Cat-$CF_3$Ph (PC1) | 1c | $K_3PO_4$ | THF (0.2 M) | 65 | 96 |

[a]Reaction conditions: **1** (0.2 mmol), **7a** (0.1 mmol), **3a** (0.15 mmol) , 3Å MS (25 mg) and C1 (5 mol%) in solvent under irradiation of blue LEDs for 12 h. [b]Isolated yield after chromatography. [c]Determined by HPLC on a chiral stationary phase. [d]under 0 °C. [e]10 mol% C6 was used. [f]C9 was used. [g]100 mg 3Å MS was used.

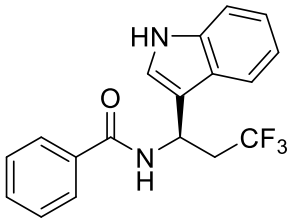
## 5. Experimental and Characterization Data of Products




**(*R*)-4-methoxy-*N*-(3,3,3-trifluoro-1-(1*H*-indol-3-yl)propyl)benzamide (4a)**

Following the general procedure, compound **4a** was obtained as a white solid (19.6 mg, yield: 54%); mp = 220-222°C; $^{1}$H NMR (400 MHz, DMSO-$d_6$): δ 11.04 (s, 1H), 8.68 (d, $J$ = 8.8 Hz, 1H), 7.86-7.84 (m, 2H), 7.66-7.64 (m, 1H), 7.40-7.36 (m, 2H), 7.11-7.07 (m, 1H), 7.00-6.97 (m, 3H), 5.85 (dt, $J$ = 2.8 Hz, 8.0 Hz, 1H), 3.79 (s, 3H), 3.20-2.95 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.7; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 164.7, 161.7, 136.4, 129.2, 128.4, 126.83 (q, $J$ = 276 Hz), 126.76, 125.7, 122.9, 122.7, 121.4, 118.9, 118.7, 115.3, 113.5, 111.7, 55.4, 40.1 (d, $J$ = 3 Hz), 37.6 (q, $J$ = 26 Hz); HRMS (ESI) for $C_{19}H_{18}F_3N_2O_2$ $[M+H]^+$ calcd. 363.1242, found 363.1316；

Enantiomeric excess established by HPLC analysis using a Chiralpak IA column, ee = 96% (HPLC: IA, 230 nm, hexane/isopropanol = 80:20, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 11.5 min, $t_r$ (minor) = 22.6 min.) $[\alpha]_D^{25}$ = -6.00 (*c* 1.0, MeOH).

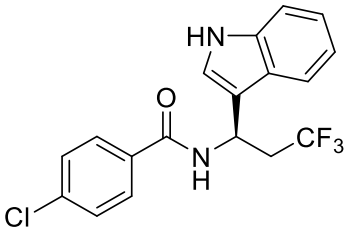



**(*R*)-*N*-(3,3,3-trifluoro-1-(1*H*-indol-3-yl)propyl)benzamide (4b)**

Following the general procedure, compound **4b** was obtained as a white solid (17.1 mg, yield: 51%); mp = 168-170°C; $^{1}$H NMR (400 MHz, DMSO-$d_6$): δ 11.05 (s, 1H), 8.85 (d, $J$ = 8.4 Hz, 1H), 7.87-7.85 (m, 2H), 7.68-7.66 (m, 1H), 7.53-7.49 (m, 1H), 7.47-7.42 (m, 3H), 7.40-7.38 (m, 1H), 7.12-7.08 (m, 1H), 7.02-6.98 (m, 1H), 5.87 (dt, $J$ = 4.0 Hz, 9.2 Hz, 1H), 3.22-2.96 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.7; $^{13}$C NMR

(100 MHz, DMSO-$d_6$): δ 165.4, 136.4, 134.6, 128.3, 127.3, 126.8(q, $J$ = 276 Hz), 125.7, 122.9, 121.4, 118.9, 118.7, 115.2, 111.7, 37.6 (q, $J$ = 26 Hz); HRMS (ESI) for $C_{18}H_{16}F_3N_2O$ $[M+H]^+$ calcd. 333.1209, found 333.1209；

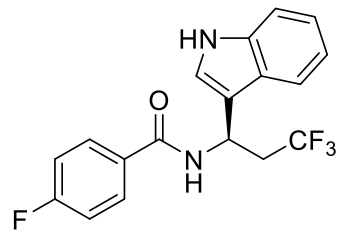
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 98% (HPLC: IF-3, 280 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 14.9 min, $t_r$ (minor) = 24.8 min.) $[\alpha]_D^{25}$ = 16.00 (*c* 1.0, MeOH).




**(*R*)-4-chloro-*N*-(3,3,3-trifluoro-1-(1*H*-indol-3-yl)propyl)benzamide (4c)**

Following the general procedure, compound **4c** was obtained as a white solid (19.6 mg, yield: 53%); mp = 196-198°C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 11.04 (s, 1H), 8.91 (d, $J$ = 8.8 Hz, 1H), 7.88-7.84 (m, 2H), 7.63-7.62 (m, 1H), 7.55-7.51 (m, 2H), 7.42-7.41 (m, 1H), 7.38-7.36 (m, 1H), 7.11-7.07 (m, 1H), 7.01-6.97 (m, 1H), 5.82 (dt, $J$ = 4.0 Hz, 9.2 Hz, 1H), 3.19-2.95 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -63.4; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 164.4, 136.4, 136.1, 133.2, 129.3, 128.4, 126.7(q, $J$ = 276 Hz), 125.6, 123.0, 121.4, 118.9, 118.6, 114.9, 111.7, 40.3 (d, $J$ = 3 Hz), 37.4 (q, $J$ = 26 Hz); HRMS (ESI) for $C_{18}H_{15}F_3ClN_2O$ $[M+H]^+$ calcd. 367.0820, found 367.0822；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 97% (HPLC: IF-3, 290 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 12.9 min, $t_r$ (minor) = 1.93 min.) $[\alpha]_D^{25}$ = 2.00 (*c* 1.0, MeOH).

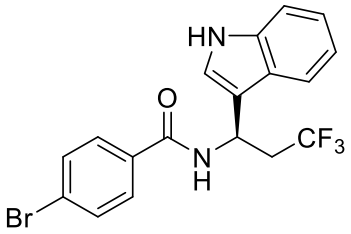



**(*R*)-4-fluoro-*N*-(3,3,3-trifluoro-1-(1*H*-indol-3-yl)propyl)benzamide (4d)**

Following the general procedure, compound **4d** was obtained as a white solid (16.7 mg,

yield: 47%); mp = 201-203°C; $^{1}$H NMR (400 MHz, DMSO-$d_6$): δ 11.06 (s, 1H), 8.88 (d, $J$ = 8.8 Hz, 1H), 7.95-7.91 (m, 2H), 7.66-7.64 (m, 1H), 7.43 (d, $J$ = 2.0 Hz,, 1H), 7.39-7.37 (m, 1H), 7.31-7.27 (m, 2H), 7.11-7.08 (m, 1H), 7.01-6.98 (m, 1H), 5.85 (dt, $J$ = 3.6 Hz, 9.2 Hz, 1H), 3.21-2.96 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.7, -109.4; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 164.4, 164.0 (d, $J$ = 247 Hz), 136.4, 131.0 (d, $J$ = 3 Hz), 130.0 (d, $J$ = 9 Hz), 126.8 (q, $J$ = 276 Hz), 125.7, 123.0, 121.4, 118.9, 118.6, 115.3 (d, $J$ = 22 Hz), 115.0, 111.7, 40.3 (d, $J$ = 3 Hz), 37.5 (q, $J$ = 25 Hz); HRMS (ESI) for $C_{18}H_{15}F_4N_2O$ $[M+H]^+$ calcd. 351.1115, found 351.1116；

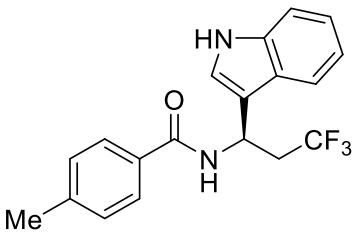
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 97% (HPLC: IF-3, 290 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 $^{o}$C, $t_r$ (major) = 11.8 min, $t_r$ (minor) = 17.6 min.) $[\alpha]_D^{25}$ = 20.00 (*c* 1.0, $CH_2Cl_2$).




**(*R*)-4-bromo-*N*-(3,3,3-trifluoro-1-(1*H*-indol-3-yl)propyl)benzamide (4e)**

Following the general procedure, compound **4e** was obtained as a white solid (16.8 mg, yield: 41%); mp = 166-168°C; $^{1}$H NMR (400 MHz, DMSO-$d_6$): δ 11.07 (s, 1H), 8.94 (d, $J$ = 8.8 Hz, 1H), 7.81-7.79 (m, 2H), 7.69-7.66 (m, 2H), 7.64-7.62 (m, 1H), 7.43-7.42 (m, 1H), 7.39-7.37 (m, 1H), 7.11-7.07 (m, 1H), 7.01-6.97 (m, 1H), 5.84 (dt, $J$ = 4.0 Hz, 9.2 Hz, 1H), 3.20-2.96 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.7; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 164.5, 136.4, 133.6, 131.4, 129.5, 126.8 (q, $J$ = 276 Hz), 125.7, 125.1, 123.0, 121.4, 118.9, 118.6, 114.9, 111.7, 40.3 (d, $J$ = 3 Hz), 37.4 (q, $J$ = 26 Hz); HRMS (ESI) for $C_{18}H_{15}F_3BrN_2O$ $[M+H]^+$ calcd. 411.0314, found 411.0315；

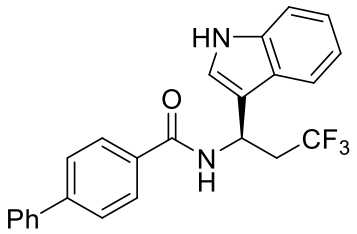
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 96% (HPLC: IF-3, 290 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 $^{o}$C, $t_r$ (major) = 14.8 min, $t_r$ (minor) = 22.8 min.) $[\alpha]_D^{25}$ = -3.00 (*c* 1.0, MeOH).




**(*R*)-4-methyl-*N*-(3,3,3-trifluoro-1-(1*H*-indol-3-yl)propyl)benzamide (4f)**

Following the general procedure, compound **4f** was obtained as a white solid (14.9 mg, yield: 43%); mp = 222-224°C; $^{1}$H NMR (400 MHz, DMSO-$d_6$): δ 11.04 (s, 1H), 8.75 (d, $J$ = 8.8 Hz, 1H), 7.77-7.75 (m, 2H), 7.66-7.64 (m, 1H), 7.41-7.36 (m, 2H), 7.26-7.24 (m, 2H), 7.11-7.07 (m, 1H), 7.01-6.97 (m, 1H), 5.85 (dt, $J$ = 4.0 Hz, 9.2 Hz, 1H), 3.21-2.94 (m, 2H), 2.33 (s, 3H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.7; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 165.3, 141.2, 136.4, 131.8, 128.9, 127.4, 126.8 (q, $J$ = 276 Hz), 125.7, 122.9, 121.4, 118.9, 118.7, 115.3, 111.7, 40.2 (d, $J$ = 3 Hz), 37.6 (q, $J$ = 26 Hz), 21.0; HRMS (ESI) for $C_{19}H_{18}F_3N_2O$ $[M+H]^+$ calcd. 347.1366, found 347.1367；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 95% (HPLC: IF-3, 290 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 $^{o}$C, $t_r$ (major) = 18.7 min, $t_r$ (minor) = 37.0 min.) $[\alpha]_D^{25}$ = 6.00 (*c* 1.0, MeOH).

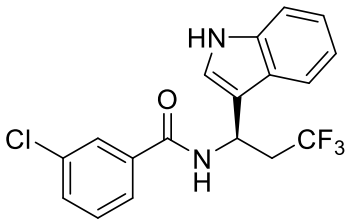



**(*R*)-*N*-(3,3,3-trifluoro-1-(1*H*-indol-3-yl)propyl)-[1,1'-biphenyl]-4-carboxamide (4g)**

Following the general procedure, compound **4g** was obtained as a white solid (14.8 mg, yield: 36%); mp = 196-198°C; $^{1}$H NMR (400 MHz, DMSO-$d_6$): δ 11.06 (s, 1H), 8.90 (d, $J$ = 8.8 Hz, 1H), 7.98-7.95 (m, 2H), 7.77-7.75 (m, 2H), 7.72-7.67 (m, 3H), 7.50-7.46 (m, 2H), 7.44-7.43 (m, 1H), 7.41-7.38 (m, 2H), 7.12-7.08 (m, 1H), 7.02-6.99 (m, 1H), 5.89 (dt, $J$ = 4.0 Hz, 9.2 Hz, 1H), 3.24-2.97 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.7; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 165.5, 143.3, 139.7, 136.8, 133.7, 129.5, 128.5, 128.4, 127.3, 127.2 (q, $J$ = 276 Hz), 127.0, 126.1, 123.3, 121.8, 119.3, 119.1, 115.6, 112.1, 37.9 (q, $J$ = 26 Hz); HRMS (ESI) for $C_{24}H_{20}F_3N_2O$ $[M+H]^+$ calcd.

409.1522, found 409.1524；

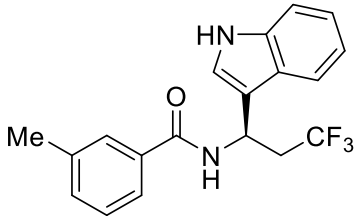
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 95% (HPLC: IF-3, 290 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 $^{o}$C, $t_r$ (major) = 23.9 min, $t_r$ (minor) = 49.3 min.) $[\alpha]_D^{25}$ = -27.00 (*c* 1.0, MeOH).




**(*R*)-3-chloro-*N*-(3,3,3-trifluoro-1-(1*H*-indol-3-yl)propyl)benzamide (4h)**

Following the general procedure, compound **4h** was obtained as a white solid (12.0 mg, yield: 33%); mp = 154-156°C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 11.07 (s, 1H), 8.98 (d, *J* = 8.8 Hz, 1H), 7.89-7.88 (m, 1H), 7.83-7.81 (m, 1H), 7.64-7.62 (m, 1H), 7.60-7.58 (m, 1H), 7.51-7.48 (m, 1H), 7.44-7.43 (m, 1H), 7.39-7.37 (m, 1H), 7.11-7.07 (m, 1H), 7.01-6.98 (m, 1H), 5.83 (dt, *J* = 4.0 Hz, 9.2 Hz, 1H), 3.20-2.97 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.7; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 163.9, 136.44, 136.38, 133.3, 131.2, 130.4, 127.0, 126.8 (q, *J* = 276 Hz), 126.2, 125.6, 123.0, 121.4, 118.9, 118.6, 114.8, 111.7, 40.4 (d, *J* = 3 Hz), 37.4 (q, *J* = 26 Hz); HRMS (ESI) for $C_{18}H_{15}F_3ClN_2O$ $[M+H]^+$ calcd. 367.0820, found 367.0818；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 96% (HPLC: IF-3, 290 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 $^{o}$C, $t_r$ (major) = 10.8 min, $t_r$ (minor) = 14.8 min.) $[\alpha]_D^{25}$ = 10.00 (*c* 1.0, MeOH).

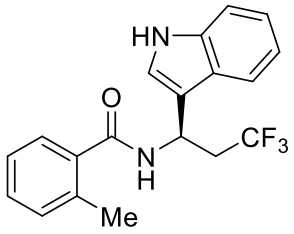



**(*R*)-3-methyl-*N*-(3,3,3-trifluoro-1-(1*H*-indol-3-yl)propyl)benzamide (4i)**

Following the general procedure, compound **4i** was obtained as a white solid (18.0 mg,

yield: 52%); mp = 137-139°C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 11.06 (s, 1H), 8.80 (d, $J$ = 8.8 Hz, 1H), 7.68-7.65 (m, 3H), 7.43-7.42 (m, 1H), 7.40-7.38 (m, 1H), 7.34-7.31 (m, 2H), 7.12-7.08 (m, 1H), 7.02-6.98 (m, 1H), 5.88 (dt, $J$ = 4.0 Hz, 9.2 Hz, 1H), 3.22-2.96 (m, 2H), 2.34 (s, 3H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.7; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 165.5, 137.6, 136.4, 134.6, 131.8, 128.2, 127.8, 126.8 (q, $J$ = 276 Hz), 125.7, 124.6, 122.9, 121.4, 118.9, 118.7, 115.2, 116.7, 40.2 (d, $J$ = 3 Hz), 37.5 (q, $J$ = 26 Hz), 21.0; HRMS (ESI) for $C_{19}H_{18}F_3N_2O$ $[M+H]^+$ calcd. 347.1366, found 3647.1366；

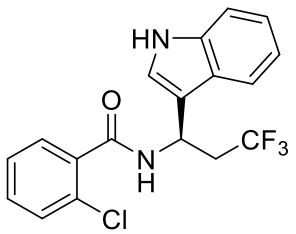
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 94% (HPLC: IF-3, 290 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 13.3 min, $t_r$ (minor) = 20.6 min.) $[\alpha]_D^{25}$ = -17.00 (*c* 1.0, MeOH).




**(*R*)-2-methyl-*N*-(3,3,3-trifluoro-1-(1*H*-indol-3-yl)propyl)benzamide (4j)**

Following the general procedure, compound **4j** was obtained as a white solid (18.0 mg, yield: 52%); mp = 191-193°C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 11.03 (s, 1H), 8.72 (d, $J$ = 8.8 Hz, 1H), 7.70-7.69 (m, 1H), 7.40-7.38 (m, 2H), 7.32-7.28 (m, 1H), 7.22-7.21 (m, 3H), 7.13-7.10 (m, 1H), 7.05-7.01 (m, 1H), 5.80 (dt, $J$ = 4.4 Hz, 8.8 Hz, 1H), 3.06-2.96 (m, 2H), 2.28 (s, 3H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.6; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 168.1, 137.2, 136.4, 135.3, 130.4, 129.3, 127.8, 126.9, 126.8 (q, $J$ = 276 Hz), 125.6, 125.5, 122.7, 121.5, 118.8, 118.7, 115.2, 111.7, 37.6 (q, $J$ = 26 Hz), 19.2; HRMS (ESI) for $C_{19}H_{18}F_3N_2O$ $[M+H]^+$ calcd. 347.1366, found 347.1367；

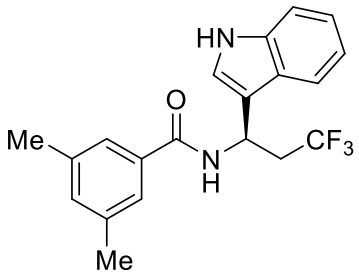
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 97% (HPLC: IF-3, 290 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 22.1 min, $t_r$ (minor) = 20.7 min.) $[\alpha]_D^{25}$ = 5.00 (*c* 1.0, MeOH).




**(*R*)-2-chloro-*N*-(3,3,3-trifluoro-1-(1*H*-indol-3-yl)propyl)benzamide (4k)**

Following the general procedure, compound **4k** was obtained as a white solid (10.4 mg, yield: 28%); mp = 190-192°C; $^{1}$H NMR (400 MHz, DMSO-$d_6$): δ 11.03 (s, 1H), 8.93 (d, $J$ = 8.4 Hz, 1H), 7.70-7.68 (m, 1H), 7.48-7.44 (m, 1H), 7.43-7.35 (m, 4H), 7.32-7.29 (m, 1H), 7.14-7.10 (m, 1H), 7.05-7.01 (m, 1H), 5.76 (dt, $J$ = 5.6 Hz, 8.4 Hz, 1H), 3.05-2.93 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.6; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 165.3, 136.9, 136.4, 130.8, 130.0, 129.7, 128.7, 127.1, 126.7 (q, $J$ = 276 Hz), 122.9, 121.5, 118.82, 118.76, 114.6, 111.6, 37.6 (q, $J$ = 26 Hz); HRMS (ESI) for $C_{18}H_{15}ClF_3N_2O$ $[M+H]^+$ calcd. 367.0820, found 367.0822；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 96% (HPLC: IF-3, 280 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 $^{o}$C, $t_r$ (major) = 19.3 min, $t_r$ (minor) = 22.3 min.) $[\alpha]_D^{25}$ = 4.00 (*c* 1.0, MeOH).

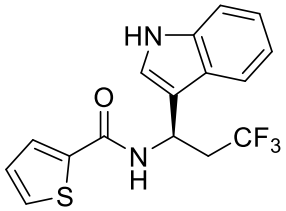



**(*R*)-3,5-dimethyl-*N*-(3,3,3-trifluoro-1-(1*H*-indol-3-yl)propyl)benzamide (4l)**

Following the general procedure, compound **4l** was obtained as a white solid (21.3 mg, yield: 59%); mp = 178-180°C; $^{1}$H NMR (400 MHz, DMSO-$d_6$): δ 11.05 (s, 1H), 8.73 (d, $J$ = 8.4 Hz, 1H), 7.66-7.64 (m, 1H), 7.47 (s, 1H), 7.42-7.37 (m, 2H), 7.13-7.08 (m, 2H), 7.01-6.97 (m, 1H), 5.86 (dt, $J$ = 2.4 Hz, 5.6 Hz, 1H), 3.21-2.96 (m, 2H), 2.30 (s, 6H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.7; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 165.6, 137.4, 136.4, 134.6, 132.5, 126.8 (q, $J$ = 276 Hz), 125.7, 125.1, 122.9, 121.4, 118.9, 118.7, 115.6, 111.6, 37.5 (q, $J$ = 26 Hz), 20.9; HRMS (ESI) for $C_{20}H_{20}F_3N_2O$

$[M+H]^+$ calcd. 361.1522, found 361.1523；

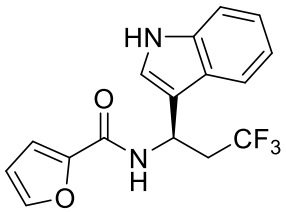
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 93% (HPLC: IF-3, 290 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 $^oC$, $t_r$ (major) = 9.9 min, $t_r$ (minor) = 14.6 min.) $[\alpha]_D^{25}$ = 10.00 (*c* 1.0, MeOH).




**(*R*)-*N*-(3,3,3-trifluoro-1-(1*H*-indol-3-yl)propyl)thiophene-2-carboxamide (4m)**

Following the general procedure, compound **4m** was obtained as a colorless oil (15.0 mg, yield: 45%); mp = 132-134°C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 11.07 (s, 1H), 8.83 (d, $J$ = 8.8 Hz, 1H), 7.76-7.73 (m, 2H), 7.64-7.62 (m, 1H), 7.43-7.42 (m, 1H), 7.39-7.37 (m, 1H), 7.13-7.07 (m, 2H), 7.01-6.97 (m, 1H), 5.78 (dt, $J$ = 4.4 Hz, 8.8 Hz, 1H), 3.18-2.97 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.7; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 160.2, 139.3, 136.4, 131.1, 128.2, 127.9, 126.8 (q, $J$ = 276 Hz), 125.7, 123.0, 121.5, 118.9, 118.7, 114.9, 111.7, 40.1 (d, $J$ = 3 Hz), 37.4 (q, $J$ = 26 Hz); HRMS (ESI) for $C_{16}H_{13}F_3N_2OSNa$ $[M+Na]^+$ calcd. 361.0593, found 361.0593；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 93% (HPLC: IF-3, 290 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 $^oC$, $t_r$ (major) = 13.6 min, $t_r$ (minor) = 22.2 min.) $[\alpha]_D^{25}$ = 5.00 (*c* 1.0, MeOH).

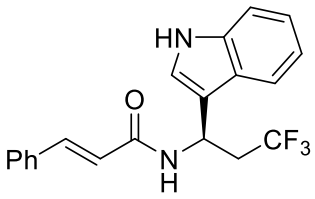



**(*R*)-*N*-(3,3,3-trifluoro-1-(1*H*-indol-3-yl)propyl)furan-2-carboxamide (4n)**

Following the general procedure, compound **4n** was obtained as a colorless oil (19.3 mg, yield: 66%); mp = 96-98 °C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 11.04 (s, 1H), 8.73 (d, $J$ = 8.8 Hz, 1H), 7.81-7.80 (m, 1H), 7.63-7.61 (m, 1H), 7.39-7.35 (m, 2H), 7.11-7.06

(m, 2H), 7.00-6.96 (m, 1H), 6.60 (dd, $J$ = 1.6 Hz, 3.2Hz, H), 5.77 (dt, $J$ = 3.6 Hz, 8.8 Hz, 1H), 3.23-3.09 (), 3.06-2.93 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.7; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 156.8, 147.8, 145.0, 136.3, 126.7 (q, $J$ = 276 Hz), 125.6, 123.0, 121.4, 118.9, 118.6, 114.9, 113.7, 111.9, 111.6, 37.3 (q, $J$ = 26 Hz); HRMS (ESI) for $C_{16}H_{13}F_3N_2O_2Na$ $[M+Na]^+$ calcd. 345.0821, found 345.0824；

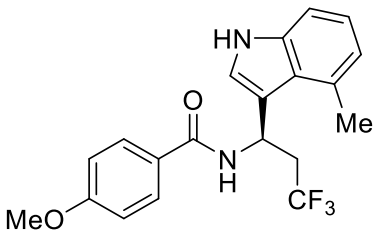
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 96% (HPLC: IF-3, 290 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 13.6 min, $t_r$ (minor) = 22.9 min.) $[\alpha]_D^{25}$ = 3.00 (*c* 1.0, MeOH).




**(*R*)-*N*-(3,3,3-trifluoro-1-(1*H*-indol-3-yl)propyl)cinnamamide (4o)**

Following the general procedure, compound **4o** was obtained as a colorless oil (10.6 mg, yield: 30%); mp = 95-97°C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 11.06 (s, 1H), 8.51 (d, $J$ = 8.4 Hz, 1H), 7.60-7.58 (m, 1H), 7.55-7.54 (m, 2H), 7.48 (m, $J$ = 15.6 Hz, 1H), 7.43-7.36 (m, 5H), 7.12-7.08 (m, 1H), 7.02-6.98 (m, 1H), 6.63 (d, $J$ = 15.6 Hz, 1H), 5.70 (dt, $J$ = 5.6 Hz, 8.8 Hz, 1H), 3.09-2.89 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.5; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 164.0, 139.2, 136.4, 134.9, 129.5, 129.0, 127.6, 126.6 (q, $J$ = 276 Hz), 125.6, 122.9, 122.1, 121.5, 118.9, 118.7, 114.7, 111.7, 37.7 (q, $J$ = 26 Hz); HRMS (ESI) for $C_{20}H_{17}F_3N_2ONa$ $[M+Na]^+$ calcd. 381.1185, found 381.1183；

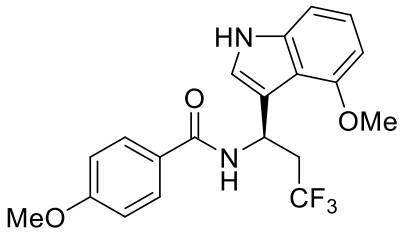
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 91% (HPLC: IF-3, 280 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 7.9 min, $t_r$ (minor) = 12.9 min.) $[\alpha]_D^{25}$ = 13.00 (*c* 1.0, MeOH).



**(*R*)-4-methoxy-*N*-(3,3,3-trifluoro-1-(4-methyl-1*H*-indol-3-yl)propyl)benzamide (4p)**

Following the general procedure, compound **4p** was obtained as a white solid (19.0 mg, yield: 51%); mp = 230-232°C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 11.07 (d, $J$ = 2.0 Hz, 1H), 8.68 (d, $J$ = 8.4 Hz, 1H), 7.85-7.82 (m, 2H), 7.48-7.47 (m, 1H), 7.21-7.19 (m, 1H), 7.00-6.93 (m, 3H), 6.75-6.74 (m, 1H), 6.08 (dt, $J$ = 5.6 Hz, 8.0 Hz, 1H), 3.80 (s, 3H), 3.04-2.85 (m, 2H), 2.66 (s, 3H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.5; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 164.6, 161.7, 136.2, 129.2, 126.7, 126.4 (q, $J$ = 276 Hz), 124.2, 123.6, 121.2, 120.7, 116.4, 113.5, 109.7, 55.4, 41.1 (d, $J$ = 3 Hz), 20.1; HRMS (ESI) for $C_{20}H_{20}F_3N_2O_2$ $[M+H]^+$ calcd. 377.1471, found 377.1472；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 96% (HPLC: IF-3, 280 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 9.0 min, $t_r$ (minor) = 11.0 min.) $[\alpha]_D^{25}$ = -40.00 (*c* 1.0, MeOH).

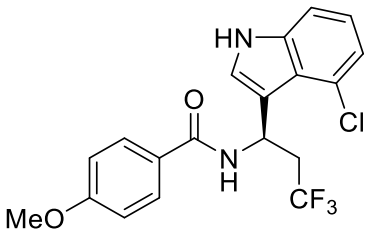



**(*R*)-4-methoxy-*N*-(3,3,3-trifluoro-1-(4-methoxy-1*H*-indol-3-yl)propyl)benzamide (4q)**

Following the general procedure, compound **4q** was obtained as a white solid (16.6 mg, yield: 42%); mp = 210-212 °C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 10.96 (d, $J$ = 2.0 Hz, 1H), 8.56 (d, $J$ = 8.8 Hz, 1H), 7.87-7.84 (m, 2H), 7.14-7.13 (m, 1H), 7.04-6.96 (m, 4H), 6.54-6.52 (m, 1H), 5.96 (q, $J$ = 7.2 Hz, 1H), 3.91 (s, 3H), 3.81 (s, 3H), 2.90-2.80 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -63.1; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 164.8, 161.7, 153.2, 138.2, 129.1, 126.9, 126.6 (q, $J$ = 276 Hz), 122.4, 121.2, 115.9, 115.2, 113.7, 105.3, 99.3, 55.5, 55.1, 42.0 (d, $J$ = 3 Hz); HRMS (ESI) for $C_{20}H_{20}F_3N_2O_3$ $[M+H]^+$ calcd. 393.1421, found 393.1422；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 97% (HPLC: IF-3, 280 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25

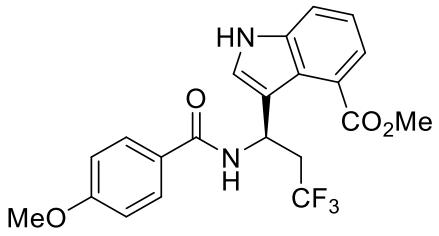
°C, $t_r$ (major) = 16.6 min, $t_r$ (minor) = 29.7 min.) $[\alpha]_D^{25}$ = 78.00 (*c* 1.0, MeOH).




**(*R*)-*N*-(1-(4-chloro-1*H*-indol-3-yl)-3,3,3-trifluoropropyl)-4-methoxybenzamide (4r)**

Following the general procedure, compound **4r** was obtained as a white solid (12.4 mg, yield: 31%); mp = 190-192°C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 11.40 (d, *J* = 2.0 Hz, 1H), 8.74 (d, *J* = 8.4 Hz, 1H), 7.87-7.85 (m, 2H), 7.50 (d, *J* = 2.4 Hz, 1H), 7.38-7.36 (m, 1H), 7.10-7.00 (m, 4H), 6.29-6.23 (m, 1H), 3.81 (s, 3H), 2.96-2.86 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.5; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 164.9, 161.7, 137.9, 129.2, 126.8, 126.3 (q, *J* = 276 Hz), 125.0, 124.1, 122.11, 122.07, 119.8, 115.7, 113.6, 111.1, 55.4, 41.0; HRMS (ESI) for $C_{19}H_{17}ClF_3N_2O_2$ $[M+H]^+$ calcd. 397.0925, found 397.0927；

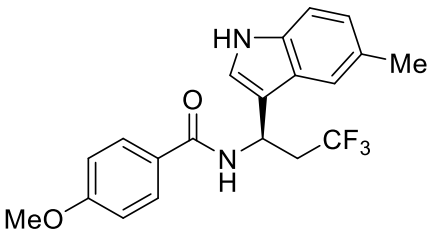
Enantiomeric excess established by HPLC analysis using a Chiralpak IA column, ee = >99% (HPLC: IA, 290 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 8.7 min) $[\alpha]_D^{25}$ = -61.00 (*c* 1.0, MeOH).




**Methyl (*R*)-3-(3,3,3-trifluoro-1-(4-methoxybenzamido)propyl)-1H-indole-4-carboxylate (4s)**

Following the general procedure, compound **4s** was obtained as a white solid (20.0 mg, yield: 48%); mp = 195-197 °C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 11.50 (d, *J* = 2.0 Hz, 1H), 8.64 (d, *J* = 8.4 Hz, 1H), 7.85-7.83 (m, 2H), 7.66-7.62 (m, 2H), 7.56-7.54 (m, 1H), 7.20-7.16 (m, 1H), 7.02-7.00 (m, 1H), 6.20 (dt, *J* = 4.0 Hz, 9.2 Hz, 1H), 3.88 (s, 3H), 3.80 (s, 3H), 2.91-2.67 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.0; $^{13}$C NMR

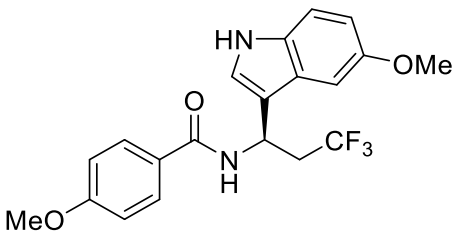
(100 MHz, DMSO-$d_6$): δ 168.5, 164.9, 161.7, 138.2, 137.6, 129.2, 127.0, 126.5, 126.4 (q, $J$ = 277 Hz), 122.9, 122.3, 122.2, 120.3, 116.46, 116.41, 113.6, 55.4, 52.1, 41.7 (d, $J$ = 3 Hz); HRMS (ESI) for $C_{21}H_{20}F_3N_2O_4$ $[M+H]^+$ calcd. 421.1370, found 421.1373；Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 97% (HPLC: IF-3, 280 nm, hexane/isopropanol = 75:25, flow rate 1.0 mL/min, 25 $^oC$, $t_r$ (major) = 6.1 min, $t_r$ (minor) = 25.2 min.) $[\alpha]_D^{25}$ = -83 (*c* 1.0, MeOH).




**(*R*)-4-methoxy-N-(3,3,3-trifluoro-1-(5-methyl-1H-indol-3-yl)propyl)benzamide (4t)**

Following the general procedure, compound **4t** was obtained as a white solid (18.5 mg, yield: 49%); mp = 195-197 °C; $^1H$ NMR (400 MHz, DMSO-$d_6$): δ 10.89 (s, 1H), 8.66 (d, $J$ = 8.8 Hz, 1H), 7.86-7.82 (m, 2H), 7.43 (s, 2H), 7.34-7.33 (m, 1H), 7.26-7.24 (m, 1H), 7.01-6.97 (m, 1H), 6.92-6.90 (m, 1H), 5.79 (dt, $J$ = 4.0 Hz, 9.2 Hz, 1H), 3.79 (s, 3H), 3.17-2.90 (m, 2H), 2.35 (s, 3H); $^{19}F$ NMR (376 MHz, DMSO-$d_6$): δ -62.7; $^{13}C$ NMR (100 MHz, DMSO-$d_6$): δ 164.9, 161.7, 134.7, 129.2, 127.2, 126.85, 126.80 (q, $J$ = 276 Hz), 123.0, 118.3, 114.8, 113.5, 111.4, 55.4, 37.8 (q, $J$ = 26 Hz), 21.5; HRMS (ESI) for $C_{20}H_{20}F_3N_2O_2$ $[M+H]^+$ calcd. 377.1471, found 377.1472；

Enantiomeric excess established by HPLC analysis using a Chiralpak IA column, ee = 92% (HPLC: IA, 290 nm, hexane/isopropanol = 80:20, flow rate 1.0 mL/min, 25 $^oC$, $t_r$ (major) = 9.6 min, $t_r$ (minor) = 15.1 min.) $[\alpha]_D^{25}$ = -31.00 (*c* 1.0, MeOH).

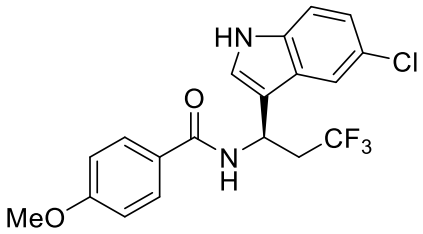



**(*R*)-4-methoxy-N-(3,3,3-trifluoro-1-(5-methoxy-1H-indol-3-yl)propyl)benzamide**

**(4u)**

Following the general procedure, compound **4u** was obtained as a white solid (12.5 mg, yield: 32%); mp = 173-175 °C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 10.87 (d, $J$ = 1.6 Hz, 1H), 8.67 (d, $J$ = 8.4 Hz, 1H), 7.85-7.82 (m, 2H), 7.35-7.34 (m, 1H), 7.26-7.24 (m, 1H), 7.18-7.17 (m, 1H), 7.00-6.98 (m, 1H), 6.73 (dd, $J$ = 2.4 Hz, 8.8 Hz, 1H), 5.79 (dt, $J$ = 4.0 Hz, 9.2 Hz, 1H), 3.79 (s, 3H), 3.70 (s, 3H), 3.20-2.93 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.6; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 165.0, 161.7, 153.2, 131.5, 129.2, 126.9 (q, $J$ = 276 Hz), 126.8, 126.1, 123.4, 115.1, 113.6, 112.3, 111.4, 100.8, 55.4, 55.3, 37.3 (q, $J$ = 26 Hz); HRMS (ESI) for $C_{20}H_{20}F_3N_2O_3$ $[M+H]^+$ calcd. 393.1421, found 393.1420；

Enantiomeric excess established by HPLC analysis using a Chiralpak IA column, ee = 90% (HPLC: IA, 290 nm, hexane/isopropanol = 80:20, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 13.0 min, $t_r$ (minor) = 25.5 min.) $[\alpha]_D^{25}$ = -28.00 (*c* 1.0, MeOH).

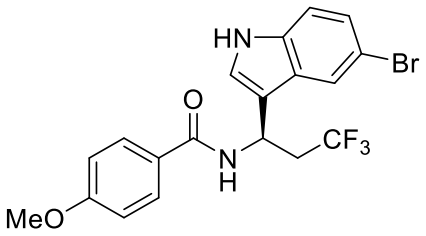



**(*R*)-*N*-(1-(5-chloro-1*H*-indol-3-yl)-3,3,3-trifluoropropyl)-4-methoxybenzamide (4v)**

Following the general procedure, compound **4v** was obtained as a white solid (13.4 mg, yield: 34%); mp = 140-142 °C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 11.2 (s, 1H), 8.72 (d, $J$ = 8.4 Hz, 1H), 7.84-7.80 (m, 2H), 7.71 (d, $J$ = 2.0 Hz, 1H), 7.49 (d, $J$ = 2.4 Hz, 1H), 7.39-7.37 (m, 1H), 7.09-7.07 (m, 1H), 7.01-6.97 (m, 2H), 5.76 (dt, $J$ = 4.0 Hz, 9.2 Hz, 1H), 3.79 (s, 3H), 3.22-2.93 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.6; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 165.0, 161.7, 134.8, 129.2, 126.8, 126.7 (q, $J$ = 276 Hz), 126.6, 124.9, 123.6, 121.3, 118.1, 115.3, 113.6, 113.2, 55.4, 37.3 (q, $J$ = 26 Hz); HRMS (ESI) for $C_{19}H_{17}ClF_3N_2O_2$ $[M+H]^+$ calcd. 397.0925, found 397.0928；

Enantiomeric excess established by HPLC analysis using a Chiralpak IA column, ee = 98% (HPLC: IA, 290 nm, hexane/isopropanol = 80:20, flow rate 1.0 mL/min, 25 °C, $t_r$

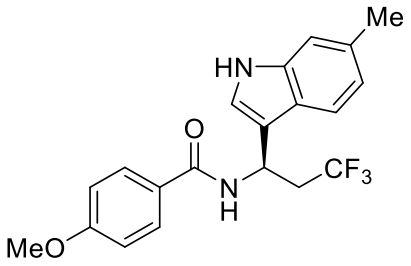
(major) = 9.0 min, $t_r$ (minor) = 12.2 min.) $[\alpha]_D^{25}$ = -40.00 (*c* 1.0, MeOH).




**(*R*)-*N*-(1-(5-bromo-1*H*-indol-3-yl)-3,3,3-trifluoropropyl)-4-methoxybenzamide (4w)**

Following the general procedure, compound **4w** was obtained as a white solid (16.1 mg, yield: 37%); mp = 171-173 °C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 11.25 (s, 1H), 8.72 (d, *J* = 8.4 Hz, 1H), 7.86-7.81 (m, 3H), 7.48-7.47 (m, 1H), 7.35-7.33 (m, 1H), 7.20-7.18 (m, 1H), 7.00-6.98 (m, 1H), 5.75 (dt, *J* = 4.0 Hz, 9.2 Hz, 1H), 3.79 (s, 3H), 3.22-2.93 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.6; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 165.0, 161.7, 135.0, 129.1, 127.5, 126.7 (q, *J* = 276 Hz), 126.6, 124.7, 123.8, 111.2, 115.2, 113.7, 113.6, 111.6, 55.4, 37.3 (q, *J* = 26 Hz); HRMS (ESI) for $C_{19}H_{17}BrF_3N_2O_2$ $[M+H]^+$ calcd. 441.0420, 443.0400, found 441.0421, 443.0401；

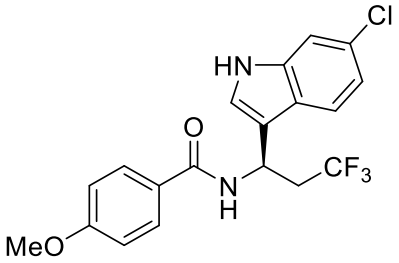
Enantiomeric excess established by HPLC analysis using a Chiralpak IA column, ee = 98% (HPLC: IA, 290 nm, hexane/isopropanol = 80:20, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 8.9 min, $t_r$ (minor) = 12.3 min.) $[\alpha]_D^{25}$ = -49.00 (*c* 1.0, MeOH).




**(*R*)-4-methoxy-N-(3,3,3-trifluoro-1-(6-methyl-1H-indol-3-yl)propyl)benzamide (4x)**

Following the general procedure, compound **4x** was obtained as a white solid (16.5 mg, yield: 44%); mp = 181-183 °C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 10.85 (s, 1H), 8.63 (d, *J* = 8.8 Hz, 1H), 7.84-7.82 (m, 2H), 7.52-7.50 (m, 1H), 7.29 (d, *J* = 2.0 Hz, 1H), 7.15 (s, 1H), 6.99-6.97 (m, 2H), 6.82-6.80 (m, 1H), 5.80 (dt, *J* = 4.0 Hz, 9.2 Hz, 1H),

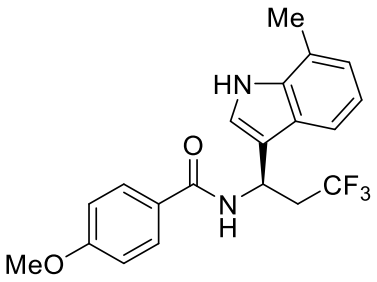
3.79 (s, 3H), 3.17-2.91 (m, 2H), 2.36 (s, 3H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -57.9; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 164.8, 161.6, 136.8, 130.4, 129.2, 126.78, 126.82 (q, $J$ = 276 Hz), 123.6, 122.1, 120.6, 118.4, 115.2, 113.5, 111.4, 55.4, 37.5 (q, $J$ = 26 Hz), 21.4; HRMS (ESI) for $C_{20}H_{20}F_3N_2O_2$ $[M+H]^+$ calcd. 377.1471, found 377.1472；Enantiomeric excess established by HPLC analysis using a Chiralpak IA column, ee = 93% (HPLC: IA, 290 nm, hexane/isopropanol = 80:20, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 11.5 min, $t_r$ (minor) = 19.4 min.) $[\alpha]_D^{25}$ = -9.00 (*c* 1.0, MeOH).




**(*R*)-N-(1-(6-chloro-1H-indol-3-yl)-3,3,3-trifluoropropyl)-4-methoxybenzamide (4y)**

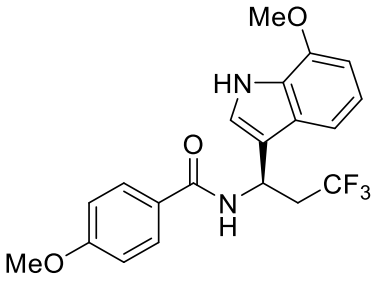
Following the general procedure, compound **4y** was obtained as a white solid (15.7 mg, yield: 40%); mp = 178-180 °C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 11.18 (s, 1H), 8.70 (d, $J$ = 8.4 Hz, 1H), 7.84-7.82 (m, 2H), 7.67-7.64 (m, 1H), 7.46-7.42 (m, 2H), 7.03-6.97 (m, 3H), 5.81 (dt, $J$ = 3.6 Hz, 9.2 Hz, 1H), 3.79 (s, 3H), 3.20-2.94 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.6; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 164.9, 161.7, 136.8, 129.2, 126.8 (q, $J$ = 276 Hz), 126.6, 126.2, 124.5, 124.1, 120.1 119.2, 115.7, 113.6, 111.3, 55.4, 37.4 (q, $J$ = 26 Hz); HRMS (ESI) for $C_{19}H_{17}ClF_3N_2O_2$ $[M+H]^+$ calcd. 397.0925, found 397.0927；

Enantiomeric excess established by HPLC analysis using a Chiralpak IA column, ee = 99% (HPLC: IA, 290 nm, hexane/isopropanol = 80:20, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 10.8 min, $t_r$ (minor) = 14.4 min.) $[\alpha]_D^{25}$ = -18.00 (*c* 1.0, MeOH).




**(*R*)-4-methoxy-*N*-(3,3,3-trifluoro-1-(7-methyl-1*H*-indol-3-yl)propyl)benzamide (4z)**

Following the general procedure, compound **4z** was obtained as a white solid (18.6 mg, yield: 49%); mp = 191-193 °C; $^{1}$H NMR (400 MHz, DMSO-$d_6$): δ 10.99 (s, 1H), 8.65 (d, $J$ = 8.8 Hz, 1H), 7.85-7.83 (m, 2H), 7.49-7.47 (m, 1H), 7.39 (d, $J$ = 2.0 Hz, 1H), 7.15 (s, 1H), 6.99-6.97 (m, 2H), 6.92-6.88 (m, 2H), 5.83 (dt, $J$ = 4.0 Hz, 9.2 Hz, 1H), 3.79 (s, 3H), 3.20-2.93 (m, 2H), 2.45 (s, 3H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.7; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 164.9, 161.7, 135.9, 129.2, 126.81 (q, $J$ = 276 Hz), 126.78, 125.4, 122.6, 121.8, 120.8, 119.1, 116.3, 115.7, 113.5, 55.4, 37.7 (q, $J$ = 26 Hz), 16.8; HRMS (ESI) for $C_{20}H_{20}F_3N_2O_2$ $[M+H]^+$ calcd. 377.1471, found 377.1472；Enantiomeric excess established by HPLC analysis using a Chiralpak IA column, ee = 91% (HPLC: IA, 280 nm, hexane/isopropanol = 80:20, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 12.5 min, $t_r$ (minor) = 30.5 min.) $[\alpha]_D^{25}$ = 7.00 (*c* 1.0, MeOH).

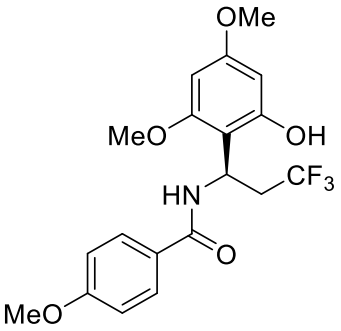



**(*R*)-4-methoxy-*N*-(3,3,3-trifluoro-1-(7-methoxy-1*H*-indol-3-yl)propyl)benzamide (4aa)**

Following the general procedure, compound **4aa** was obtained as a white solid (11.0 mg, yield: 28%); mp = 193-195 °C; $^{1}$H NMR (400 MHz, DMSO-$d_6$): δ 11.11 (s, 1H), 8.63 (d, $J$ = 8.8 Hz, 1H), 7.84-7.80 (m, 2H), 7.29-7.28 (m, 1H), 7.22-7.20 (m, 1H), 7.15 (s, 1H), 7.00-6.96 (m, 2H), 6.92-6.88 (m, 1H), 6.66-6.64 (m, 1H), 5.79 (dt, $J$ = 4.0 Hz,

9.2 Hz, 1H), 3.89 (s, 3H), 3.79 (s, 3H), 3.16-2.91 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.7; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 164.8, 161.6, 146.2, 129.2, 127.2, 126.8 (q, $J$ = 276 Hz), 126.7, 126.4, 122.4, 119.5, 115.8, 113.5, 111.5, 101.9, 55.4, 55.2, 37.6 (q, $J$ = 26 Hz); HRMS (ESI) for $C_{20}H_{20}F_3N_2O_3$ $[M+H]^+$ calcd. 393.1421, found 393.1421;

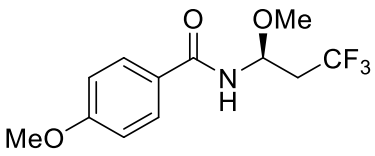
Enantiomeric excess established by HPLC analysis using a Chiralpak IA column, ee = 93% (HPLC: IA, 280 nm, hexane/isopropanol = 80:20, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 6.0 min, $t_r$ (minor) = 8.7 min.) $[\alpha]_D^{25}$ = 2.00 (*c* 1.0, MeOH).




**(*R*)-4-methoxy-*N*-(3,3,3-trifluoro-1-(2-hydroxy-4,6-dimethoxyphenyl)propyl)benzamide (4ab)**

Following the general procedure, compound **4ab** was obtained as a white solid (17.3 mg, yield: 43%); mp = 91-93 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 9.11 (s, 1H), 7.72-7.69 (m, 2H), 7.54 (s, 1H), 6.86-6.84 (m, 2H), 6.24-6.20 (m, 1H), 6.08-6.02 (m, 2H), 3.79 (s, 3H), 3.78 (s, 3H), 3.67 (s, 3H), 3.12-2.99 (m, 1H), 2.72-2.58 (m, 1H); $^{19}$F NMR (376 MHz, $CDCl_3$): δ -64.6; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 167.3, 162.5, 160.9, 158.6, 156.5, 128.9, 126.1 (q, $J$ = 276 Hz), 126.0, 113.8, 107.4, 94.8, 91.6, 55.6, 55.3, 55.2, 40.4, 37.9 (q, $J$ = 26 Hz); HRMS (ESI) for $C_{19}H_{21}F_3NO_5$ $[M+H]^+$ calcd. 400.1366, found 400.1366；

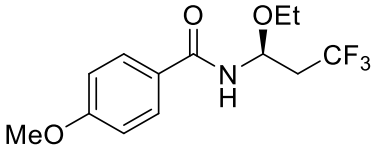
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 81% (HPLC: IF-3, 230 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 23.1 min, $t_r$ (minor) = 10.3 min.) $[\alpha]_D^{25}$ = 22.00 (*c* 1.0, $CH_2Cl_2$).




**(*S*)-4-methoxy-*N*-(3,3,3-trifluoro-1-methoxypropyl)benzamide (6a)**

Following the general procedure, compound **6a** was obtained as a white solid (18.4 mg, yield: 66%); mp = 108-110 °C; $^{1}$H NMR (400 MHz, $CDCl_3$): δ 7.78 (d, *J* = 8.8 Hz, 2H), 6.92 (d, *J* = 8.8 Hz, 2H), 6.70 (d, *J* = 9.2 Hz, 1H), 5.68 (dt, *J* = 6.0 Hz, 9.6 Hz, 1H), 3.85 (s, 3H), 3.41 (s, 3H), 2.70-2.48 (m, 2H); $^{19}$F NMR (376 MHz, $CDCl_3$): δ -62.8; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 166.9, 162.7, 129.0, 125.5, 125.0 (q, *J* = 275 Hz), 113.9, 76.5 (q, *J* = 4 Hz), 56.0, 55.4, 39.5 (q, *J* = 28 Hz); HRMS (ESI) for $C_{12}H_{14}F_3NO_3Na$ $[M+Na]^+$ calcd. 300.0818, found 300.0821；

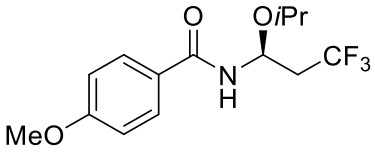
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 89% (HPLC: IF-3, 250 nm, hexane/isopropanol = 95:5, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 8.7 min, $t_r$ (minor) = 18.7 min.) $[\alpha]_D^{20}$ = 19.00 (*c* 1.0, $CH_2Cl_2$).




**(*S*)-*N*-(1-ethoxy-3,3,3-trifluoropropyl)-4-methoxybenzamide (6b)**

Following the general procedure, compound **6b** was obtained as a white solid (19.4 mg, yield: 67%); mp = 102-104 °C; $^{1}$H NMR (600 MHz, $CDCl_3$): δ 7.77-7.75 (m, 2H), 6.94-6.92 (m, 2H), 6.51-6.49 (m, 1H), 5.78 (dt, *J* = 6.0 Hz, 9.6 Hz, 1H), 3.85 (s, 3H), 3.74-3.69 (m, 1H), 3.62-3.57 (m, 1H), 2.67-2.50 (m, 2H), 1.20 (t, *J* = 7.2 Hz, 3H); $^{19}$F NMR (376 MHz, $CDCl_3$): δ -62.9; $^{13}$C NMR (150 MHz, $CDCl_3$): δ 166.6, 162.7, 128.9, 125.6, 125.0 (q, *J* = 275 Hz), 113.9, 75.0 (q, *J* = 3 Hz), 64.2, 55.4, 39.8 (q, *J* = 28 Hz), 14.9; HRMS (ESI) for $C_{13}H_{16}F_3NO_3Na$ $[M+Na]^+$ calcd. 314.0974, found 314.0975；

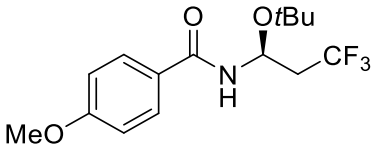
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 91% (HPLC: IF-3, 250 nm, hexane/isopropanol = 95:5, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 13.1 min, $t_r$ (minor) = 21.3 min.) $[\alpha]_D^{25}$ = 27.00 (*c* 1.0, $CH_2Cl_2$).




**(*S*)-4-methoxy-*N*-(3,3,3-trifluoro-1-isopropoxypropyl)benzamide (6c)**

Following the general procedure, compound **6c** was obtained as a white solid (17.6 mg, yield: 58%); mp = 98-100 °C; $^{1}$H NMR (600 MHz, $CDCl_3$): δ 7.76-7.74 (m, 2H), 6.94-6.93 (m, 2H), 6.46-6.45 (m, 1H), 5.86 (dt, *J* = 6.6 Hz, 9.2 Hz, 1H), 3.94-3.88 (m, 1H), 3.85 (s, 3H), 2.63-2.48 (m, 2H), 1.22 (d, *J* = 6.0 Hz, 3H), 1.16 (d, *J* = 6.0 Hz, 3H); $^{19}$F NMR (376 MHz, $CDCl_3$): δ -62.9; $^{13}$C NMR (150 MHz, $CDCl_3$): δ 166.3, 162.7, 128.9, 125.7, 125.0 (q, *J* = 275 Hz), 113.9, 73.2 (q, *J* = 3 Hz), 70.0, 40.3 (q, *J* = 28 Hz), 23.3, 21.3; HRMS (ESI) for $C_{14}H_{18}F_3NO_3Na$ $[M+Na]^+$ calcd. 328.1131, found 328.1131；

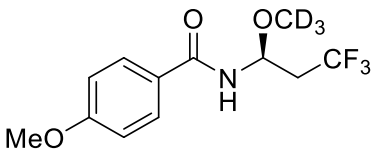
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 92% (HPLC: IF-3, 254 nm, hexane/isopropanol = 95:5, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 10.2 min, $t_r$ (minor) = 12.8 min.) $[\alpha]_D^{25}$ = 50.00 (*c* 1.0, $CH_2Cl_2$).




**(*S*)-*N*-(1-(tert-butoxy)-3,3,3-trifluoropropyl)-4-methoxybenzamide (6d)**

Following the general procedure, compound **6d** was obtained as a white solid (13.3 mg, yield: 42%); mp = 90-92 °C; $^{1}$H NMR (600 MHz, $CDCl_3$): δ 7.73-7.71 (m, 2H), 6.94-6.93 (m, 2H), 6.31-6.30 (m, 1H), 5.95 (dt, *J* = 6.0 Hz, 8.4 Hz, 1H), 3.85 (s, 3H), 2.54-2.48 (m, 2H), 1.26 (s, 9H); $^{19}$F NMR (376 MHz, $CDCl_3$): δ -62.7; $^{13}$C NMR (150 MHz, $CDCl_3$): δ 165.1, 162.6, 128.7, 126.0, 125.0 (q, *J* = 275 Hz), 113.9, 75.9, 70.5 (q, *J* = 3 Hz), 55.4, 41.4 (q, *J* = 28 Hz), 28.2; HRMS (ESI) for $C_{15}H_{20}F_3NO_3Na$ $[M+Na]^+$ calcd. 342.1287, found 342.1289；

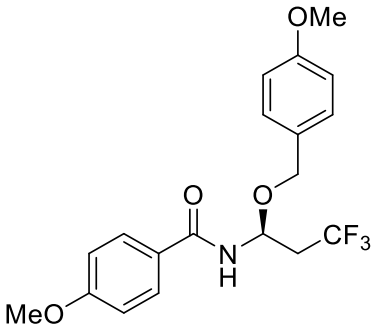
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 96% (HPLC: IF-3, 250 nm, hexane/isopropanol = 95:5, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 11.2 min, $t_r$ (minor) = 14.6 min.) $[\alpha]_D^{25}$ = 21.00 (*c* 1.0, $CH_2Cl_2$).




**(*S*)-4-methoxy-*N*-(3,3,3-trifluoro-1-(methoxy-$d_3$)propyl)benzamide (6e)**

Following the general procedure, compound **6e** was obtained as a white solid (13.5 mg, yield: 48%); mp = 107-109 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 7.77-7.76 (m, 2H), 6.96-6.93 (m, 2H), 6.38 (d, *J* = 9.0 Hz, 1H), 5.69 (dt, *J* = 6.0 Hz, 9.6 Hz, 1H), 3.86 (s, 3H), 2.67-2.51 (m, 2H); $^{19}$F NMR (376 MHz, $CDCl_3$): δ -62.8; $^{13}$C NMR (150 MHz, $CDCl_3$): δ 166.7, 162.8, 128.9, 125.5, 125.0 (q, *J* = 275 Hz), 114.0, 76.5, 55.5, 39.7 (q, *J* = 28 Hz); HRMS (ESI) for $C_{12}H_{11}D_3F_3NO_3Na$ $[M+Na]^+$ calcd. 303.1006, found 303.1007；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 93% (HPLC: IF-3, 254 nm, hexane/isopropanol = 95:5, flow rate 1.0 mL/min, 25 ºC, $t_r$ (major) = 8.6 min, $t_r$ (minor) = 16.4 min.) $[\alpha]_D^{25}$ = 19.00 (*c* 1.0, $CH_2Cl_2$).

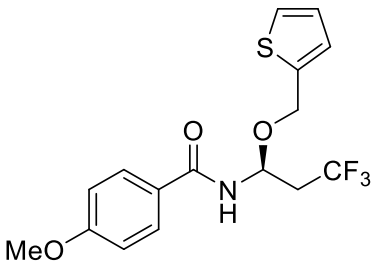



**(*S*)-4-methoxy-*N*-(3,3,3-trifluoro-1-((4-methoxybenzyl)oxy)propyl)benzamide (6f)**

Following the general procedure, compound **6f** was obtained as a white solid (16.0 mg, yield: 42%); mp = 102-104 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.75-7.72 (m, 2H), 7.26-7.24 (m, 2H), 6.92-6.90 (m, 2H), 6.87-6.83 (m, 2H), 6.62-6.58 (m, 1H), 5.88 (dt, *J* = 6.0 Hz, 9.6 Hz, 1H), 4.63-4.55 (m, 2H), 3.84 (s, 3H), 3.77 (s, 3H), 2.72-2.49 (m, 2H); $^{19}$F NMR (376 MHz, $CDCl_3$): δ -62.7; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 166.6, 162.7, 159.3, 129.44, 129.39, 129.0, 125.5, 125.0 (q, *J* = 275 Hz), 113.9, 113.8, 74.8 (q, *J* = 4 Hz), 70.4, 55.4, 55.2, 39.8 (q, *J* = 33 Hz); HRMS (ESI) for $C_{19}H_{20}F_3NO_4Na$ $[M+Na]^+$ calcd. 406.1237, found 406.1240；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee

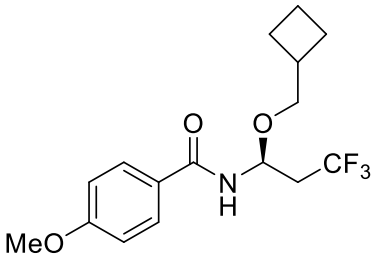
= 90% (HPLC: IF-3, 250 nm, hexane/isopropanol = 95:5, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 27.8 min, $t_r$ (minor) = 32.1 min.) $[\alpha]_D^{25}$ = 32.00 (*c* 1.0, $CH_2Cl_2$).




**(*S*)-4-methoxy-*N*-(3,3,3-trifluoro-1-(thiophen-2-ylmethoxy)propyl)benzamide (6g)**

Following the general procedure, compound **6g** was obtained as a white solid (15.0 mg, yield: 45%); mp = 60-62 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 7.75-7.73 (m, 2H), 7.28-7.26 (m, 1H), 7.04-7.03 (m, 1H), 6.95-6.93 (m, 3H), 6.54-6.52 (m, 1H), 5.92 (dt, *J* = 6.0 Hz, 9.6 Hz, 1H), 4.86-4.81 (m, 2H), 3.86 (s, 3H), 2.71-2.52 (m, 2H); $^{19}$F NMR (376 MHz, $CDCl_3$): δ -62.8; $^{13}$C NMR (150 MHz, $CDCl_3$): δ 166.7, 162.8, 139.8, 129.0, 127.0, 126.8, 126.1, 125.4, 124.9 (q, *J* = 275 Hz), 113.9, 74.9 (q, *J* = 3 Hz), 65.2, 55.4, 39.7 (q, *J* = 33 Hz); HRMS (ESI) for $C_{16}H_{16}F_3NO_3Na$ $[M+Na]^+$ calcd. 382.0695, found 382.0697;

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 91% (HPLC: IF-3, 290 nm, hexane/isopropanol = 95:5, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 7.9 min, $t_r$ (minor) = 21.1 min.) $[\alpha]_D^{25}$ = 34.00 (*c* 1.0, $CH_2Cl_2$).

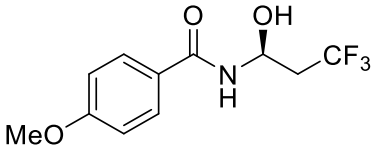



**(*S*)-*N*-(1-(cyclobutylmethoxy)-3,3,3-trifluoropropyl)-4-methoxybenzamide (6h)**

Following the general procedure, compound **6h** was obtained as a white solid (16.9 mg, yield: 51%); mp = 88-90 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.78-7.76 (m, 2H), 6.95-6.91 (m, 2H), 6.57-6.55 (m, 1H), 5.76 (dt, *J* = 6.0 Hz, 9.6 Hz, 1H), 3.86 (s, 3H), 3.65-

3.61 (m, 1H), 3.53-3.49 (m, 1H), 2.69-2.48 (m, 2H), 2.09-1.97 (m, 2H), 1.92-1.80 (m, 2H), 1.79-1.66 (m, 2H); $^{19}$F NMR (376 MHz, $CDCl_3$): δ -63.0; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 166.6, 162.7, 128.9, 125.6, 125.0 (q, $J$ = 275 Hz), 113.9, 75.4 (q, $J$ = 4 Hz), 73.1, 55.4, 39.7 (q, $J$ = 33 Hz), 34.7, 24.8, 24.7, 18.4; HRMS (ESI) for $C_{16}H_{20}F_3NO_3Na$ $[M+Na]^+$ calcd. 354.1287, found 354.1290;

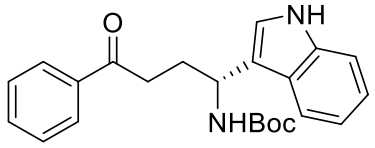
Enantiomeric excess established by HPLC analysis using a Chiralpak IA column, ee = 84% (HPLC: IA, 250 nm, hexane/isopropanol = 95:5, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 13.1 min, $t_r$ (minor) = 17.3 min.) $[\alpha]_D^{25}$ = 35.00 (*c* 1.0, $CH_2Cl_2$).




**(*S*)-4-methoxy-*N*-(3,3,3-trifluoro-1-hydroxypropyl)benzamide (6i)**

Following the general procedure, compound **6i** was obtained as a white solid (15.4 mg, yield: 59%); mp = 100-102 °C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 8.85 (d, $J$ = 8.4 Hz, 1H), 7.87-7.84 (m, 2H), 7.02-6.99 (m, 2H), 6.26 (d, $J$ = 4.8 Hz, 1H), 5.78-5.72 (m, 1H), 3.81 (s, 3H), 2.76-2.57 (m, 2H); $^{19}$F NMR (376 MHz, DMSO-$d_6$): δ -62.3; $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 165.1, 161.9, 129.4, 126.2, 125.9 (q, $J$ = 275 Hz), 113.6, 78.9 (q, $J$ = 33 Hz), 68.2 (q, $J$ = 4 Hz), 55.4; HRMS (ESI) for $C_{11}H_{12}F_3NO_3Na$ $[M+Na]^+$ calcd. 286.0661, found 286.0664;

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 80% (HPLC: IF-3, 280 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 6.8 min, $t_r$ (minor) = 10.1 min.) $[\alpha]_D^{25}$ = -14.00 (*c* 1.0, $CH_2Cl_2$).

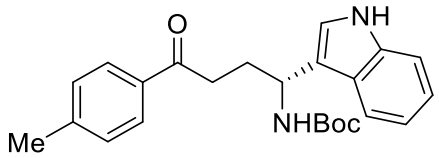



***tert*-butyl (*R*)-(1-(1H-indol-3-yl)-4-oxo-4-phenylbutyl)carbamate (8a)**

Following the general procedure, compound **8a** was obtained as a white solid (26.5 mg, yield: 65 %); mp = 112-114 °C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 10.86 (s, 1H), 7.93-

7.91 (m, 2H), 7.69-7.67 (m, 1H), 7.63-7.59 (m, 1H), 7.51-7.48 (m, 2H), 7.37-7.35 (m, 1H), 7.23 (d, $J$ = 2.0 Hz, 1H), 7.17-7.15 (m, 1H), 7.10-7.06 (m, 1H), 7.01-6.97 (m, 1H), 4.97-4.92 (m, 1H), 3.17-2.98 (m, 2H), 2.32-2.23 (m, 1H), 2.14-2.06 (m, 1H), 1.36 (s, 9H); $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 199.7, 155.4, 136.8, 136.4, 133.1, 128.7, 127.8, 126.0, 122.1, 121.1, 118.9, 118.4, 117.2, 111.5, 77.5, 46.5, 35.1, 29.8, ,28.3; HRMS (ESI) for $C_{23}H_{26}N_2O_3Na$ $[M+Na]^+$ calcd. 401.1836, found 401.1835；

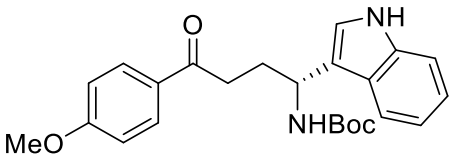
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 96% (HPLC: IF-3, 280 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 15.5 min, $t_r$ (minor) = 14.1 min.) $[\alpha]_D^{25}$ = 21.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(1-(1H-indol-3-yl)-4-oxo-4-(p-tolyl)butyl)carbamate (8b)**

Following the general procedure, compound **8b** was obtained as a white solid (18.8 mg, yield: 48 %); mp = 116-118 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 8.48 (s, 1H), 7.82-7.80 (m, 2H), 7.69 (d, $J$ = 5.2 Hz, 1H), 7.35-7.33 (m, 1H), 7.21-7.17 (m, 3H), 7.12-7.10 (m, 1H), 7.04 (s, 1H), 5.09-5.08 (m, 1H), 4.89-4.88 (m, 1H), 3.13-3.00 (m, 2H), 2.40-2.38 (m, 5H), 1.41 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 199.8, 155.6, 143.7, 136.6, 134.4, 129.2, 128.1, 125.9, 122.3, 121.4, 119.6, 119.3, 117.1, 111.4, 79.2, 47.5, 35.6, 29.7, ,28.3, 21.5; HRMS (ESI) for $C_{24}H_{28}N_2O_3Na$ $[M+Na]^+$ calcd. 415.1992, found 415.1987；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 95% (HPLC: IF-3, 280 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 18.8 min, $t_r$ (minor) = 16.2 min.) $[\alpha]_D^{25}$ = 37.00 (*c* 1.0, $CH_2Cl_2$).

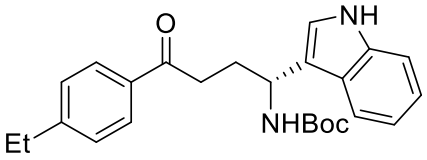



***tert*-butyl (*R*)-(1-(1H-indol-3-yl)-4-(4-methoxyphenyl)-4-oxobutyl)carbamate (8c)**

Following the general procedure, compound **8c** was obtained as a white solid (19.2 mg,

yield: 47 %); mp = 152-154 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 8.48 (s, 1H), 7.90-7.88 (m, 2H), 7.70-7.69 (m, 1H), 7.36-7.34 (m, 1H), 7.20-7.17 (m, 1H), 7.13-7.10 (m, 1H), 7.06 (s, 1H), 6.88-6.87 (m, 1H), 5.10-5.08 (m, 1H), 4.90 (s, 1H), 3.84 (s, 3H), 3.11-2.98 (m, 2H), 2.40-2.39 (m, 2H), 1.41 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 198.7, 163.4, 155.6, 136.6, 130.3, 130.0, 125.9, 122.3, 121.5, 119.6, 119.3, 117.1, 113.6, 111.4, 79.2, 55.4, 47.5, 35.4, 29.8, 28.3; HRMS (ESI) for $C_{24}H_{28}N_2O_4Na$ $[M+Na]^+$ calcd. 431.1941, found 431.1938；

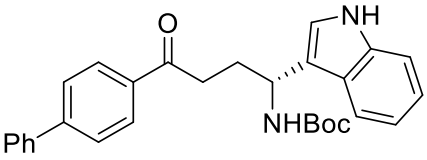
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 95% (HPLC: IF-3, 280 nm, hexane/isopropanol = 75:25, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 13.1 min, $t_r$ (minor) = 11.4 min.) $[\alpha]_D^{25}$ = 37.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(4-(4-ethylphenyl)-1-(1H-indol-3-yl)-4-oxobutyl)carbamate (8d)**

Following the general procedure, compound **8d** was obtained as a white solid (18.1 mg, yield: 45 %); mp = 112-114 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.40 (s, 1H), 7.85-7.83 (m, 2H), 7.71-7.69 (m, 1H), 7.36-7.34 (m, 1H), 7.24-7.22 (m, 2H), 7.21-7.17 (m, 1H), 7.14-7.10 (m, 1H), 7.14-7.10 (m, 1H), 7.08-7.07 (m, 1H), 5.10-5.08 (m, 1H), 4.88-4.86 (m, 1H), 3.15-3.00 (m, 2H), 2.68 (q, *J* = 7.6 Hz, 2H), 2.42-2.40 (m, 2H), 1.41 (s, 9H), 1.24 (t, *J* = 7.6 Hz, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$): δ 199.8, 155.6, 149.9, 136.6, 134.6, 128.3, 128.0, 125.9, 122.3, 121.4, 119.7, 119.3, 117.2, 111.3, 79.2, 47.5, 35.6, 29.8, 28.9, 28.3, 15.2; HRMS (ESI) for $C_{25}H_{30}N_2O_3Na$ $[M+Na]^+$ calcd. 429.2149, found 429.2149；

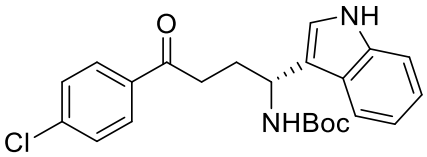
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 96% (HPLC: IF-3, 280 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 18.8 min, $t_r$ (minor) = 16.7 min.) $[\alpha]_D^{25}$ = 38.00 (*c* 1.0, $CH_2Cl_2$).



***tert*-butyl (*R*)-(4-([1,1'-biphenyl]-4-yl)-1-(1H-indol-3-yl)-4-oxobutyl)carbamate (8e)**

Following the general procedure, compound **8e** was obtained as a white solid (19.2 mg, yield: 39 %); mp = 88-90 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.43 (s, 1H), 7.98-7.96 (m, 2H), 7.72-7.70 (m, 1H), 7.63-7.58 (m, 5H), 7.47-7.43 (m, 2H), 7.40-7.38 (m, 1H), 7.36-7.34 (m, 1H), 7.21-7.17 (m, 1H), 7.14-7.10 (m, 1H), 7.07 (s, 1H), 5.12-5.10 (m, 1H), 4.90 (s, 1H), 3.19-3.04 (m, 2H), 2.44-2.42 (m, 2H), 1.41 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$): δ 199.8, 155.6, 145.6, 139.8, 136.6, 135.6, 128.9, 128.6, 128.1, 127.2, 127.1, 125.9, 122.3, 121.5, 119.7, 119.3, 117.1, 111.4, 79.3, 47.5, 35.8, 29.8, 28.3; HRMS (ESI) for $C_{29}H_{30}N_2O_3Na$ $[M+Na]^+$ calcd. 477.2149, found 477.2149；

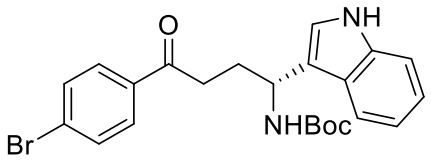
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 93% (HPLC: IF-3, 280 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 24.8 min, $t_r$ (minor) = 22.5 min.) $[\alpha]_D^{25}$ = 34.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(4-(4-chlorophenyl)-1-(1H-indol-3-yl)-4-oxobutyl)carbamate (8f)**

Following the general procedure, compound **8f** was obtained as a white solid (16.6 mg, yield: 40 %); mp = 118-120 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.31 (s, 1H), 7.84-7.82 (m, 2H), 7.70-7.68 (m, 1H), 7.39-7.35 (m, 3H), 7.22-7.18 (m, 1H), 7.14-7.09 (m, 2H), 5.09-5.07 (m, 1H), 4.86-4.84 (m, 1H), 3.14-2.98 (m, 2H), 2.44-2.38 (m, 2H), 1.41 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$): δ 198.8, 155.6, 139.4, 136.6, 135.2, 129.4, 128.8, 125.9, 122.4, 121.4, 119.8, 119.3, 117.1, 111.4, 79.4, 47.5, 35.7, 29.7, 28.4; HRMS (ESI) for $C_{23}H_{25}ClN_2O_3Na$ $[M+Na]^+$ calcd. 435.1446, found 435.1444；

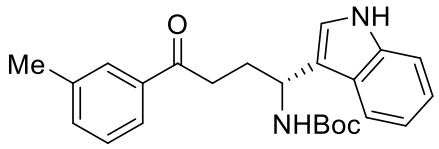
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 95% (HPLC: IF-3, 290 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 15.0 min, $t_r$ (minor) = 14.2 min.) $[\alpha]_D^{25}$ = 47.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(4-(4-bromophenyl)-1-(1H-indol-3-yl)-4-oxobutyl)carbamate (8g)**

Following the general procedure, compound **8g** was obtained as a white solid (17.3 mg, yield: 37 %); mp = 116-118 °C; $^{1}$H NMR (600 MHz, $CDCl_3$): δ 8.39 (s, 1H), 7.75-7.74 (m, 2H), 7.69-7.67 (m, 1H), 7.54-7.53 (m, 2H), 7.36-7.35 (m, 1H), 7.21-7.18 (m, 1H), 7.13-7.11 (m, 1H), 7.07 (s, 1H), 5.08-5.07 (m, 1H), 4.87-4.86 (m, 1H), 3.09-2.98 (m, 2H), 2.42-2.39 (m, 2H), 1.41 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 199.0, 155.6, 136.5, 135.6, 131.8, 129.5, 128.1, 125.8, 122.4, 121.4, 119.7, 119.3, 117.0, 111.4, 79.3, 47.4, 35.7, 29.6, 28.3; HRMS (ESI) for $C_{23}H_{25}BrN_2O_3Na$ $[M+Na]^+$ calcd. 479.0941, found 479.0942；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 95% (HPLC: IF-3, 280 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 $^{o}$C, $t_r$ (major) = 16.2 min, $t_r$ (minor) = 15.4 min.) $[\alpha]_D^{25}$ = 33.00 (*c* 1.0, $CH_2Cl_2$).

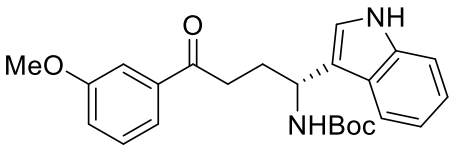



***tert*-butyl (*R*)-(1-(1H-indol-3-yl)-4-oxo-4-(m-tolyl)butyl)carbamate (8h)**

Following the general procedure, compound **8h** was obtained as a white solid (19.4 mg, yield: 49 %); mp = 124-126 °C; $^{1}$H NMR (600 MHz, $CDCl_3$): δ 8.43 (s, 1H), 7.72-7.69 (m, 3H), 7.36-7.33 (m, 2H), 7.31-7.28 (m, 1H), 7.20-7.18 (m, 1H), 7.13-7.11 (m, 1H), 7.06 (s, 1H), 5.10-5.09 (m, 1H), 4.89-4.87 (m, 1H), 3.14-3.02 (m, 2H), 2.42-2.37 (m, 5H), 1.41 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 200.4, 155.6, 138.2, 136.9, 136.6, 133.7, 128.6, 128.4, 125.9, 125.2, 122.3, 121.4, 119.7, 119.3, 117.1, 111.4, 79.2, 47.5, 35.8, 29.7, 28.3, 21.3; HRMS (ESI) for $C_{24}H_{28}N_2O_3Na$ $[M+Na]^+$ calcd. 415.1992, found 415.1992；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 95% (HPLC: IF-3, 230 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25

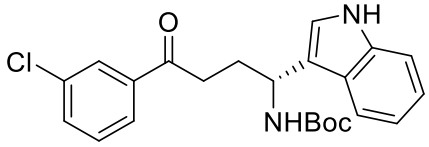
°C, $t_r$ (major) = 19.0 min, $t_r$ (minor) = 18.0 min.) $[\alpha]_D^{25}$ = 47.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(1-(1H-indol-3-yl)-4-(3-methoxyphenyl)-4-oxobutyl)carbamate (8i)**

Following the general procedure, compound **8i** was obtained as a white solid (19.1 mg, yield: 47 %); mp = 60-62 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 8.38 (s, 1H), 7.70-7.69 (m, 1H), 7.48-7.47 (m, 1H), 7.45 (s, 1H), 7.36-7.34 (m, 1H), 7.32-7.30 (m, 1H), 7.20-7.18 (m, 1H), 7.13-7.11 (m, 1H), 7.08-7.06 (m, 2H), 5.10-5.09 (m, 1H), 4.88 (s, 1H), 3.81 (s, 3H), 3.14-3.02 (m, 2H), 2.43-2.41 (m, 2H), 1.41 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 199.9, 159.7, 155.6, 138.3, 136.6, 129.5, 125.9, 122.3, 121.4, 120.7, 119.7, 119.5, 119.3, 117.1, 112.2, 111.4, 79.2, 55.3, 47.5, 35.9, 29.7, 28.3; HRMS (ESI) for $C_{24}H_{28}N_2O_4Na$ $[M+Na]^+$ calcd. 431.1941, found 431.1942；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 93% (HPLC: IF-3, 280 nm, hexane/isopropanol = 75:25, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 14.7 min, $t_r$ (minor) = 22.2 min.) $[\alpha]_D^{25}$ = 37.00 (*c* 1.0, $CH_2Cl_2$).

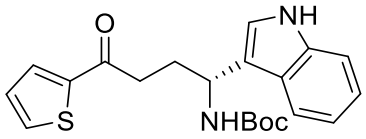



***tert*-butyl (*R*)-(4-(3-chlorophenyl)-1-(1H-indol-3-yl)-4-oxobutyl)carbamate (8j)**

Following the general procedure, compound **8j** was obtained as a white solid (18.2 mg, yield: 44 %); mp = 50-52 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 8.33 (s, 1H), 7.87 (s, 1H), 7.77-7.75 (m, 1H), 7.69-7.68 (m, 1H), 7.50-7.48 (m, 1H), 7.38-7.33 (m, 2H), 7.21-7.19 (m, 1H), 7.14-7.11 (m, 1H), 7.08 (s, 1H), 5.09-5.08 (m, 1H), 4.86 (s, 1H), 3.11-3.01 (m, 2H), 2.44-2.40 (m, 2H), 1.41 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 198.7, 155.6, 138.4, 136.6, 134.8, 132.9, 129.8, 128.1, 126.1, 125.8, 122.4, 121.4, 119.8, 119.3, 117.0, 111.4, 79.3, 47.4, 35.8, 29.5, 28.3; HRMS (ESI) for $C_{23}H_{25}ClN_2O_3Na$ $[M+Na]^+$ calcd. 435.1446, found 435.1447；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee

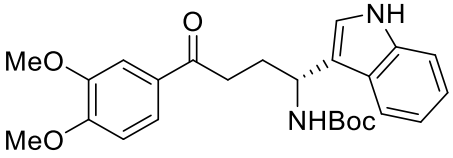
= 95% (HPLC: IF-3, 280 nm, hexane/isopropanol = 75:25, flow rate 1.0 mL/min, 25 $^{o}$C, $t_r$ (major) = 10.1 min, $t_r$ (minor) = 15.8 min.) $[\alpha]_D^{25}$ = 35.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(1-(1H-indol-3-yl)-4-oxo-4-(thiophen-2-yl)butyl)carbamate (8k)**

Following the general procedure, compound **8k** was obtained as a white solid (12.5 mg, yield: 33 %); mp = 132-134 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 8.39 (s, 1H), 7.70-7.68 (m, 1H), 7.64-7.63 (m, 1H), 7.60-7.59 (m, 1H), 7.37-7.35 (m, 1H), 7.21-7.18 (m, 1H), 7.13-7.11 (m, 1H), 7.08-7.06 (m, 2H), 5.09-5.08 (m, 1H), 4.86-4.85 (m, 1H), 3.11-2.97 (m, 2H), 2.42-2.41 (m, 2H), 1.41 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 193.0, 155.6, 144.2, 136.6, 133.5, 131.9, 128.0, 125.9, 122.4, 121.4, 119.7, 119.3, 117.1, 111.4, 79.2, 47.5, 36.4, 29.9, 28.3; HRMS (ESI) for $C_{21}H_{24}N_2O_3SNa$ $[M+Na]^+$ calcd. 407.1400, found 407.1400；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 90% (HPLC: IF-3, 260 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 $^{o}$C, $t_r$ (major) = 16.1 min, $t_r$ (minor) = 14.7 min.) $[\alpha]_D^{25}$ = 41.00 (*c* 1.0, $CH_2Cl_2$).

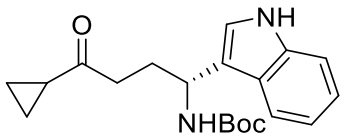



***tert*-butyl (*R*)-(4-(3,4-dimethoxyphenyl)-1-(1H-indol-3-yl)-4-oxobutyl)carbamate (8l)**

Following the general procedure, compound **8l** was obtained as a white solid (18.5 mg, yield: 42 %); mp = 132-134 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 8.60 (s, 1H), 7.71-7.69 (m, 1H), 7.52-7.50 (m, 2H), 7.36-7.34 (m, 1H), 7.19-7.17 (m, 1H), 7.13-7.10 (m, 1H), 7.05 (s, 1H), 6.82-6.80 (m, 1H), 5.10-5.09 (m, 1H), 4.92-4.91 (m, 1H), 3.91 (s, 3H), 3.89 (s, 3H), 3.12-3.00 (m, 2H), 2.41-2.40 (m, 2H), 1.42 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 198.8, 155.6, 153.1, 148.8, 136.6, 130.0, 125.9, 122.7, 122.2, 121.5, 119.6, 119.2, 117.0, 111.4, 110.0, 109.9, 79.2, 56.0, 55.8, 47.5, 35.2, 30.0, 28.3; HRMS (ESI)

for $C_{25}H_{30}N_2O_5Na$ $[M+Na]^+$ calcd. 461.2047, found 461.2046；

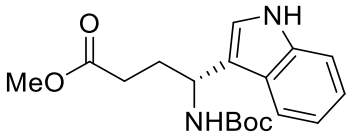
Enantiomeric excess established by HPLC analysis using a Chiralpak IA column, ee = 96% (HPLC: IA, 280 nm, hexane/isopropanol = 80:20, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 15.7 min, $t_r$ (minor) = 19.4 min.) $[\alpha]_D^{25}$ = 39.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(4-cyclopropyl-1-(1H-indol-3-yl)-4-oxobutyl)carbamate (8m)**

Following the general procedure, compound **8m** was obtained as a colorless oil (17.5 mg, yield: 51 %); ; $^1$H NMR (600 MHz, $CDCl_3$): δ 8.62 (s, 1H), 7.67-7.65 (m, 1H), 7.34-7.33 (m, 1H), 7.19-7.16 (m, 1H), 7.11-7.09 (m, 1H), 6.98 (s, 1H), 4.99-4.97 (m, 1H), 4.89 (s, 1H), 2.73-2.61 (m, 2H), 2.25-2.24 (m, 2H), 1.89-1.85 (m, 1H), 1.42 (s, 9H), 1.00-0.99 (m, 2H), 0.84-0.82 (m, 2H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 211.0, 155.6, 136.6, 125.8, 122.2, 121.5, 119.5, 119.2, 116.9, 111.4, 79.2, 47.5, 40.4, 29.2, 28.4, 20.5, 10.8, 10.7; HRMS (ESI) for $C_{20}H_{26}N_2O_3Na$ $[M+Na]^+$ calcd. 365.1836, found 365.1833；

Enantiomeric excess established by HPLC analysis using a Chiralpak IC-3 column, ee = 94% (HPLC: IC-3, 280 nm, hexane/isopropanol = 75:25, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 10.6 min, $t_r$ (minor) = 13.5 min.) $[\alpha]_D^{25}$ = 37.00 (*c* 1.0, $CH_2Cl_2$).

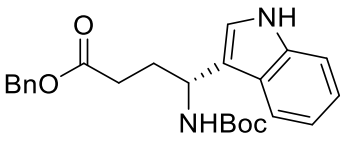



**methyl (*R*)-4-((tert-butoxycarbonyl)amino)-4-(1H-indol-3-yl)butanoate (8n)**

Following the general procedure, compound **8n** was obtained as a colorless oil (15.9 mg, yield: 48 %); $^1$H NMR (600 MHz, $CDCl_3$): δ 8.47 (s, 1H), 7.67-7.65 (m, 1H), 7.35-7.34 (m, 1H), 7.20-7.18 (m, 1H), 7.12-7.10 (m, 1H), 7.02 (s, 1H), 5.01-5.00 (m, 1H), 4.87-4.86 (m, 1H), 3.64 (s, 3H), 2.48-2.38 (m, 2H), 2.33-2.27 (m, 2H), 1.44 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 174.0, 155.5, 136.6, 125.7, 122.3, 121.5, 119.6, 119.2, 116.7, 111.4, 79.3, 51.6, 47.4, 31.2, 30.5, 28.4; HRMS (ESI) for $C_{18}H_{24}N_2O_4Na$

$[M+Na]^+$ calcd. 355.1628, found 355.1628；

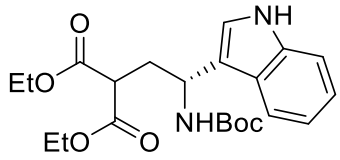
Enantiomeric excess established by HPLC analysis using a Chiralpak IC-3 column, ee = 92% (HPLC: IC-3, 280 nm, hexane/isopropanol = 75:25, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 10.2 min, $t_r$ (minor) = 12.1 min.) $[\alpha]_D^{25}$ = 38.00 (*c* 1.0, $CH_2Cl_2$).




**benzyl (*R*)-4-((tert-butoxycarbonyl)amino)-4-(1H-indol-3-yl)butanoate (8o)**

Following the general procedure, compound **8o** was obtained as a white solid (26.0 mg, yield: 64 %); mp = 120-122 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 8.48-8.45 (m, 1H), 7.64-7.63 (m, 1H), 7.35-7.30 (m, 6H), 7.18-7.16 (m, 1H), 7.10-7.08 (m, 1H), 6.95 (s, 1H), 5.11-5.05 (m, 2H), 5.01-5.00 (m, 1H), 4.88 (s, 1H), 2.51-2.41 (m, 2H), 2.33-2.27 (m, 2H), 1.44 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 173.3, 155.5, 136.5, 135.8, 128.5, 128.1, 125.7, 122.2, 121.5, 119.6, 119.2, 116.5, 111.4, 79.3, 66.2, 47.4, 31.4, 30.4, 28.4; HRMS (ESI) for $C_{24}H_{28}N_2O_4Na$ $[M+Na]^+$ calcd. 431.1941, found 431.1938；

Enantiomeric excess established by HPLC analysis using a Chiralpak IC-3 column, ee = 87% (HPLC: IC-3, 280 nm, hexane/isopropanol = 75:25, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 9.3 min, $t_r$ (minor) = 11.5 min.) $[\alpha]_D^{25}$ = 35.00 (*c* 1.0, $CH_2Cl_2$).

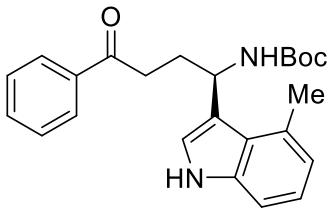



**diethyl (*R*)-2-(2-((tert-butoxycarbonyl)amino)-2-(1H-indol-3-yl)ethyl)malonate (8p)**

Following the general procedure, compound **8p** was obtained as a white solid (29.2 mg, yield: 70 %); mp = 98-100 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 8.60 (s, 1H), 7.68-7.66 (m, 1H), 7.35-7.33 (m, 1H), 7.18-7.16 (m, 1H), 7.11-7.09 (m, 1H), 7.00 (s, 1H), 5.12-5.11 (m, 2H), 4.87-4.85 (m, 1H), 4.24-4.16 (m, 2H), 4.15-4.11 (m, 2H), 3.54 (t, *J* = 7.2 Hz, 1H), 2.63-2.58 (m, 1H), 2.54-2.52 (m, 1H), 1.43 (s, 9H), 1.26 (t, *J* = 7.2 Hz, 3H), 1.21 (t, *J* = 7.2 Hz, 3H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 169.7, 169.2, 155.4, 136.5,

125.6, 122.2, 121.5, 119.6, 119.2, 116.3, 111.4, 79.3, 61.53, 61.45, 49.6, 46.3, 34.2, 28.4, 28.3, 14.0, 13.9; HRMS (ESI) for $C_{22}H_{30}N_2O_6Na$ $[M+Na]^+$ calcd. 441.1996, found 441.1997；

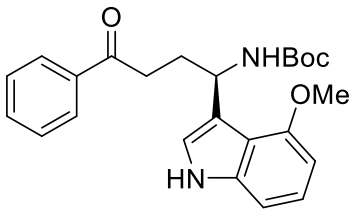
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 92% (HPLC: IF-3, 280 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 $^oC$, $t_r$ (major) = 9.4 min, $t_r$ (minor) = 10.8 min.) $[\alpha]_D^{25}$ = 34.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(1-(4-methyl-1*H*-indol-3-yl)-4-oxo-4-phenylbutyl)carbamate (8q)**

Following the general procedure, compound **8q** was obtained as a white solid (23.2 mg, yield: 59 %); mp = 106-108 °C; $^1H$ NMR (600 MHz, $CDCl_3$): δ 8.50 (s, 1H), 7.93-7.92 (m, 2H), 7.53-7.51 (m, 1H), 7.42-7.40 (m, 2H), 7.20-7.19 (m, 1H), 7.10-7.05 (m, 2H), 6.87-6.86 (m, 1H), 5.31-5.30 (m, 1H), 4.81-4.80 (m, 1H), 3.22-3.09 (m, 2H), 2.69 (s, 3H), 2.39-2.36 (m, 2H), 1.41 (s, 9H); $^{13}C$ NMR (150 MHz, $CDCl_3$): δ 200.1, 155.0, 136.9, 133.0, 130.9, 128.5, 128.0, 124.9, 122.4, 121.6, 117.4, 109.2, 79.2, 47.4, 36.1, 30.6, 28.3, 20.1; HRMS (ESI) for $C_{24}H_{28}N_2O_3Na$ $[M+Na]^+$ calcd. 415.1992, found 415.1990；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 94% (HPLC: IF-3, 280 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 $^oC$, $t_r$ (major) = 15.3 min, $t_r$ (minor) = 13.1 min.) $[\alpha]_D^{25}$ = 42.00 (*c* 1.0, $CH_2Cl_2$).

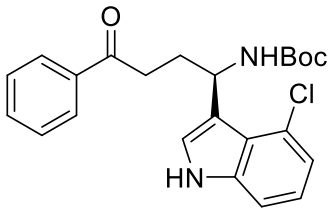



***tert*-butyl (*R*)-(1-(4-methoxy-1*H*-indol-3-yl)-4-oxo-4-phenylbutyl)carbamate (8r)**

Following the general procedure, compound **8r** was obtained as a white solid (20.1 mg,

yield: 49 %); mp = 92-94 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 8.45 (s, 1H), 7.87-7.85 (m, 2H), 7.51-7.49 (m, 1H), 7.40-7.37 (m, 2H), 7.12-7.09 (m, 1H), 7.00-6.99 (m, 1H), 6.95 (s, 1H), 6.54-6.53 (m, 1H), 5.84-5.83 (m, 1H), 5.05-5.01 (m, 1H), 3.98 (s, 3H), 3.06-2.88 (m, 2H), 2.42-2.36 (m, 1H), 2.26-2.20 (m, 1H), 1.40 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 200.3, 155.6, 153.0, 138.7, 137.0, 132.7, 128.4, 128.0, 122.9, 122.4, 121.2, 116.8, 115.6, 105.0, 99.7, 78.8, 55.2, 48.6, 36.3, 32.0, 28.4; HRMS (ESI) for $C_{24}H_{28}N_2O_4Na$ $[M+Na]^+$ calcd. 431.1941, found 431.1941；

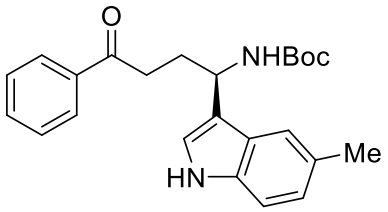
Enantiomeric excess established by HPLC analysis using a Chiralpak IC-3 column, ee = 94% (HPLC: IC-3, 280 nm, hexane/isopropanol = 75:25, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 18.0 min, $t_r$ (minor) = 11.7 min.) $[\alpha]_D^{25}$ = 43.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(1-(4-chloro-1*H*-indol-3-yl)-4-oxo-4-phenylbutyl)carbamate (8s)**

Following the general procedure, compound **8s** was obtained as a white solid (14.5 mg, yield: 35 %); mp = 96-98 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 8.59 (s, 1H), 7.93-7.92 (m, 2H), 7.54-7.52 (m, 1H), 7.44-7.41 (m, 2H), 7.26-7.24 (m, 1H), 7.12-7.05 (m, 3H), 5.44-5.43 (m, 1H), 5.18 (s, 1H), 3.20-3.06 (m, 2H), 2.41-2.39 (m, 2H), 1.41 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 200.4, 155.4, 138.2, 136.9, 133.0, 128.5, 128.1, 125.7, 123.2, 123.1, 122.7, 120.9, 117.5, 110.2, 79.2, 47.9, 36.1, 31.4, 28.4; HRMS (ESI) for $C_{23}H_{25}ClN_2O_3Na$ $[M+Na]^+$ calcd. 435.1446, found 435.1443；

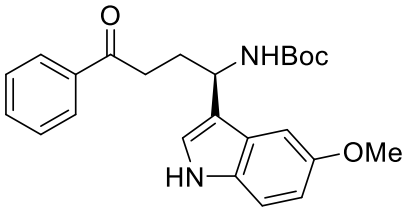
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 96% (HPLC: IF-3, 280 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 10.6 min, $t_r$ (minor) = 8.9 min.) $[\alpha]_D^{25}$ = 25.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(1-(5-methyl-1*H*-indol-3-yl)-4-oxo-4-phenylbutyl)carbamate (8t)**

Following the general procedure, compound **8t** was obtained as a white solid (26.5 mg, yield: 68 %); mp = 128-130 °C; $^{1}$H NMR (600 MHz, $CDCl_3$): δ 8.20 (s, 1H), 7.92-7.91 (m, 2H), 7.54-7.51 (m, 1H), 7.47 (s, 1H), 7.43-7.40 (m, 2H), 7.26-7.24 (m, 1H), 7.06-7.02 (m, 2H), 5.07-5.06 (m, 1H), 4.84 (s, 1H), 3.16-3.03 (m, 2H), 2.44-2.41 (m, 5H), 1.42 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 200.1, 155.6, 136.9, 134.9, 132.9, 129.0, 128.5, 128.0, 126.1, 124.0, 121.5, 119.0, 116.7, 111.0, 79.2, 47.5, 35.8, 29.6, 28.4, 21.5; HRMS (ESI) for $C_{24}H_{28}N_2O_3Na$ $[M+Na]^+$ calcd. 415.1992, found 415.1992；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 95% (HPLC: IF-3, 280 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 $^{o}$C, $t_r$ (major) = 11.9 min, $t_r$ (minor) = 22.1 min.) $[\alpha]_D^{25}$ = 25.00 (*c* 1.0, $CH_2Cl_2$).

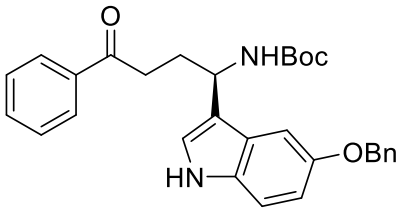



***tert*-butyl (*R*)-(1-(5-methoxy-1*H*-indol-3-yl)-4-oxo-4-phenylbutyl)carbamate (8u)**

Following the general procedure, compound **8u** was obtained as a white solid (24.0 mg, yield: 59 %); mp = 118-120 °C; $^{1}$H NMR (600 MHz, $CDCl_3$): δ 8.26 (s, 1H), 7.93-7.92 (m, 2H), 7.55-7.52 (m, 1H), 7.44-7.41 (m, 2H), 7.25-7.24 (m, 1H), 7.15 (s, 1H), 7.06 (s, 1H), 6.87-6.85 (m, 1H), 5.10-5.08 (m, 1H), 4.82-4.81 (m, 1H), 3.83 (s, 3H), 3.18-3.06 (m, 2H), 2.42-2.41 (m, 2H), 1.41 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 200.1, 155.7, 154.1, 136.9, 133.0, 131.6, 128.5, 128.0, 126.5, 121.9, 117.0, 112.9, 112.1, 100.8, 79.2, 55.7, 47.2, 35.7, 29.3, 28.3; HRMS (ESI) for $C_{24}H_{28}N_2O_4Na$ $[M+Na]^+$ calcd. 431.1941, found 431.1943；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee

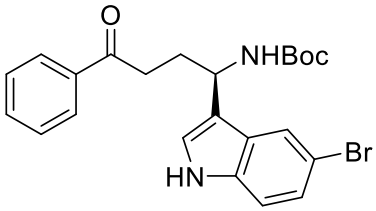
= 91% (HPLC: IF-3, 230 nm, hexane/isopropanol = 75:25, flow rate 1.0 mL/min, 25 $^{o}$C, $t_r$ (major) = 10.0 min, $t_r$ (minor) = 11.8 min.) $[\alpha]_D^{25}$ = 30.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(1-(5-(benzyloxy)-1*H*-indol-3-yl)-4-oxo-4-phenylbutyl)carbamate (8v)**

Following the general procedure, compound **8v** was obtained as a white solid (26.5 mg, yield: 55 %); mp = 116-118 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 8.33-8.31 (m, 1H), 7.92-7.91 (m, 2H), 7.53-7.51 (m, 1H), 7.46-7.45 (m, 2H), 7.42-7.40 (m, 2H), 7.38-7.35 (m, 2H), 7.31-7.28 (m, 1H), 7.25-7.22 (m, 2H), 7.02 (s, 1H), 6.93-6.91 (m, 1H), 5.08-5.04 (m, 3H), 4.84 (s, 1H), 3.15-3.13 (m, 2H), 2.39-2.38 (m, 2H), 1.41 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 200.1, 155.7, 153.2, 137.5, 136.9, 133.0, 131.8, 128.5, 128.4, 128.0, 127.75, 127.66, 126.4, 122.0, 116.9, 113.4, 112.1, 102.5, 79.2, 70.7, 47.3, 35.7, 29.4, 28.3; HRMS (ESI) for $C_{30}H_{32}N_2O_4Na$ $[M+Na]^+$ calcd. 507.2254, found 507.2258；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 96% (HPLC: IF-3, 280 nm, hexane/isopropanol = 75:25, flow rate 1.0 mL/min, 25 $^{o}$C, $t_r$ (major) = 20.0 min, $t_r$ (minor) = 33.5 min.) $[\alpha]_D^{25}$ = 24.00 (*c* 1.0, $CH_2Cl_2$).

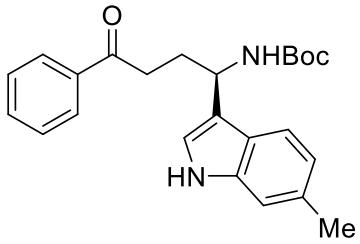



***tert*-butyl (*R*)-(1-(5-bromo-1*H*-indol-3-yl)-4-oxo-4-phenylbutyl)carbamate (8w)**

Following the general procedure, compound **8w** was obtained as a white solid (14.4 mg, yield: 32 %); mp = 72-74 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 8.37 (s, 1H), 7.93-7.92 (m, 2H), 7.82-7.81 (m, 1H), 7.56-7.53 (m, 1H), 7.45-7.42 (m, 2H), 7.28-7.26 (m, 1H),

7.23-7.21 (m, 1H), 7.09 (s, 1H), 5.04-5.03 (m, 1H), 4.83 (s, 1H), 3.17-3.05 (m, 2H), 2.41-2.39 (m, 2H), 1.42 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 200.3, 155.6, 136.8, 135.2, 133.1, 128.6, 128.0, 127.7, 125.3, 122.6, 122.0, 117.2, 113.1, 112.8, 79.6, 47.4, 35.6, 29.4, 28.4; HRMS (ESI) for $C_{23}H_{25}BrN_2O_3Na$ $[M+Na]^+$ calcd. 479.0941, found 479.0944；

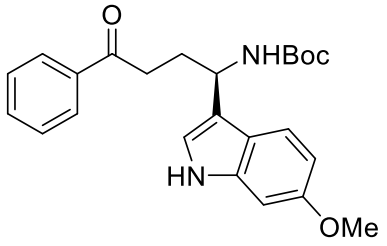
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 96% (HPLC: IF-3, 280 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 11.3 min, $t_r$ (minor) = 10.2 min.) $[\alpha]_D^{25}$ = 26.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(1-(6-methyl-1*H*-indol-3-yl)-4-oxo-4-phenylbutyl)carbamate (8x)**

Following the general procedure, compound **8x** was obtained as a white solid (27.0 mg, yield: 69 %); mp = 102-104 °C; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 10.68 (s, 1H), 7.92-7.90 (m, 2H), 7.63-7.59 (m, 1H), 7.55-7.48 (m, 3H), 7.14-7.12 (m, 3H), 6.83-6.81 (m, 1H), 4.93-4.87 (m, 1H), 3.15-2.96 (m, 2H), 2.38 (s, 3H), 2.30-2.21 (m, 1H), 2.12-2.03 (m, 1H), 1.36 (s, 9H); $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 199.8, 155.4, 136.9, 133.0, 130.0, 128.7, 127.8, 123.9, 121.3, 120.2, 118.7, 117.0, 111.3, 77.5, 46.6, 35.1, 29.8, 28.3, 21.4; HRMS (ESI) for $C_{24}H_{28}N_2O_3Na$ $[M+Na]^+$ calcd. 415.1992, found 415.1992；

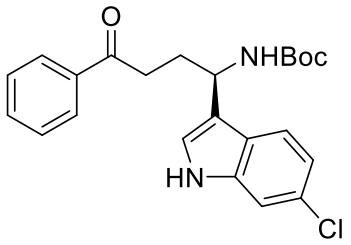
Enantiomeric excess established by HPLC analysis using a Chiralpak IA column, ee = 96% (HPLC: IA, 280 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 21.7 min, $t_r$ (minor) = 25.4 min.) $[\alpha]_D^{25}$ = 12.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(1-(6-methoxy-1*H*-indol-3-yl)-4-oxo-4-phenylbutyl)carbamate (8y)**

Following the general procedure, compound **8y** was obtained as a white solid (20.7 mg, yield: 51 %); mp = 100-102 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 8.30 (s, 1H), 7.91-7.90 (m, 2H), 7.57-7.55 (m, 1H), 7.53-7.51 (m, 1H), 6.95 (s, 1H), 6.83 (s, 1H), 6.80-6.78 (m, 1H), 5.05-5.04 (m, 1H), 4.87-4.86 (m, 1H), 3.80 (s, 3H), 3.14-3.02 (m, 2H), 2.39-2.38 (m, 2H), 1.41 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 200.2, 156.6, 155.6, 137.4, 136.9, 132.9, 128.5, 128.0, 120.3, 119.7, 117.1, 109.7, 94.8, 79.2, 55.6, 47.5, 35.7, 29.6, 28.3; HRMS (ESI) for $C_{24}H_{28}N_2O_4Na$ $[M+Na]^+$ calcd. 431.1941, found 431.1941；

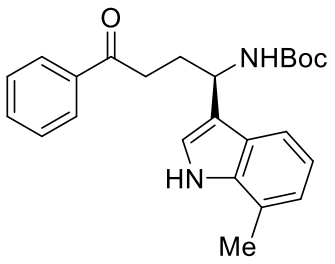
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 96% (HPLC: IF-3, 280 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 19.4 min, $t_r$ (minor) = 33.8 min.) $[\alpha]_D^{20}$ = 40.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(1-(6-chloro-1*H*-indol-3-yl)-4-oxo-4-phenylbutyl)carbamate (8z)**

Following the general procedure, compound **8z** was obtained as a white solid (19.8 mg, yield: 48 %); mp = 174-176 °C; $^1$H NMR (600 MHz, $CDCl_3$): δ 8.44 (s, 1H), 7.92-7.91 (m, 2H), 7.60-7.59 (m, 1H), 7.55-7.53 (m, 1H), 7.44-7.42 (m, 2H), 7.33 (s, 1H), 7.09-7.06 (m, 2H), 5.07-5.06 (m, 1H), 4.85-4.84 (m, 1H), 3.16-3.06 (m, 2H), 2.41-2.37 (m, 2H), 1.40 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 200.1, 155.6, 136.9, 136.8, 133.1, 128.5, 128.3, 124.5, 121.9, 120.4, 120.2, 117.6, 111.2, 79.4, 47.3, 35.6, 29.5, 28.3; HRMS (ESI) for $C_{23}H_{25}ClN_2O_3Na$ $[M+Na]^+$ calcd. 435.1446, found 435.1448；

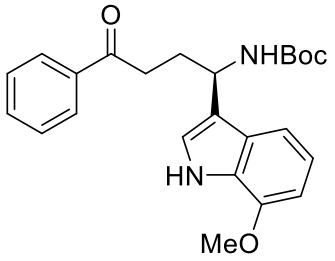
Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 98% (HPLC: IF-3, 280 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 $^o$C, $t_r$ (major) = 11.6 min, $t_r$ (minor) = 10.6 min.) $[\alpha]_D^{25}$ = 28.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(1-(7-methyl-1*H*-indol-3-yl)-4-oxo-4-phenylbutyl)carbamate (8aa)**

Following the general procedure, compound **8aa** was obtained as a white solid (27.4 mg, yield: 70 %); mp = 102-104 °C; $^{1}$H NMR (400 MHz, DMSO-$d_6$): δ 10.82 (s, 1H), 7.92-7.90 (m, 2H), 7.63-7.59 (m, 1H), 7.51-7.48 (m, 3H), 7.21-7.20 (m, 1H), 7.15-7.13 (m, 1H), 6.91-6.86 (m, 2H), 4.95-4.89 (m, 1H), 3.15-2.97 (m, 2H), 2.44 (s, 3H), 2.30-2.22 (m, 1H), 2.13-2.04 (m, 1H), 1.36 (s, 9H); $^{13}$C NMR (100 MHz, DMSO-$d_6$): δ 199.6, 155.3, 136.8, 135.9, 133.1, 128.7, 127.8, 125.6, 121.8, 121.6, 120.5, 118.7, 117.6, 116.6, 77.5, 46.6, 35.1, 29.9, 28.3, 16.8; HRMS (ESI) for $C_{24}H_{28}N_2O_3Na$ $[M+Na]^+$ calcd. 415.1992, found 415.1992；

Enantiomeric excess established by HPLC analysis using a Chiralpak IA column, ee = 97% (HPLC: IA, 280 nm, hexane/isopropanol = 90:10, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 23.2 min, $t_r$ (minor) = 29.3 min.) $[\alpha]_D^{25}$ = 15.00 (*c* 1.0, $CH_2Cl_2$).

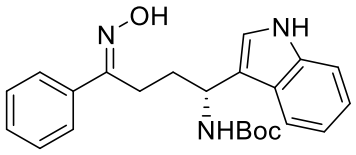



***tert*-butyl (*R*)-(1-(7-methoxy-1*H*-indol-3-yl)-4-oxo-4-phenylbutyl)carbamate (8ab)**

Following the general procedure, compound **8ab** was obtained as a white solid (16.9 mg, yield: 41 %); mp = 105-107 °C; $^{1}$H NMR (600 MHz, $CDCl_3$): δ 8.36 (s, 1H), 7.92-7.91 (m, 2H), 7.54-7.51 (m, 1H), 7.43-7.40 (m, 2H), 7.06-7.04 (m, 1H), 6.66-6.65 (m, 1H), 5.08-5.07 (m, 1H), 4.86-4.85 (m, 1H), 3.94 (s, 3H), 2.43-2.42 (m, 2H), 1.40 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 200.0, 155.5, 146.2, 136.9, 132.9, 128.5, 128.0,

127.2, 127.1, 121.0, 120.2, 117.7, 112.1, 102.2, 79.2, 55.3, 47.6, 35.8, 29.7, 28.3; HRMS (ESI) for $C_{24}H_{28}N_2O_4Na$ $[M+Na]^+$ calcd. 431.1941, found 431.1941；

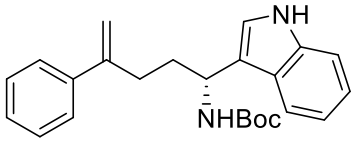
Enantiomeric excess established by HPLC analysis using a Chiralpak IA column, ee = 95% (HPLC: IA, 280 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 29.7 min, $t_r$ (minor) = 25.6 min.) $[\alpha]_D^{25}$ = 35.00 (*c* 1.0, $CH_2Cl_2$).




***tert*-butyl (*R*)-(4-(hydroxyimino)-1-(1*H*-indol-3-yl)-4-phenylbutyl)carbamate (9)**

Following the general procedure, compound **9** was obtained as a white solid (36.4 mg, yield: 92 %); mp = 182-184 °C; $^1$H NMR (600 MHz, DMSO-$d_6$): δ 11.18 (s, 1H), 10.85 (s, 1H), 7.59-7.58 (m, 3H), 7.35-7.33 (m, 4H), 7.23-7.21 (m, 2H), 7.08-7.06 (m, 1H), 6.97-6.95 (m, 1H), 4.92-4.88 (m, 1H), 2.78-2.70 (m, 2H), 2.08-2.02 (m, 1H), 1.98-1.92 (m, 1H), 1.39 (s, 9H); $^{13}$C NMR (150 MHz, DMSO-$d_6$): δ 156.5, 155.3, 136.4, 136.2, 128.6, 128.5, 126.0, 125.8, 122.2, 121.1, 118.9, 118.4, 116.9, 111.5, 77.5, 47.5, 31.8, 28.4, 22.9; HRMS (ESI) for $C_{23}H_{27}N_3O_3Na$ $[M+Na]^+$ calcd. 416.1945, found 416.1946；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 99% (HPLC: IF-3, 280 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 °C, $t_r$ (major) = 8.3 min, $t_r$ (minor) = 10.5 min.) $[\alpha]_D^{25}$ = 21.00 (*c* 1.0, $CH_2Cl_2$).



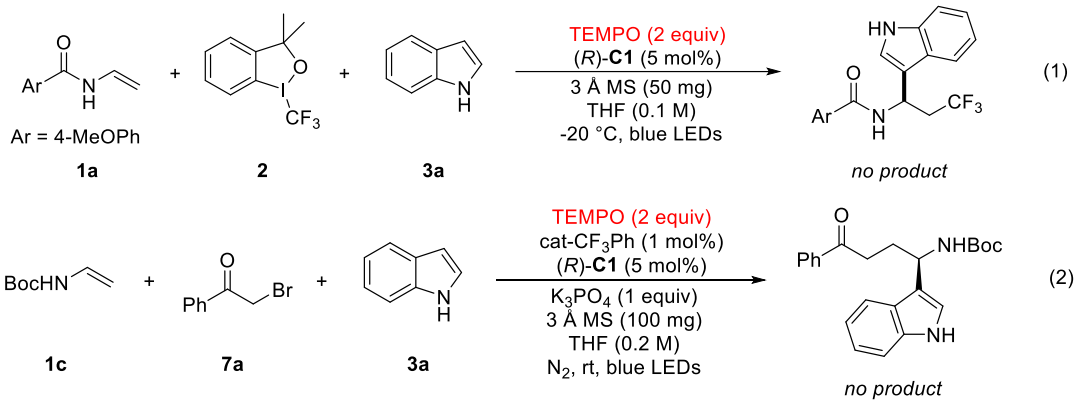

***tert*-butyl (*R*)-(1-(1*H*-indol-3-yl)-4-phenylpent-4-en-1-yl)carbamate (10)**

Following the general procedure, compound **10** was obtained as a colorless oil (37.1 mg, yield: 99 %); $^1$H NMR (600 MHz, $CDCl_3$): δ 8.19 (s, 1H), 7.60-7.59 (m, 1H), 7.35-7.31 (m, 3H), 7.29-7.22 (m, 3H), 7.19-7.16 (m, 1H), 7.10-7.07 (m, 1H), 6.959-6.956 (m, 1H), 5.28 (s, 1H), 5.09 (s, 1H), 5.03 (s, 1H), 4.80 (s, 1H), 2.65-2.52 (m, 2H), 2.15-2.04 (m, 2H), 1.45 (s, 9H); $^{13}$C NMR (150 MHz, $CDCl_3$): δ 155.5, 147.8, 141.0, 136.6, 128.2, 127.3, 126.1, 125.8, 122.2, 121.3, 119.6, 117.5, 112.5, 111.3, 79.2, 47.8, 34.3, 32.3, 28.4; HRMS (ESI) for $C_{24}H_{28}N_2O_2Na$ $[M+Na]^+$ calcd. 399.2043, found 399.2045；

Enantiomeric excess established by HPLC analysis using a Chiralpak IF-3 column, ee = 99% (HPLC: IF-3, 280 nm, hexane/isopropanol = 85:15, flow rate 1.0 mL/min, 25 $^{o}$C, $t_r$ (major) = 8.3 min, $t_r$ (minor) = 10.5 min.) $[\alpha]_D^{25}$ = 41.00 (*c* 1.0, $CH_2Cl_2$).

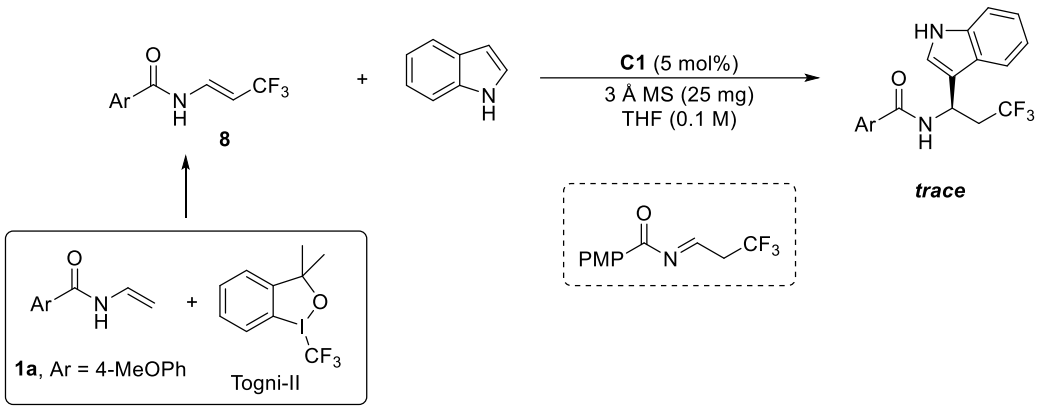
# 6. Mechanistic Studies

## 6.1 Trapping Experiments with TEMPO

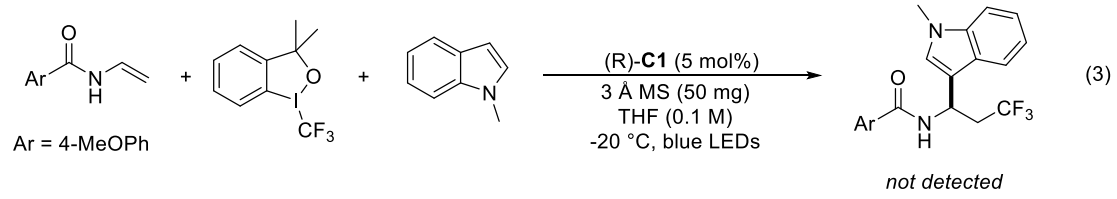



As shown in eqs 1-2, the radical scavenger 2,2,6,6-tetramethyl-1-piperidinyloxy (TEMPO) was added into the reaction mixture. After 12 h, no desired products were observed by TLC, indicating that the reaction might involve a radical process.

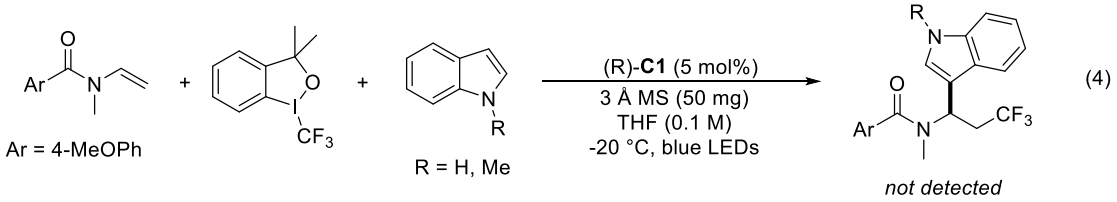
## 6.2 Control Experiments




The *pre*-prepared trifluoromethylated enamine **11** generated from the reaction of **1a** and Togni-II was employ as the substrate to react with indole under the action of chiral phosphoric acid. After 12 h, only trace amount of the product was detected by TLC, indicating that the reaction proceed *via* the imine intermediate instead of enamine.

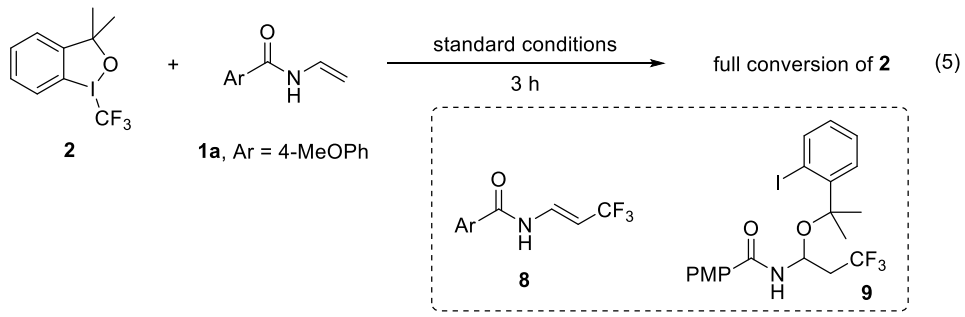



(3)



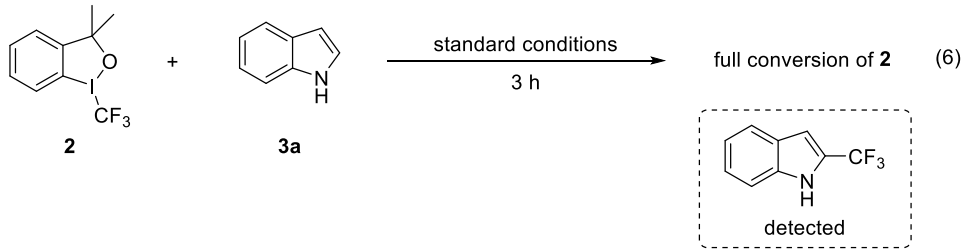

(4)

When N-Me protected enamine or/and indole were used as the substrates to engage the reaction under the standard conditions, the reaction was completely inhibited, indicating that the generated imine and indole might both interact with chiral phosphoric acid through the hydrogen-bonding to provide the product.



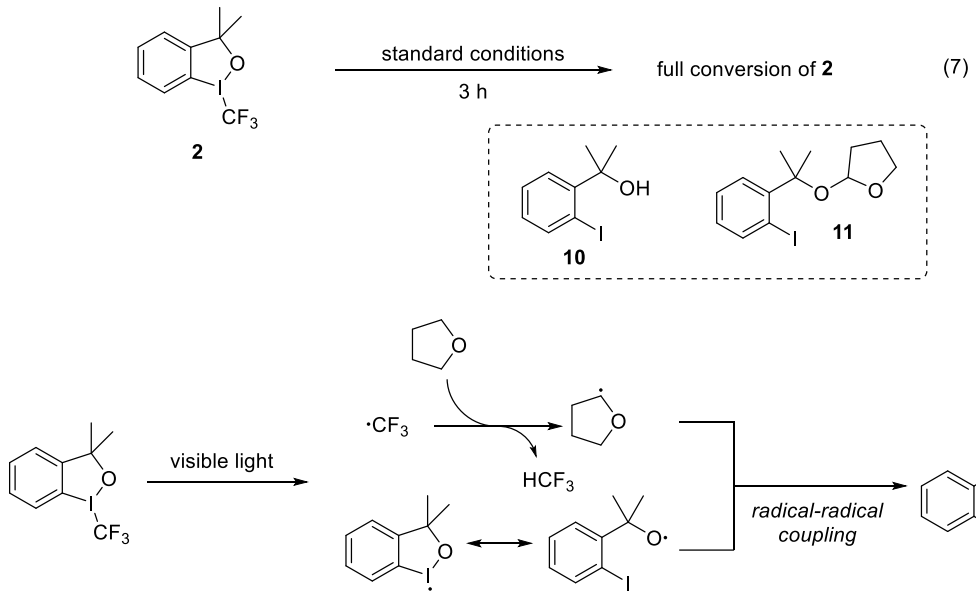

(5)

As shown in eq 5, when Togni-II **2** react with **1a** under the standard conditions for 3 h, full conversion of **2** was observed, accompanied by the generation of trifluoromethylated enamine **8** and oxytrifluoromethylated product **9**.




(6)

As shown in eq 6, when Togni-II **2** react with **3a** under the standard conditions for 3 h, full conversion of **2** was observed, accompanied by the detection of trifluoromethylated indole.




As shown in eq 7, when only Togni-II was treated with the standard conditions for 3 h, the full conversion of **2** was also observed, accompanied by the formation of alcohol 10 and acetal 11 which might be obtained by a HAT and radical-radical coupling process.

In addition, without the addition of chiral phosphoric acid, Togni-II need more time to be completely transformed.

In summary, under the irradiation of visible-light, the Togni-II could decompose to form the trifluoromethyl radical. And chiral phosphoric acid could accelerate this process.

### 6.3 UV-Vis absorption spectra

UV/vis absorption spectra based on Togni-II **2** (0.1 M in THF), C1 (0.01 M in THF) and the mixture of **2** and C1 (**2**:C1 = 1:1) were recorded respectively in 1 cm path quartz cuvettes using a U-3900H spectrometer

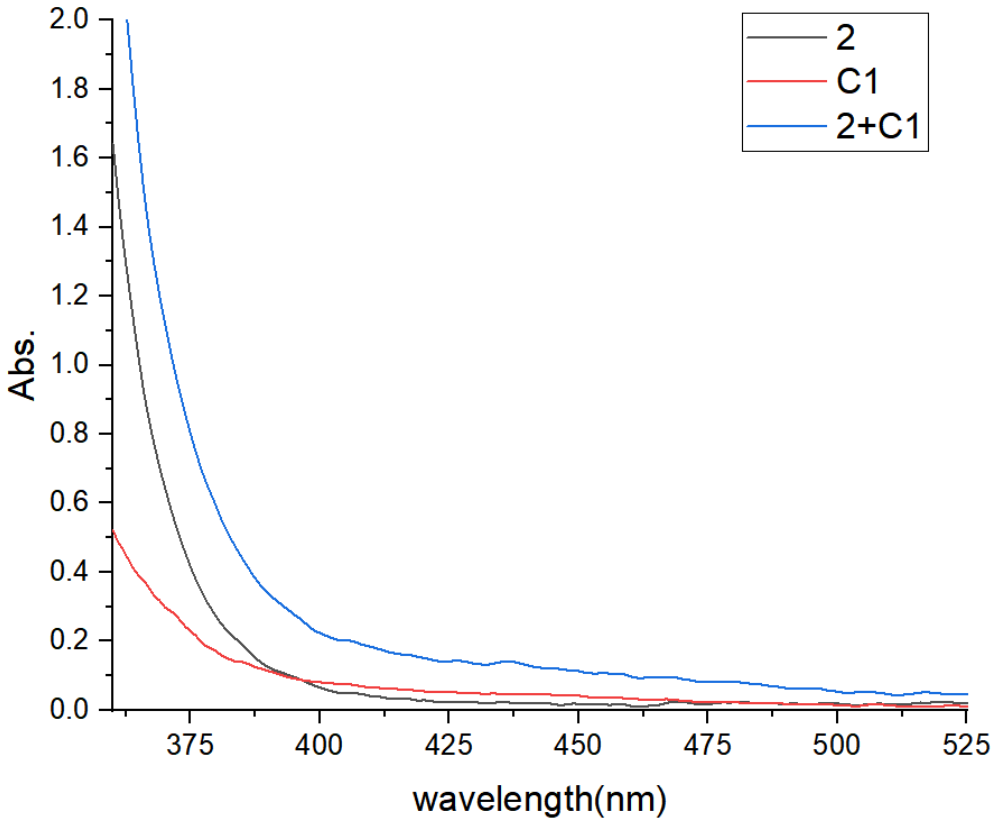
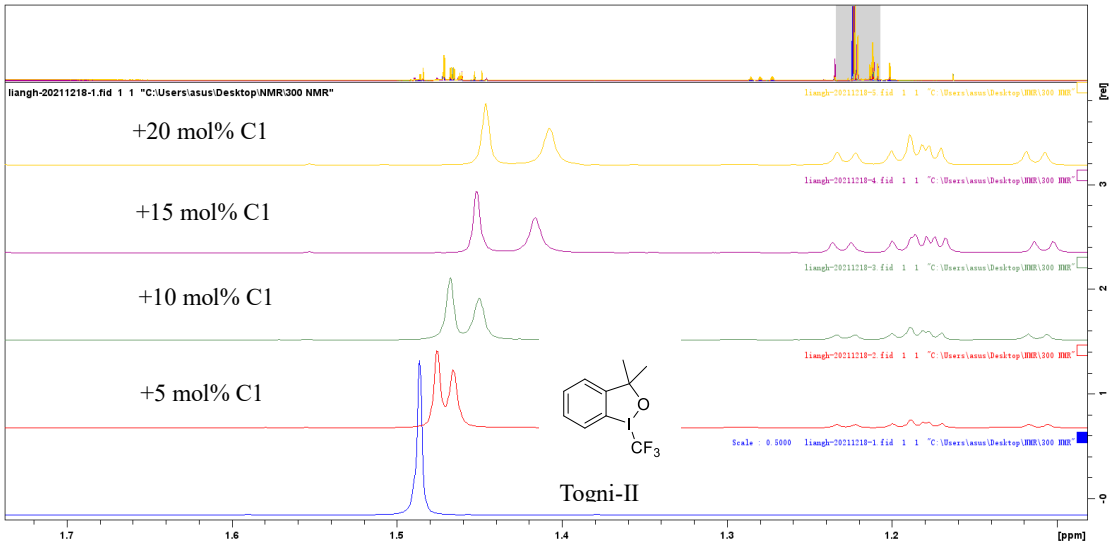



**Figure S1**. UV/vis absorption spectra

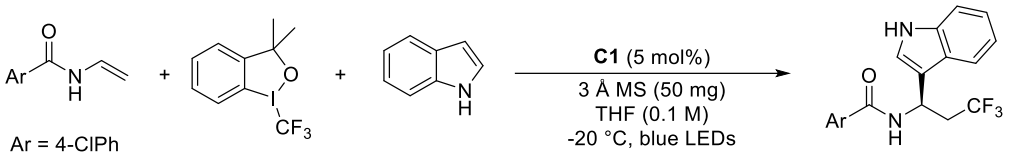
UV/vis absorption spectrometry showed a bathochromic shift by mixing Togni-II 2 with 10 mol% C1 in THF.

### 6.4 $^{1}$H NMR of Togni-II with C1 in $CD_3Cl$



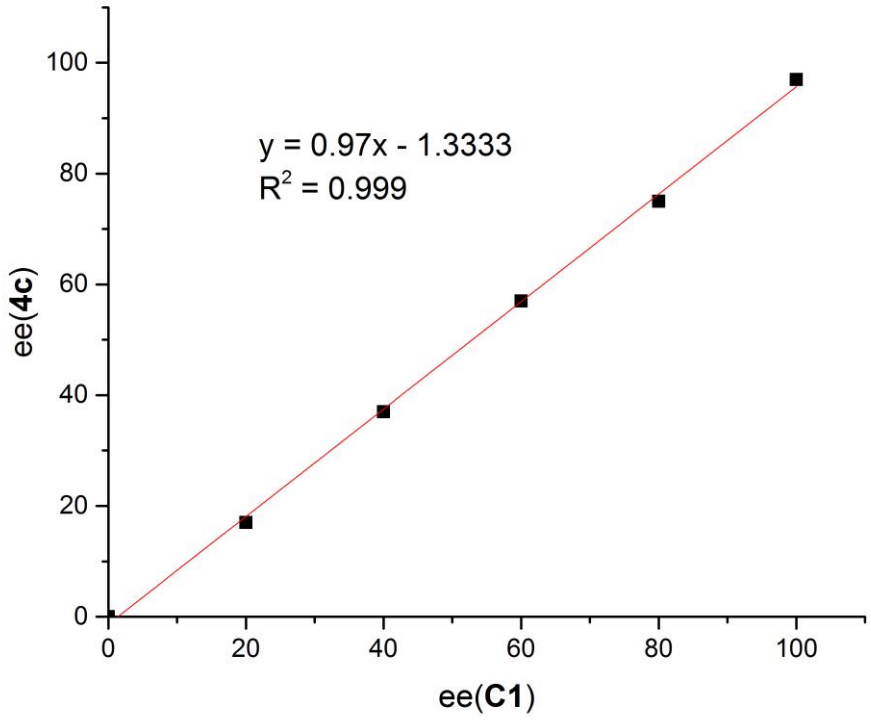

With the increase of the loading of C1, the change of the chemical shift of the $CH_3$ in Togni-II indicates that there is an interaction between the Togni-II and C1.

### 6.5 Linear Effect Experiments

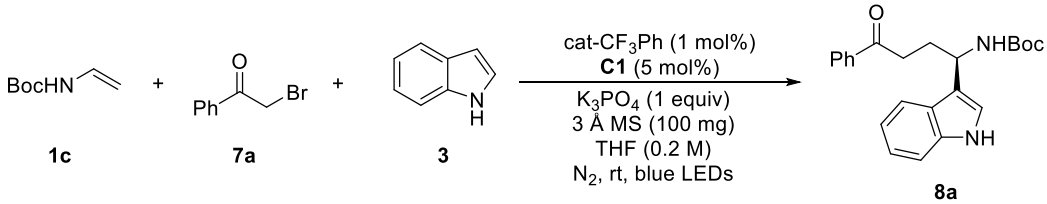



**1** **2** **3a** **4c**

| Entry | C1 | ee (%) |
|---|---|---|
| 1 | 0% ee | 0 |
| 2 | 20% ee | 17 |
| 3 | 40% ee | 37 |
| 4 | 60% ee | 57 |
| 5 | 80% ee | 75 |
| 6 | >99% ee | 97 |

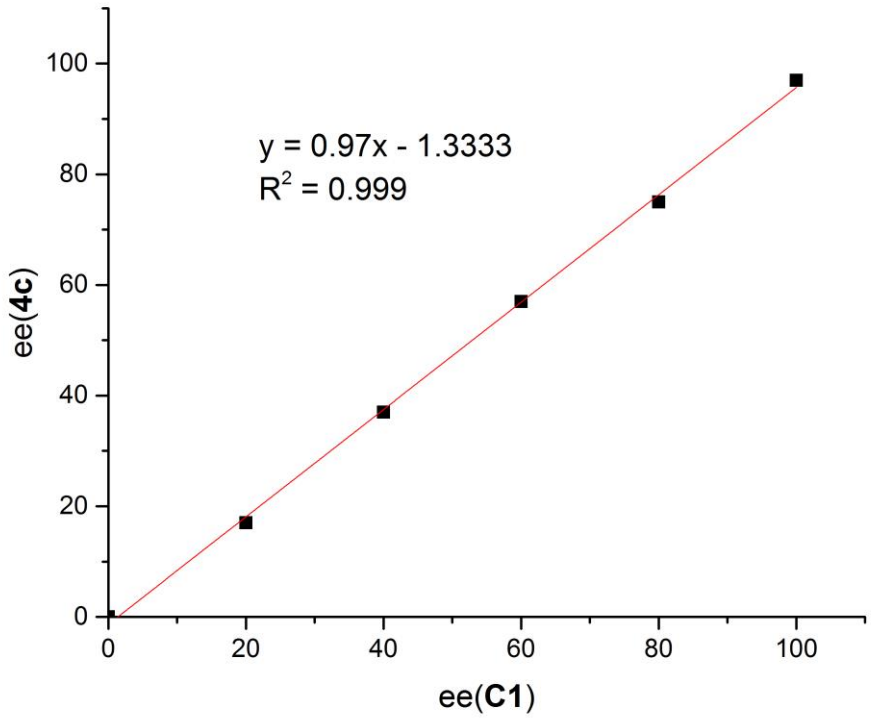



The relationship between the ee of CPA and the ee of product **4c** was evaluated and the linear correlation result strongly suggests that only a single molecule of the chiral phosphate is included in the second C−C bond-forming step.



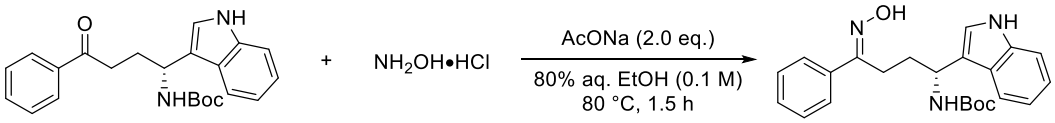

**1c** **7a** **3** **8a**

| Entry | **C1** | ee (%)[c] |
|---|---|---|
| 1 | 0% ee | 0 |
| 2 | 20% ee | 20 |
| 3 | 40% ee | 45 |
| 4 | 60% ee | 58 |
| 5 | 80% ee | 76 |
| 6 | >99% ee | 96 |



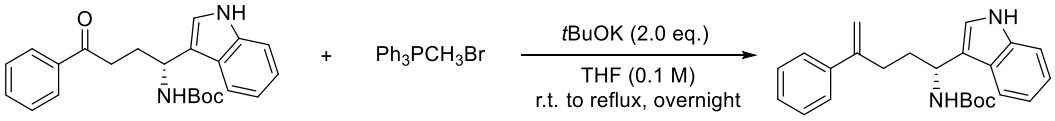

The relationship between the ee of CPA and the ee of product **8a** was evaluated and the linear correlation result strongly suggests that only a single molecule of the chiral phosphate is included in the second C−C bond-forming step.

## 7. Synthetic applications




**7a** 92% yield, 99% ee

**7a** (0.1 mmol, 37.8 mg, 1.0 eq), Hydroxylamine hydrochloride (0.16 mmol, 11.2 mg, 1.6 eq) and sodium acetate (0.2 mmol, 16.4 mg, 2.0 eq) were added to a dry reaction tube equipped with a magnetic stir bar. Then 80% aq. EtOH (1 ml) was added and the mixture was stirred at 80 °C for 1.5 h. The reaction mixture was cooled to room temperature and the solvent was removed under reduced pressure. The residue was purified by flash column chromatography to give oxime (36.4 mg, 92% yield, 99% ee).




**7a** 99% yield, 99% ee

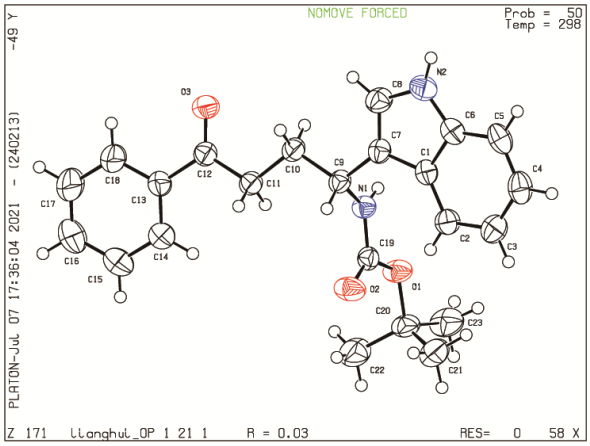
To a solution of Methyltriphenylphosphonium bromide (0.2 mmol, 71.4 mg, 2.0 eq) in THF (0.5 mL) was added potassium *t*-butoxide (0.2 mmol, 22.4 mg, 2.0 eq) under $N_2$. After stirred at room temperature for 30 min, the solution of 7a (0.1 mmol, 37.8 mg, 1.0 eq) in THF (0.5 mL) was added slowly to the reaction mixture. The reaction mixture was heated to reflux overnight and then was cooled to room temperature.The solvent was removed under reduced pressure and the residue was purified by flash column chromatography to give alkene (37.1 mg, 99% yield, 99% ee).

## 8. References:

(1) A. J. Boyington, C. P. Seath; A. M. Zearfoss, Z.Xu, N. T. C. Jui, *J. Am. Chem. Soc.* **2019**, *141*, 4147.

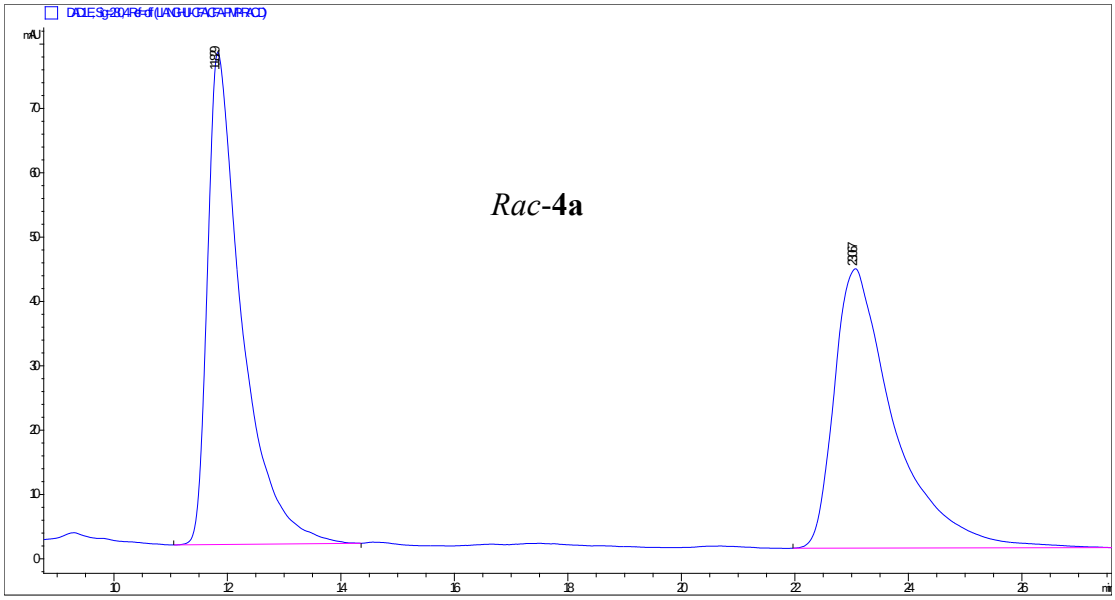
# 9. X-Ray Crystallographic Data

## 9.1 X-Ray Crystallographic Data of Product 8a (CCDC: 2108166):

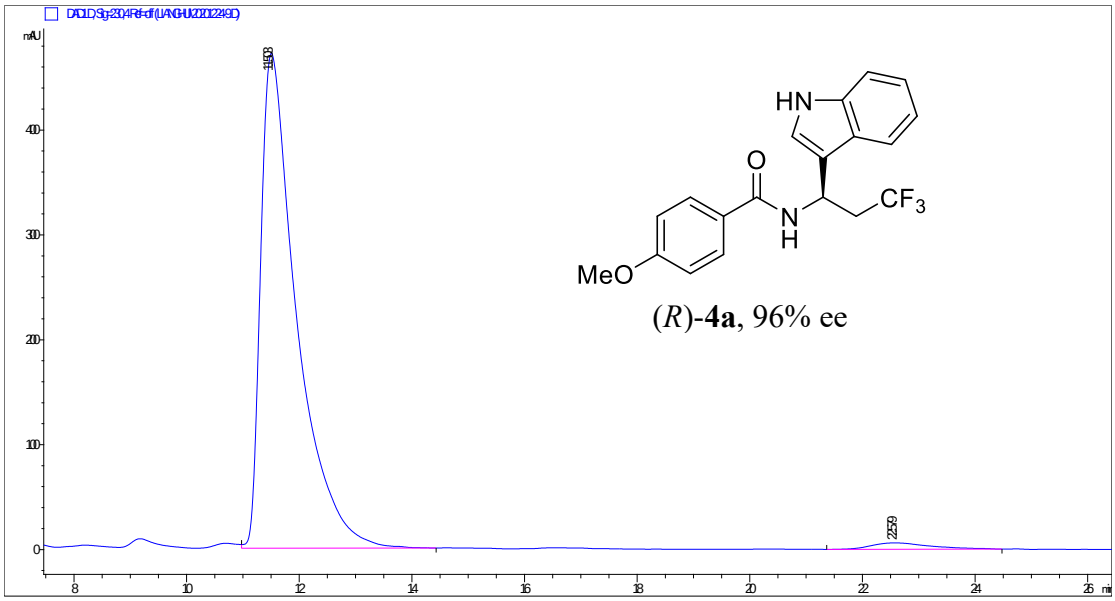



| | | | |
|---|---|---|---|
| Bond precision: | C-C = 0.0036 A | Wavelength=1.54184 | |
| Cell: | a=9.4239(1) | b=10.0699(1) | c=11.2350(1) |
| | alpha=90 | beta=103.712(1) | gamma=90 |
| Temperature: | 298 K | | |
| | Calculated | Reported | |
| Volume | 1035.789(18) | 1035.789(18) | |
| Space group | P 21 | P 1 21 1 | |
| Hall group | P 2yb | P 2yb | |
| Moiety formula | C23 H26 N2 O3 | C23 H26 N2 O3 | |
| Sum formula | C23 H26 N2 O3 | C23 H26 N2 O3 | |
| Mr | 378.46 | 378.46 | |
| Dx,g cm-3 | 1.214 | 1.213 | |
| Z | 2 | 2 | |
| Mu (mm-1) | 0.645 | 0.645 | |
| F000 | 404.0 | 404.0 | |
| F000’ | 405.19 | | |
| h,k,lmax | 11,12,14 | 11,12,14 | |
| Nref | 4402[2330] | 4103 | |
| Tmin,Tmax | 0.918,0.950 | 0.709,1.000 | |
| Tmin’ | 0.914 | | |
| Correction method= # Reported T Limits: Tmin=0.709 Tmax=1.000 | | | |
| AbsCorr = MULTI-SCAN | | | |
| Data completeness= 1.76/0.93 | | Theta(max)= 77.509 | |
| R(reflections)= 0.0331( 3994) | | wR2(reflections)= 0.0884( 4103) | |
| S = 1.094 | | Npar= 270 | |

## 10. Enantioselectivities as Determined by Chiral HPLC

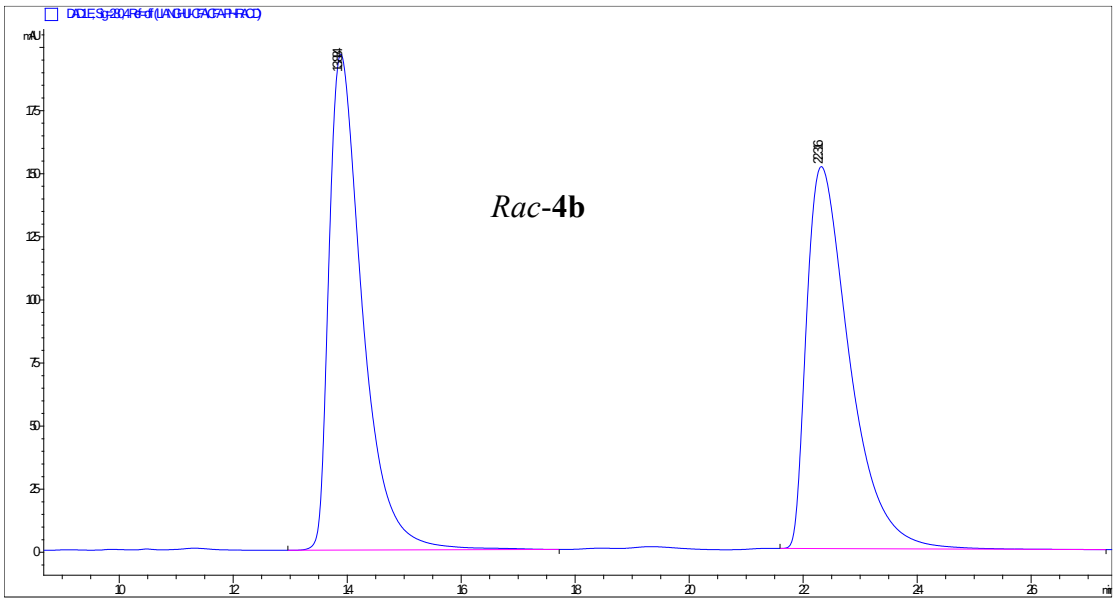



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 11.829 | 3209.1 | 76.5 | 0.6116 | 0.399 | 50.351 |
| 2 | 23.067 | 3164.4 | 43.4 | 0.9967 | 0.504 | 49.649 |

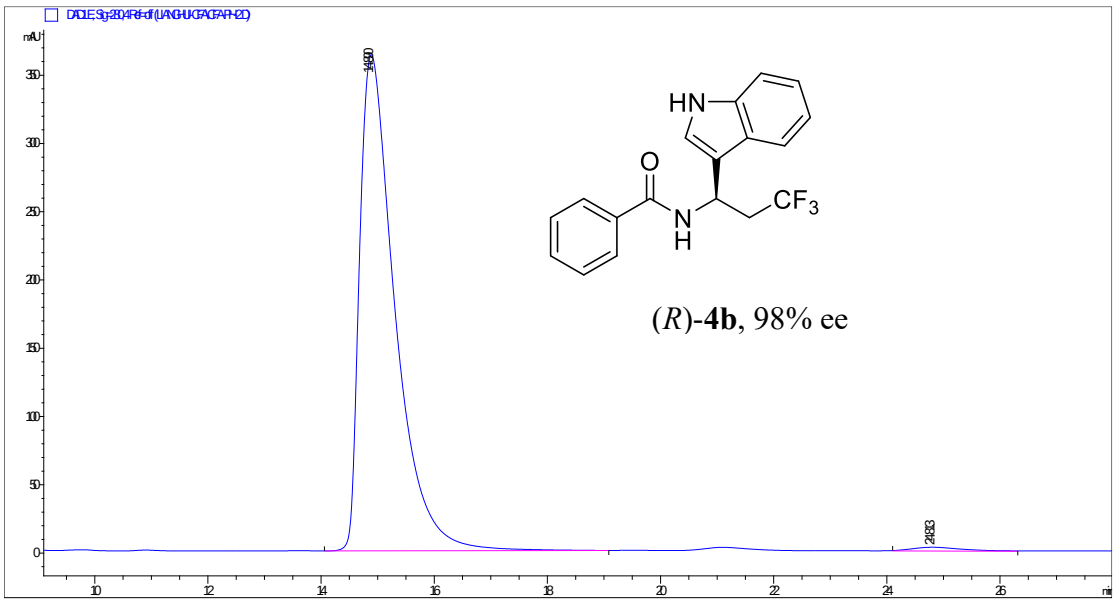



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 11.503 | 20868.6 | 471.7 | 0.6422 | 0.387 | 97.921 |
| 2 | 22.579 | 443.1 | 6.1 | 0.9692 | 0.631 | 2.079 |

**Figure S1.** HPLC traces of *rac*-**4a** (reference) and (*R*)-**4a**.

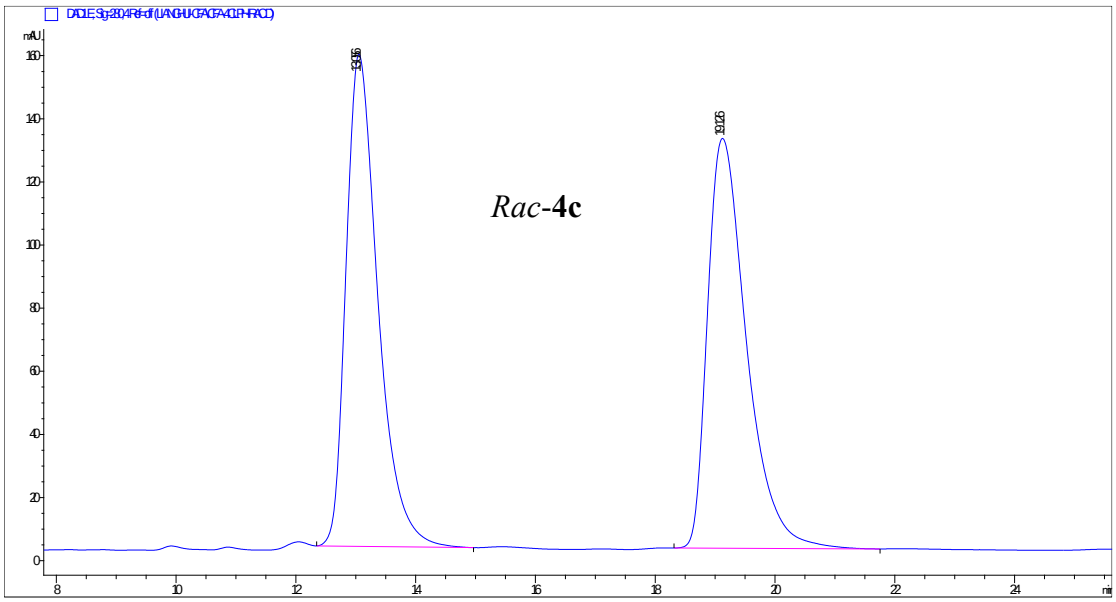



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.884 | 8007.6 | 196.5 | 0.6124 | 0.49 | 50.237 |
| 2 | 22.316 | 7932.1 | 151.3 | 0.8036 | 0.474 | 49.763 |

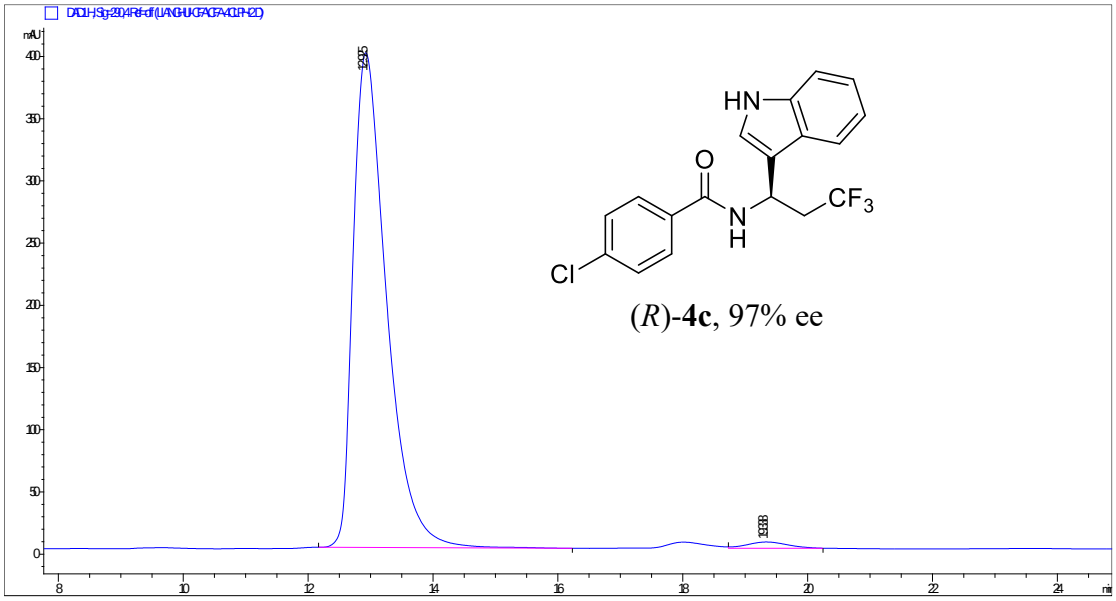



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 14.89 | 15757.4 | 363.3 | 0.662 | 0.447 | 98.901 |
| 2 | 24.813 | 175.1 | 2.8 | 1.0524 | 0.643 | 1.099 |

**Figure S2.** HPLC traces of *rac*-**4b** (reference) and (*R*)-**4b**.

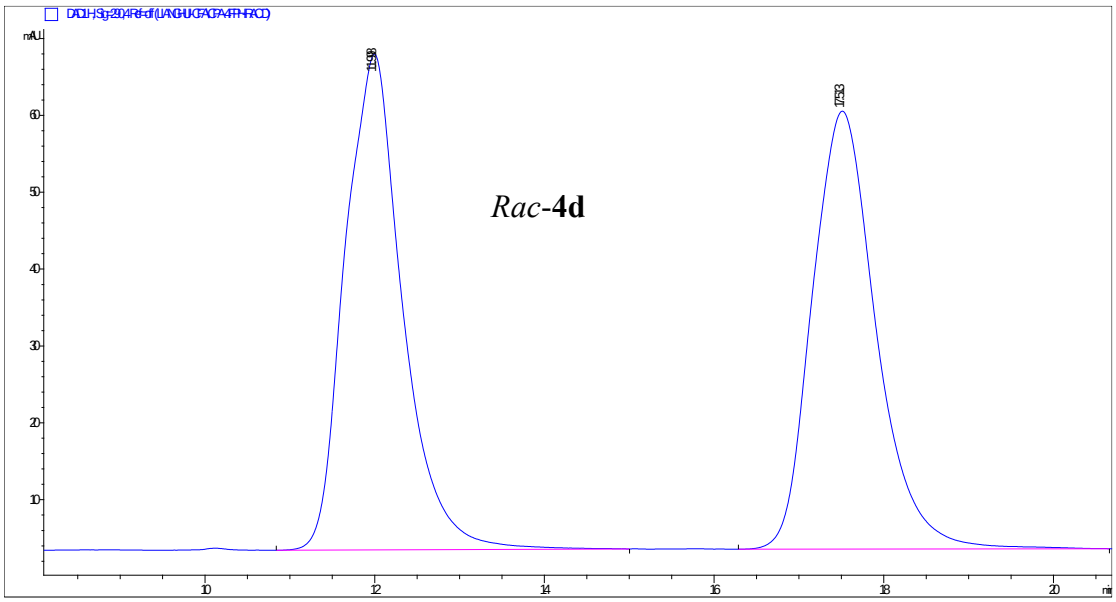



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.056 | 5769.5 | 156 | 0.5775 | 0.63 | 49.555 |
| 2 | 19.126 | 5873.1 | 129.8 | 0.6877 | 0.575 | 50.445 |

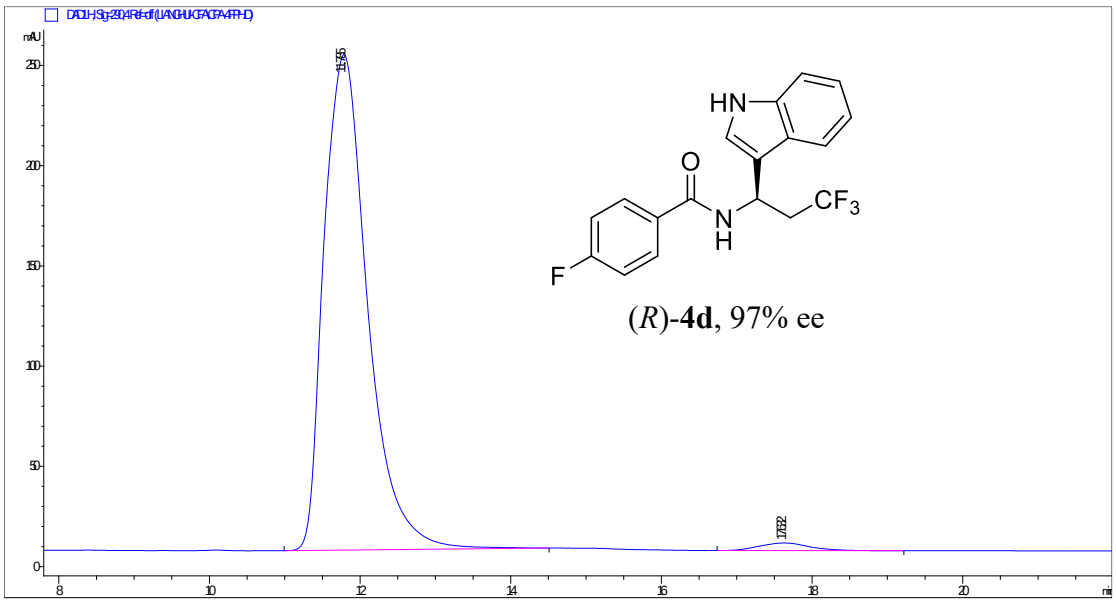



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 12.925 | 14828.9 | 397.7 | 0.5771 | 0.559 | 98.496 |
| 2 | 19.338 | 226.4 | 5 | 0.7541 | 0.881 | 1.504 |

**Figure S3.** HPLC traces of *rac*-**4c** (reference) and (*R*)-**4c**.

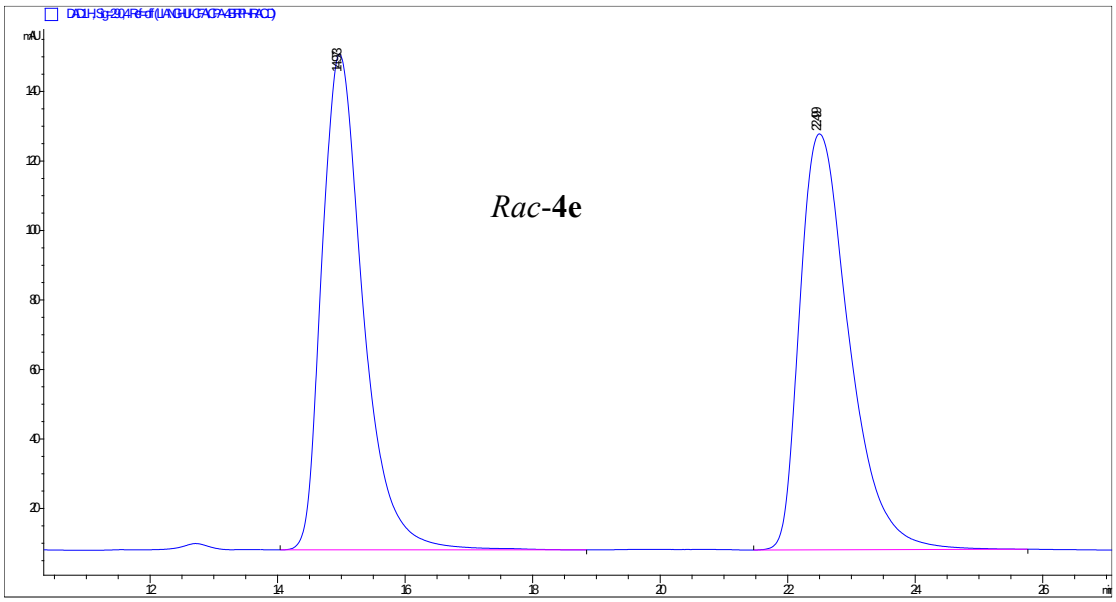



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 11.998 | 3045.9 | 64.5 | 0.6429 | 0.95 | 50.531 |
| 2 | 17.513 | 2981.8 | 57 | 0.8266 | 0.833 | 49.469 |

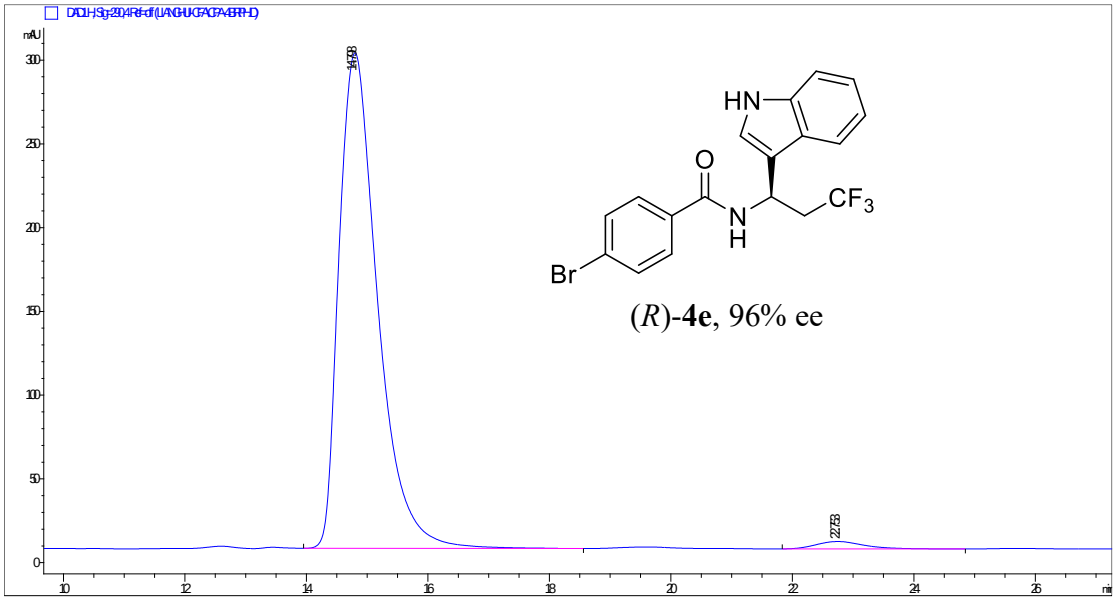



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 11.785 | 9952.8 | 247.1 | 0.6379 | 0.76 | 98.267 |
| 2 | 17.632 | 175.5 | 3.8 | 0.5834 | 0.957 | 1.733 |

**Figure S4.** HPLC traces of *rac*-**4d** (reference) and (*R*)-**4d**.

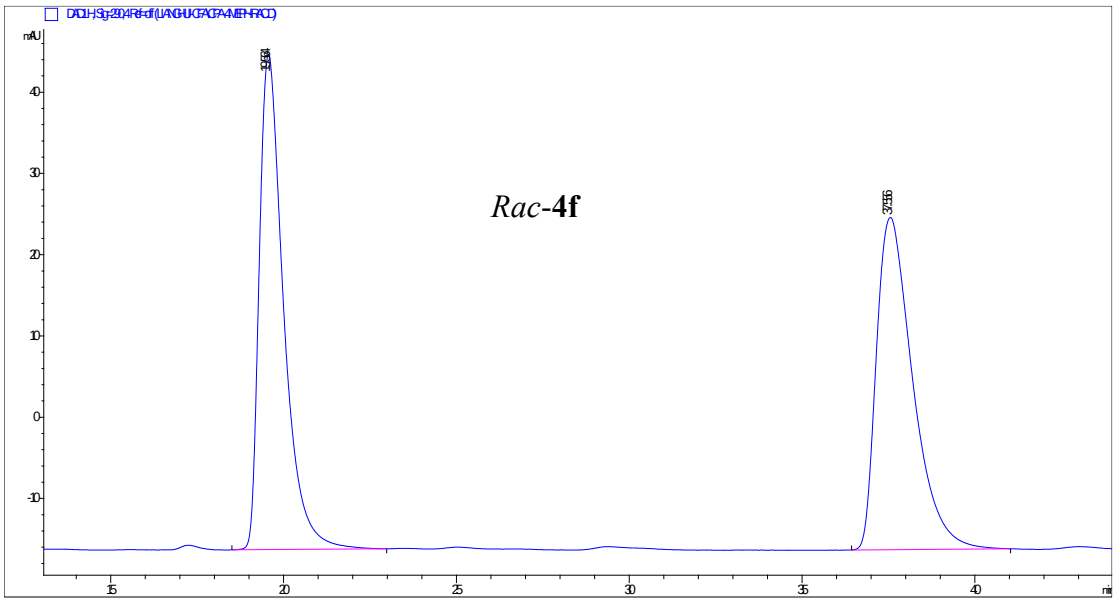



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 14.973 | 6406.5 | 142.7 | 0.6999 | 0.691 | 49.895 |
| 2 | 22.499 | 6433.5 | 119.7 | 0.8231 | 0.615 | 50.105 |

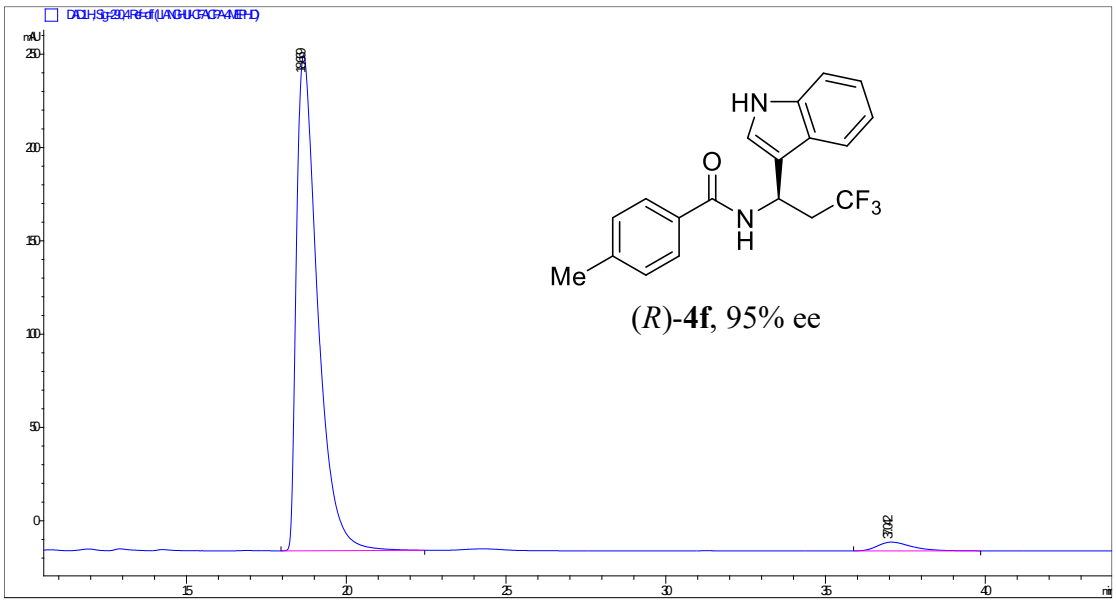



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 14.798 | 13049.7 | 295.9 | 0.6946 | 0.638 | 98.199 |
| 2 | 22.753 | 239.4 | 4.4 | 0.7008 | 0.819 | 1.801 |

**Figure S5.**HPLC traces of *rac*-**4e** (reference) and (*R*)-**4e**.

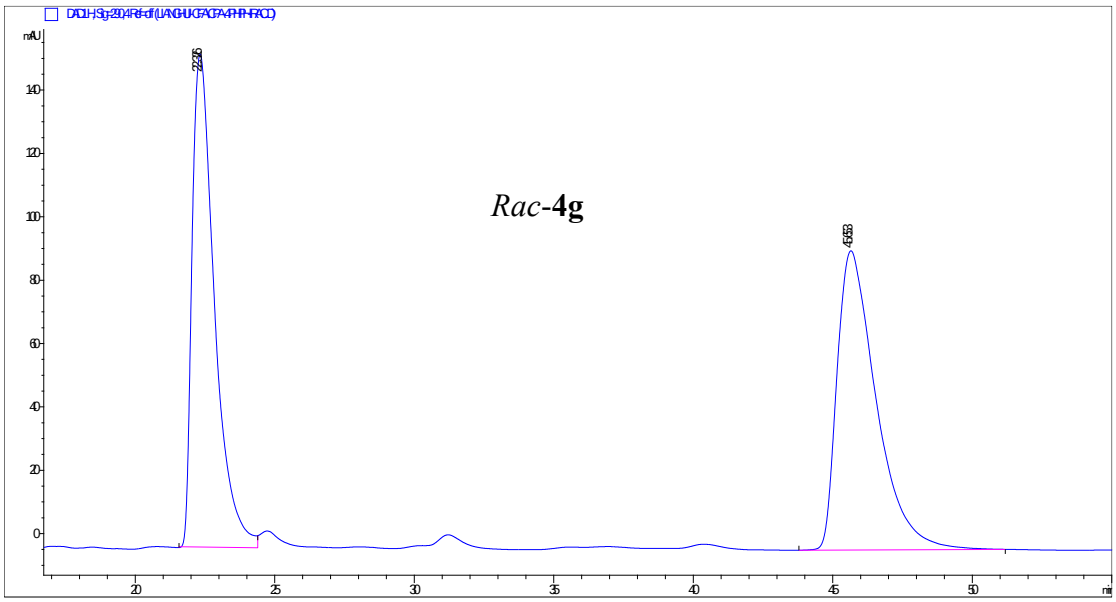



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 19.564 | 2982.8 | 61 | 0.7446 | 0.554 | 50.027 |
| 2 | 37.556 | 2979.7 | 40.9 | 1.0881 | 0.577 | 49.973 |

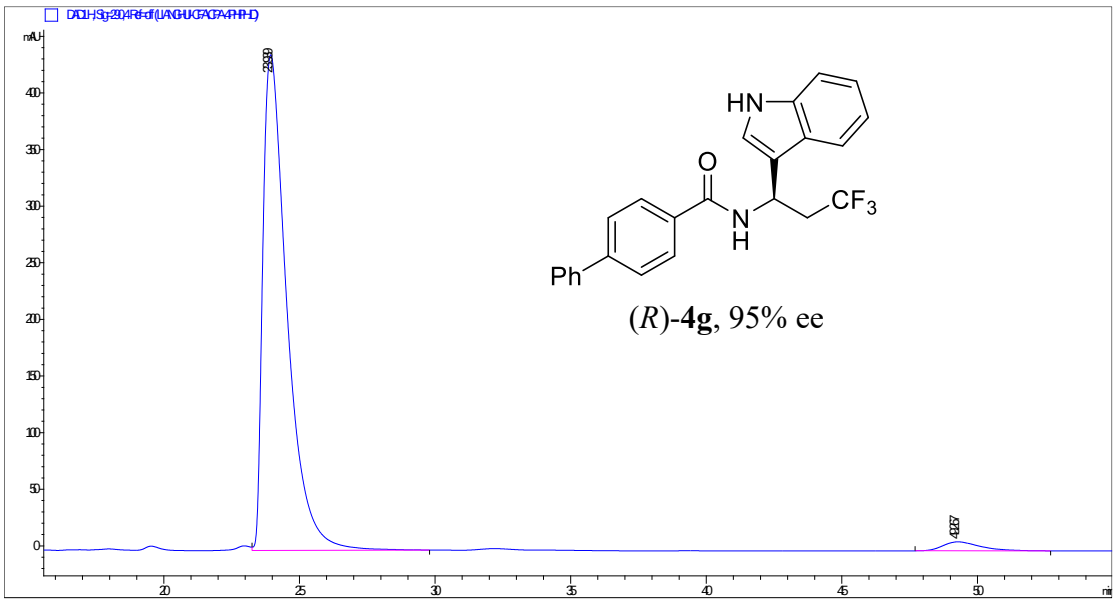



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 18.669 | 12270.2 | 265.8 | 0.7125 | 0.456 | 97.249 |
| 2 | 37.042 | 347 | 4.7 | 0.8804 | 0.604 | 2.751 |

**Figure S6.**HPLC traces of *rac*-**4f** (reference) and (*R*)-**4f**.

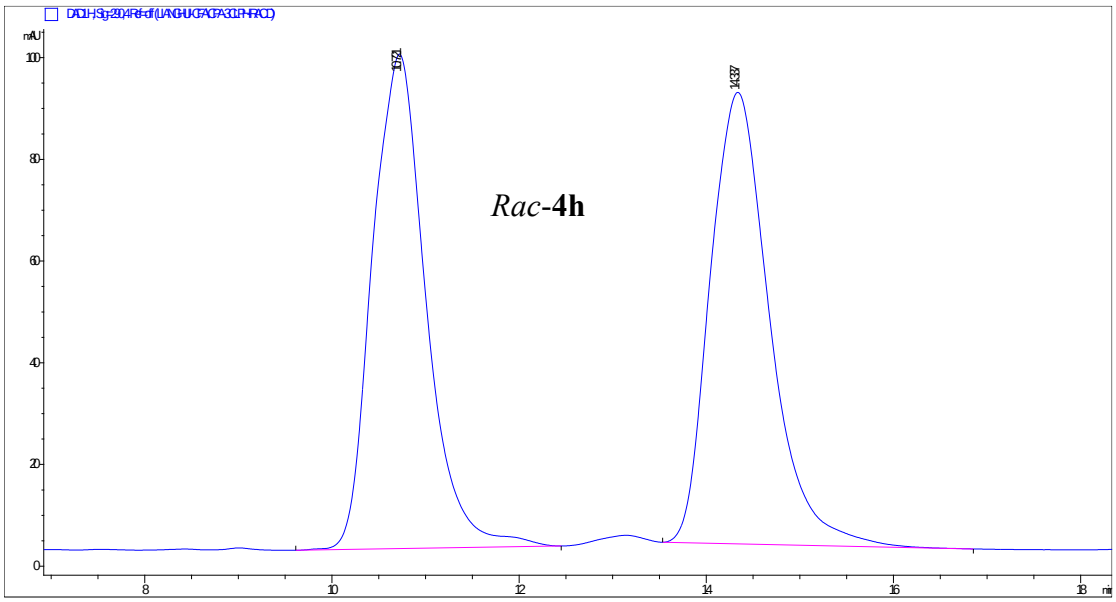



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 22.326 | 8690.5 | 155.6 | 0.9307 | 0 | 48.594 |
| 2 | 45.653 | 9193.5 | 94.4 | 1.3936 | 0.512 | 51.406 |

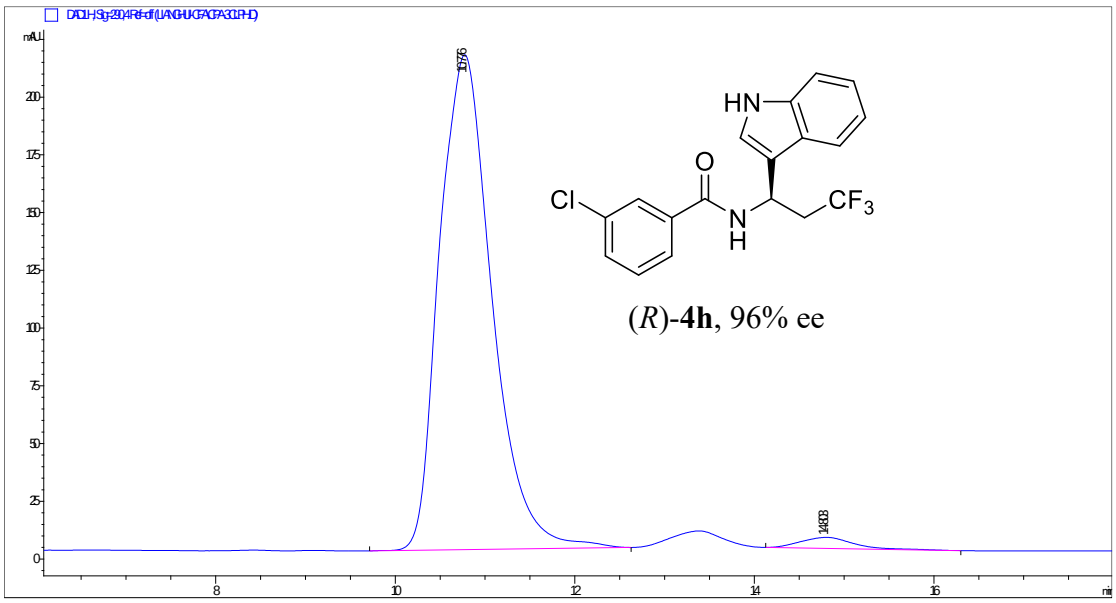



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 23.939 | 27095.7 | 437.7 | 0.9542 | 0.433 | 97.312 |
| 2 | 49.267 | 748.4 | 7.8 | 1.1343 | 0.624 | 2.688 |

**Figure S7.** HPLC traces of *rac*-**4g** (reference) and (*R*)-**4g**.

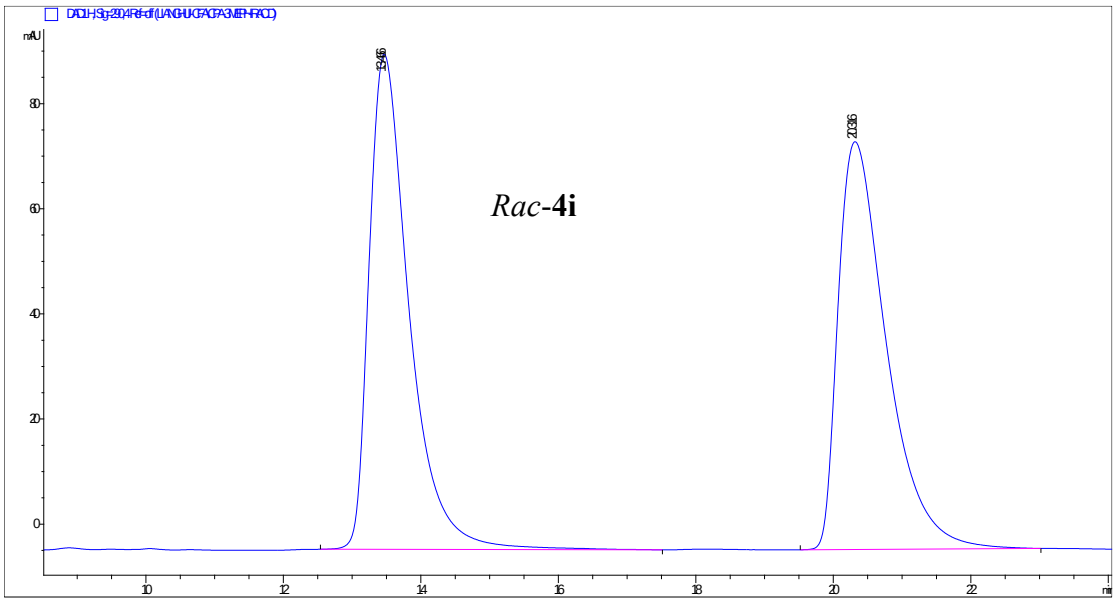



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.721 | 3867.5 | 97.2 | 0.624 | 0.93 | 49.693 |
| 2 | 14.337 | 3915.3 | 88.8 | 0.6944 | 0.803 | 50.307 |

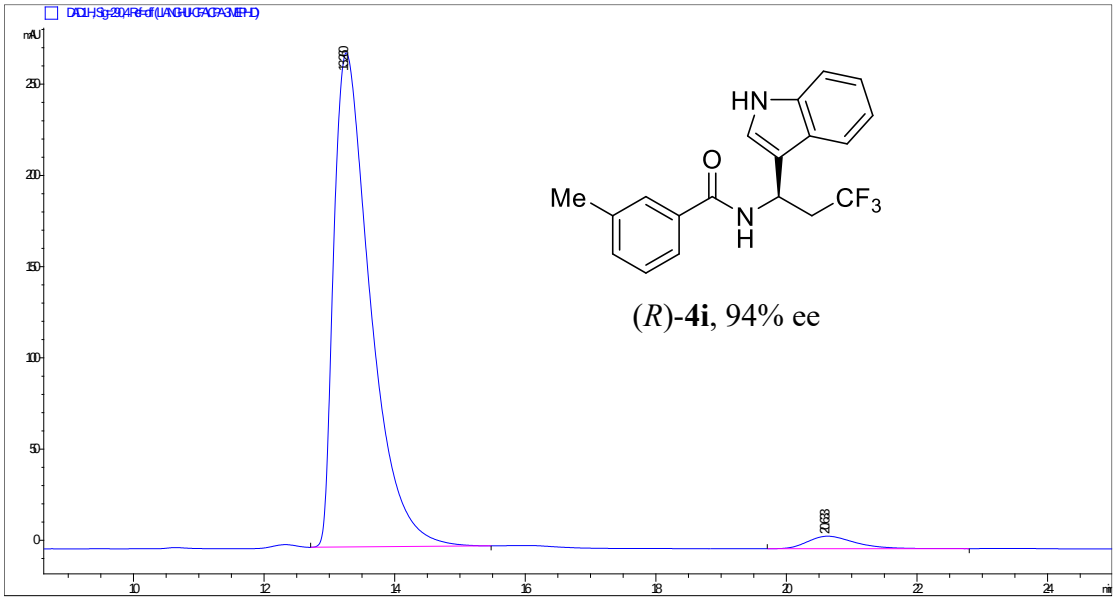



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.776 | 8725 | 214.3 | 0.6451 | 0.842 | 97.813 |
| 2 | 14.803 | 195.1 | 4.8 | 0.5678 | 0.875 | 2.187 |

**Figure S8.**HPLC traces of *rac*-**4h** (reference) and (*R*)-**4h**.

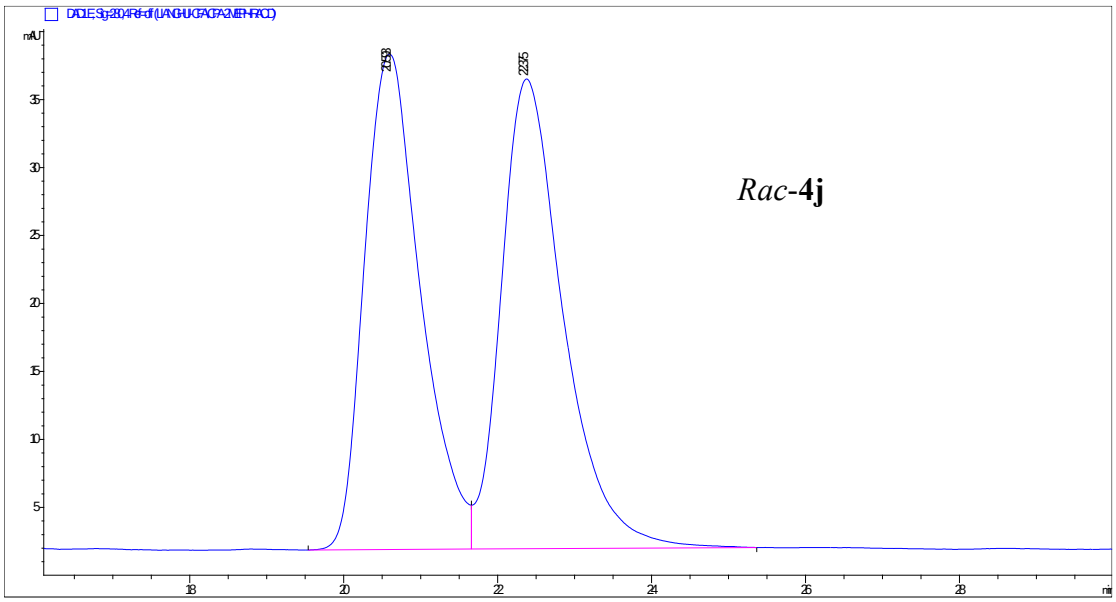



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.466 | 3823.7 | 94.2 | 0.6194 | 0.55 | 50.239 |
| 2 | 20.316 | 3787.3 | 77.6 | 0.7456 | 0.496 | 49.761 |

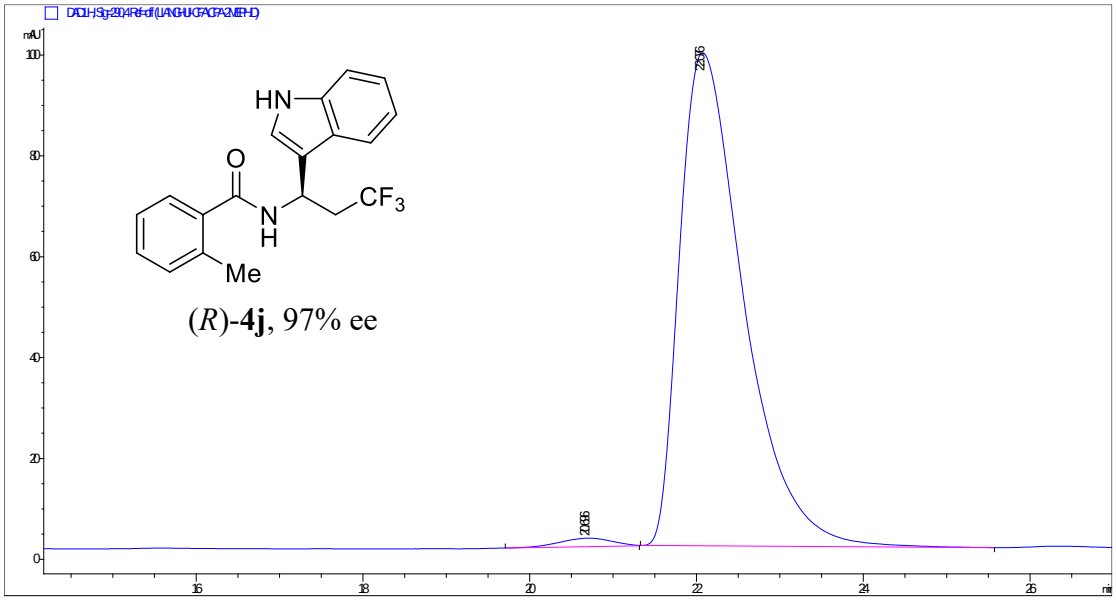



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.26 | 10510.9 | 271 | 0.5926 | 0.472 | 96.837 |
| 2 | 20.633 | 343.3 | 6.8 | 0.7155 | 0.696 | 3.163 |

**Figure S9.**HPLC traces of *rac*-**4i** (reference) and (*R*)-**4i**.

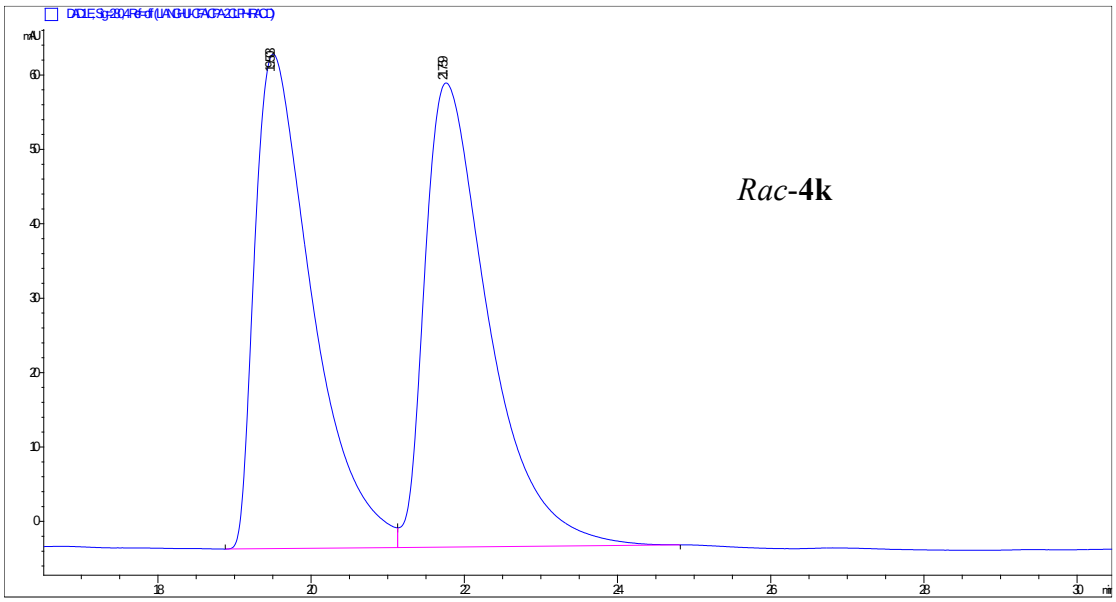



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 20.593 | 1849 | 36.4 | 0.7579 | 0.748 | 48.823 |
| 2 | 22.375 | 1938.1 | 34.6 | 0.8363 | 0.641 | 51.177 |

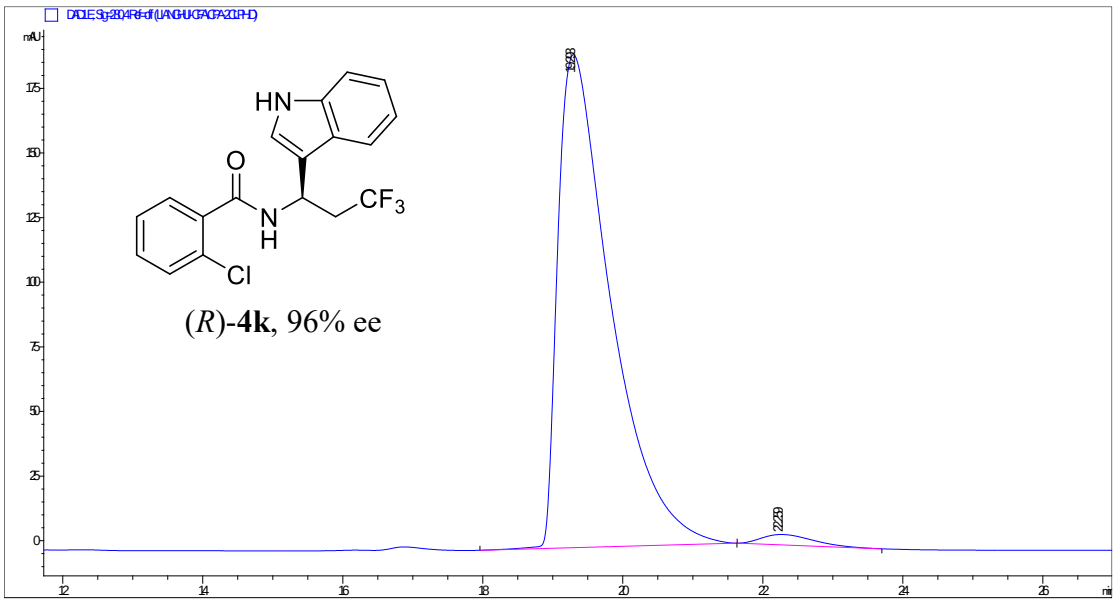



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 20.696 | 75 | 1.7 | 0.7347 | 1.173 | 1.417 |
| 2 | 22.076 | 5219.2 | 97.8 | 0.8148 | 0.521 | 98.583 |

**Figure S10.** HPLC traces of *rac*-**4j** (reference) and (*R*)-**4j**.

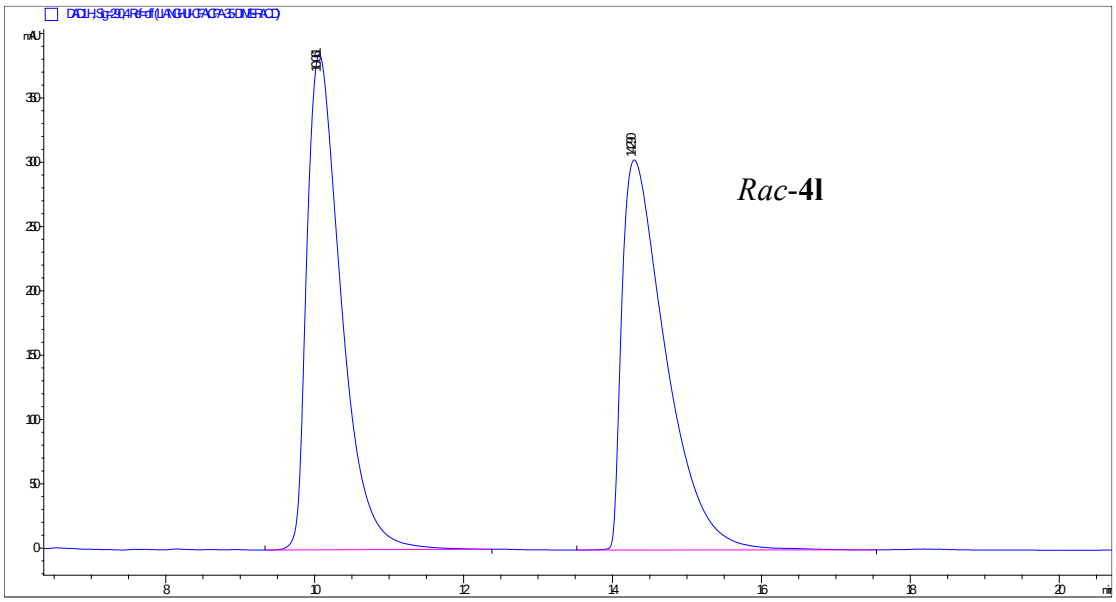



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 19.503 | 3468.6 | 66.5 | 0.7747 | 0.433 | 48.993 |
| 2 | 21.759 | 3611.1 | 62.4 | 0.8554 | 0.468 | 51.007 |

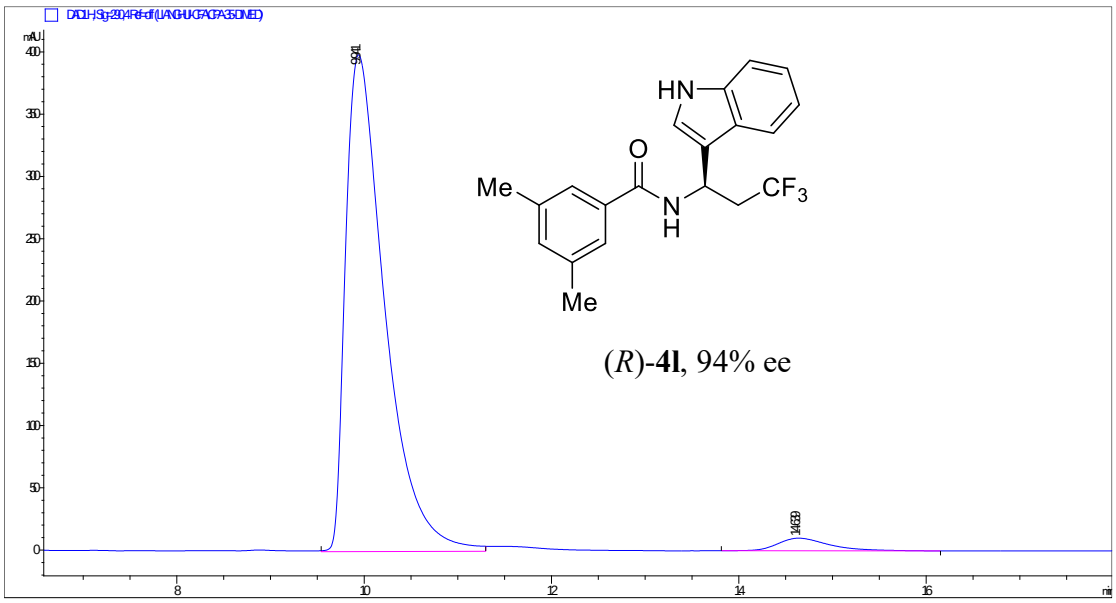



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 19.293 | 10022.8 | 190.7 | 0.7792 | 0.378 | 97.991 |
| 2 | 22.259 | 205.5 | 4 | 0.7076 | 0.575 | 2.009 |

**Figure S11.** HPLC traces of *rac*-**4k** (reference) and (*R*)-**4k**.

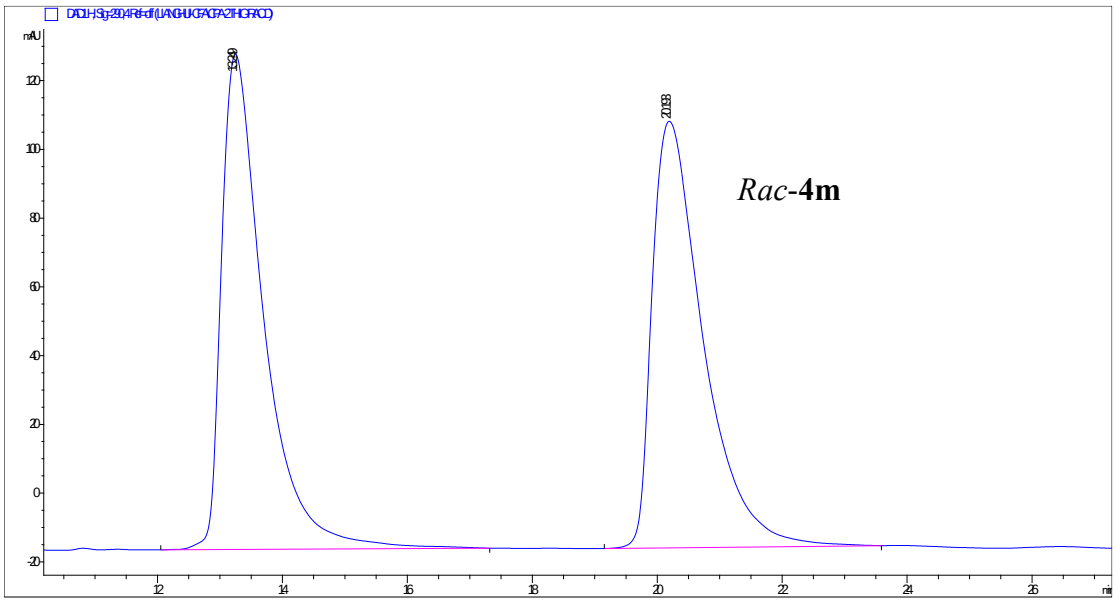



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.061 | 12364.6 | 385.5 | 0.4882 | 0.522 | 49.923 |
| 2 | 14.29 | 12402.9 | 303.2 | 0.6072 | 0.354 | 50.077 |

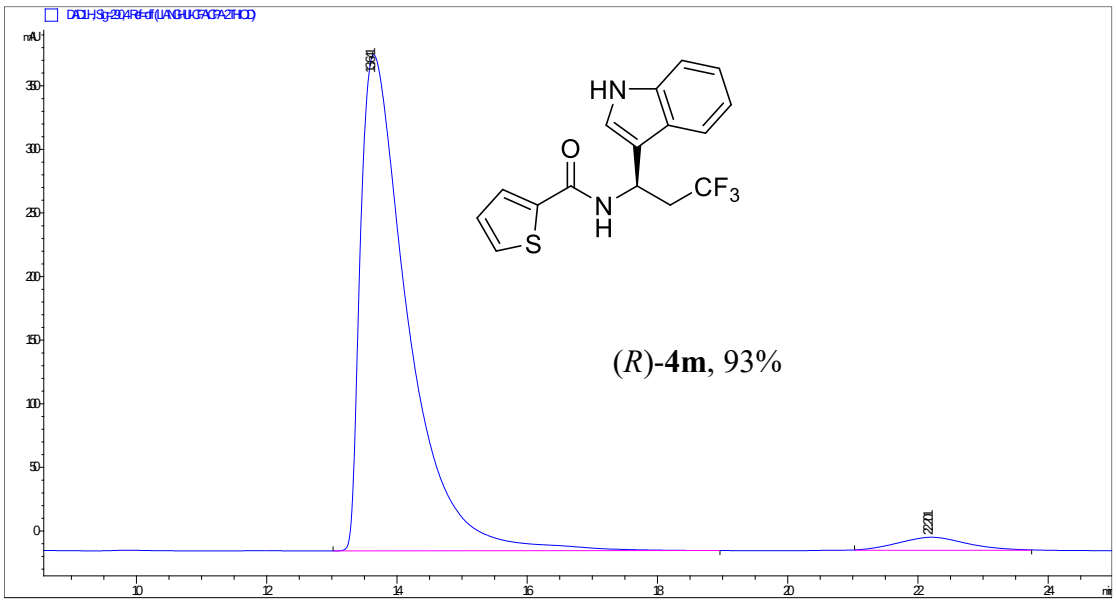



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 9.941 | 11622.4 | 399 | 0.4855 | 0.471 | 96.783 |
| 2 | 14.639 | 386.3 | 10.1 | 0.5639 | 0.648 | 3.217 |

**Figure S12.** HPLC traces of *rac*-**4l** (reference) and (*R*)-**4l**.

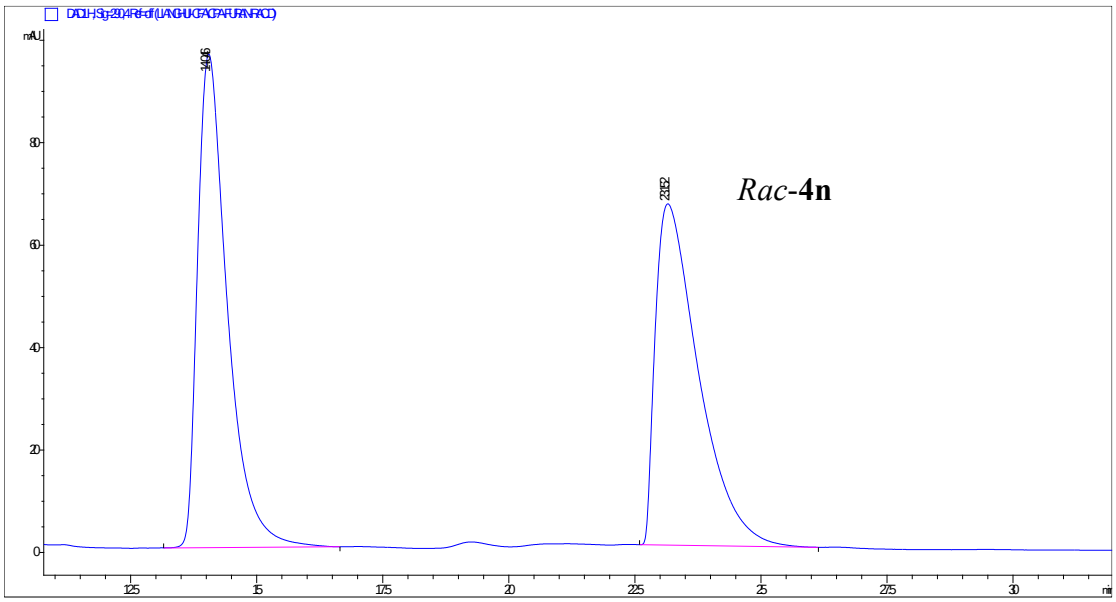



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.249 | 6807.2 | 144.1 | 0.703 | 0.447 | 49.132 |
| 2 | 20.193 | 7047.6 | 124.1 | 0.8406 | 0.466 | 50.868 |

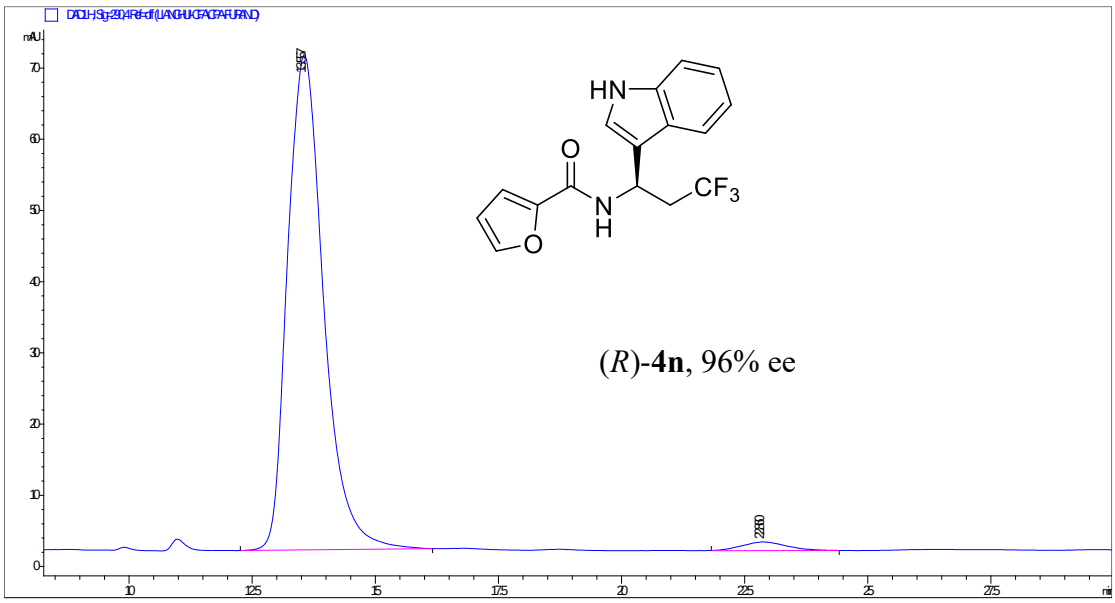



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.641 | 19786.8 | 390 | 0.7619 | 0.346 | 96.409 |
| 2 | 22.201 | 737.1737.1 | 10.2 | 1.2082 | 0.908 | 3.591 |

**Figure S13.** HPLC traces of *rac*-**4m** (reference) and (*R*)-**4m**.

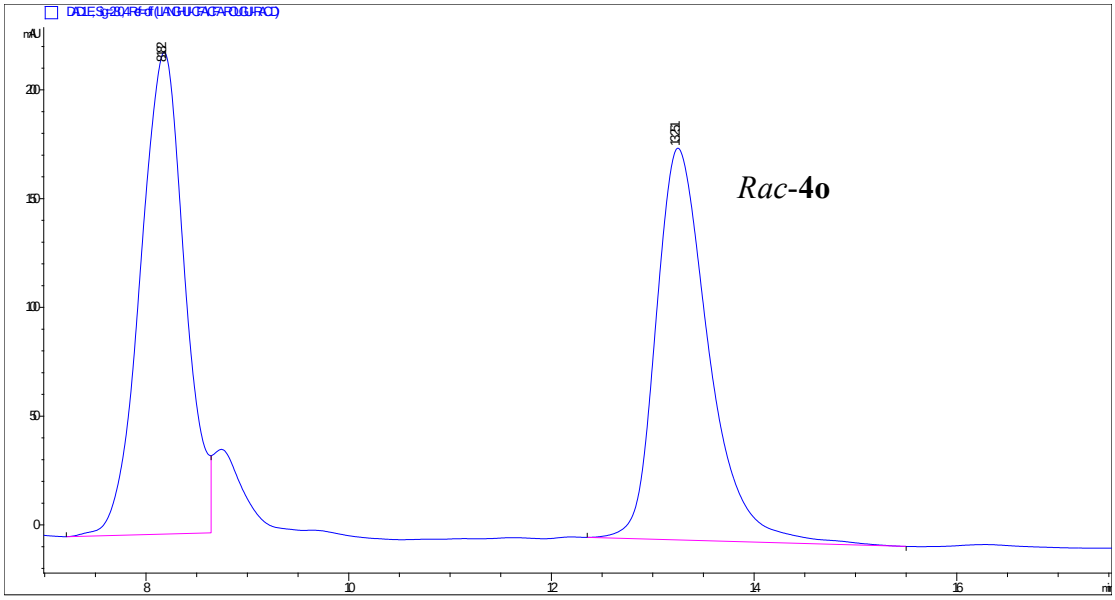



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 14.046 | 3974.1 | 96.4 | 0.6252 | 0.541 | 50.053 |
| 2 | 23.152 | 3965.7 | 66.6 | 0.9063 | 0.378 | 49.947 |

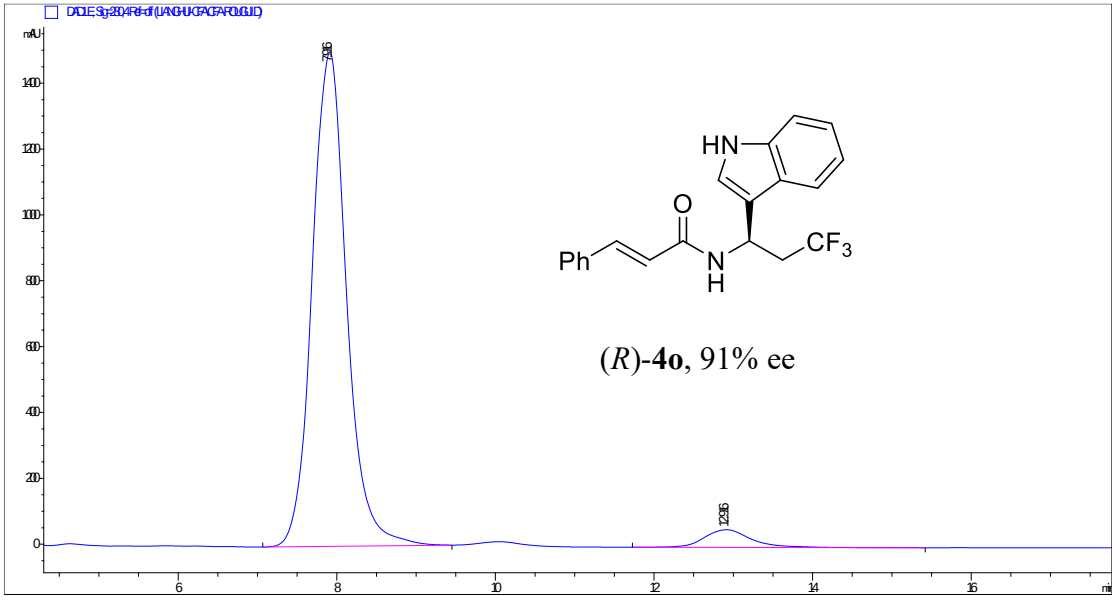



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.557 | 3453.7 | 69.5 | 0.8145 | 0.788 | 97.861 |
| 2 | 22.86 | 77.4 | 1.2 | 1.0558 | 0.836 | 2.139 |

**Figure S14.** HPLC traces of *rac*-**4n** (reference) and (*R*)-**4n**.

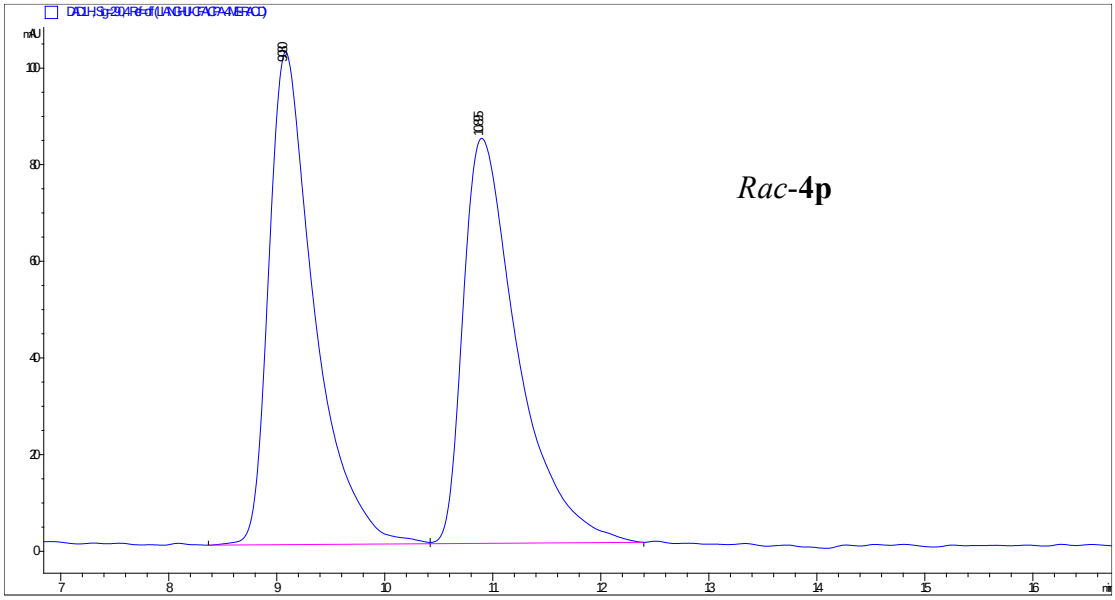



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 8.182 | 6739.7 | 221.5 | 0.4769 | 1.118 | 50.861 |
| 2 | 13.251 | 6511.5 | 180.1 | 0.5577 | 0.67 | 49.139 |

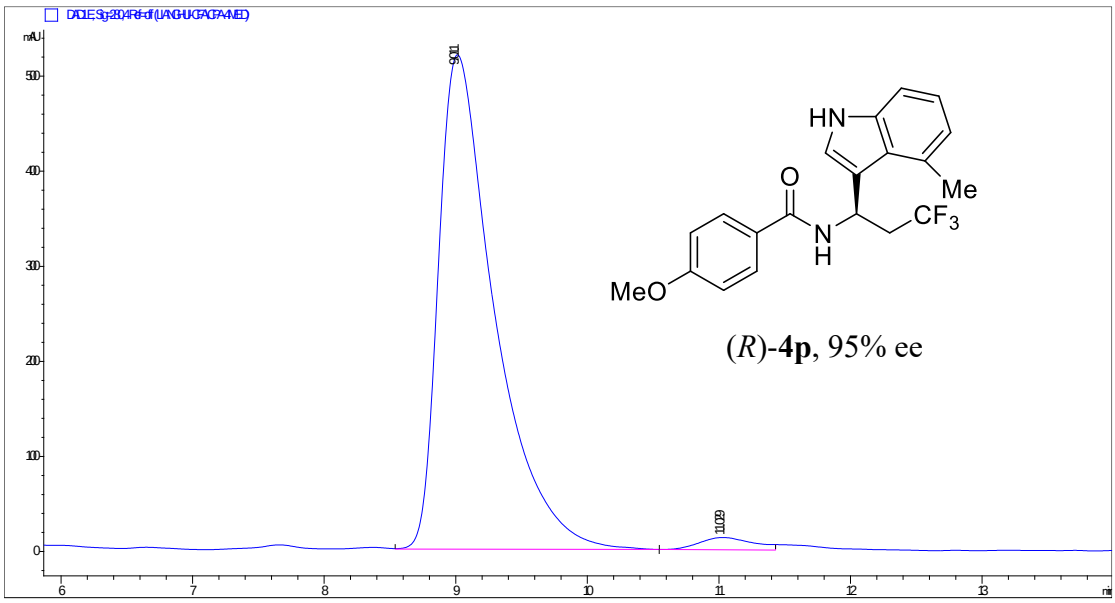



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 7.916 | 45260.3 | 1501.7 | 0.4696 | 0.973 | 95.311 |
| 2 | 12.916 | 2226.9 | 53.8 | 0.6353 | 0.843 | 4.689 |

**Figure S15.** HPLC traces of *rac*-**4o** (reference) and (*R*)-**4o**.

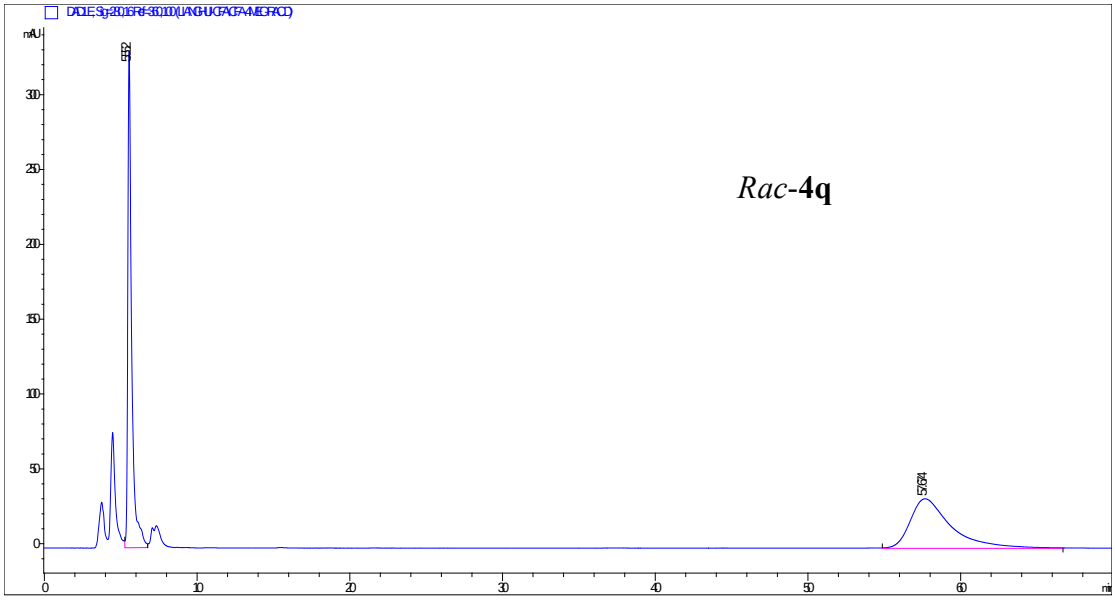



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 9.08 | 2996.5 | 101.9 | 0.4329 | 0.539 | 50.552 |
| 2 | 10.895 | 2931.1 | 83.8 | 0.522 | 0.477 | 49.448 |

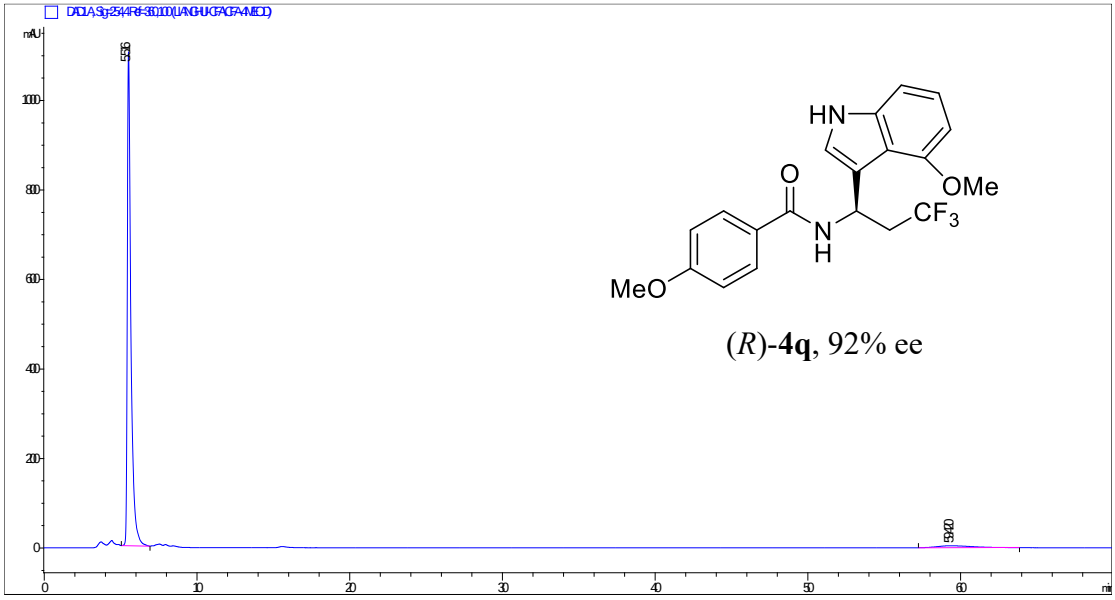



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 9.011 | 15365.8 | 520.1 | 0.4367 | 0.469 | 97.664 |
| 2 | 11.029 | 368 | 12.9 | 0.474 | 0.748 | 2.339 |

**Figure S16.** HPLC traces of *rac*-**4p** (reference) and (*R*)-**4p**.

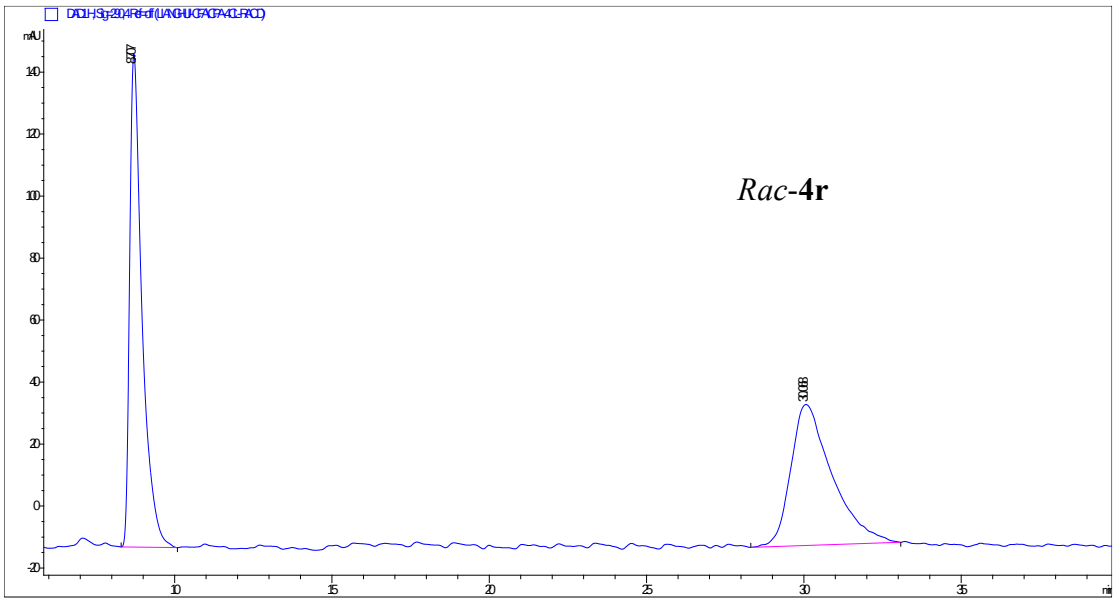



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 5.552 | 5819.5 | 331.1 | 0.2929 | 0.497 | 48.490 |
| 2 | 57.674 | 6181.9 | 33.1 | 3.1092 | 0.548 | 51.510 |

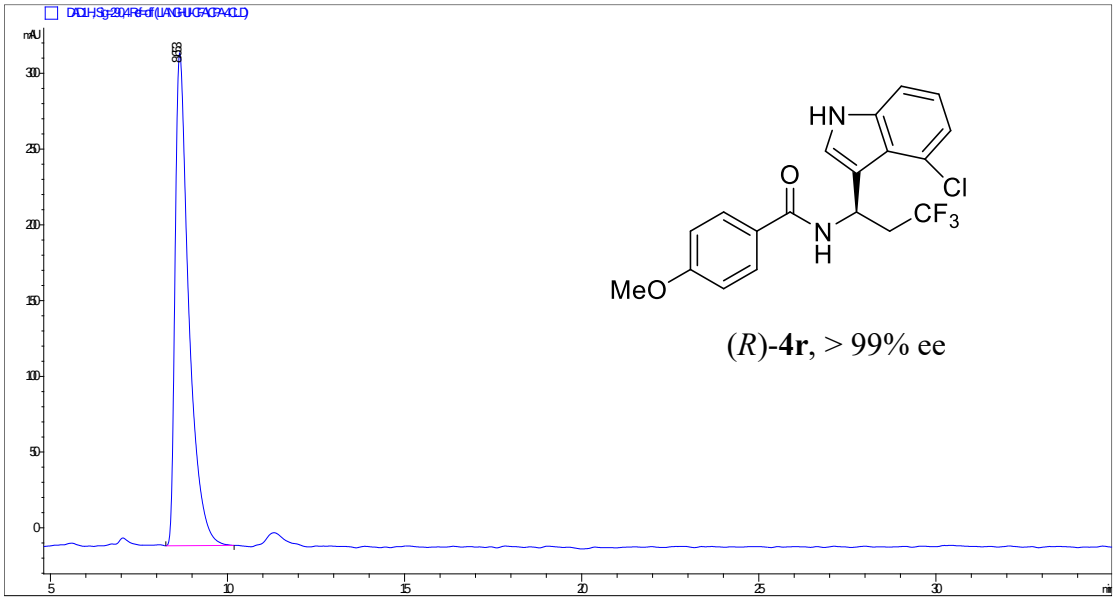



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 5.516 | 18113.6 | 1103.7 | 0.2375 | 0.477 | 96.070 |
| 2 | 59.42 | 740.9 | 4.6 | 2.7083 | 0.744 | 3.930 |

**Figure S17.** HPLC traces of *rac*-**4q** (reference) and (*R*)-**4q**.

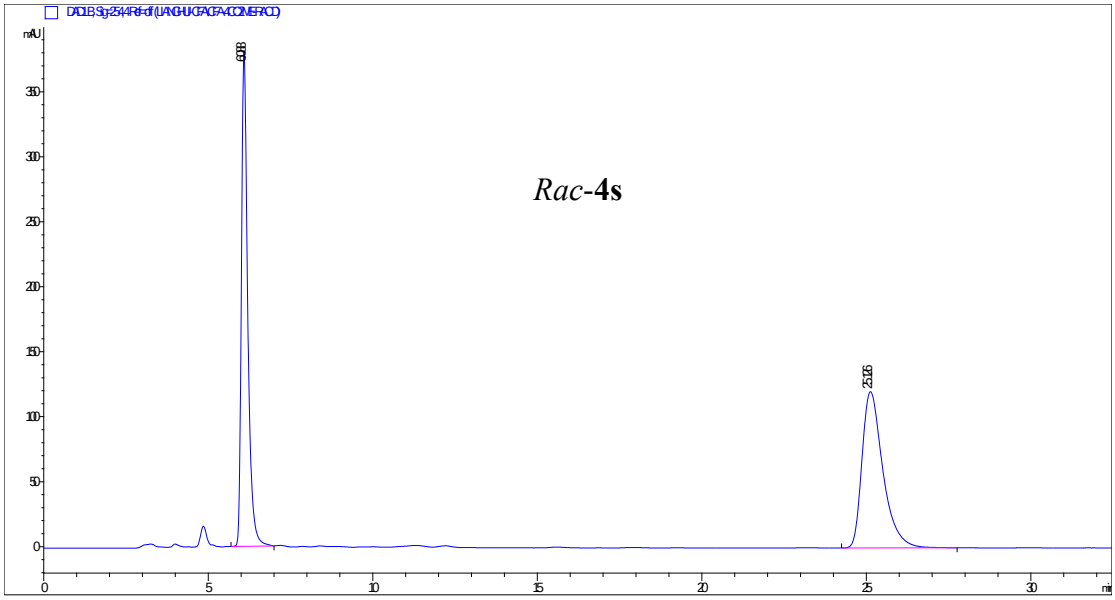



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 8.707 | 4346.4 | 159.1 | 0.4048 | 0.475 | 51.095 |
| 2 | 30.068 | 4160.1 | 45.5 | 1.2507 | 0.551 | 48.905 |

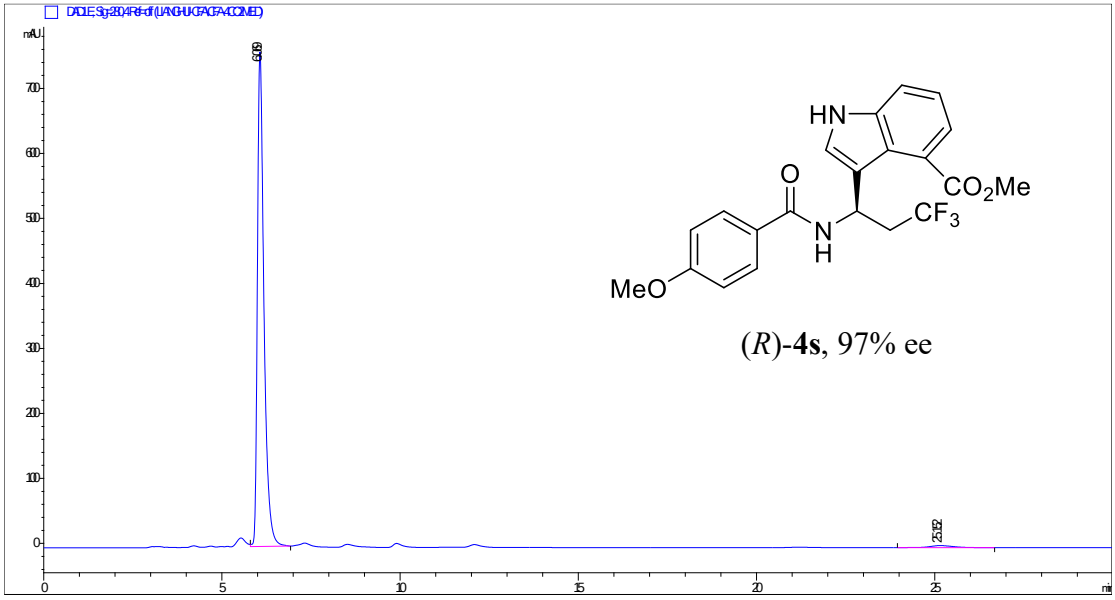



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 8.653 | 8809.8 | 325.4 | 0.3999 | 0.461 | 100.000 |
| 2 | | | | | | |

**Figure S18.** HPLC traces of *rac*-**4r** (reference) and (*R*)-**4r**.

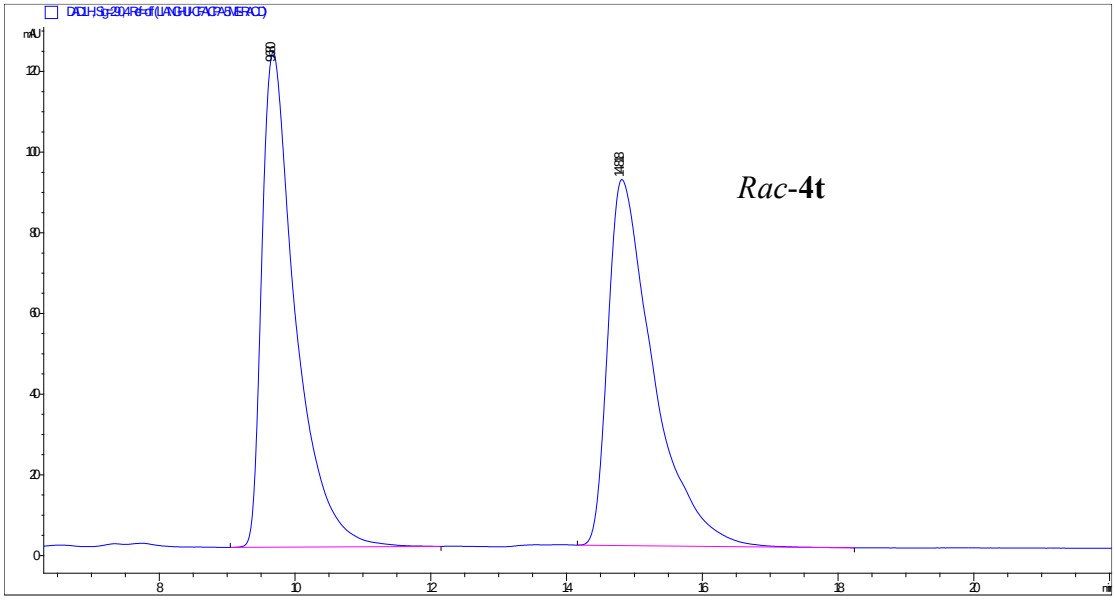



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 6.088 | 5083.4 | 380.3 | 0.1999 | 0.646 | 48.940 |
| 2 | 25.126 | 5303.5 | 120.3 | 0.6705 | 0.633 | 51.060 |

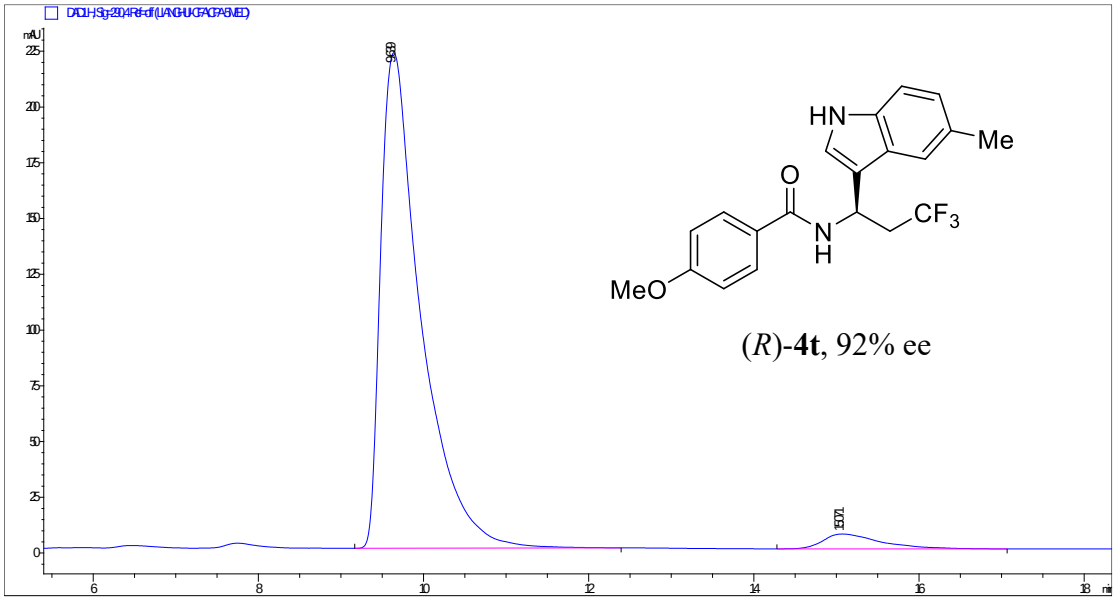



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 6.069 | 9729.2 | 761.3 | 0.1931 | 0.589 | 98.478 |
| 2 | 25.152 | 150.4 | 3.2 | 0.5635 | 0.797 | 1.522 |

**Figure S19.** HPLC traces of *rac*-**4s** (reference) and (*R*)-**4s**.

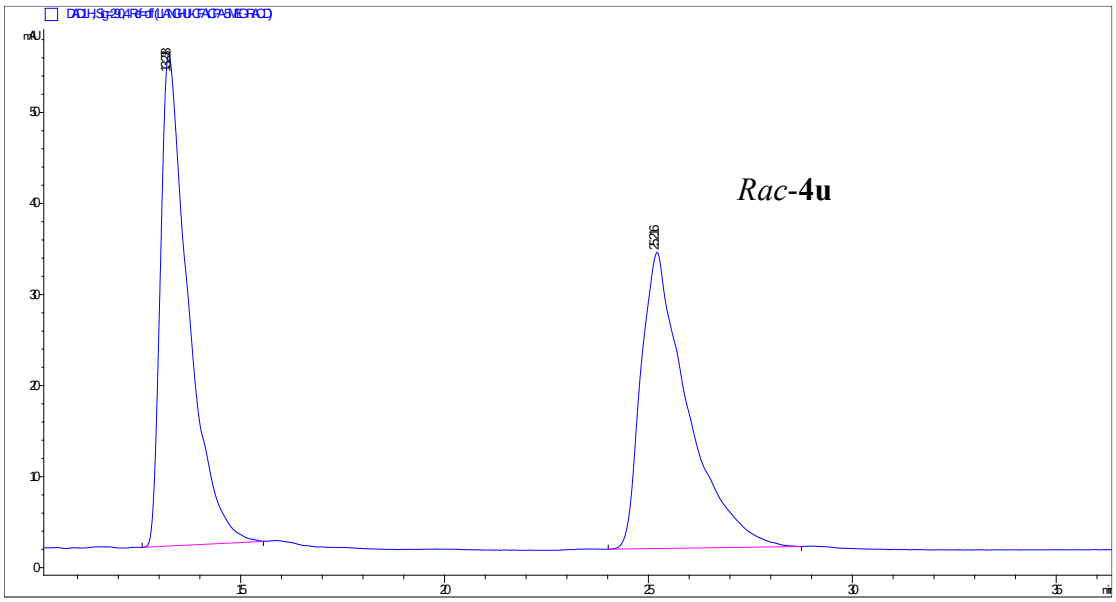



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 9.68 | 4231.8 | 122.8 | 0.5102 | 0.453 | 50.160 |
| 2 | 14.818 | 4204.7 | 90.7 | 0.6706 | 0.416 | 49.840 |

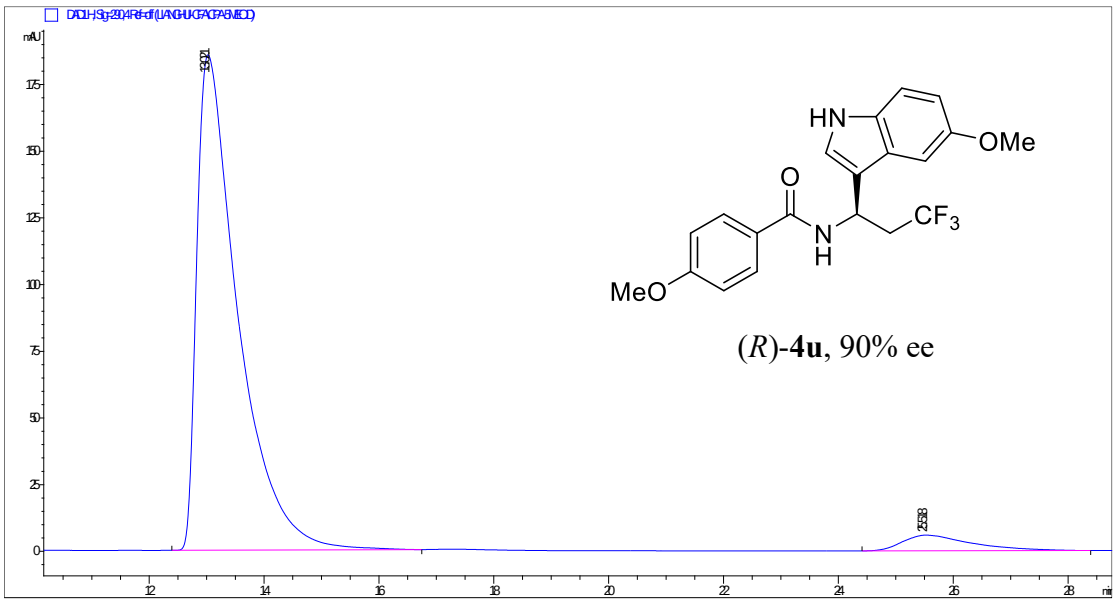



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 9.639 | 7529 | 222.2 | 0.4913 | 0.433 | 95.919 |
| 2 | 15.071 | 320.4 | 6.6 | 0.6628 | 0.48 | 4.081 |

**Figure S20.** HPLC traces of *rac*-**4t** (reference) and (*R*)-**4t**.

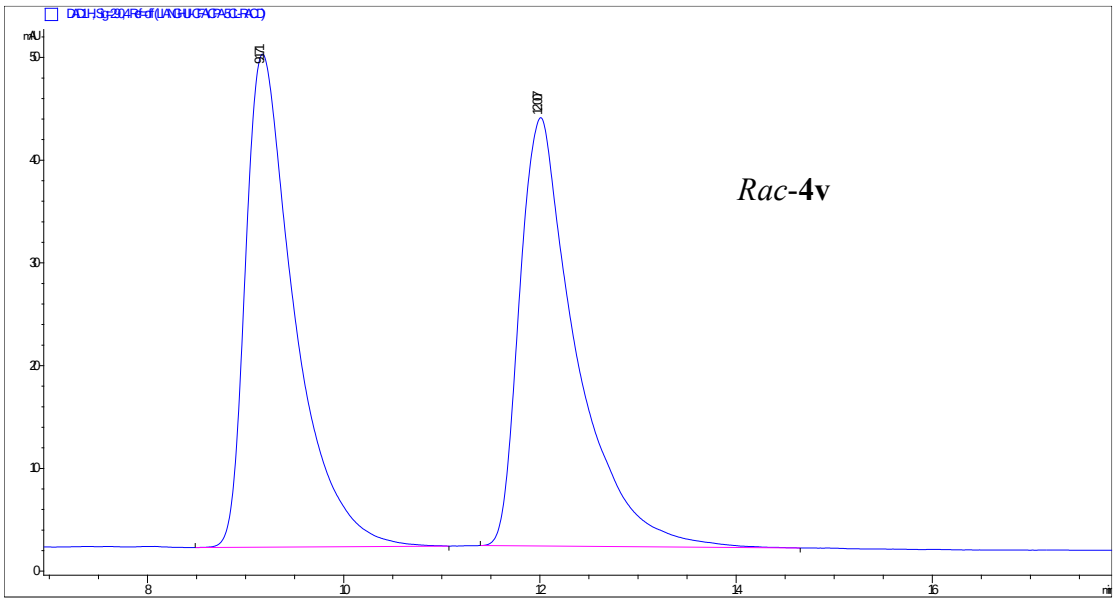



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.238 | 2571.1 | 54 | 0.6871 | 0.381 | 49.938 |
| 2 | 25.216 | 2577.5 | 32.5 | 1.0086 | 0.49 | 50.062 |

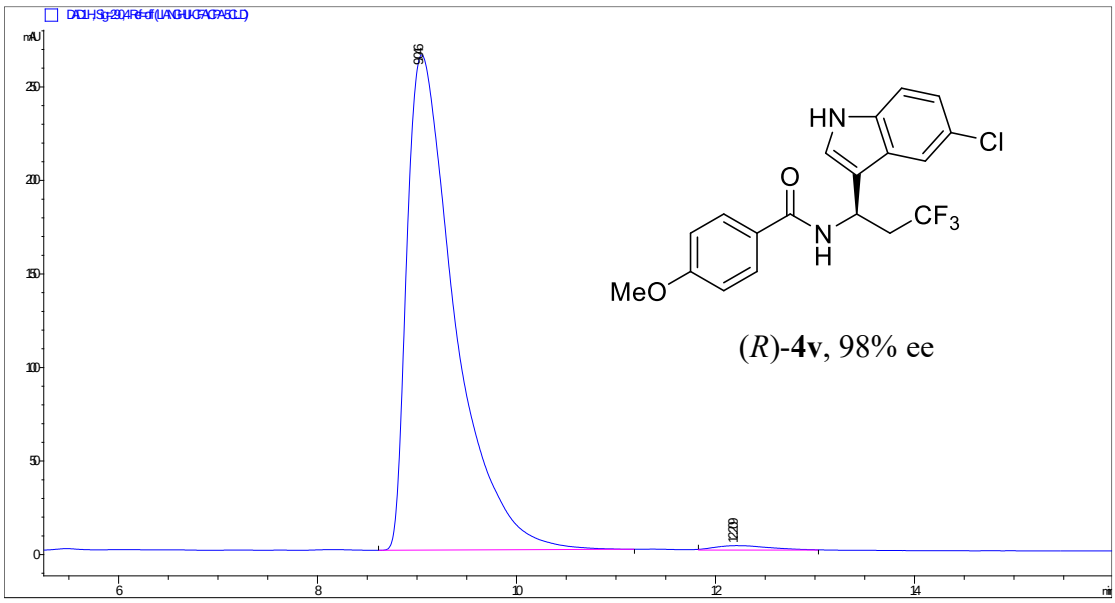



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.021 | 9230.1 | 185.7 | 0.7137 | 0.341 | 94.972 |
| 2 | 25.518 | 488.6 | 5.9 | 1.0294 | 0.48 | 5.028 |

**Figure S21.** HPLC traces of *rac*-**4u** (reference) and (*R*)-**4u**.

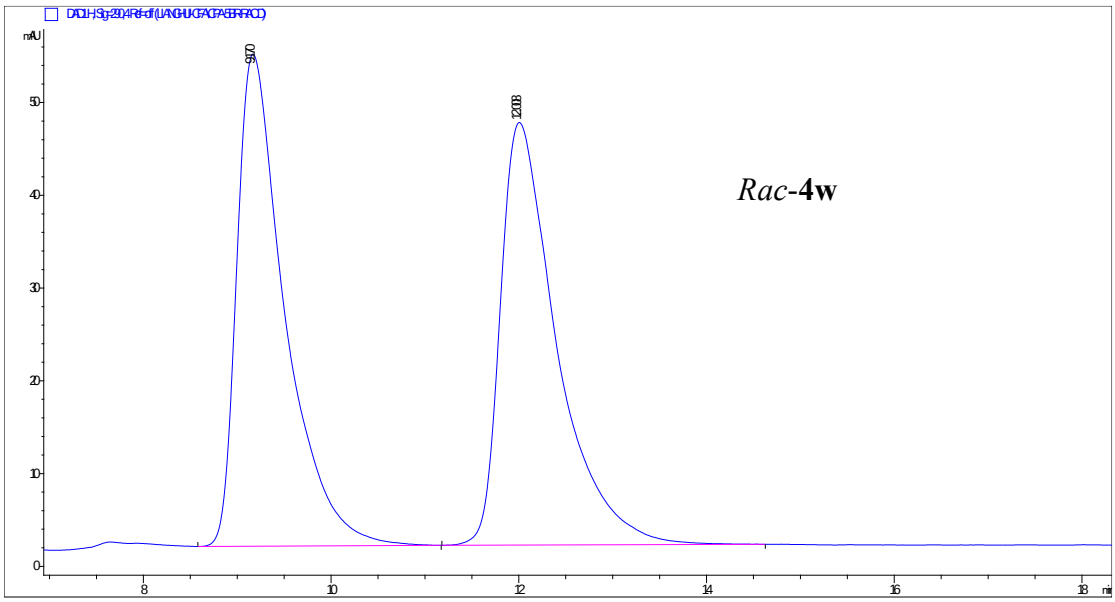



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 9.171 | 1661.9 | 48 | 0.512 | 0.489 | 49.858 |
| 2 | 12.007 | 1671.4 | 41.7 | 0.5777 | 0.536 | 50.142 |

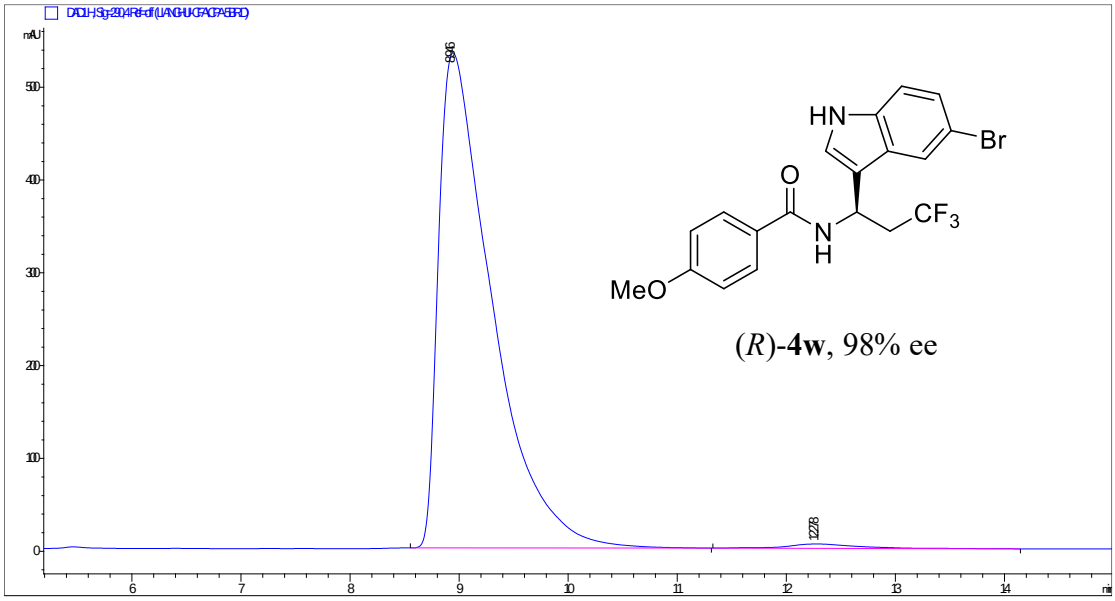



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 9.046 | 8847.4 | 264.8 | 0.5138 | 0.402 | 99.004 |
| 2 | 12.209 | 89 | 2.3 | 0.6397 | 0.6397 | 0.996 |

**Figure S22.** HPLC traces of *rac*-**4v** (reference) and (*R*)-**4v**.

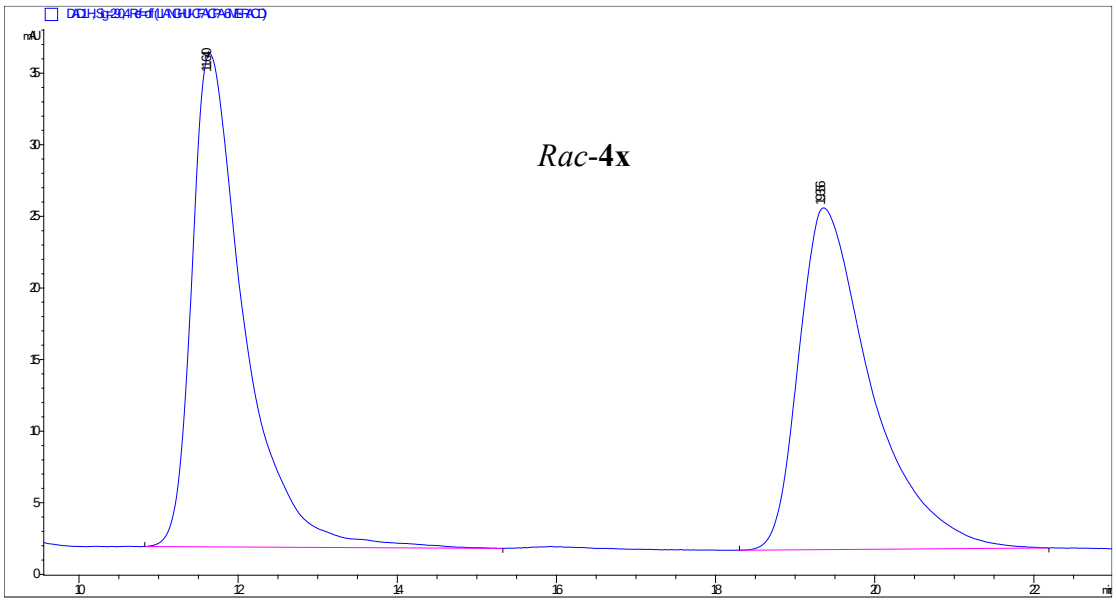



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 9.17 | 1883 | 53.1 | 0.5219 | 0.465 | 49.830 |
| 2 | 12.008 | 1895.8 | 45.6 | 0.5975 | 0.476 | 50.170 |

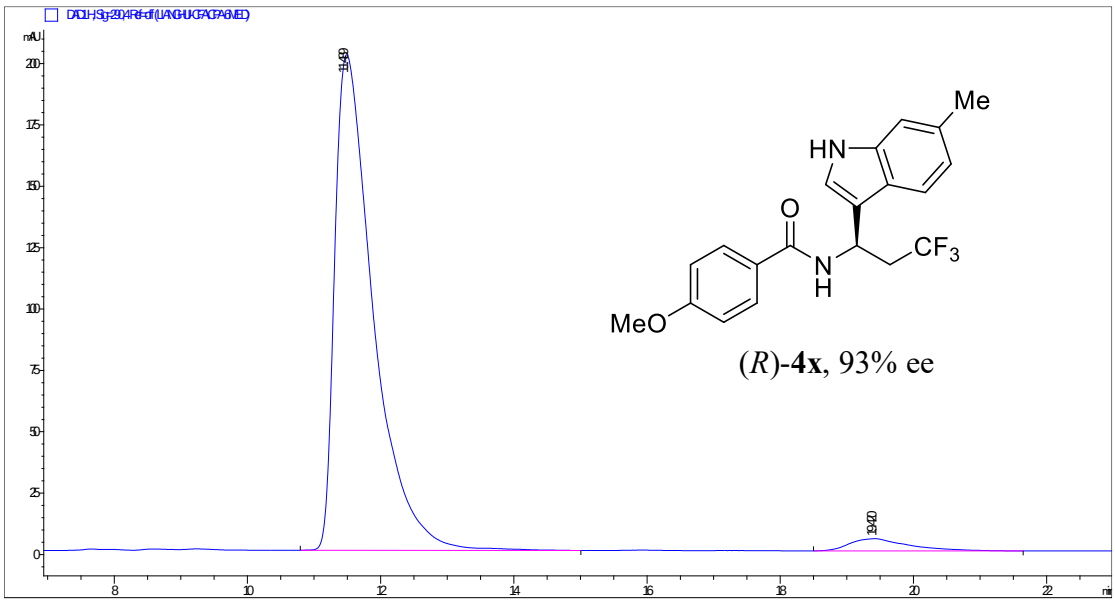



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 8.946 | 18158 | 533.6 | 0.493 | 0.339 | 98.841 |
| 2 | 12.278 | 212.9 | 4.7 | 0.593 | 0.664 | 1.159 |

**Figure S23.** HPLC traces of *rac*-**4w** (reference) and (*R*)-**4w**.

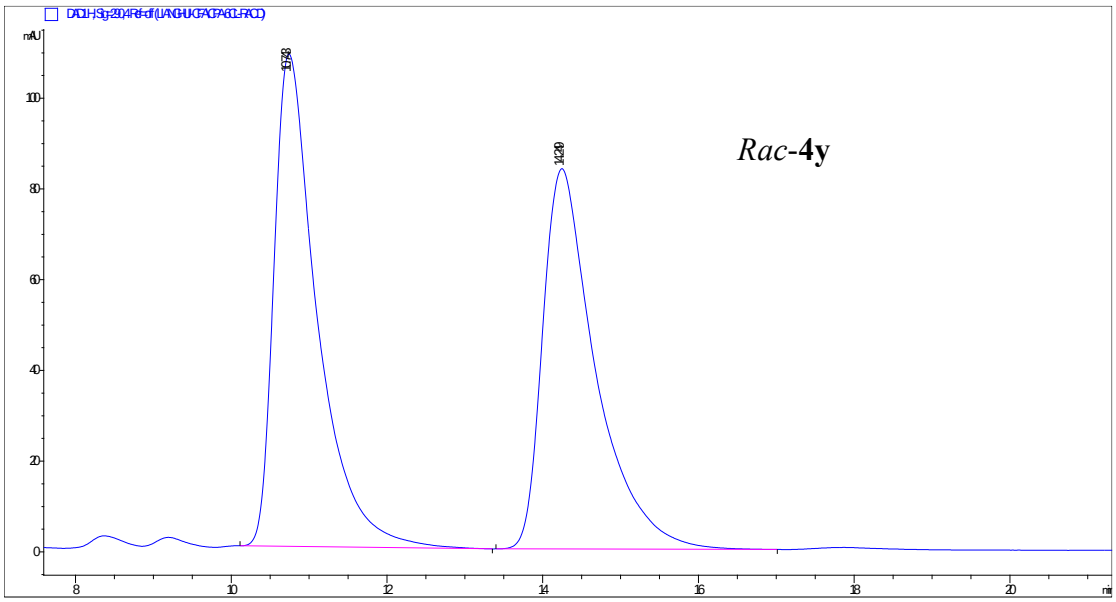



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 11.64 | 1578.2 | 34.4 | 0.6671 | 0.477 | 51.175 |
| 2 | 19.356 | 1505.7 | 23.9 | 0.9223 | 0.5 | 48.825 |

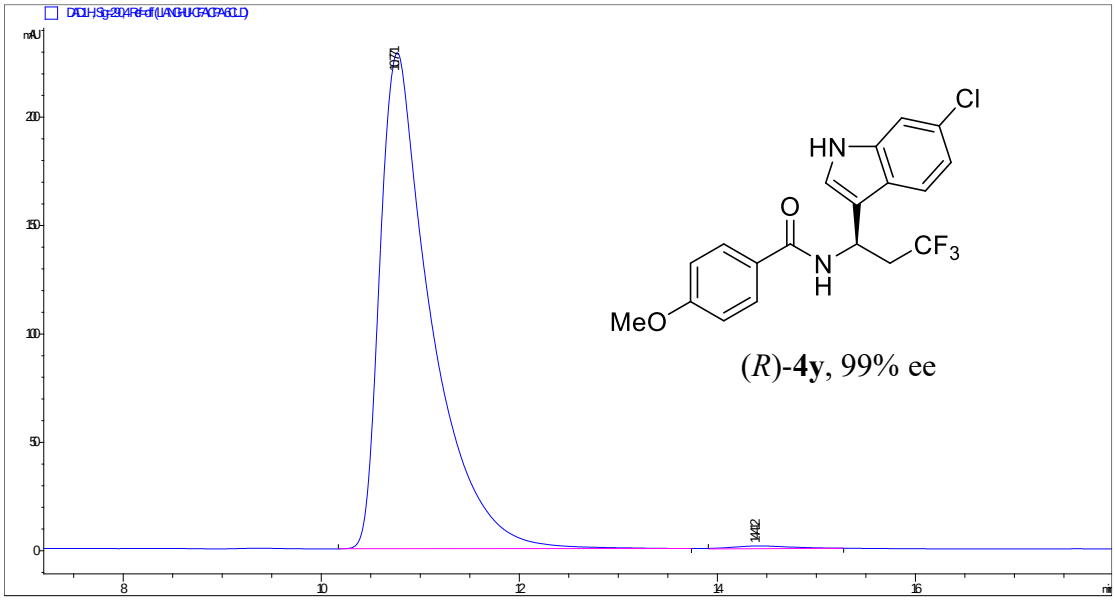



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 11.489 | 8092.6 | 201.9 | 0.5957 | 0.409 | 96.399 |
| 2 | 19.42 | 302.3 | 5 | 0.7538 | 0.706 | 3.601 |

**Figure S24.** HPLC traces of *rac*-**4x** (reference) and (*R*)-**4x**.

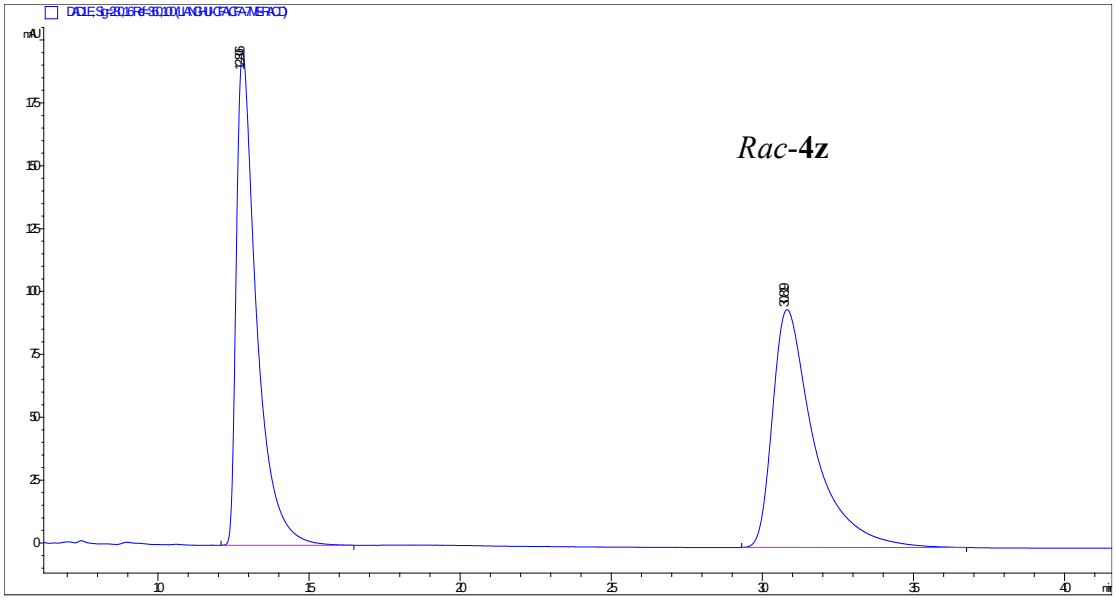



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.743 | 4179.6 | 108.5 | 0.5693 | 0.483 | 51.399 |
| 2 | 14.249 | 3952.1 | 83.8 | 0.69 | 0.526 | 48.601 |

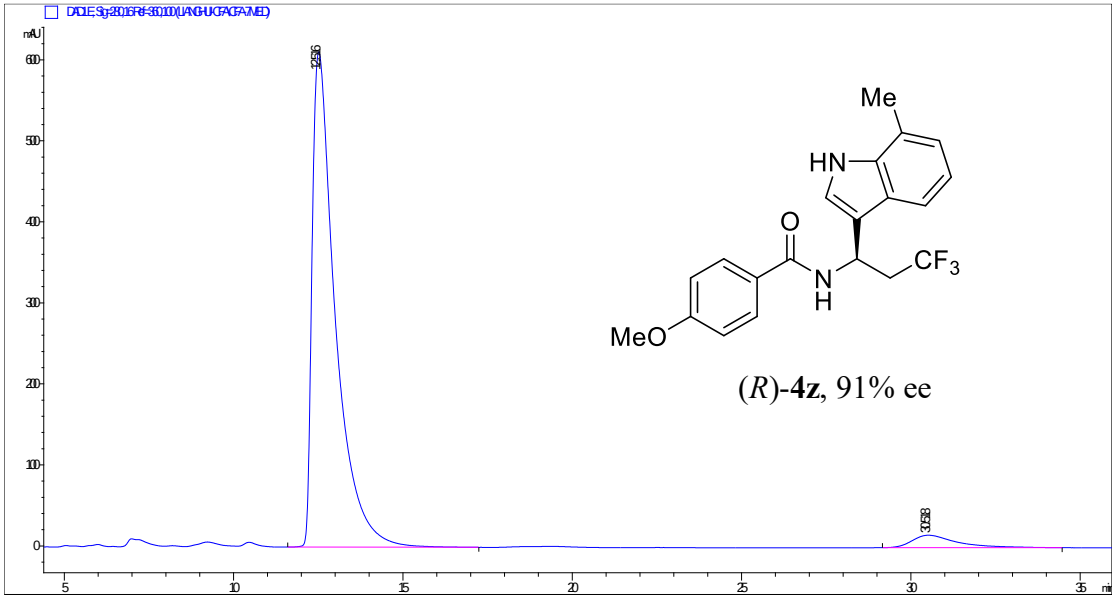



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.771 | 8177.7 | 228.8 | 0.513 | 0.467 | 99.385 |
| 2 | 14.412 | 50.6 | 1.2 | 0.689 | 0.719 | 0.615 |

**Figure S25.** HPLC traces of *rac*-**4y** (reference) and (*R*)-**4y**.

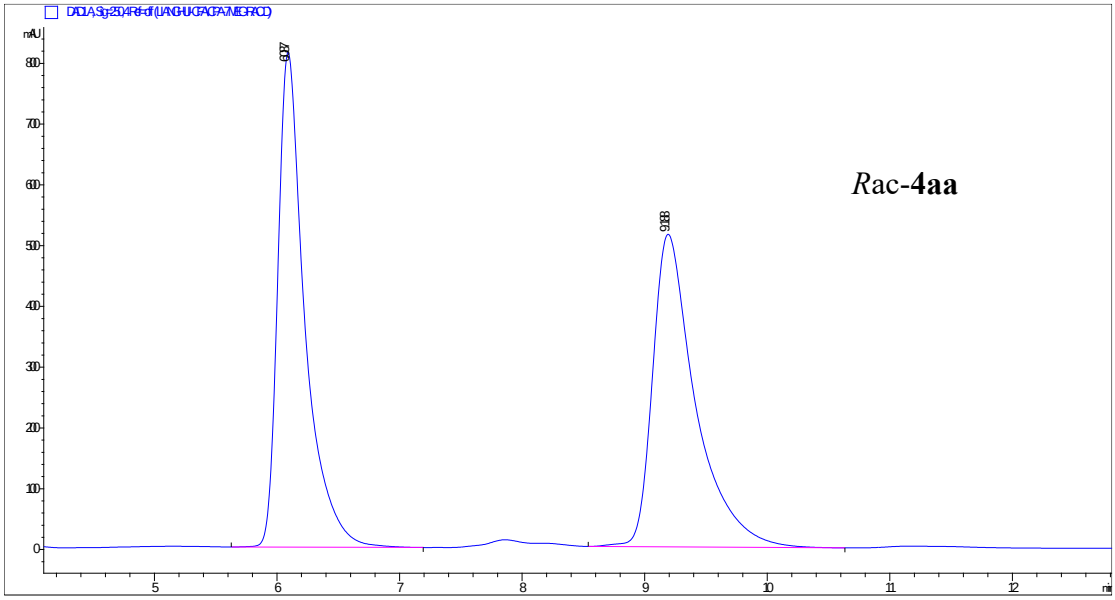



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 12.806 | 9145.4 | 196.1 | 0.6842 | 0.41 | 50.366 |
| 2 | 30.819 | 9012.7 | 94.6 | 1.3617 | 0.496 | 49.634 |

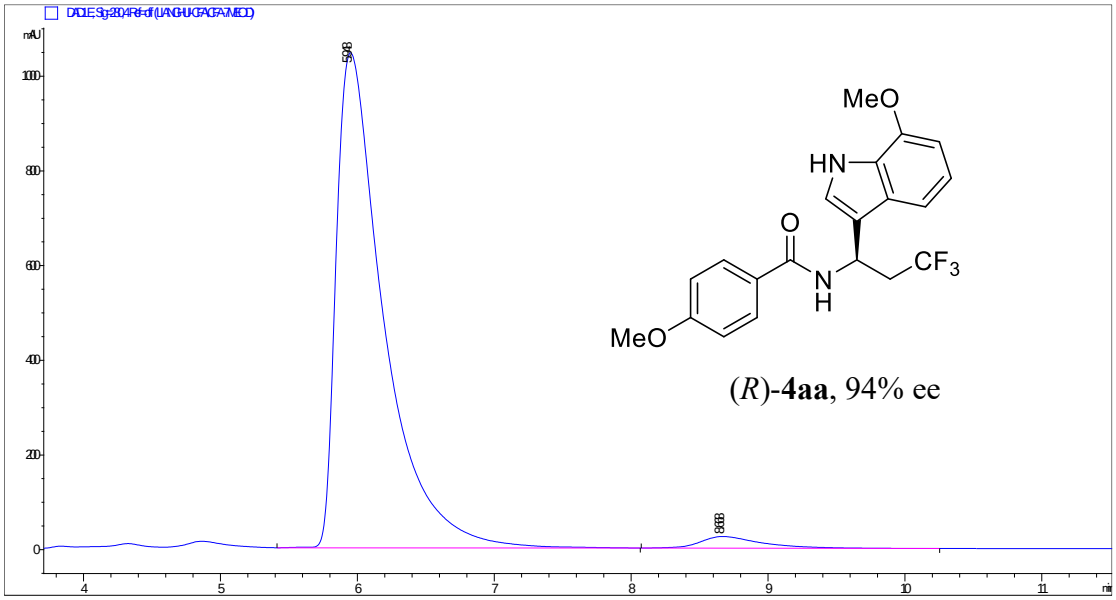



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 12.516 | 29067.5 | 611.3 | 0.6987 | 0.36 | 95.368 |
| 2 | 30.518 | 1411.7 | 15.4 | 1.1375 | 0.527 | 4.632 |

**Figure S26.** HPLC traces of *rac*-**4z** (reference) and (*R*)-**4z**.

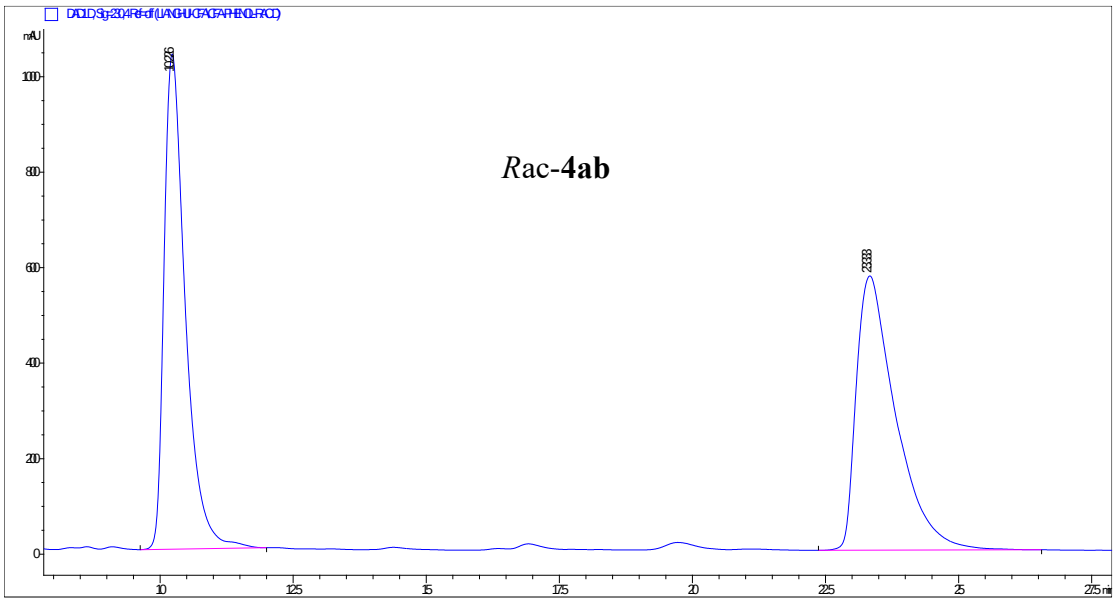



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 6.087 | 12487.8 | 814.6 | 0.2269 | 0.554 | 50.004 |
| 2 | 9.188 | 12485.6 | 514.4 | 0.3552 | 0.529 | 49.996 |

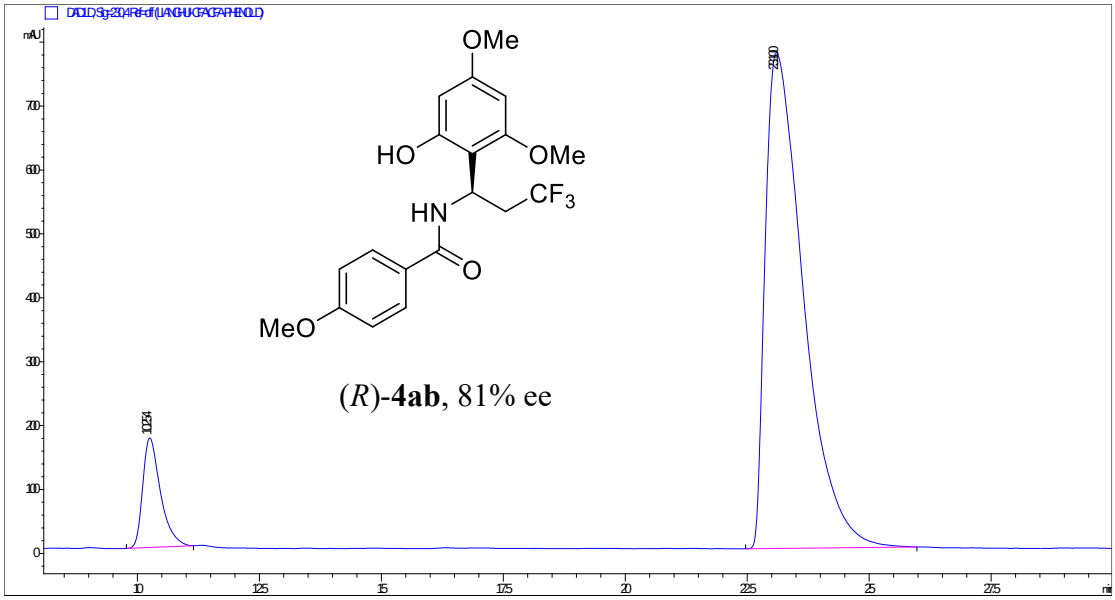



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 5.948 | 25139.6 | 1045.8 | 0.3545 | 0.387 | 97.075 |
| 2 | 8.668 | 757.5 | 24.6 | 0.4514 | 0.555 | 2.925 |

**Figure S27.** HPLC traces of *rac*-**4aa** (reference) and (*R*)-**4aa**.

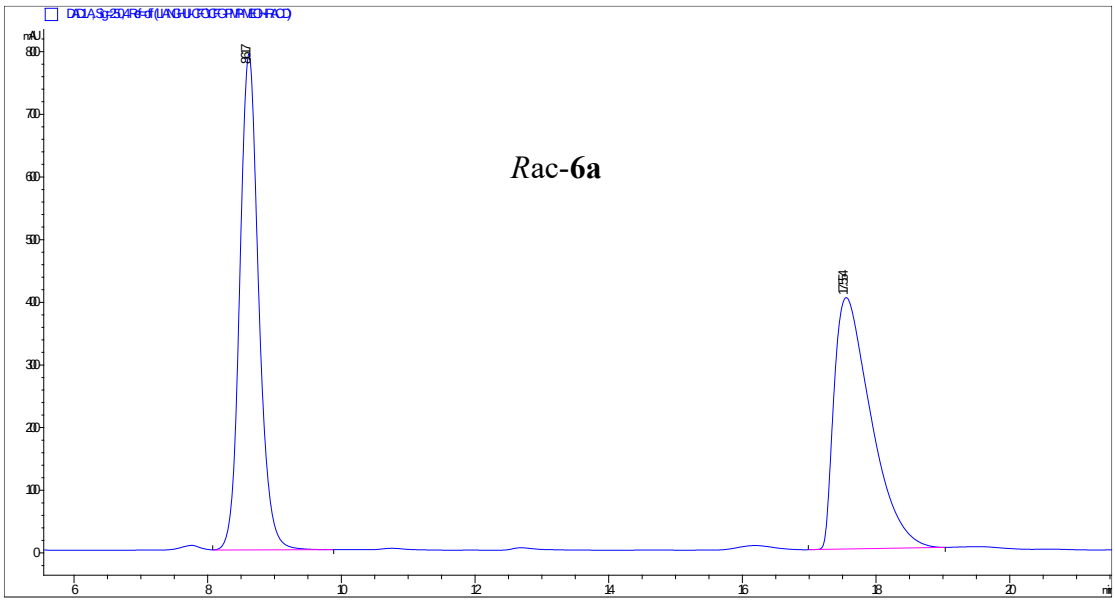



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.226 | 28869.9 | 1036.9 | 0.4228 | 0.56 | 49.397 |
| 2 | 23.333 | 29574.5 | 574.4 | 0.7567 | 0.48 | 50.603 |

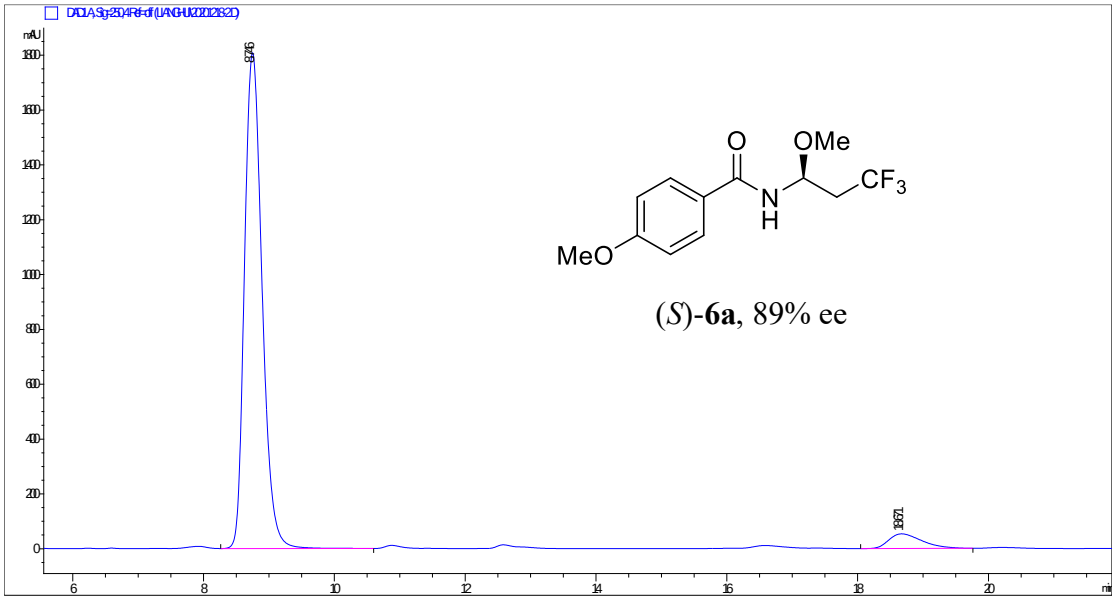



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.254 | 4351.9 | 171.5 | 0.3841 | 0.612 | 9.354 |
| 2 | 23.1 | 42172.9 | 776 | 0.86 | 0.384 | 90.646 |

**Figure S28.** HPLC traces of *rac*-**4ab** (reference) and (*R*)-**4ab**.

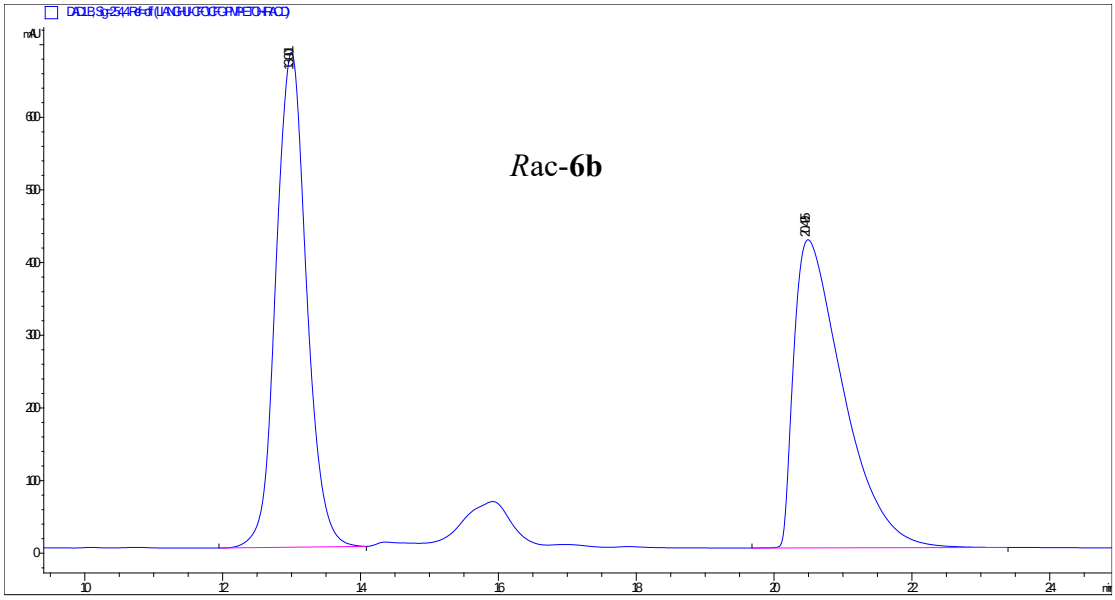



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 8.617 | 15588.2 | 791.4 | 0.3038 | 0.872 | 50.321 |
| 2 | 17.554 | 15389.1 | 401.3 | 0.5794 | 0.453 | 49.679 |

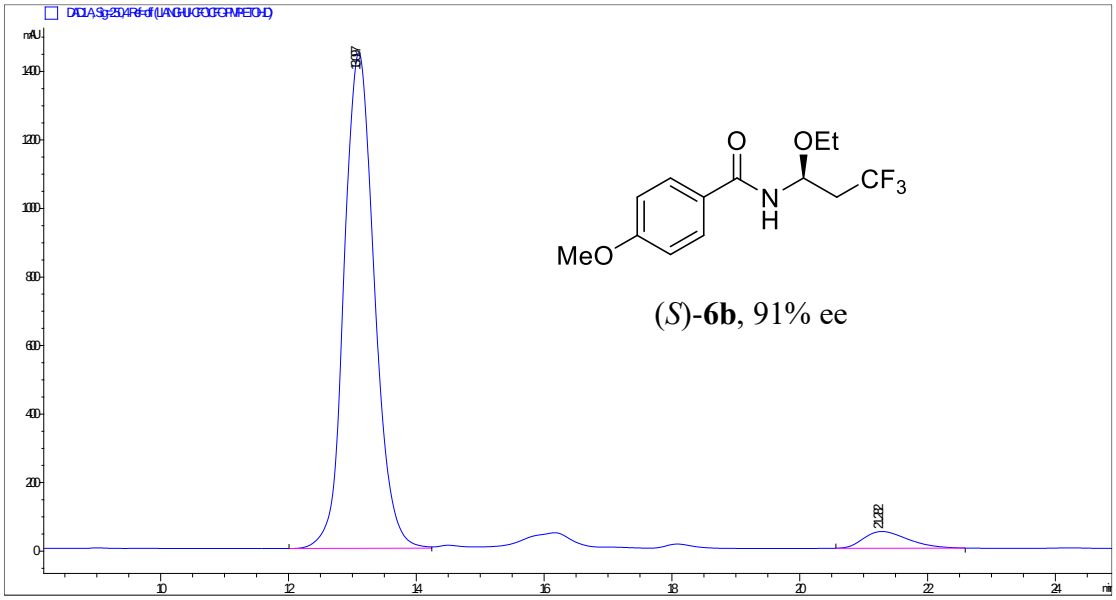



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 8.746 | 33694.5 | 1808.4 | 0.2934 | 0.783 | 94.720 |
| 2 | 18.671 | 1878.4 | 53.5 | 0.5437 | 0.602 | 5.280 |

**Figure S29.** HPLC traces of *rac*-**6a** (reference) and (*S*)-**6a**.

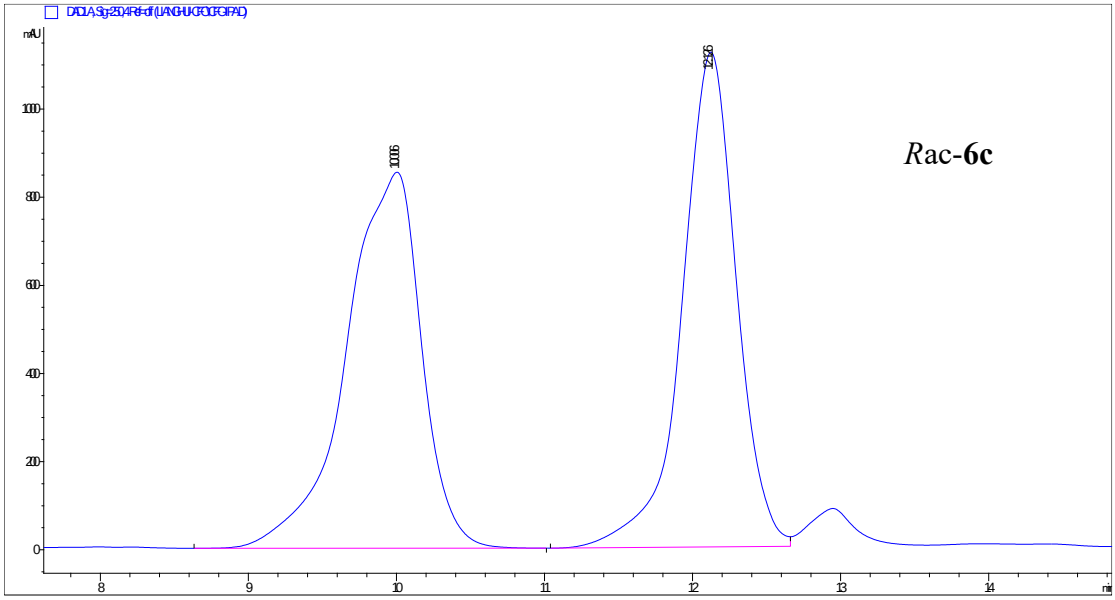



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.001 | 20987.8 | 681.3 | 0.4854 | 0.969 | 49.911 |
| 2 | 20.495 | 21063 | 424 | 0.7455 | 0.374 | 50.089 |

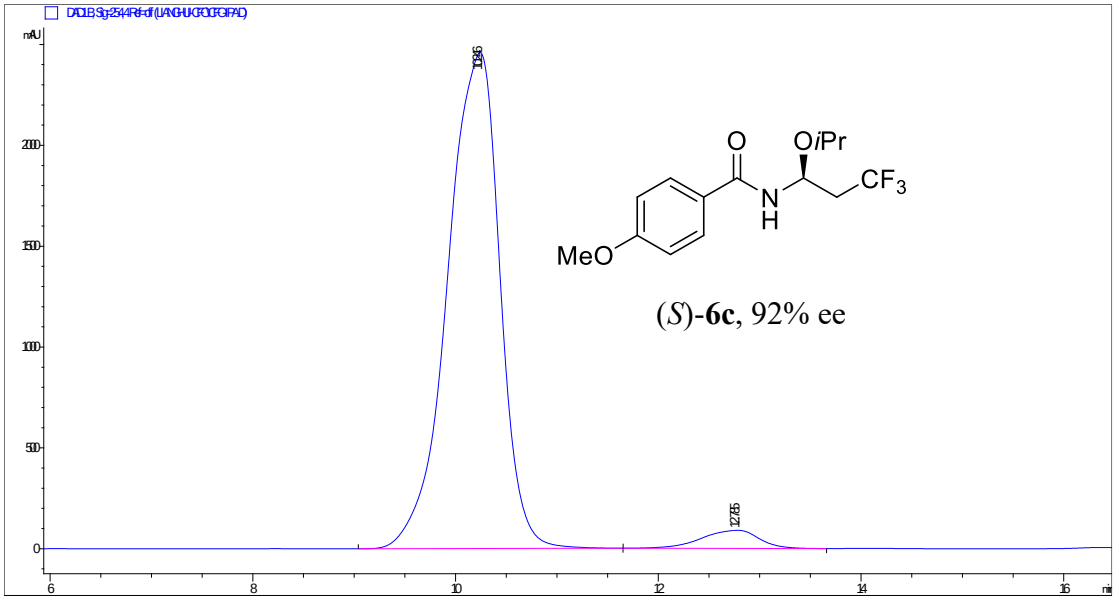



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.097 | 47688.6 | 1446.8 | 0.5494 | 0.904 | 95.301 |
| 2 | 21.282 | 2351.5 | 48.8 | 0.8023 | 0.684 | 4.699 |

**Figure S30.** HPLC traces of *rac*-**6b** (reference) and (*S*)-**6b**.

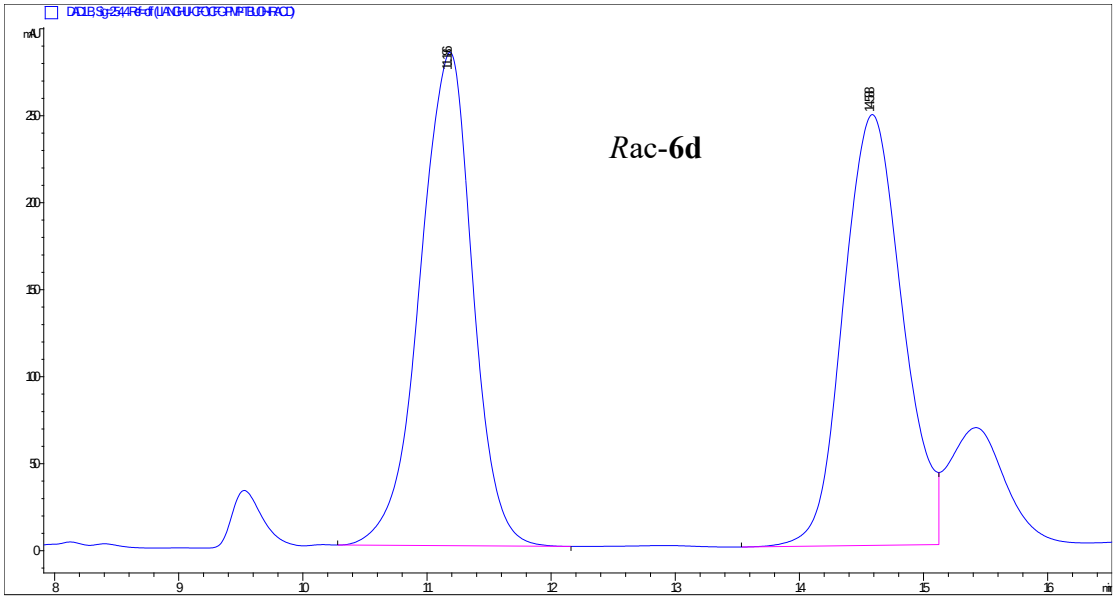



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.006 | 300696 | 853.4 | 0.471 | 1.922 | 51.198 |
| 2 | 12.126 | 28659.2 | 1122.8 | 0.3958 | 1.131 | 48.802 |

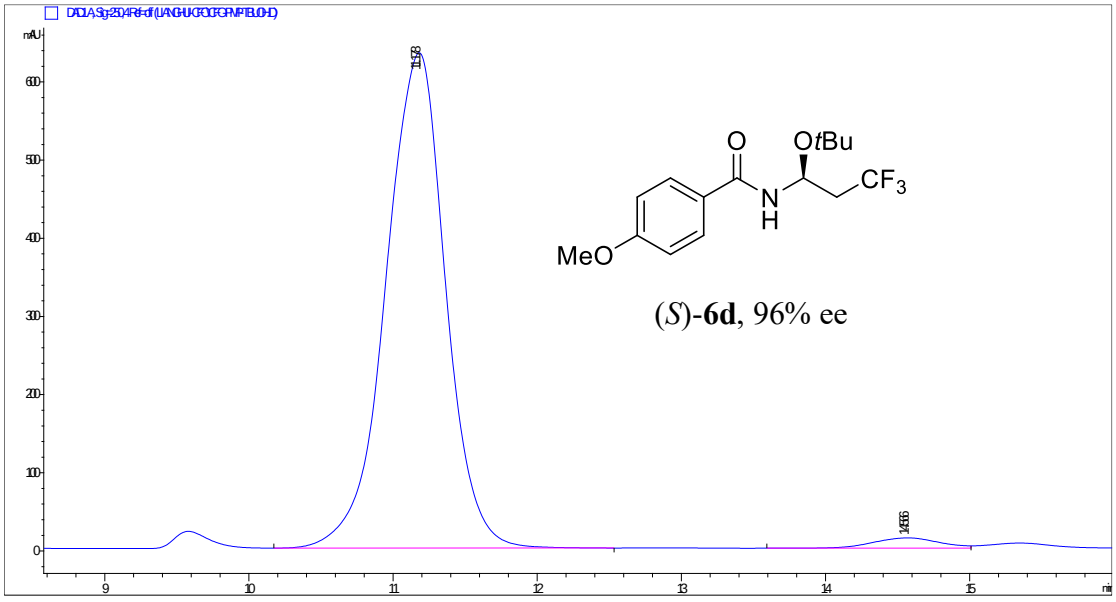



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.246 | 88073.1 | 2459.3 | 0.5658 | 1.532 | 96.138 |
| 2 | 12.785 | 3538 | 89.8 | 0.6175 | 1.593 | 3.862 |

**Figure S31.** HPLC traces of *rac*-**6c** (reference) and (*S*)-**6c**.

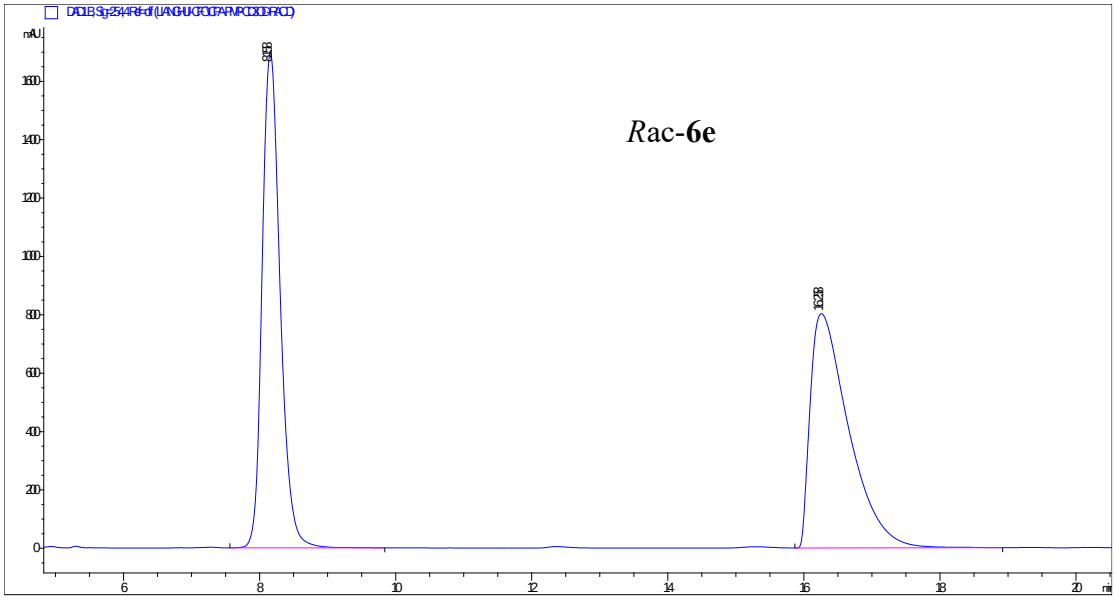



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 11.186 | 8377.7 | 283.6 | 0.4626 | 1.257 | 50.558 |
| 2 | 14.588 | 8192.9 | 247.6 | 0.522 | 0.916 | 49.442 |

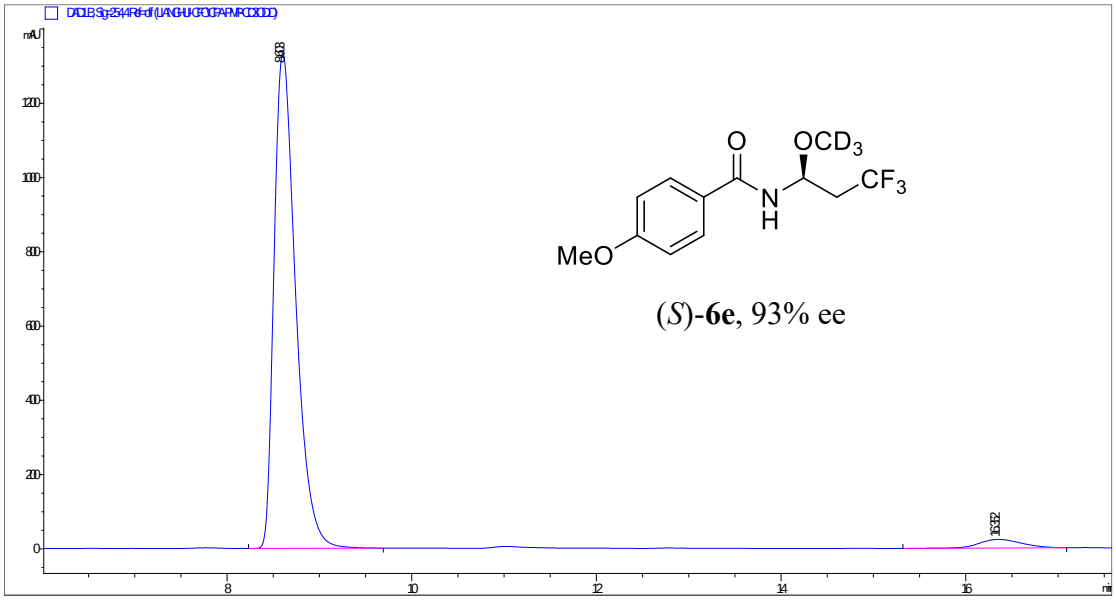



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 11.178 | 18230.7 | 633.7 | 0.4496 | 1.198 | 97.789 |
| 2 | 14.566 | 412.3 | 13 | 0.4909 | 1.054 | 2.211 |

**Figure S32.** HPLC traces of *rac*-**6d** (reference) and (*S*)-**6d**.

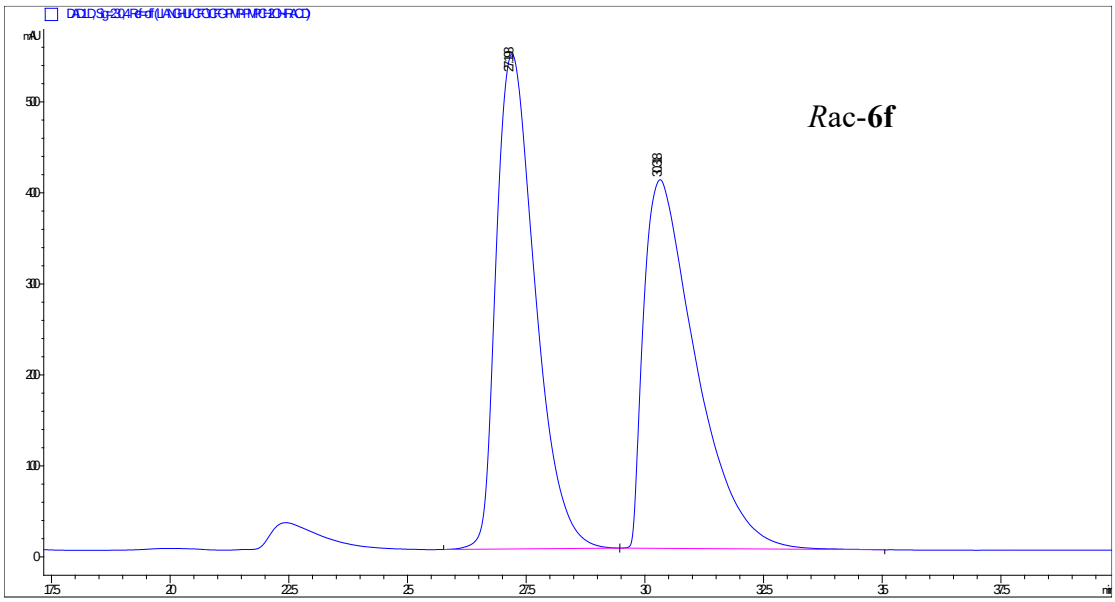



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 8.158 | 31231.2 | 1698.4 | 0.2865 | 0.774 | 49.809 |
| 2 | 16.258 | 31470.6 | 802.9 | 0.5933 | 0.378 | 50.191 |

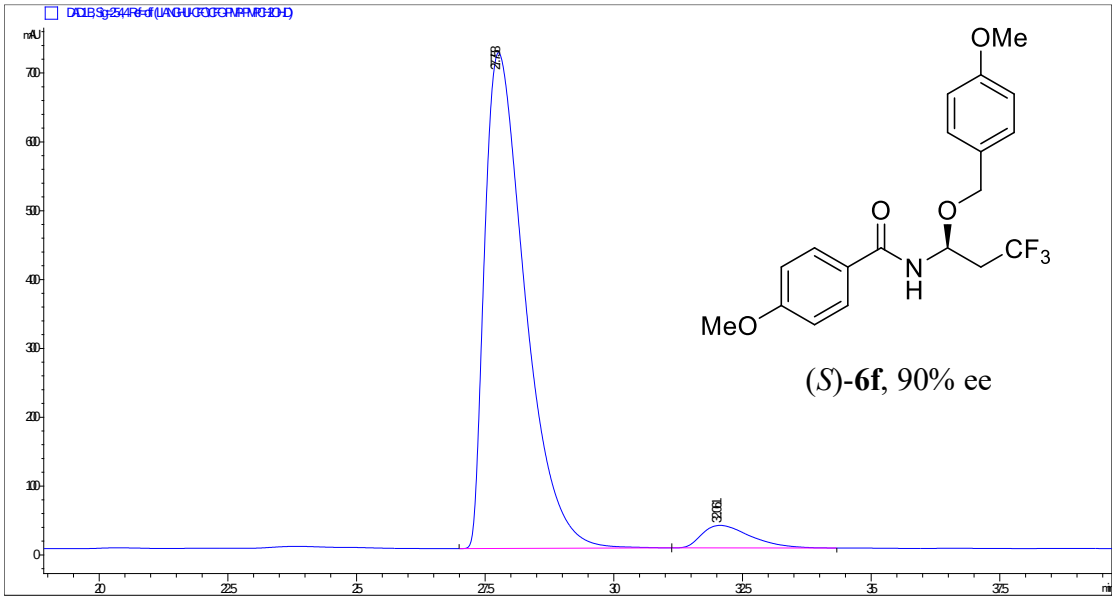



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 8.603 | 21695.1 | 1335.6 | 0.2515 | 0.622 | 96.664 |
| 2 | 16.352 | 748.7 | 23.2 | 0.4999 | 0.824 | 3.336 |

**Figure S33.** HPLC traces of *rac*-**6e** (reference) and (*S*)-**6e**.

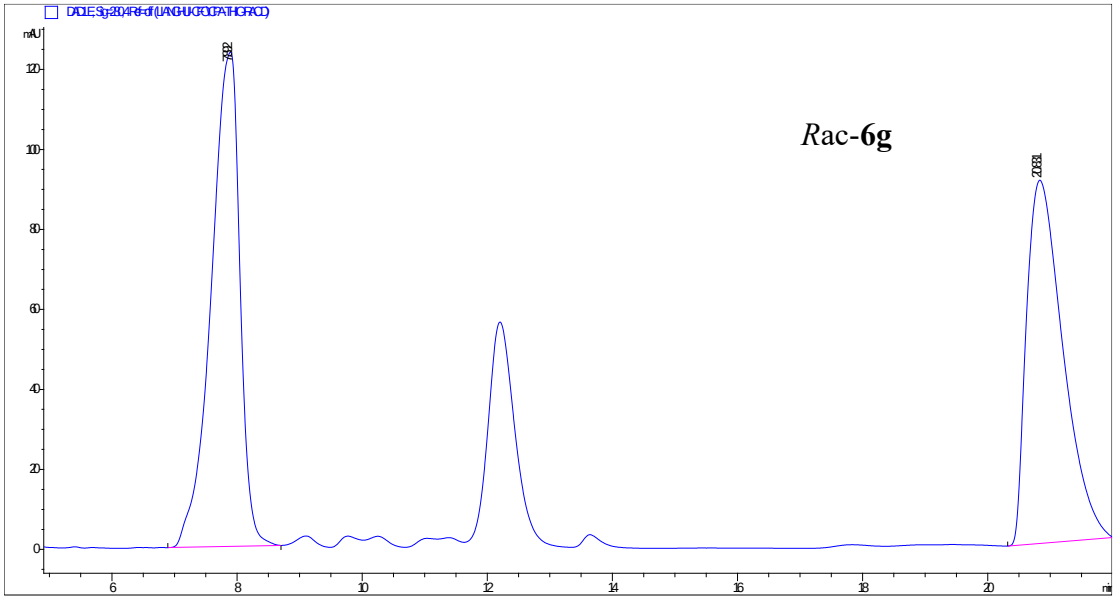



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 27.198 | 31039.1 | 543.8 | 0.88 | 0.633 | 50.112 |
| 2 | 30.318 | 30900 | 405 | 1.1221 | 0.424 | 49.888 |

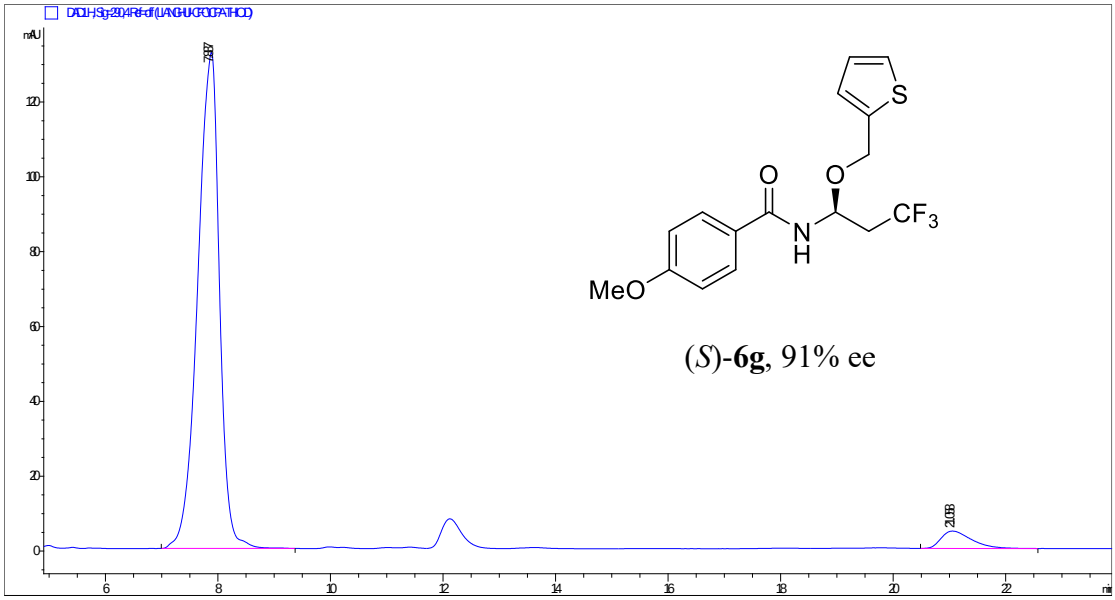



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 27.758 | 39255 | 720.2 | 0.8479 | 0.481 | 94.811 |
| 2 | 32.061 | 2148.3 | 32.8 | 1.0032 | 0.562 | 5.189 |

**Figure S34.** HPLC traces of *rac*-**6f** (reference) and (*S*)-**6f**.



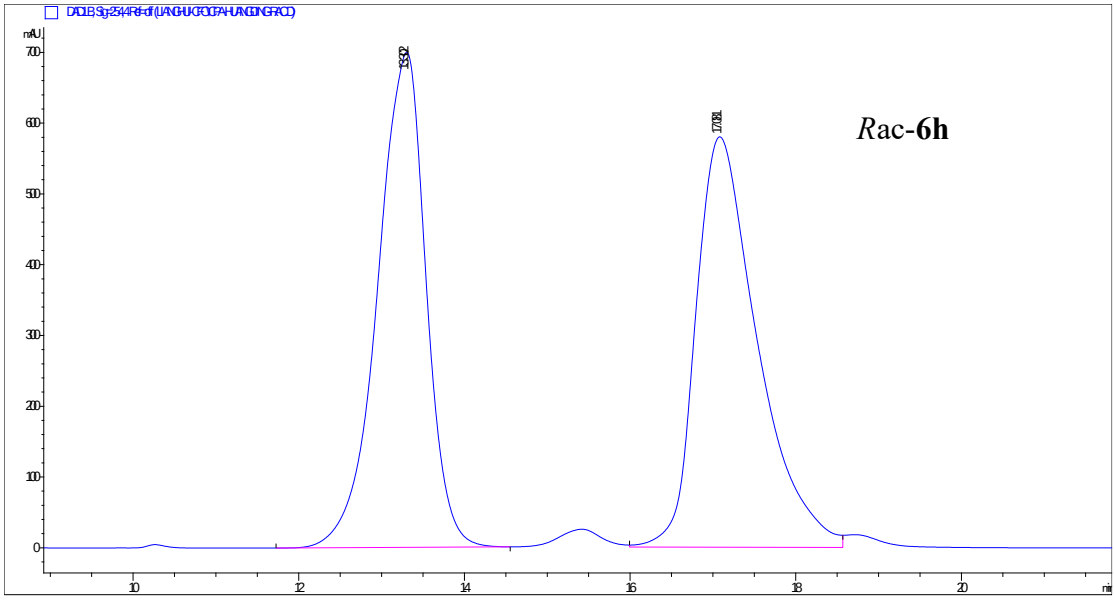

| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 7.892 | 3935.6 | 123.6 | 0.4915 | 1.884 | 51.740 |
| 2 | 20.831 | 3670.8 | 90.8 | 0.6336 | 0.537 | 48.260 |



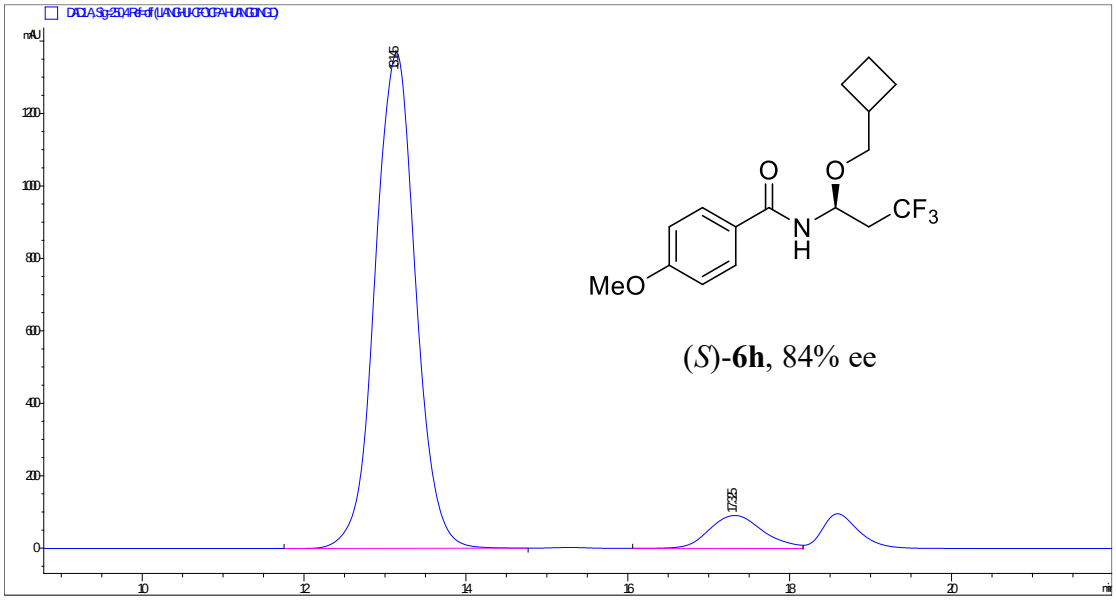

(*S*)-**6g**, 91% ee

| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 7.887 | 3554 | 132.5 | 0.4128 | 1.549 | 95.328 |
| 2 | 21.058 | 174.2 | 4.6 | 0.5474 | 0.571 | 4.672 |

**Figure S35.** HPLC traces of *rac*-**6g** (reference) and (*S*)-**6g**.

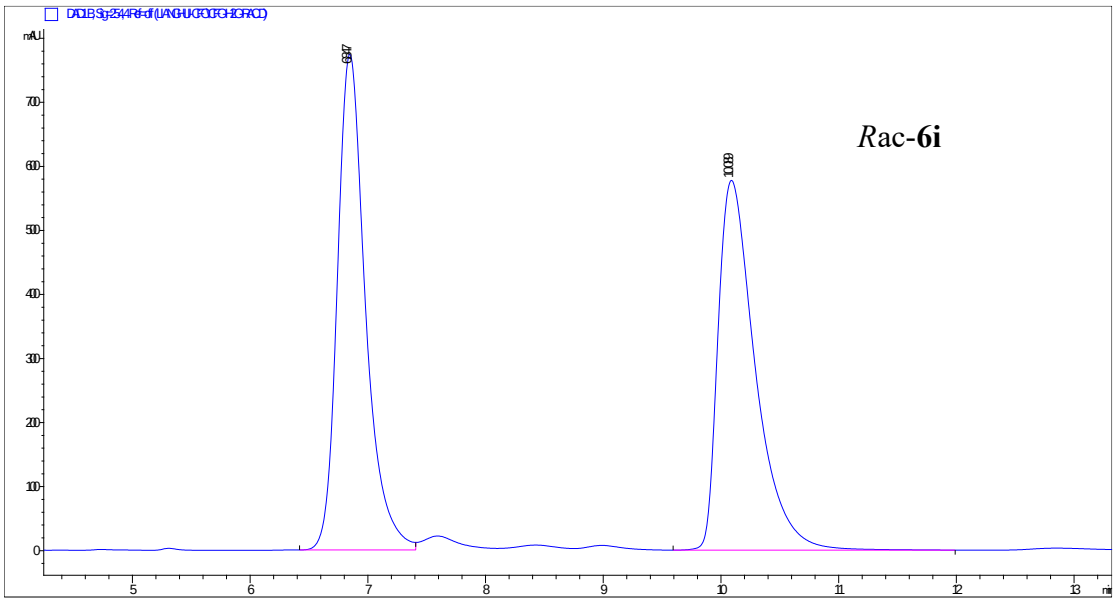



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.302 | 28361.1 | 699.7 | 0.6289 | 1.421 | 48.690 |
| 2 | 17.081 | 29887.3 | 579.9 | 0.7793 | 0.607 | 51.310 |

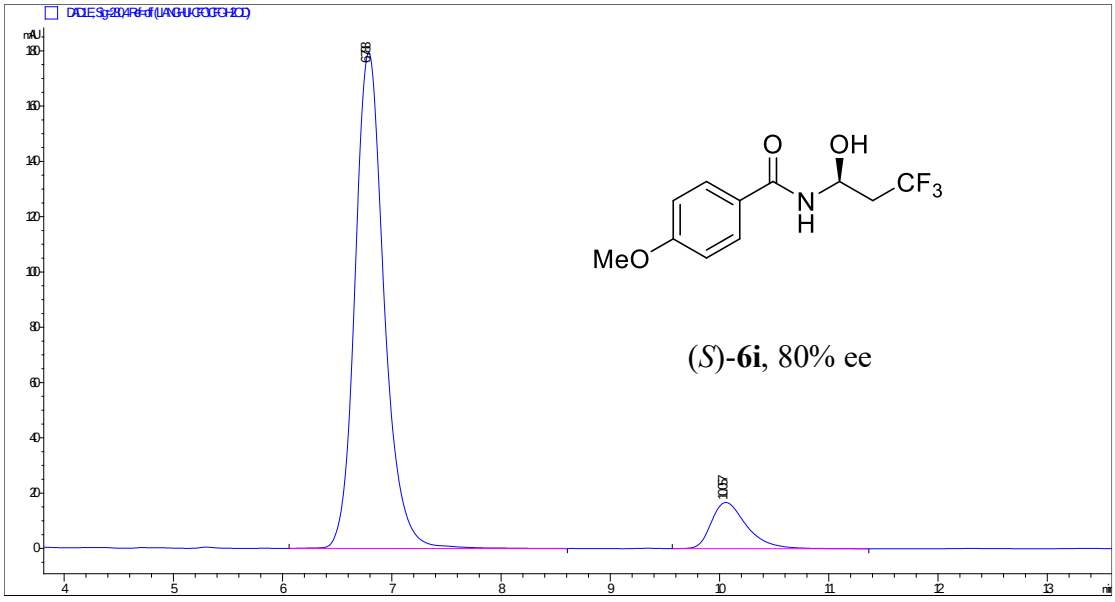



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.145 | 47654.8 | 1368.4 | 0.5483 | 1.053 | 91.904 |
| 2 | 17.325 | 4197.9 | 90.8 | 0.7234 | 0.875 | 8.096 |

**Figure S36.** HPLC traces of *rac*-**6h** (reference) and (*S*)-**6h**.

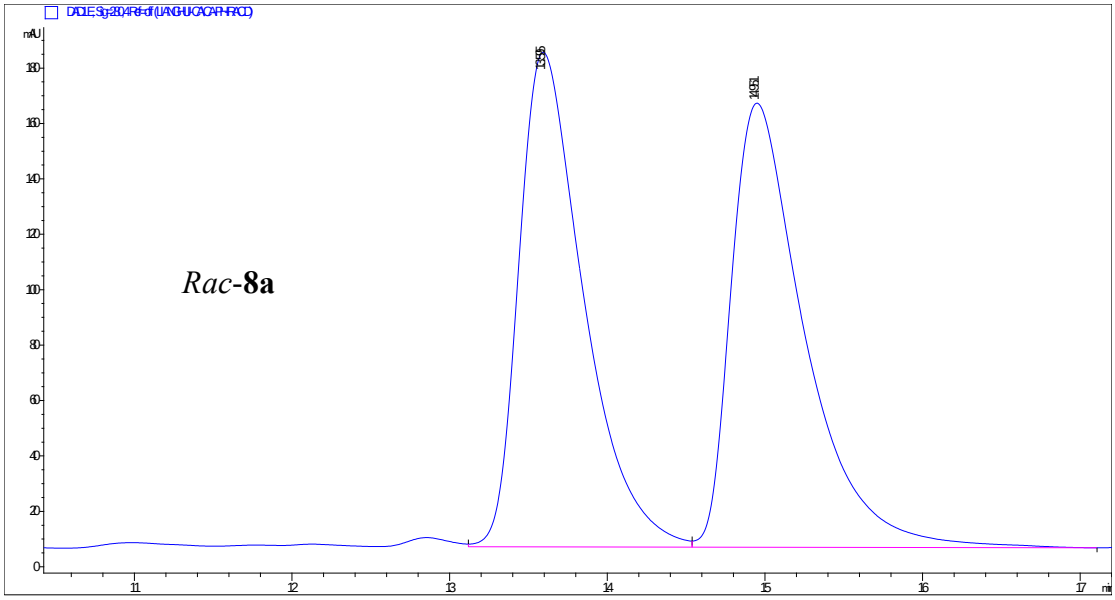



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 6.847 | 12860 | 774.3 | 0.2518 | 0.732 | 50.366 |
| 2 | 10.089 | 12673 | 577.3 | 0.3361 | 0.518 | 49.634 |

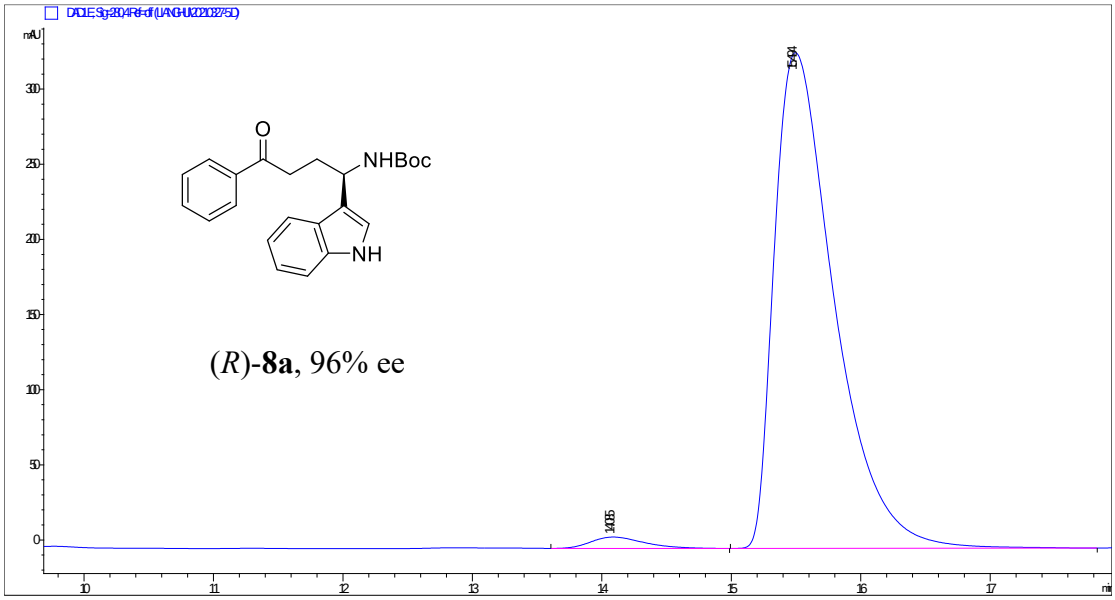



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 6.788 | 3321.1 | 179.4 | 0.284 | 0.818 | 89.850 |
| 2 | 10.057 | 375.2 | 16.7 | 0.3463 | 0.648 | 10.150 |

**Figure S37.** HPLC traces of *rac*-**6i** (reference) and (*S*)-**6i**.

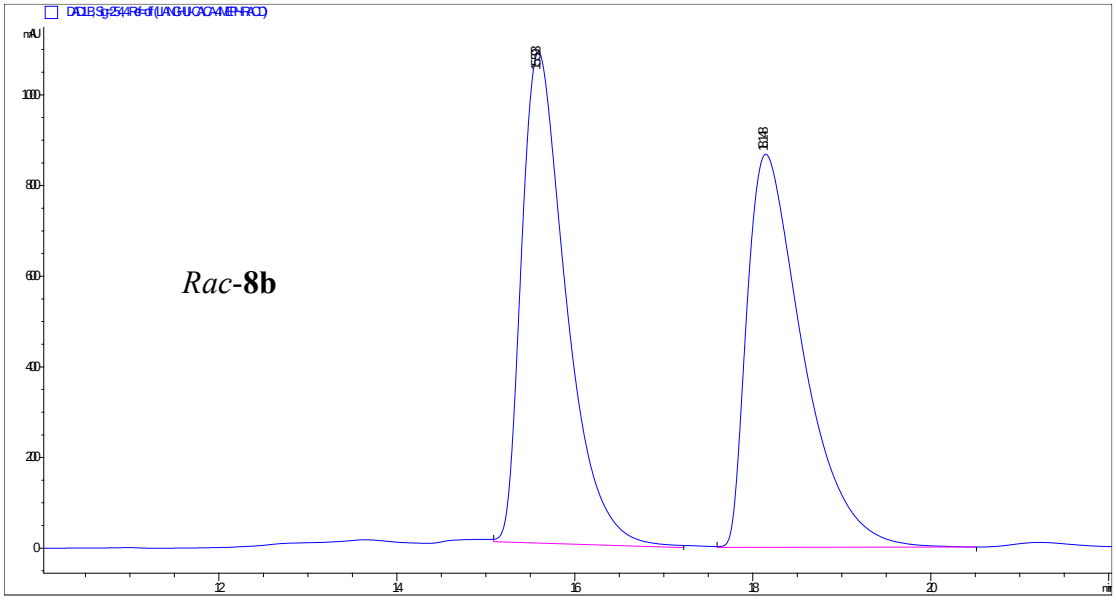



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.595 | 5101.6 | 178.6 | 0.4293 | 0.567 | 49.523 |
| 2 | 14.951 | 5199.8 | 160.3 | 0.4864 | 0.496 | 50.477 |

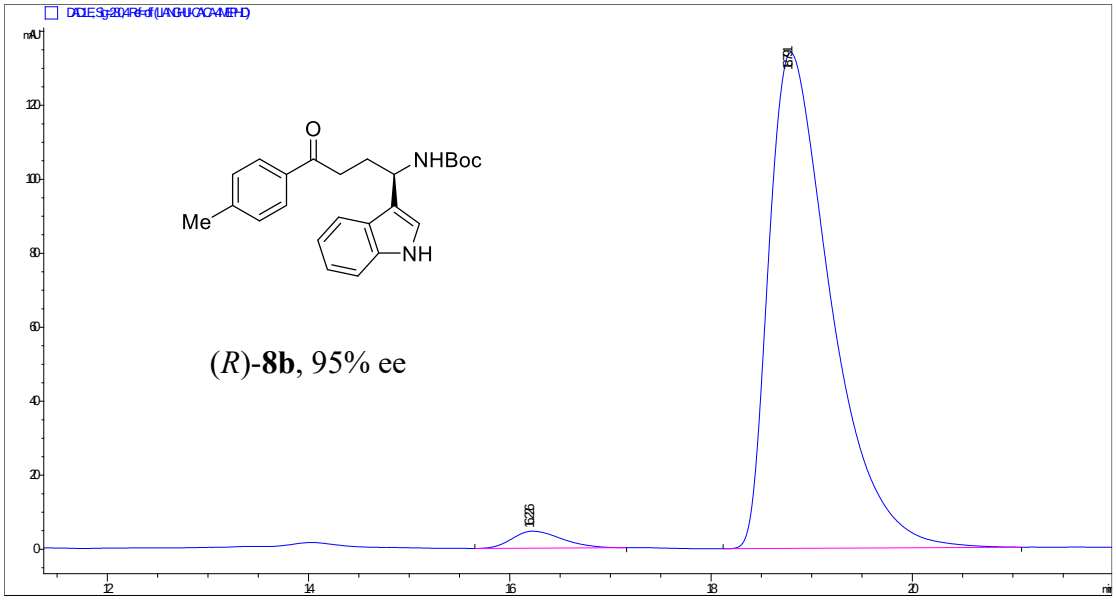



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 14.085 | 214.2 | 7.5 | 0.4393 | 0.68 | 1.978 |
| 2 | 15.494 | 10619.6 | 329.8 | 0.4917 | 0.498 | 98.022 |

**Figure S38.**HPLC traces of *rac*-**8a** (reference) and (*R*)-**8a**.

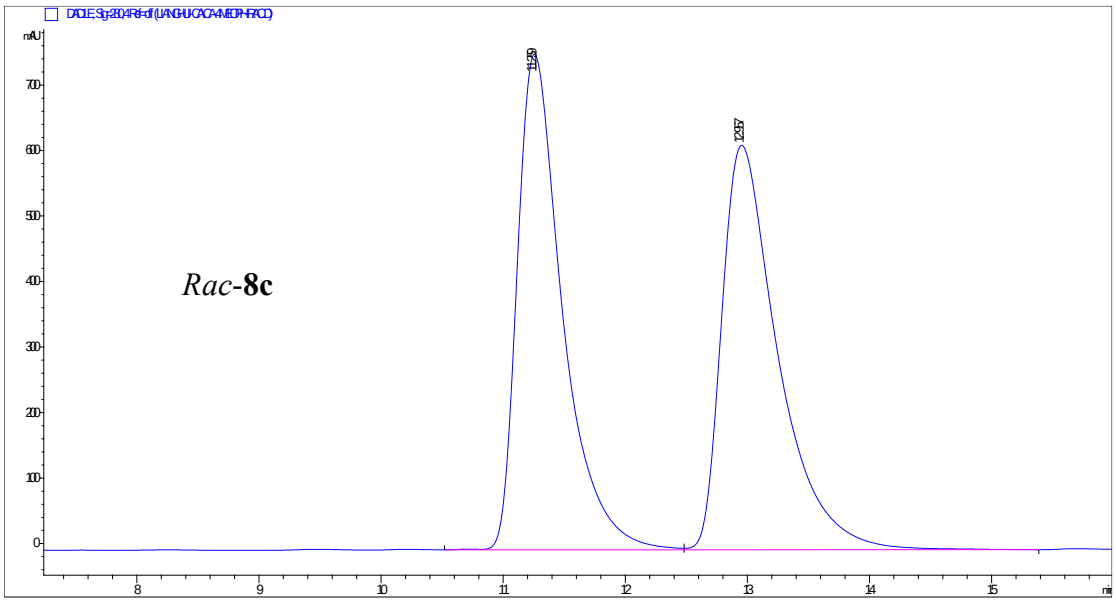



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 15.593 | 37707 | 1083.2 | 0.5802 | 0.585 | 49.936 |
| 2 | 18.148 | 37803.3 | 867.4 | 0.6705 | 0.465 | 50.064 |

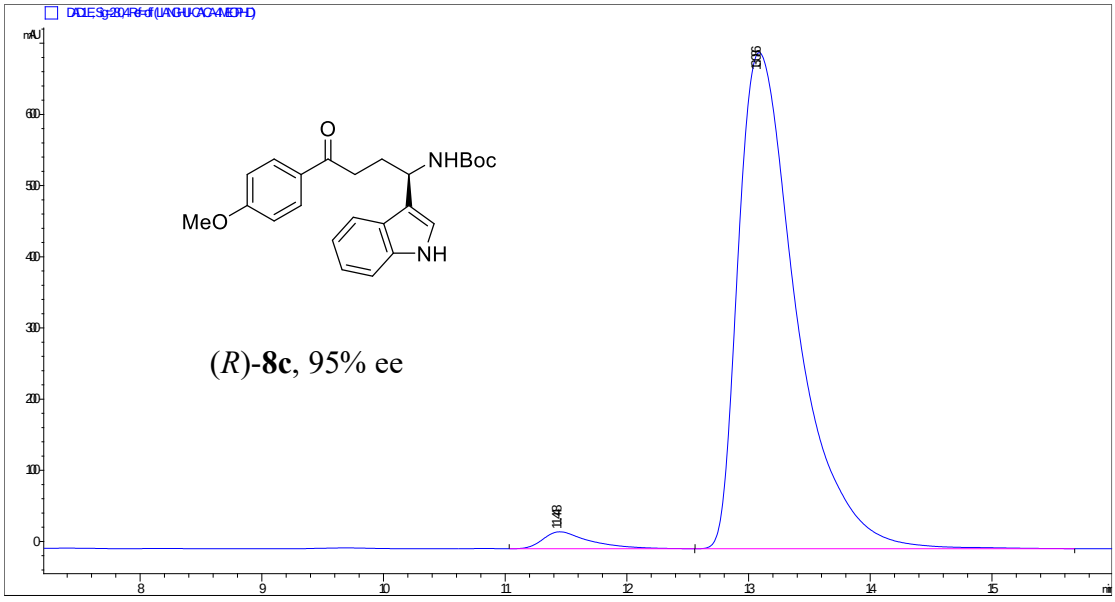



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 16.226 | 157.3 | 4.6 | 0.4909 | 0.676 | 2.667 |
| 2 | 18.791 | 5740.1 | 134 | 0.6596 | 0.501 | 97.333 |

**Figure S39.** HPLC traces of *rac*-**8b** (reference) and (*R*)-**8b**.

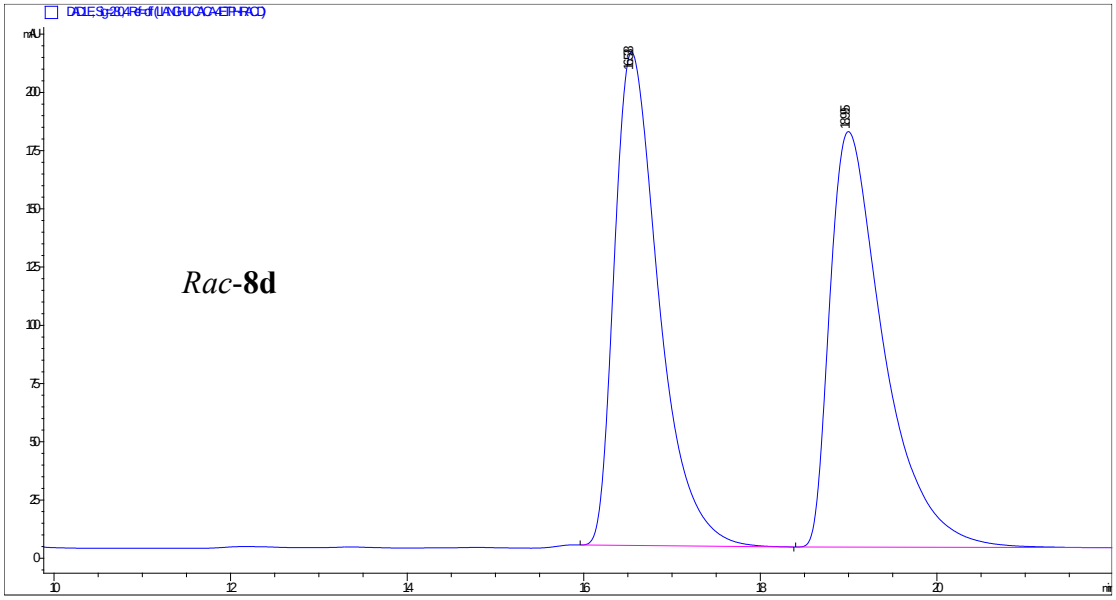



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 11.259 | 19474.2 | 756.8 | 0.3882 | 0.562 | 49.725 |
| 2 | 12.957 | 319689.8 | 617.5 | 0.474 | 0.507 | 50.275 |

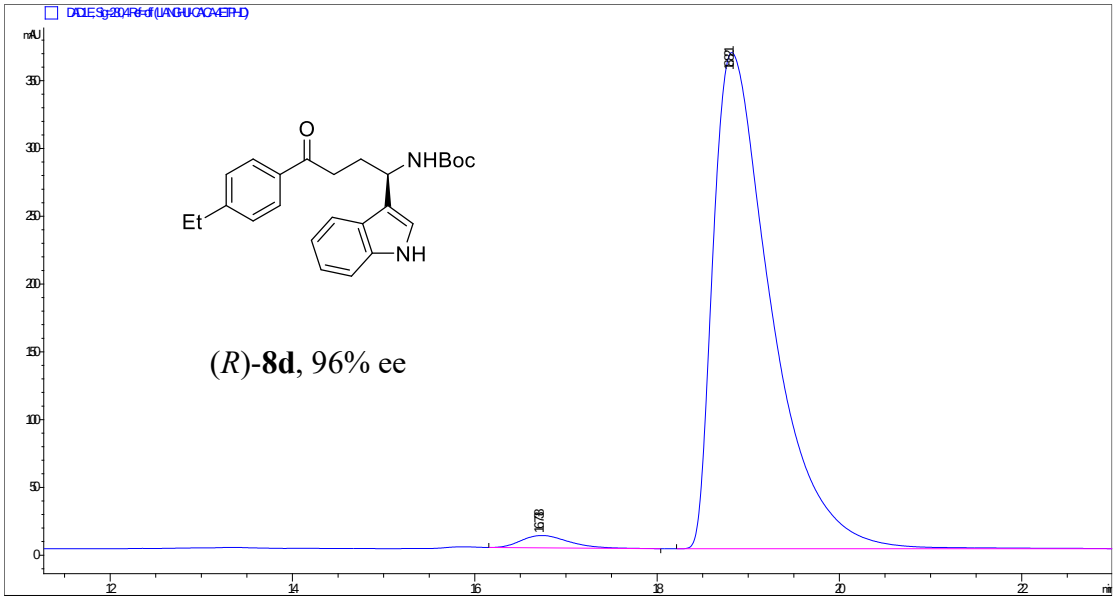



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 11.448 | 633.9 | 23.8 | 0.387 | 0.517 | 2.667 |
| 2 | 13.086 | 23132.9 | 697.1 | 0.5051 | 0.515 | 97.333 |

**Figure S40.**HPLC traces of *rac*-**8c** (reference) and (*R*)-**8c**.

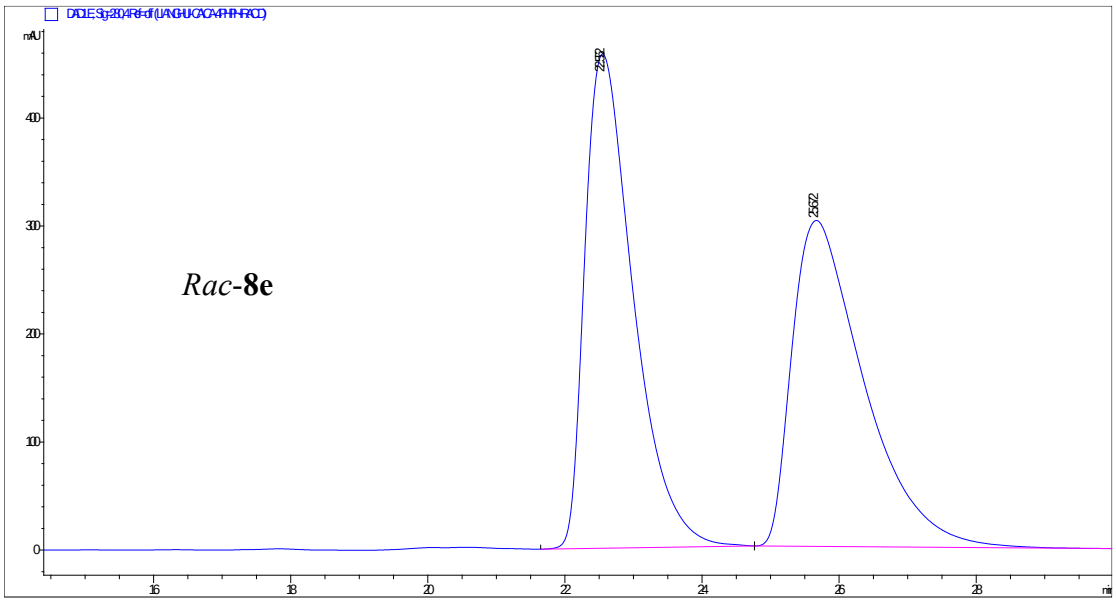



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 16.538 | 7418.4 | 211.9 | 0.5405 | 0.579 | 49.539 |
| 2 | 18.995 | 7556.4 | 178.4 | 0.6341 | 0.4823 | 50.461 |

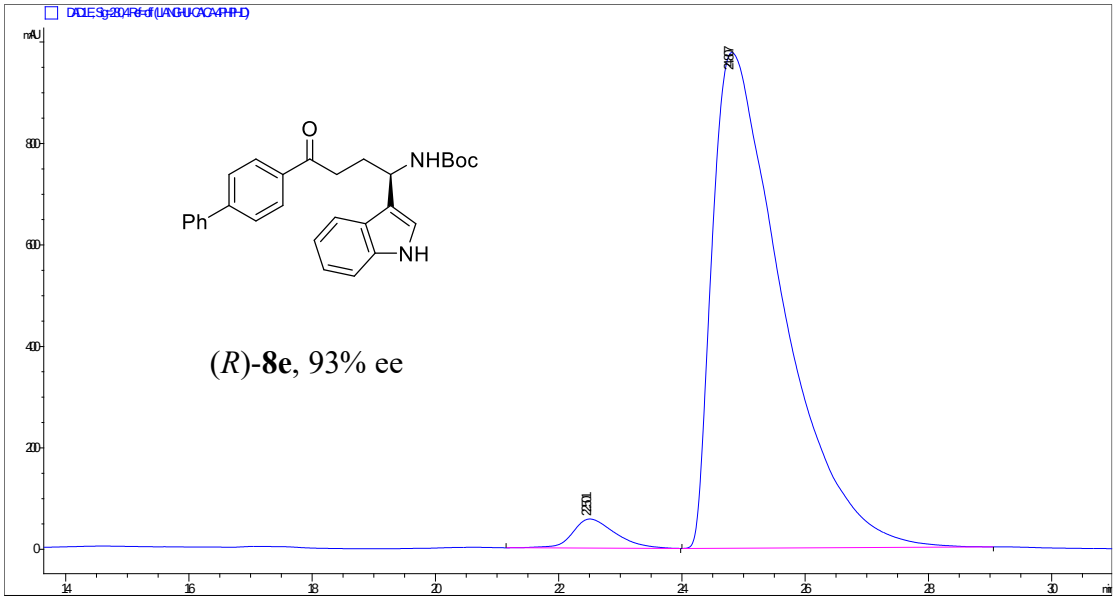



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 16.738 | 329.2 | 9.1 | 0.5556 | 0.728 | 1.998 |
| 2 | 18.821 | 16146.4 | 366 | 0.6587 | 0.435 | 98.002 |

**Figure S41.** HPLC traces of *rac*-**8d** (reference) and (*R*)-**8d**.

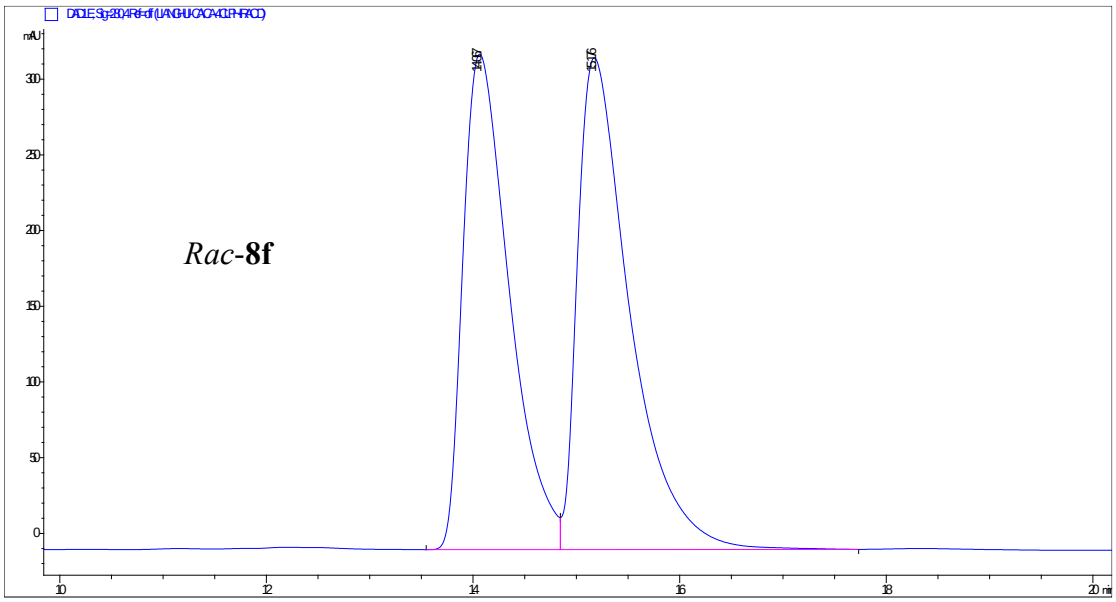



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 22.552 | 22458.7 | 457.4 | 0.7529 | 0.53 | 50.521 |
| 2 | 25.672 | 21995.9 | 301.7 | 1.1186 | 0.469 | 49.479 |

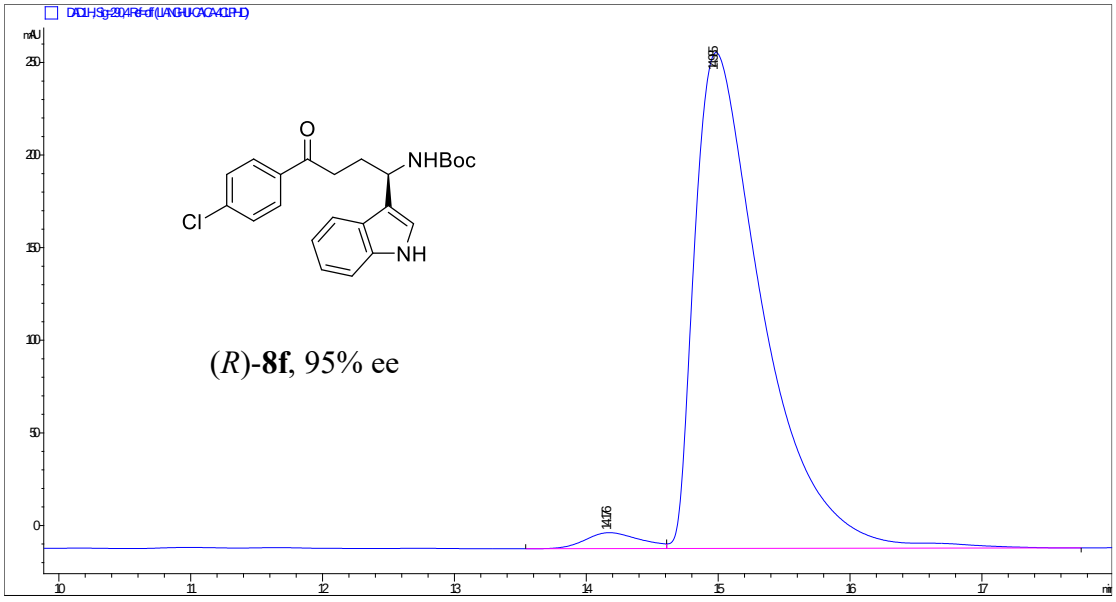



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 22.501 | 2755.3 | 57.3 | 0.7174 | 0.66 | 3.443 |
| 2 | 24.807 | 77277.7 | 976.9 | 1.1269 | 0.363 | 96.557 |

**Figure S42.** HPLC traces of *rac*-**8e** (reference) and (*R*)-**8e**.

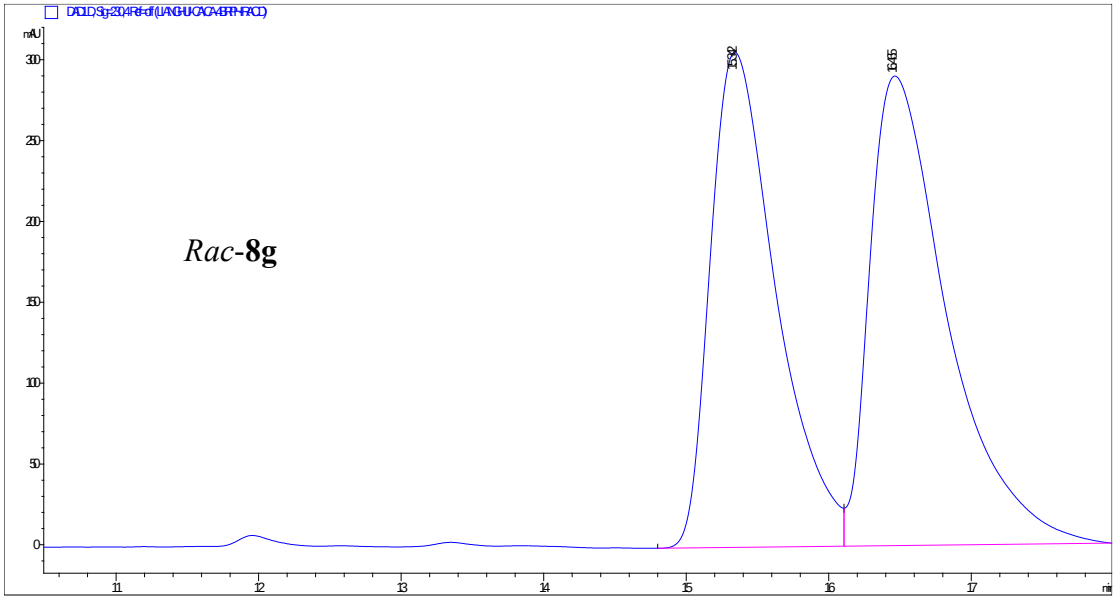



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 14.067 | 10199.7 | 327.3 | 0.4835 | 0.523 | 47.199 |
| 2 | 15.176 | 11410.4 | 324.9 | 0.5337 | 0.43 | 52.801 |

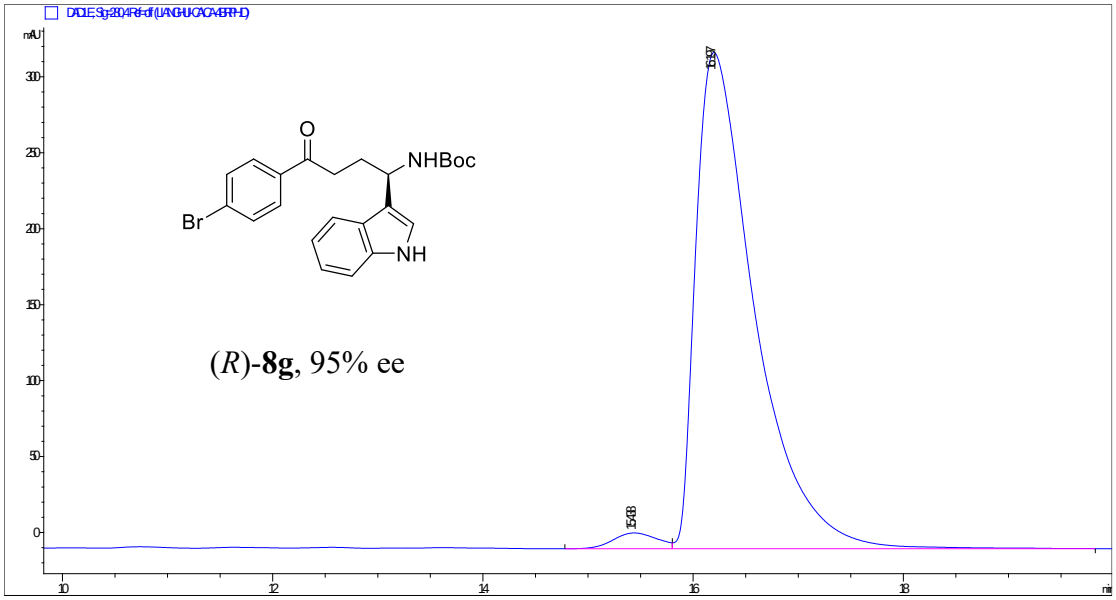



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 14.176 | 249.8 | 8.6 | 0.4336 | 0.762 | 2.531 |
| 2 | 14.985 | 9619 | 267.8 | 0.5411 | 0.418 | 97.469 |

**Figure S43.** HPLC traces of *rac*-**8f** (reference) and (*R*)-**8f**.

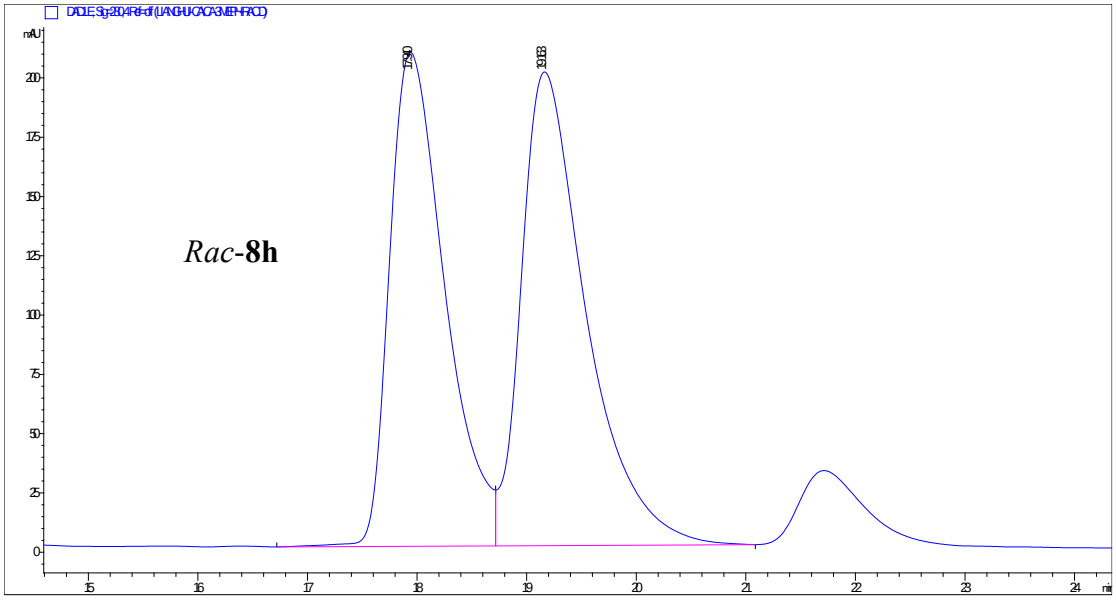



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 15.342 | 9835.5 | 306.4 | 0.4925 | 0.555 | 47.310 |
| 2 | 16.465 | 10954 | 290.4 | 0.5781 | 0.446 | 52.690 |

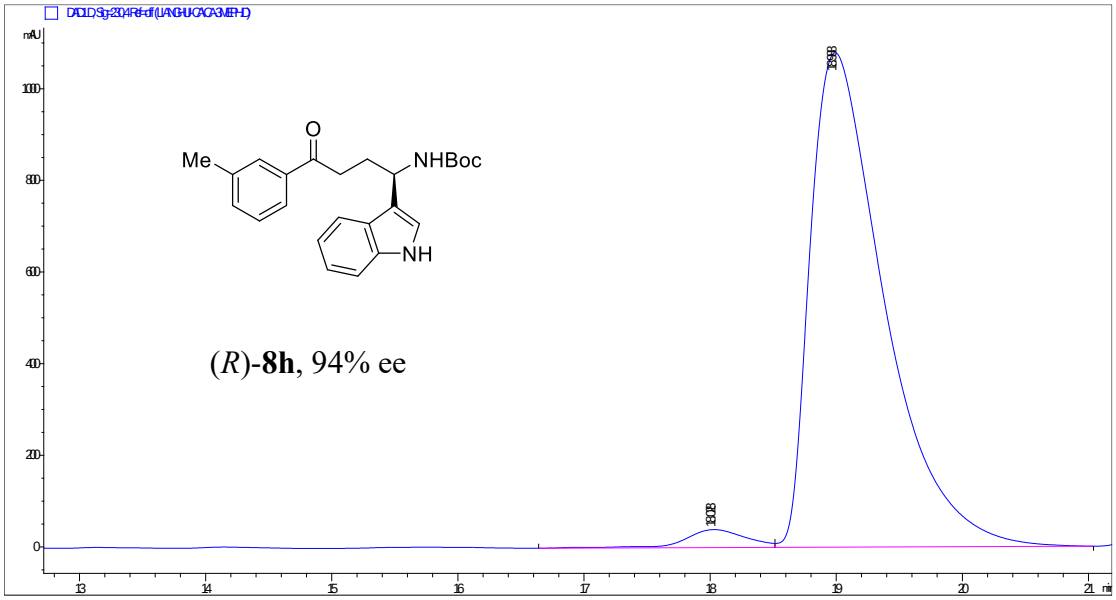



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 15.438 | 303.4 | 10.4 | 0.4407 | 0.903 | 2.335 |
| 2 | 16.197 | 12689.3 | 326.6 | 0.5932 | 0.408 | 97.665 |

**Figure S44.** HPLC traces of *rac*-**8g** (reference) and (*R*)-**8g**.

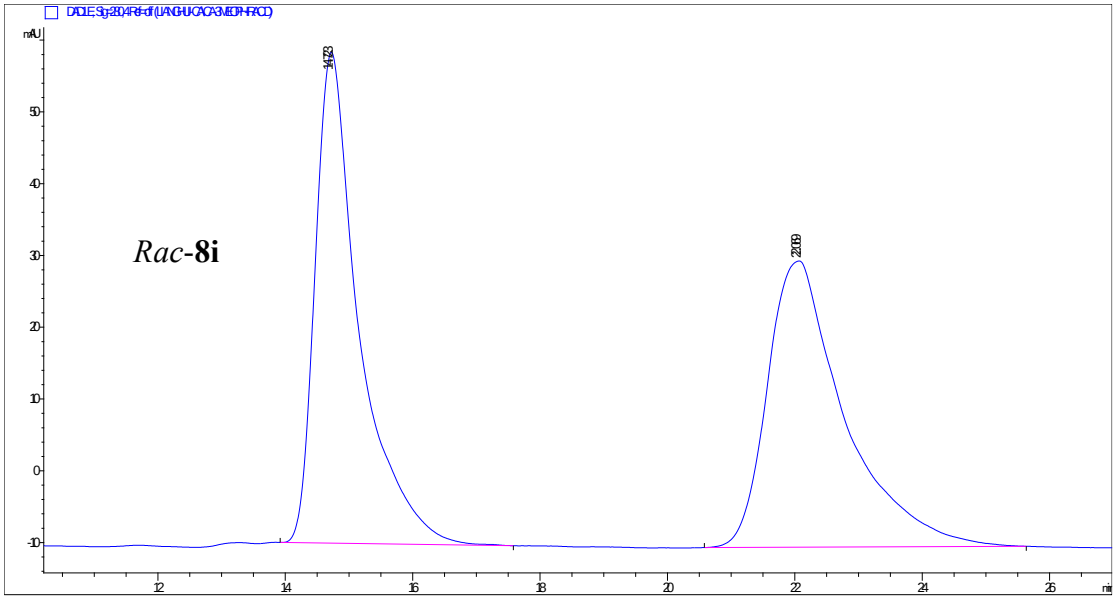



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 17.94 | 7305.2 | 208.3 | 0.5391 | 0.571 | 47.069 |
| 2 | 19.163 | 8214.9 | 199.7 | 0.6159 | 0.521 | 52.931 |

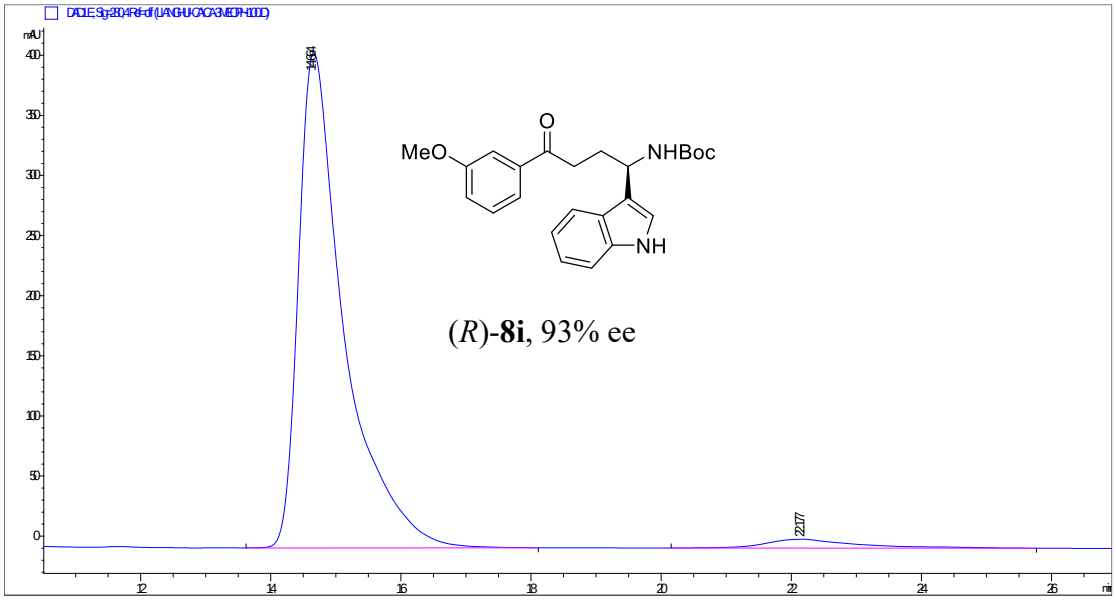



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 18.028 | 1319.3 | 39.2 | 0.509 | 0.962 | 2.919 |
| 2 | 18.988 | 43884.9 | 1079 | 0.6305 | 0.464 | 97.081 |

**Figure S45.** HPLC traces of *rac*-**8h** (reference) and (*R*)-**8h**.

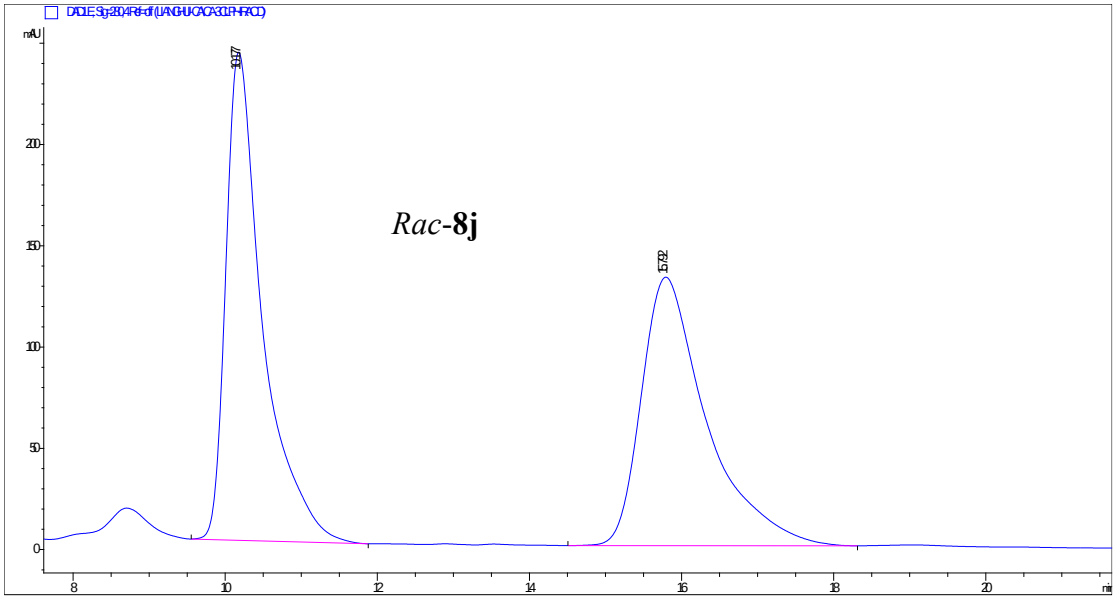



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 14.723 | 3271.4 | 68.4 | 0.6999 | 0.529 | 49.482 |
| 2 | 22.069 | 3339.9 | 39.9 | 1.183 | 0.635 | 50.518 |

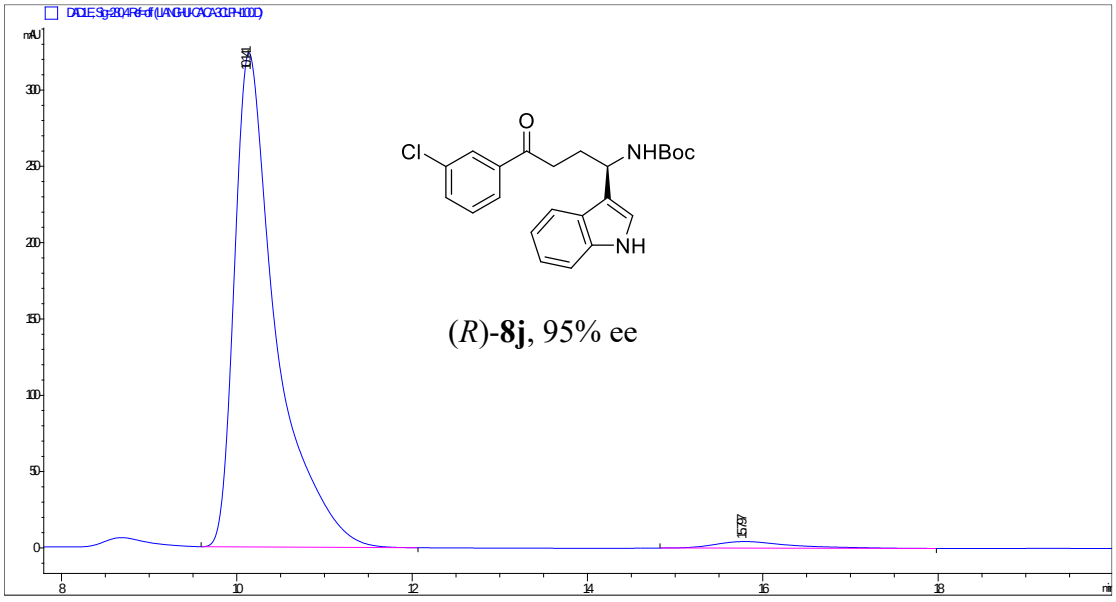



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 14.664 | 20007.6 | 411.8 | 0.6968 | 0.462 | 96.579 |
| 2 | 22.177 | 708.6 | 7.3 | 1.1738 | 0.671 | 3.421 |

**Figure S46.** HPLC traces of *rac*-**8i** (reference) and (*R*)-**8i**.

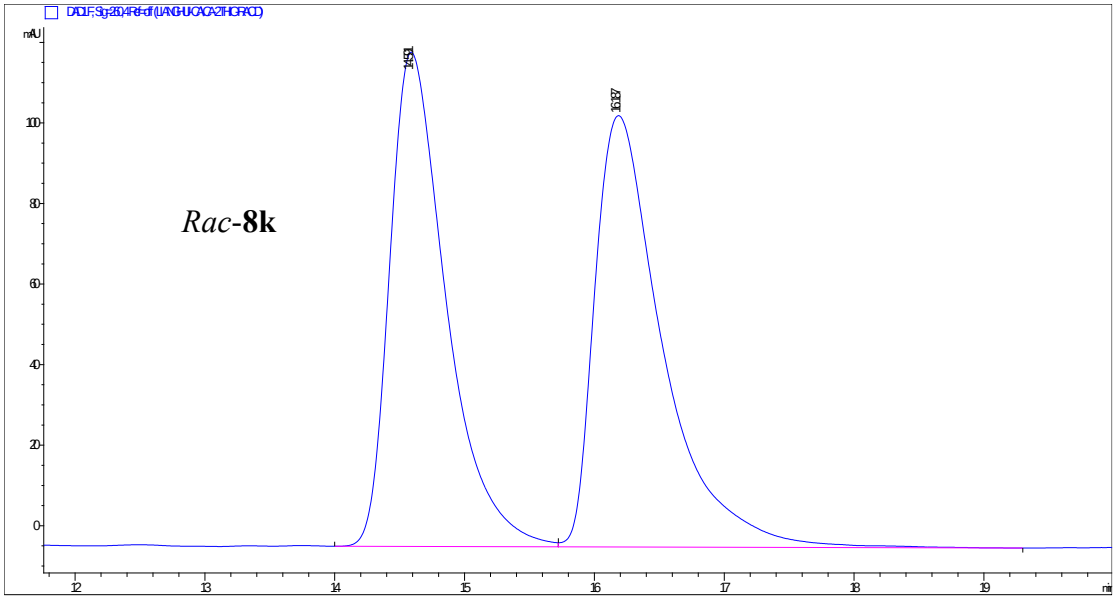



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.175 | 18905.8 | 546.5 | 0.5036 | 0.49 | 51.051 |
| 2 | 15.793 | 18127.7 | 303.2 | 0.8815 | 0.556 | 48.949 |

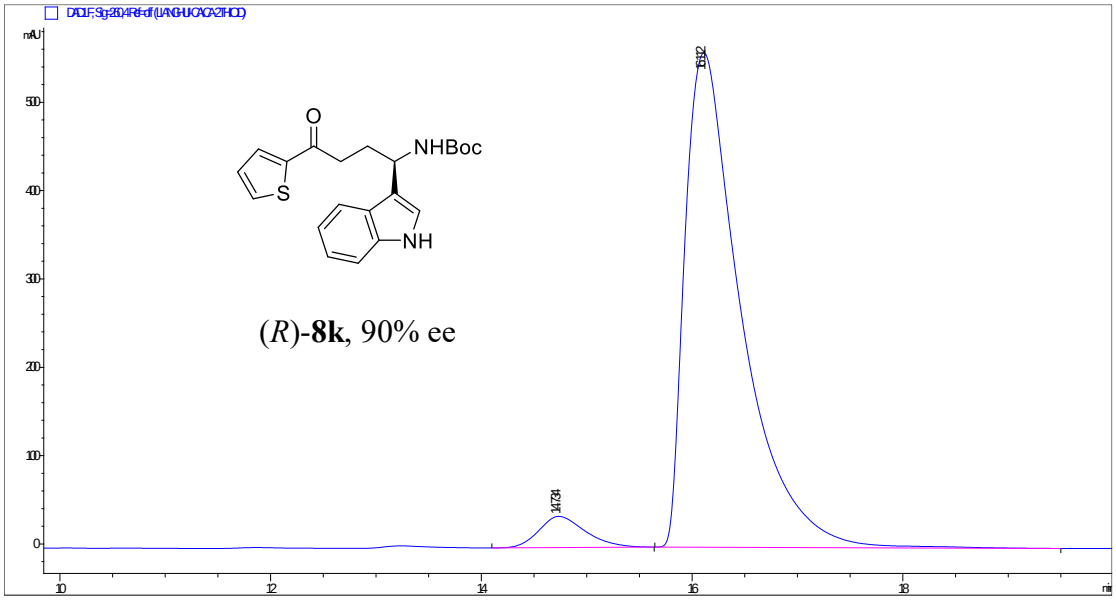



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.141 | 10665.7 | 323.8 | 0.4803 | 0.486 | 97.631 |
| 2 | 15.797 | 258.8 | 4.3 | 0.7155 | 0.605 | 2.369 |

**Figure S47.** HPLC traces of *rac*-**8j** (reference) and (*R*)-**8j**.

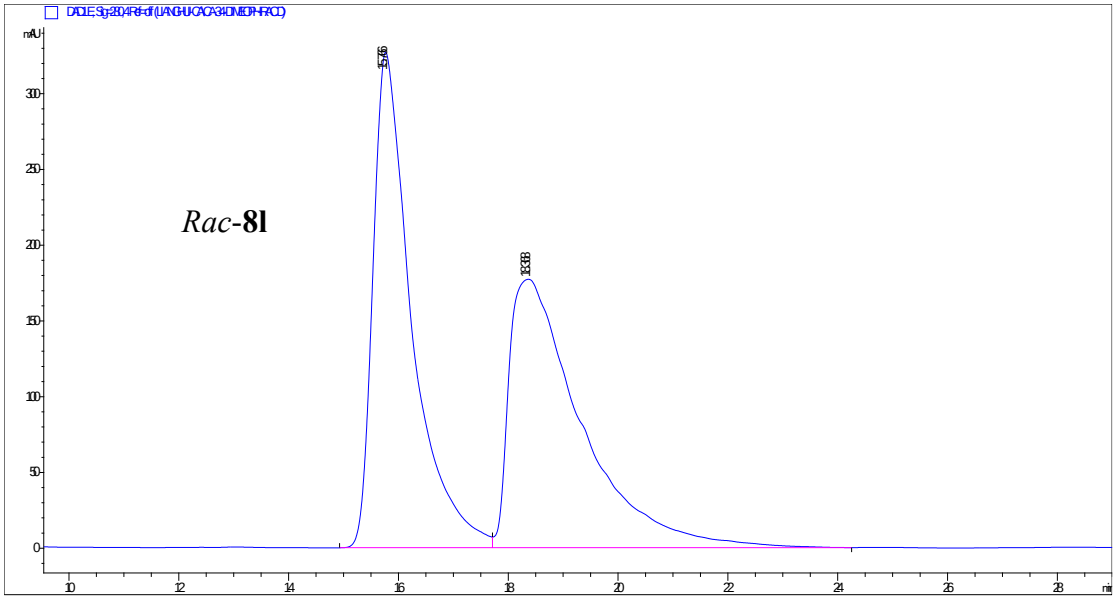



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 14.591 | 3711 | 122.7 | 0.4628 | 0.573 | 48.824 |
| 2 | 16.187 | 3889.9 | 107.1 | 0.5417 | 0.493 | 51.176 |

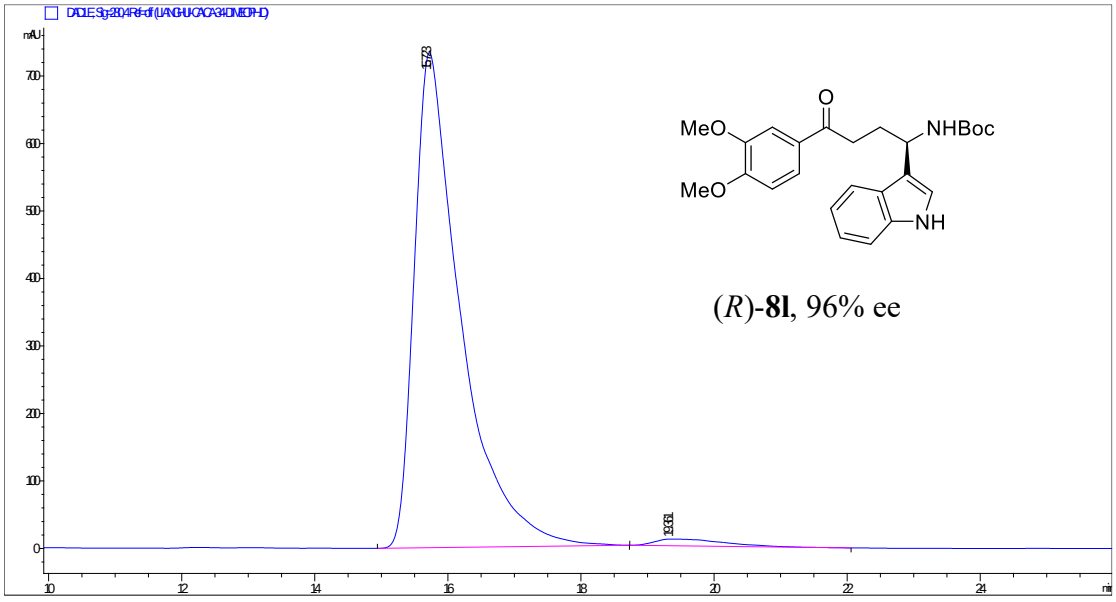



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 14.734 | 1080.5 | 35.4 | 0.4602 | 0.751 | 4.949 |
| 2 | 16.112 | 20751.7 | 559.9 | 0.5504 | 0.46 | 95.051 |

**Figure S48.** HPLC traces of *rac*-**8k** (reference) and (*R*)-**8k**.

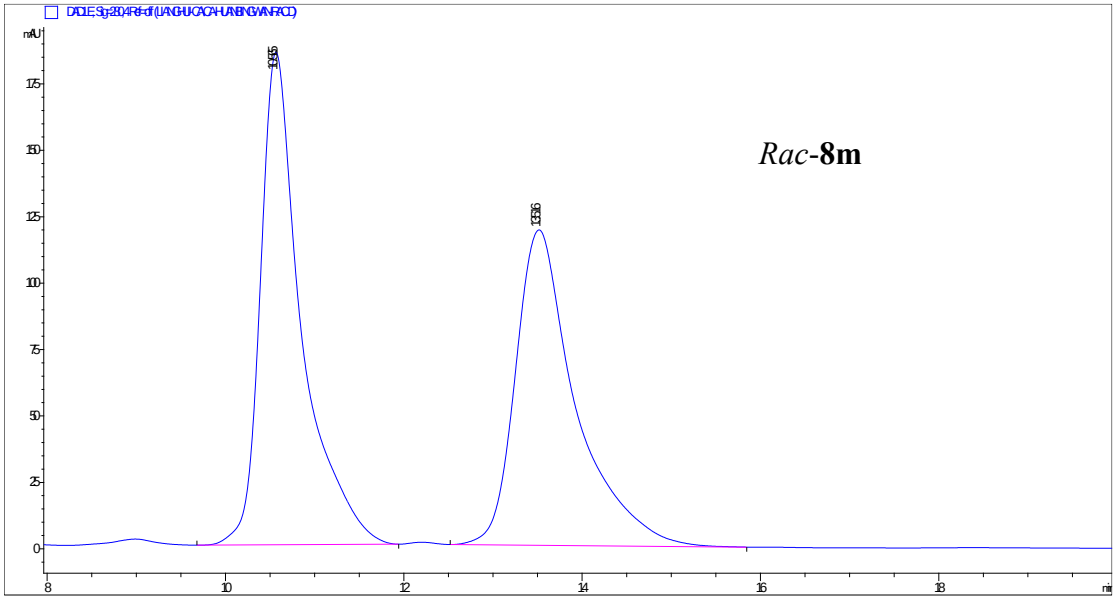



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 15.766 | 16003.2 | 327.1 | 0.7508 | 0.438 | 49.782 |
| 2 | 18.368 | 16143.5 | 177.2 | 1.2332 | 0.33 | 50.218 |

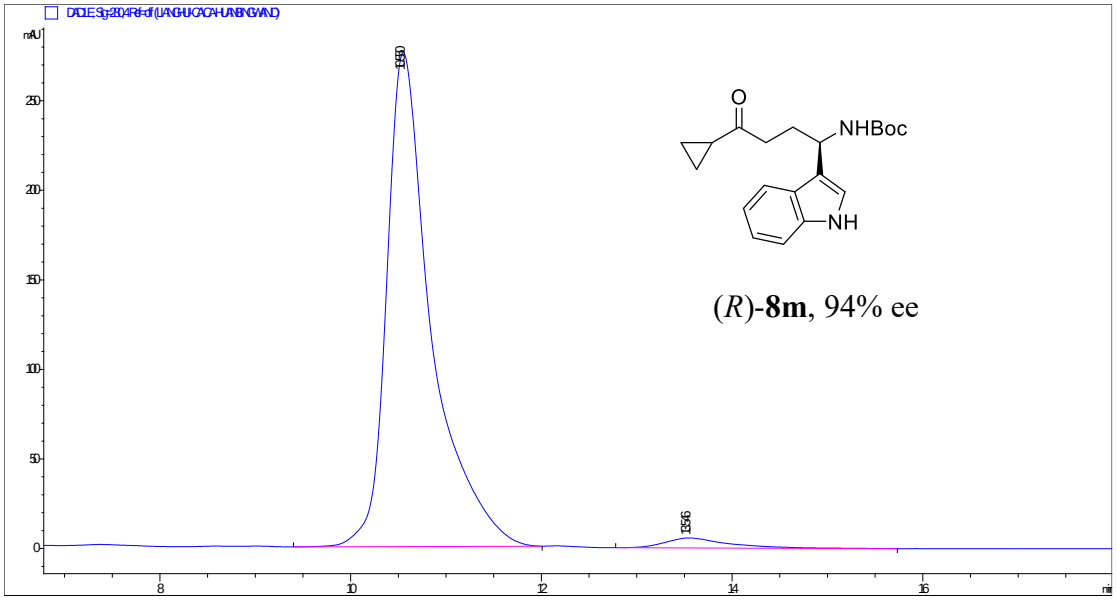



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 15.723 | 35577.5 | 733.6 | 0.6918 | 0.446 | 97.989 |
| 2 | 19.361 | 730.1 | 9.9 | 0.9265 | 0.295 | 2.011 |

**Figure S49.** HPLC traces of *rac*-**8l** (reference) and (*R*)-**8l**.

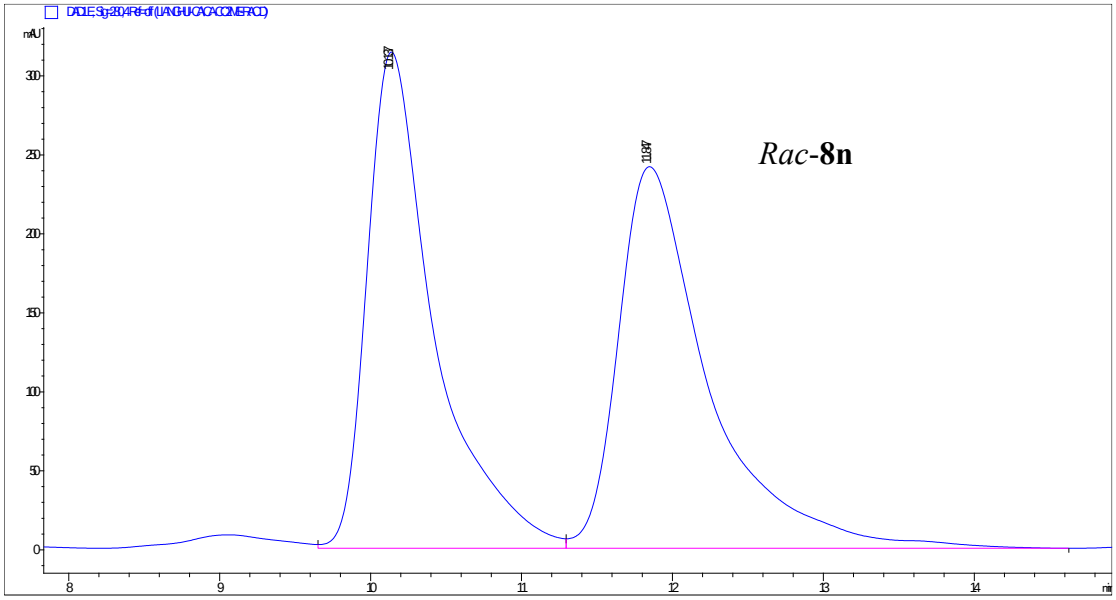



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.565 | 5949.4 | 185.5 | 0.4662 | 0.565 | 51.756 |
| 2 | 13.516 | 5545.4 | 118.7 | 0.6809 | 0.6 | 48.244 |

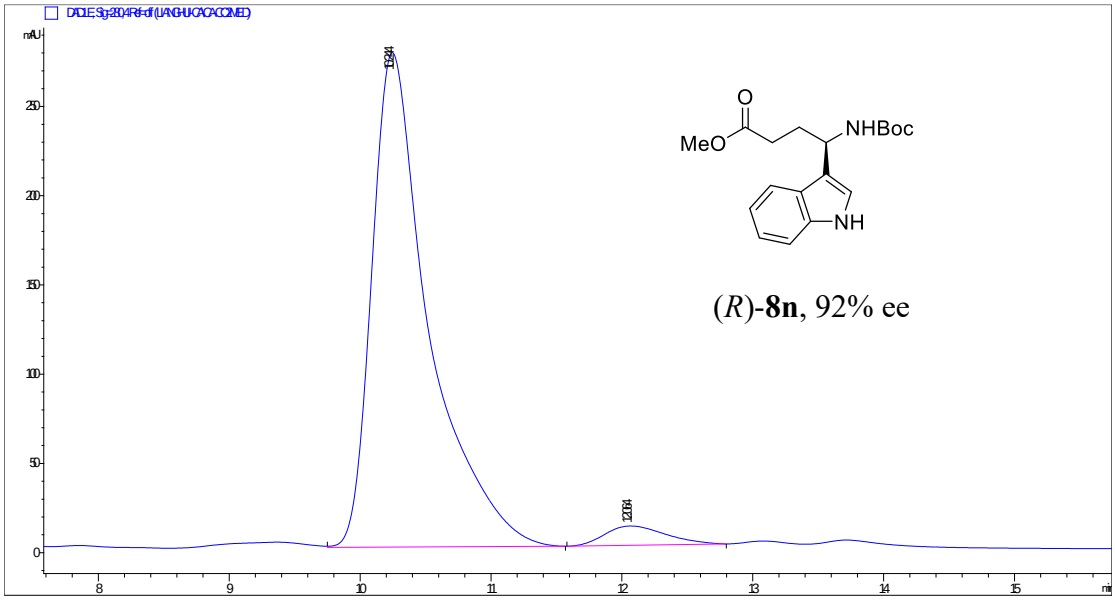



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.55 | 8994.2 | 275.9 | 0.4763 | 0.553 | 97.204 |
| 2 | 13.546 | 258.7 | 5.6 | 0.635 | 0.57 | 2.796 |

**Figure S50.** HPLC traces of *rac*-**8m** (reference) and (*R*)-**8m**.

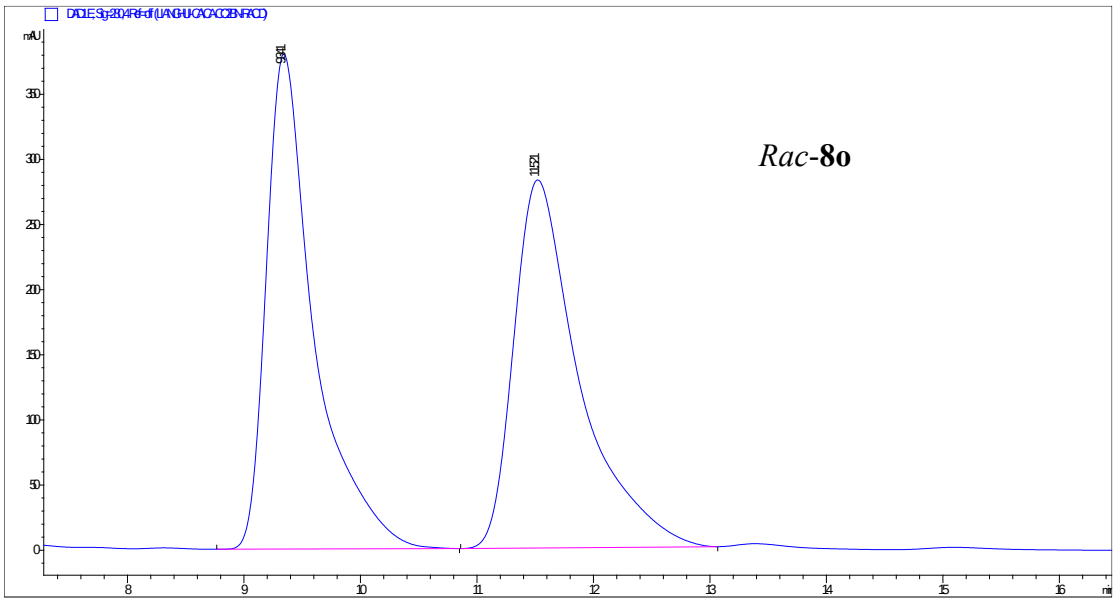



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.137 | 9708.4 | 314.2 | 0.4565 | 0.519 | 49.186 |
| 2 | 11.847 | 10029.6 | 241.6 | 0.6023 | 0.485 | 50.814 |

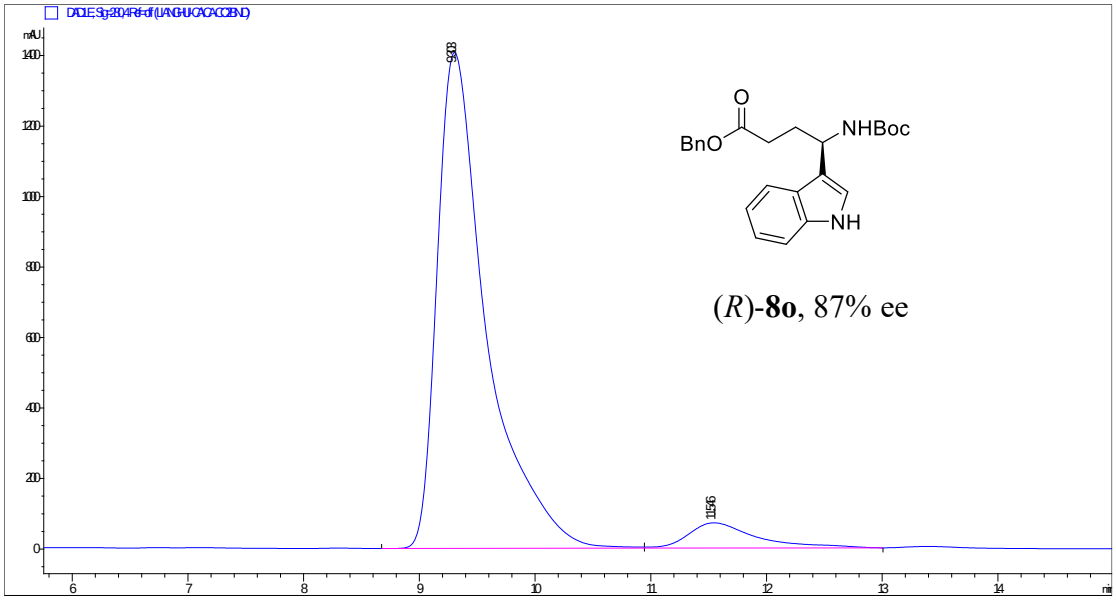



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.244 | 8369.7 | 277.1 | 0.4404 | 0.513 | 95.994 |
| 2 | 12.064 | 349.3 | 10.8 | 0.495 | 0.705 | 4.006 |

**Figure S51.** HPLC traces of *rac*-**8n** (reference) and (*R*)-**8n**.

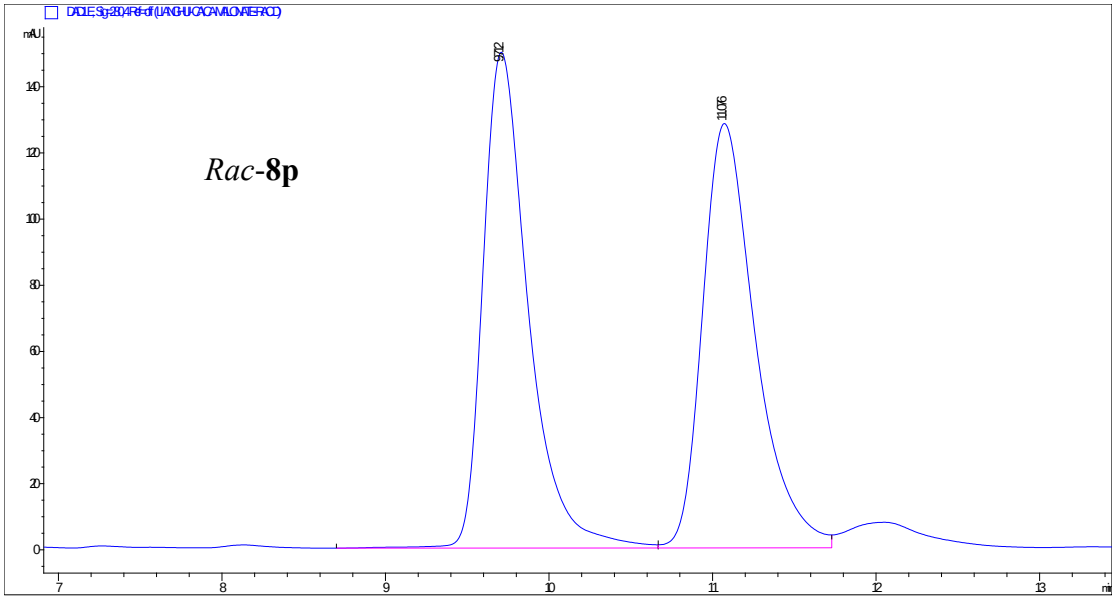



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 9.341 | 10826.7 | 380 | 0.4184 | 0.526 | 49.600 |
| 2 | 11.521 | 11001.5 | 282.6 | 0.5762 | 0.532 | 50.400 |

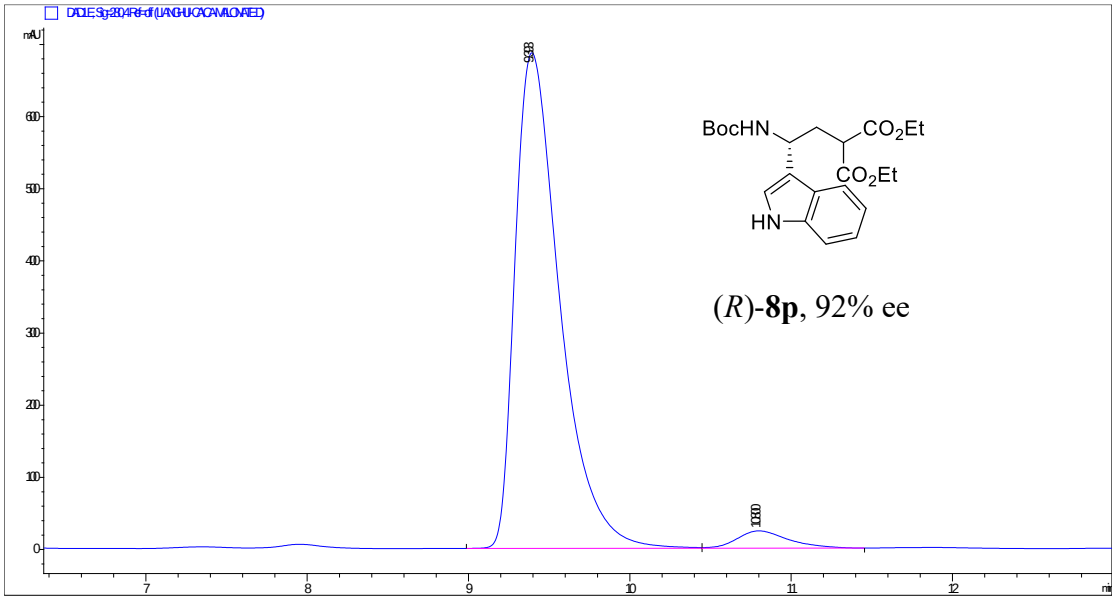



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 9.303 | 41594.8 | 1406.9 | 0.4369 | 0.489 | 93.469 |
| 2 | 11.546 | 2906.1 | 71.5 | 0.5963 | 0.565 | 6.531 |

**Figure S52.** HPLC traces of *rac*-**8o** (reference) and (*R*)-**8o**.

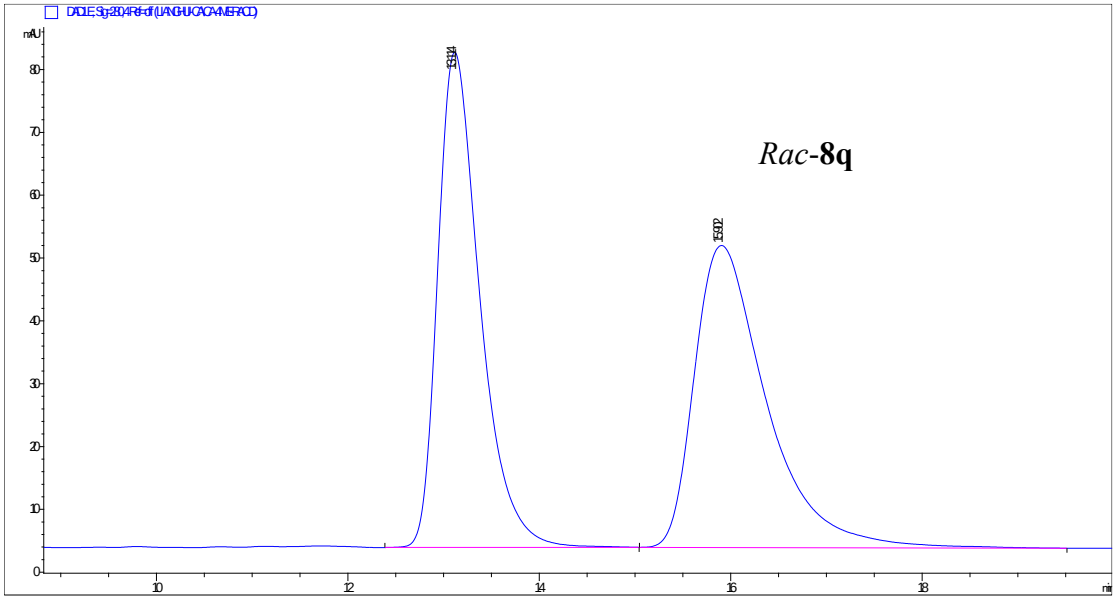



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 9.712 | 2892 | 149.9 | 0.2931 | 0.626 | 50.225 |
| 2 | 11.076 | 2866.1 | 128.3 | 0.3387 | 0.658 | 49.775 |

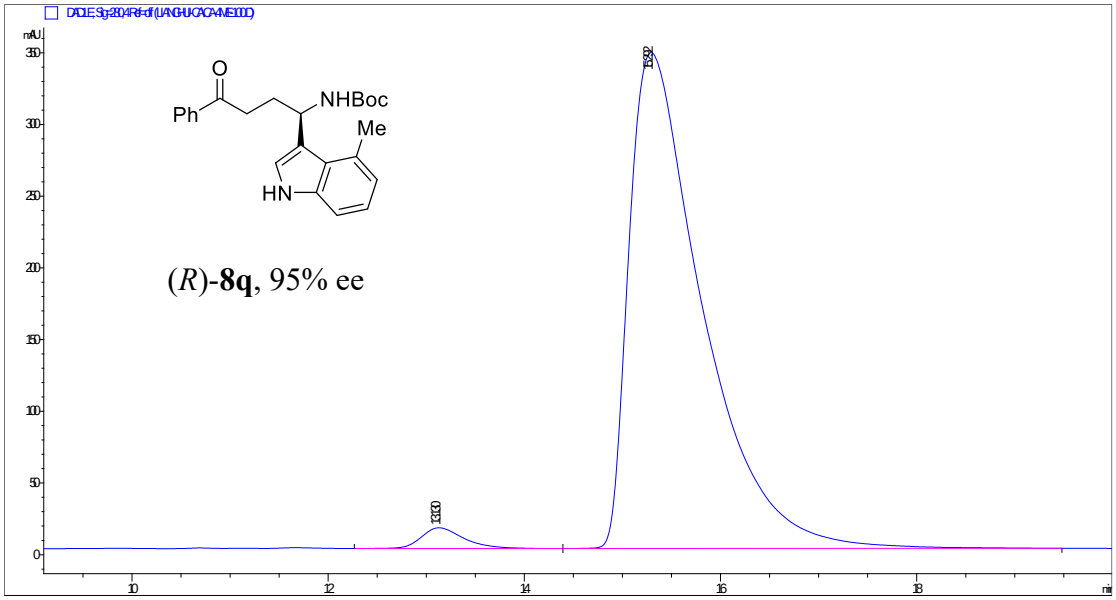



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 9.393 | 13232.1 | 686.9 | 0.2947 | 0.548 | 96.158 |
| 2 | 10.8 | 528.7 | 24 | 0.3312 | 0.706 | 3.842 |

**Figure S53.** HPLC traces of *rac*-**8p** (reference) and (*R*)-**8p**.

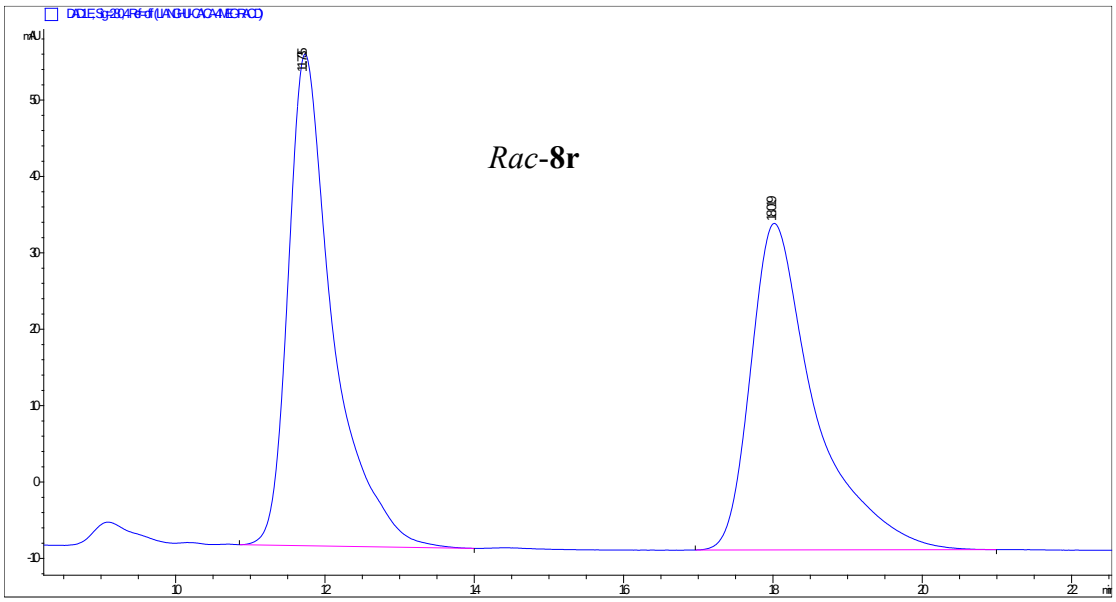



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.114 | 2410.3 | 78.8 | 0.4667 | 0.622 | 48.898 |
| 2 | 15.902 | 2519 | 48.1 | 0.7855 | 0.549 | 51.102 |

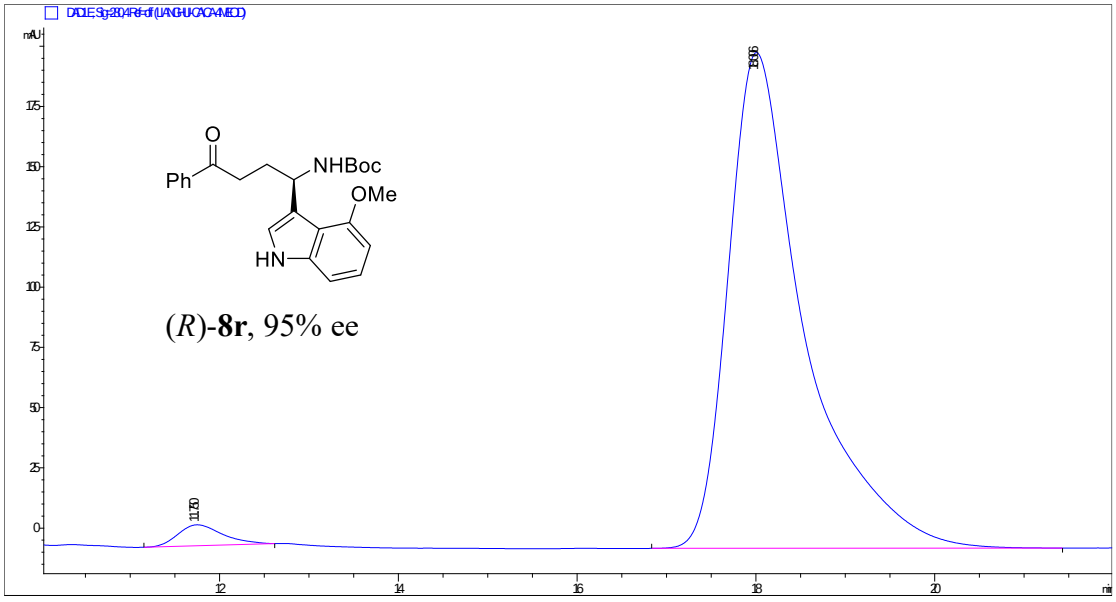



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 13.13 | 441 | 14.5 | 0.4589 | 0.685 | 2.391 |
| 2 | 15.292 | 18000.2 | 345.9 | 0.7632 | 0.394 | 97.609 |

**Figure S54.** HPLC traces of *rac*-**8q** (reference) and (*R*)-**8q**.

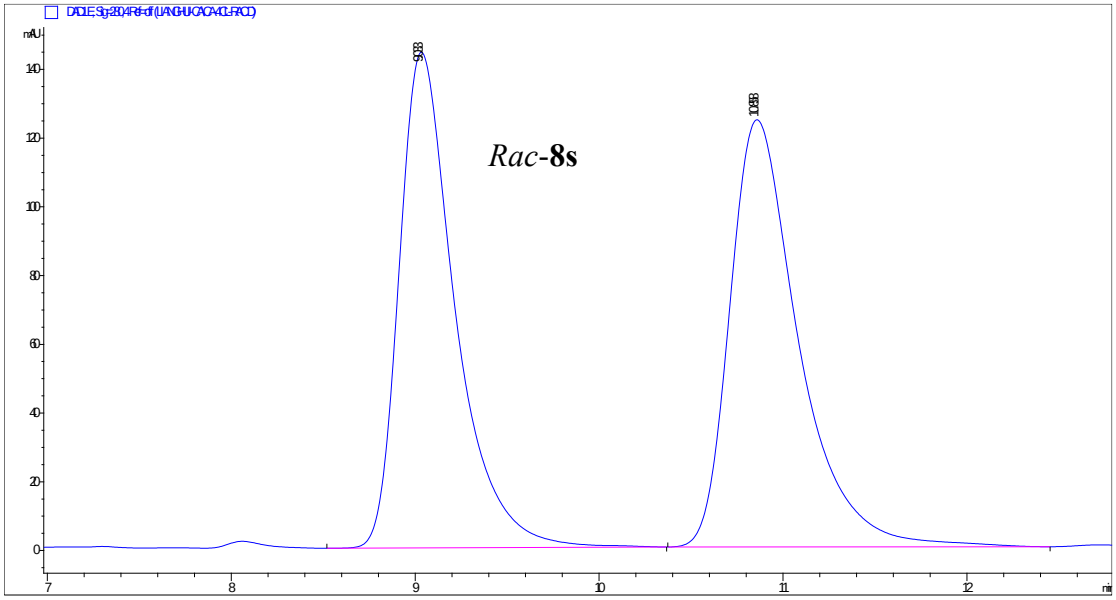



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 11.735 | 2679.6 | 64.6 | 0.6058 | 0.562 | 51.340 |
| 2 | 18.019 | 2539.8 | 42.7 | 0.8654 | 0.542 | 48.660 |

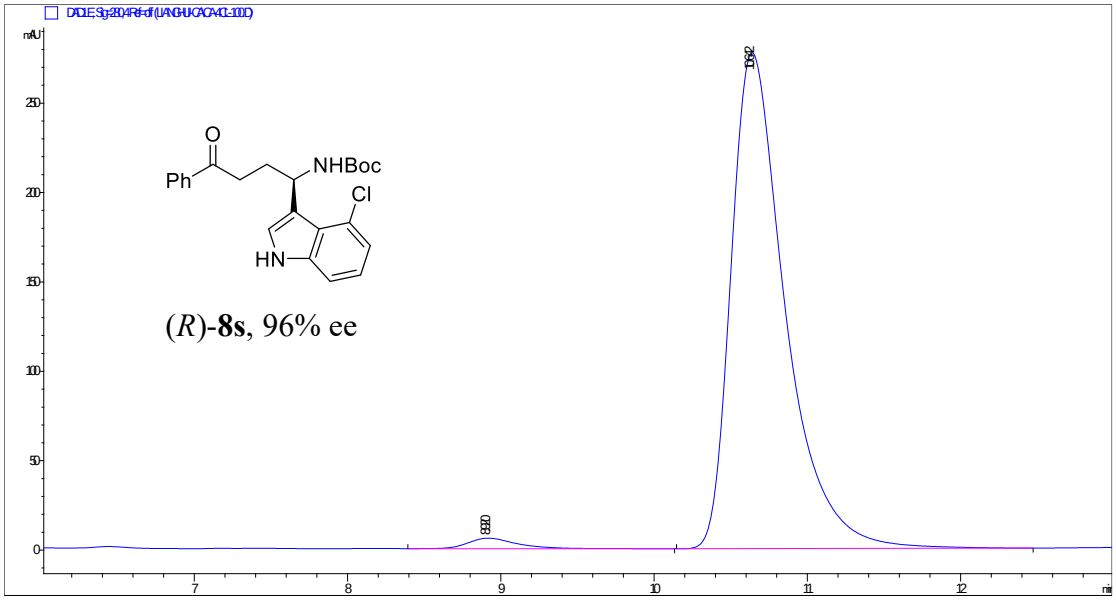



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 11.75 | 303.6 | 8.7 | 0.5265 | 0.724 | 2.434 |
| 2 | 18.006 | 12171.5 | 205.8 | 0.8661 | 0.539 | 97.566 |

**Figure S55.** HPLC traces of *rac*-**8r** (reference) and (*R*)-**8r**.

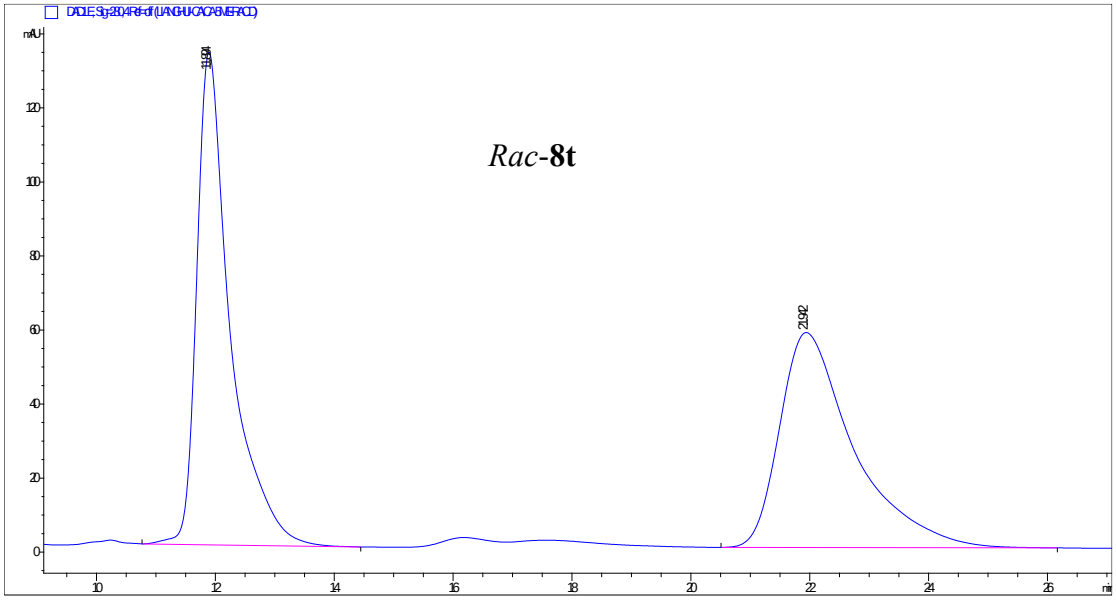



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min9] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 9.033 | 3054.9 | 144.3 | 0.319 | 0.609 | 48.608 |
| 2 | 10.858 | 3229.8 | 124.3 | 0.3911 | 0.603 | 51.392 |

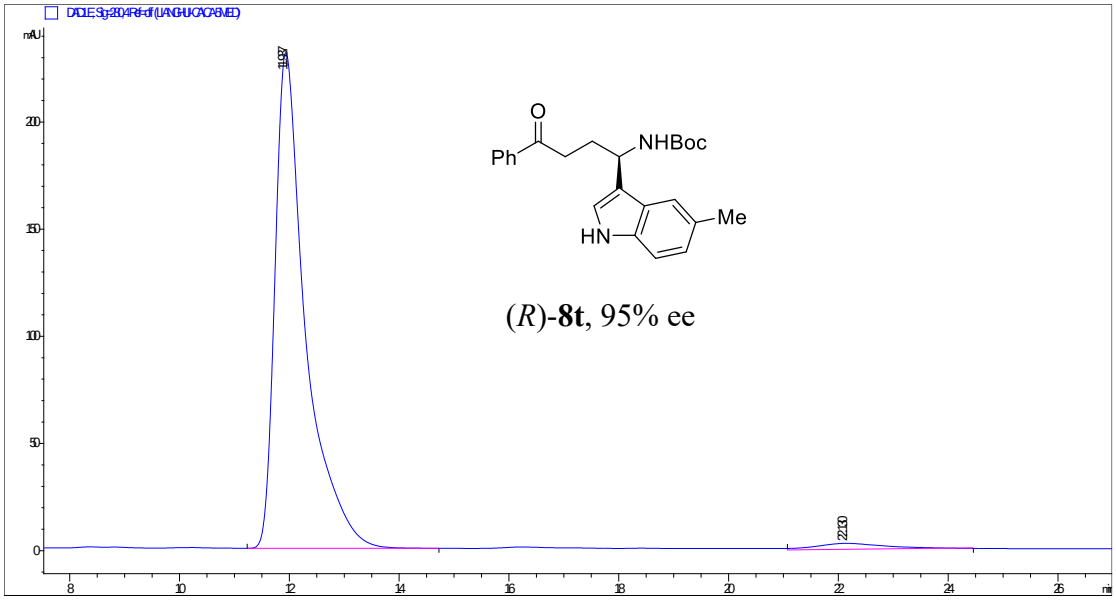



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 8.92 | 133.1 | 5.9 | 0.3338 | 0.645 | 1.917 |
| 2 | 10.642 | 6807.8 | 277.5 | 0.3723 | 0.583 | 98.083 |

**Figure S56.** HPLC traces of *rac*-**8s** (reference) and (*R*)-**8s**.

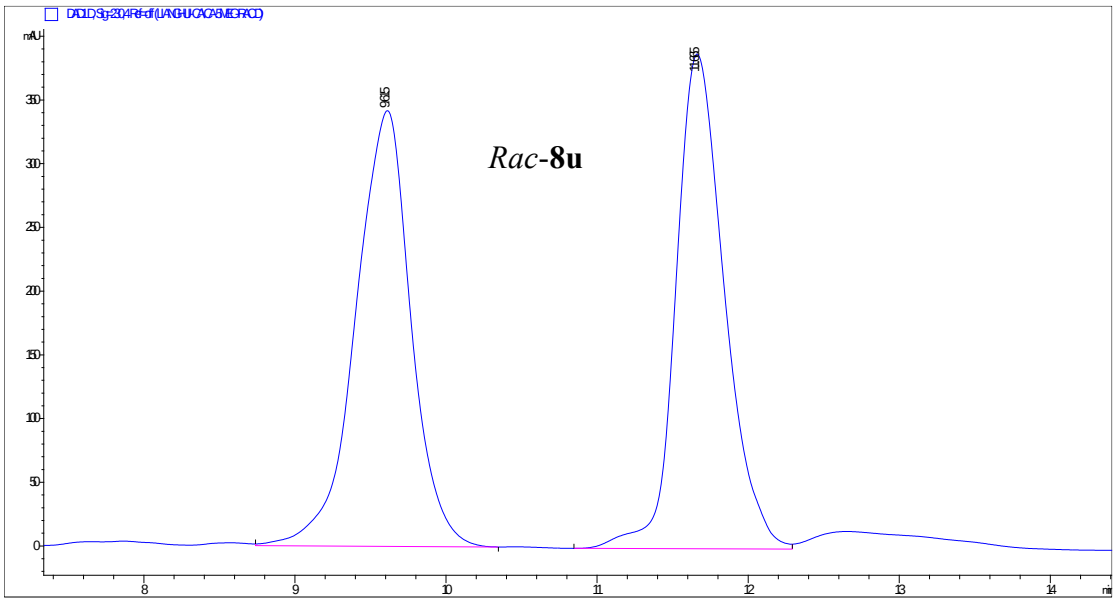



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min9] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 11.894 | 5230.4 | 133.1 | 0.5705 | 0.527 | 51.091 |
| 2 | 21.942 | 5007 | 58.1 | 1.2558 | 0.523 | 48.909 |

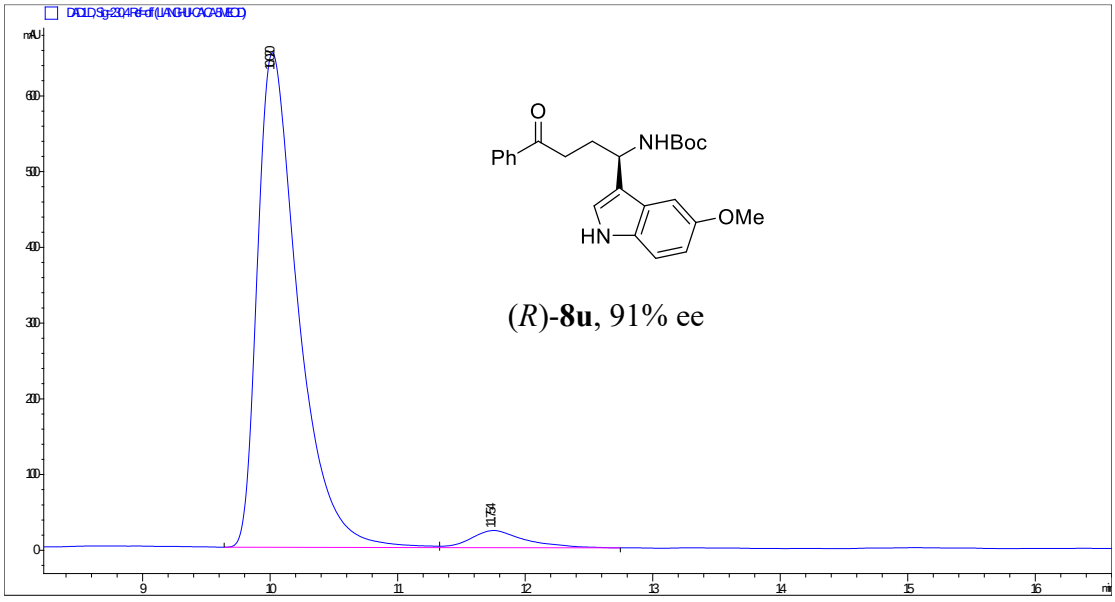



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 11.937 | 8926 | 231.3 | 0.5642 | 0.494 | 97.404 |
| 2 | 22.13 | 237.9 | 2.8 | 1.4296 | 0.776 | 2.596 |

**Figure S57.** HPLC traces of *rac*-**8t** (reference) and (*R*)-**8t**.

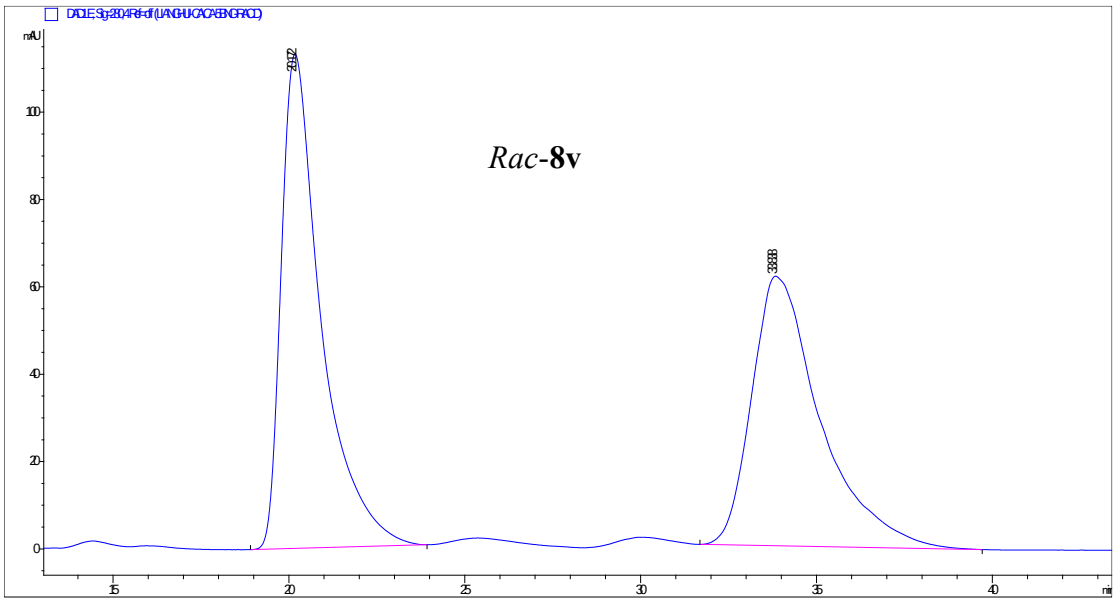



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min9] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 9.615 | 8703 | 342 | 0.3989 | 1.288 | 50.035 |
| 2 | 11.665 | 8690.8 | 388.4 | 0.3451 | 0.766 | 49.965 |

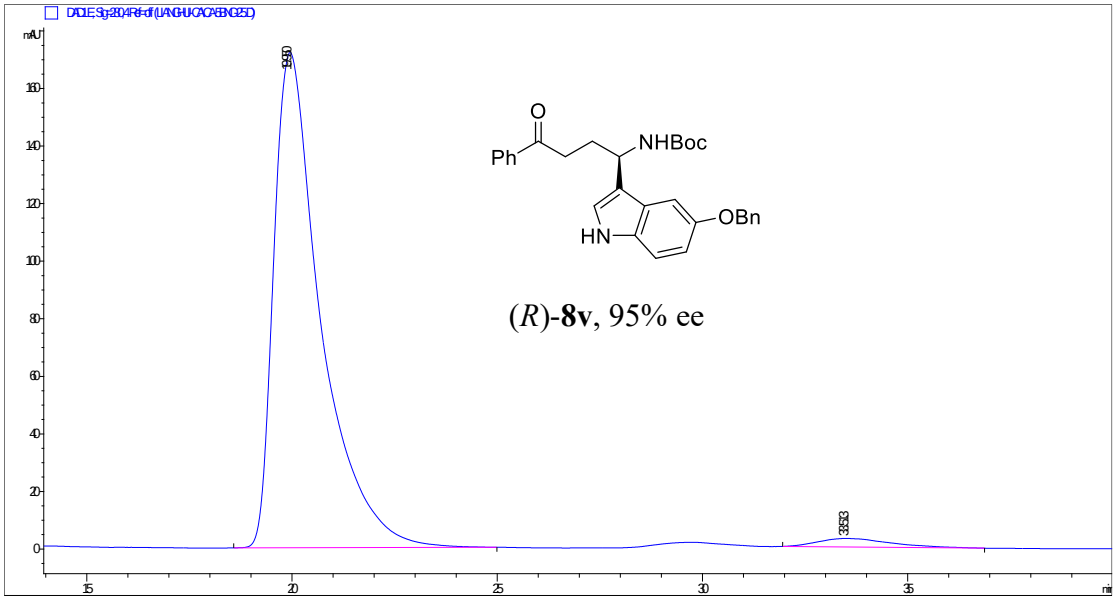



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.02 | 14478.5 | 653.2 | 0.3346 | 0.531 | 95.563 |
| 2 | 11.754 | 672.2 | 22.7 | 0.4349 | 0.671 | 4.437 |

**Figure S58.** HPLC traces of *rac*-**8u** (reference) and (*R*)-**8u**.

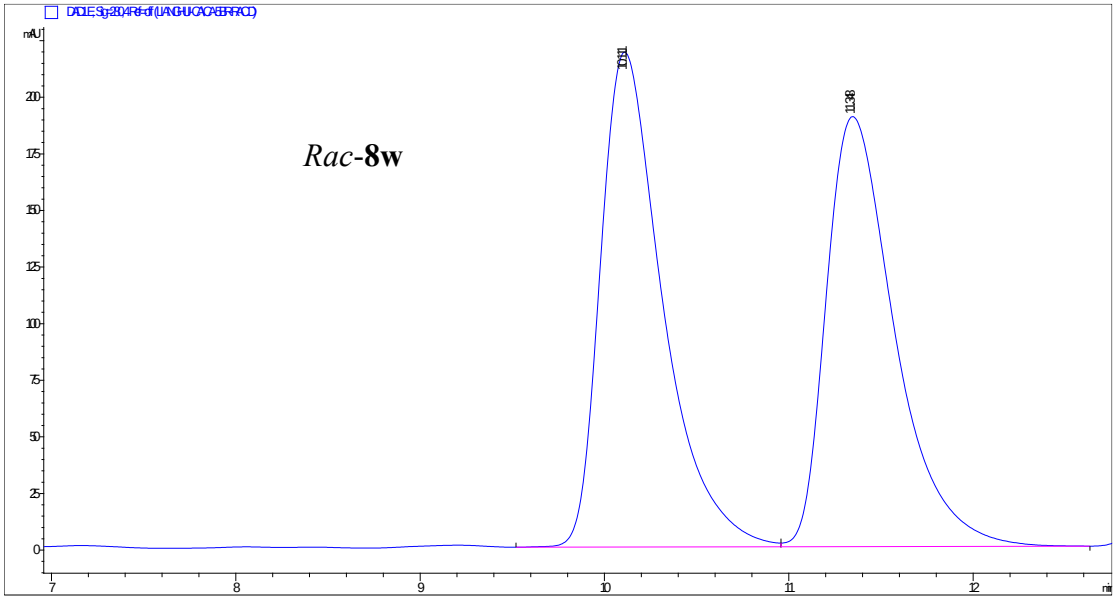



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min9] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 20.172 | 8932.8 | 113.2 | 1.1587 | 0.479 | 51.665 |
| 2 | 33.838 | 8356.9 | 61.7 | 1.6997 | 0.513 | 48.335 |

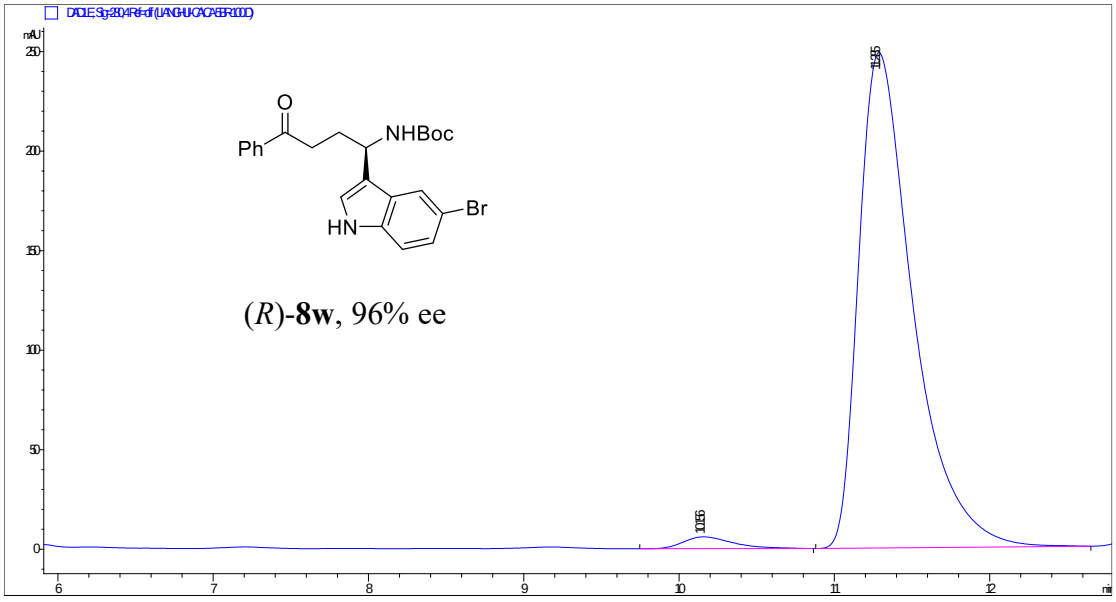



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 19.95 | 13653.2 | 172.1 | 1.1778 | 0.48 | 97.378 |
| 2 | 33.513 | 367.6 | 3 | 2.0508 | 0.601 | 2.622 |

**Figure S59.** HPLC traces of *rac*-**8v** (reference) and (*R*)-**8v**.

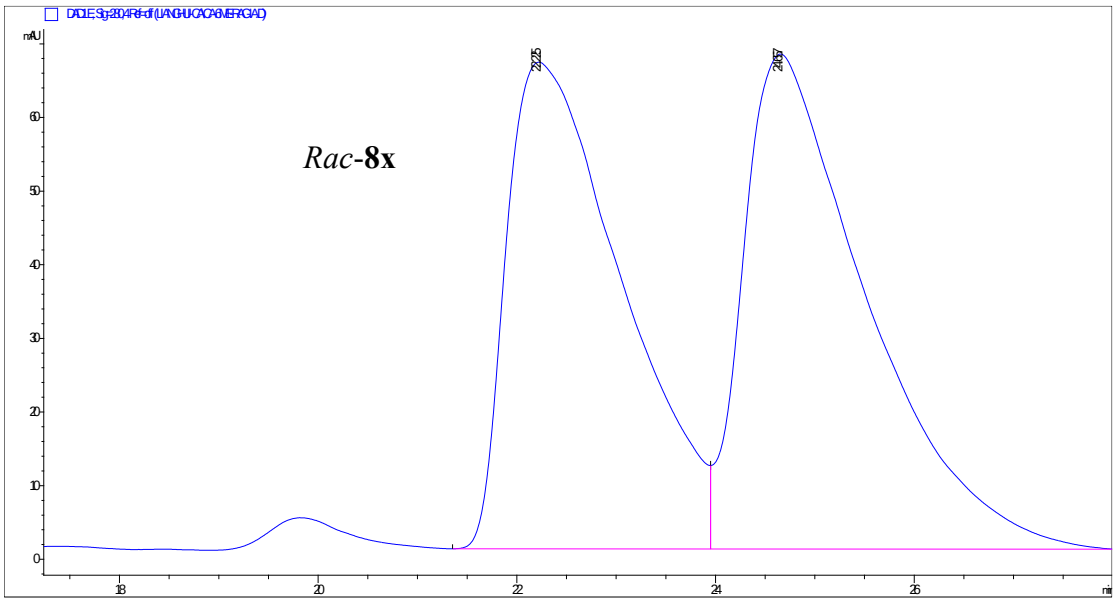



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min9] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.111 | 5120.9 | 218.6 | 0.3553 | 0.59 | 51.139 |
| 2 | 11.348 | 4892.8 | 189.9 | 0.3965 | 0.589 | 48.861 |

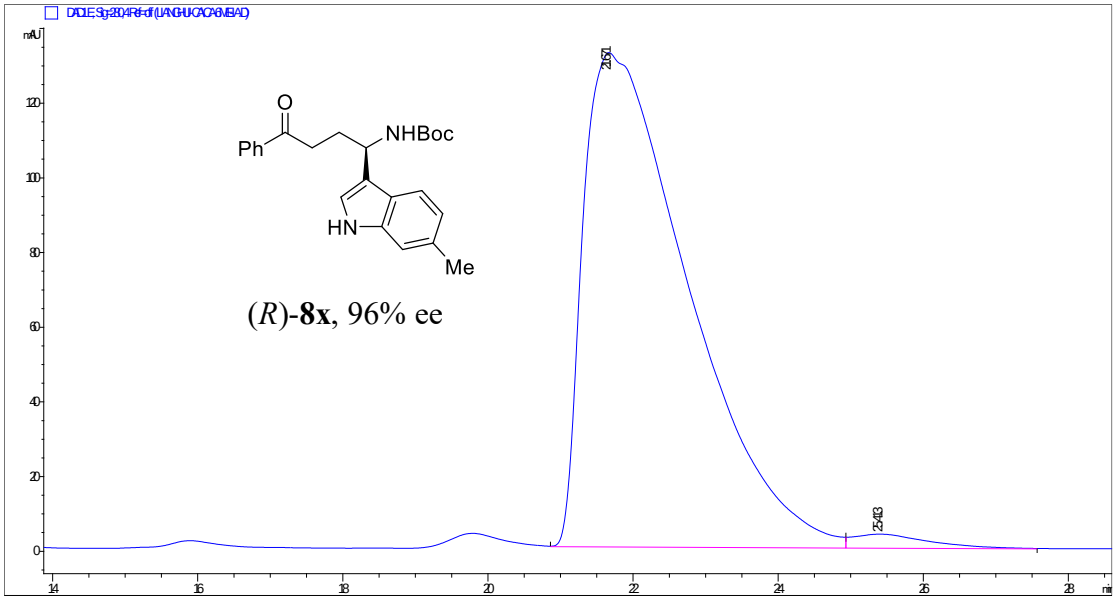



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.156 | 131.9 | 5.9 | 0.3307 | 0.651 | 2.138 |
| 2 | 11.285 | 6039.6 | 248.9 | 0.3691 | 0.544 | 97.862 |

**Figure S60.** HPLC traces of *rac*-**8w** (reference) and (*R*)-**8w**.

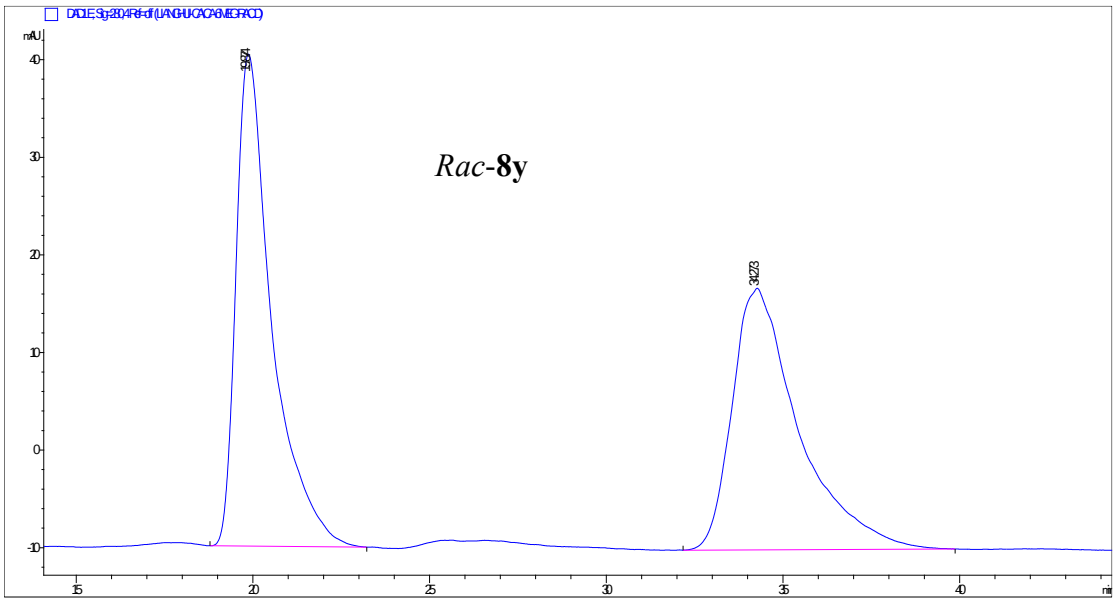



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min9] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 22.225 | 5330.1 | 66.1 | 1.1609 | 0.376 | 47.800 |
| 2 | 24.657 | 5820.8 | 67.2 | 1.2125 | 0.403 | 52.200 |

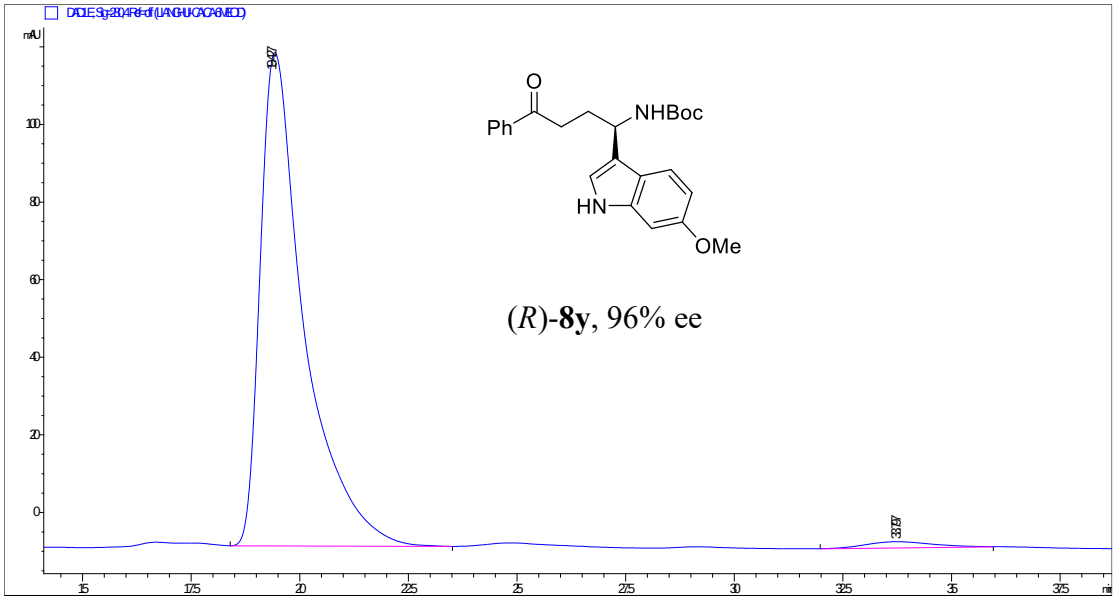



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 21.671 | 13340.3 | 132.4 | 1.6791 | 0.317 | 97.964 |
| 2 | 25.413 | 277.3 | 3.8 | 1.2266 | 0.517 | 2.036 |

**Figure S61.** HPLC traces of *rac*-**8x** (reference) and (*R*)-**8x**.

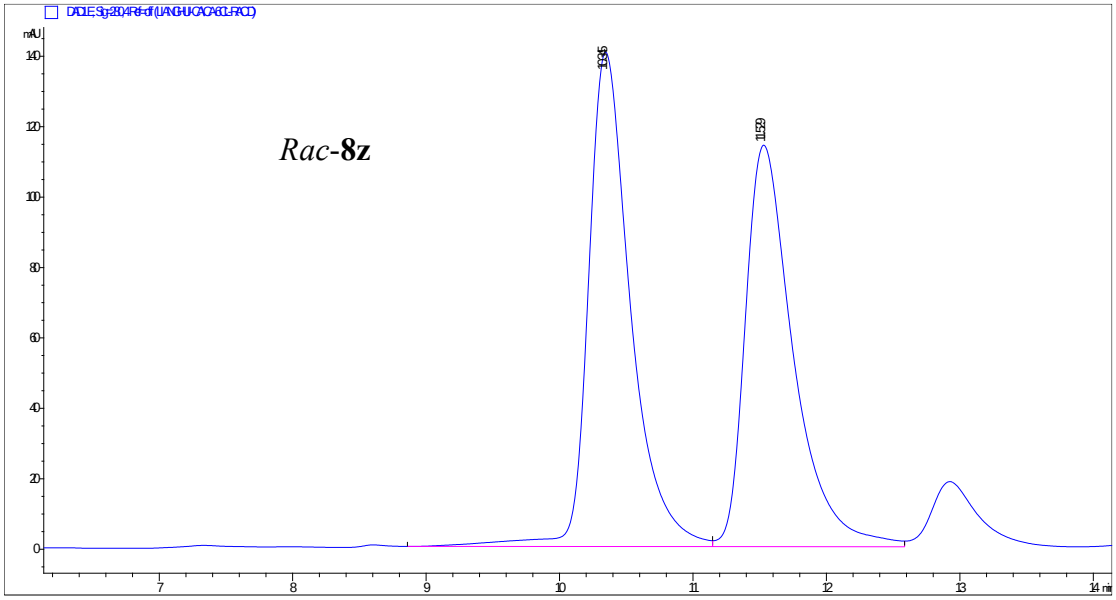



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min9] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 19.874 | 3556.9 | 50.4 | 1.0212 | 0.484 | 50.441 |
| 2 | 34.273 | 3494.7 | 26.8 | 1.5719 | 0.579 | 49.559 |

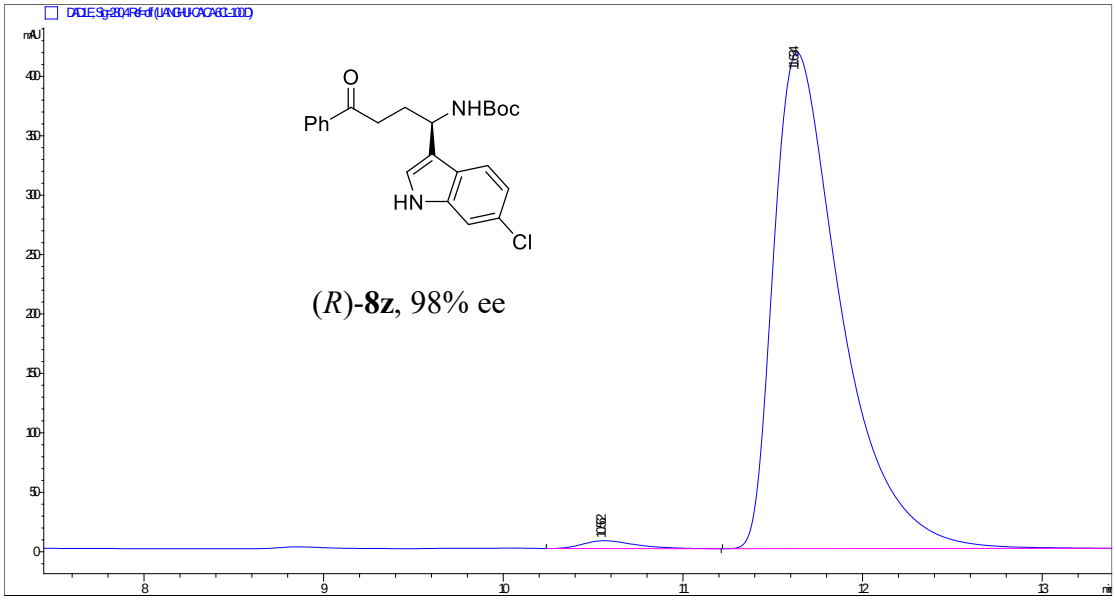



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 19.427 | 8919 | 127.1 | 1.0165 | 0.455 | 98.096 |
| 2 | 33.797 | 173.1 | 1.6 | 1.8035 | 0.969 | 1.904 |

**Figure S62.** HPLC traces of *rac*-**8y** (reference) and (*R*)-**8y**.

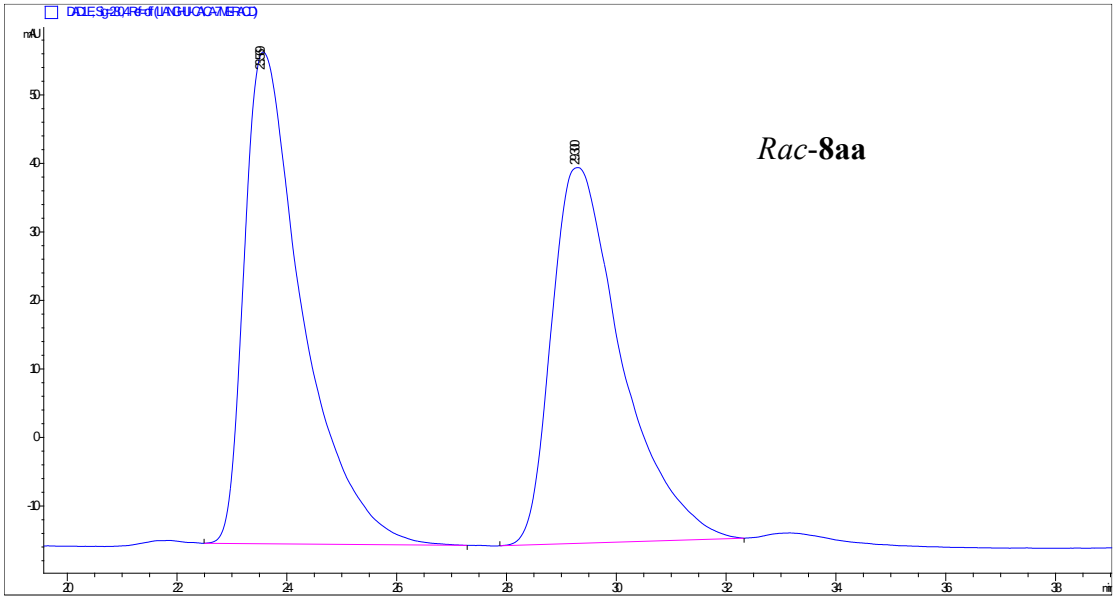



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min9] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.345 | 3093.2 | 140.4 | 0.333 | 0.671 | 52.057 |
| 2 | 11.529 | 2848.7 | 114 | 0.3775 | 0.572 | 47.943 |

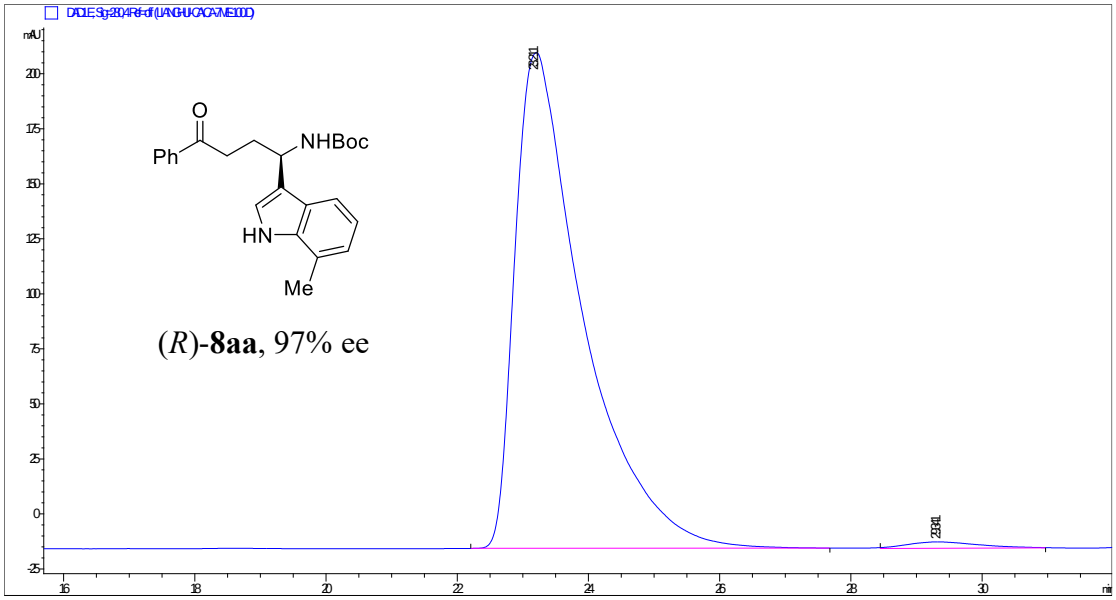



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 10.562 | 132.5 | 6.6 | 0.3028 | 0.654 | 1.205 |
| 2 | 11.634 | 10862.8 | 417.5 | 0.3936 | 0.495 | 98.795 |

**Figure S63.** HPLC traces of *rac*-**8z** (reference) and (*R*)-**8z**.

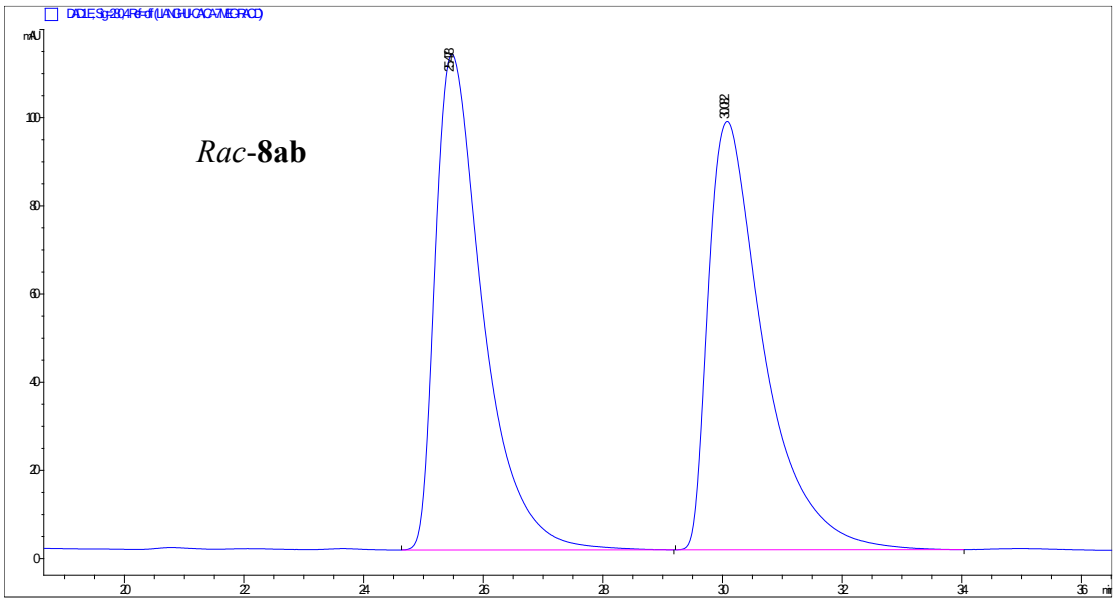



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min9] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 23.569 | 5282.6 | 71.8 | 1.0408 | 0.441 | 52.078 |
| 2 | 29.3 | 4861 | 54.8 | 1.264 | 0.554 | 47.922 |

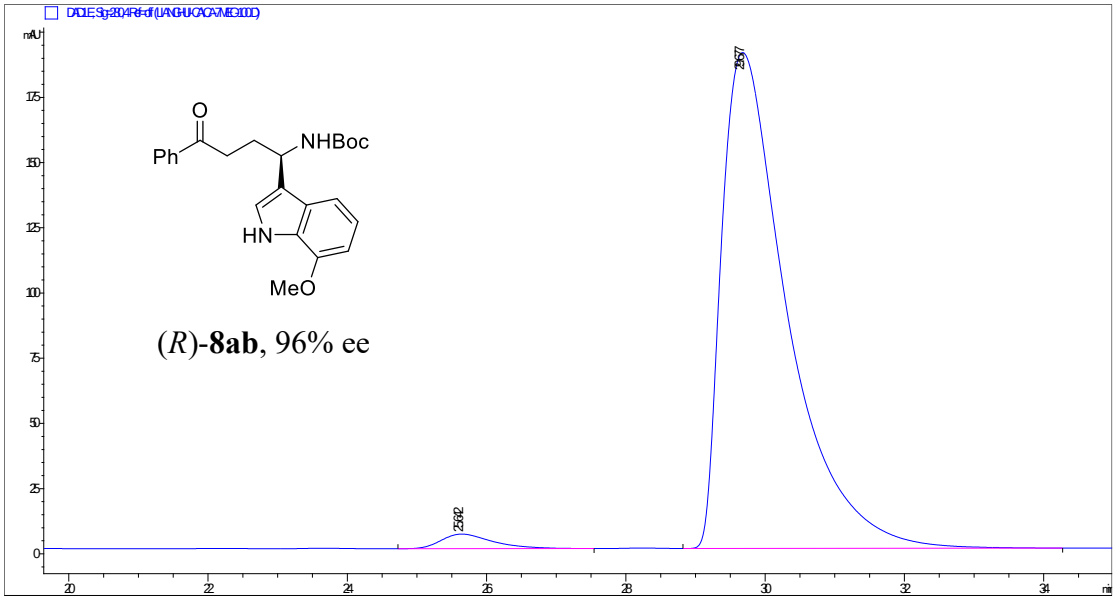



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 23.211 | 16207 | 225.3 | 0.9933 | 0.424 | 98.630 |
| 2 | 29.341 | 225.2 | 2.9 | 1.2784 | 0.759 | 1.370 |

**Figure S64.** HPLC traces of *rac*-**8aa** (reference) and (*R*)-**8aa**.

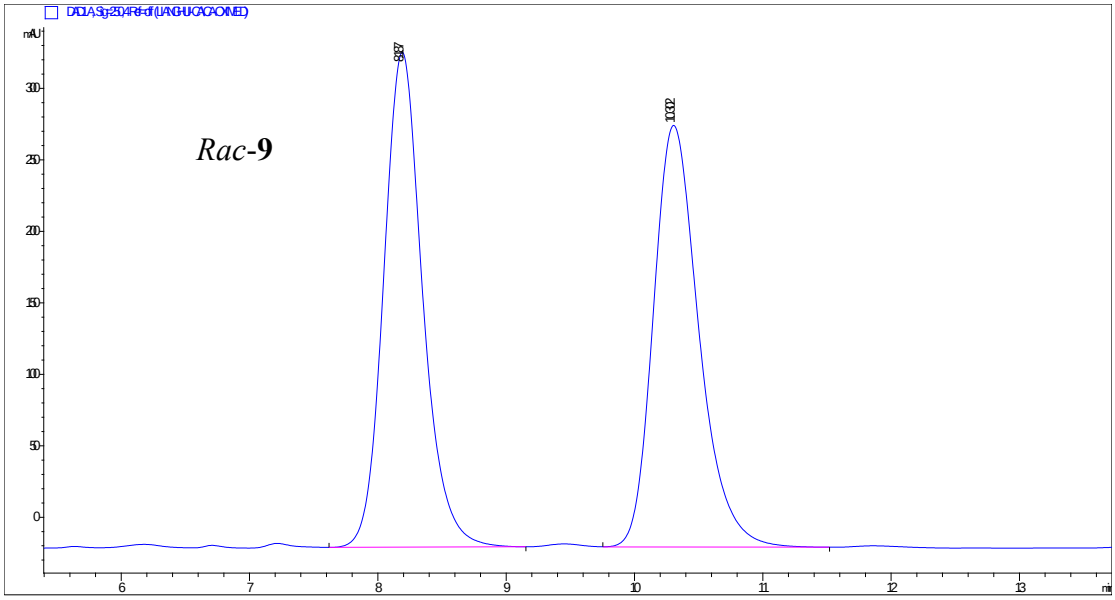



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min9] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 25.478 | 6226.7 | 112.5 | 0.8299 | 0.493 | 49.964 |
| 2 | 30.082 | 6235.6 | 97.2 | 0.9748 | 0.47 | 50.036 |

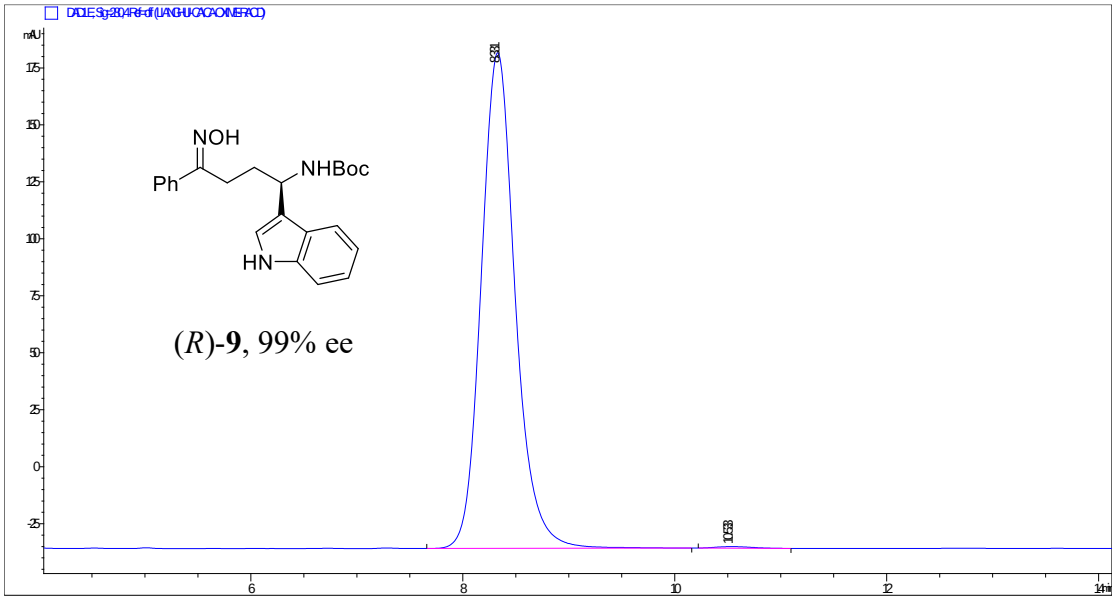



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 25.642 | 286.4 | 5.6 | 0.736 | 0.631 | 2.252 |
| 2 | 29.677 | 12433.8 | 190.2 | 0.9789 | 0.434 | 97.748 |

**Figure S65.** HPLC traces of *rac*-**8ab** (reference) and (*R*)-**8ab**.

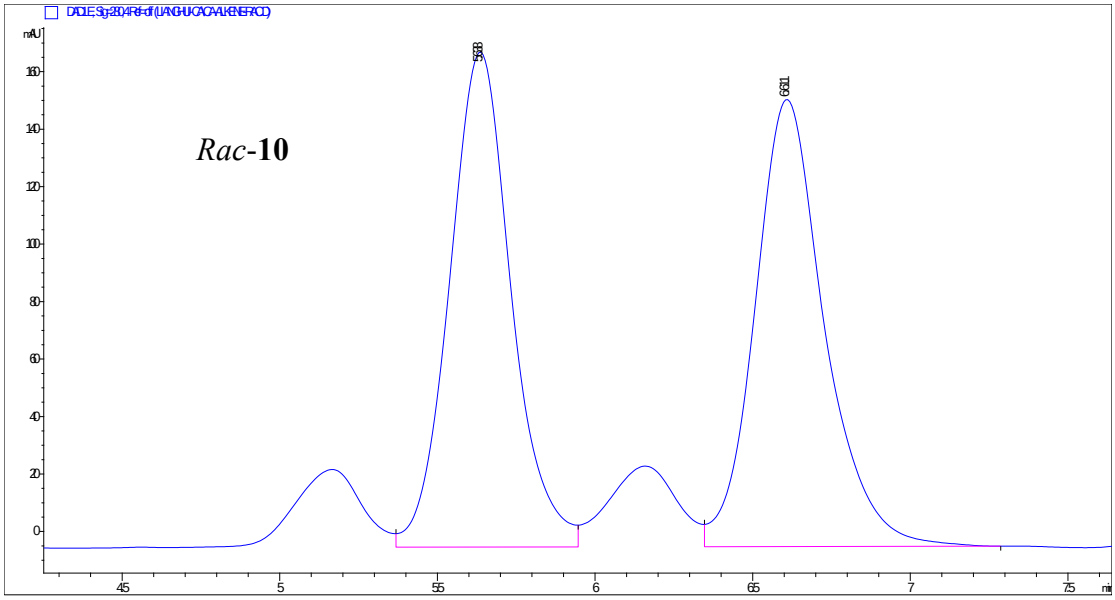



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min9] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 8.187 | 7307 | 346.3 | 0.3222 | 0.855 | 50.126 |
| 2 | 10.302 | 7270.4 | 295.1 | 0.3795 | 0.752 | 49.874 |

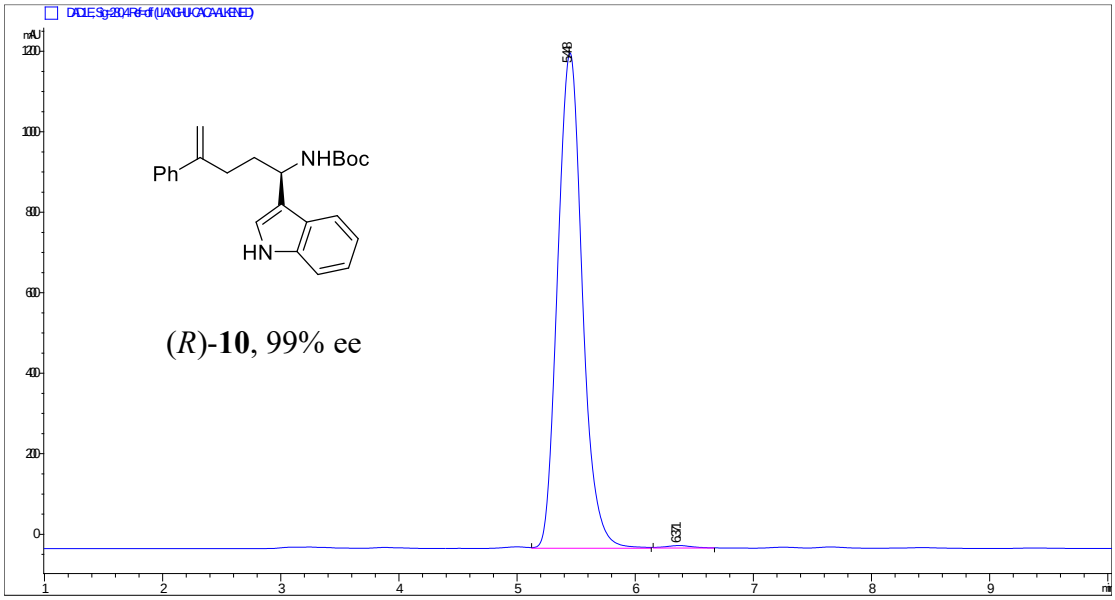



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 8.331 | 4851.2 | 217.5 | 0.3442 | 0.871 | 99.641 |
| 2 | 10.533 | 17.5 | 0.73 | 0.4019 | 0.654 | 0.359 |

**Figure S66.** HPLC traces of *rac*-**9** (reference) and (*R*)-**9**.




| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min9] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 5.638 | 2341.4 | 172.2 | 0.2086 | 0.933 | 49.619 |
| 2 | 6.611 | 2377.1 | 155.6 | 0.2303 | 0.805 | 50.381 |

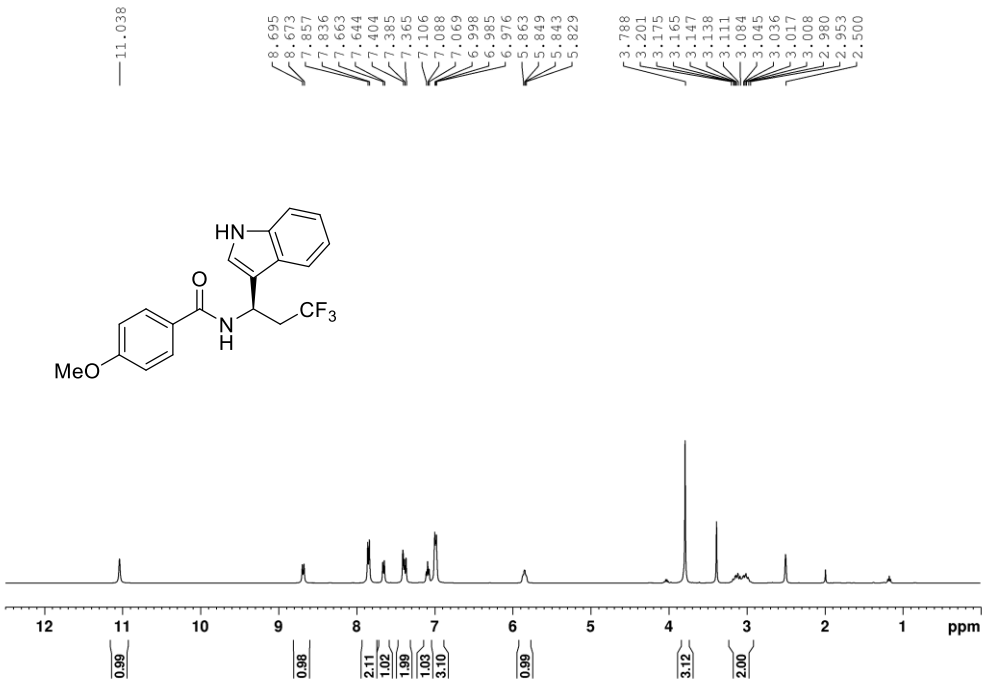



| Peak # | RetTime [min] | Area [Mau*s] | Height [mAU] | Width [min] | Symmetry factor | Area % |
|---|---|---|---|---|---|---|
| 1 | 5.448 | 17874.2 | 1231.6 | 0.2293 | 0.919 | 99.414 |
| 2 | 6.371 | 105.4 | 6.4 | 0.2762 | 0.96 | 0.586 |

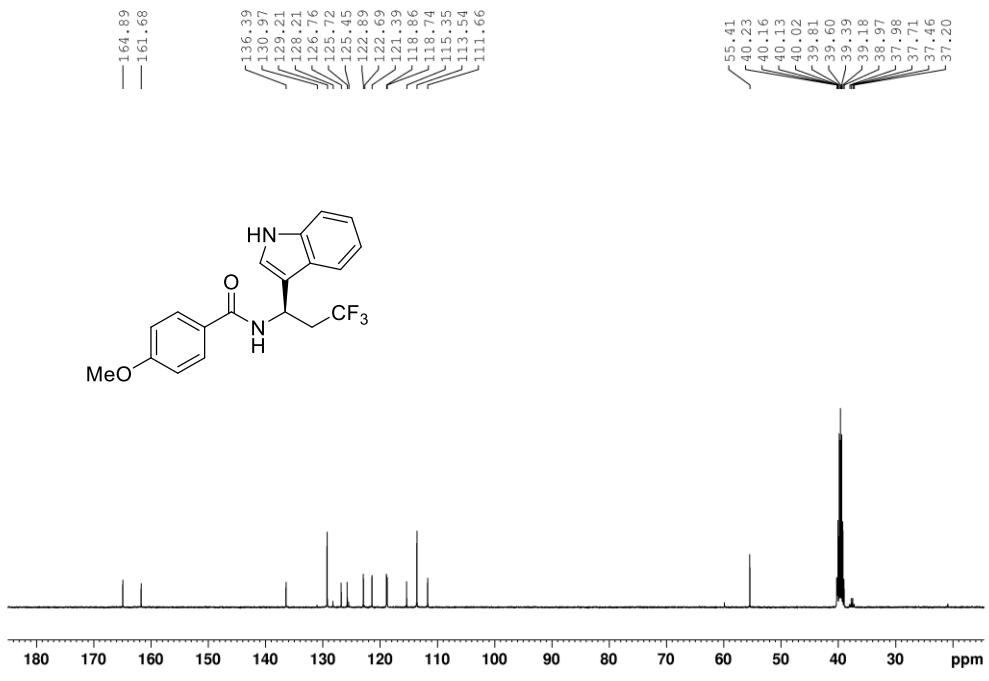
**Figure S67.** HPLC traces of *rac*-**10** (reference) and (*R*)-**10**.

## 11. NMR Spectra of New Compounds

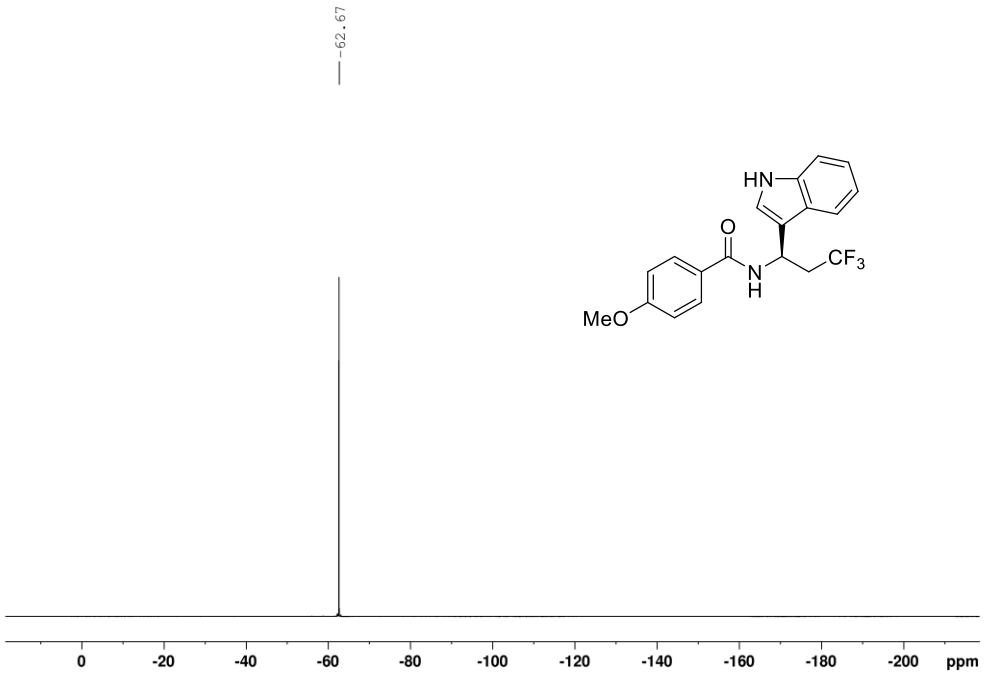
$^{1}$H NMR of **4a** in DMSO-$d_6$ (400 M)



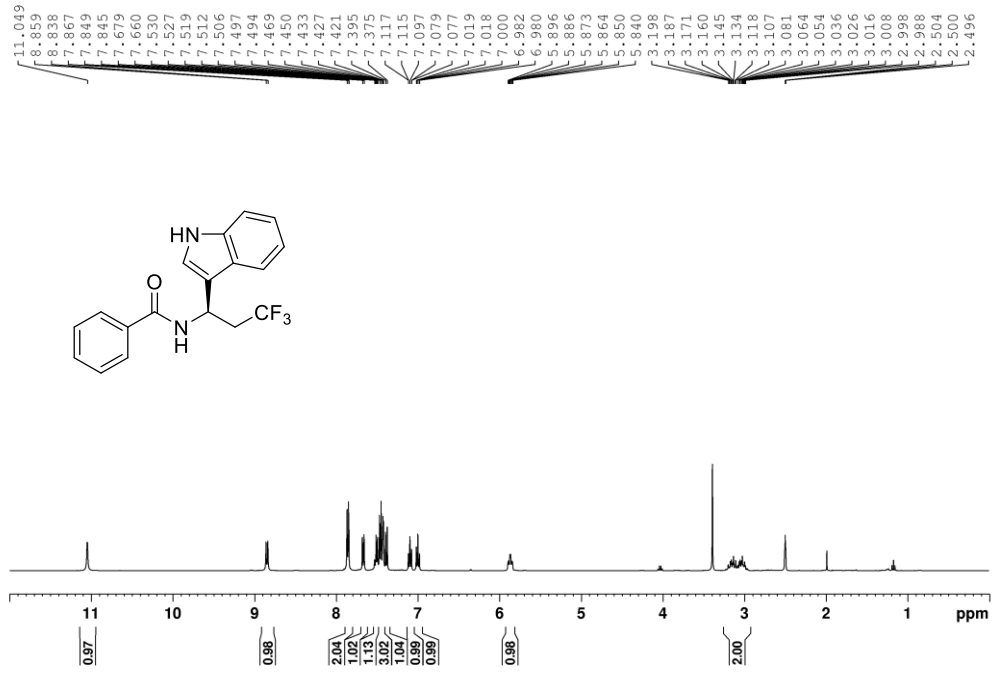

$^{13}$C NMR of **4a** in DMSO-$d_6$ (100 M)



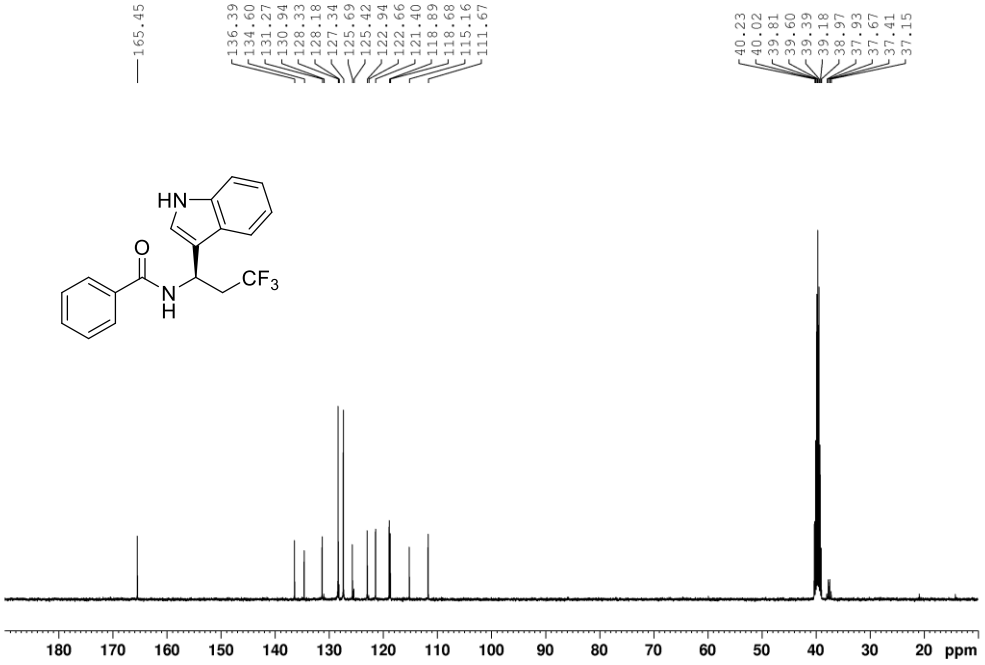
$^{19}$F NMR of **4a** in DMSO-$d_6$ (376 M)



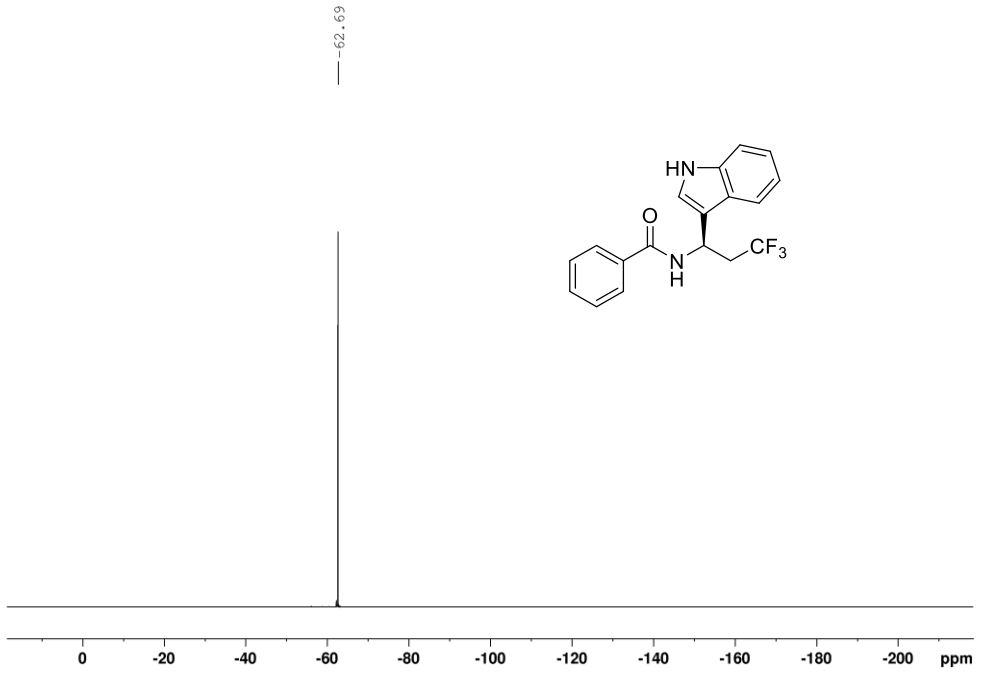

$^{1}$H NMR of **4b** in DMSO-$d_6$ (400 M)



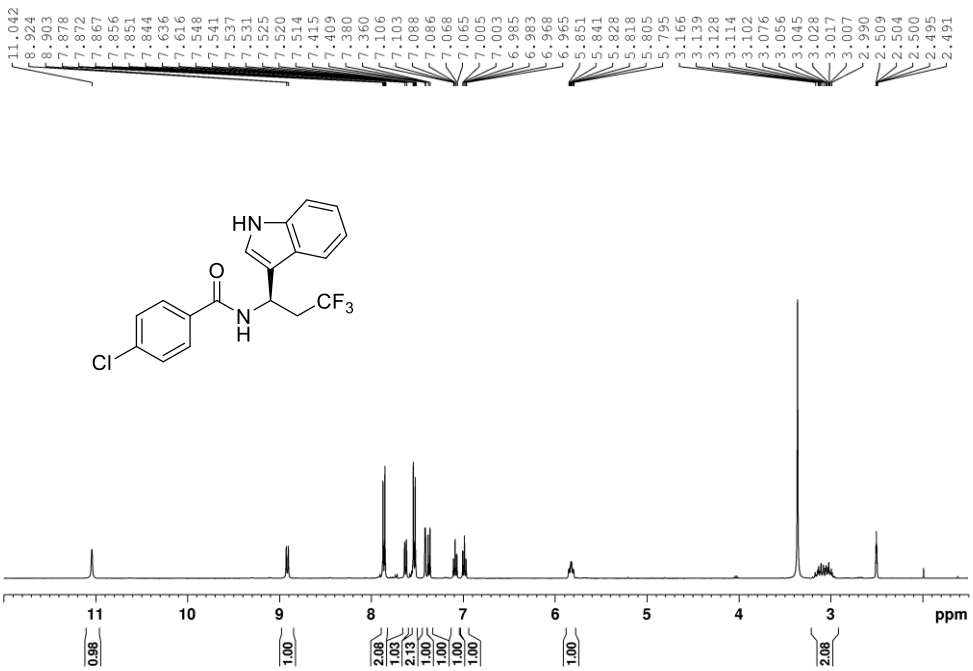
$^{13}$C NMR of **4b** in DMSO-$d_6$ (100 M)



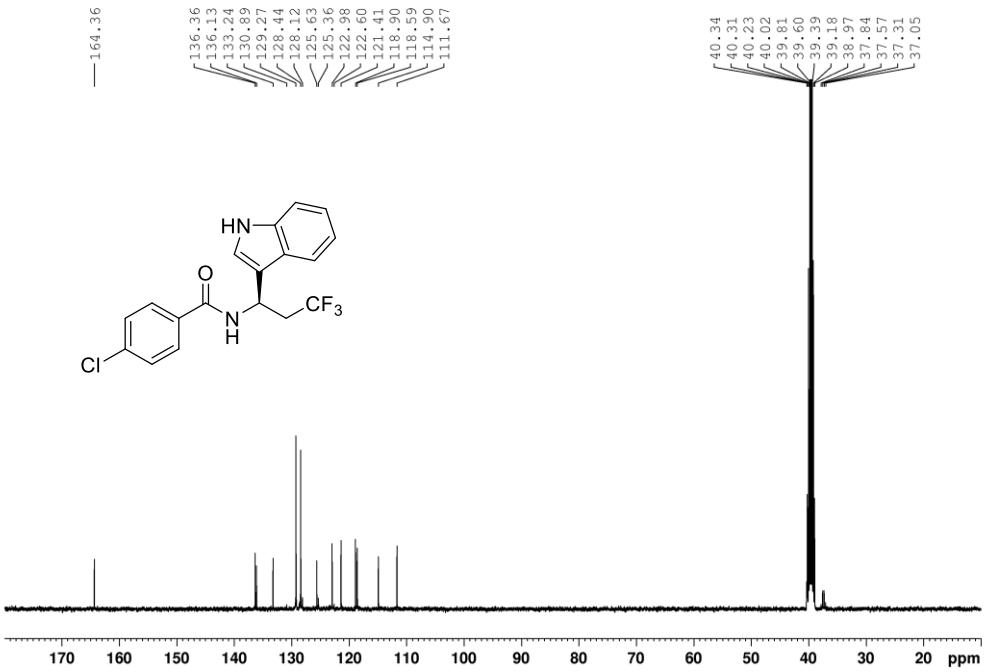

$^{19}$F NMR of **4b** in DMSO-$d_6$ (376 M)



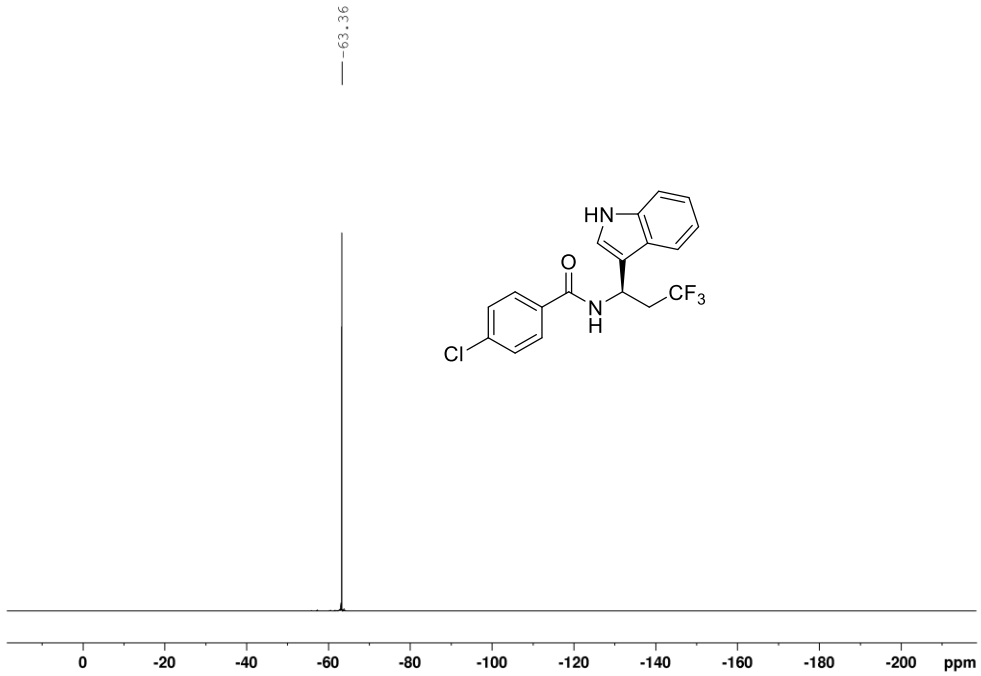
$^{1}$H NMR of **4c** in DMSO-$d_6$ (400 M)



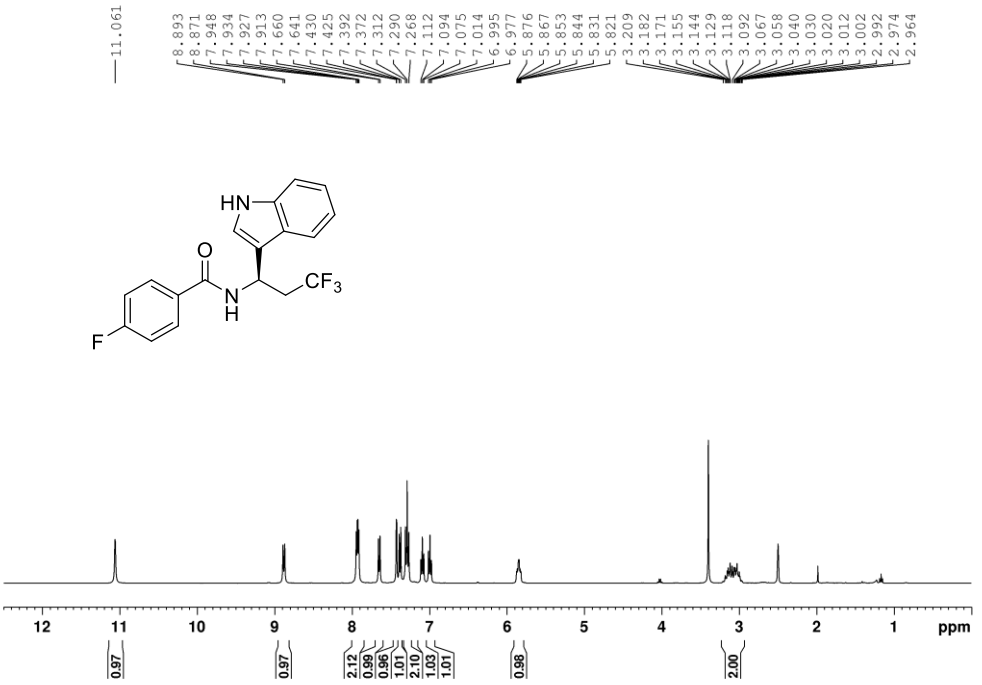

$^{13}$C NMR of **4c** in DMSO-$d_6$ (100 M)



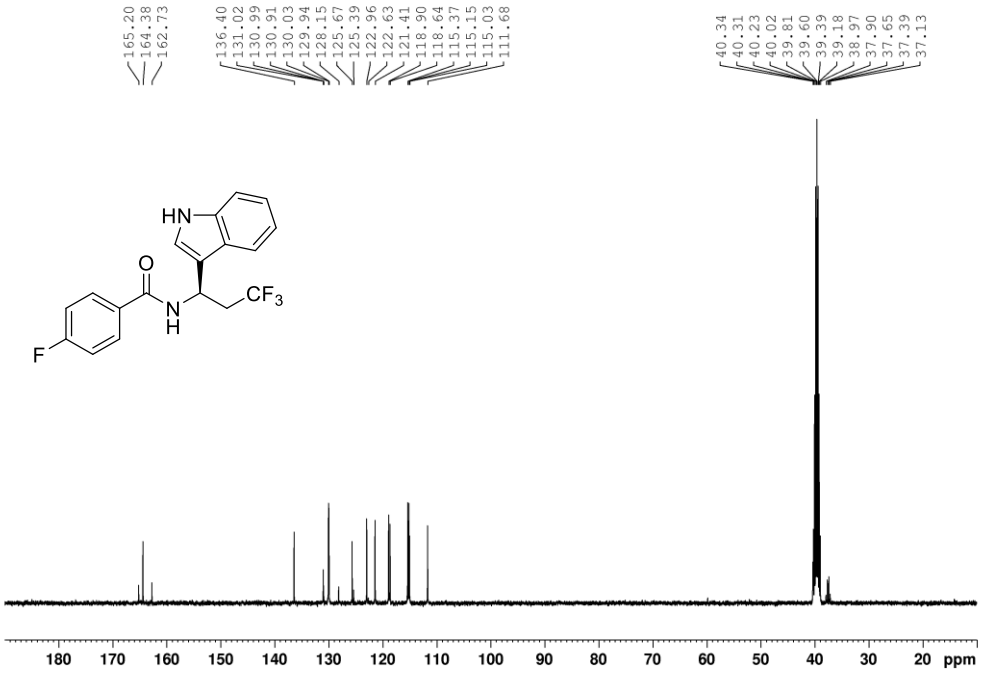
$^{19}$F NMR of **4c** in DMSO-$d_6$ (376 M)




$^{1}$H NMR of **4d** in DMSO-$d_6$ (400 M)

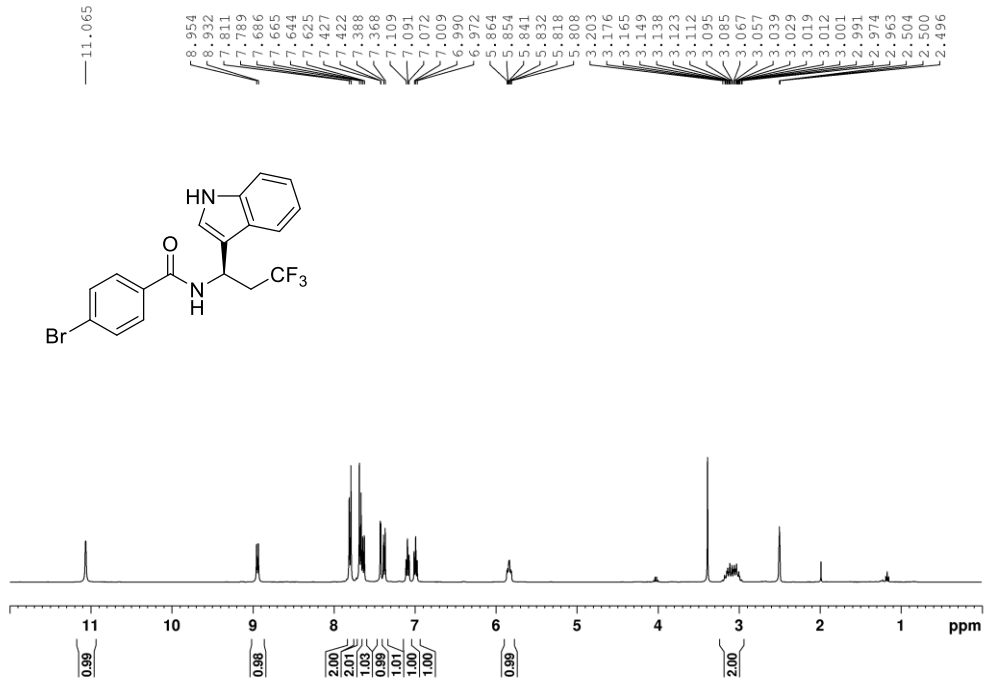


$^{13}$C NMR of **4d** in DMSO-$d_6$ (100 M)

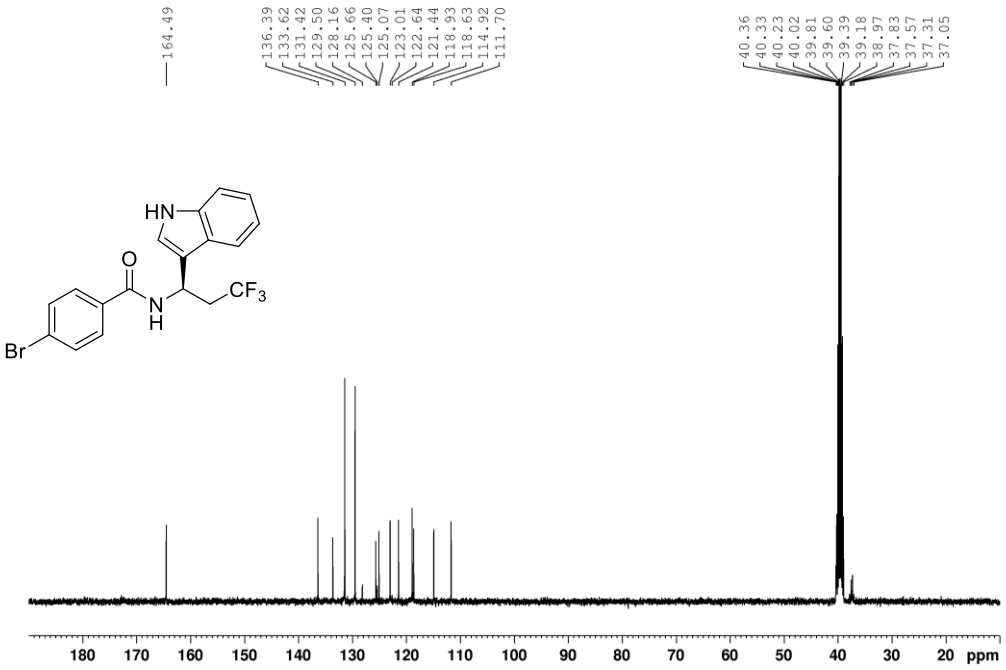



$^{19}$F NMR of **4d** in DMSO-$d_6$ (376 M)

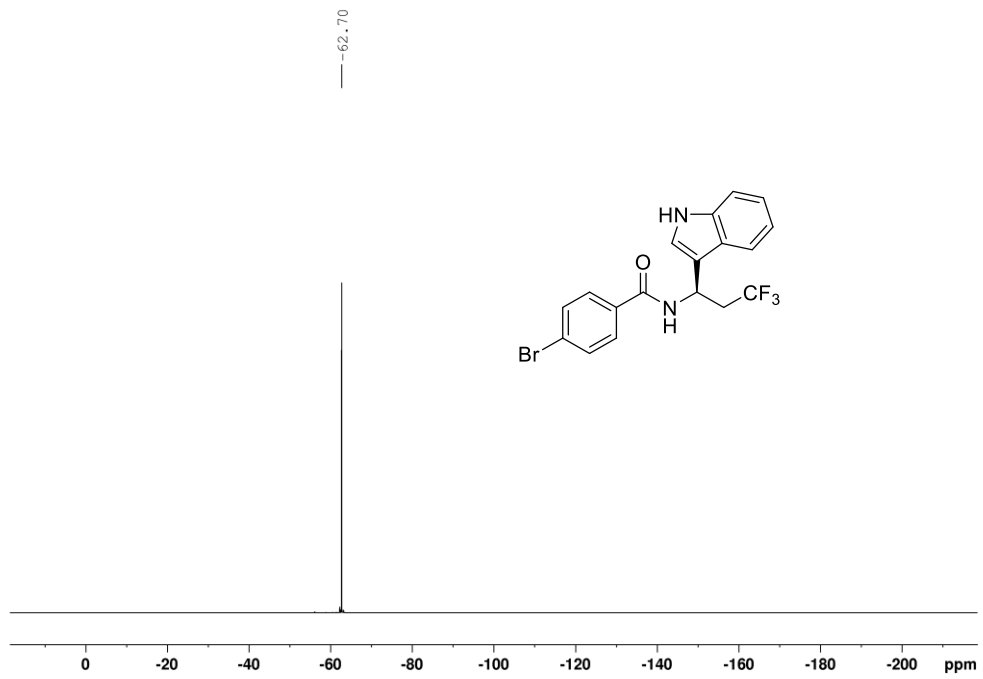
$^{1}$H NMR of **4e** in DMSO-$d_6$ (400 M)



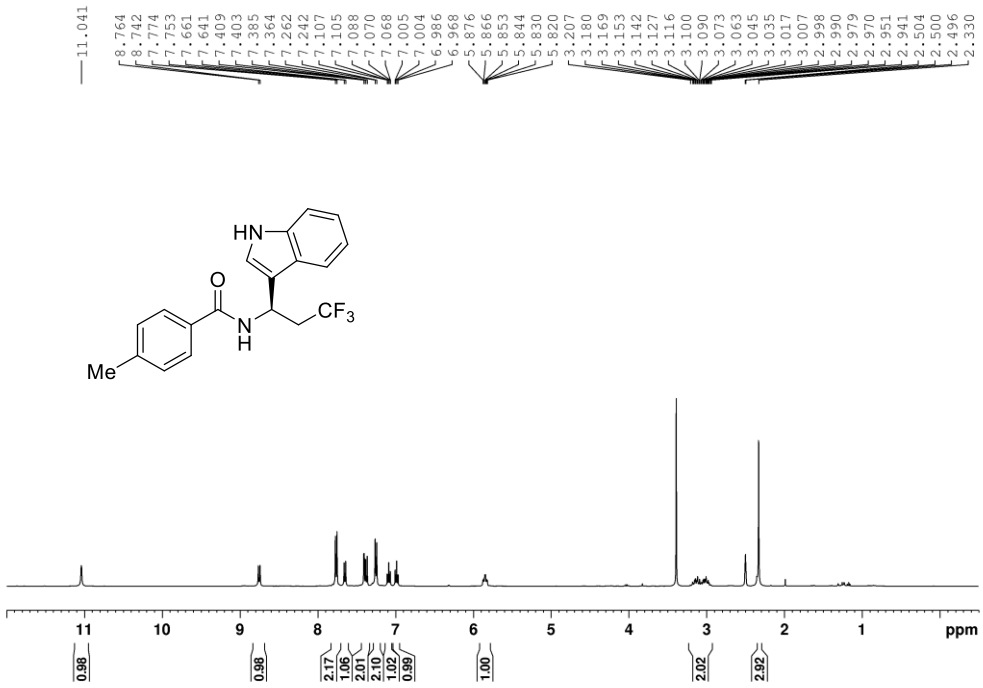

$^{13}$C NMR of **4e** in DMSO-$d_6$ (100 M)



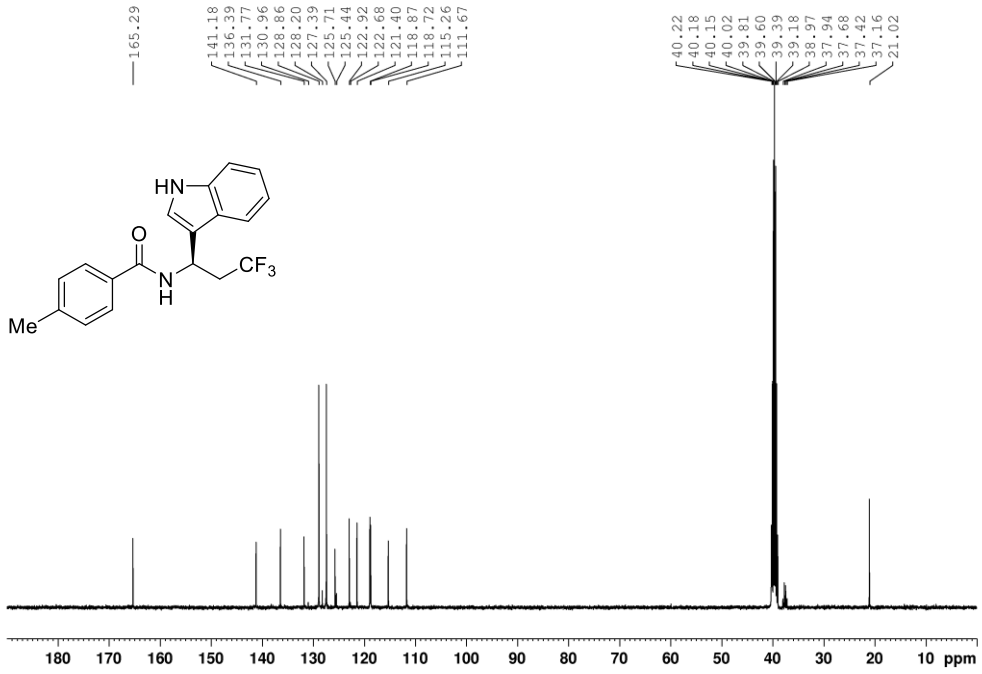
$^{19}$F NMR of **4e** in DMSO-$d_6$ (376 M)



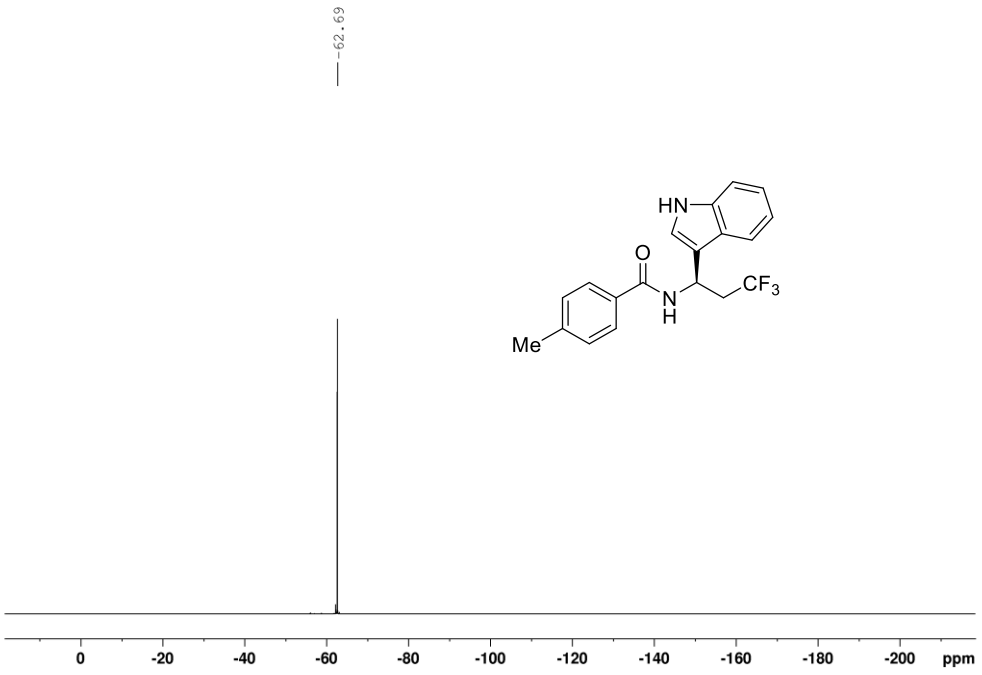

$^{1}$H NMR of **4f** in DMSO-$d_6$ (400 M)



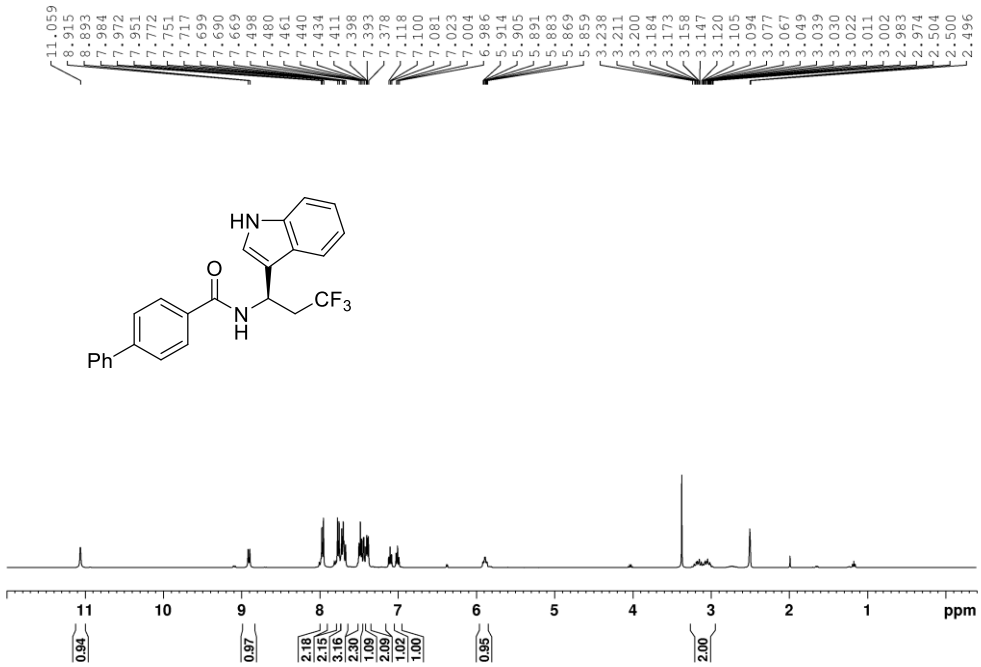
$^{13}$C NMR of **4f** in DMSO-$d_6$ (100 M)



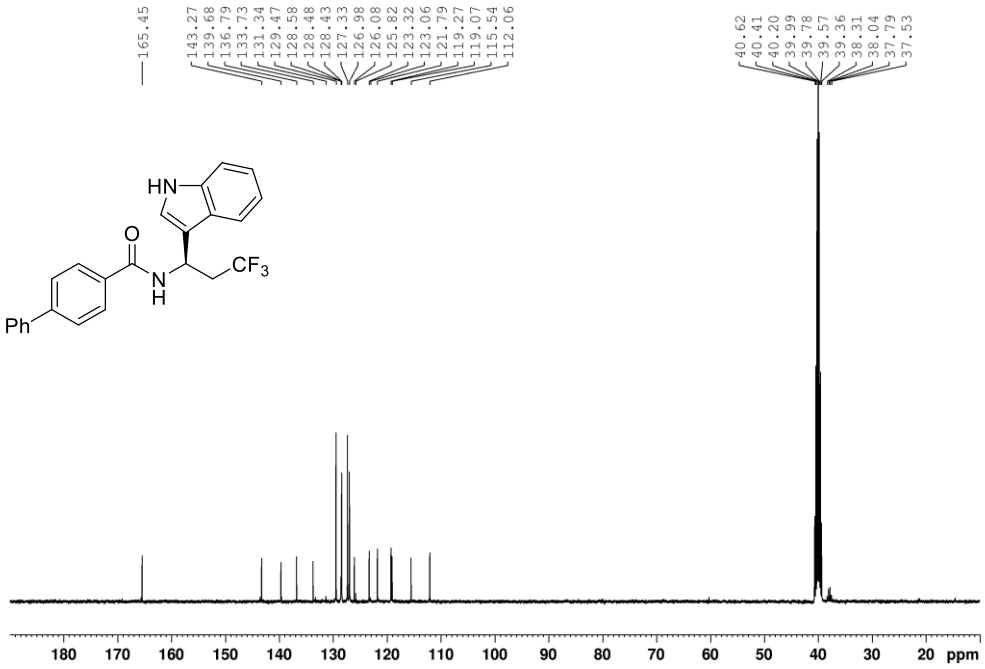

$^{19}$F NMR of **4f** in DMSO-$d_6$ (376 M)



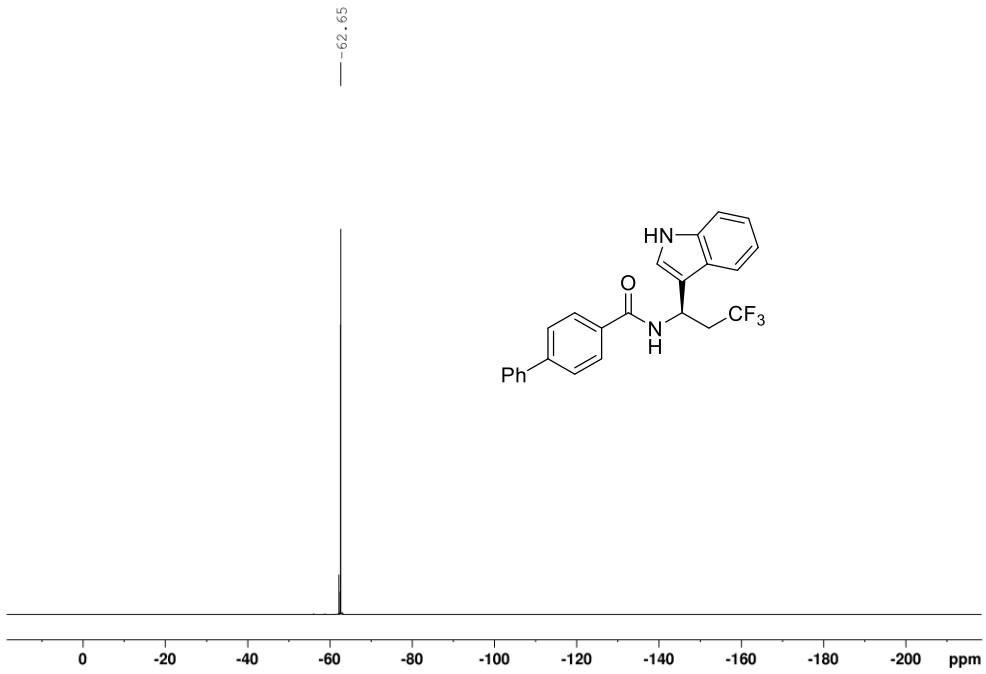
$^{1}$H NMR of **4g** in DMSO-$d_6$ (400 M)



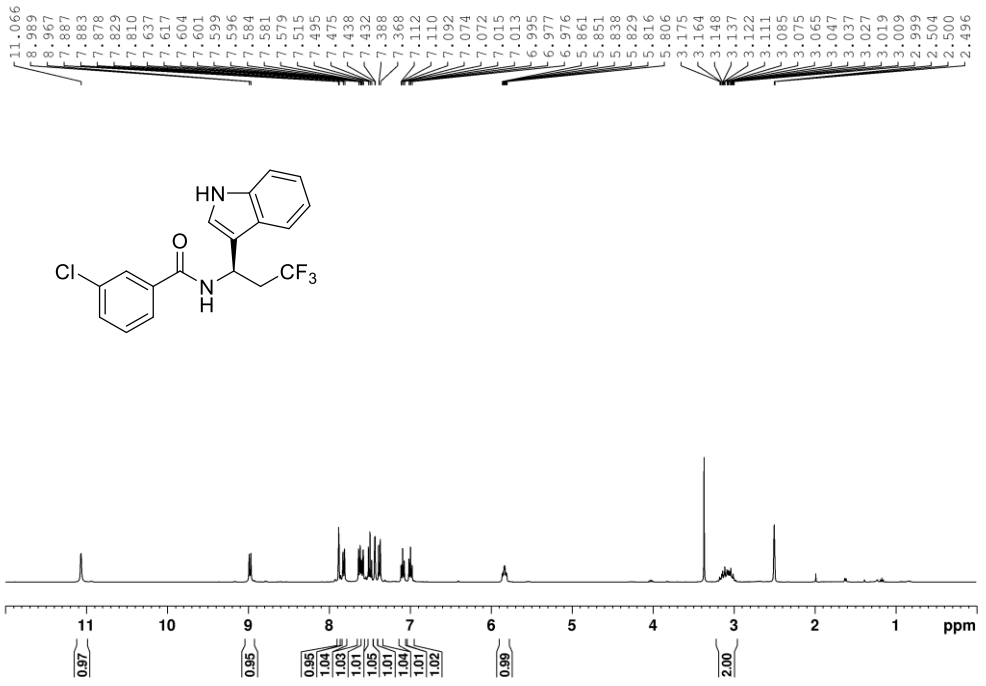

$^{13}$C NMR of **4g** in DMSO-$d_6$ (100 M)



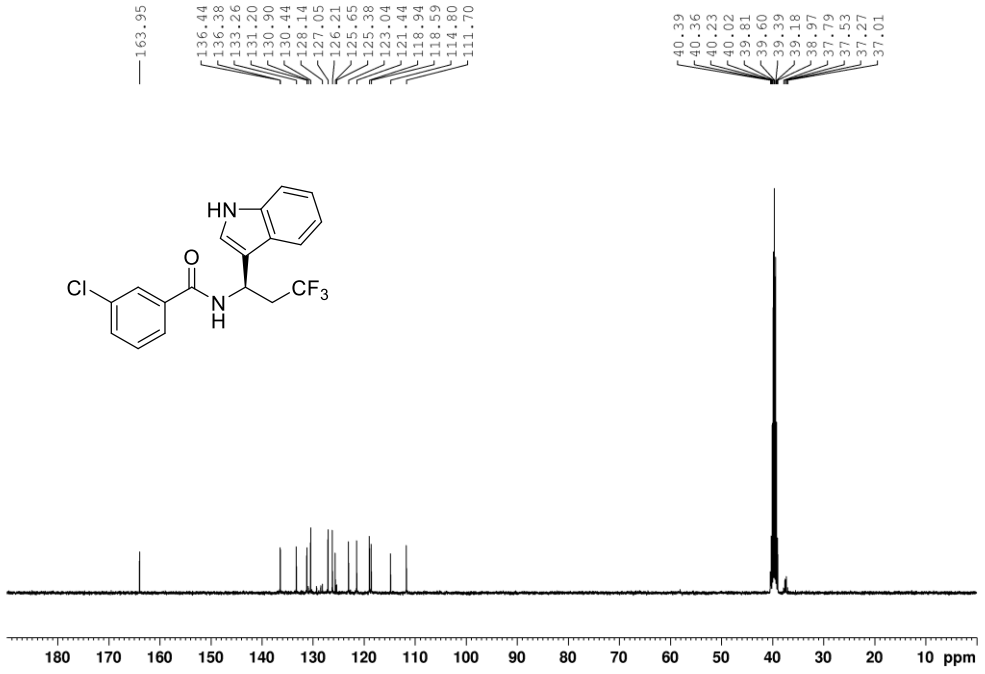
$^{19}$F NMR of **4g** in DMSO-$d_6$ (376 M)



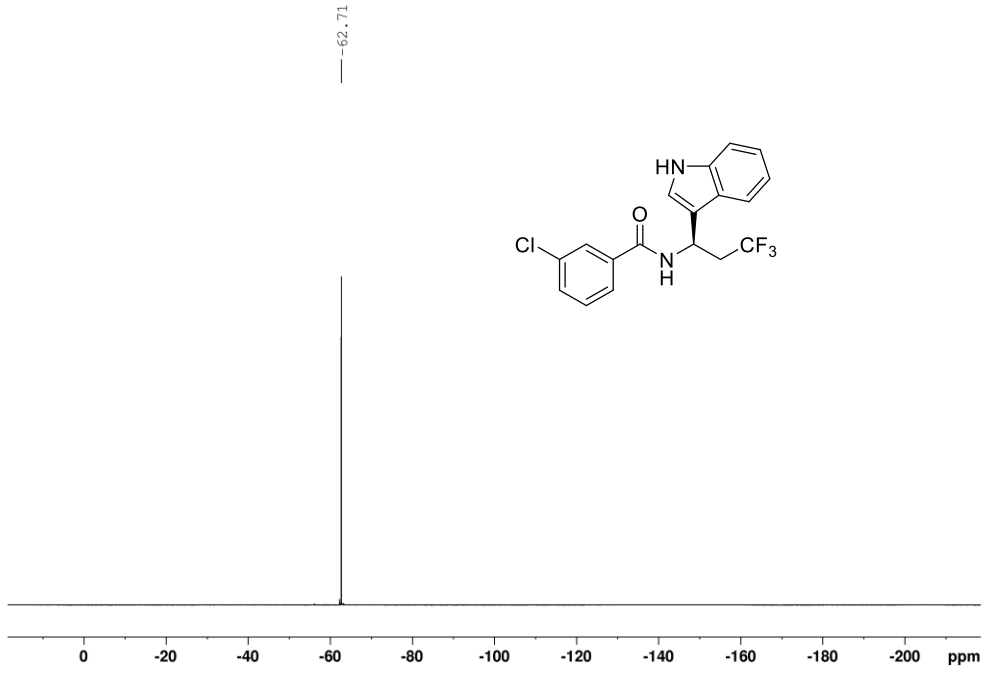

$^{1}$H NMR of **4h** in DMSO-$d_6$ (400 M)



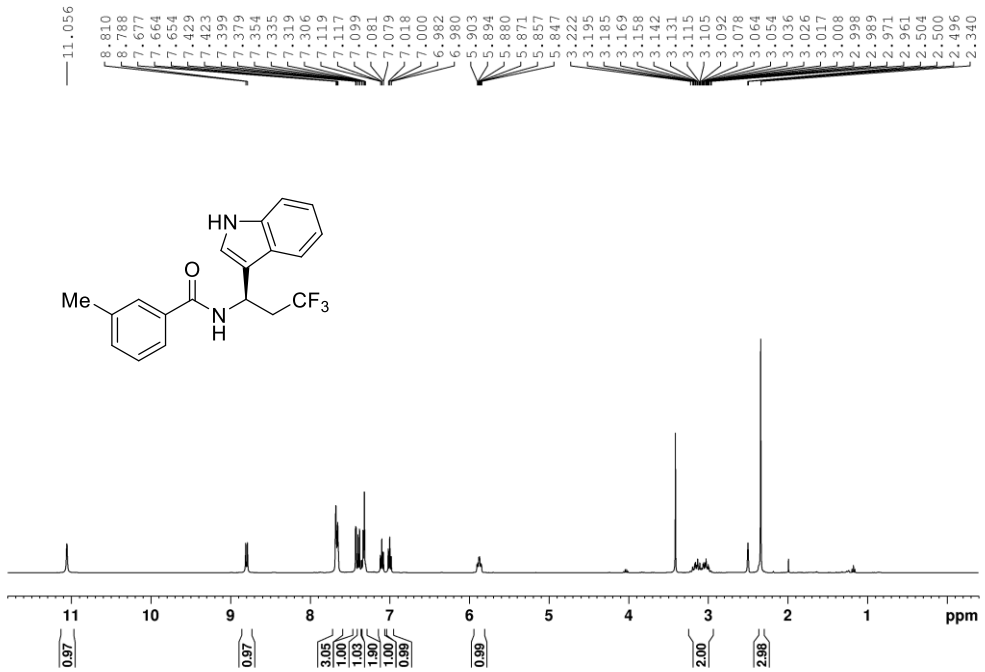
$^{13}$C NMR of **4h** in DMSO-$d_6$ (100 M)



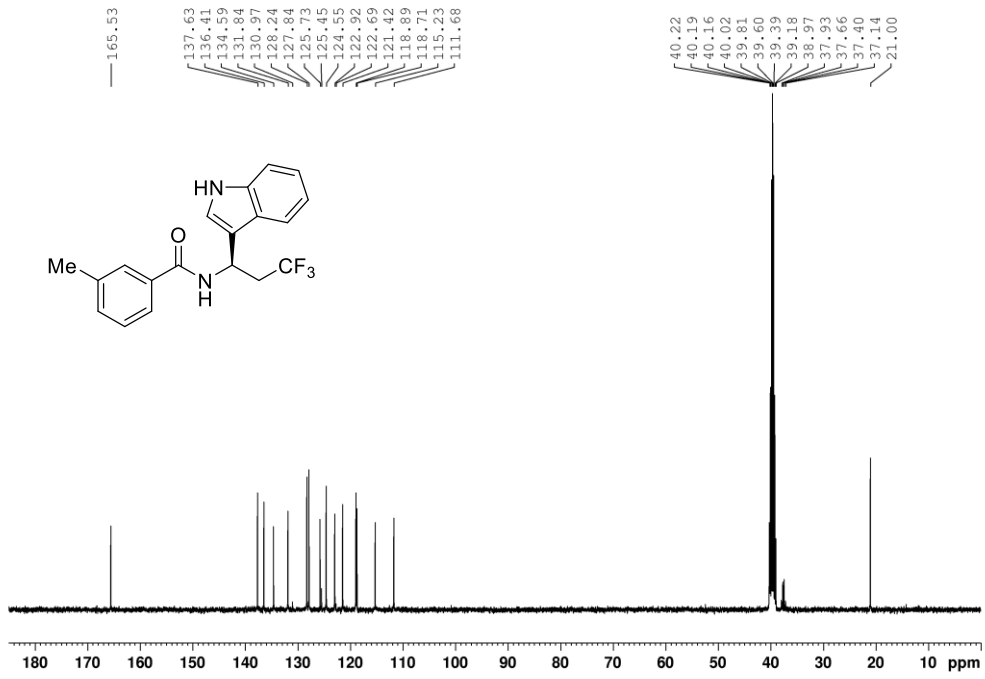

$^{19}$F NMR of **4h** in DMSO-$d_6$ (376 M)



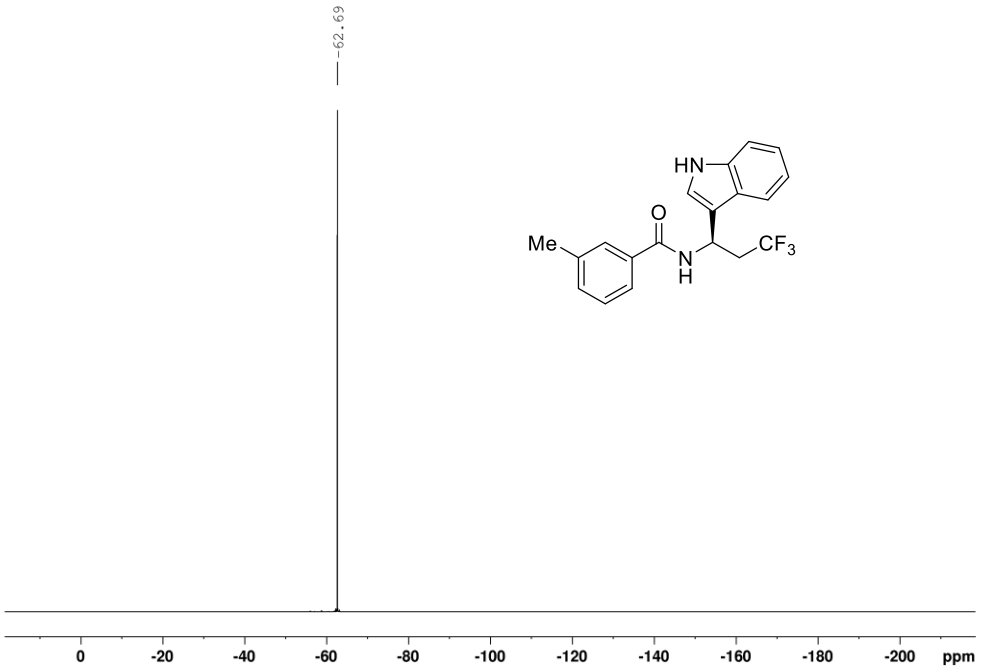
$^{1}$H NMR of **4i** in DMSO-$d_6$ (400 M)



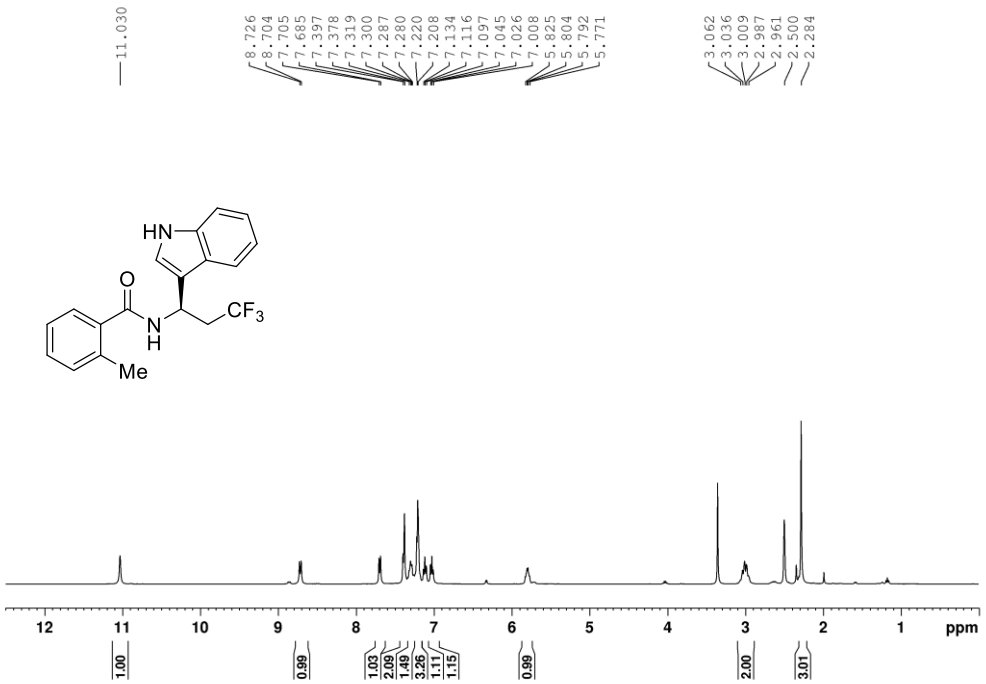

$^{13}$C NMR of **4i** in DMSO-$d_6$ (100 M)



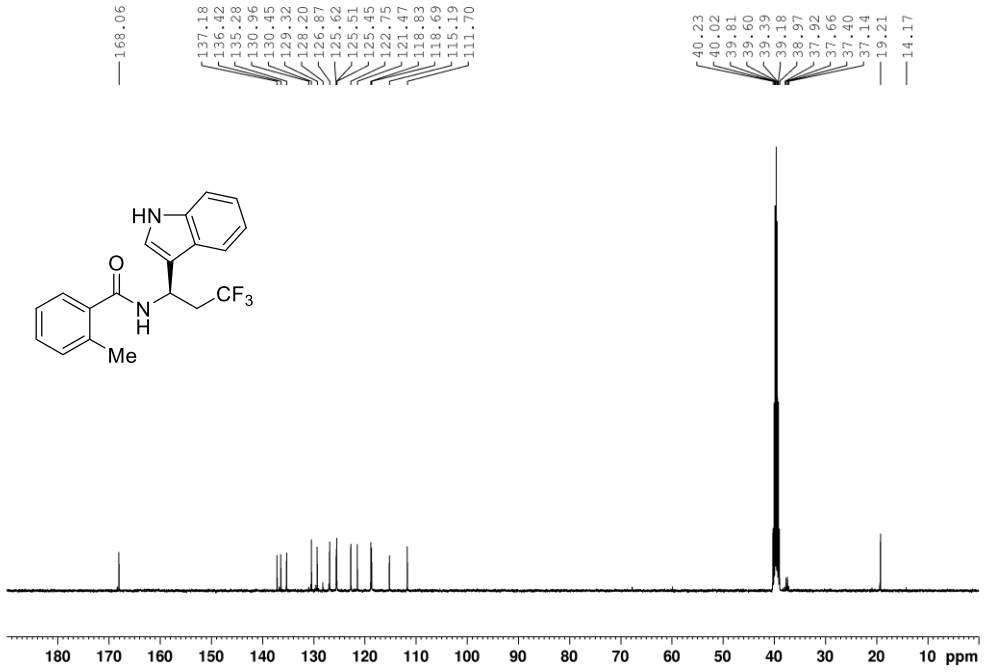
$^{19}$F NMR of **4i** in DMSO-$d_6$ (376 M)



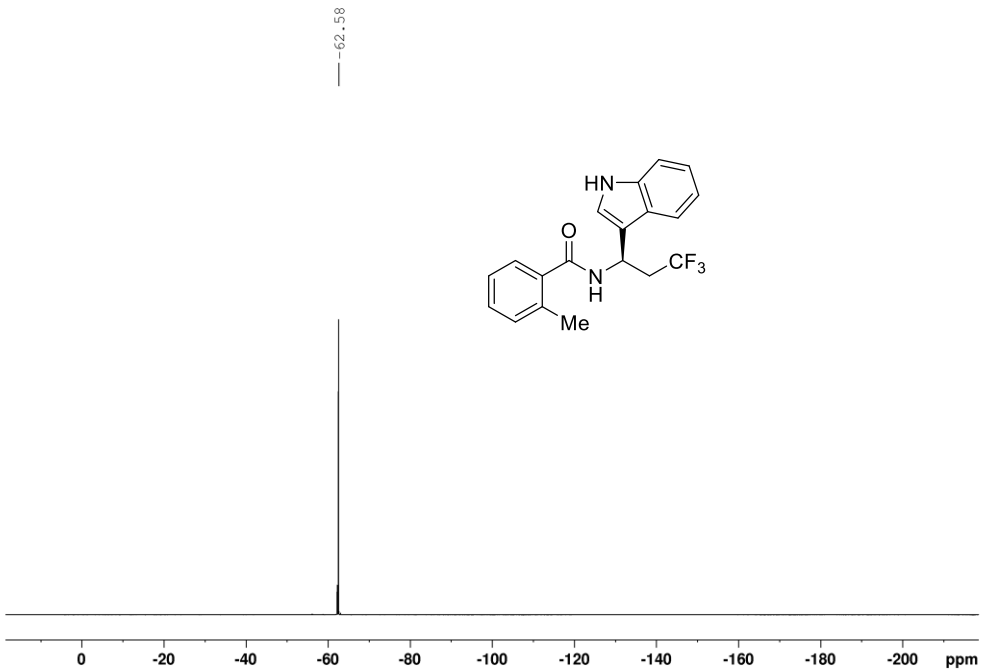

$^{1}$H NMR of **4j** in DMSO-$d_6$ (400 M)



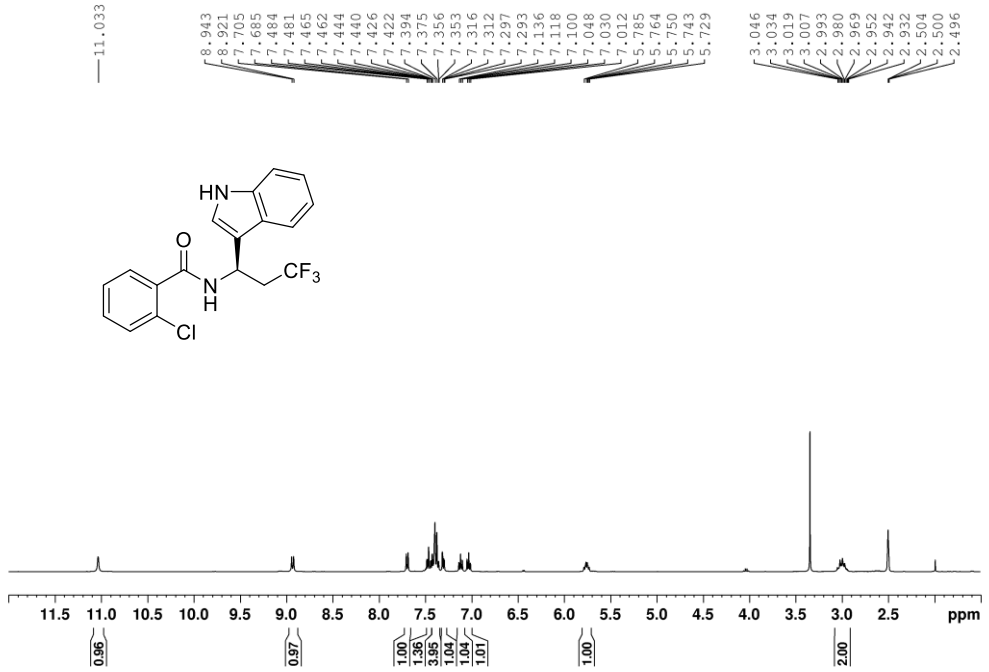
$^{13}$C NMR of **4j** in DMSO-$d_6$ (100 M)



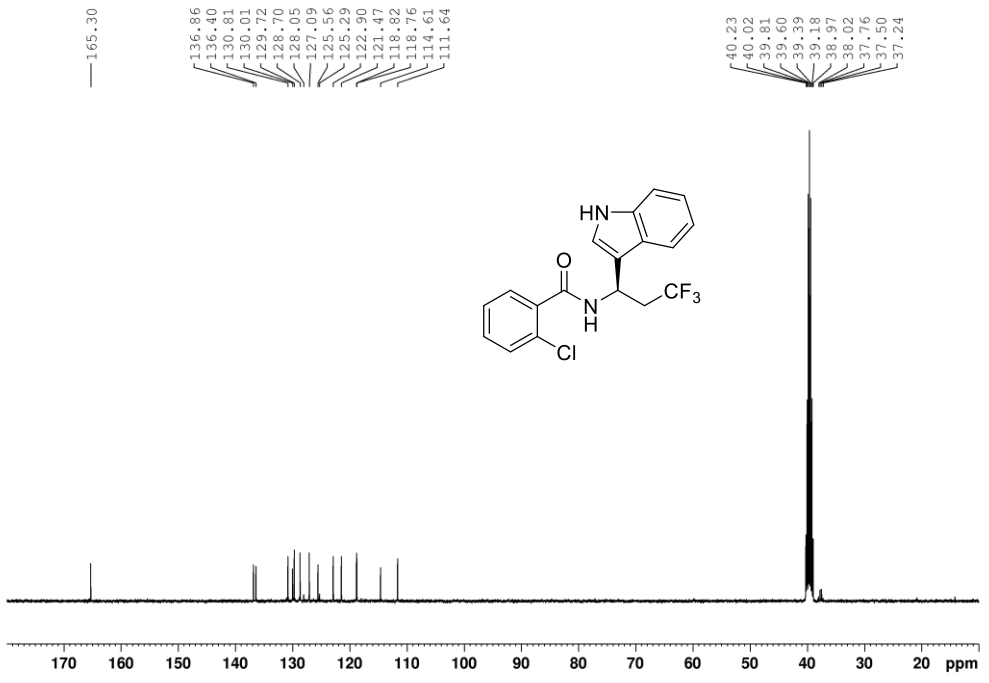

$^{19}$F NMR of **4j** in DMSO-$d_6$ (376 M)



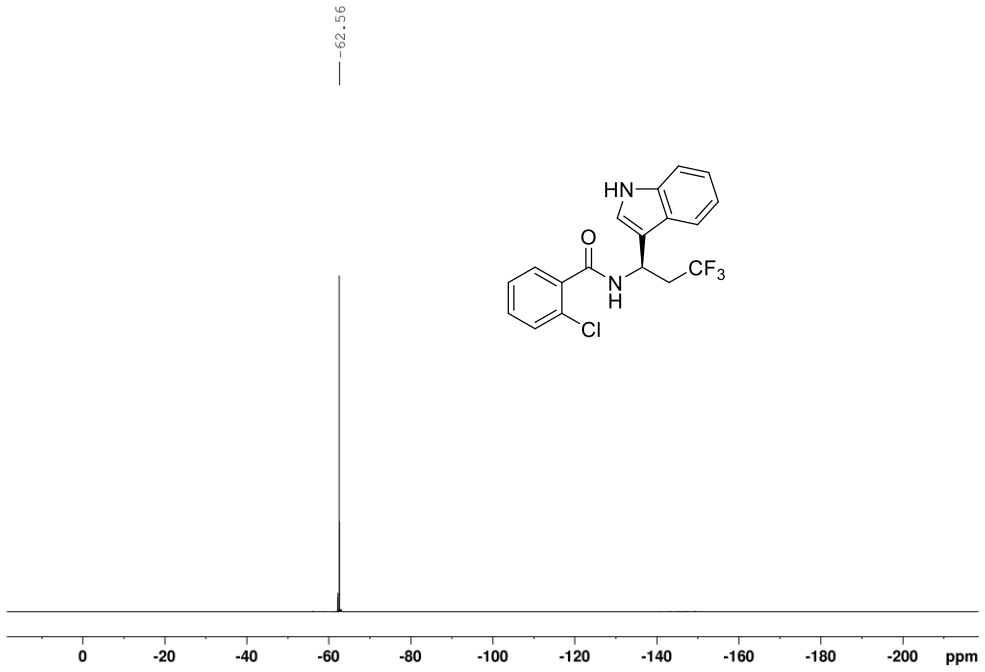
$^{1}$H NMR of **4k** in DMSO-$d_6$ (400 M)



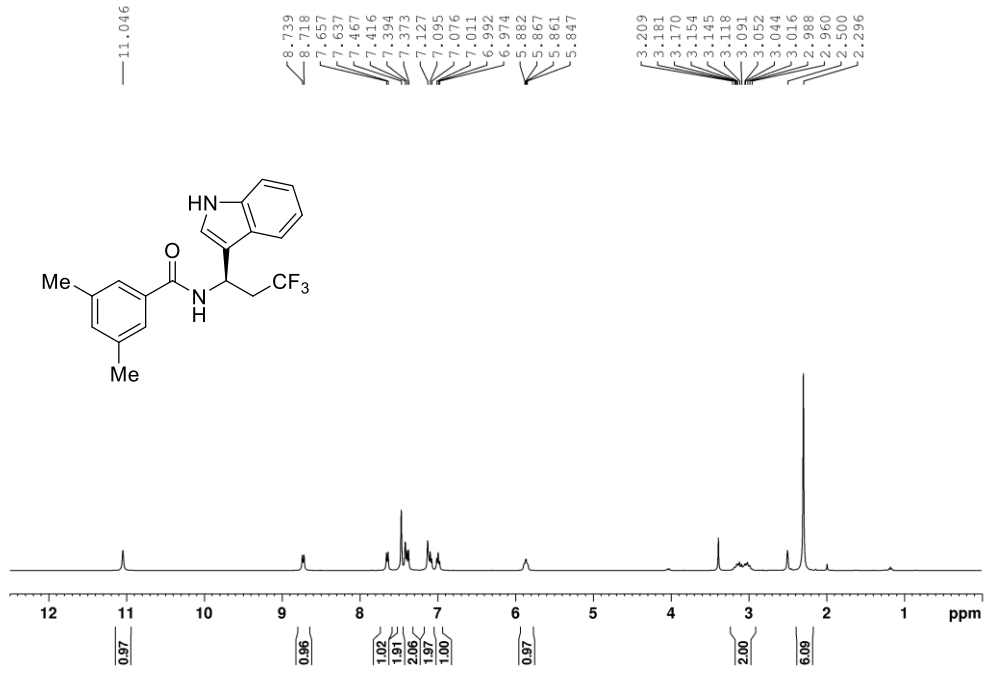

$^{13}$C NMR of **4k** in DMSO-$d_6$ (100 M)



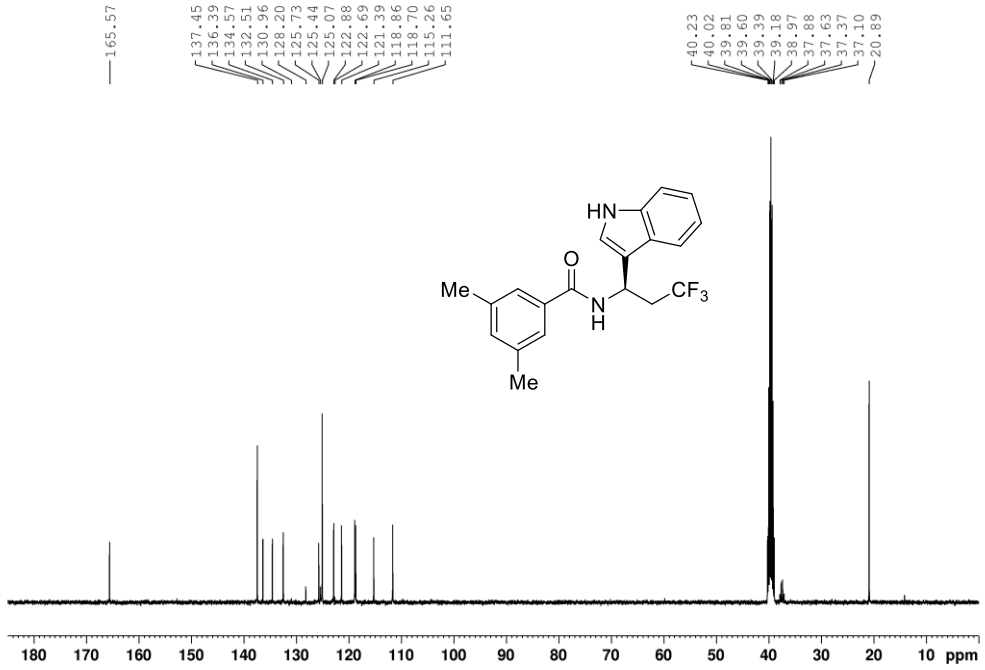
$^{19}$F NMR of **4k** in DMSO-$d_6$ (376 M)



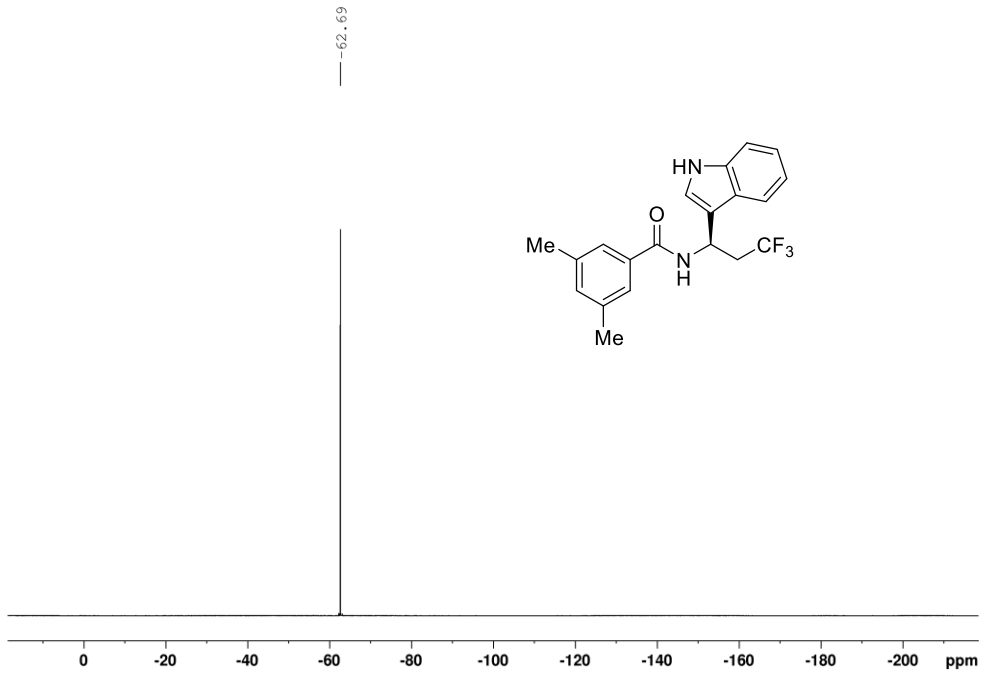

$^{1}$H NMR of **4l** in DMSO-$d_6$ (400 M)



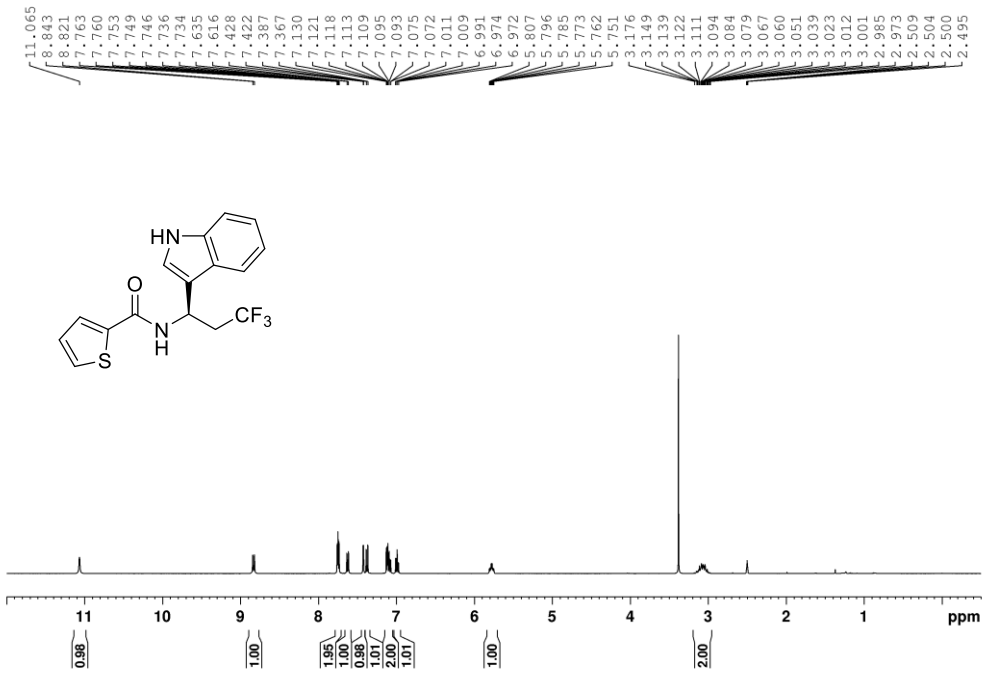
$^{13}$C NMR of **4l** in DMSO-$d_6$ (100 M)



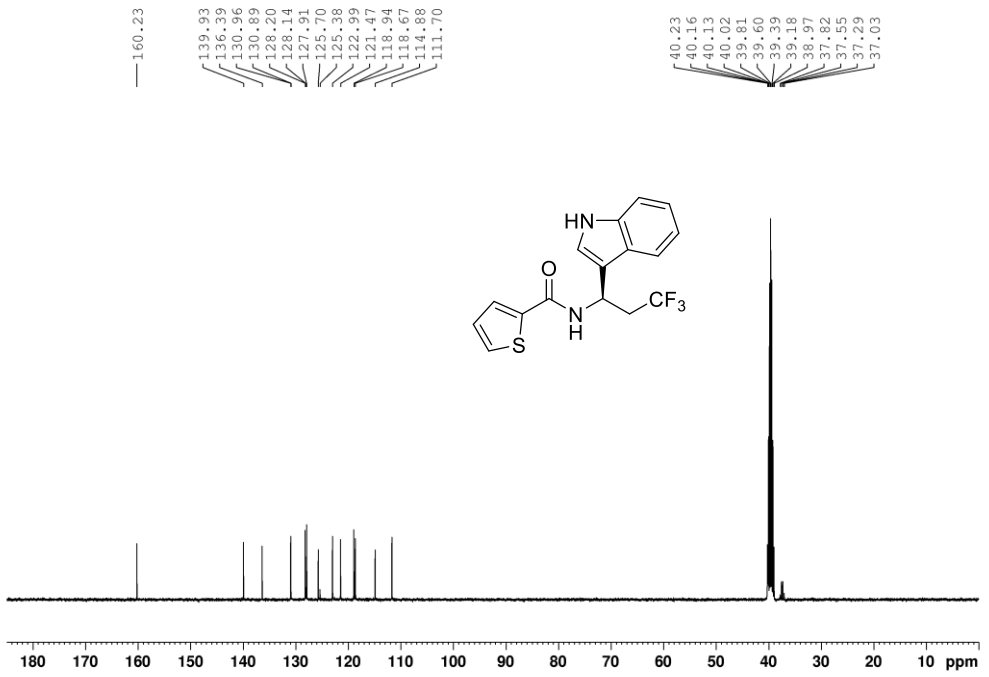

$^{19}$F NMR of **4l** in DMSO-$d_6$ (376 M)



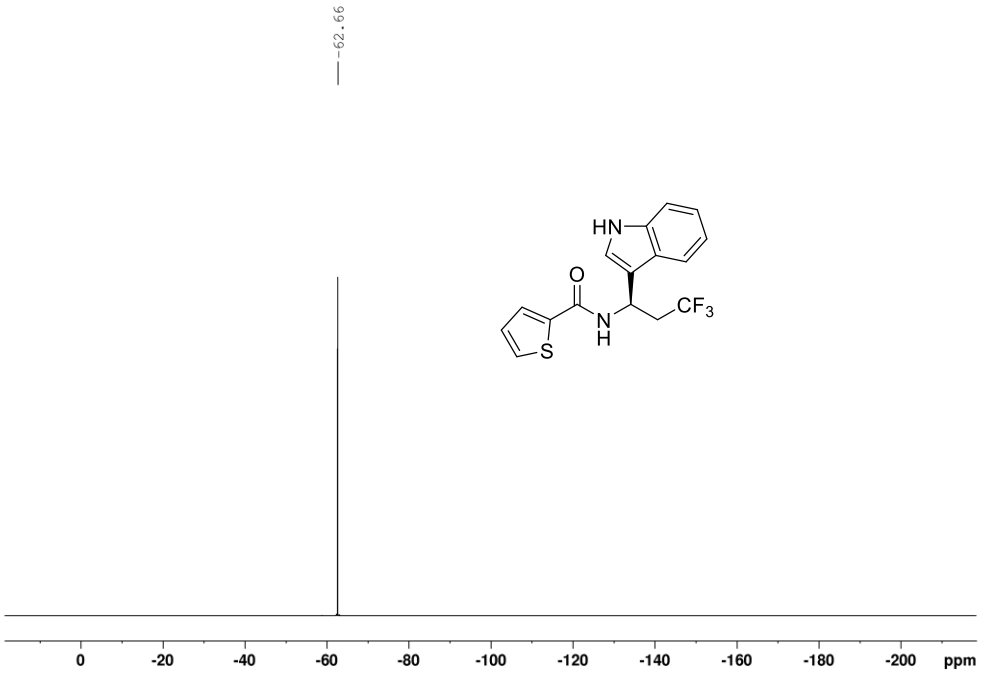
$^{1}$H NMR of **4m** in DMSO-$d_6$ (400 M)



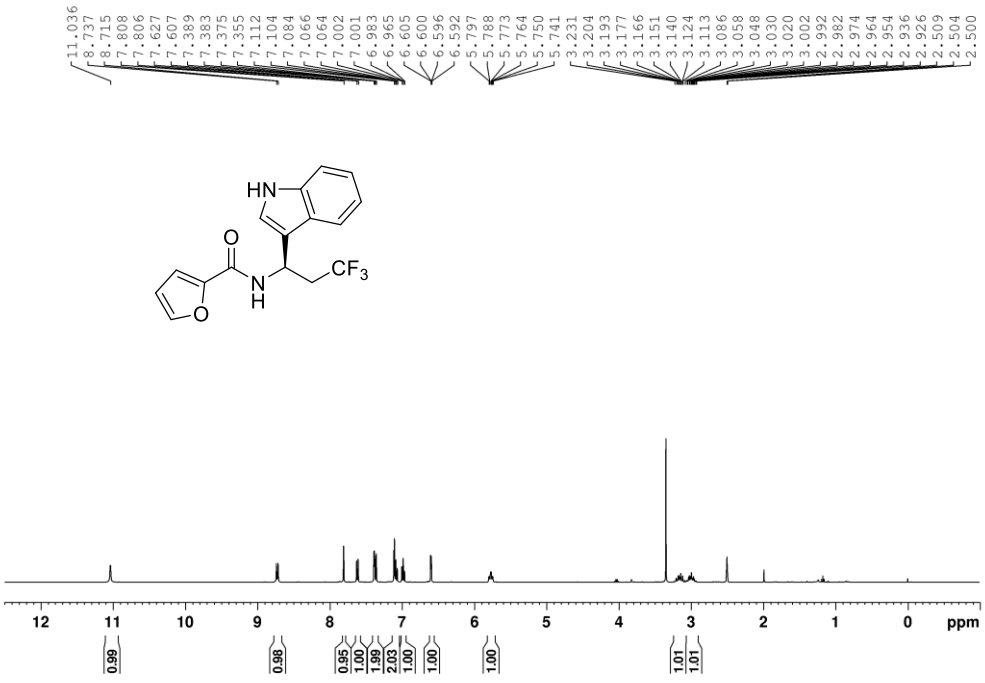

$^{13}$C NMR of **4m** in DMSO-$d_6$ (100 M)



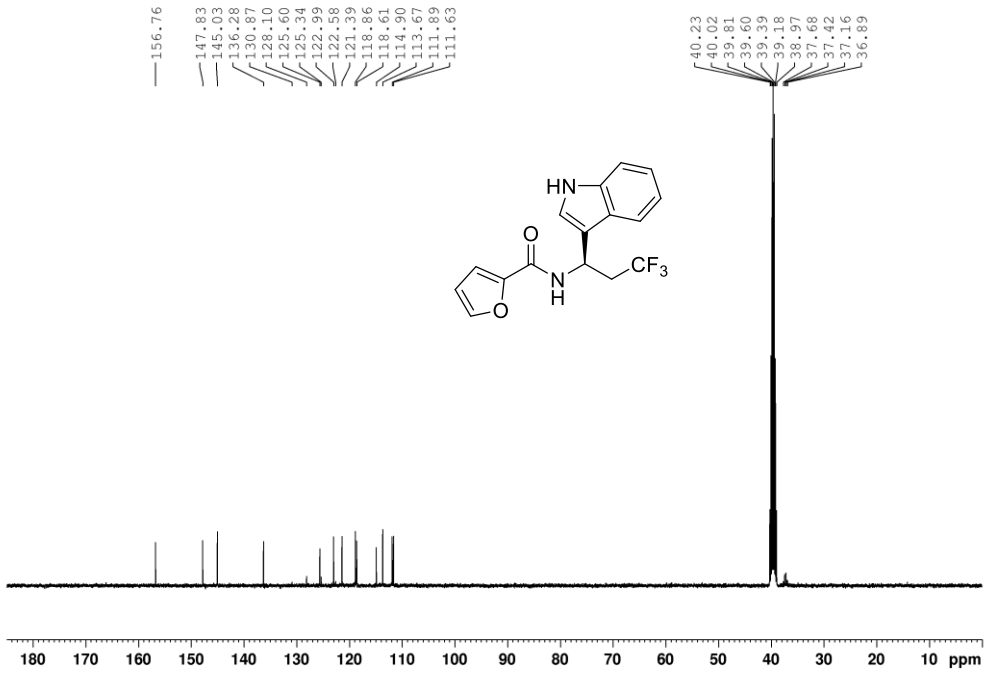
$^{19}$F NMR of **4m** in DMSO-$d_6$ (376 M)



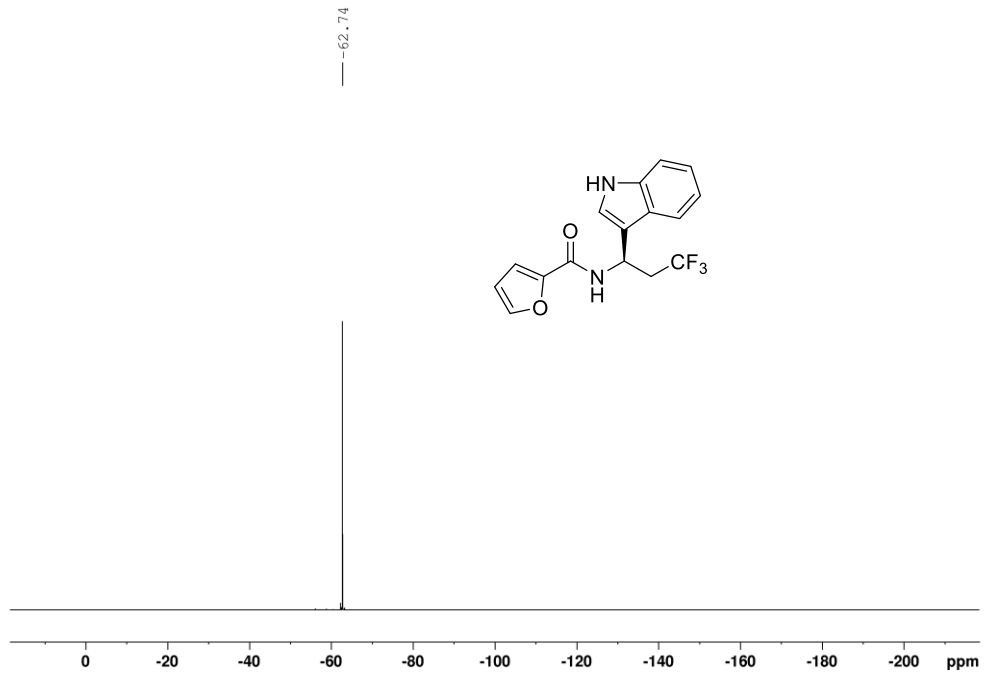

$^{1}$H NMR of **4n** in DMSO-$d_6$ (400 M)



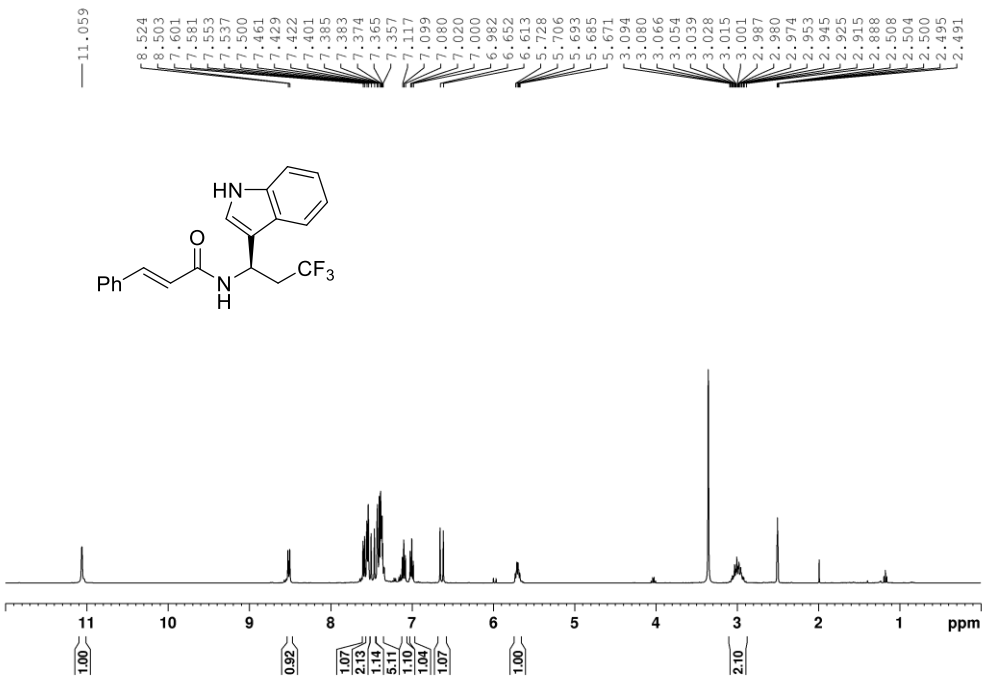
$^{13}$C NMR of **4n** in DMSO-$d_6$ (100 M)



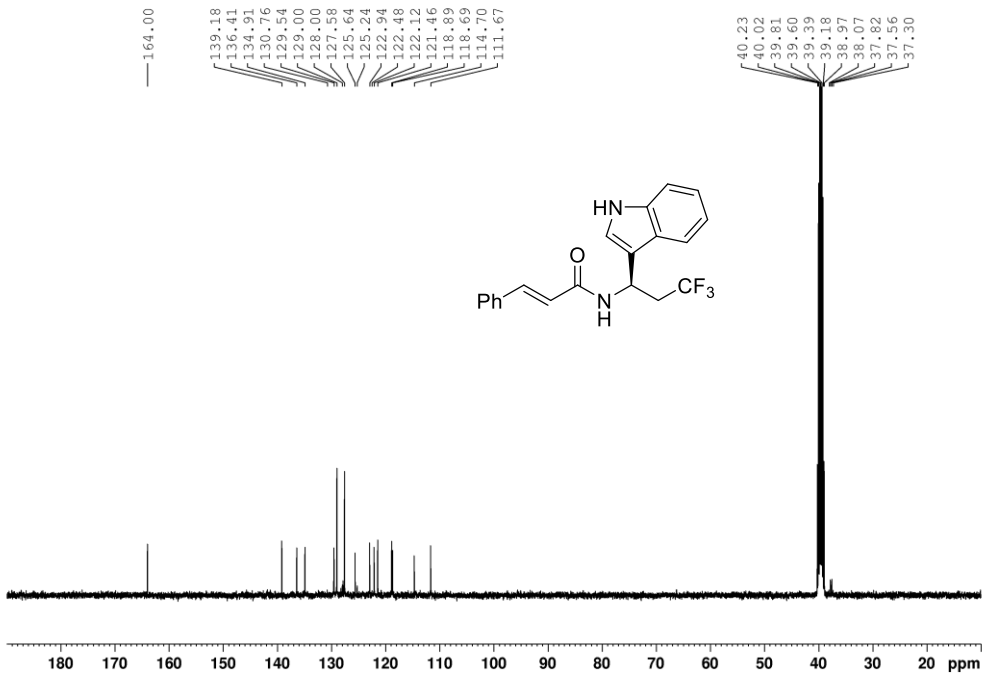

$^{19}$F NMR of **4n** in DMSO-$d_6$ (376 M)



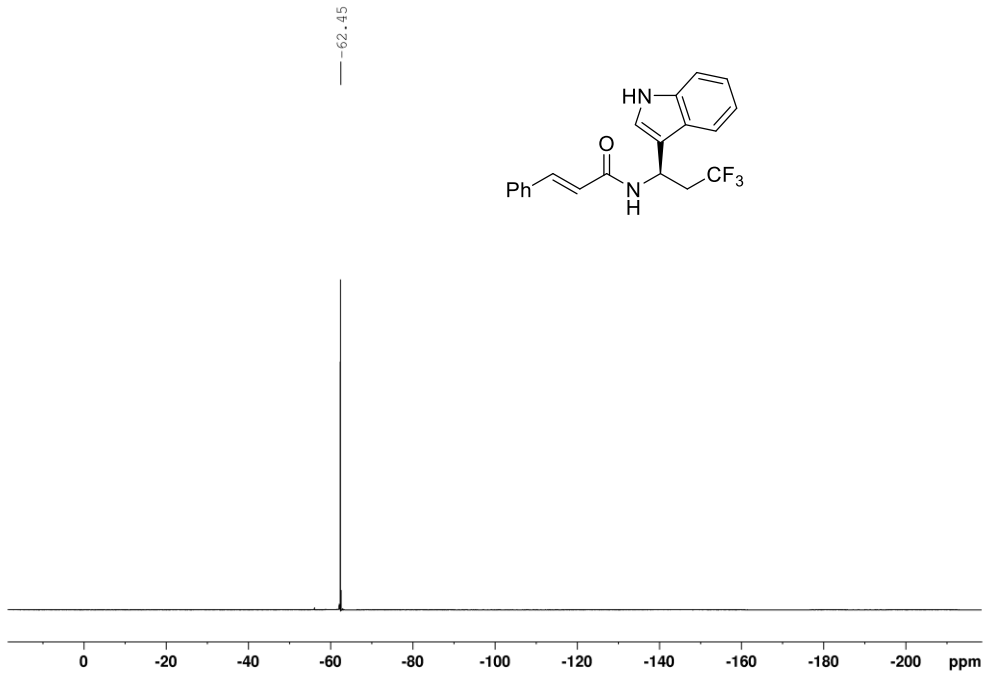
$^{1}$H NMR of **4o** in $CDCl_3$ (400 M)



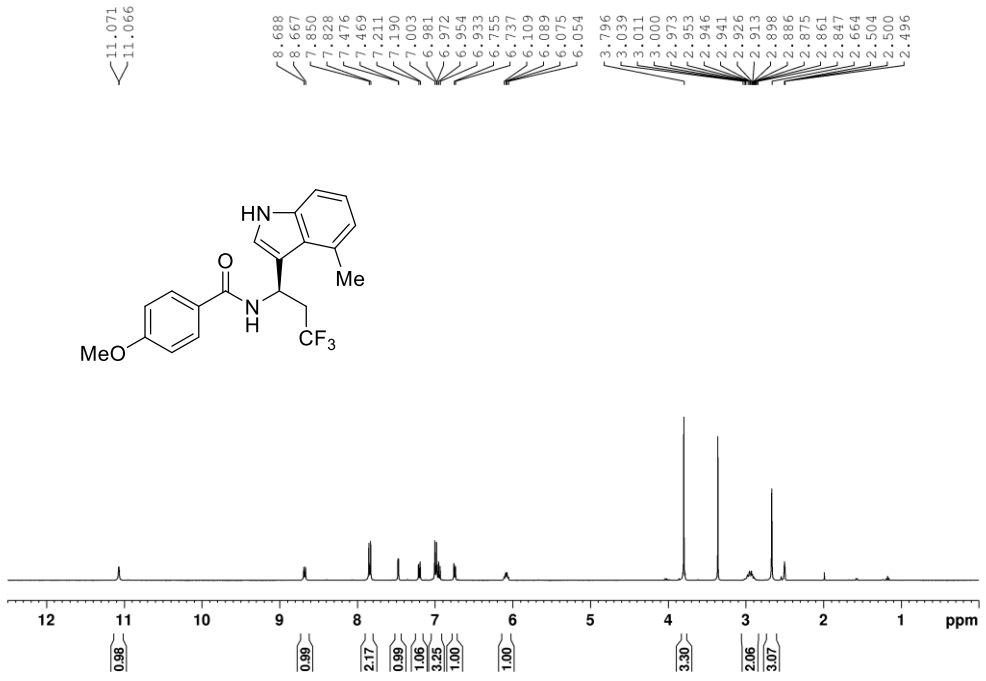

$^{13}$C NMR of **4o** in $CDCl_3$ (100 M)



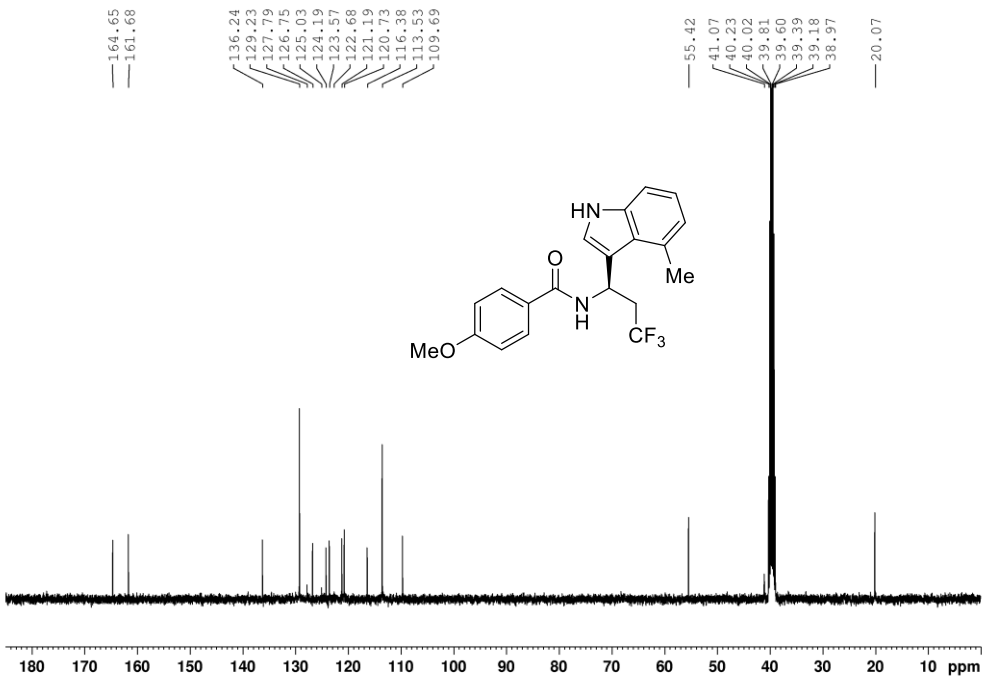
$^{19}$F NMR of **4o** in $CDCl_3$ (376 M)



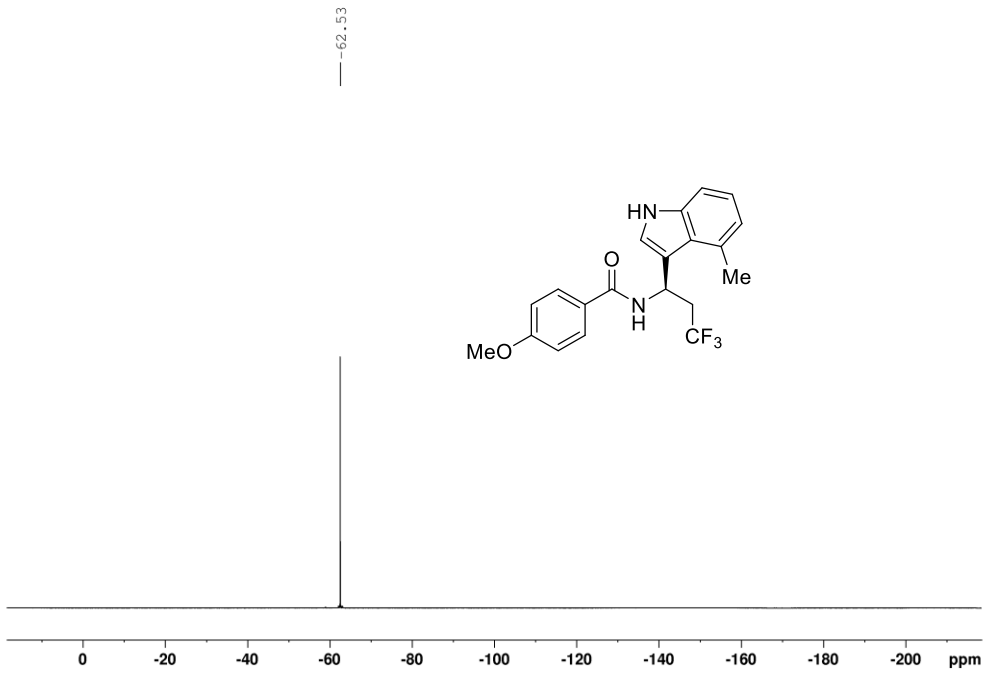

$^{1}$H NMR of **4p** in DMSO-$d_6$ (400 M)



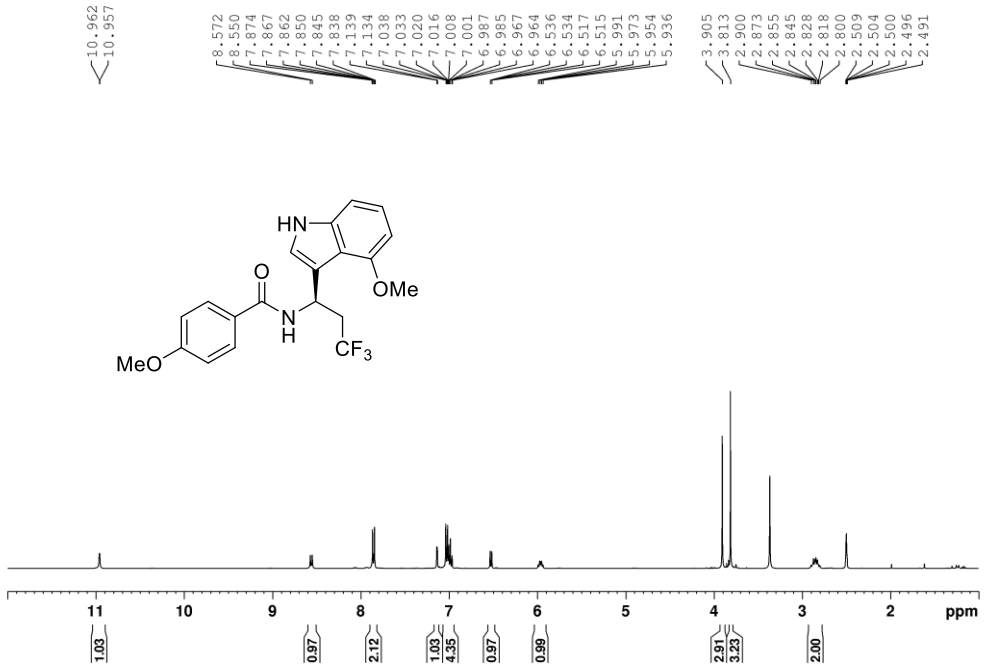
$^{13}$C NMR of **4p** in DMSO-$d_6$ (100 M)



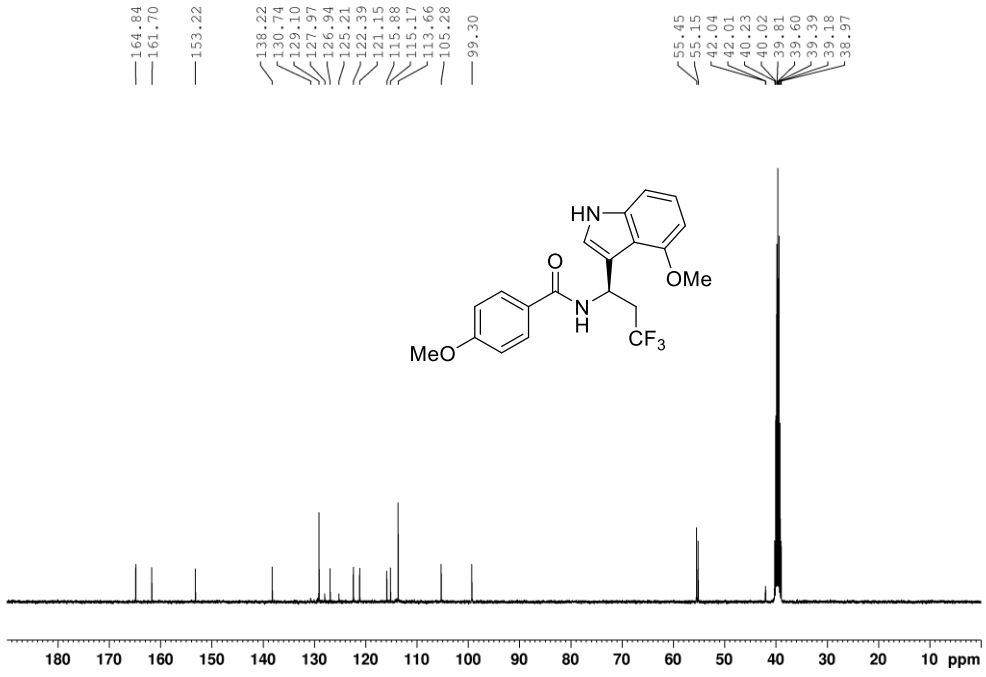

$^{19}$F NMR of **4p** in DMSO-$d_6$ (376 M)



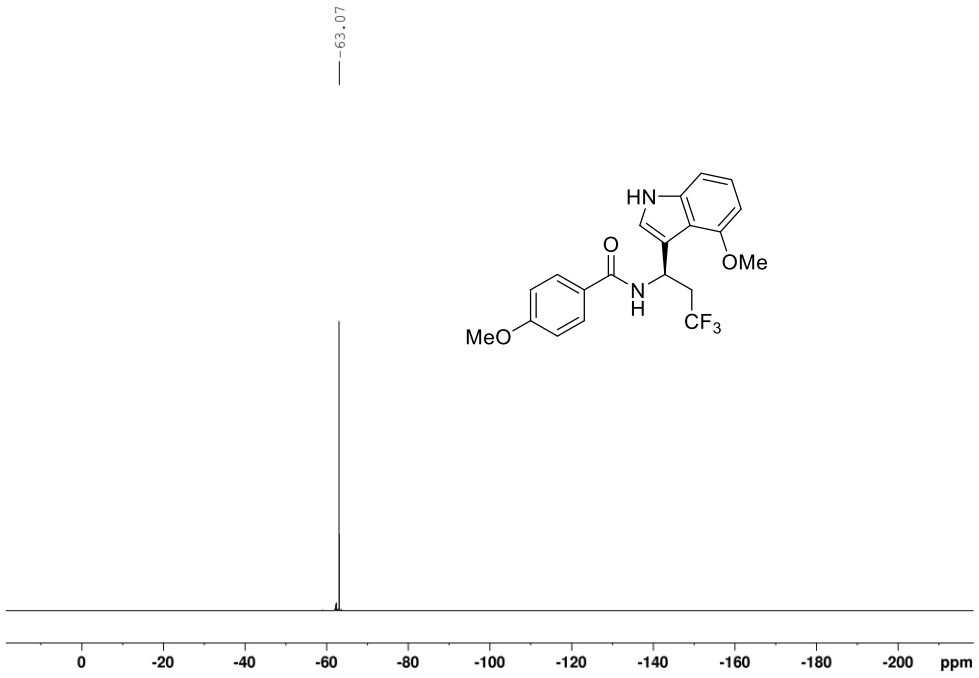
$^{1}$H NMR of **4q** in DMSO-$d_6$ (400 M)



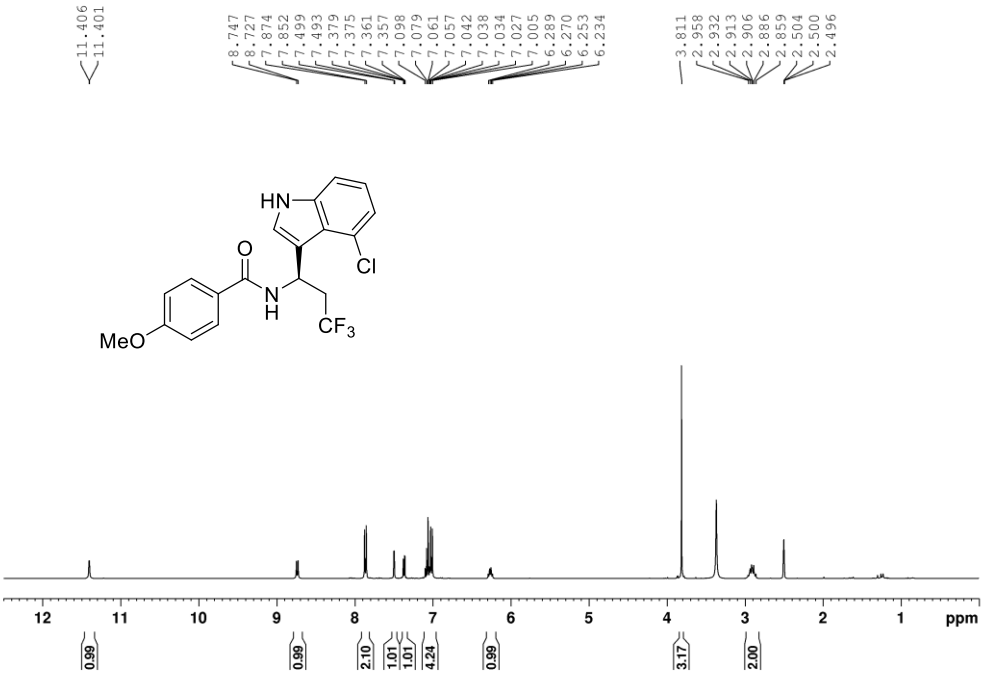

$^{13}$C NMR of **4q** in DMSO-$d_6$ (100 M)



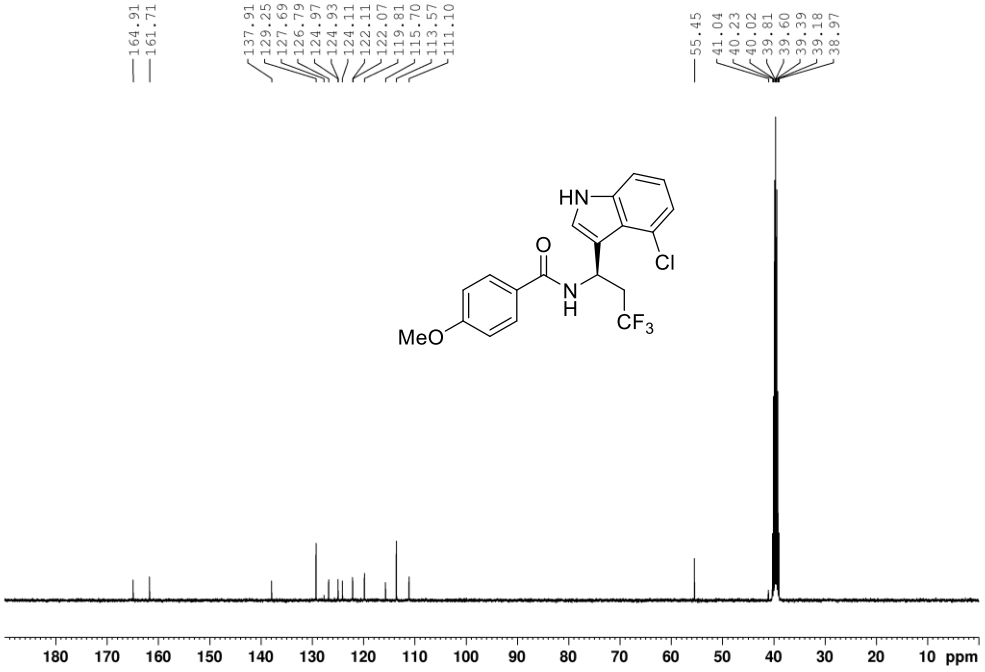
$^{19}$F NMR of **4q** in DMSO-$d_6$ (376 M)



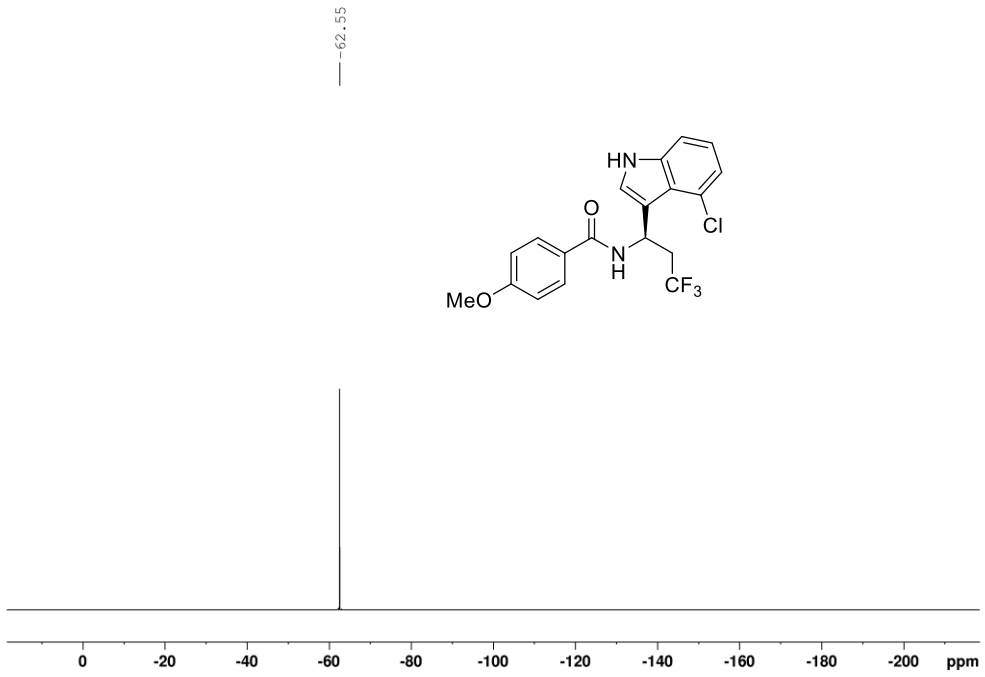

$^{1}$H NMR of **4r** in DMSO-$d_6$ (400 M)



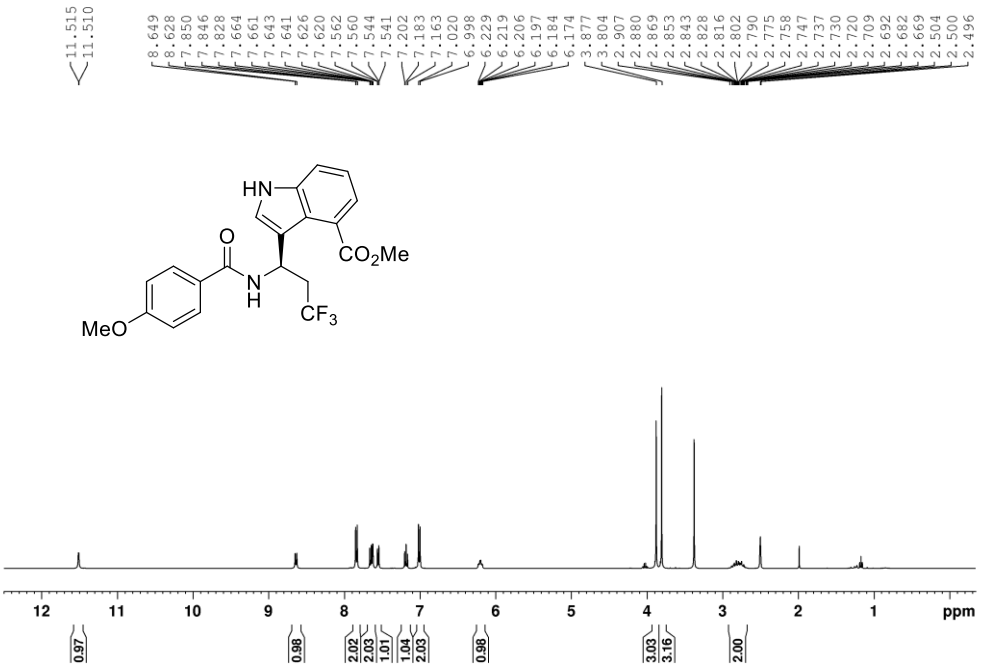
$^{13}$C NMR of **4r** in DMSO-$d_6$ (100 M)



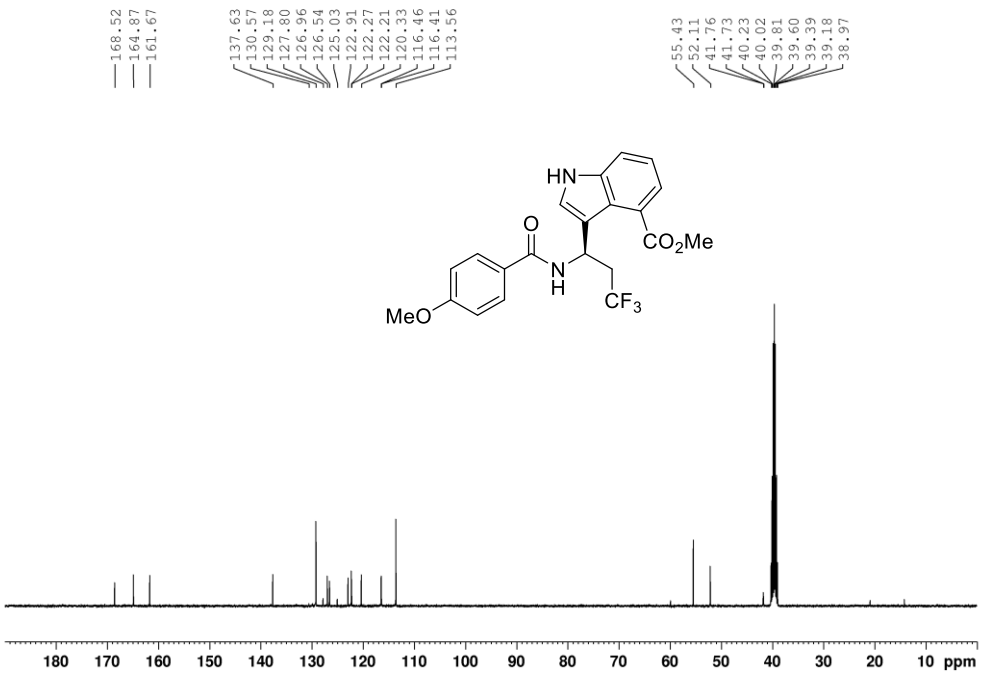

$^{19}$F NMR of **4r** in DMSO-$d_6$ (376 M)



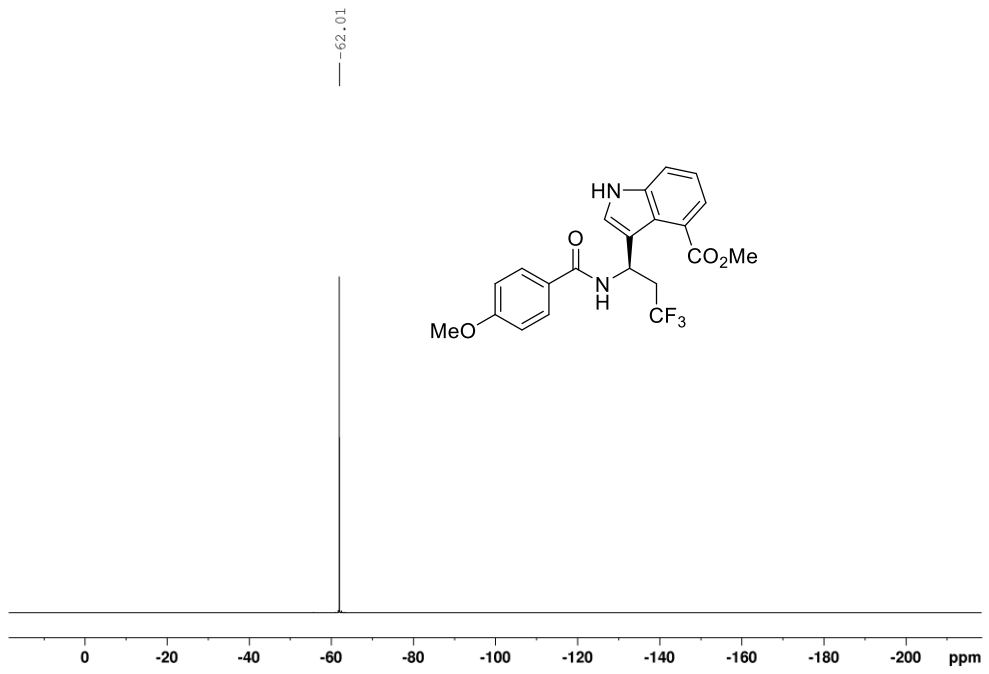
$^{1}$H NMR of **4s** in DMSO-$d_6$ (400 M)



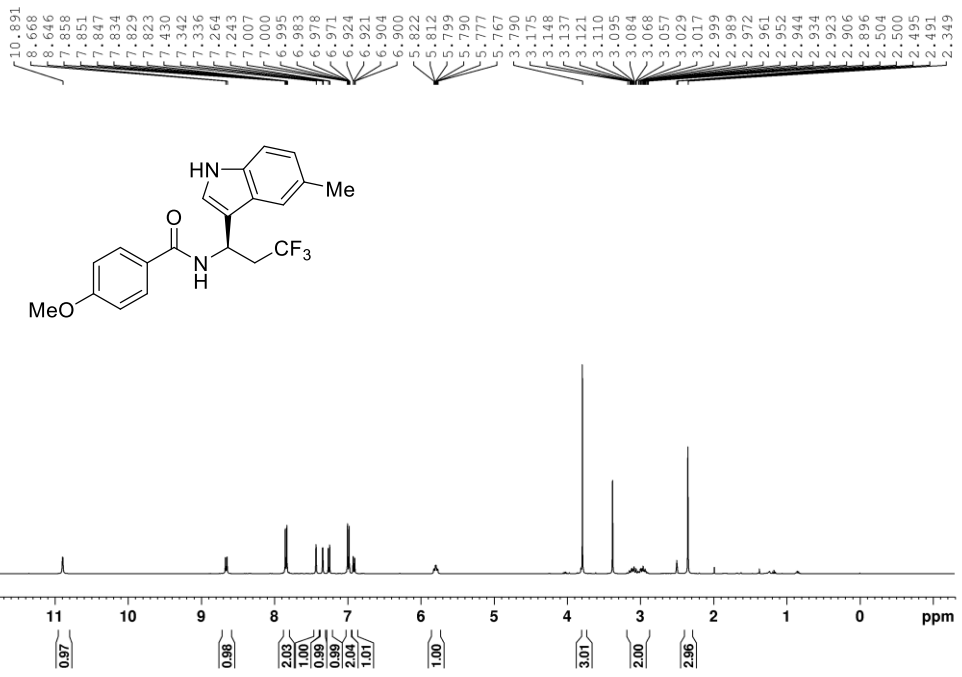

$^{13}$C NMR of **4s** in DMSO-$d_6$ (100 M)



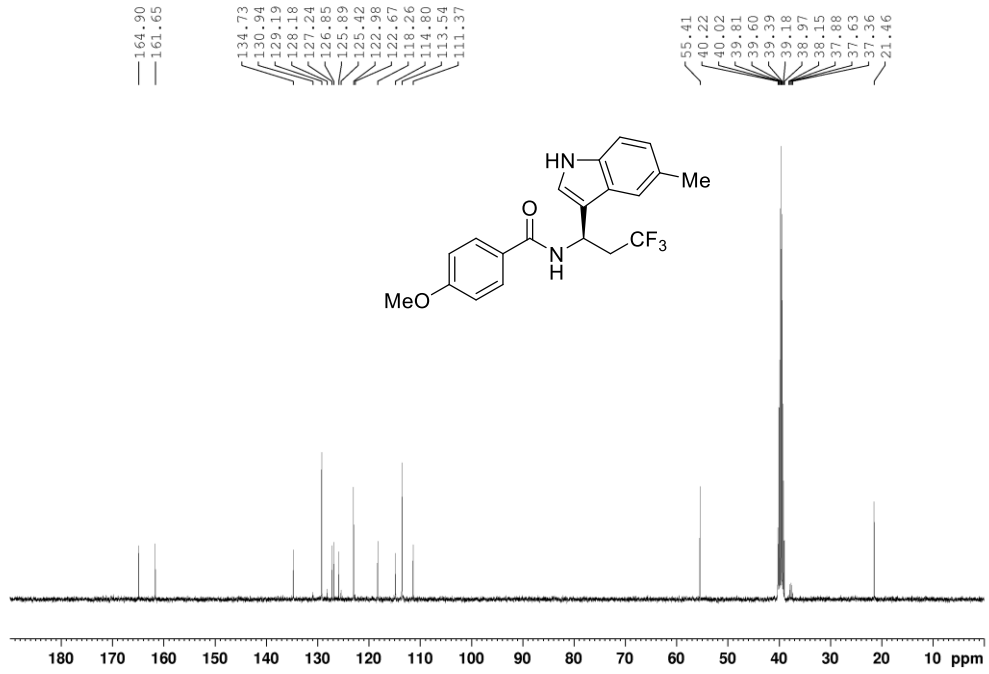
$^{19}$F NMR of **4s** in DMSO-$d_6$ (376 M)



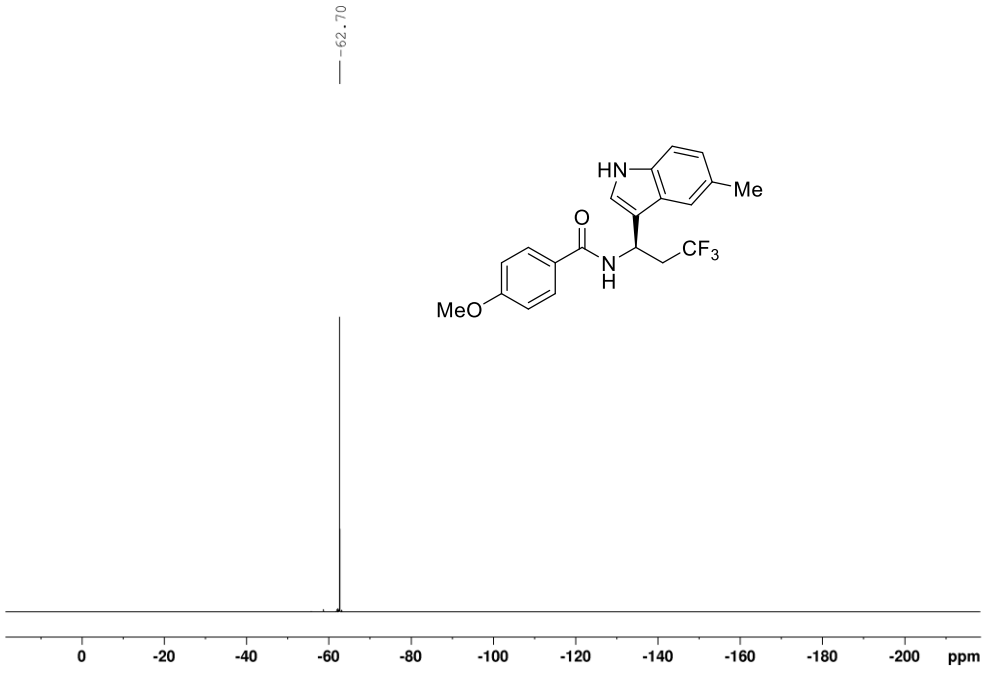

$^{1}$H NMR of **4t** in DMSO-$d_6$ (400 M)



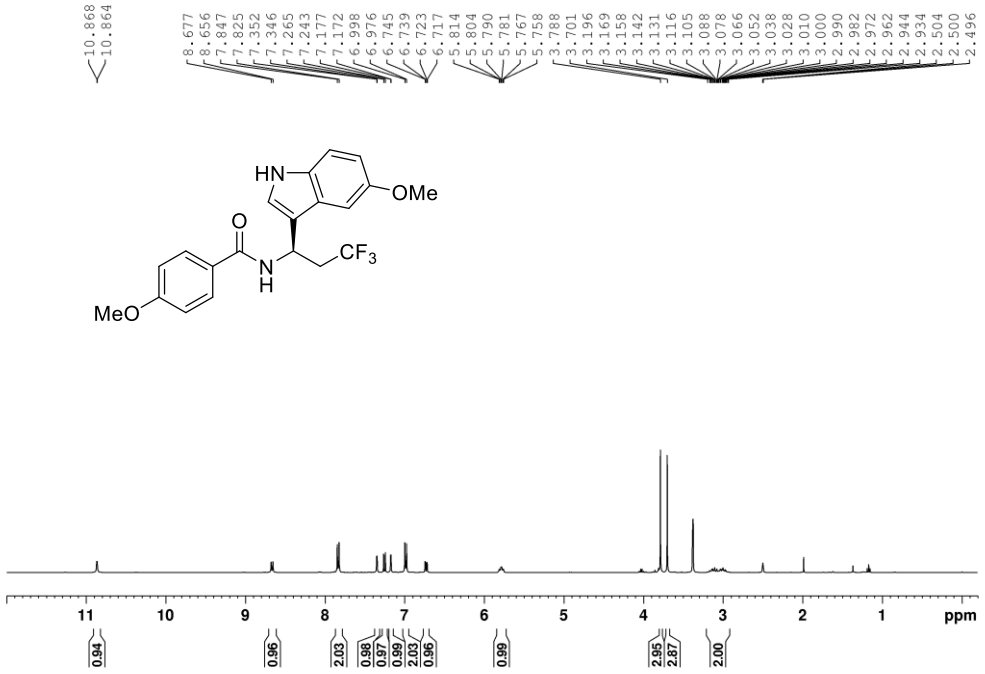
$^{13}$C NMR of **4t** in DMSO-$d_6$ (100 M)



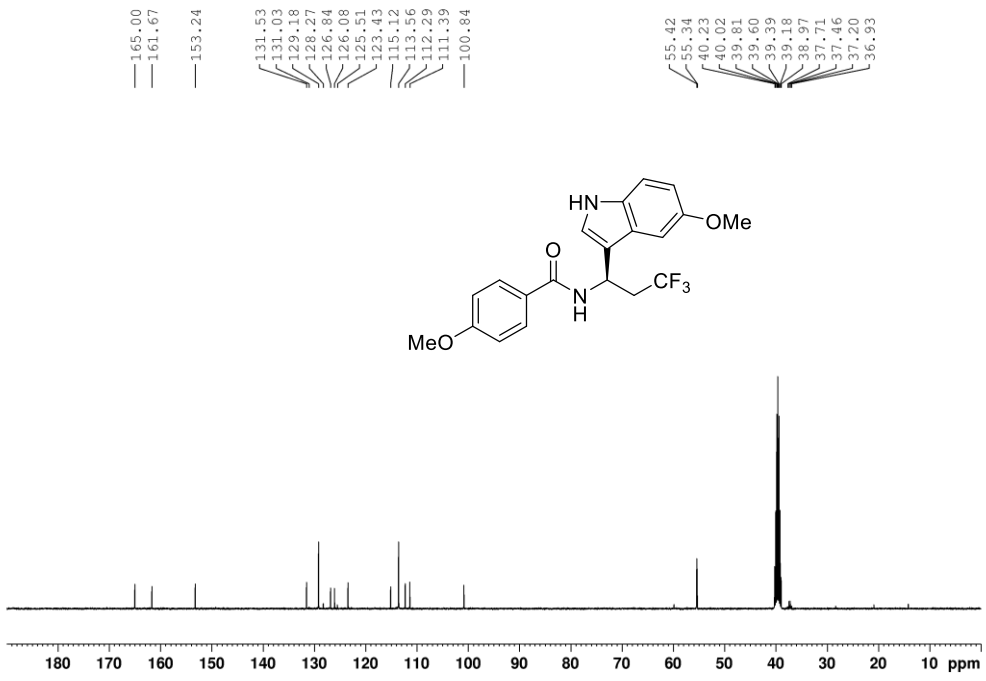

$^{19}$F NMR of **4t** in DMSO-$d_6$ (376 M)



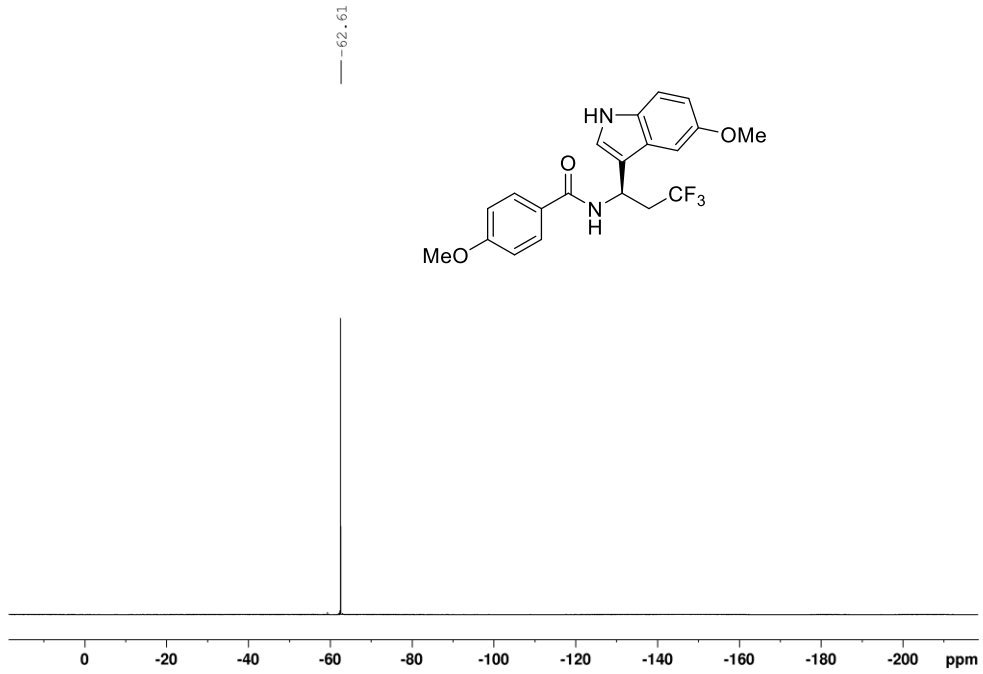
$^{1}$H NMR of **4u** in DMSO-$d_6$ (400 M)



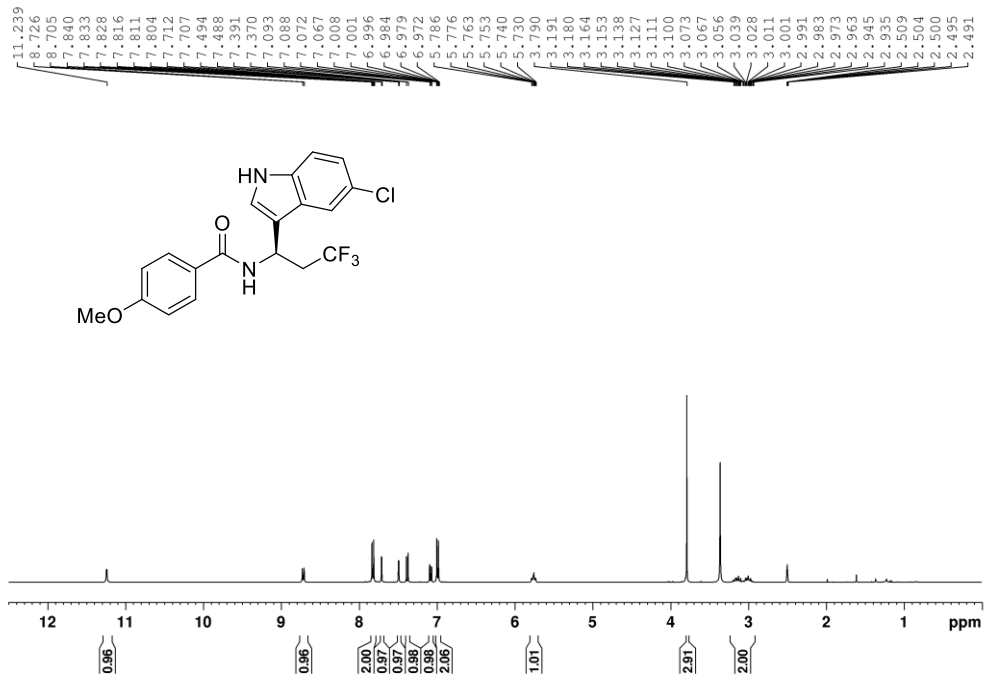

$^{13}$C NMR of **4u** in DMSO-$d_6$ (100 M)



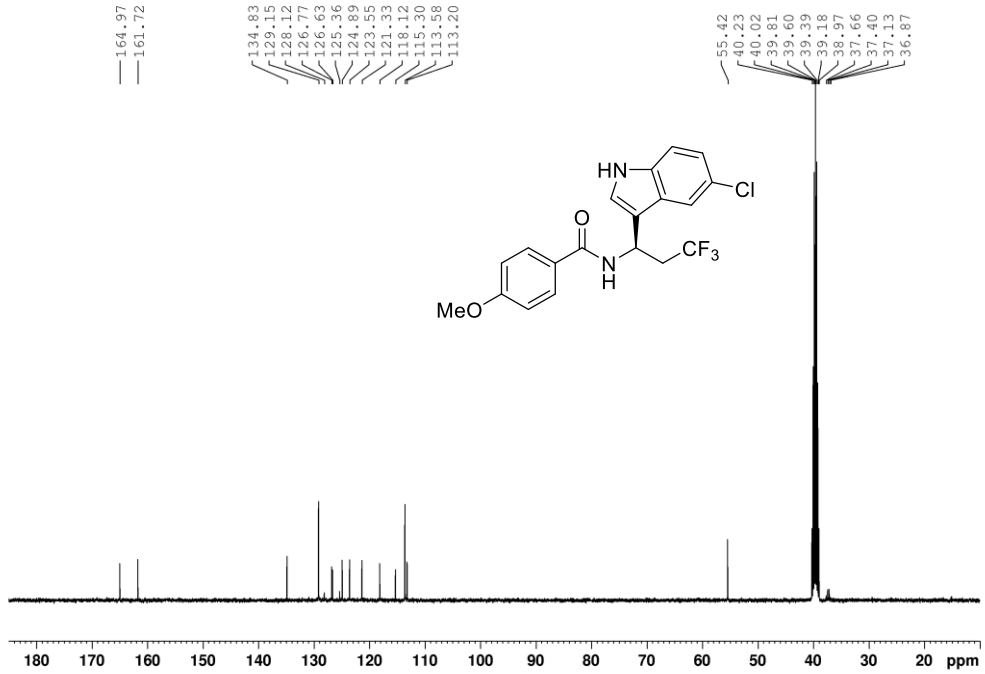
$^{19}$F NMR of **4u** in DMSO-$d_6$ (376 M)



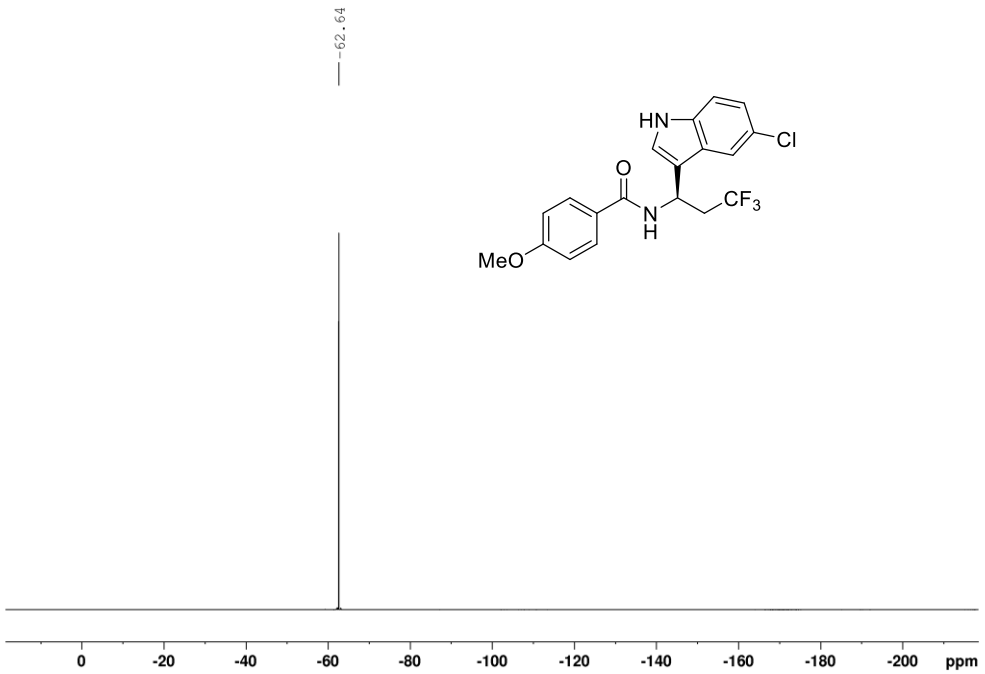

$^{1}$H NMR of **4v** in DMSO-$d_6$ (400 M)



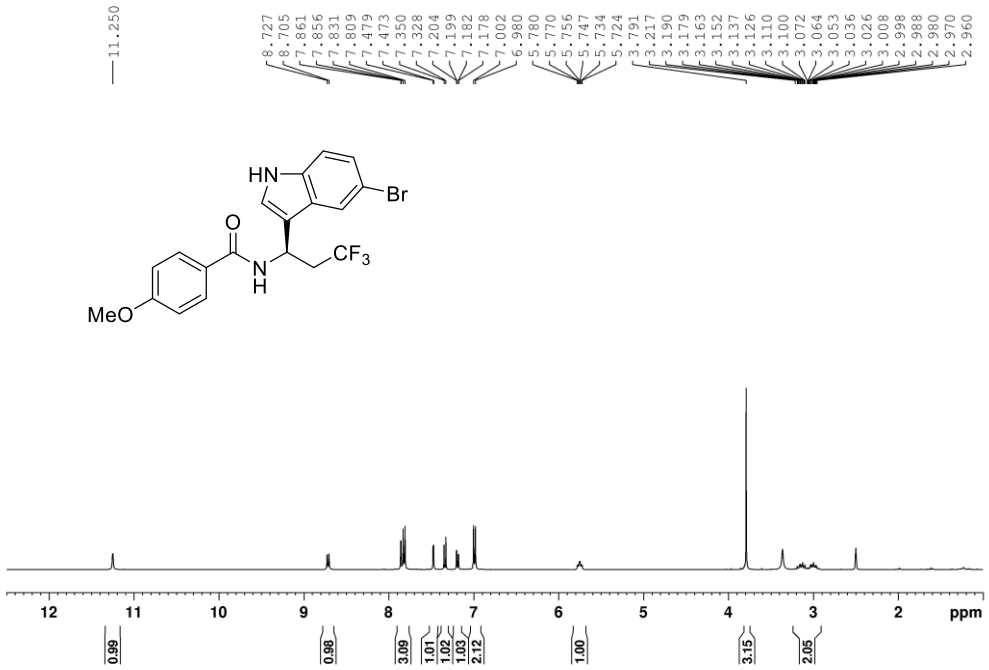
$^{13}$C NMR of **4v** in DMSO-$d_6$ (100 M)



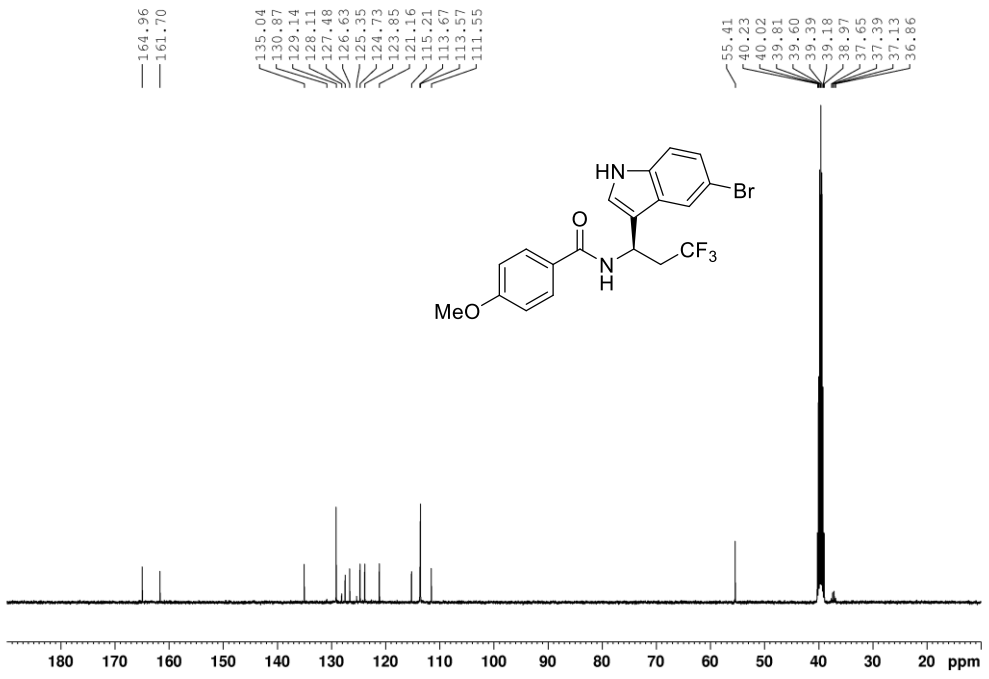

$^{19}$F NMR of **4v** in DMSO-$d_6$ (376 M)



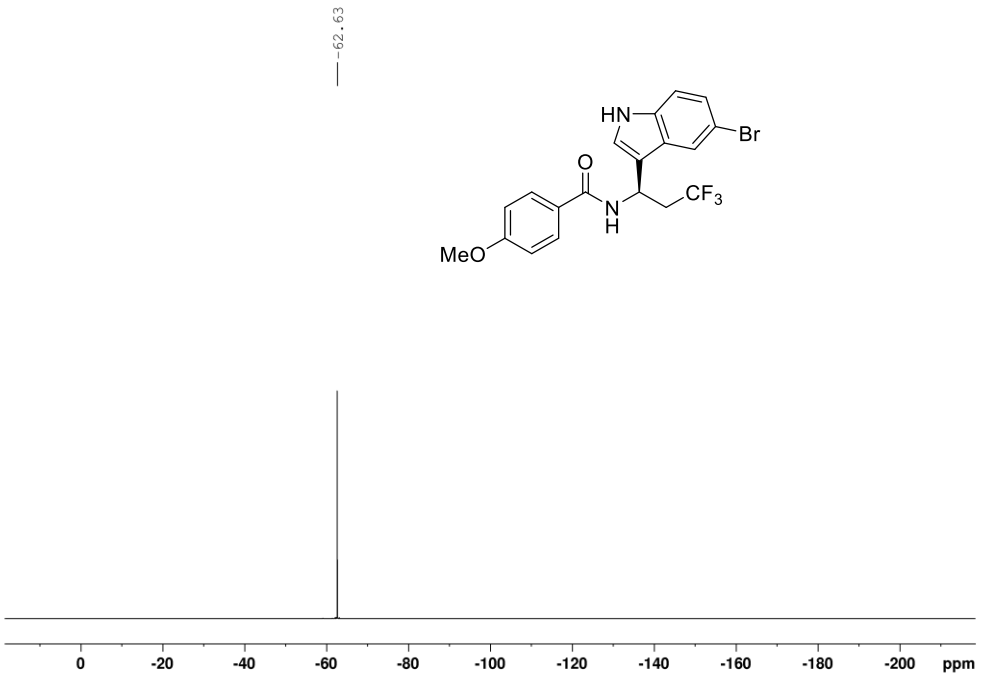
$^{1}$H NMR of **4w** in DMSO-$d_6$ (400 M)



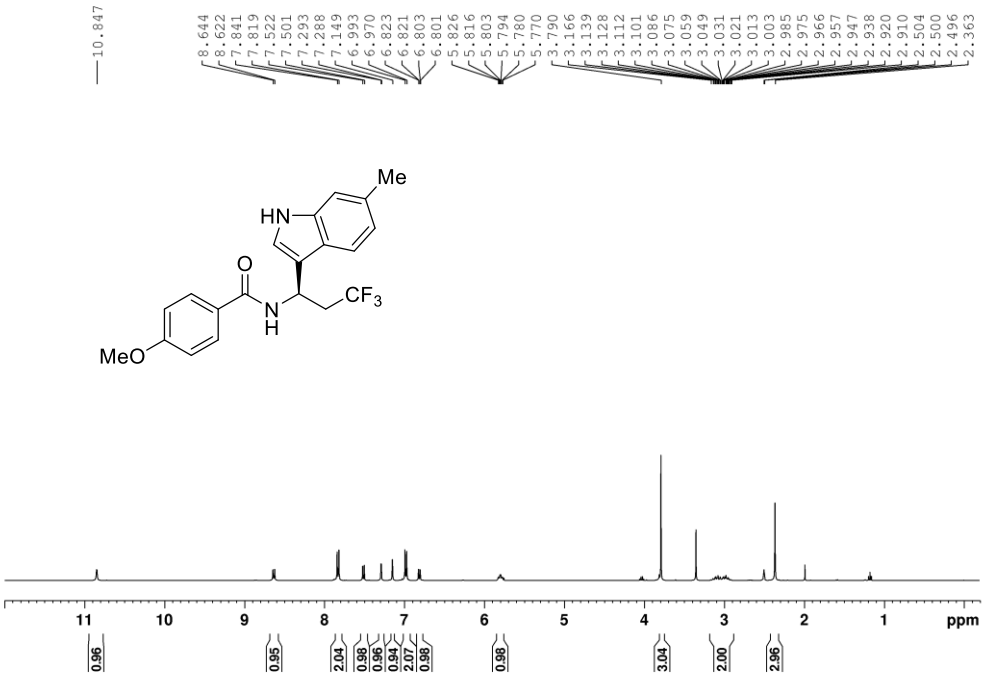

$^{13}$C NMR of **4w** in DMSO-$d_6$ (100 M)



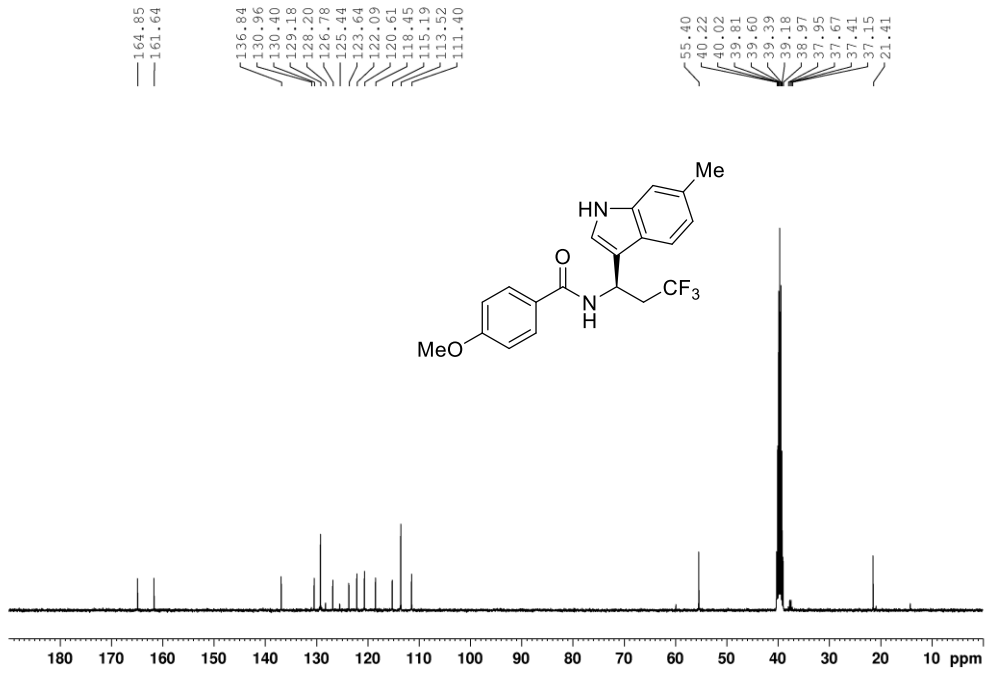
$^{19}$F NMR of **4w** in DMSO-$d_6$ (376 M)



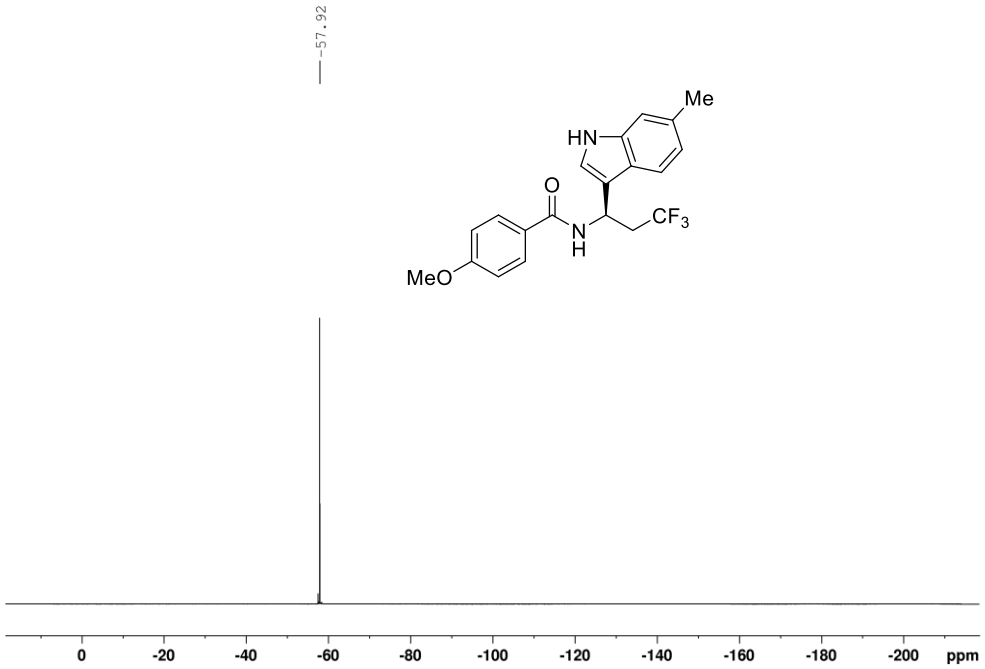

$^{1}$H NMR of **4x** in DMSO-$d_6$ (400 M)



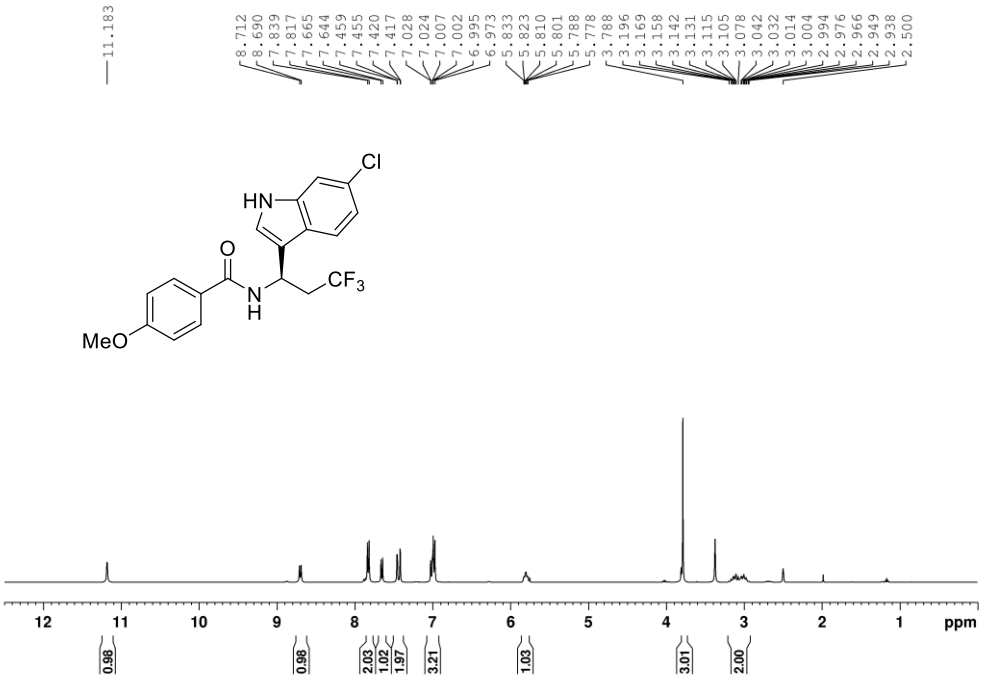
$^{13}$C NMR of **4x** in DMSO-$d_6$ (100 M)



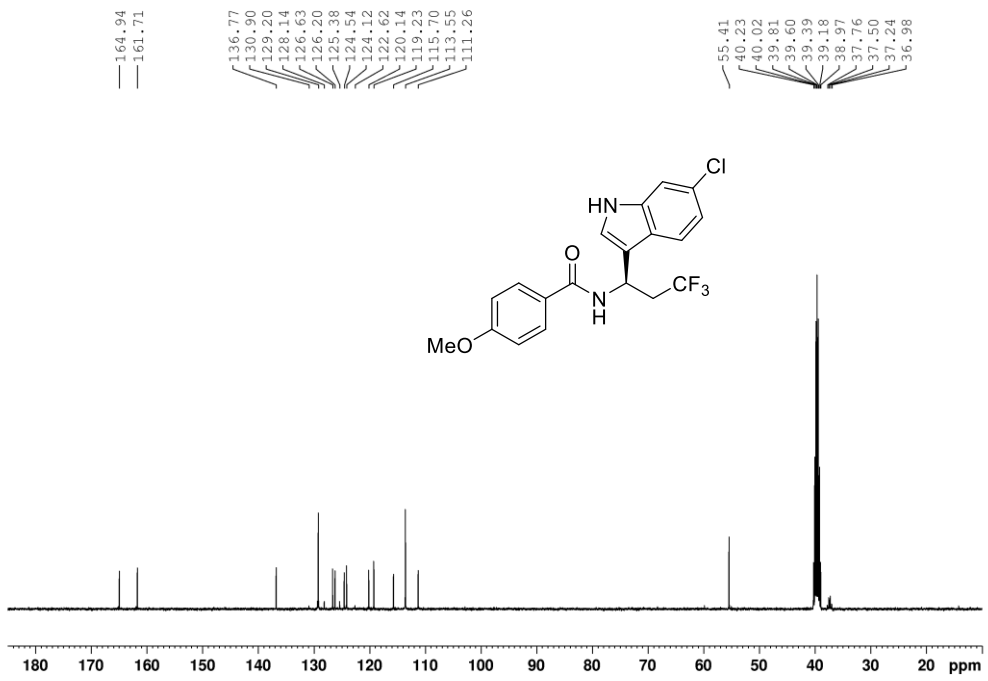

$^{19}$F NMR of **4x** in DMSO-$d_6$ (376 M)



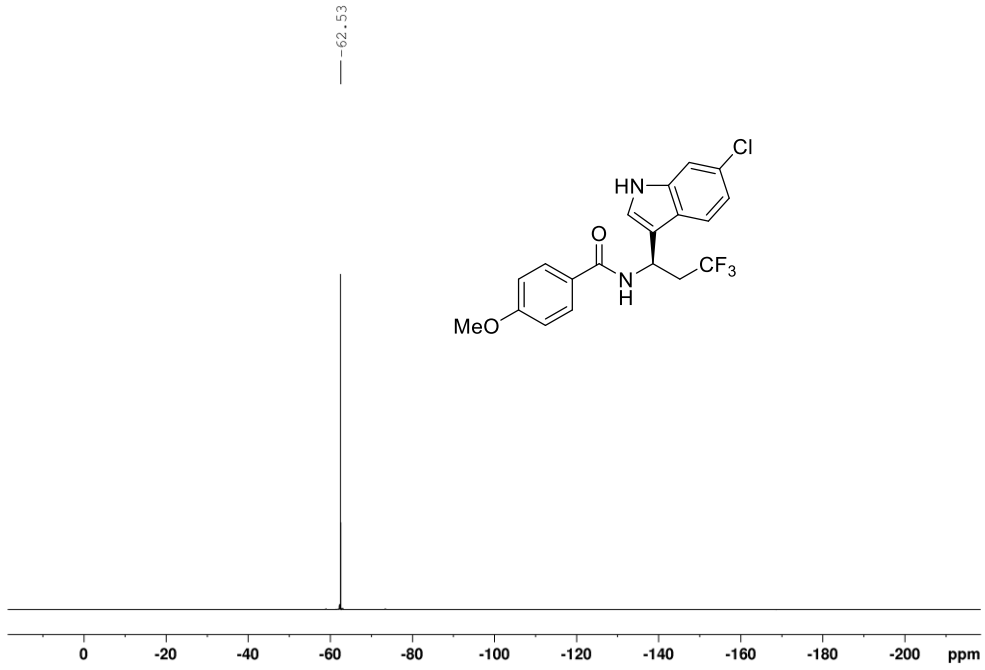
$^{1}$H NMR of **4y** in DMSO-$d_6$ (400 M)



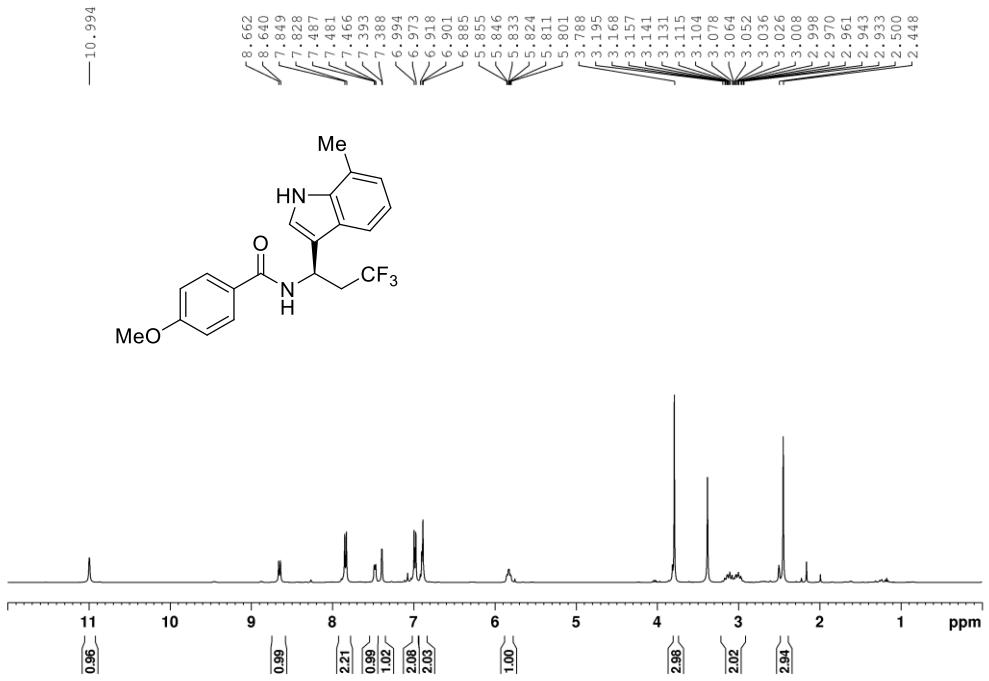

$^{13}$C NMR of **4y** in DMSO-$d_6$ (100 M)



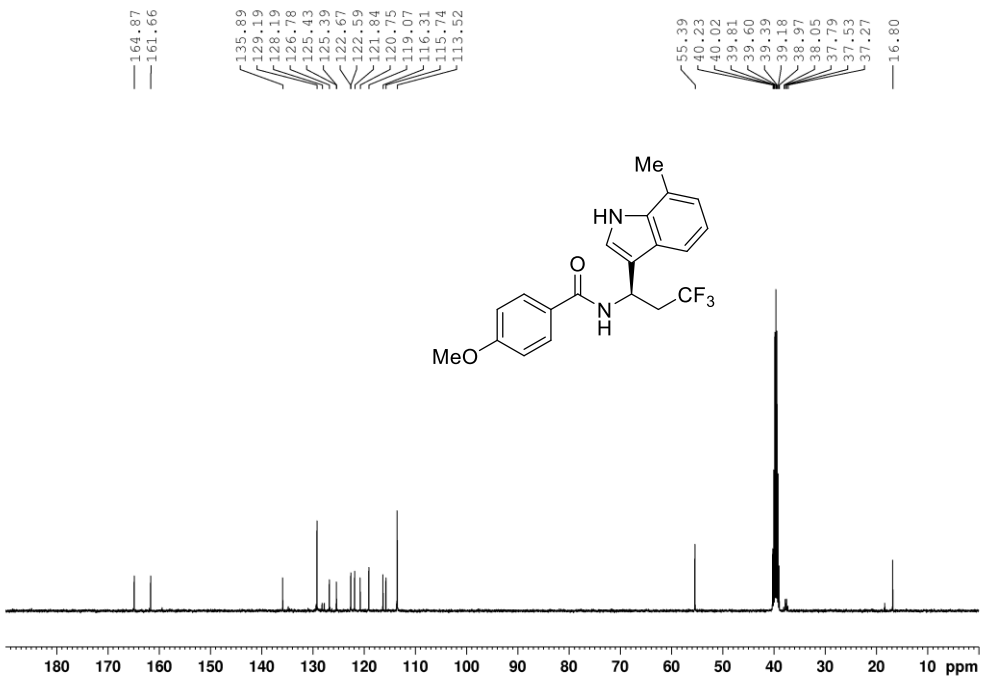
$^{19}$F NMR of **4y** in DMSO-$d_6$ (376 M)



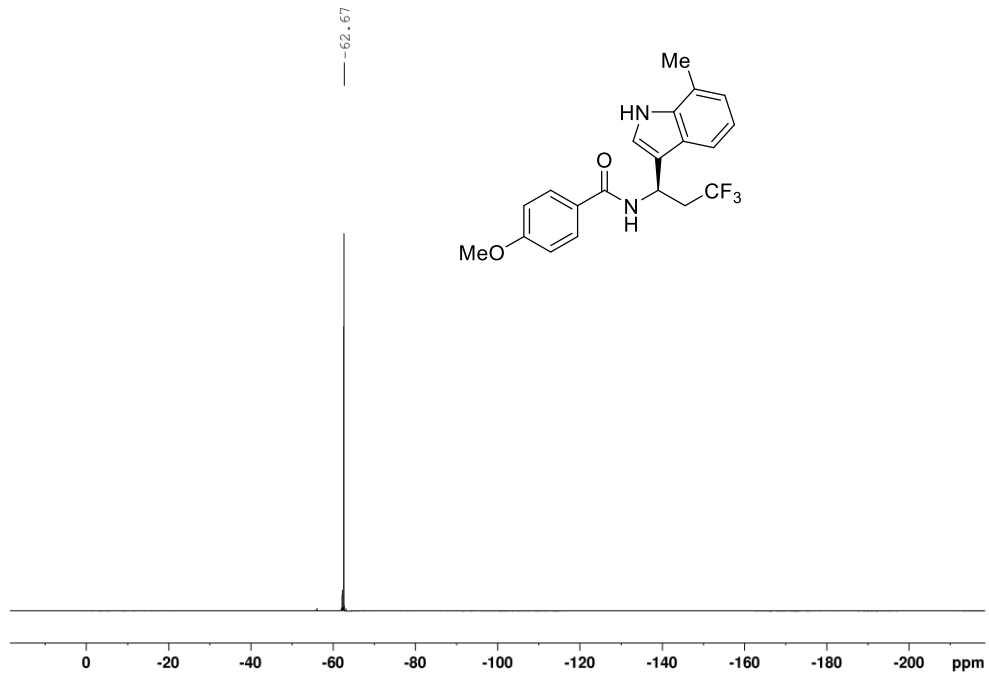

$^{1}$H NMR of **4z** in DMSO-$d_6$ (400 M)



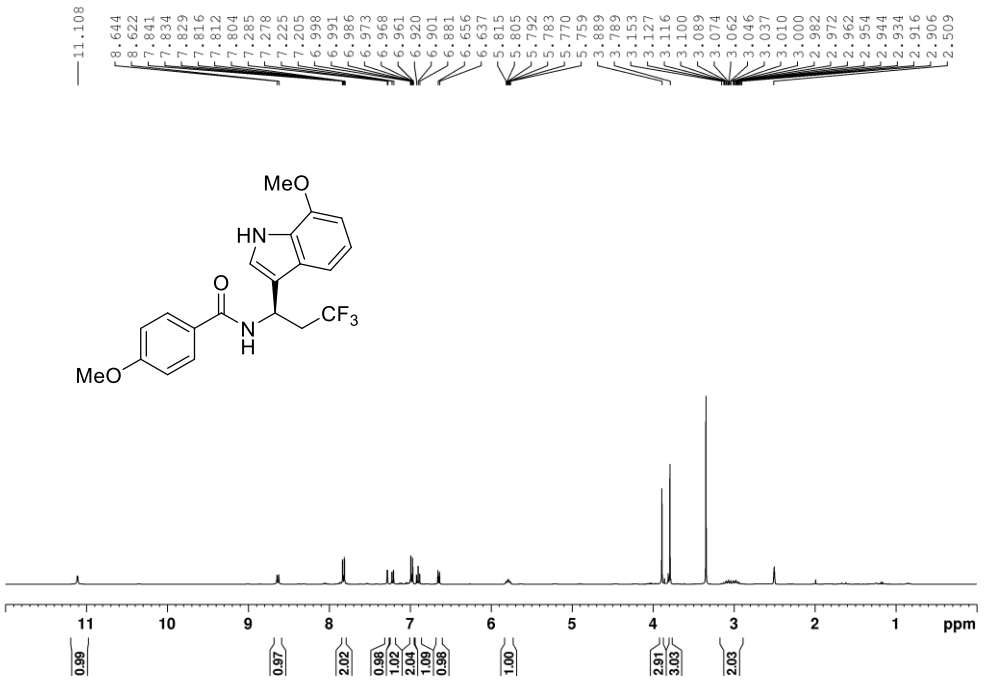
$^{13}$C NMR of **4z** in DMSO-$d_6$ (100 M)



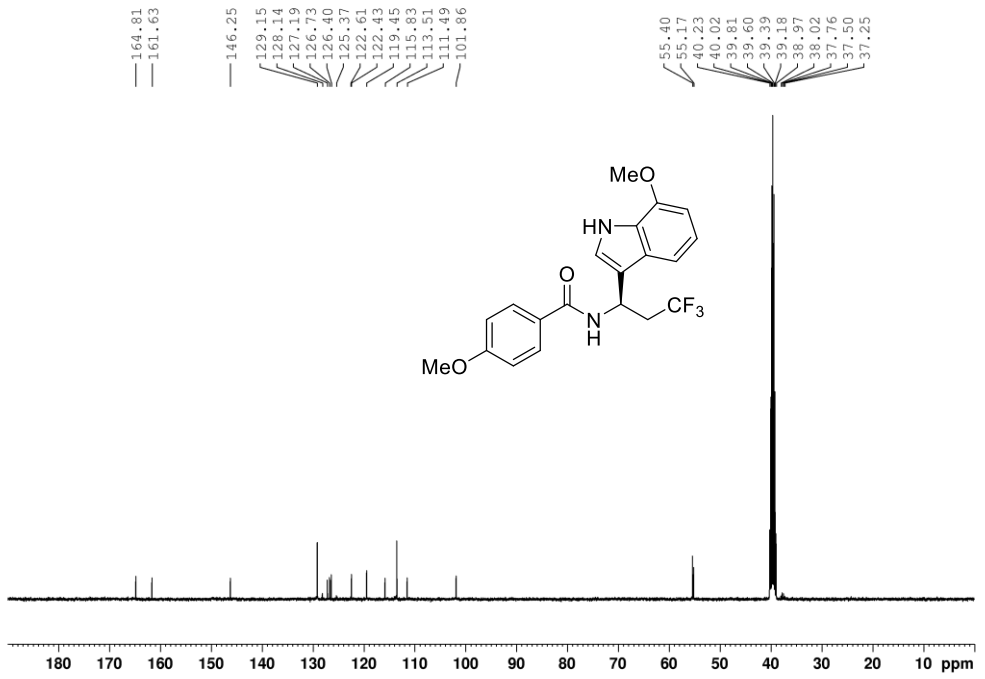

$^{19}$F NMR of **4z** in DMSO-$d_6$ (376 M)



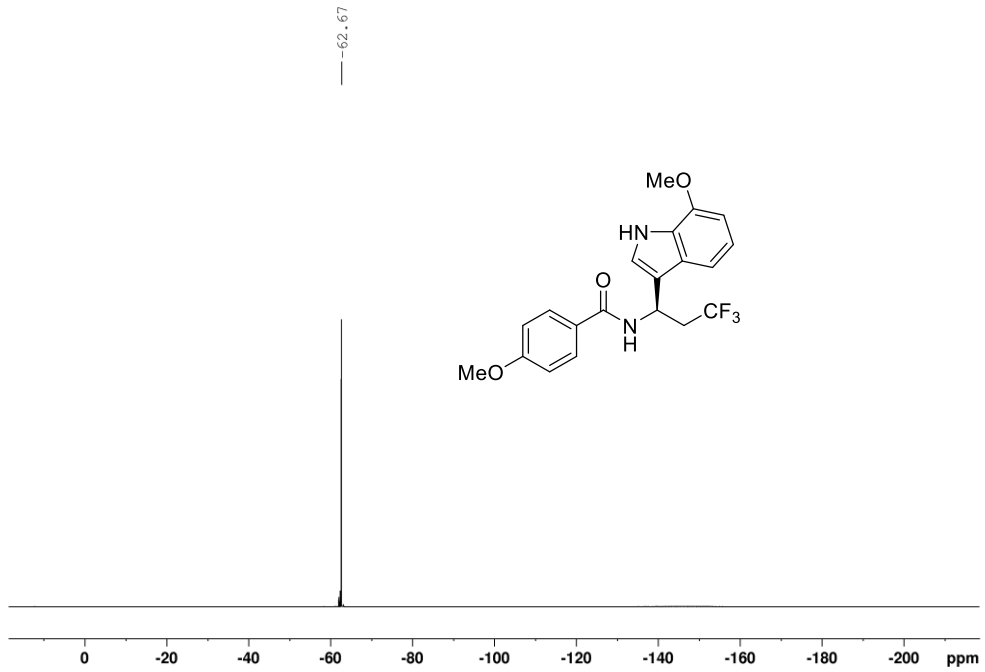
$^{1}$H NMR of **4aa** in DMSO-$d_6$ (400 M)



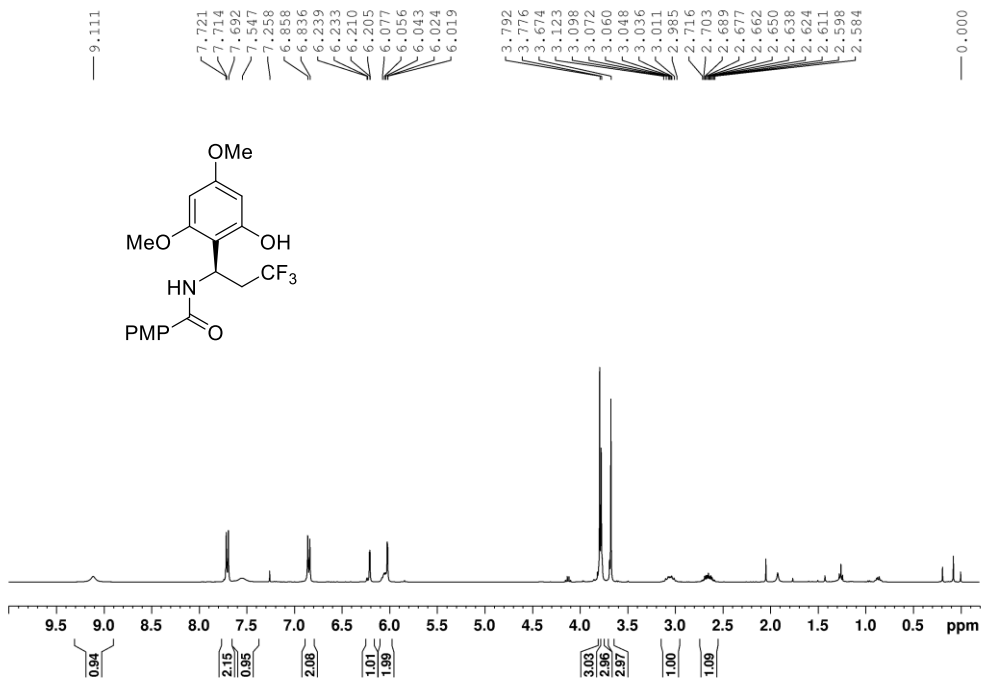

$^{13}$C NMR of **4aa** in DMSO-$d_6$ (100 M)



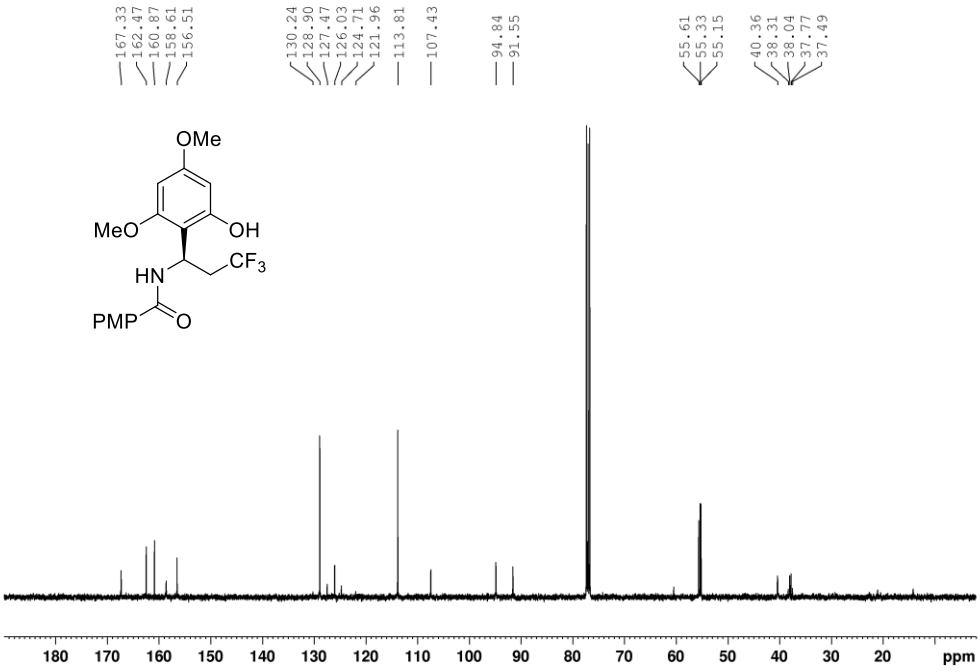
$^{19}$F NMR of **4aa** in DMSO-$d_6$ (376 M)



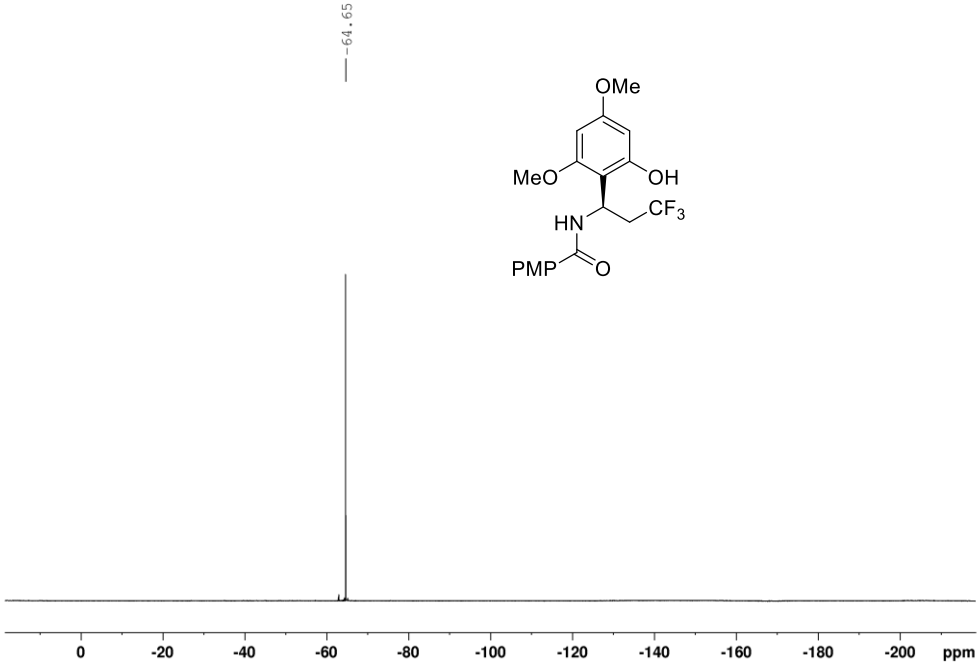

$^{1}$H NMR of **4ab** in DMSO-$d_6$ (400 M)



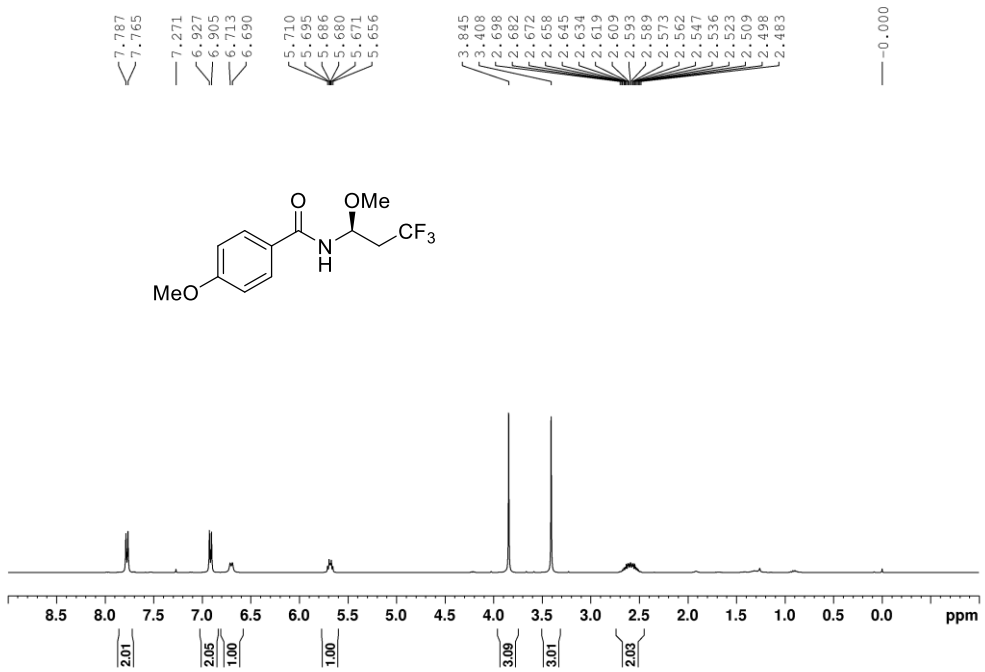
$^{13}$C NMR of **4ab** in DMSO-$d_6$ (100 M)



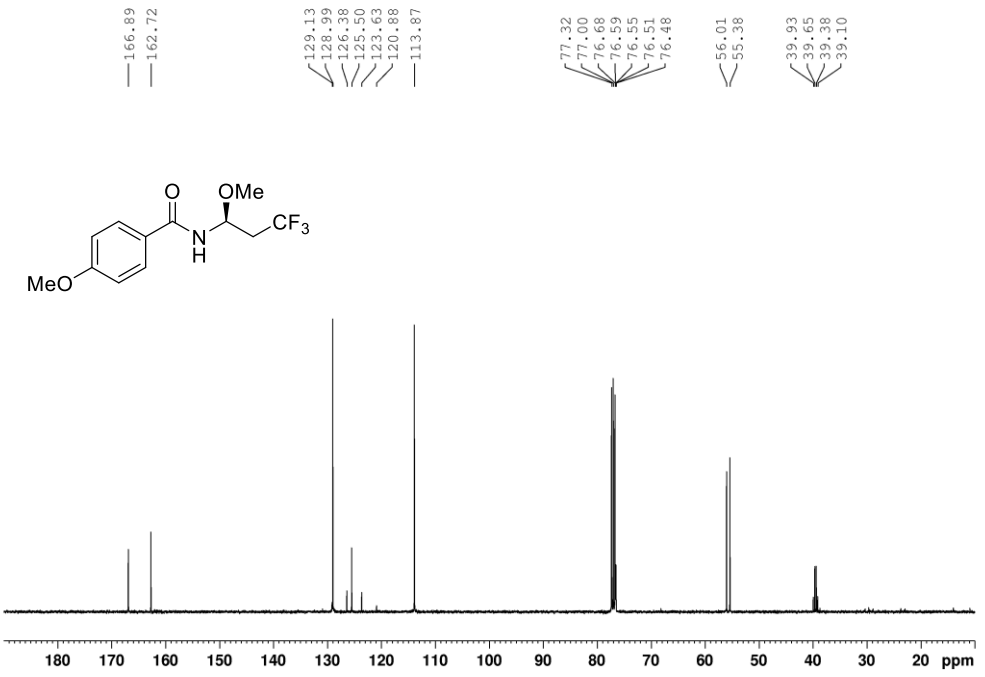

$^{19}$F NMR of **4ab** in DMSO-$d_6$ (376 M)



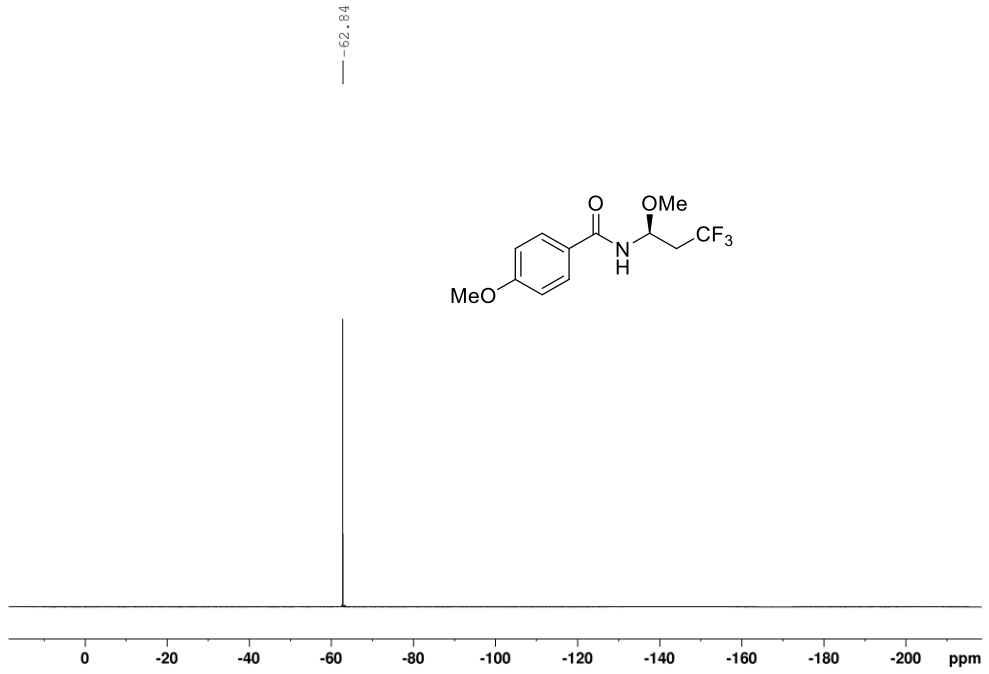
$^{1}$H NMR of **6a** in $CDCl_3$ (400 M)



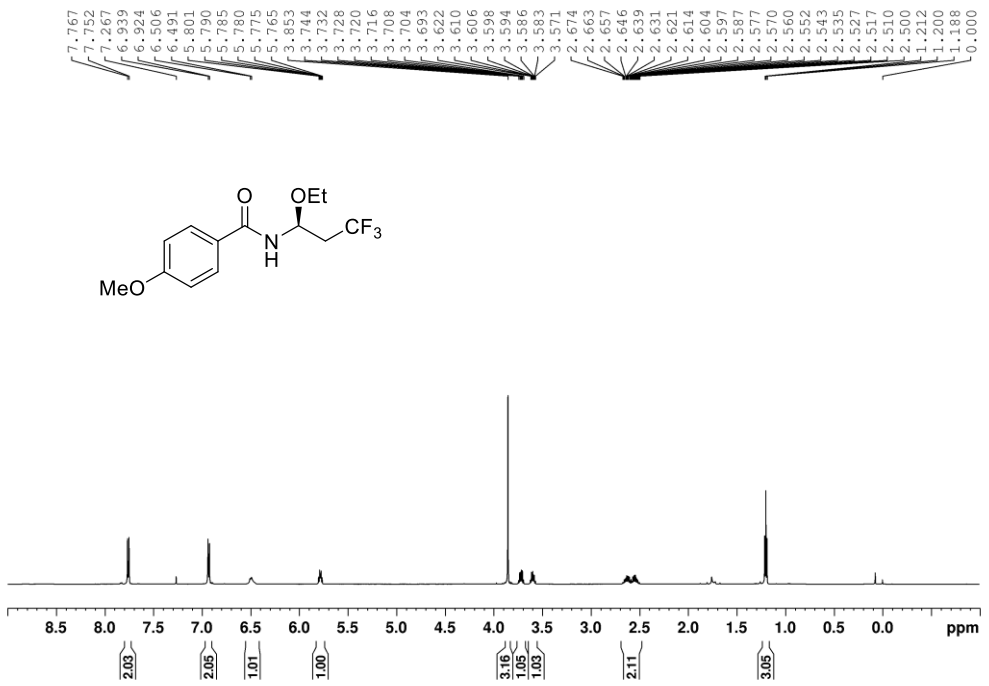

$^{13}$C NMR of **6a** in $CDCl_3$ (100 M)



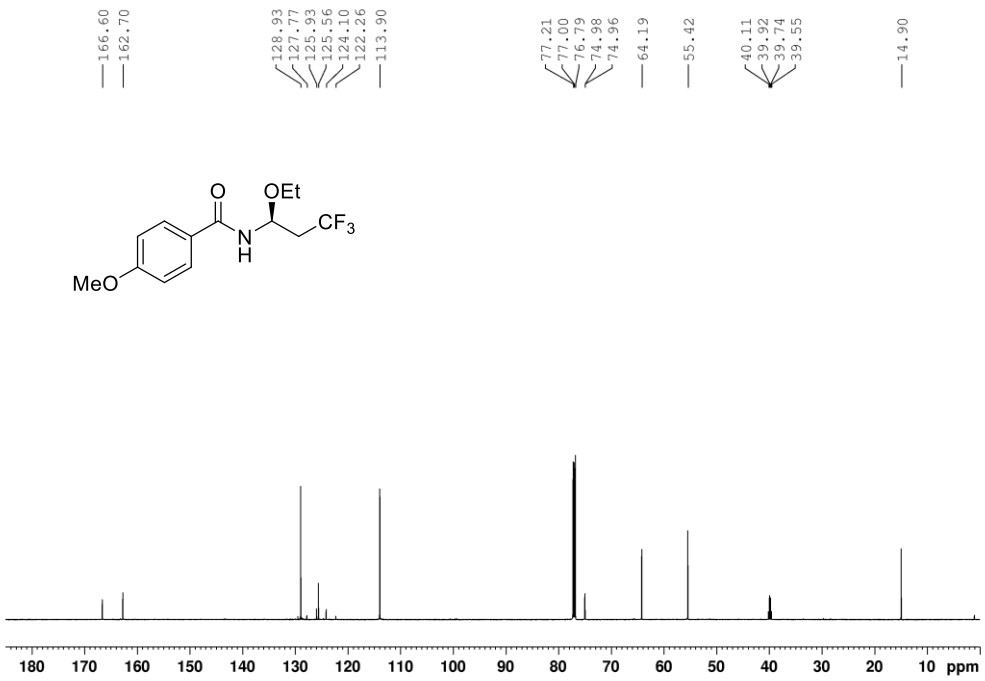
$^{19}$F NMR of **6a** in $CDCl_3$ (376 M)



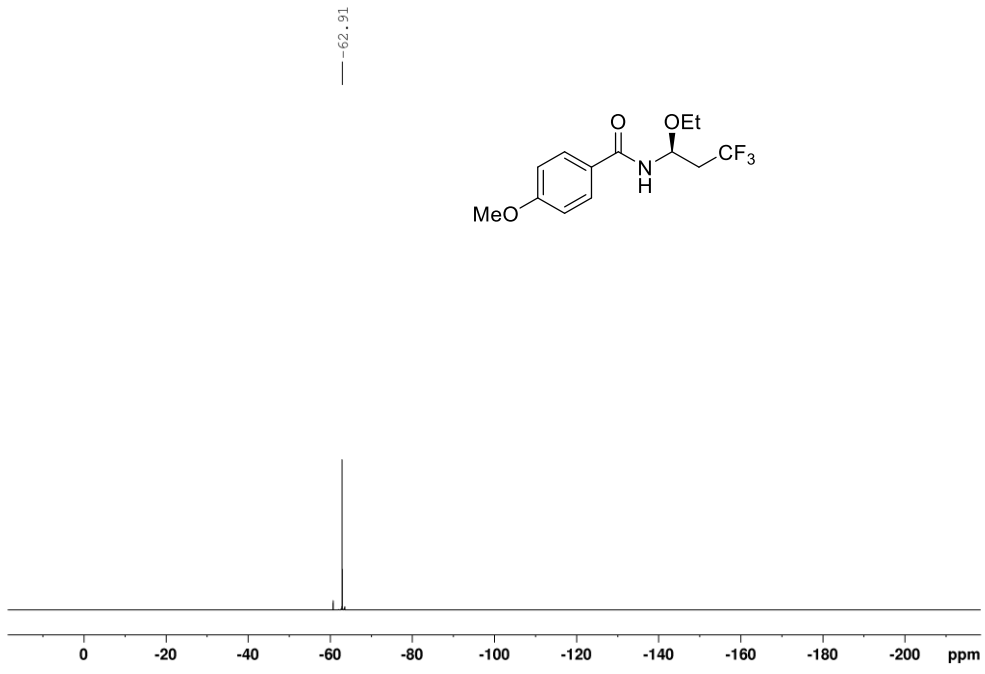

$^{1}$H NMR of **6b** in $CDCl_3$ (600 M)



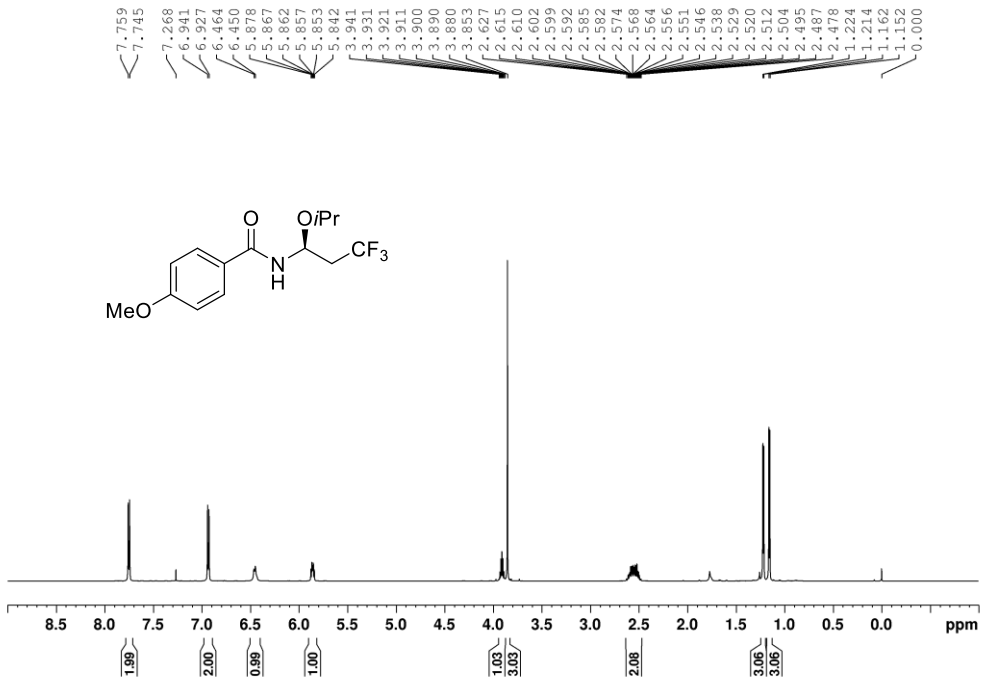
$^{13}C$ NMR of **6b** in $CDCl_3$ (150 M)



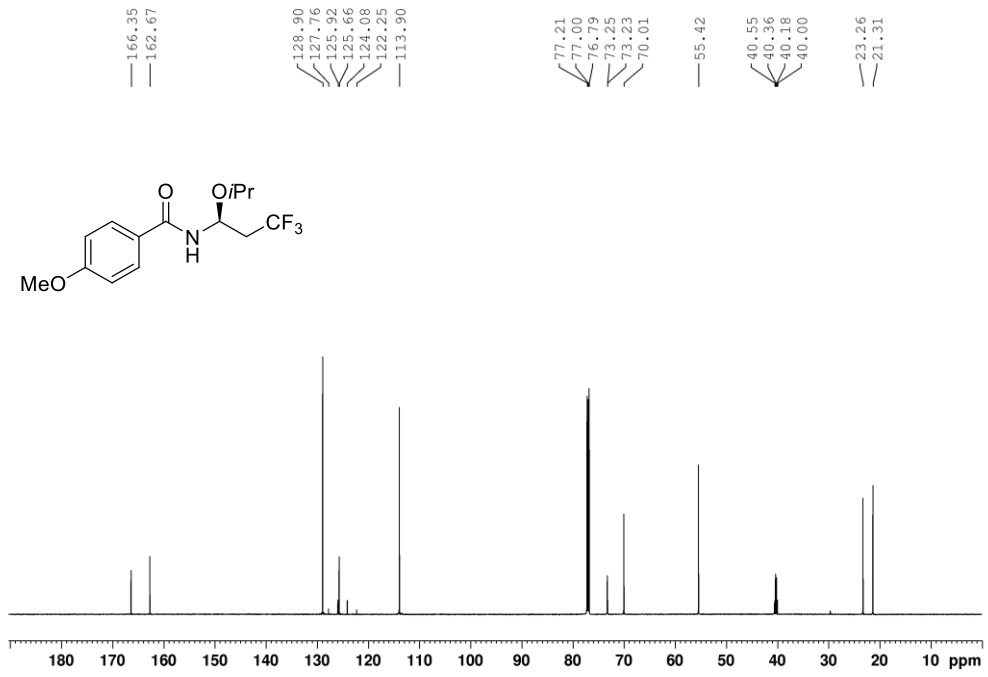

$^{19}F$ NMR of **6b** in $CDCl_3$ (376 M)



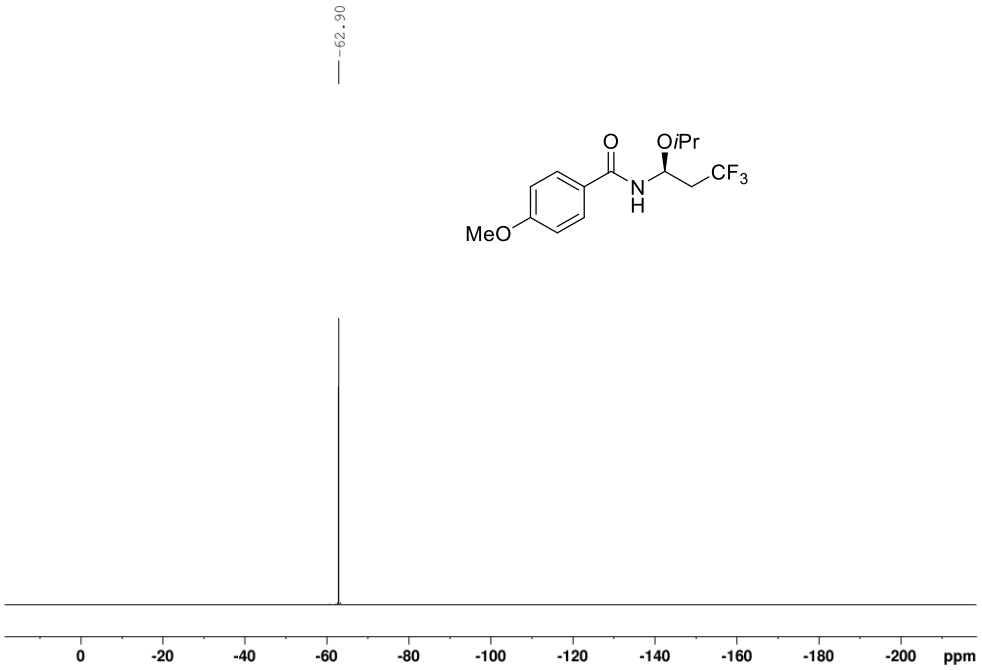
$^{1}$H NMR of **6c** in $CDCl_3$ (600 M)



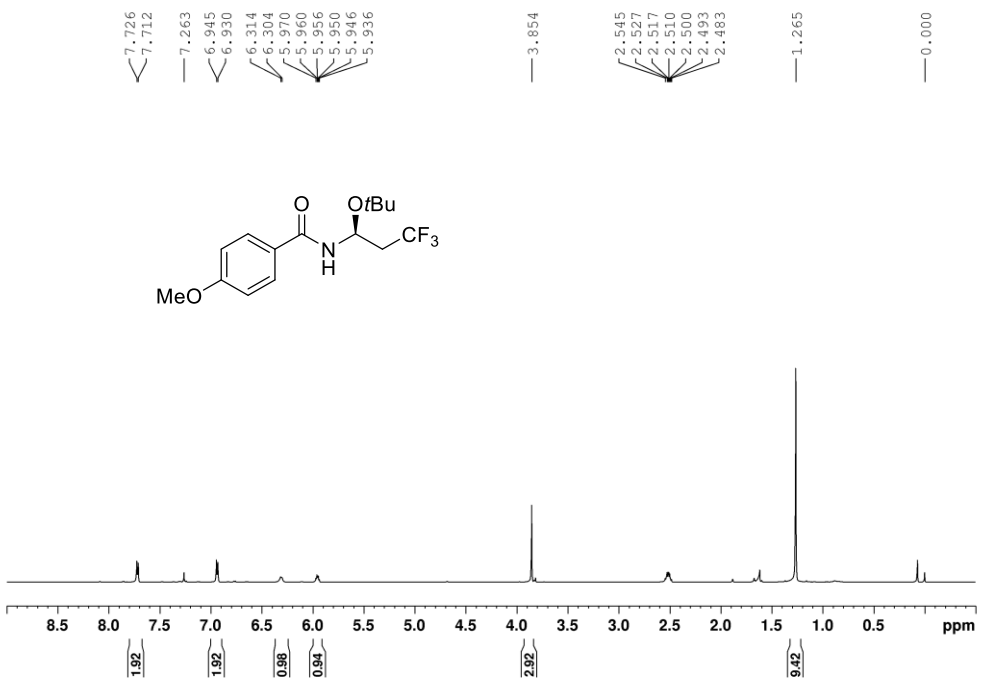

$^{13}$C NMR of **6c** in $CDCl_3$ (150 M)



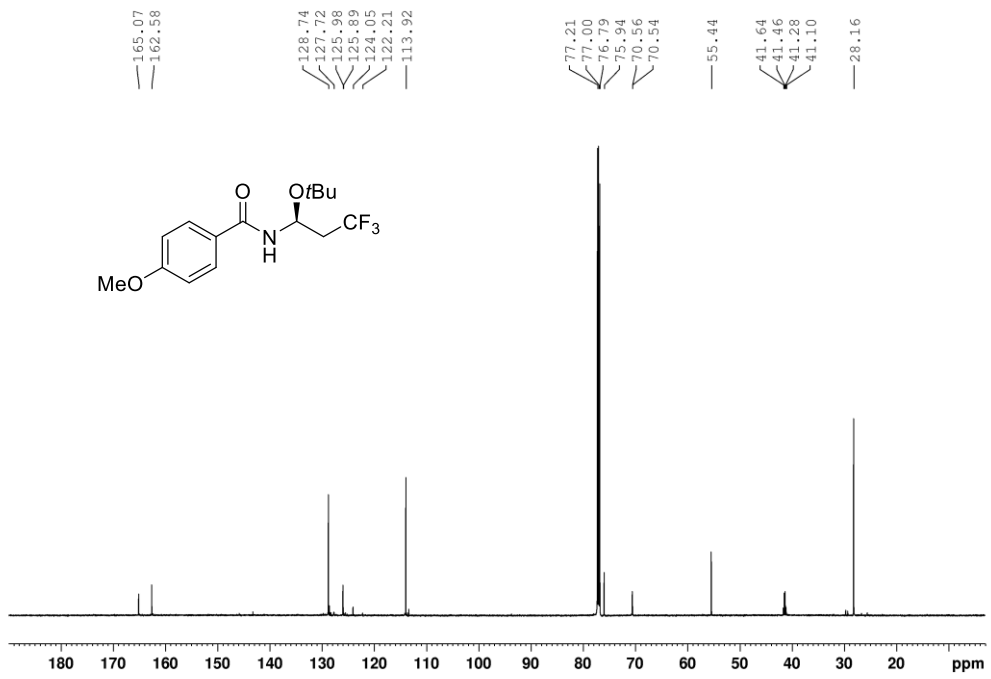
$^{19}$F NMR of **6c** in $CDCl_3$ (376 M)



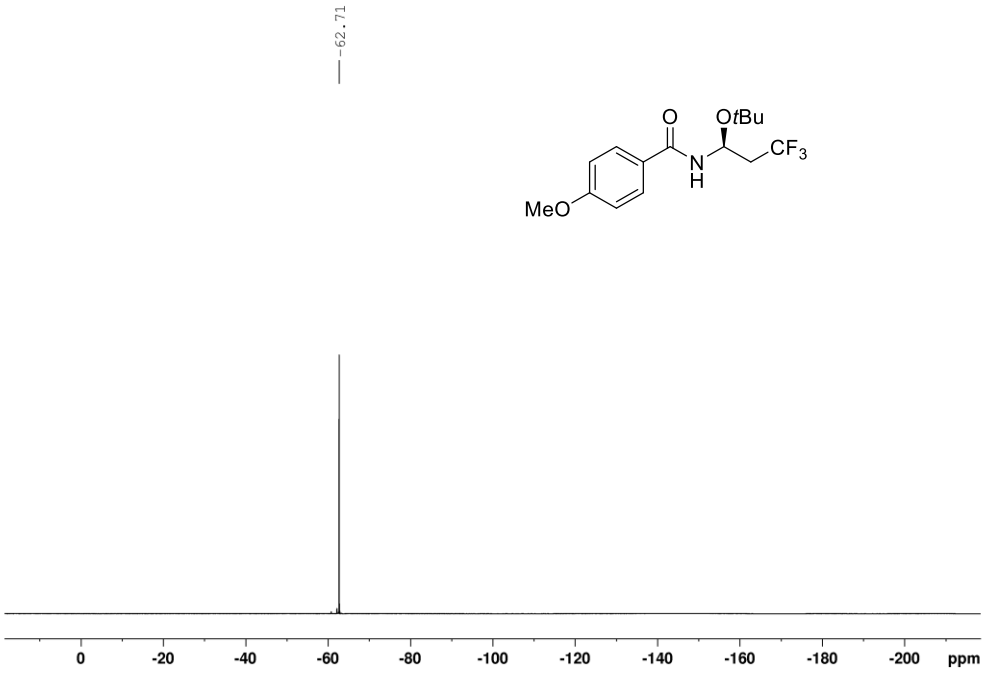

$^{1}$H NMR of **6d** in $CDCl_3$ (600 M)



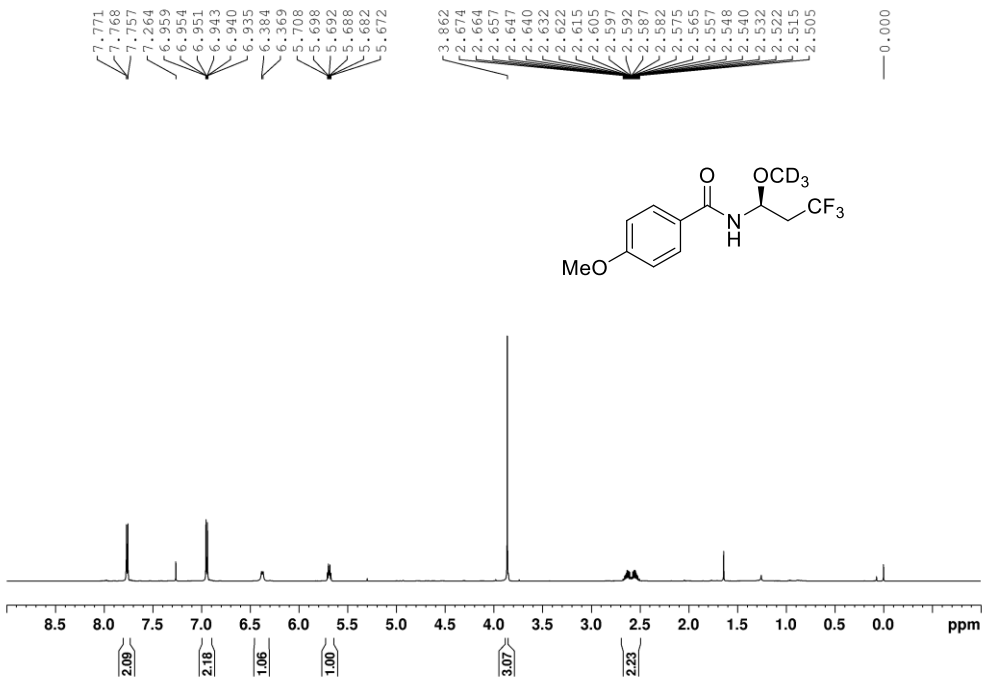
$^{13}$C NMR of **6d** in $CDCl_3$ (150 M)



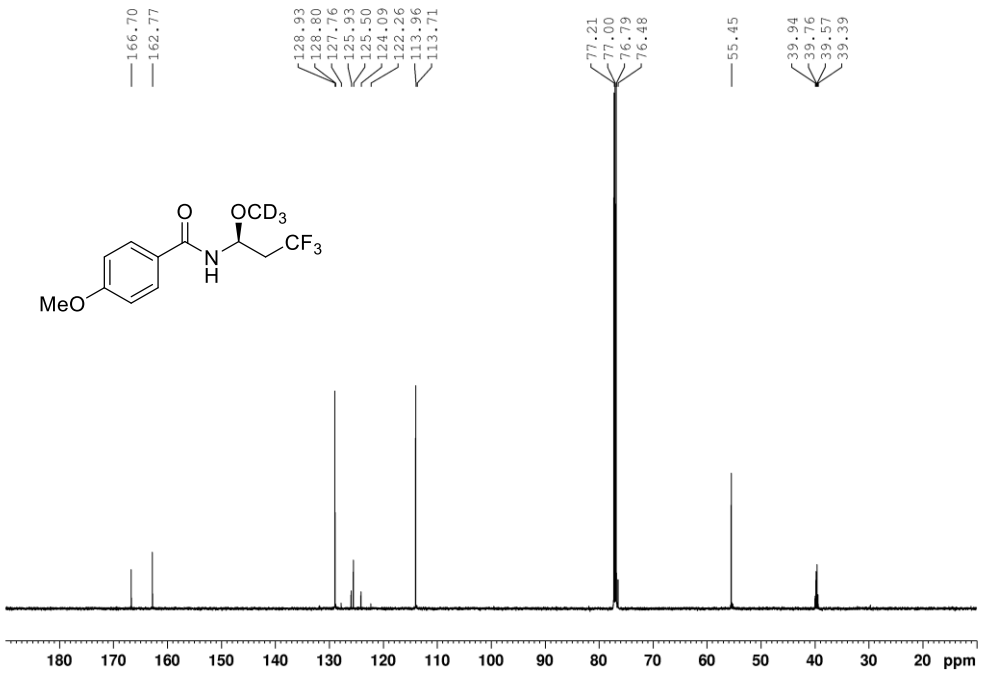

$^{19}$F NMR of **6d** in $CDCl_3$ (376 M)



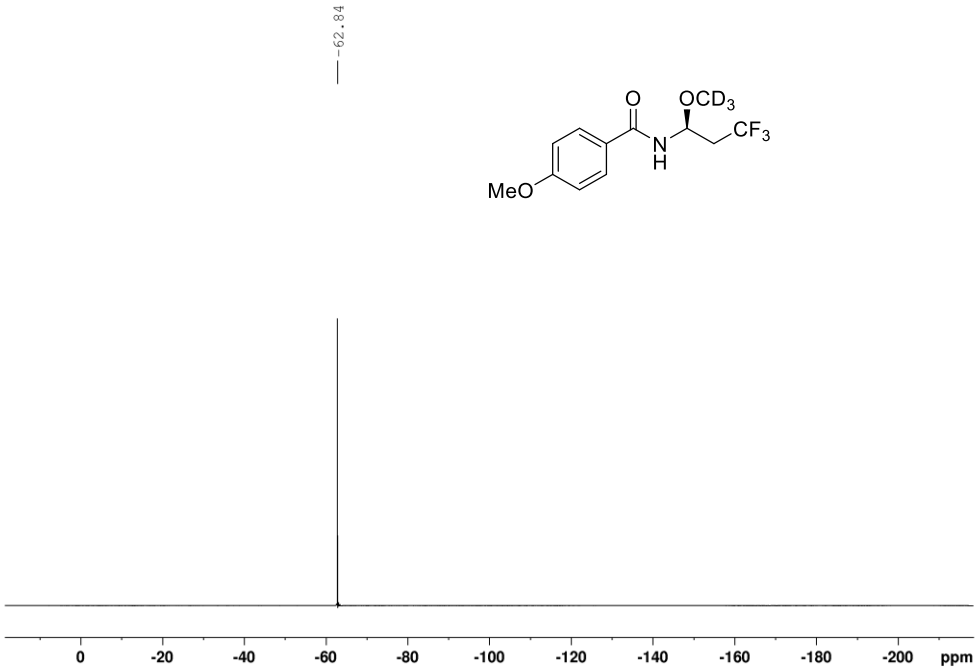
$^{1}$H NMR of **6e** in $CDCl_3$ (600 M)



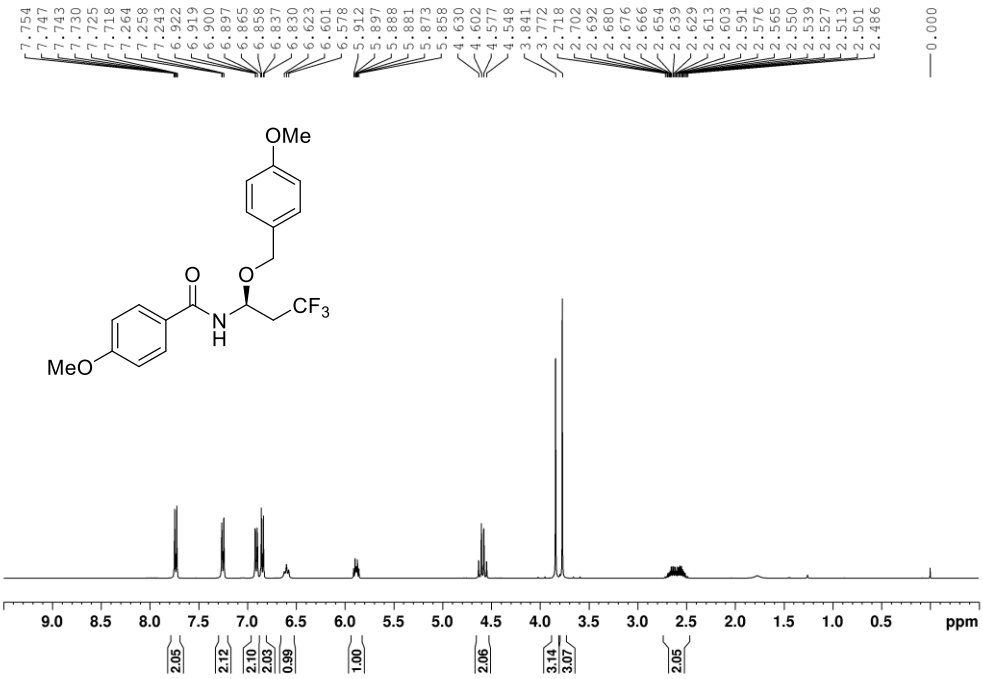

$^{13}$C NMR of **6e** in $CDCl_3$ (150 M)



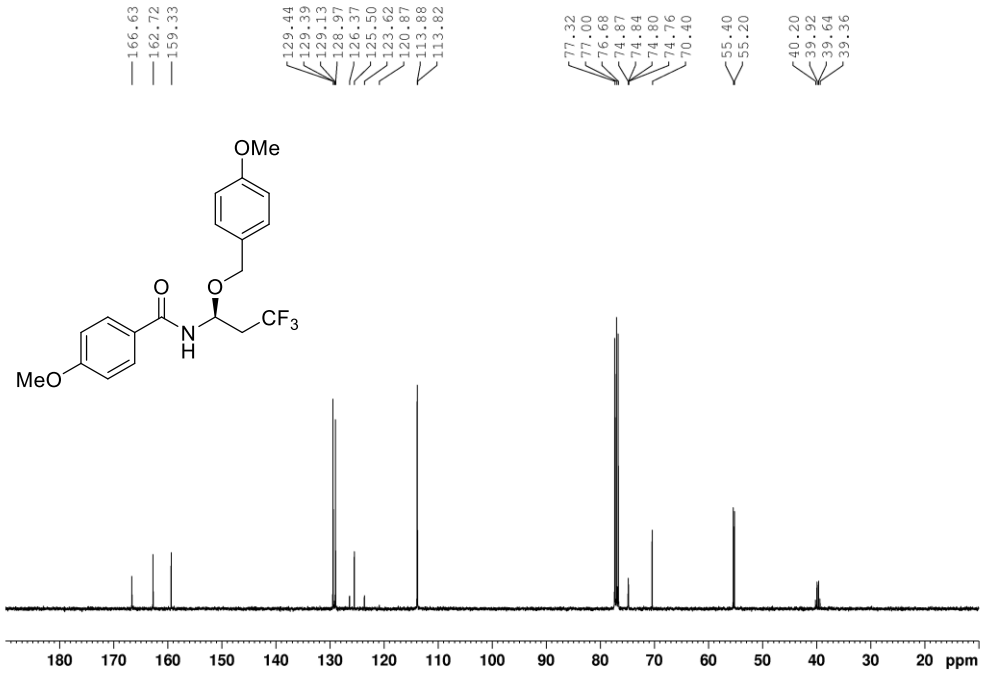
$^{19}F$ NMR of **6e** in $CDCl_3$ (376 M)



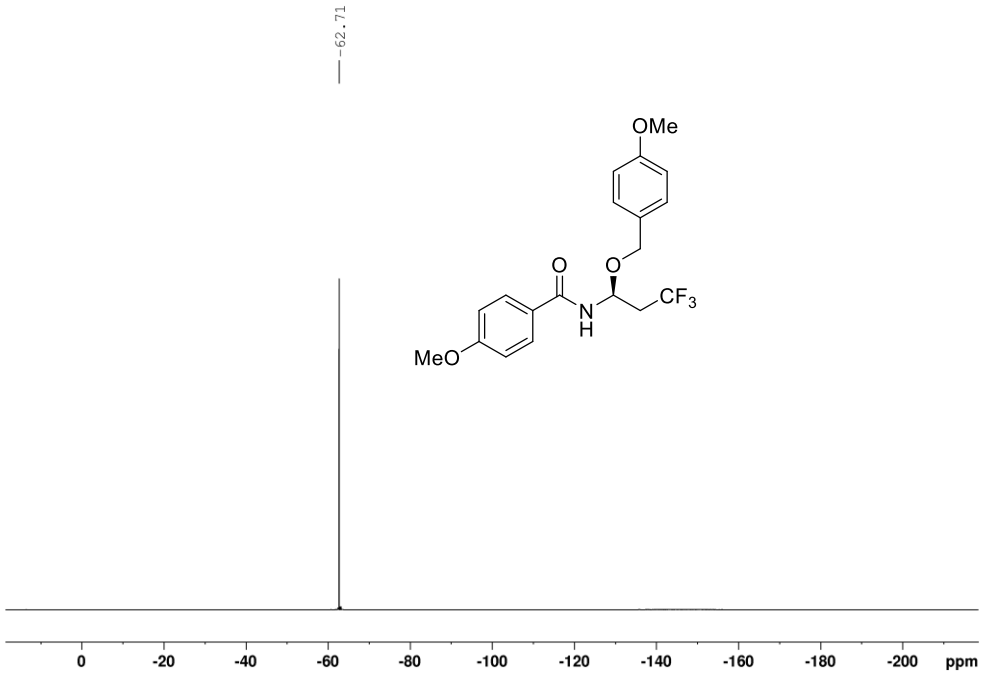

$^{1}H$ NMR of **6f** in $CDCl_3$ (400 M)



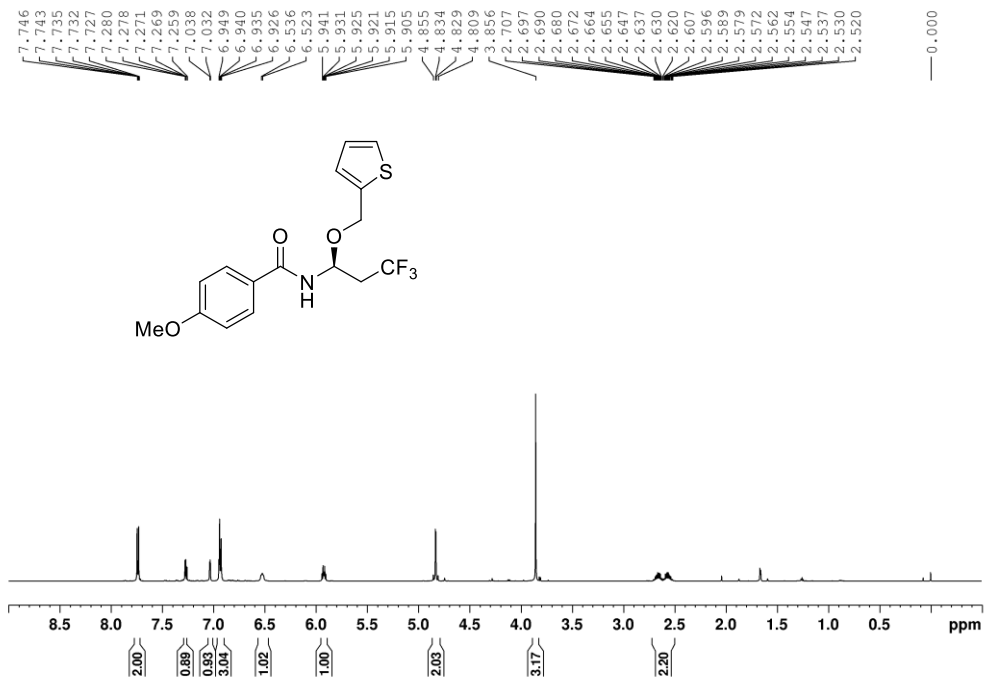
$^{13}$C NMR of **6f** in $CDCl_3$ (100 M)



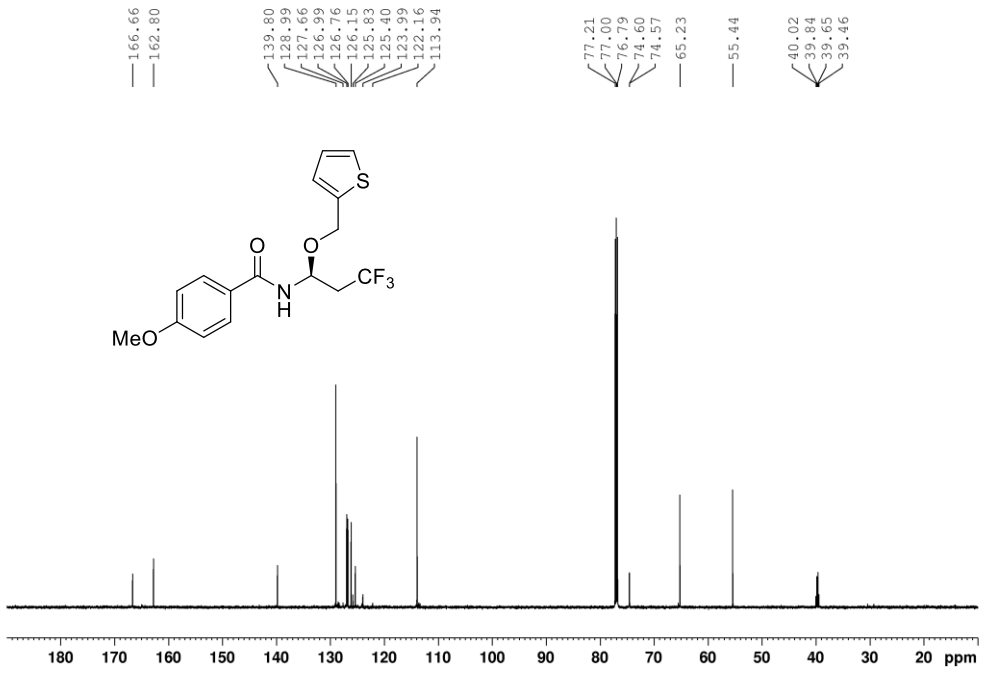

$^{19}$F NMR of **6f** in $CDCl_3$ (376 M)



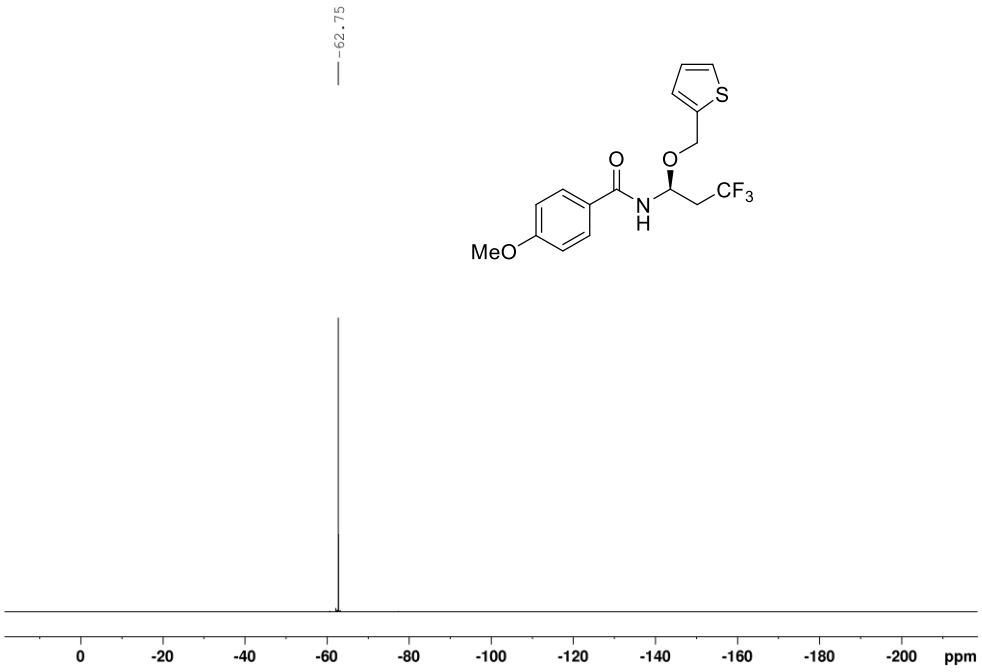
$^{1}$H NMR of **6g** in $CDCl_3$ (600 M)



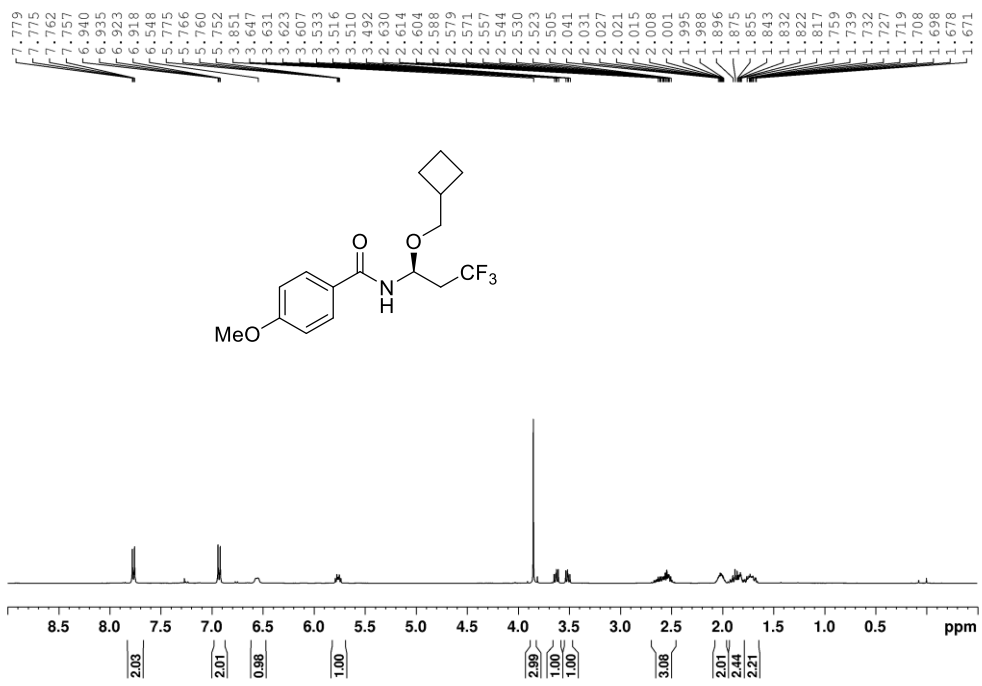

$^{13}$C NMR of **6g** in $CDCl_3$ (150 M)



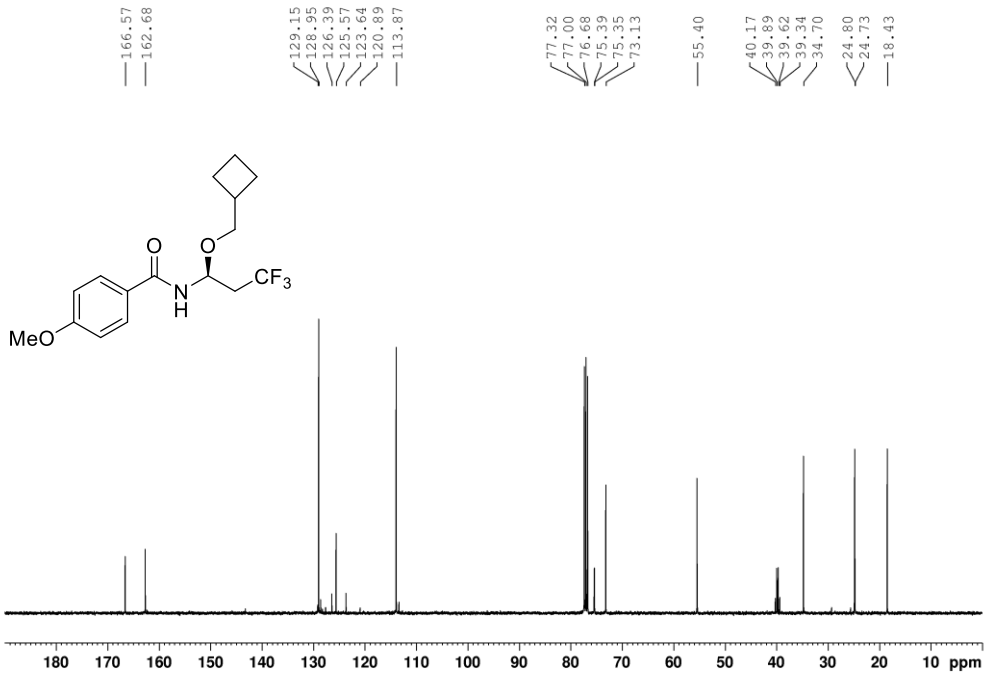
$^{19}$F NMR of **6g** in $CDCl_3$ (376 M)



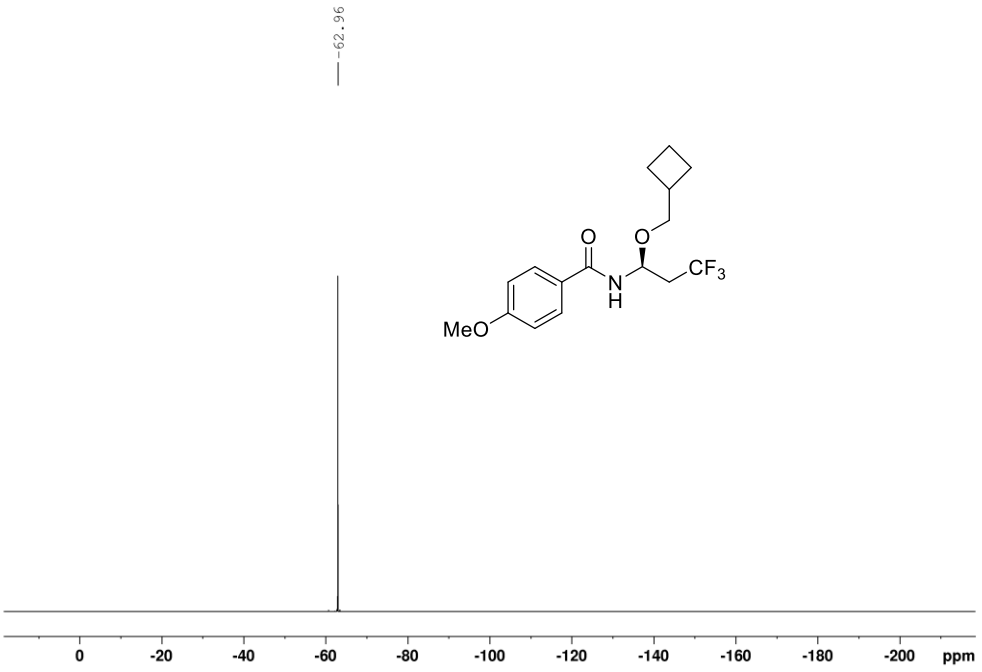

$^{1}$H NMR of **6h** in $CDCl_3$ (400 M)



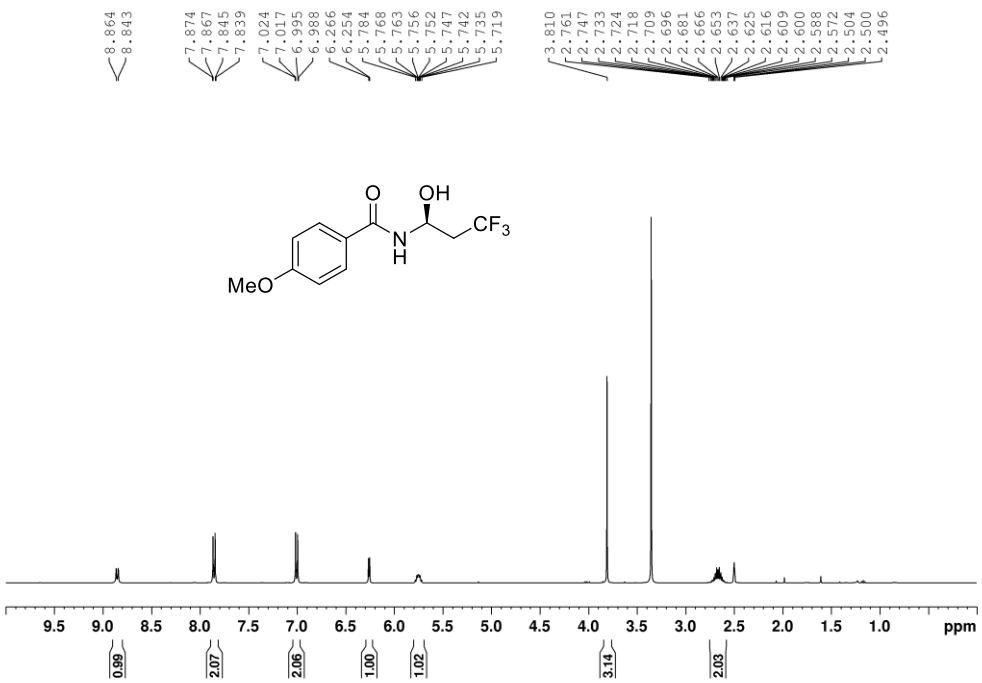
$^{13}C$ NMR of **6h** in $CDCl_3$ (100 M)



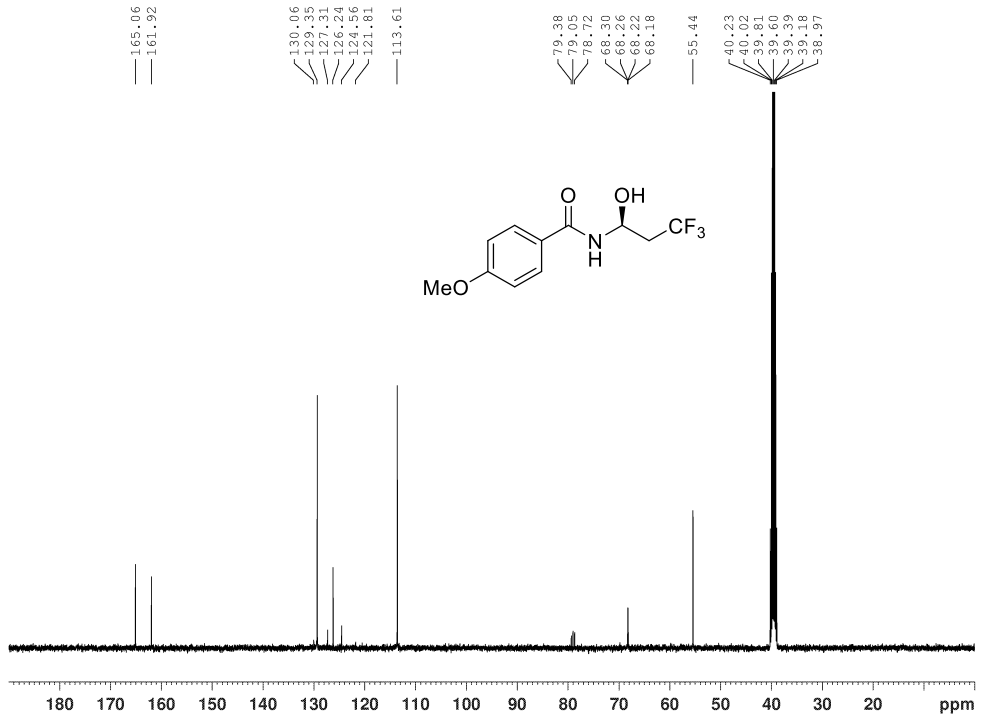

$^{19}F$ NMR of **6h** in $CDCl_3$ (376 M)



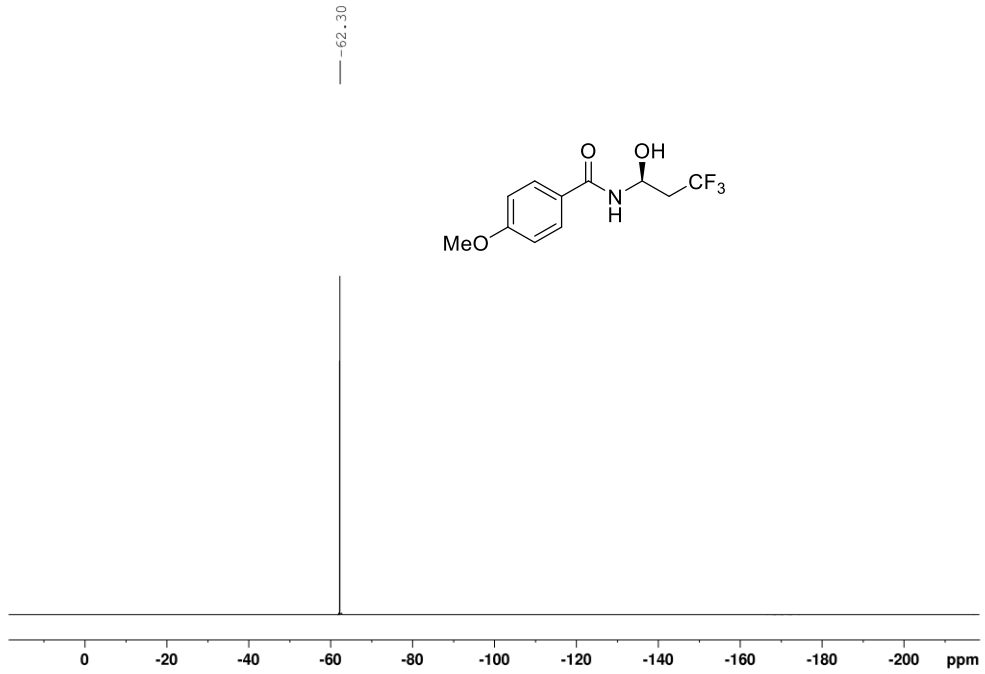
$^{1}$H NMR of **6i** in DMSO-$d_6$ (400 M)



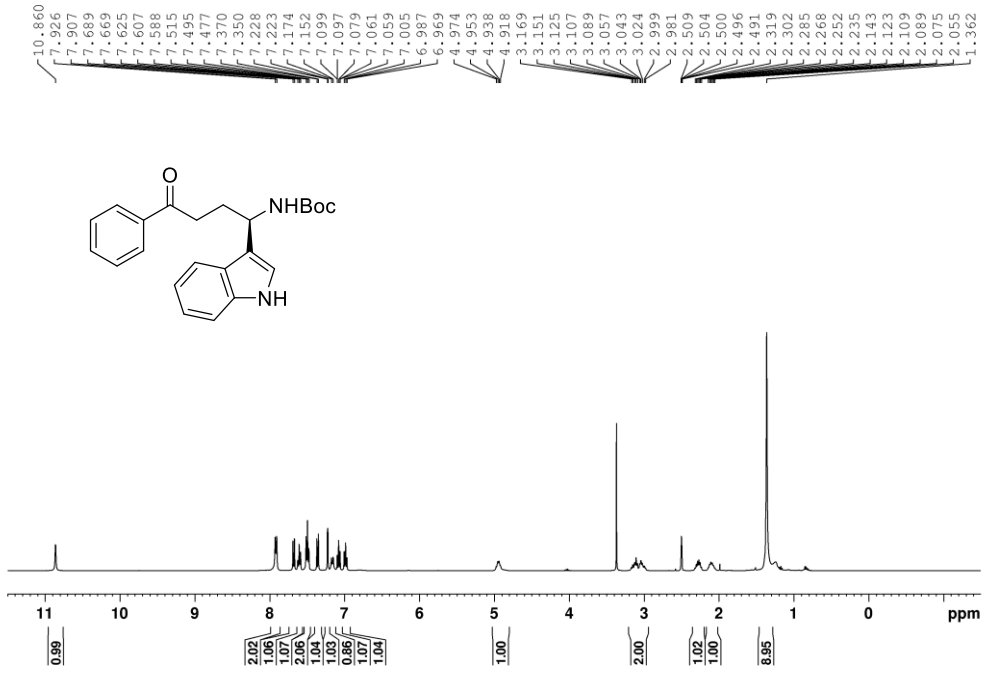

$^{13}$C NMR of **6i** in DMSO-$d_6$ (100 M)



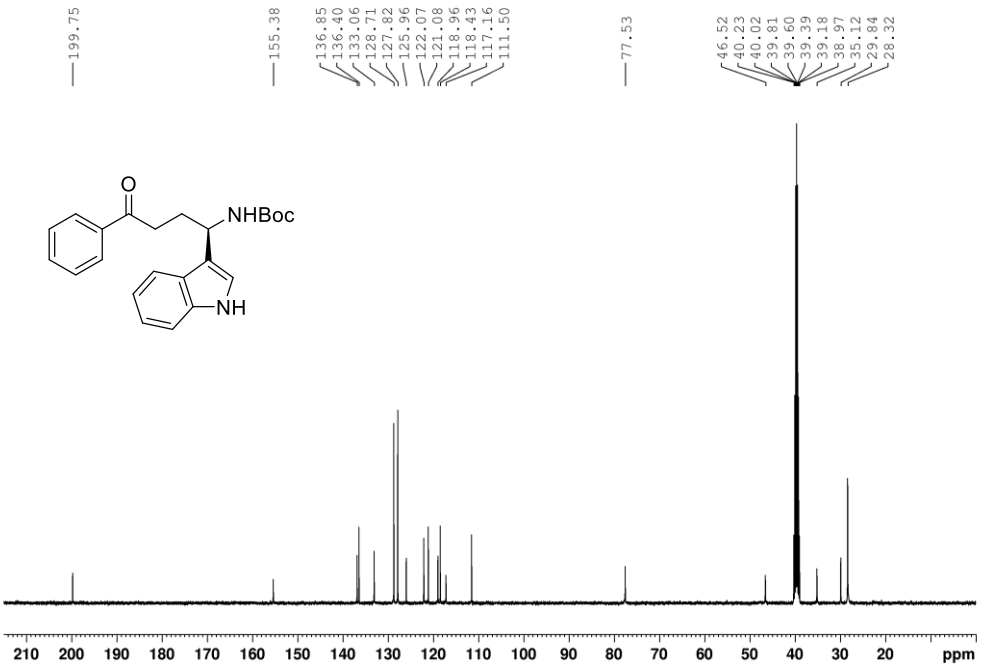
$^{19}$F NMR of **6i** in DMSO-$d_6$ (376 M)



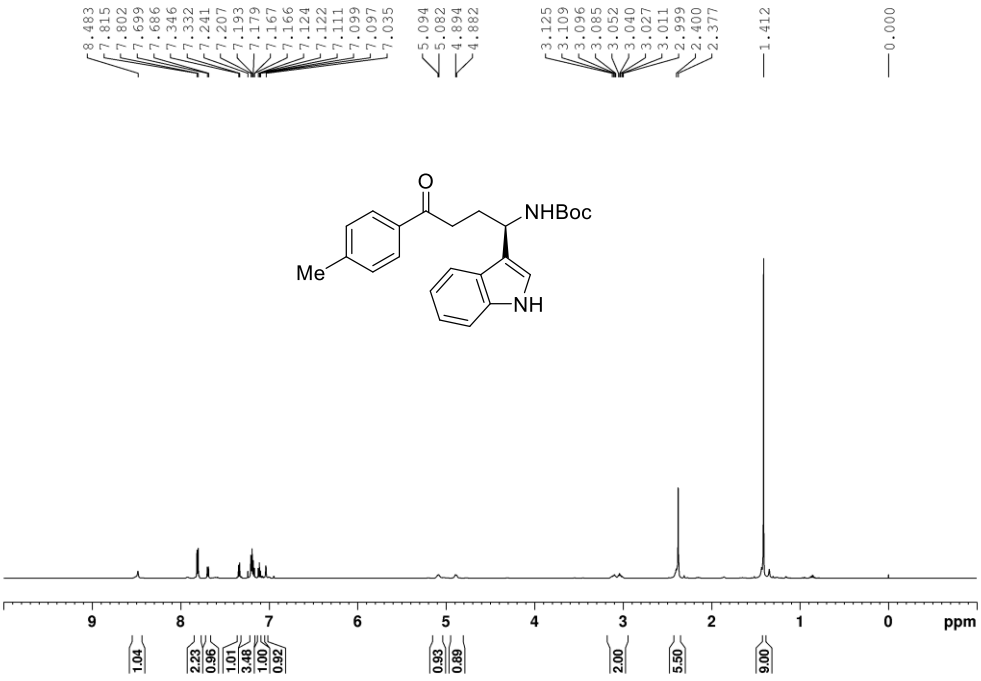

$^{1}$H NMR of **8a** in DMSO-$d_6$ (400 M)



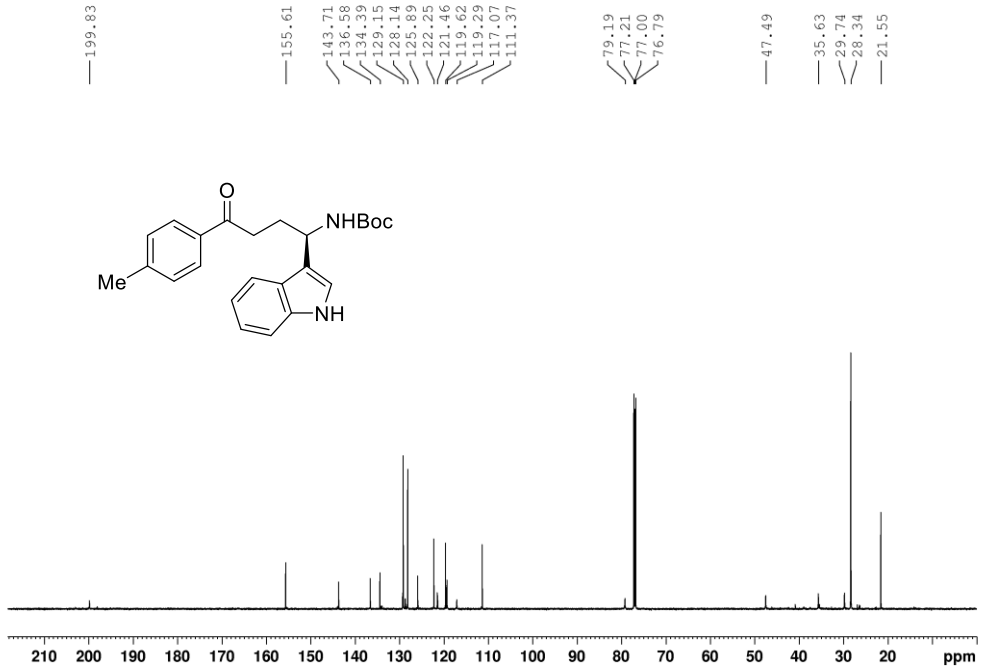
$^{13}C$ NMR of **8a** in $CDCl_3$ (100 M)



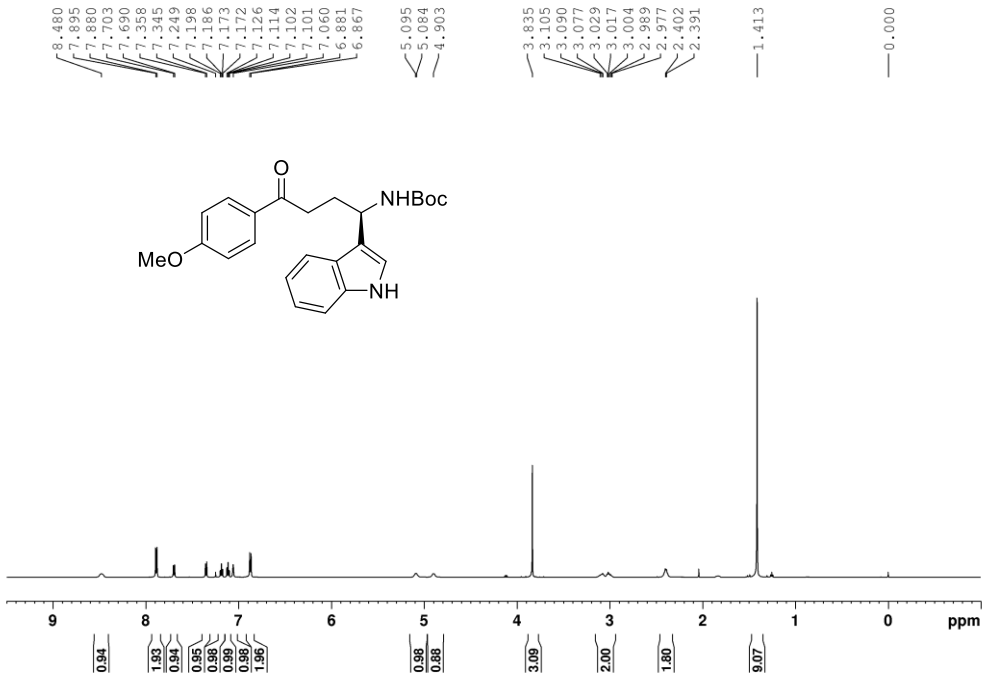

$^{1}H$ NMR of **8b** in $CDCl_3$ (600 M)



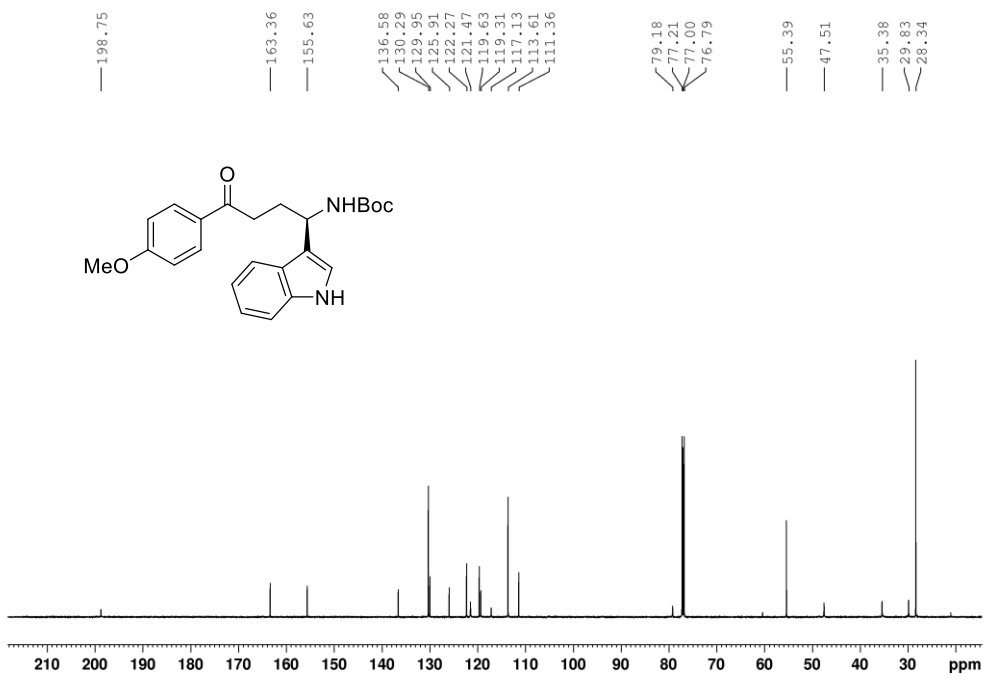
$^{13}C$ NMR of **8b** in $CDCl_3$ (150 M)



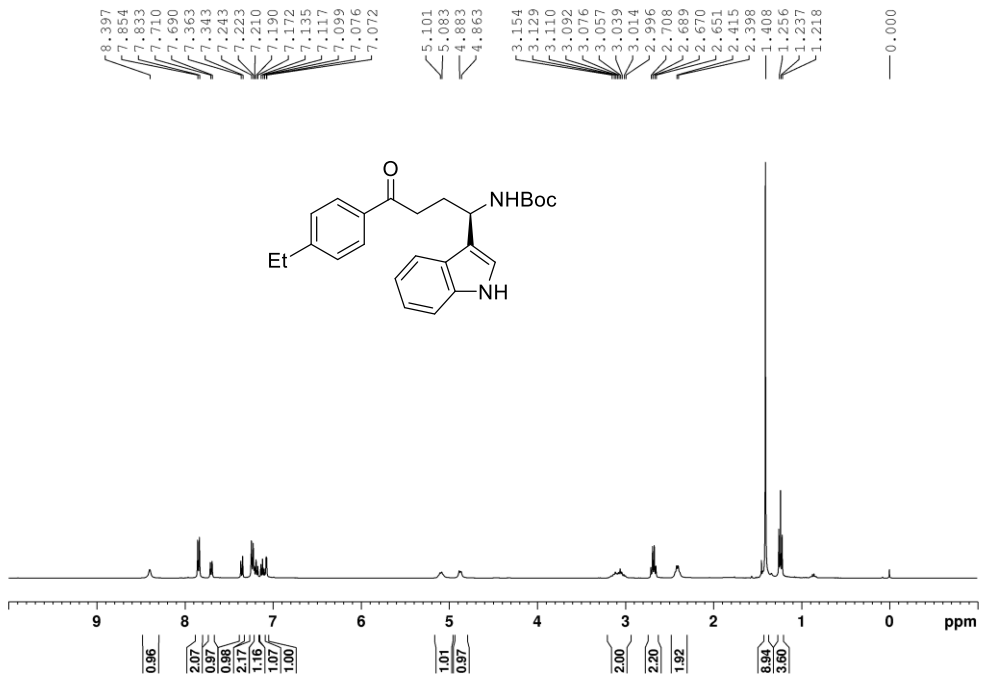

$^{1}H$ NMR of **8c** in $CDCl_3$ (600 M)



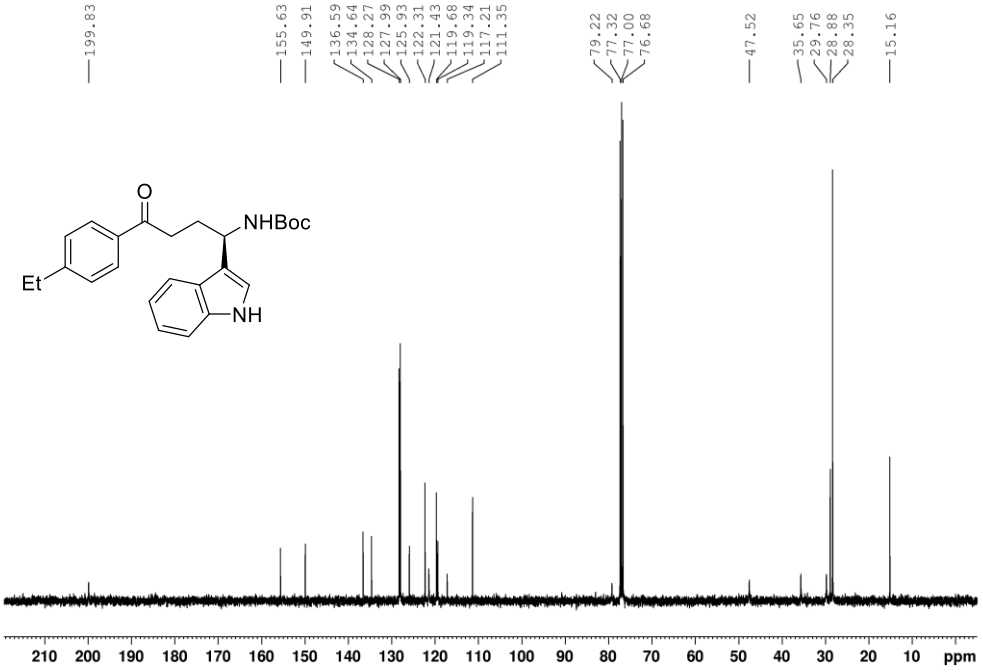
$^{13}$C NMR of **8c** in $CDCl_3$ (150 M)



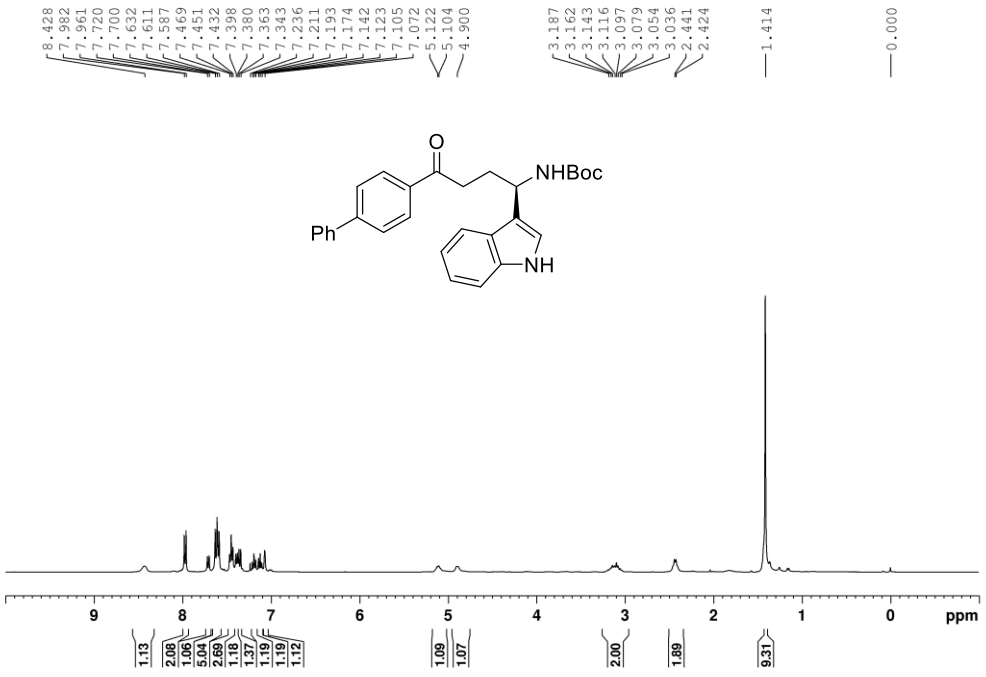

$^{1}$H NMR of **8d** in $CDCl_3$ (400 M)



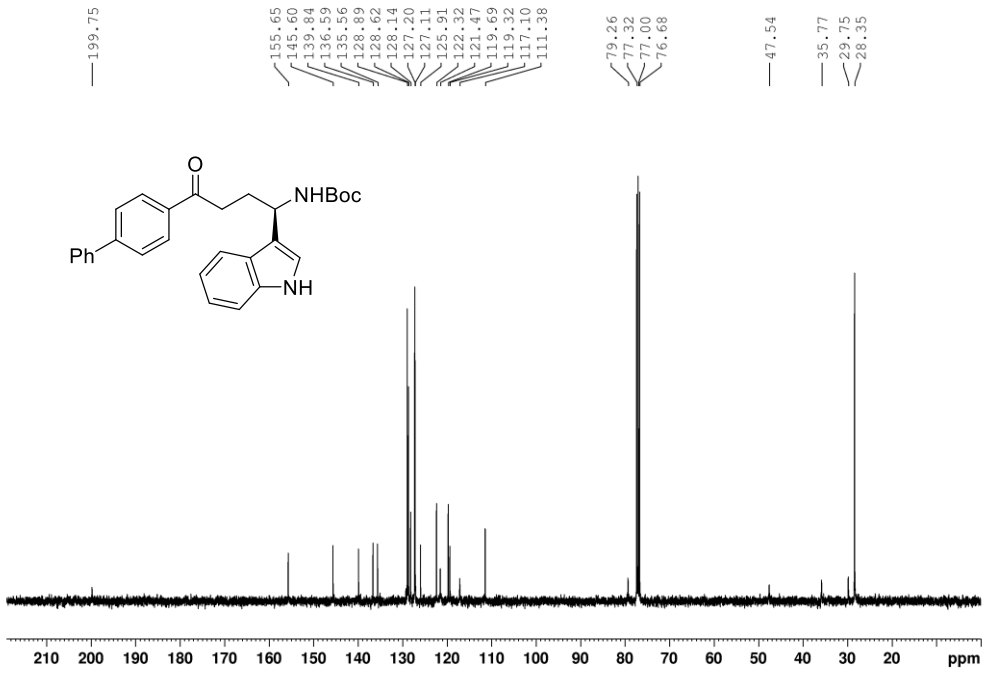
$^{13}$C NMR of **8d** in $CDCl_3$ (100 M)



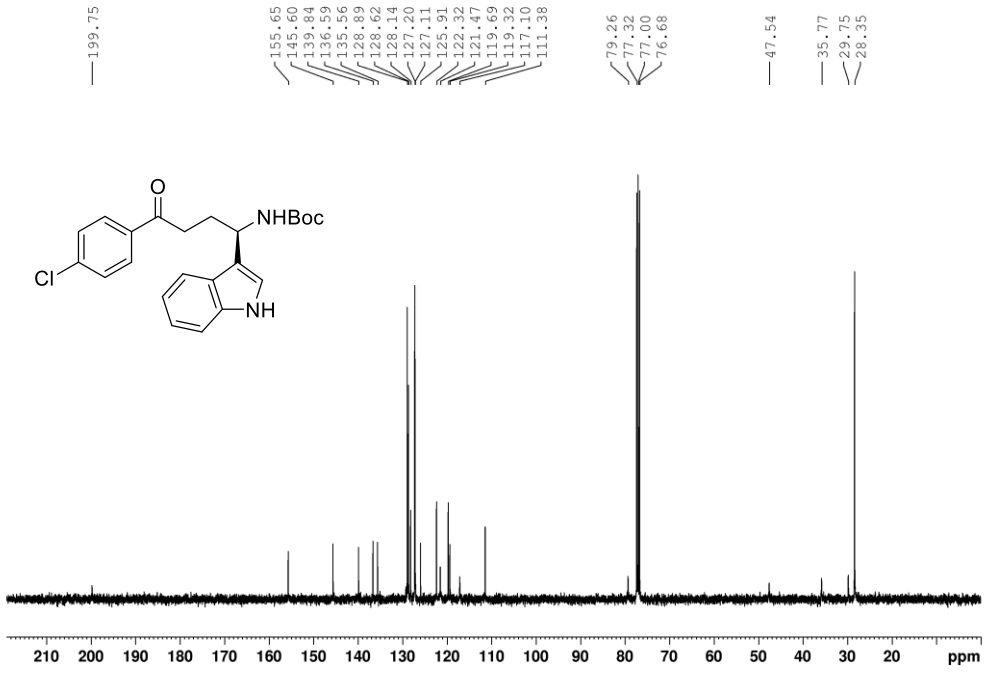

$^{1}$H NMR of **8e** in $CDCl_3$ (400 M)



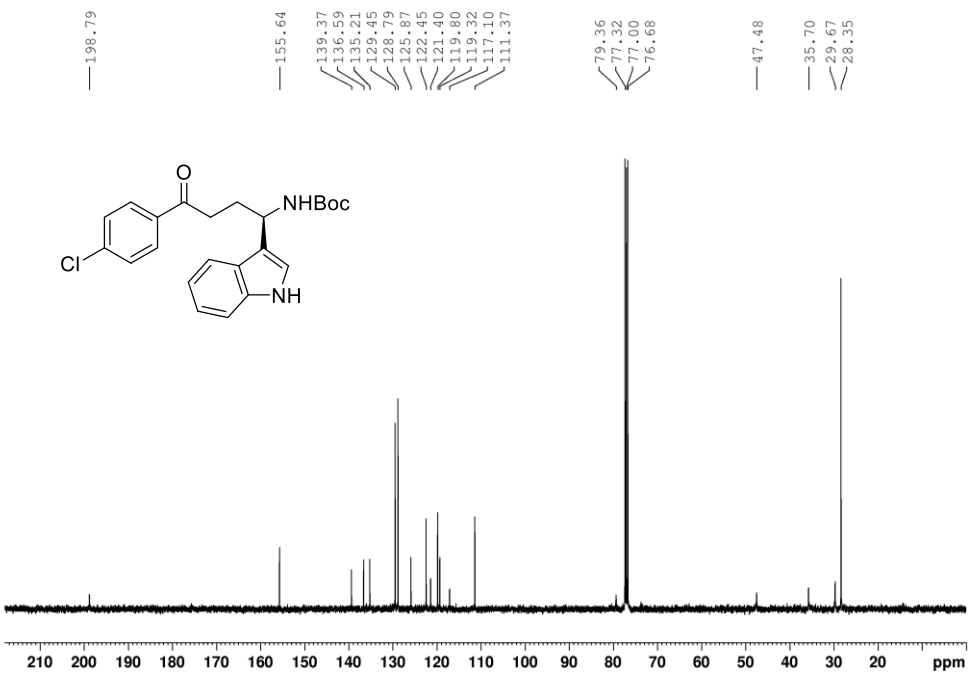
$^{13}C$ NMR of **8e** in $CDCl_3$ (100 M)



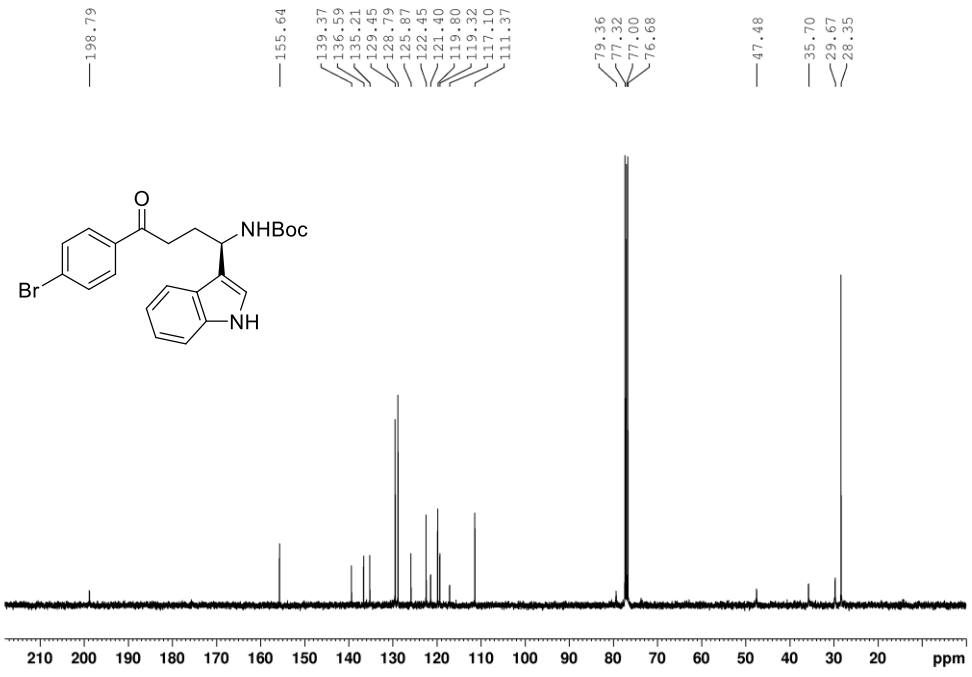

$^{1}H$ NMR of **8f** in $CDCl_3$ (400 M)



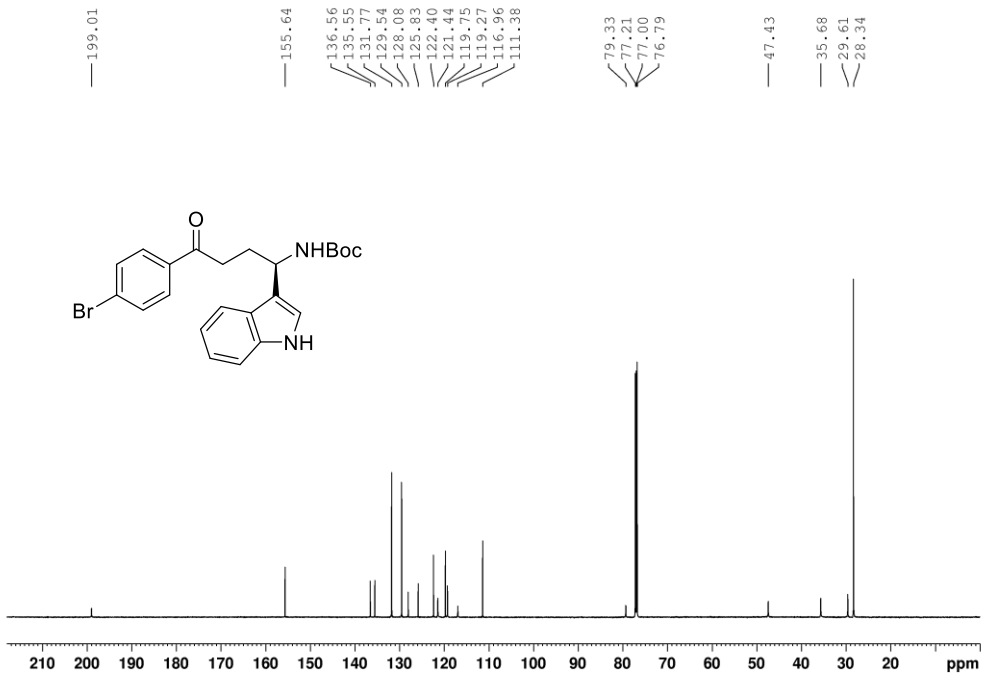
$^{13}$C NMR of **8f** in $CDCl_3$ (100 M)



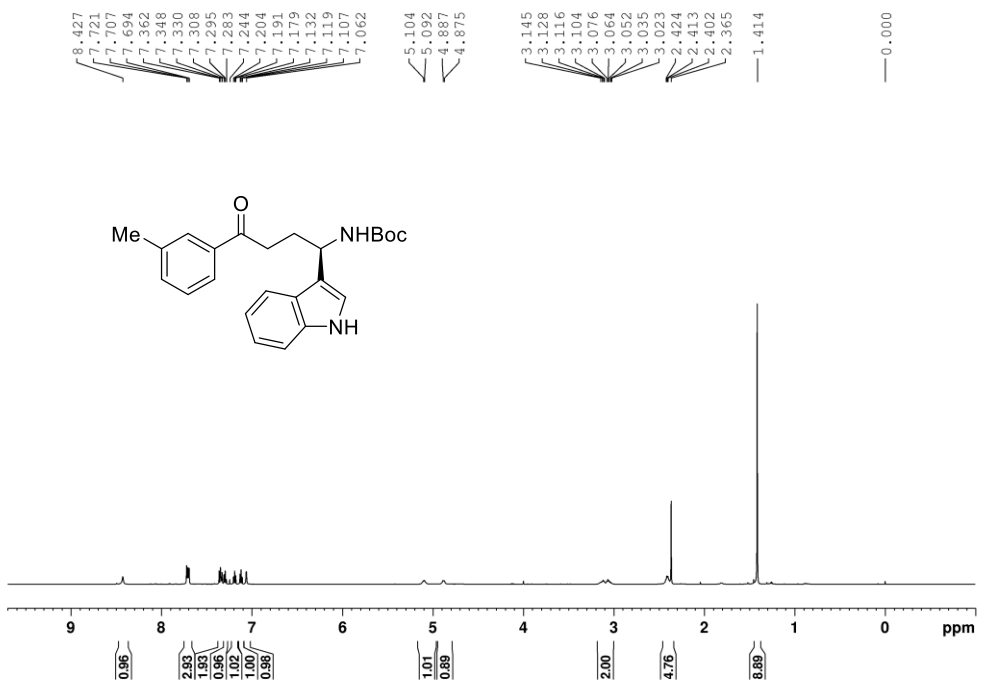

$^{1}$H NMR of **8g** in $CDCl_3$ (600 M)



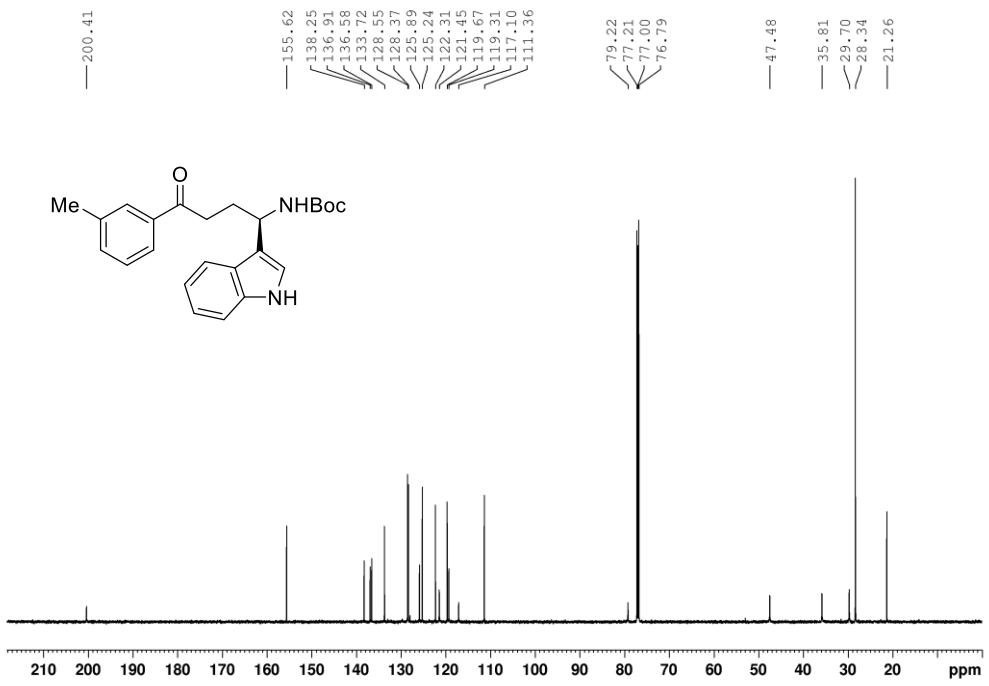
$^{13}C$ NMR of **8g** in $CDCl_3$ (150 M)



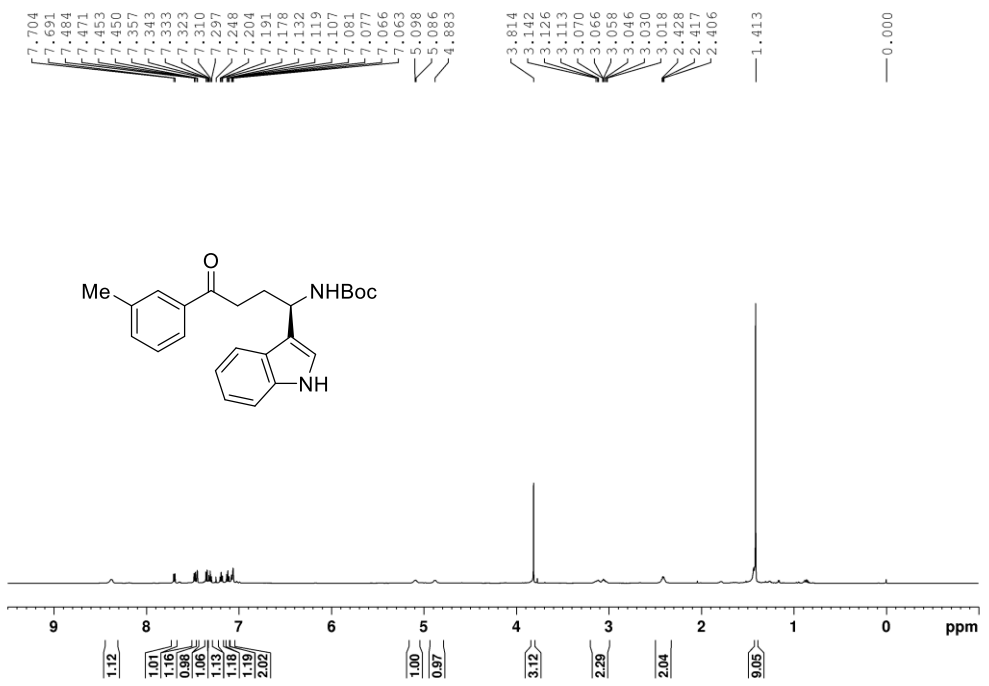

$^{1}H$ NMR of **8h** in $CDCl_3$ (600 M)



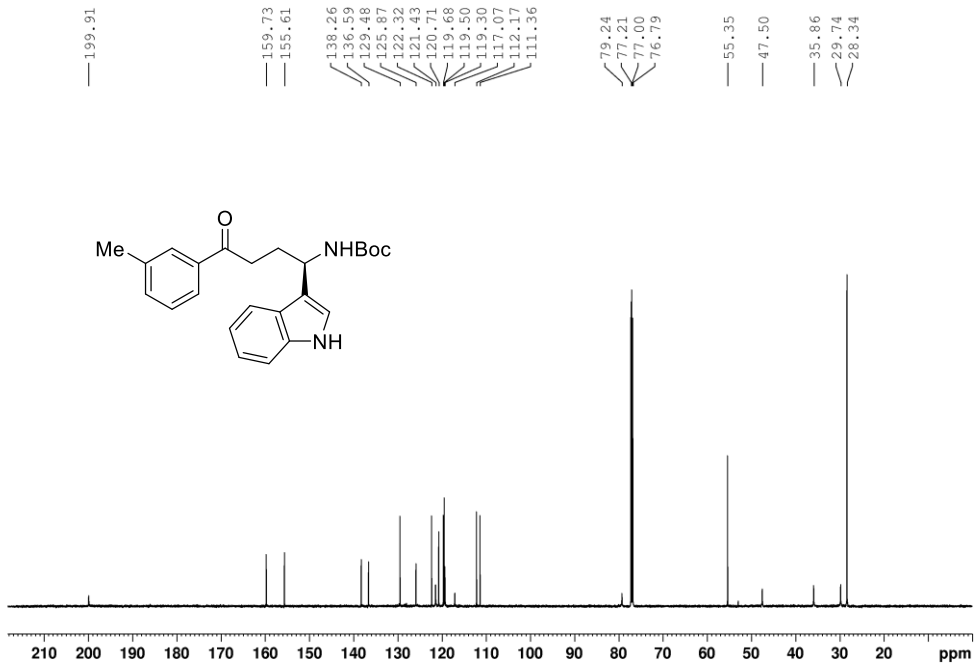
$^{13}$C NMR of **8h** in $CDCl_3$ (150 M)



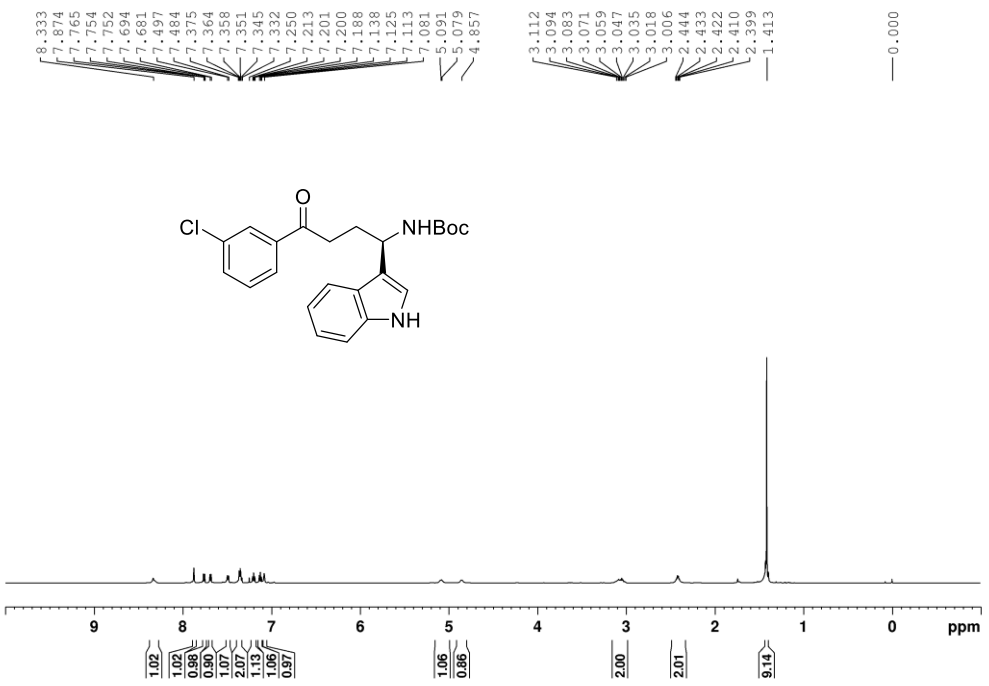

$^{1}$H NMR of **8i** in $CDCl_3$ (600 M)



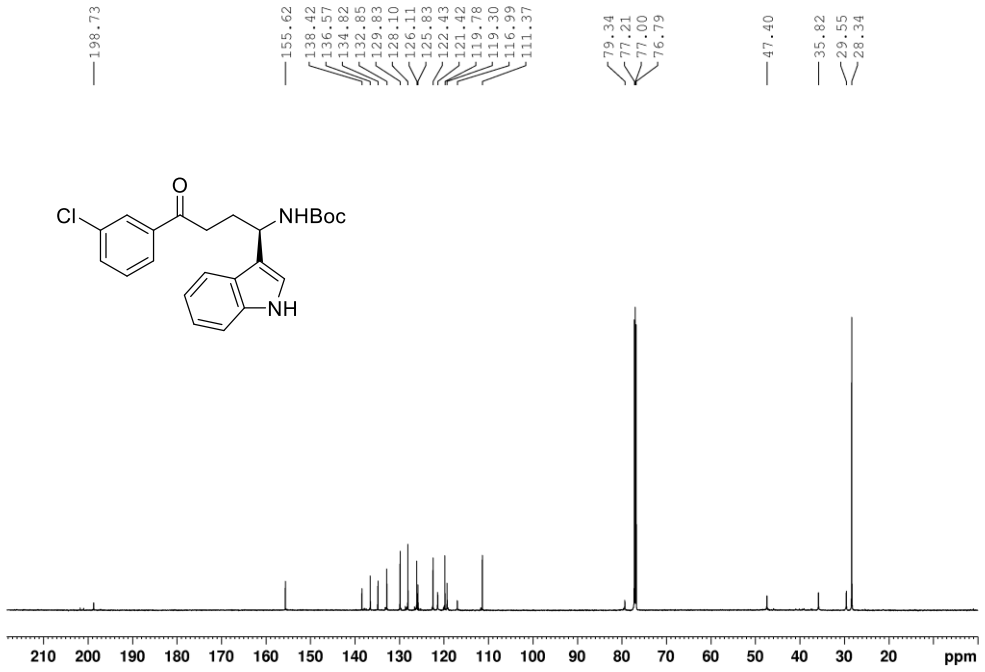
$^{13}C$ NMR of **8i** in $CDCl_3$ (150 M)



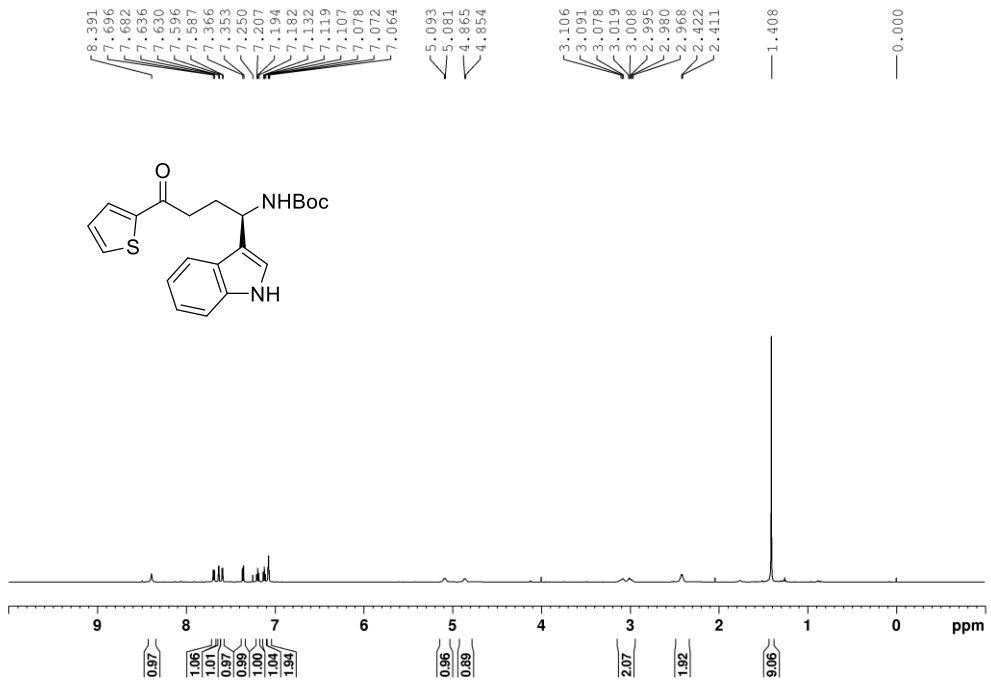

$^{1}H$ NMR of **8j** in $CDCl_3$ (600 M)



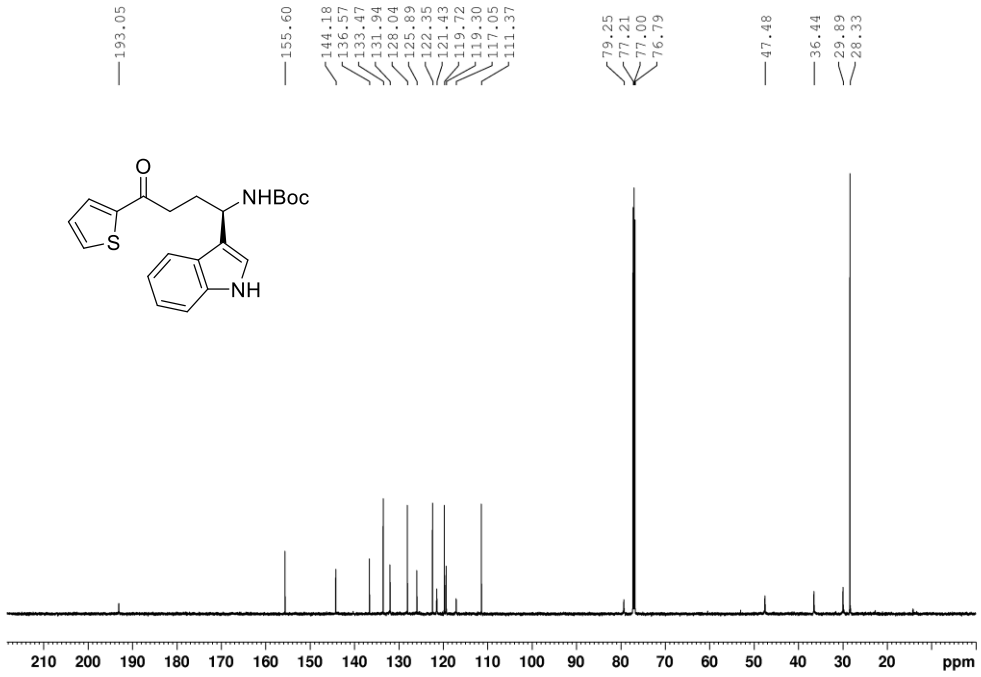
$^{13}C$ NMR of **8j** in $CDCl_3$ (150 M)



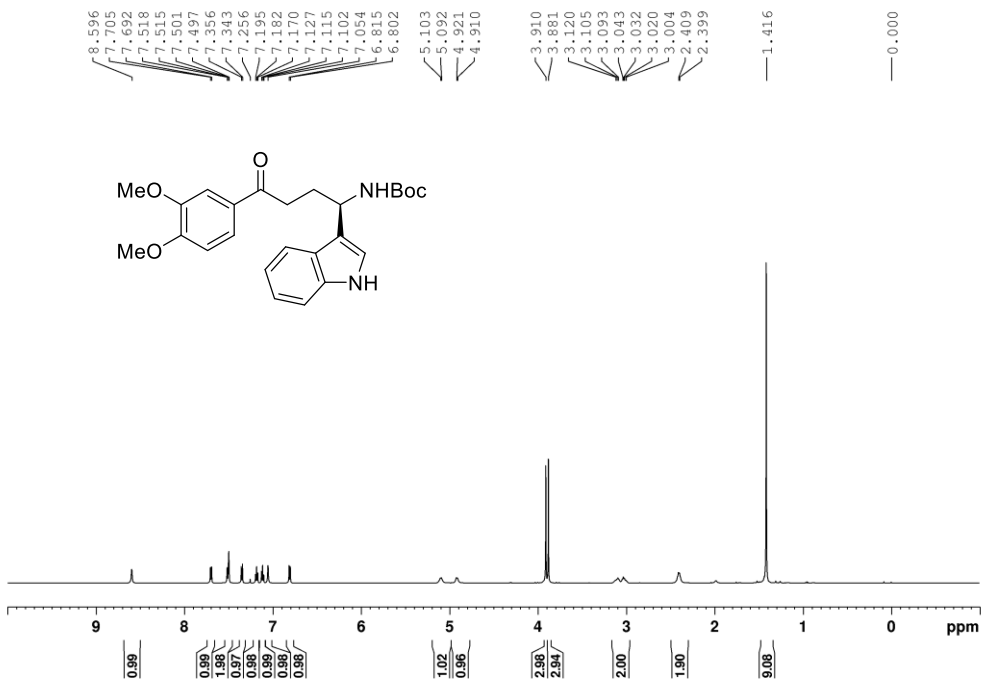

$^{1}H$ NMR of **8k** in $CDCl_3$ (600 M)



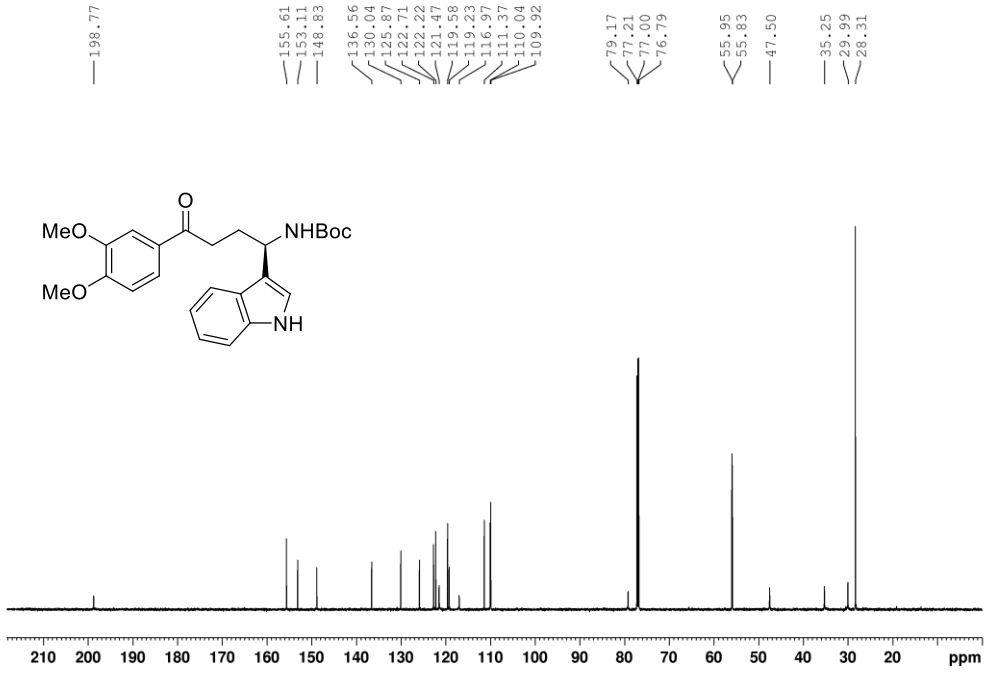
$^{13}C$ NMR of **8k** in $CDCl_3$ (150 M)



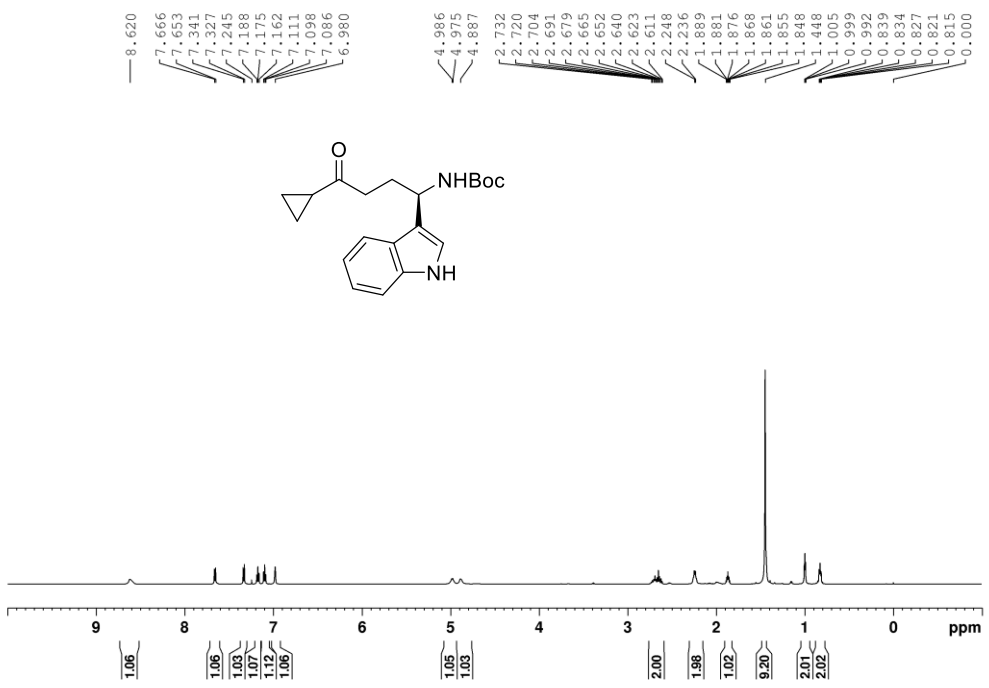

$^{1}H$ NMR of **8l** in $CDCl_3$ (600 M)



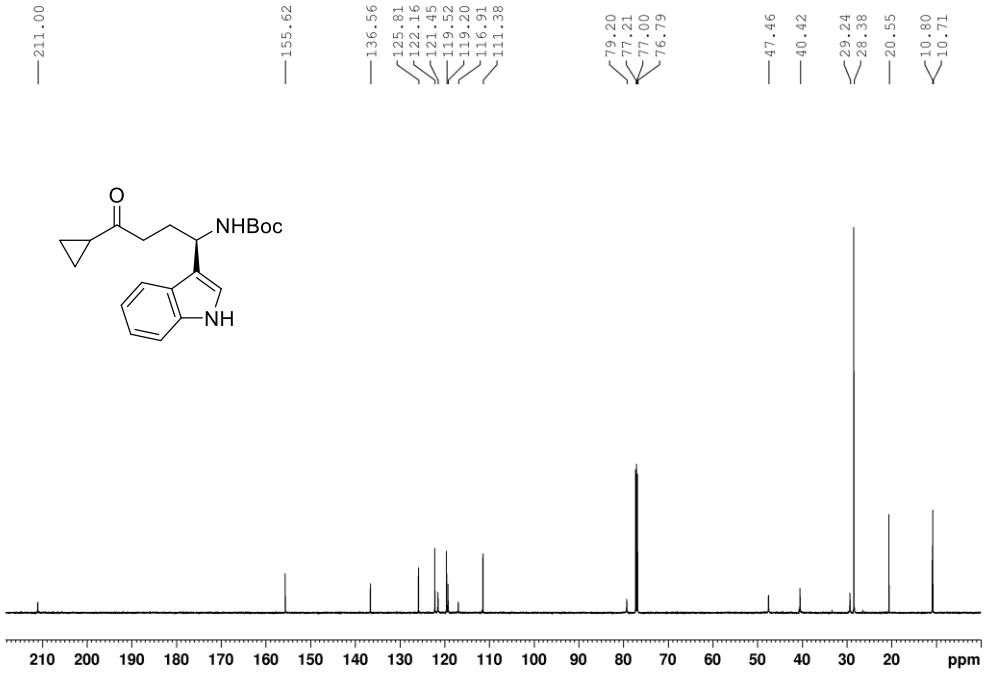
$^{13}$C NMR of **8l** in $CDCl_3$ (150 M)



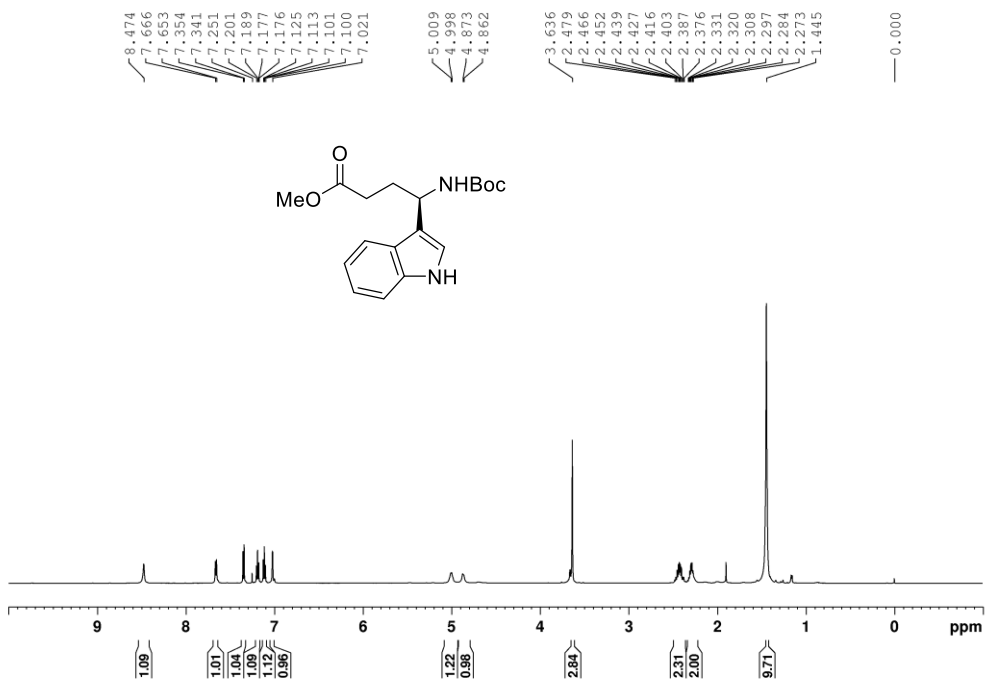

$^{1}$H NMR of **8m** in $CDCl_3$ (600 M)



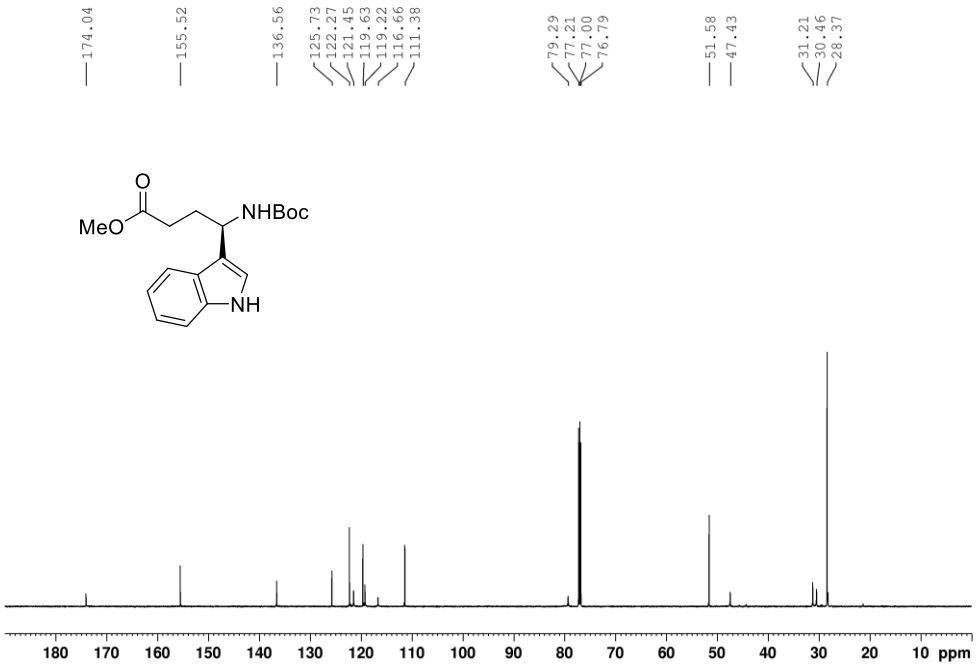
$^{13}$C NMR of **8m** in $CDCl_3$ (150 M)



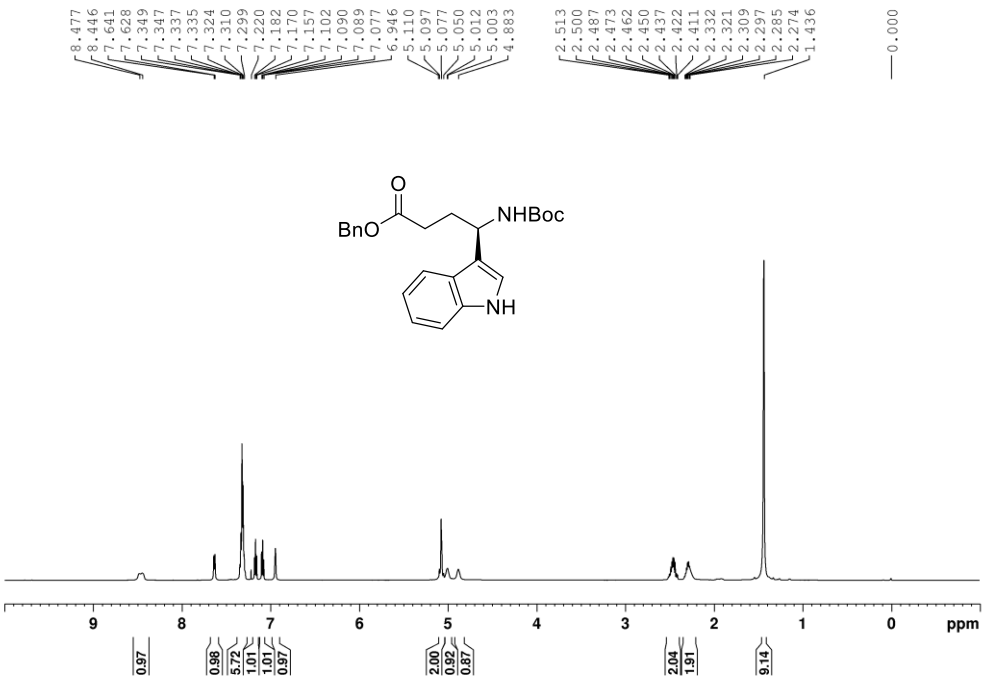

$^{1}$H NMR of **8n** in $CDCl_3$ (600 M)



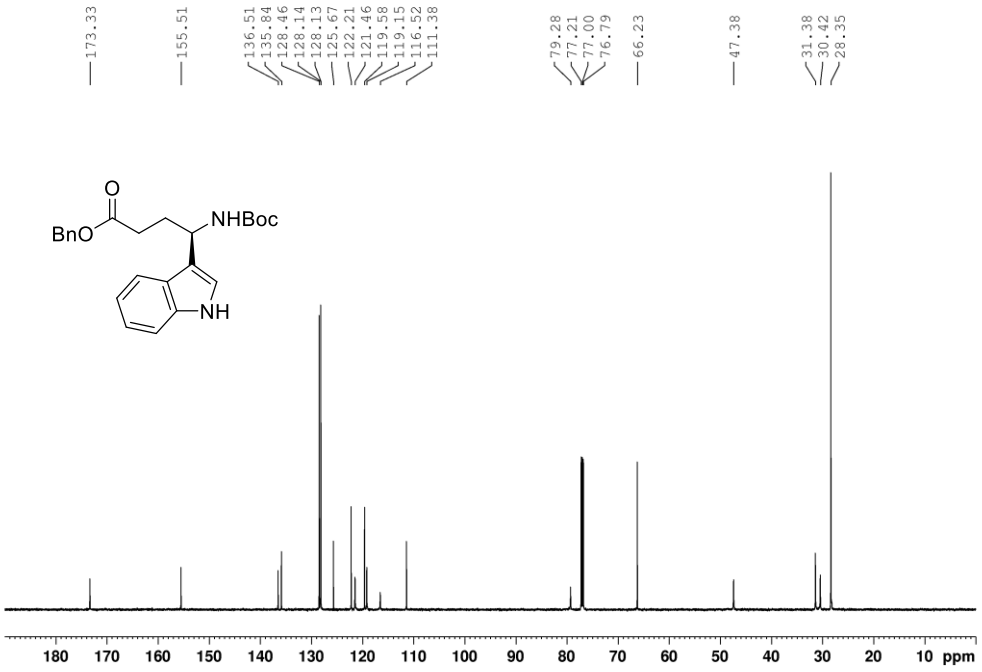
$^{13}$C NMR of **8n** in $CDCl_3$ (150 M)



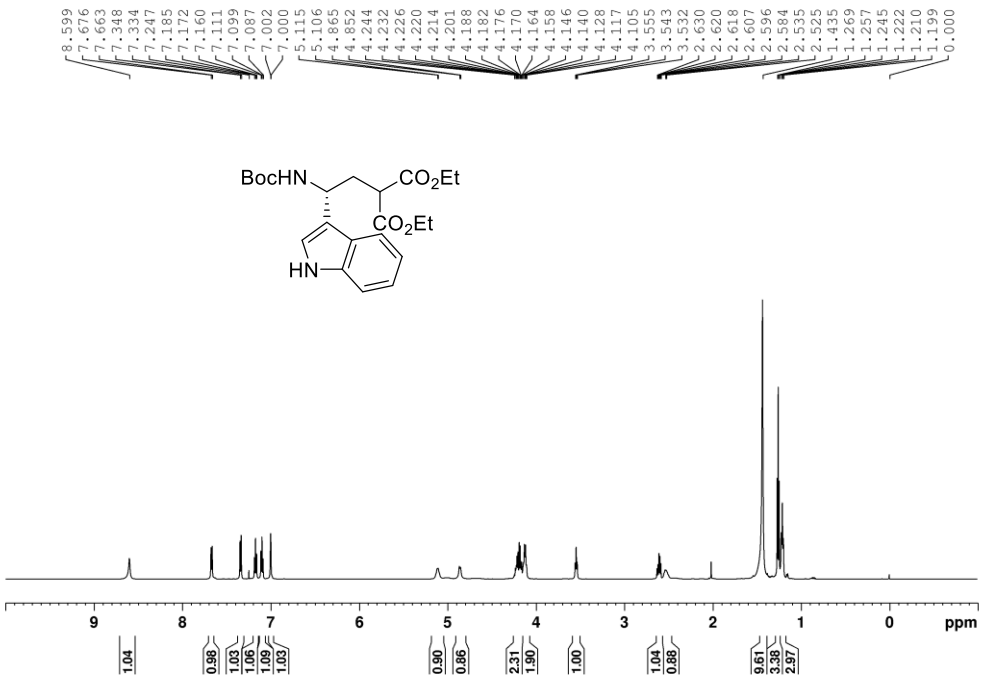

$^{1}$H NMR of **8o** in $CDCl_3$ (600 M)



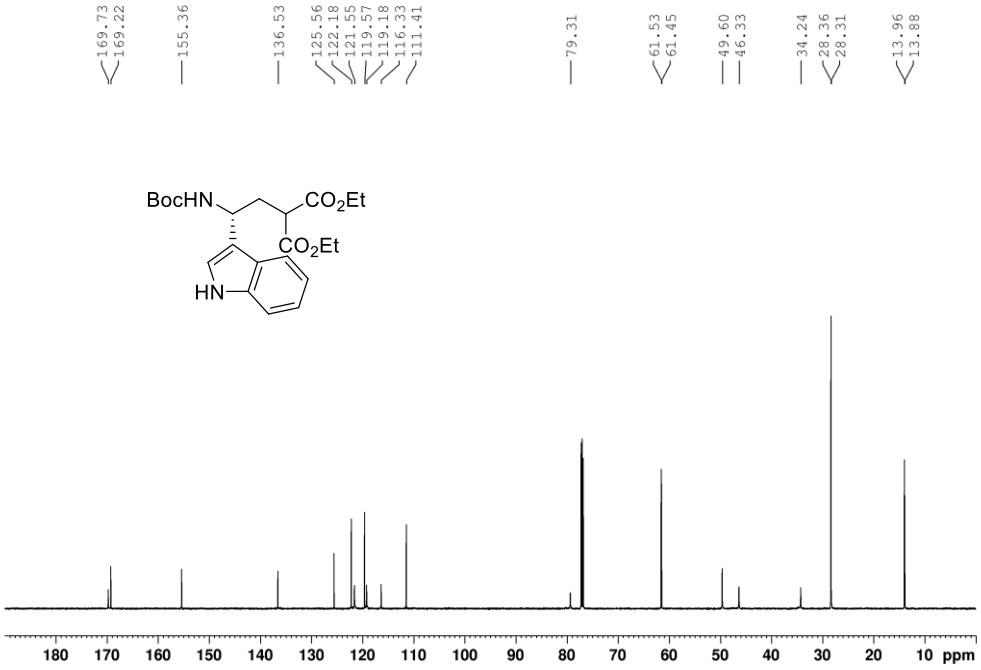
$^{13}C$ NMR of **8o** in $CDCl_3$ (150 M)



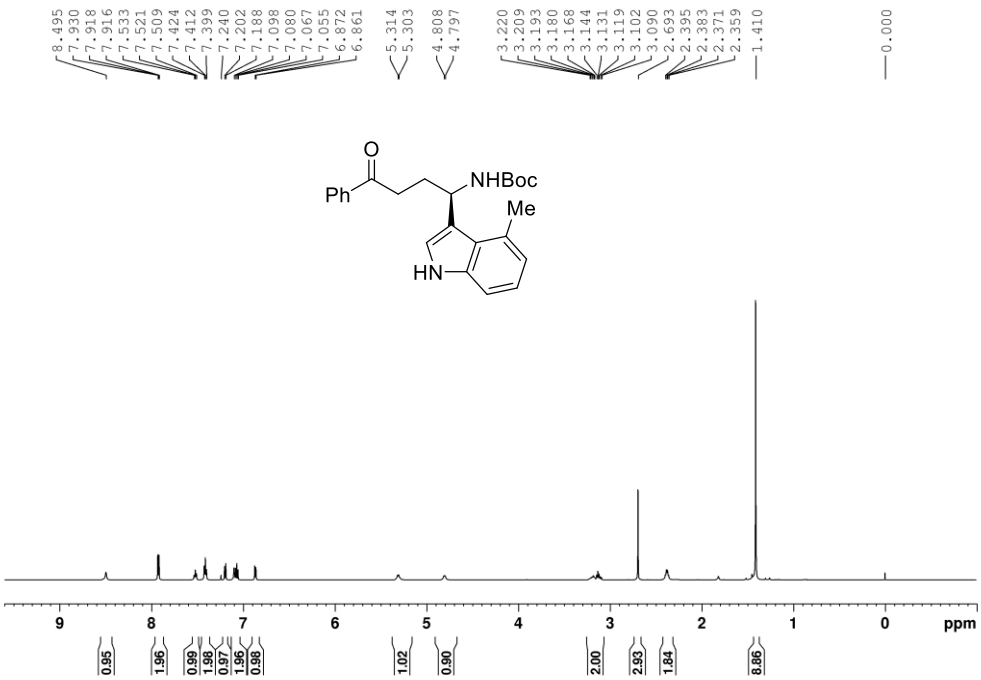

$^{1}H$ NMR of **8p** in $CDCl_3$ (600 M)



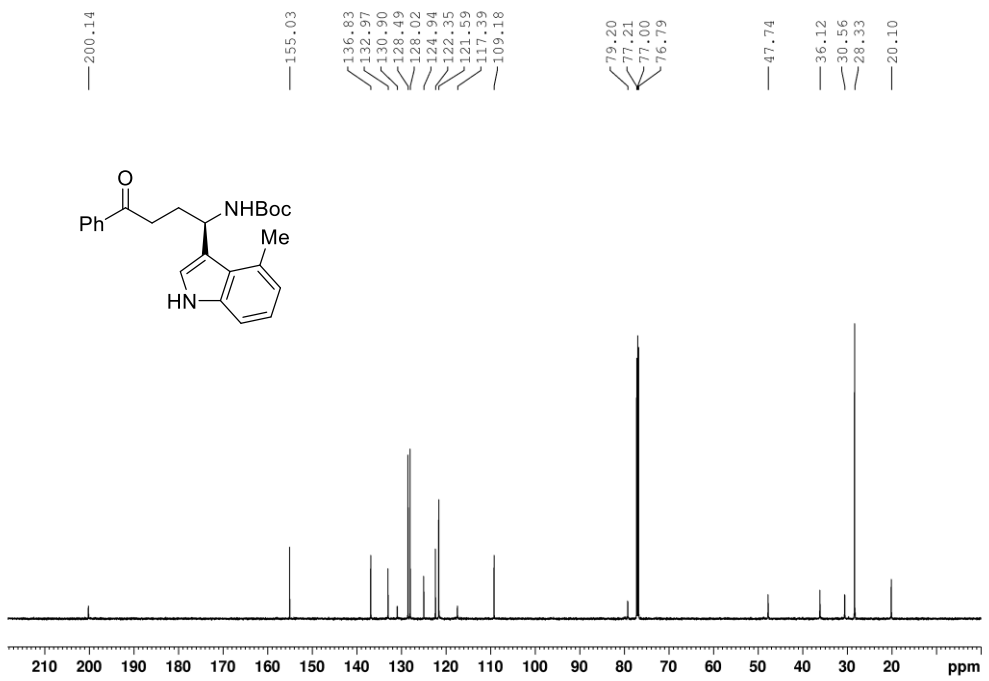
$^{13}$C NMR of **8p** in $CDCl_3$ (150 M)



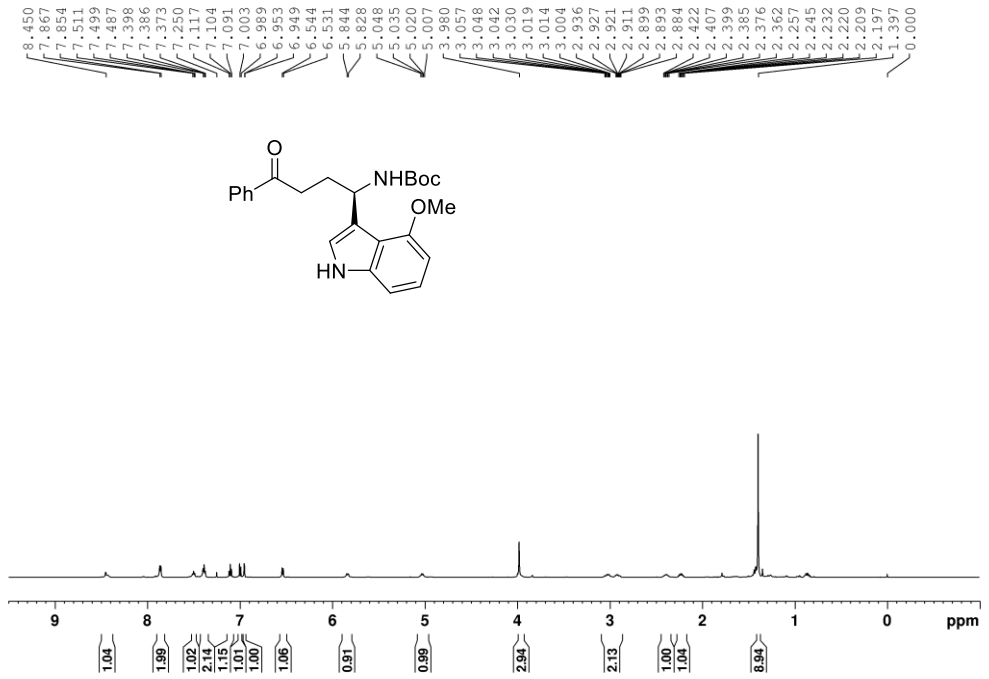

$^{1}$H NMR of **8q** in $CDCl_3$ (600 M)



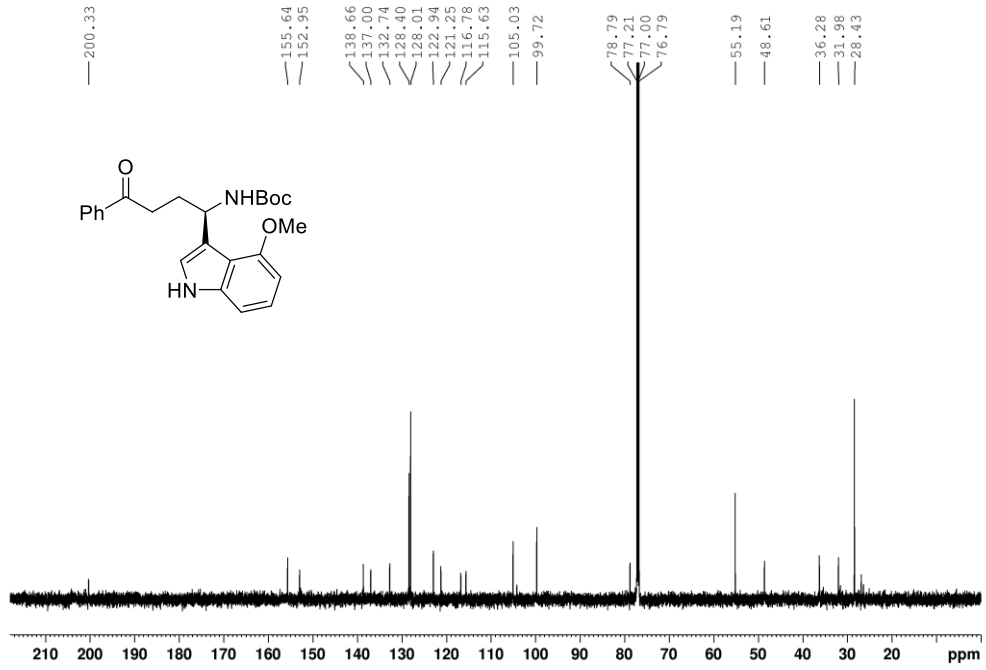
$^{13}$C NMR of **8q** in $CDCl_3$ (150 M)



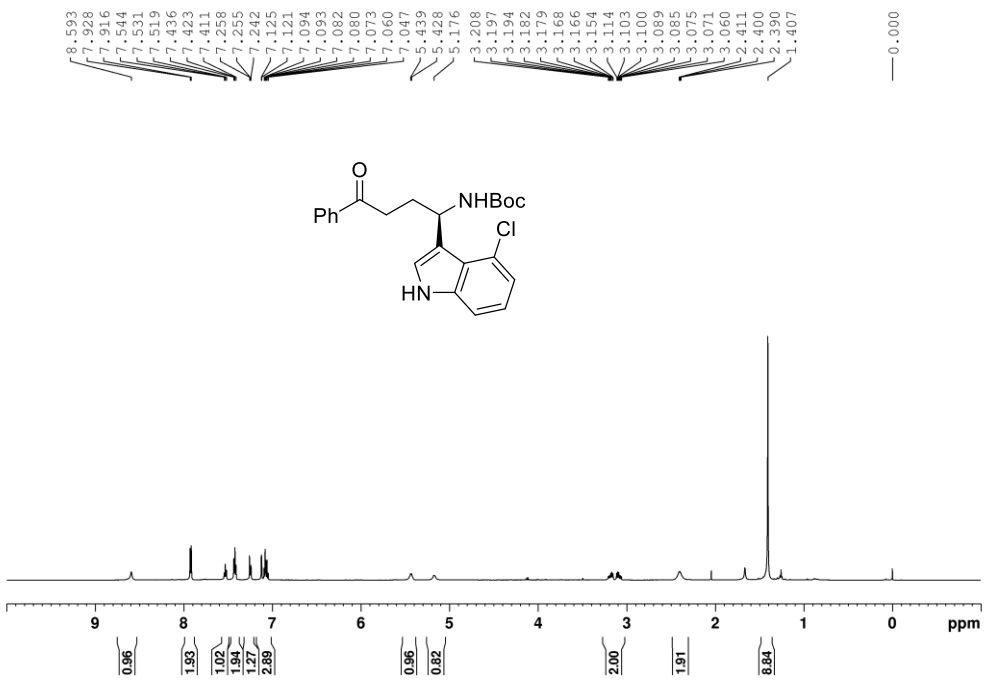

$^{1}$H NMR of **8r** in $CDCl_3$ (600 M)



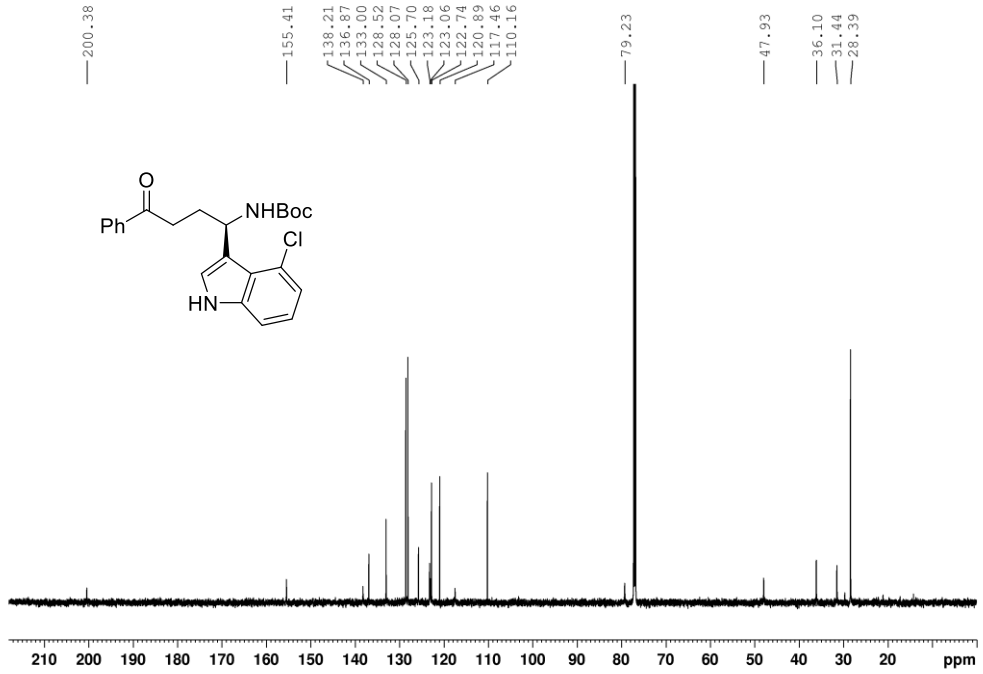
$^{13}$C NMR of **8r** in $CDCl_3$ (150 M)



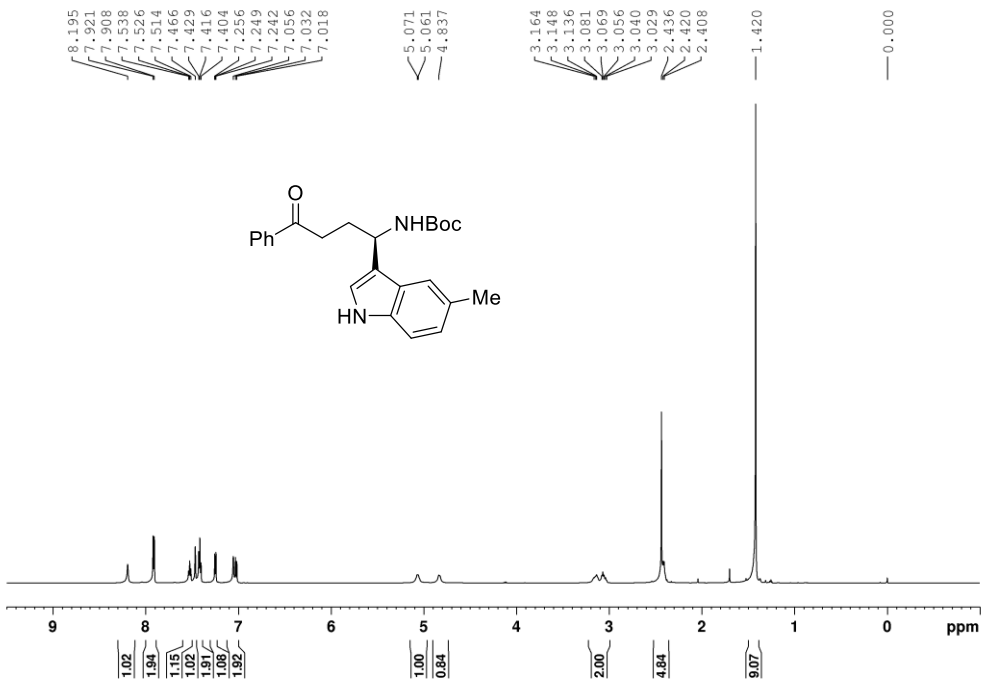

$^{1}$H NMR of **8s** in $CDCl_3$ (600 M)



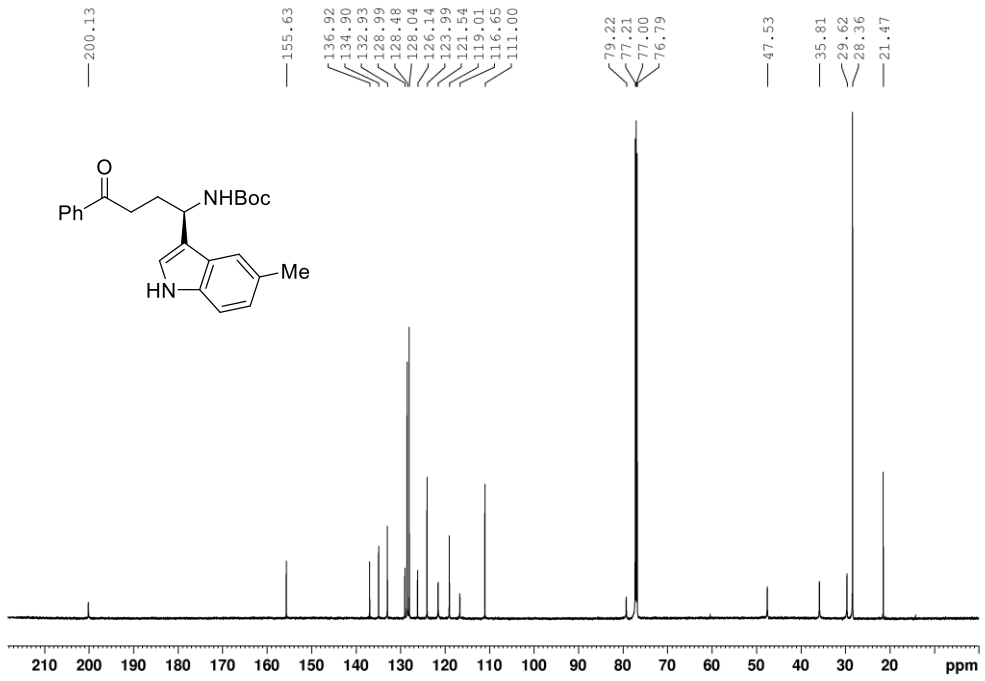
$^{13}$C NMR of **8s** in $CDCl_3$ (150 M)



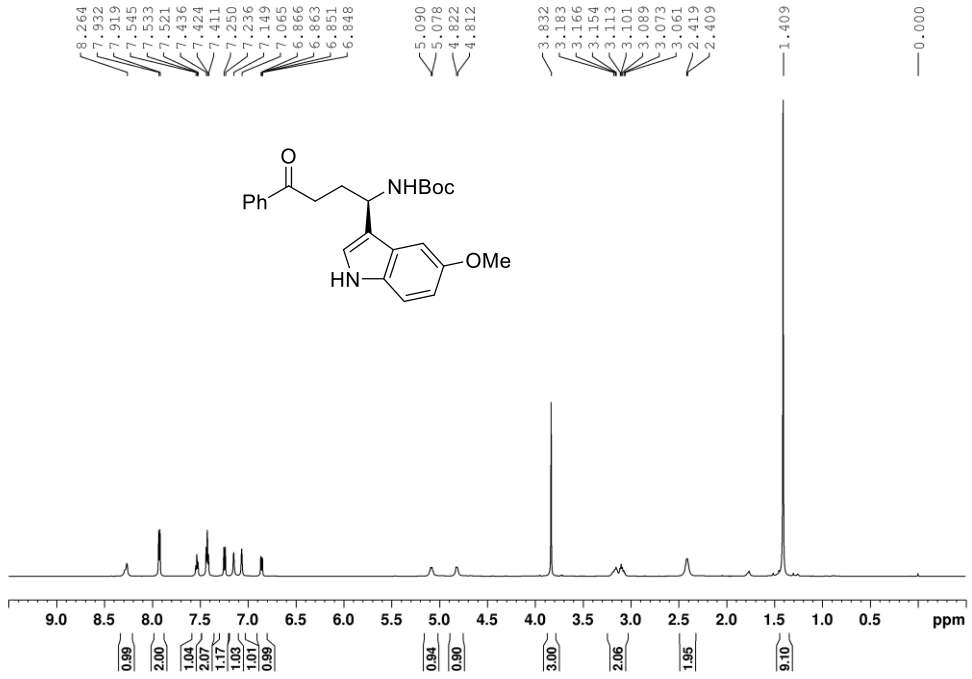

$^{1}$H NMR of **8t** in $CDCl_3$ (600 M)



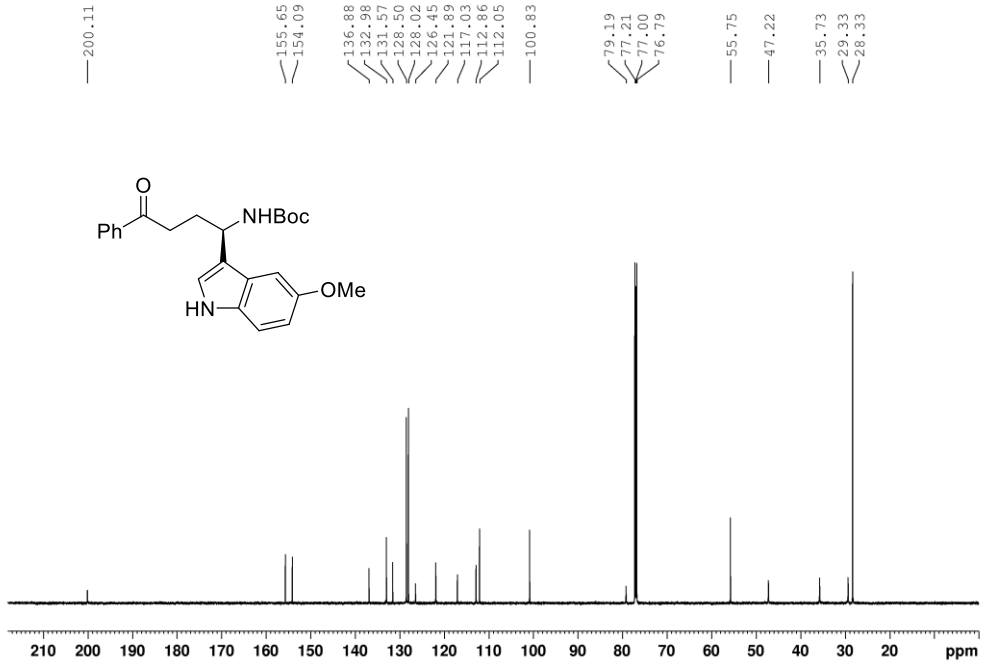
$^{13}$C NMR of **8t** in $CDCl_3$ (150 M)



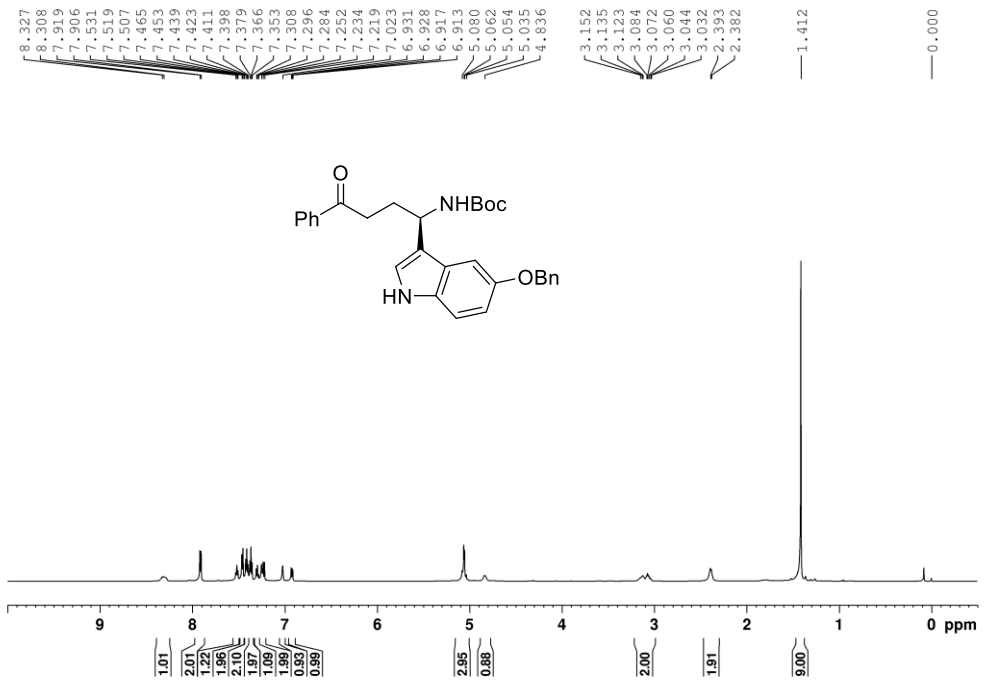

$^{1}$H NMR of **8u** in $CDCl_3$ (600 M)



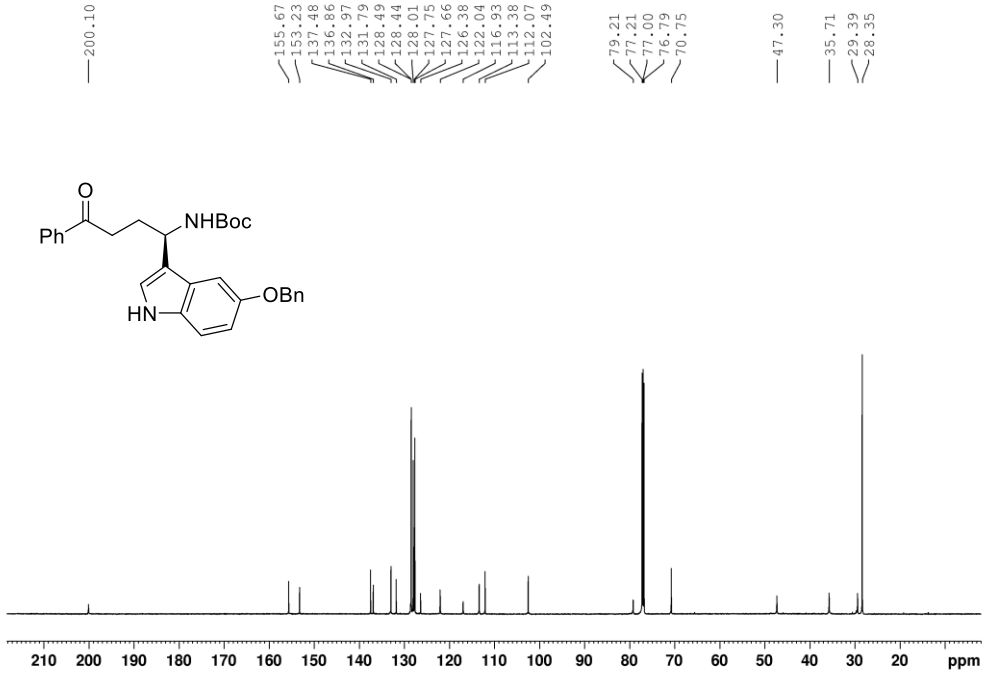
$^{13}$C NMR of **8u** in $CDCl_3$ (150 M)



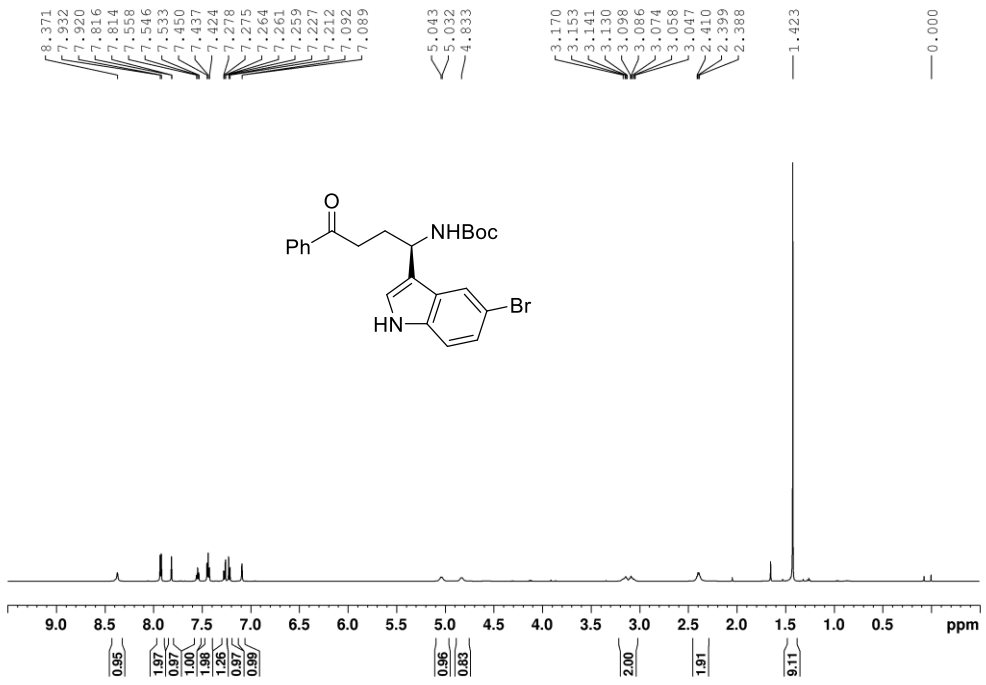

$^{1}$H NMR of **8v** in $CDCl_3$ (600 M)



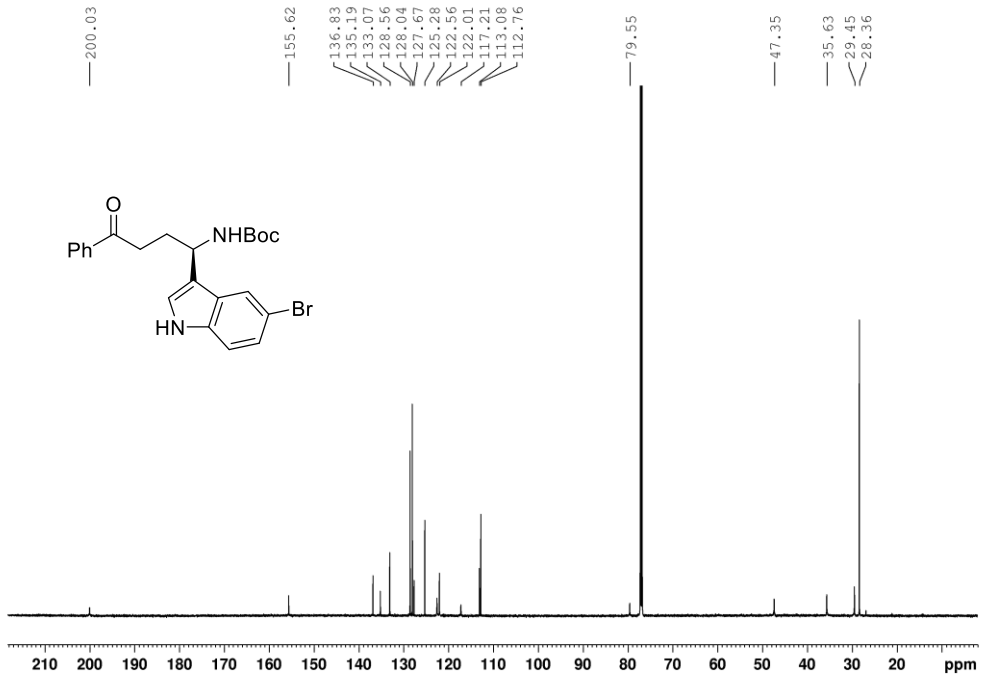
$^{13}C$ NMR of **8v** in $CDCl_3$ (150 M)



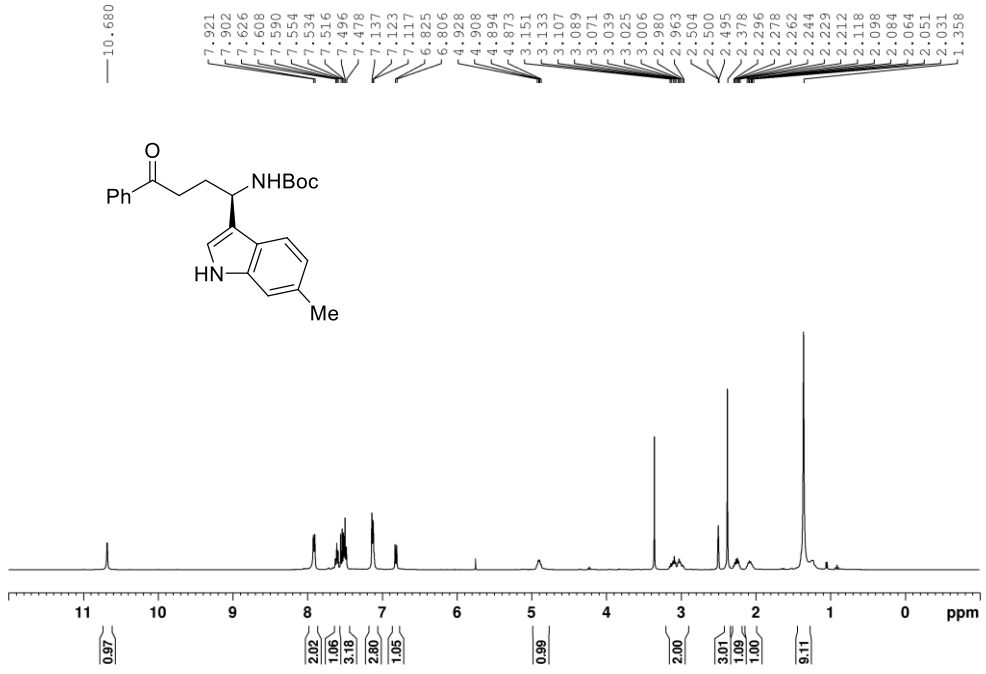

$^{1}H$ NMR of **8w** in $CDCl_3$ (600 M)



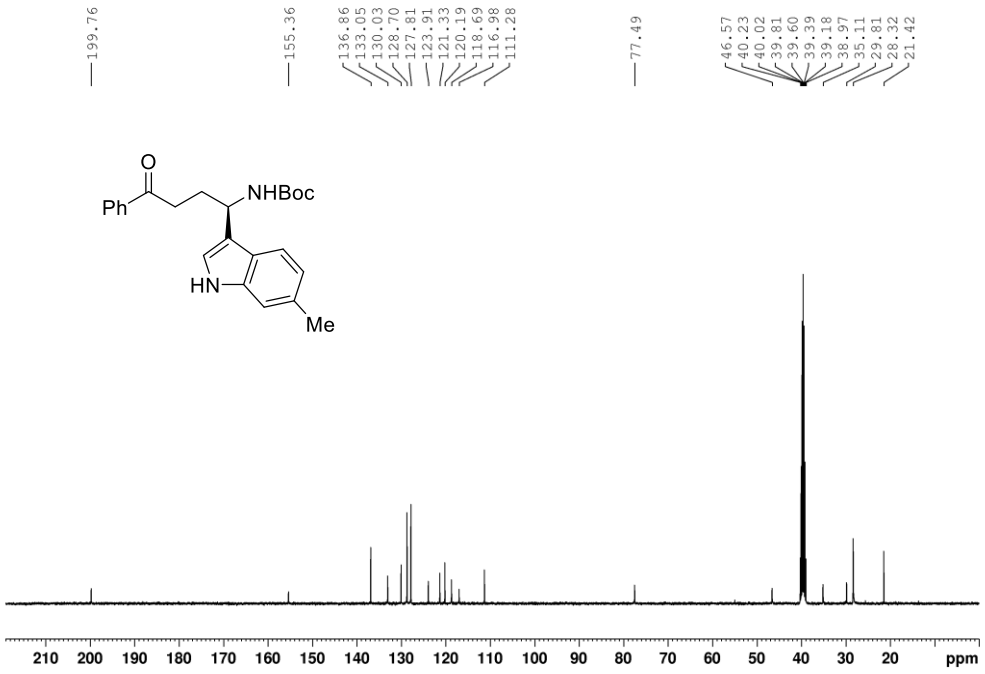
$^{13}$C NMR of **8w** in $CDCl_3$ (150 M)



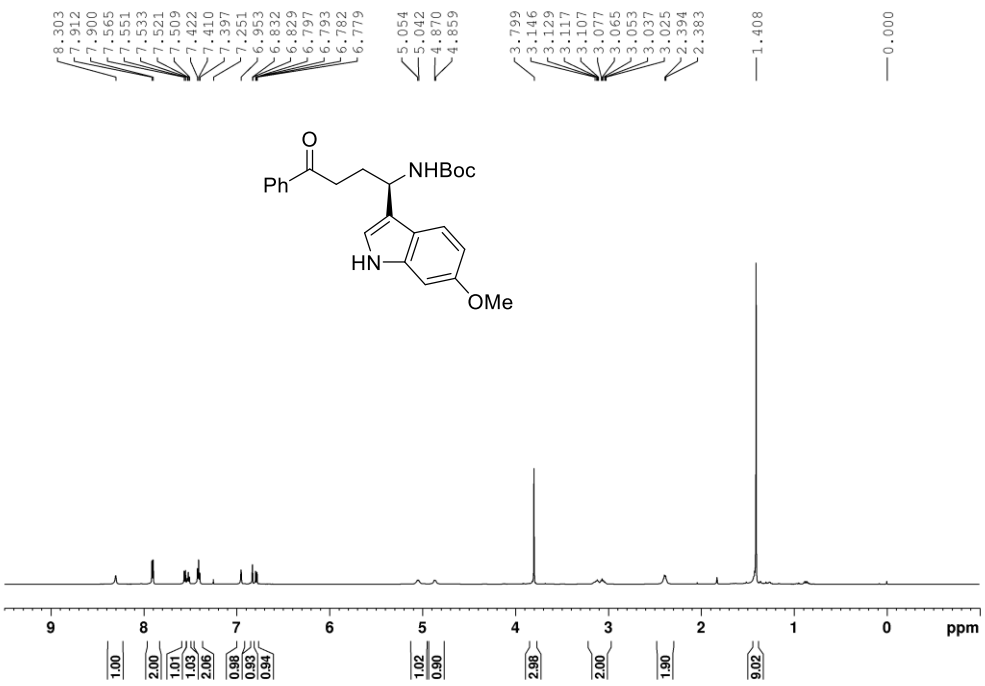

$^{1}$H NMR of **8x** in DMSO-$d_6$ (400 M)



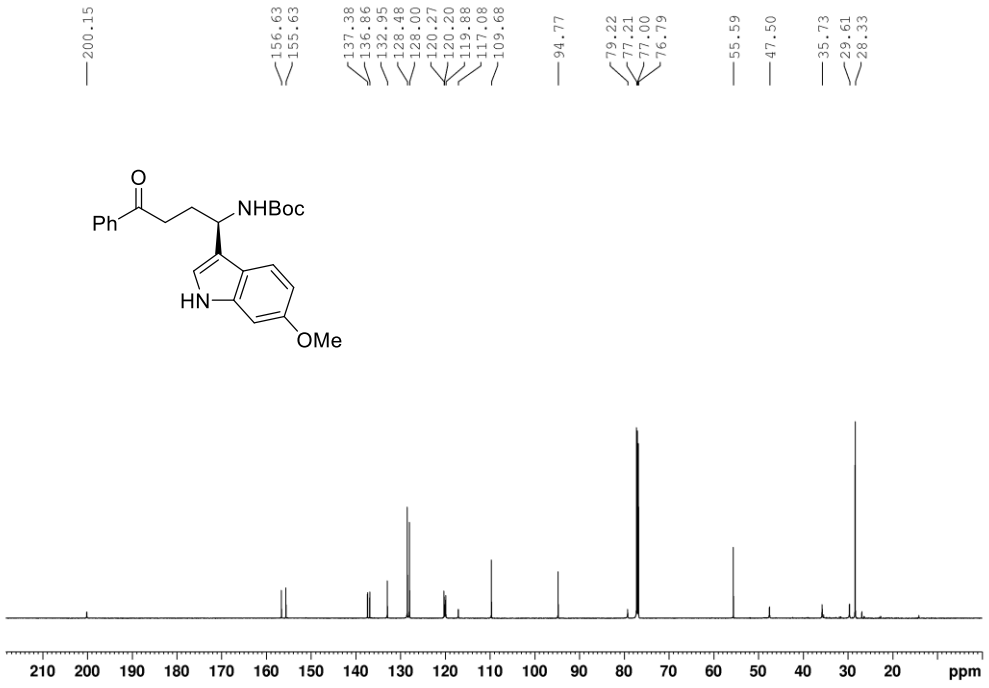
$^{13}$C NMR of **8x** in DMSO-$d_6$ (100 M)



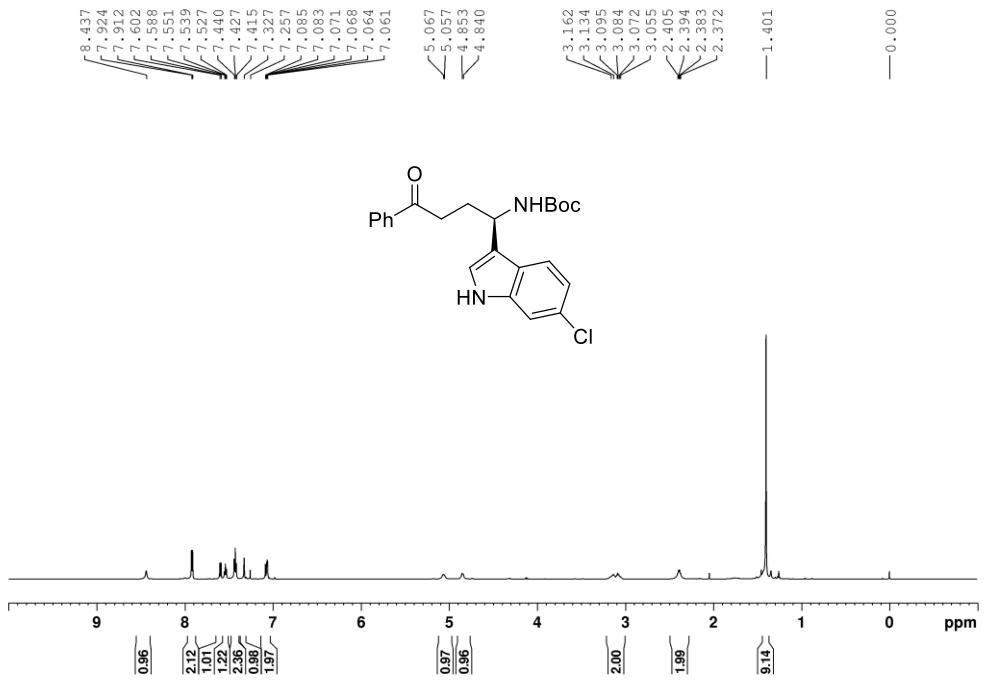

$^{1}$H NMR of **8y** in $CDCl_3$ (600 M)



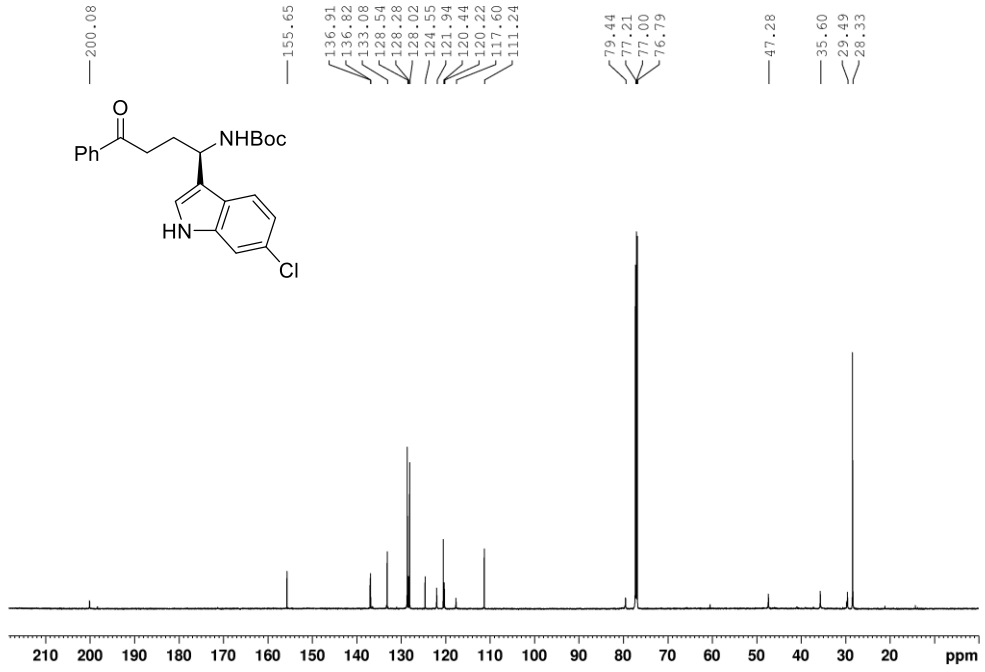
$^{13}C$ NMR of **8y** in $CDCl_3$ (150 M)



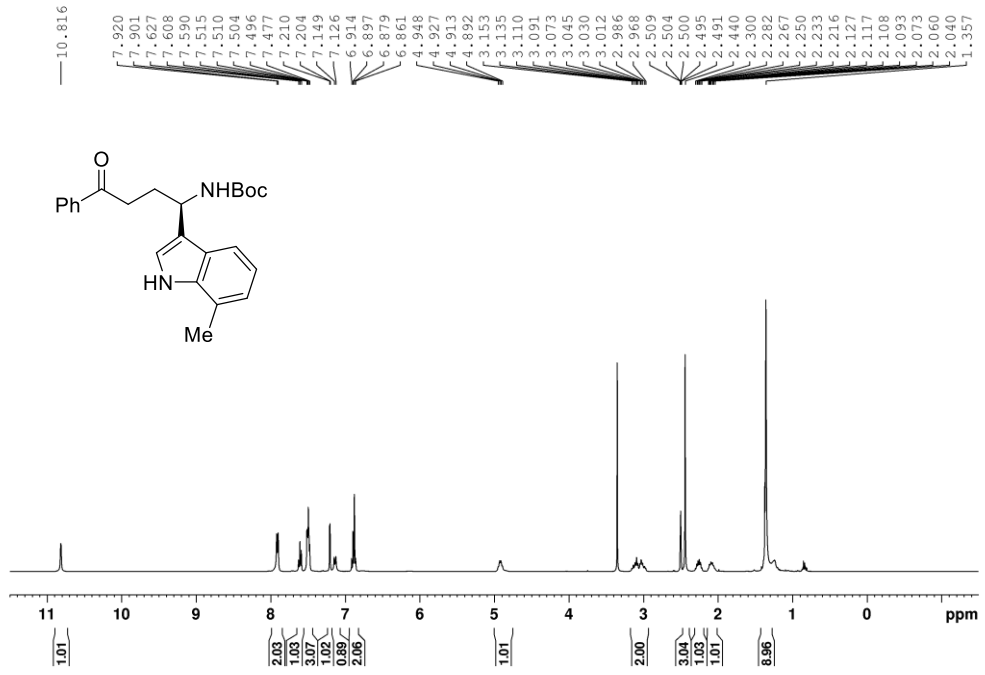

$^{1}H$ NMR of **8z** in $CDCl_3$ (600 M)



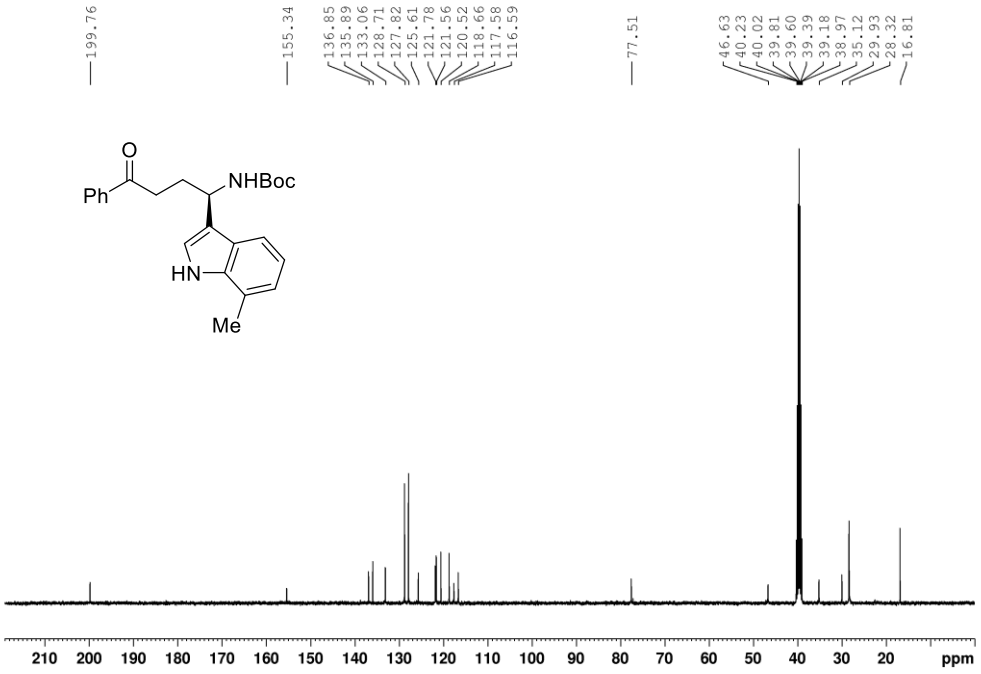
$^{13}$C NMR of **8z** in $CDCl_3$ (150 M)



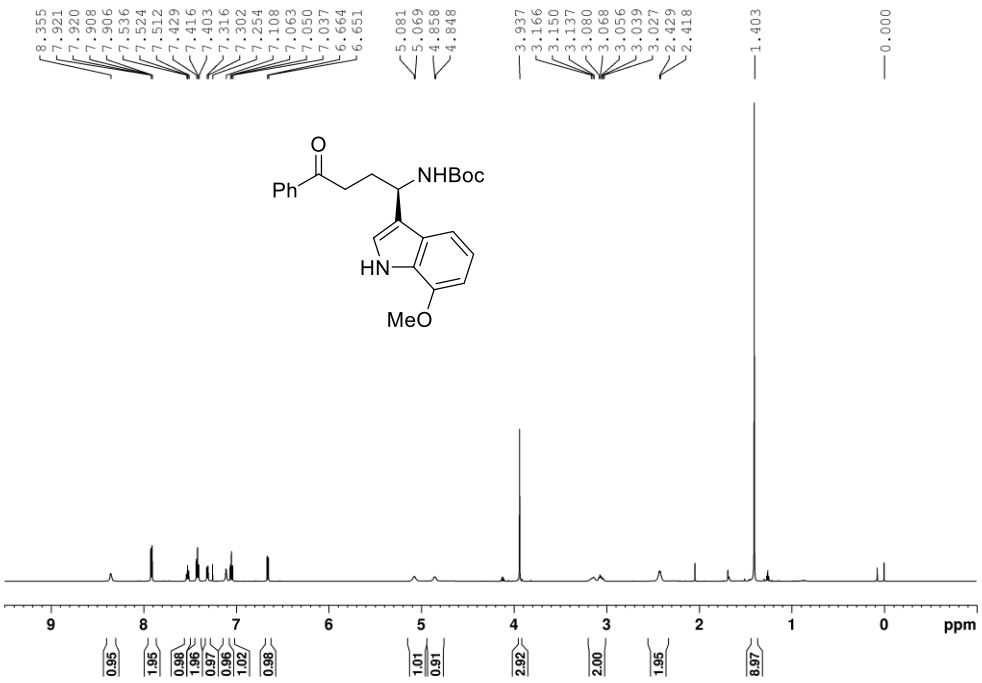

$^{1}$H NMR of **8aa** in DMSO-$d_6$ (400 M)



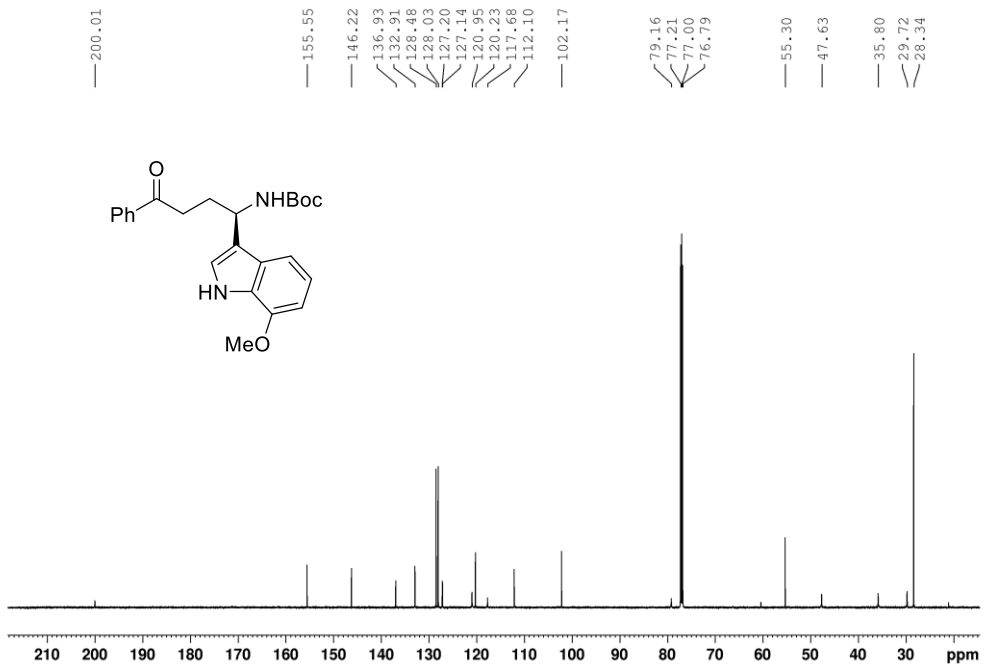
$^{13}$C NMR of **8aa** in DMSO-$d_6$ (100 M)



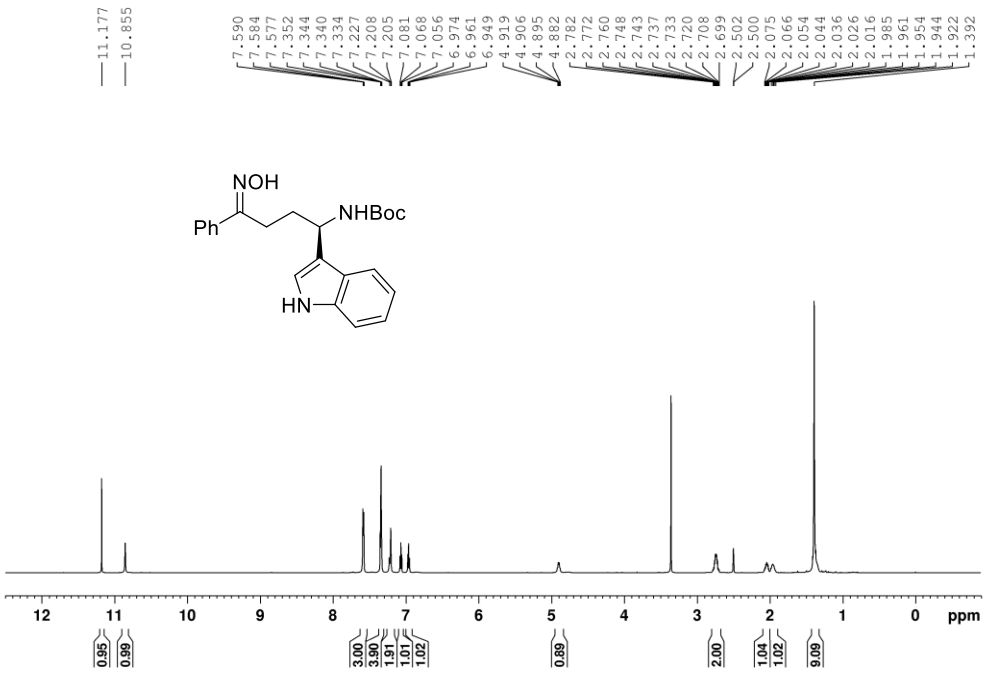

$^{1}$H NMR of **8ab** in $CDCl_3$ (600 M)



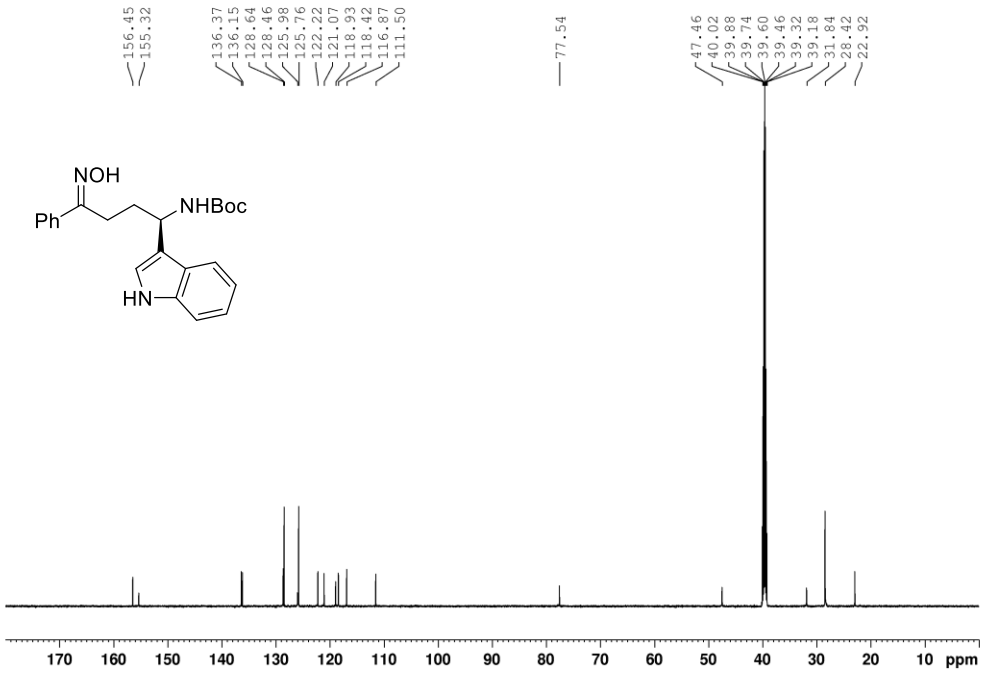
$^{13}$C NMR of **8ab** in $CDCl_3$ (150 M)



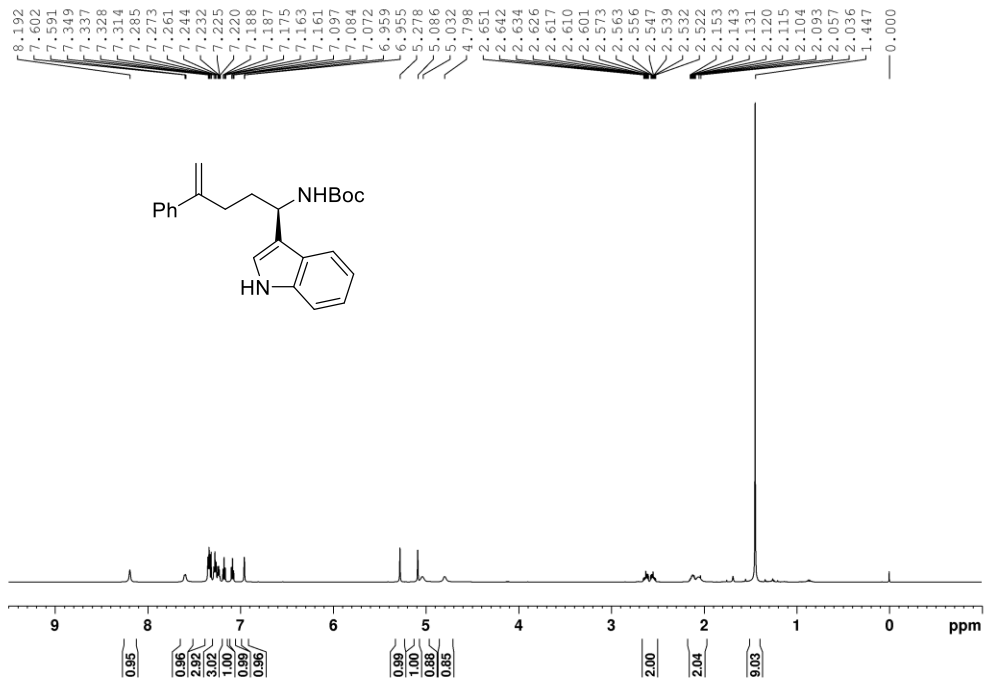

$^{1}$H NMR of **9** in $CDCl_3$ (600 M)



$^{13}$C NMR of **9** in $CDCl_3$ (150 M)




$^{1}$H NMR of **10** in $CDCl_3$ (600 M)



$^{13}C$ NMR of **10** in $CDCl_3$ (150 M)