Electronic Supplementary Information

Visible-Light-Enabled Stereoselective Synthesis of Functionalized Cyclohexylamine Derivatives via [4 + 2] Cycloadditions

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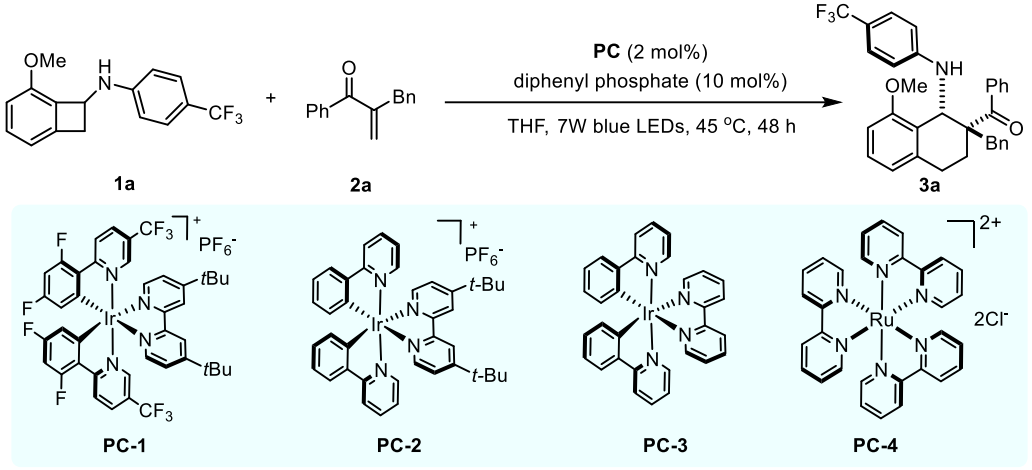
I. General Remarks

^{1}H NMR spectra were recorded on a Bruker 400 MHz spectrometer in $CDCl_3$. Chemical shifts are reported in ppm with the internal TMS signal at 0.0 ppm as a standard. The data are reported as (s = single, d = double, t = triple, q = quarte, m = multiple or unresolved, coupling constant(s) in Hz, integration). ^{13}C NMR spectra were recorded on a Bruker 100 MHz spectrometer in $CDCl_3$. Chemical shifts are reported in ppm with the internal chloroform signal at 77.0 ppm as a standard. ^{19}F NMR spectra were recorded on a Bruker 376 MHz spectrometer in $CDCl_3$. Commercially obtained reagents were used without further purification. High resolution mass spectra (HR-MS) were recorded on a LTQ-Orbitrap Elite mass spectrometer with MeOH as solvent for the measurements. Commercially obtained reagents were used without further purification. Solvents were purified prior to use according to the standard methods. Unless otherwise noted, all reactions were performed under an atmosphere of N_2 in fire dried glassware, and set up on the bench top and conducted under nitrogen atmosphere while subject to irradiation from blue LED. All reactions were monitored by TLC with silica gel coated plates. Flash column chromatography was performed using 200-300 mesh silica gel. The enantiomeric excesses (ee) of the products were determined by high-performance liquid chromatography (HPLC) analysis performed on Agilent 1200 and 1260 Series chromatographs using a Diacel chiral column (25 cm). Optical rotations were measured on a Rudolph Research Analytical Autopol VI polarimeter with $[\alpha]_D$ values reported in degrees; concentration (c) is in g/100 mL. The racemic products were obtained by running reactions with racemic catalysts or blending equal amount of two enantiomers. The substrates **1**[1] and **2**[2] were prepared according to the literature procedure. The relative configuration of product *rac*-**3a** was unambiguously determined by X-ray diffraction analysis, and those of other cycloadducts were deduced on the basis of these results. The absolute configuration of compound (1*S*,2*S*)-**3a** was determined unequivocally according to the X-ray diffraction analysis, and those of other adducts were deduced on the basis of these results. The relative configuration of compound **5** was determined unequivocally according to the X-ray diffraction analysis.

II. Optimization of Reaction Conditions

Racemic version:

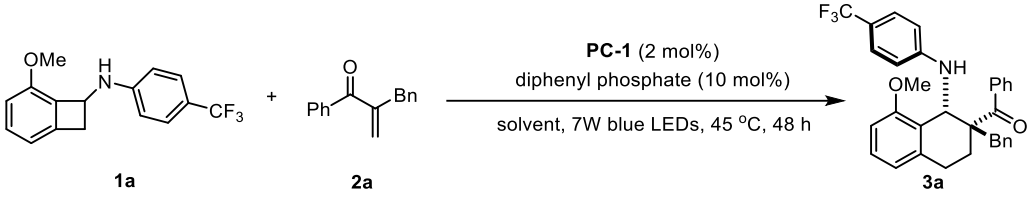
Table S1. Photocatalyst effect [a]



Entry	PC	Yield (%)[b]	Dr [c]
1	PC-1	68	>20:1
2	PC-2	58	20:1
3	PC-3	Trace	NA
4	PC-4	Trace	NA
5	Eosin Y	Trace	NA
6	Rhodamine 6G	NR	NA

[a] Conditions: **1a** (0.2 mmol), **2a** (0.24 mmol), **PC** (2 mol %), diphenyl phosphate (10 mol %), Na_2HPO_4 (0.6 equiv) in 2 mL of THF at 45 °C under irradiation of 7 W blue LED for 48 h. [b] Isolated yields. [c] Dr was determined by ^1H NMR analysis.

Table S2. Solvent effect [a]

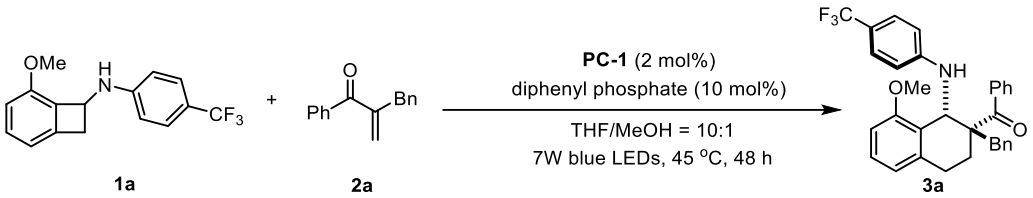


Entry	solvent	Yield (%)[b]	Dr [c]
1	THF	68	>20:1
2	Toluene	30	>20:1
3	MeCN	45	>20:1
4	1,4-dioxane	55	18:1
5	EA	45	>20:1
6	DCE	33	>20:1
7	MeOH	77	8:1
8	THF/MeOH = 1:1	74	7.7:1
9	THF/MeOH = 2:1	74	12.5:1
10	THF/MeOH = 3:1	64	18:1

11	THF/MeOH = 6:1	73	19:1
12	THF/MeOH = 10:1	71	>20:1

[a] Conditions: **1a** (0.2 mmol), **2a** (0.24 mmol), **PC-1** (2 mol %), diphenyl phosphate (10 mol %), Na_2HPO_4 (0.6 equiv) in 2 mL of solvent at 45 °C under irradiation of 7 W blue LED for 48 h. [b] Isolated yields. [c] Dr was determined by ^1H NMR analysis.

Table S3. Additive effect [a]

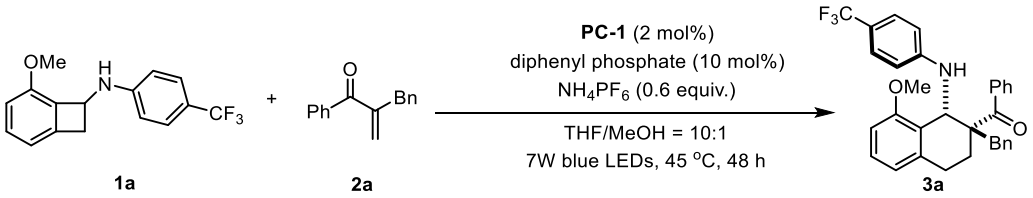


1a **2a** **3a**

Entry	Additive	Yield (%)[b]	Dr [c]
1	Na_2HPO_4	71	>20:1
2	K_2HPO_4	47	8:1
3	LiH_2PO_4	65	>20:1
4	K_3PO_4	63	3:1
5	NH_4PF_6	74	>20:1
6	$NaHCO_3$	59	7:1
7	$NaBF_4$	56	>20:1

[a] Conditions: **1a** (0.2 mmol), **2a** (0.24 mmol), **PC** (2 mol %), diphenyl phosphate (10 mol %), additive (0.6 equiv) in 2 mL of THF/MeOH = 10:1 at 45 °C under irradiation of 7 W blue LED for 48 h. [b] Isolated yields. [c] Dr was determined by ^1H NMR analysis.

Table S4. Some other optimization of the reaction conditions [a]

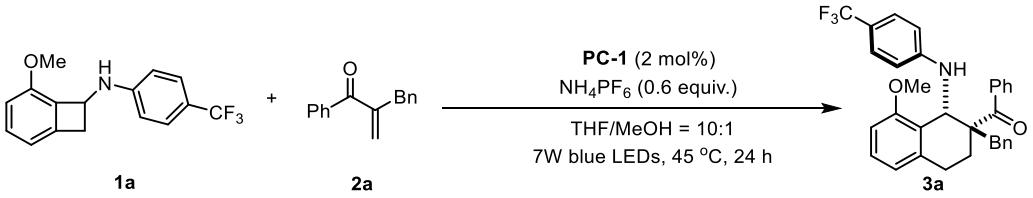


1a **2a** **3a**

Entry	Variation from standard conditions	Yield (%)[b]	Dr [c]
1	none	74	>20:1
2	Without diphenyl phosphate	70	>20:1
3	Without diphenyl phosphate, 24 h	70	>20:1

[a] Conditions: **1a** (0.2 mmol), **2a** (0.24 mmol), **PC** (2 mol %), NH_4PF_6 (0.6 equiv) in 2 mL of THF/MeOH = 10:1 at 45 °C under irradiation of 7 W blue LED. [b] Isolated yields. [c] Dr was determined by ^1H NMR analysis.

Table S5. The ratio of 1a and 2a effect [a]



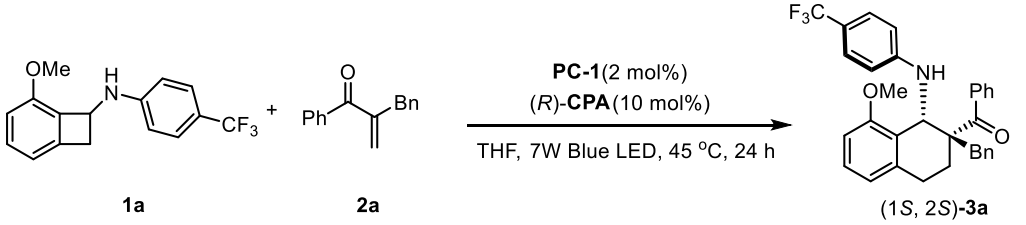
1a 2a 3a

Entry	**1a:2a**	Yield (%)[b]	Dr [c]
1	1:1	57	>20:1
2	1:1.2	70	>20:1
3	1:1.5	75	>20:1
4	1:2	74	>20:1
5	1.5:1	63	>20:1
6	2:1	63	>20:1

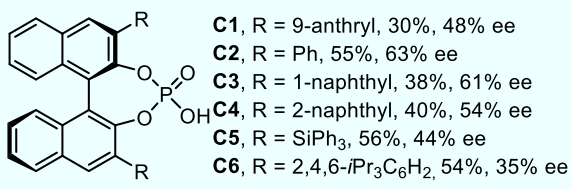
[a] Conditions: **1a**, **2a**, **PC** (2 mol %), NH_4PF_6 (0.6 equiv) in 2 mL of THF/MeOH = 10:1 at 45 °C under irradiation of 7 W blue LED for 24 h. [b] Isolated yields. [c] Dr was determined by ^1H NMR analysis.

Asymmetric version:

Table S6. Bronsted Acid effect [a]

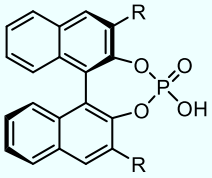


1a 2a (1*S*, 2*S*)-**3a**

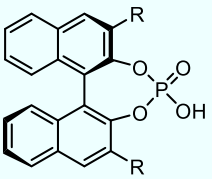


C1, R = 9-anthryl, 30%, 48% ee
C2, R = Ph, 55%, 63% ee
C3, R = 1-naphthyl, 38%, 61% ee
C4, R = 2-naphthyl, 40%, 54% ee
C5, R = $SiPh_3$, 56%, 44% ee
C6, R = 2,4,6-*i*$Pr_3C_6H_2$, 54%, 35% ee

(*R*)-CPA



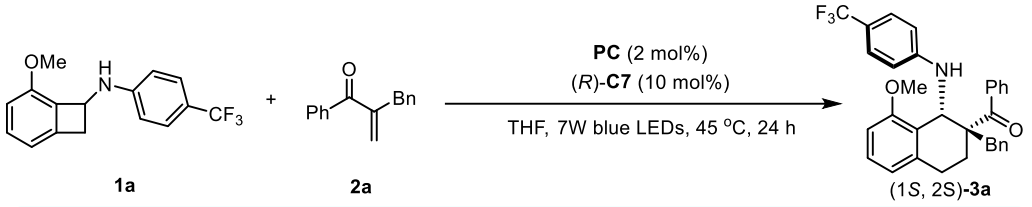
C7
R = 4-*t*Bu-Ph
50%, 70% ee

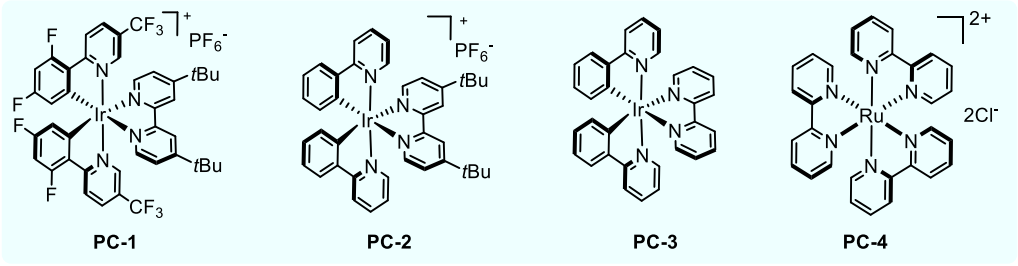


C8
R = 4-NO_2-Ph
35%, 48% ee

[a] Conditions: **1a** (0.2 mmol), **2a** (0.24 mmol), **PC-1** (2 mol %), (*R*)-**CPA** (10 mol %) in 2 mL of THF at 45 °C under irradiation of 7 W blue LED for 24 h. Ee was determined by HPLC analysis.

Table S7. Photocatalyst effect [a]

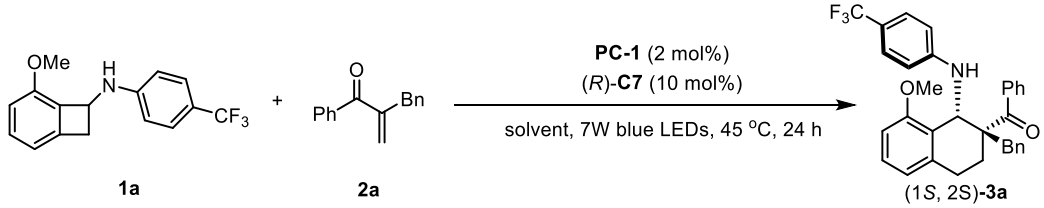




Entry	PC	Yield(%)[b]	ee (%) [c]	Dr [d]
1	**PC-1**	50	70	>20:1
2	**PC-2**	48	66	>20:1
3	**PC-3**	Trace		
4	**PC-4**	Trace		
5	Eosin Y	Trace		
6	Rhodamine 6G	N.R.		

[a] Conditions: **1a** (0.2 mmol), **2a** (0.24 mmol), **PC** (2 mol %), (*R*)-**C7** (10 mol %) in 2 mL of THF at 45 °C under irradiation of 7 W blue LED for 24 h. [b] Isolated yields. [c] Ee was determined by HPLC analysis. [d] Dr was determined by ^{1}H NMR analysis.

Table S8. Solvent effect [a]

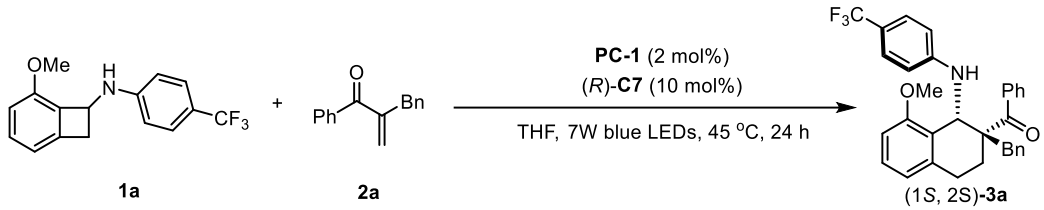


Entry	solvent	Yield (%)[b]	ee (%) [c]	Dr [d]
1	THF	50	70	>20:1
2	Toluene	40	60	>20:1
3	1,4-dioxane	40	64	>20:1
4	EA	44	64	>20:1
5	DCE	28	54	>20:1
6	2-Methyltetrahydrofuran	46	68	>20:1
7	tert-Butyl methyl ether	41	65	>20:1
8	DME	40	66	>20:1
9	Et_2O	28	68	>20:1
10	1,3-Dioxolane	63	4	>20:1

[a] Conditions: **1a** (0.2 mmol), **2a** (0.24 mmol), **PC-1** (2 mol %), (*R*)-**C7** (10 mol %) in 2 mL of solvent at 45 °C under irradiation of 7 W blue LED for 24 h. [b] Isolated yields. [c] Ee was determined by HPLC analysis. [d] Dr was determined

by ^{1}H NMR analysis.

Table S9. Additive effect [a]

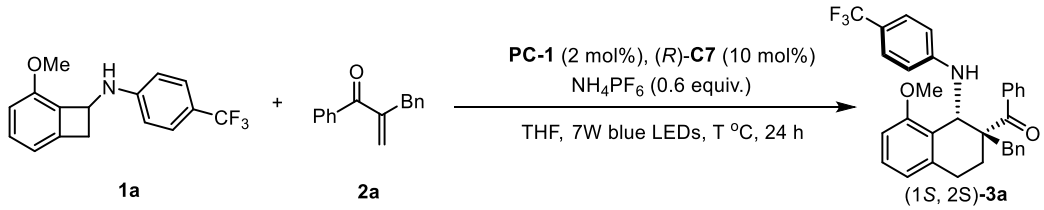


1a **2a** (1*S*, 2S)-**3a**

Entry	Additive	Yield (%) [b]	ee (%) [c]	Dr [d]
1	NaH_2PO_4 (0.6 equiv.)	55	70	>20:1
2	Na_2HPO_4 (0.6 equiv.)	57	70	>20:1
3	K_2HPO_4 (0.6 equiv.)	50	56	>20:1
4	KH_2PO_4 (0.6 equiv.)	58	66	>20:1
5	LiH_2PO_4 (0.6 equiv.)	62	66	>20:1
6	LiCl (0.6 equiv.)	-	-	-
7	NH_4PF_6 (0.6 equiv.)	57	70	>20:1
8	$NaHCO_3$ (0.6equiv.)	48	20	>20:1
9	$NaBF_4$ (0.6equiv.)	56	66	>20:1
10	NH_4PF_6 (0.4 equiv.)	55	70	>20:1
11	NH_4PF_6 (0.8 equiv.)	57	70	>20:1
12	NH_4PF_6 (1.0 equiv.)	57	70	>20:1

[a] Conditions: **1a** (0.2 mmol), **2a** (0.24 mmol), **PC-1** (2 mol %), (*R*)-**C7** (10 mol %) in 2 mL of THF at 45 °C under irradiation of 7 W blue LED for 24 h. [b] Isolated yields. [c] Ee was determined by HPLC analysis. [d] Dr was determined by ^{1}H NMR analysis.

Table S10. Temperature effect [a]

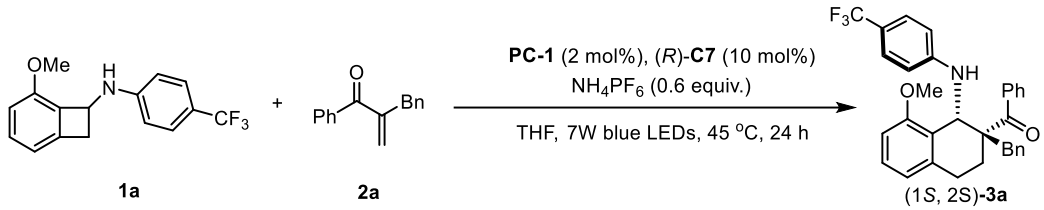


1a **2a** (1*S*, 2S)-**3a**

Entry	T (°C)	Yield (%) [b]	ee (%) [c]	Dr [d]
1	65	40	56	>20:1
2	45	57	70	>20:1
3	25	38	66	>20:1
4	-10	~20	80	>20:1
5	-20	~15	83	>20:1
6	-30	-	-	-

[a] Conditions: **1a** (0.2 mmol), **2a** (0.24 mmol), **PC-1** (2 mol %), (*R*)-**C7** (10 mol %) in 2 mL of THF at T °C under irradiation of 7 W blue LED for 24 h. [b] Isolated yields. [c] Ee was determined by HPLC analysis. [d] Dr was determined by ^{1}H NMR analysis.

Table S11. Some other optimization of the reaction conditions [a]

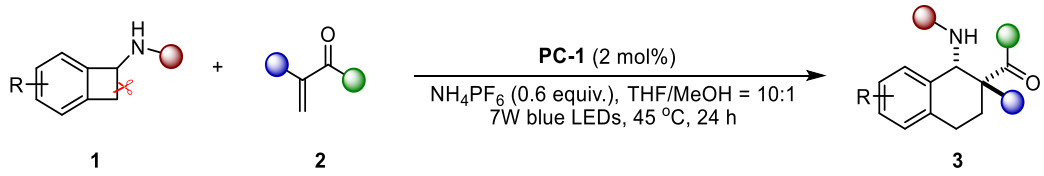


Entry	**1a:2a**	(x) W blue LED	Yield (%) [b]	ee (%) [c]	Dr [d]
1	1:1.2	7	57	70	>20:1
3	1:1.5	7	60	70	>20:1
4	1:2	7	56	70	>20:1
5	1.5:1	7	48	62	>20:1
6	2:1	7	47	62	>20:1
7	1:1.5	3	41	66	>20:1
8	1:1.5	12	58	70	>20:1
9	1:1.5	18	57	70	>20:1

[a] Conditions: **1a**, **2a**, **PC-1** (2 mol %), (*R*)-**C7** (10 mol %) in 2 mL of THF at T °C under irradiation of x W blue LED for 24 h. [b] Isolated yields. [c] Ee was determined by HPLC analysis. [d] Dr was determined by ^{1}H NMR analysis.

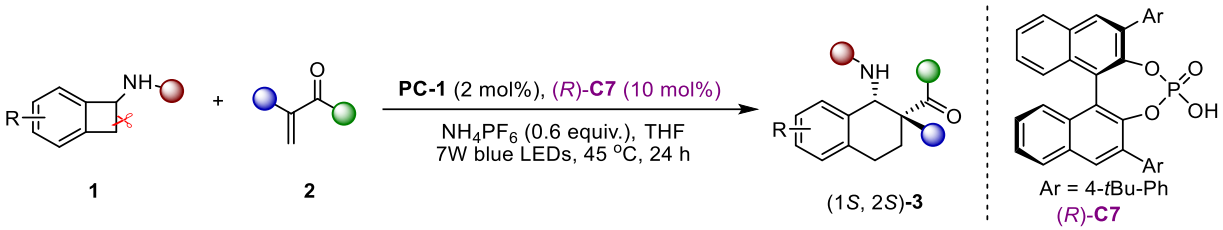
III. General Procedure for Photocatalyzed [4 + 2] Cycloadditions for the Synthesis of Functionalized Cyclohexylamine Derivatives

General procedure A:



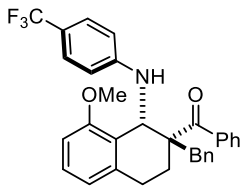
A 4-mL vial was cooled to ambient temperature. To this vial were added **1**[1] (0.20 mmol, 1.0 equiv.), **2**[2] (0.30 mmol, 1.5 equiv.), $[Ir(dF(CF_3)ppy)_2(dtbbpy)]PF_6$ (0.004 mmol, 2 mol %), NH_4PF_6 (0.12 mmol, 0.6 equiv.). Subsequently, bring the vial into the glove box, THF/MeOH = 10:1 (2.0 mL) was added. Then, take the vial out of the glove box. After that, this resulting solution was stirred at a distance of ~3 cm under irradiation by 7 W blue LED at 45 °C for 24 h. After filtration and evaporation, the residue was purified by column chromatography using petroleum ether/ethyl acetate as eluent (20:1 to 10:1) to generate the desired products.

General procedure B:



A 4-mL vial was cooled to ambient temperature. To this vial were added **1** (0.20 mmol, 1.0 equiv.), **2** (0.30 mmol, 1.5 equiv.), $[Ir(dF(CF_3)ppy)_2(dtbbpy)]PF_6$ (0.004 mmol, 2 mol %), (*R*)-**C7** (10 mol%), NH_4PF_6 (0.12 mmol, 0.6 equiv.). Subsequently, bring the vial into the glove box, THF (2.0 mL) were added. Then, take the vial out of the glove box. After that, this resulting solution was stirred at a distance of ~3 cm under irradiation by 7W blue LED at 45 °C for 24 h. After filtration and evaporation, the residue was purified by column chromatography using petroleum ether/ethyl acetate as eluent (20:1 to 10:1) to generate the desired products. Then, enantiomer enriched product was obtained by recrystallization under petroleum ether and DCM.

IV. Spectral Characterization Data for the Products



3a

(2-benzyl-8-methoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)-(phenyl)methanone (**3a**):

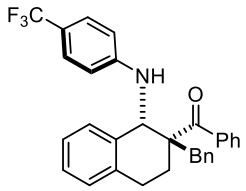
yield (77.3 mg, 75%); dr > 20:1; white solid; m.p. = 216.5–218.3 °C;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.30 (d, *J* = 8.4 Hz, 2H), 7.25 – 7.08 (m, 8H), 7.05 – 6.98 (m, 2H), 6.74 (d, *J* = 7.7 Hz, 1H), 6.69 (d, *J* = 8.4 Hz, 1H), 6.58 (d, *J* = 8.1 Hz, 1H), 6.52 – 6.46 (m, 2H), 5.36 (d, *J* = 9.0 Hz, 1H), 3.52 (d, *J* = 9.0 Hz, 1H), 3.36 (s, 3H), 3.33 (d, *J* = 13.4 Hz, 1H), 3.17 – 3.03 (m, 1H), 2.96 – 2.86 (m, 1H), 2.60 (d, *J* = 13.4 Hz, 1H), 2.17 – 2.07 (m, 1H), 1.96 – 1.84 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.7, 158.2, 150.1, 141.7, 137.2, 135.0, 130.4, 129.4, 128.6, 128.1, 127.6, 126.8, 125.9 (q, *J* = 3.8 Hz), 125.5, 125.3, 125.1 (q, *J* = 268.9 Hz), 121.0, 119.2 (q, *J* = 32.4 Hz), 113.0, 107.9, 55.6, 54.8, 54.2, 39.3, 25.2, 22.7.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.82.

HRMS (ESI+) Calcd. For $C_{32}H_{28}F_3NO_2Na^+$ ([M+Na]$^+$): 538.1964, found: 538.1961.



3b

(2-benzyl-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)-(phenyl)-methanone (**3b**):

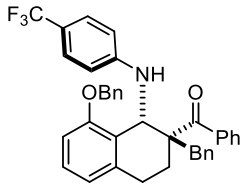
yield (58.2 mg, 60%); dr > 20:1; white solid; m.p. = 130.2–131.6 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42 (d, *J* = 8.4 Hz, 2H), 7.39 – 7.35 (m, 1H), 7.29 – 7.22 (m, 5H), 7.21 – 7.16 (m, 3H), 7.15 – 7.09 (m, 3H), 7.09 – 7.05 (m, 1H), 7.04 – 7.00 (m, 1H), 6.71 (d, *J* = 8.4 Hz, 2H), 5.01 (d, *J* = 10.0 Hz, 1H), 4.77 (d, *J* = 10.0 Hz, 1H), 3.49 (d, *J* = 13.6 Hz, 1H), 3.07 (d, *J* = 13.6 Hz, 1H), 2.95 (s, 1H), 2.77 – 2.62 (m, 1H), 2.49 – 2.36 (m, 1H), 2.23 – 2.10 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 209.2, 150.4, 139.8, 137.3, 136.2, 133.9, 130.8, 130.7, 128.8, 128.5, 128.0, 127.5, 127.3, 127.0, 126.8, 126.7 (q, *J* = 3.8 Hz), 126.5, 125.0 (q, *J* = 268.4 Hz), 119.2 (q, *J* = 32.6 Hz), 112.6, 59.2, 56.3, 40.6, 29.9, 27.6, 25.8.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.91.

HRMS (ESI+) Calcd. For $C_{31}H_{26}F_3NONa^+$ ([M+Na]$^+$): 508.1859, found: 508.1860.



3c

(2-benzyl-8-(benzyloxy)-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(phenyl)methanone (**3c**):

yield (70.8 mg, 60%); dr > 20:1; white solid; m.p. = 192.1–193.6 ºC;

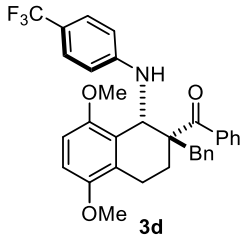
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 – 7.24 (m, 6H), 7.24 – 7.11 (m, 6H), 7.11 – 7.03 (m, 2H), 7.03 – 6.96 (m, 2H), 6.83 (d, *J* = 7.7 Hz, 1H), 6.74 (d, *J* = 8.1 Hz, 1H), 6.64 (d, *J* = 8.4 Hz, 2H), 6.44 (d, *J* = 7.6 Hz, 2H), 5.51 (d, *J* = 8.8 Hz, 1H), 4.89 (d, *J* = 11.3 Hz, 1H), 4.70 (d, *J* = 11.3 Hz, 1H), 3.65 (d, *J* = 9.3 Hz, 1H), 3.37 (d, *J* = 13.4 Hz, 1H), 3.26 – 3.11 (m, 1H), 3.07 – 2.96 (m, 1H), 2.66 (d, *J* = 13.4

Hz, 1H), 2.24 – 2.13 (m, 1H), 2.07 – 1.92 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.8, 157.2, 149.3, 141.7, 137.1, 136.1, 135.2, 130.4, 129.3, 128.6, 128.4, 128.12, 128.10, 128.0, 127.5, 126.4, 125.9 (q, *J* = 3.9 Hz), 125.4, 125.2, 125.0 (q, *J* = 268.7 Hz),121.2, 118.9 (q, *J* = 32.1 Hz), 112.6, 108.9, 70.3, 55.6, 53.5, 39.2, 25.3, 22.7.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.71.

HRMS (ESI+) Calcd. For $C_{38}H_{33}F_3NO_2^+$ ($[M+H]^+$): 592.2458, found: 592.2454.



3d

(2-benzyl-5,8-dimethoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(phenyl)methanone (**3d**):

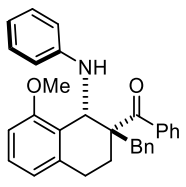
yield (33.8 mg, 31%); dr > 20:1; white solid; m.p. = 202.3–203.8 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38 (d, *J* = 8.4 Hz, 2H), 7.32 – 7.27 (m, 3H), 7.25 – 7.19 (m, 3H), 7.12 – 7.08 (m, 2H), 6.77 (d, *J* = 8.4 Hz, 2H), 6.74 – 6.67 (m, 1H), 6.66 – 6.61 (m, 1H), 6.53 (d, *J* = 7.5 Hz, 2H), 5.45 (d, *J* = 9.2 Hz, 1H), 3.84 (s, 3H), 3.59 (d, *J* = 9.4 Hz, 1H), 3.40 (s, 3H), 3.36 (d, *J* = 13.4 Hz, 1H), 3.06 – 2.96 (m, 1H), 2.93 – 2.81 (m, 1H), 2.65 (d, *J* = 13.4 Hz, 1H), 2.30 – 2.21 (m, 1H), 1.96 – 1.83 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.9, 151.9, 151.1, 150.0, 141.8, 137.2, 130.5, 129.5, 128.6, 127.6, 126.8, 126.4, 125.9 (q, *J* = 3.7 Hz), 125.5, 125.1 (q, *J* = 268.9 Hz), 124.1, 119.2 (q, *J* = 32.1 Hz), 113.1, 108.1, 108.0, 55.6, 55.3, 54.2, 39.3, 22.1, 20.2.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.87.

HRMS (ESI+) Calcd. For $C_{33}H_{30}F_3NO_3Na^+$ ($[M+Na]^+$): 568.2070, found: 568.2080.



3e

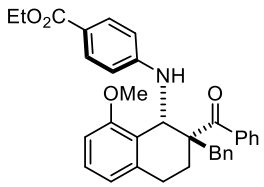
(2-benzyl-8-methoxy-1-(phenylamino)-1,2,3,4-tetrahydronaphthalen-2-yl)(phenyl)methanone (**3e**):

yield (29.5 mg, 33%); dr > 20:1; white solid; m.p. = 130.5–132.4 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.33 – 7.19 (m, 6H), 7.18 – 7.05 (m, 5H), 6.80 (d, *J* = 7.7 Hz, 1H), 6.76 – 6.68 (m, 3H), 6.66 – 6.58 (m, 3H), 5.39 (s, 1H), 3.42 (d, *J* = 13.4 Hz, 1H), 3.36 (s, 3H), 3.26 – 3.09 (m, 2H), 3.04 – 2.92 (m, 1H), 2.64 (d, *J* = 13.4 Hz, 1H), 2.20 – 2.09 (m, 1H), 2.08 – 1.94 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 211.2, 158.2, 147.8, 142.1, 137.5, 134.9, 130.5, 129.1, 128.51, 128.46, 127.7, 127.4, 126.7, 126.2, 125.5, 121.0, 118.0, 114.2, 108.0, 55.8, 55.3, 54.8, 39.2, 25.3, 22.7.

HRMS (ESI+) Calcd. For $C_{31}H_{29}NO_2Na^+$ ([M+Na]$^+$): 470.2091, found: 470.2095.



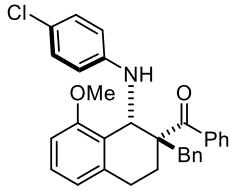
3f

ethyl 4-((2-benzoyl-2-benzyl-8-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)amino)benzoate (**3f**): yield (50.9 mg, 49%); dr > 20:1; white solid; m.p. = 229.2–231.4 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 8.4 Hz, 2H), 7.33 – 7.15 (m, 7H), 7.12 – 7.05 (m, 2H), 6.81 (d, *J* = 7.7 Hz, 1H), 6.71 (d, *J* = 8.4 Hz, 2H), 6.66 (d, *J* = 8.1 Hz, 1H), 6.58 – 6.51 (m, 2H), 5.50 (d, *J* = 9.8 Hz, 1H), 4.31 (q, *J* = 7.1 Hz, 2H), 3.76 (d, *J* = 9.8 Hz, 1H), 3.46 (s, 3H), 3.42 (d, *J* = 13.4 Hz, 1H), 3.25 – 3.12 (m, 1H), 3.03 – 2.93 (m, 1H), 2.67 (d, *J* = 13.4 Hz, 1H), 2.25 – 2.15 (m, 1H), 2.03 – 1.89 (m, 1H), 1.37 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.4, 167.0, 158.2, 151.1, 141.6, 137.2, 135.0, 130.8, 130.4, 129.4, 128.6, 128.1, 127.5, 126.8, 125.5, 125.2, 121.0, 119.1, 112.5, 107.9, 60.1, 55.5, 54.9, 53.7, 39.2, 25.2, 22.7, 14.5.

HRMS (ESI+) Calcd. For $C_{34}H_{33}NO_4Na^+$ ([M+Na]$^+$): 542.2301, found: 542.2293.



3g

(2-benzyl-1-((4-chlorophenyl)amino)-8-methoxy-1,2,3,4-tetrahydronaphthalen-2-yl)-

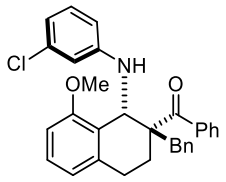
(phenyl)methanone (**3g**):

yield (59.8 mg, 62%); dr > 20:1; white solid; m.p. = 190.3–192.6 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 – 7.13 (m, 7H), 7.14 – 7.05 (m, 2H), 7.09 (d, *J* = 8.8 Hz, 2H), 6.84 – 6.78 (m, 1H), 6.70 – 6.62 (m, 1H), 6.67 (d, *J* = 8.8 Hz, 2H), 6.63 – 6.55 (m, 2H), 5.32 (s, 1H), 3.42 (s, 3H), 3.39 (d, *J* = 13.4 Hz, 1H), 3.29 – 3.09 (m, 2H), 3.02 – 2.92 (m, 1H), 2.64 (d, *J* = 13.4 Hz, 1H), 2.20 – 2.10 (m, 1H), 2.03 – 1.92 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 211.0, 158.2, 146.3, 141.9, 137.3, 134.9, 130.4, 129.3, 128.6, 128.3, 127.9, 127.5, 126.8, 125.8, 125.4, 122.3, 121.0, 115.1, 107.9, 55.7, 55.2, 54.8, 39.2, 25.2, 22.7.

HRMS (ESI+) Calcd. For $C_{31}H_{28}ClNO_2Na^+$ ([M+Na]$^+$): 504.1701, found: 504.1700.



3h

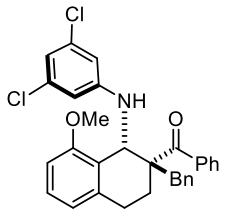
(2-benzyl-1-((3-chlorophenyl)amino)-8-methoxy-1,2,3,4-tetrahydronaphthalen-2-yl)-(phenyl)methanone **(3h)**:

yield (54.0 mg, 56%); dr > 20:1; white solid; m.p. = 173.9–175.6 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.32 – 7.25 (m, 3H), 7.24 – 7.09 (m, 6H), 7.06 – 6.99 (m, 1H), 6.80 (d, *J* = 7.7 Hz, 1H), 6.73 – 6.57 (m, 6H), 5.44 – 5.30 (m, 1H), 3.44 (s, 3H), 3.42 (d, *J* = 13.5 Hz, 1H), 3.34 – 3.29 (m, 1H), 3.23 – 3.09 (m, 1H), 3.03 – 2.93 (m, 1H), 2.65 (d, *J* = 13.5 Hz, 1H), 2.21 – 2.10 (m, 1H), 2.02 – 1.88 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.6, 158.2, 148.8, 141.7, 137.3, 135.0, 134.1, 130.4, 129.4, 128.5, 127.9, 127.5, 126.8, 125.63, 125.61, 121.0, 117.7, 113.9, 112.2, 107.9, 55.7, 54.8, 54.7, 39.2, 25.2, 22.6.

HRMS (ESI+) Calcd. For $C_{31}H_{28}ClNO_2Na^+$ ([M+Na]$^+$): 504.1701, found: 504.1703.



3i

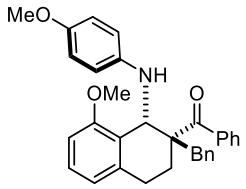
(2-benzyl-1-((3,5-dichlorophenyl)amino)-8-methoxy-1,2,3,4-tetrahydronaphthalen-2-yl)-(phenyl)methanone (**3i**):

yield (50.6 mg, 49%); dr > 20:1; white solid; m.p. = 219.6–221.3 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.31 – 7.24 (m, 4H), 7.22 – 7.11 (m, 5H), 6.81 (d, *J* = 7.8 Hz, 1H), 6.73 – 6.63 (m, 4H), 6.60 – 6.57 (m, 2H), 5.32 (d, *J* = 9.8 Hz, 1H), 3.51 (s, 3H), 3.45 – 3.36 (m, 2H), 3.21 – 3.09 (m, 1H), 3.02 – 2.93 (m, 1H), 2.67 (d, *J* = 13.5 Hz, 1H), 2.22 – 2.13 (m, 1H), 1.97 – 1.85 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.1, 158.0, 149.1, 141.3, 137.0, 135.1, 134.5, 130.3, 129.7, 128.6, 128.2, 127.7, 126.8, 125.8, 125.1, 121.1, 117.4, 112.2, 107.8, 55.5, 54.9, 54.3, 39.1, 25.1, 22.5.

HRMS (ESI+) Calcd. For $C_{31}H_{27}Cl_2NO_2Na^+$ ([M+Na]$^+$): 554.1050, found: 554.1057.



3j

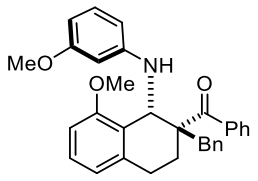
(2-benzyl-8-methoxy-1-((4-methoxyphenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)-(phenyl)methanone (**3j**):

yield (29.6 mg, 31%); dr = 13:1; white solid; m.p. = 119.8–121.4 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.32 – 7.26 (m, 3H), 7.24 – 7.20 (m, 3H), 7.17 – 7.06 (m, 3H), 6.80 (d, *J* = 7.9 Hz, 1H), 6.77 – 6.70 (m, 2H), 6.71 – 6.63 (m, 4H), 6.62 (d, *J* = 8.1 Hz, 1H), 5.28 (s, 1H), 3.76 (s, 3H), 3.40 (d, *J* = 13.4 Hz, 1H), 3.33 (s, 3H), 3.20 – 3.07 (m, 1H), 3.02 – 2.92 (m, 1H), 2.63 (d, *J* = 13.4 Hz, 1H), 2.16 – 2.07 (m, 1H), 2.07 – 1.94 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 211.5, 158.2, 152.5, 142.3, 137.6, 134.8, 130.5, 129.0, 128.5, 127.6, 127.4, 126.6, 126.4, 125.5, 121.1, 115.6, 114.0, 108.0, 56.7, 55.9, 55.7, 54.8, 39.2, 25.3, 22.7.

HRMS (ESI+) Calcd. For $C_{32}H_{31}NO_3Na^+$ ([M+Na]$^+$): 500.2196, found: 500.2198.



3k

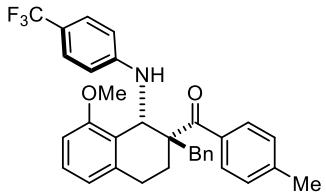
(2-benzyl-8-methoxy-1-((3-methoxyphenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)-(phenyl)methanone **(3k)**:

yield (30.6 mg, 32%); dr > 20:1; white solid; m.p. = 206.9–208.7 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.32 – 7.25 (m, 3H), 7.24 – 7.19 (m, 3H), 7.18 – 7.13 (m, 1H), 7.12 – 7.07 (m, 2H), 7.06 – 7.00 (m, 1H), 6.80 (d, *J* = 7.7 Hz, 1H), 6.67 – 6.57 (m, 3H), 6.39 – 6.25 (m, 3H), 5.38 (s, 1H), 3.80 (s, 3H), 3.42 (s, 3H), 3.45 – 3.38 (m, 1H), 3.30 – 3.08 (m, 2H), 3.02 – 2.92 (m, 1H), 2.63 (d, *J* = 13.4 Hz, 1H), 2.17 – 2.08 (m, 1H), 2.07 – 1.94 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 211.1, 160.3, 158.3, 149.2, 142.1, 137.5, 134.9, 130.5, 129.1, 128.5, 127.8, 127.4, 126.7, 126.2, 125.4, 121.0, 108.0, 107.2, 103.7, 99.8, 55.8, 55.2, 55.1, 55.0, 39.2, 25.3, 22.7.

HRMS (ESI+) Calcd. For $C_{32}H_{31}NO_3Na^+$ ($[M+Na]^+$): 500.2196, found: 500.2199.



3l

(2-benzyl-8-methoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(*p*-tolyl)methanone (**3l**):

yield (77.3 mg, 73%); dr > 20:1; white solid; m.p. = 216.8–218.6 ºC;

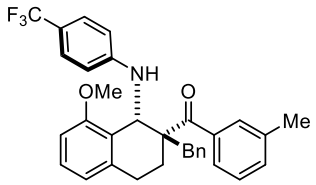
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 (d, *J* = 8.4 Hz, 2H), 7.32 – 7.21 (m, 3H), 7.23 – 7.14 (m, 3H), 6.92 (d, *J* = 8.0 Hz, 2H), 6.85 – 6.78 (m, 1H), 6.72 (d, *J* = 8.4 Hz, 2H), 6.69 – 6.62 (m, 1H), 6.57 (d, *J* = 8.0 Hz, 2H), 5.49 – 5.42 (m, 1H), 3.65 – 3.53 (m, 1H), 3.45 (s, 3H), 3.42 (d, *J* = 13.4 Hz, 1H), 3.24 – 3.10 (m, 1H), 3.04 – 2.93 (m, 1H), 2.67 (d, *J* = 13.4 Hz, 1H), 2.25 (s, 3H), 2.26 – 2.17 (m, 1H), 2.06 – 1.93 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.1, 158.2, 150.0, 139.9, 138.8, 137.2, 135.1, 130.3, 128.5, 128.2, 128.0, 126.8, 126.0, 125.8 (q, *J* = 4.0 Hz), 125.4, 125.1 (q, *J* = 268.9 Hz), 121.0, 119.0 (q, *J* = 32.6

Hz), 112.9, 107.9, 55.6, 54.8, 53.9, 39.3, 25.2, 22.7, 21.2.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.81.

HRMS (ESI+) Calcd. For $C_{33}H_{30}F_3NO_2Na^+$ ([M+Na]$^+$): 552.2121, found: 552.2123.



3m

(2-benzyl-8-methoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(*m*-tolyl)methanone (**3m**):

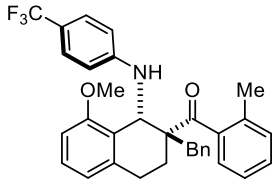
yield (67.8 mg, 64%); dr > 20:1; white solid; m.p. = 197.1–198.9 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 (d, *J* = 8.4 Hz, 2H), 7.32 – 7.25 (m, 3H), 7.23 – 7.16 (m, 3H), 7.07 – 6.97 (m, 2H), 6.82 (d, *J* = 7.8 Hz, 1H), 6.74 (d, *J* = 8.4 Hz, 2H), 6.66 (d, *J* = 8.0 Hz, 1H), 6.66 (d, *J* = 7.5 Hz, 1H), 6.23 (s, 1H), 5.50 – 5.42 (m, 1H), 3.65 – 3.57 (m, 1H), 3.46 (s, 3H), 3.39 (d, *J* = 13.5 Hz, 1H), 3.24 – 3.11 (m, 1H), 3.03 – 2.94 (m, 1H), 2.67 (d, *J* = 13.5 Hz, 1H), 2.25 – 2.15 (m, 1H), 2.09 (s, 3H), 2.04 – 1.90 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.7, 158.2, 145.0, 141.6, 137.4, 137.2, 135.1, 130.4, 130.1, 128.6, 128.1, 127.4, 126.8, 126.3, 125.8 (q, *J* = 3.8 Hz), 125.3, 125.1 (q, *J* = 268.9 Hz), 122.4, 121.0, 119.0 (q, *J* = 32.3 Hz), 112.9, 107.9, 55.6, 54.9, 53.8, 39.3, 25.2, 22.7, 21.2.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.81.

HRMS (ESI+) Calcd. For $C_{33}H_{30}F_3NO_2Na^+$ ([M+Na]$^+$): 552.2121, found: 552.2125.



3n

(2-benzyl-8-methoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(*o*-tolyl)methanone (**3n**):

yield (59.3 mg, 56%); dr > 20:1; white solid; m.p. = 211.3–213.2 ºC;

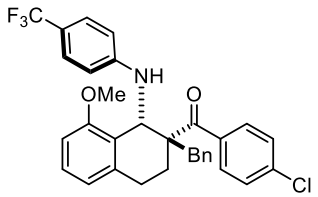
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.32 (d, *J* = 8.4 Hz, 2H), 7.30 – 7.24 (m, 3H), 7.20 – 7.13 (m, 3H),

7.11 – 7.04 (m, 1H), 7.00 – 6.94 (m, 1H), 6.86 – 6.79 (m, 2H), 6.71 (d, *J* = 8.4 Hz, 2H), 6.66 – 6.60 (m, 1H), 6.13 – 6.02 (m, 1H), 5.49 (d, *J* = 9.9 Hz, 1H), 3.53 (d, *J* = 9.9 Hz, 1H), 3.35 (s, 3H), 3.22 (d, *J* = 13.6 Hz, 1H), 3.26 – 3.14 (m, 1H), 3.10 – 2.99 (m, 1H), 2.76 (d, *J* = 13.6 Hz, 1H), 2.40 – 2.28 (m, 1H), 2.04 – 1.91 (m, 1H), 1.85 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.8, 158.0, 150.5, 139.4, 137.1, 137.0, 134.8, 131.4, 130.6, 128.9, 128.6, 128.0, 126.9, 126.0, 125.6 (q, *J* = 3.8 Hz), 125.0 (q, *J* = 268.7 Hz), 124.9, 123.9, 121.1, 119.4 (q, *J* = 32.3 Hz), 114.0, 108.0, 56.1, 54.6, 54.3, 40.1, 25.3, 22.9, 19.3.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.90.

HRMS (ESI+) Calcd. For $C_{33}H_{30}F_3NO_2Na^+$ ($[M+Na]^+$): 552.2121, found: 552.2126.



3o

(2-benzyl-8-methoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(4-chlorophenyl)methanone (**3o**):

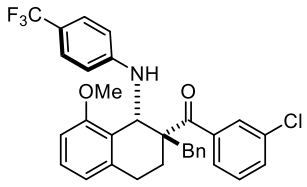
yield (83.6 mg, 76%); dr > 20:1; white solid; m.p. = 212.5–214.5 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.39 (d, *J* = 8.4 Hz, 2H), 7.34 – 7.23 (m, 3H), 7.23 – 7.15 (m, 3H), 7.07 (d, *J* = 8.5 Hz, 2H), 6.85 – 6.79 (m, 1H), 6.76 (d, *J* = 8.4 Hz, 2H), 6.69 – 6.63 (m, 1H), 6.46 (d, *J* = 8.5 Hz, 2H), 5.42 (d, *J* = 9.6 Hz, 1H), 3.58 (d, *J* = 9.6 Hz, 1H), 3.43 (s, 3H), 3.37 (d, *J* = 13.4 Hz, 1H), 3.24 – 3.11 (m, 1H), 3.04 – 2.95 (m, 1H), 2.67 (d, *J* = 13.4 Hz, 1H), 2.20 – 2.11 (m, 1H), 2.01 – 1.90 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 209.8, 158.2, 145.0, 139.9, 137.0, 135.6, 134.9, 130.3, 128.7, 128.2, 127.8, 127.0, 126.9, 125.9 (q, *J* = 3.9 Hz), 125.0 (q, *J* = 268.9 Hz), 125.1, 121.0, 119.4 (q, *J* = 32.5 Hz), 113.0, 108.0, 55.8, 54.8, 54.2, 39.2, 25.2, 22.7.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.86.

HRMS (ESI+) Calcd. For $C_{32}H_{27}ClF_3NO_2Na^+$ ($[M+Na]^+$): 572.1575, found: 572.1581.



3p

(2-benzyl-8-methoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(3-chlorophenyl)methanone (**3p**):

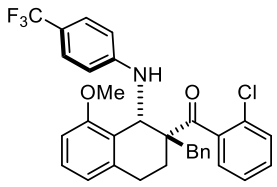
yield (68.2 mg, 62%); dr > 20:1; white solid; m.p. = 193.7–195.6 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40 (d, *J* = 8.4 Hz, 2H), 7.35 – 7.26 (m, 3H), 7.22 – 7.15 (m, 4H), 7.06 – 6.99 (m, 1H), 6.82 (d, *J* = 7.7 Hz, 1H), 6.79 (d, *J* = 8.4 Hz, 2H), 6.66 (d, *J* = 8.1 Hz, 1H), 6.40 (d, *J* = 7.7 Hz, 1H), 6.37 – 6.32 (m, 1H), 5.42 (d, *J* = 9.6 Hz, 1H), 3.62 (d, *J* = 9.6 Hz, 1H), 3.44 (s, 3H), 3.34 (d, *J* = 13.4 Hz, 1H), 3.24 – 3.12 (m, 1H), 3.06 – 2.96 (m, 1H), 2.68 (d, *J* = 13.4 Hz, 1H), 2.18 – 2.08 (m, 1H), 2.02 – 1.89 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 209.5, 158.2, 149.9, 143.2, 136.9, 134.9, 133.6, 130.4, 129.4, 129.0, 128.7, 128.2, 127.0, 125.9 (q, *J* = 3.8 Hz), 125.4, 125.1 (q, *J* = 269.0 Hz), 125.0, 123.4, 121.0, 119.5 (q, *J* = 32.4 Hz), 113.0, 108.0, 55.9, 54.8, 54.2, 39.2, 25.2, 22.8.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.88.

HRMS (ESI+) Calcd. For $C_{32}H_{27}ClF_3NO_2Na^+$ ($[M+Na]^+$): 572.1575, found: 572.1580.



3q

(2-benzyl-8-methoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(2-chlorophenyl)methanone (**3q**):

yield (40.7 mg, 37%); dr > 20:1; white solid; m.p. = 190.8–192.7 ºC;

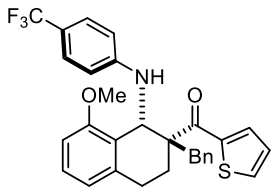
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.31 – 7.26 (m, 5H), 7.21 – 7.16 (m, 1H), 7.14 – 7.06 (m, 4H), 6.97 – 6.91 (m, 1H), 6.81 (d, *J* = 7.8 Hz, 1H), 6.67 (d, *J* = 8.1 Hz, 1H), 6.62 (d, *J* = 8.5 Hz, 2H), 6.17 (d, *J* = 7.8 Hz, 1H), 5.41 (d, *J* = 9.8 Hz, 1H), 3.65 (d, *J* = 9.8 Hz, 1H), 3.43 (s, 3H), 3.20 – 2.91 (m, 4H), 2.33 – 2.24 (m, 1H), 2.20 – 2.08 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 208.0, 157.9, 150.0, 140.1, 136.9, 134.9, 130.9, 130.11, 130.08, 129.6,

128.3, 128.1, 126.8, 126.3, 125.74, 125.68, 125.6 (q, J = 3.7 Hz), 125.1 (q, J = 268.5 Hz), 121.2, 119.0 (q, J = 32.4 Hz), 113.3, 108.1, 55.9, 54.8, 52.1, 39.7, 25.1, 23.8.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.88.

HRMS (ESI+) Calcd. For $C_{32}H_{27}ClF_3NO_2Na^+$ ([M+Na]$^+$): 572.1575, found: 572.1578.



3r

(2-benzyl-8-methoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)-(thiophen-2-yl)methanone (**3r**):

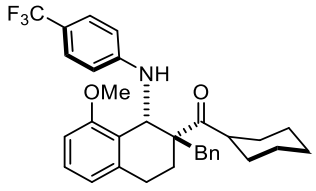
yield (67.8 mg, 65%); dr = 4:1; white solid; m.p. = 210.8–212.6 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.77 – 7.72 (m, 1H), 7.47 (d, J = 5.0 Hz, 1H), 7.26 – 7.13 (m, 6H), 7.08 – 7.01 (m, 3H), 6.84 (d, J = 7.7 Hz, 1H), 6.68 (d, J = 8.1 Hz, 1H), 6.50 (d, J = 8.4 Hz, 2H), 5.65 – 5.55 (m, 1H), 3.76 – 3.64 (m, 2H), 3.49 (s, 3H), 3.15 – 2.94 (m, 2H), 2.78 (d, J = 14.5 Hz, 1H), 2.34 – 2.21 (m, 1H), 2.20 – 2.12 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 197.2, 158.2, 149.7, 143.9, 136.6, 135.6, 132.3, 131.3, 129.5, 128.4, 128.2, 127.4, 126.7, 125.4 (q, J = 3.8 Hz), 125.1, 125.0 (q, J = 268.9 Hz), 121.3, 118.6 (q, J = 32.2 Hz), 113.0, 107.9, 55.5, 54.8, 53.1, 39.0, 24.8, 21.6.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.90.

HRMS (ESI+) Calcd. For $C_{30}H_{27}F_3NO_2S^+$ ([M+H]$^+$): 522.1709, found: 522.1705.



3s

(2-benzyl-8-methoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)-(cyclohexyl)methanone (**3s**):

yield (53.2 mg, 51%); dr = 7:1; white solid; m.p. = 216.8–218.5 ºC;

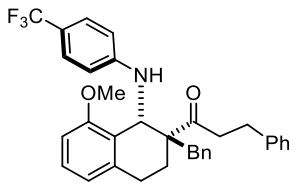
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.35 (d, J = 8.4 Hz, 2H), 7.27 – 7.14 (m, 4H), 7.11 – 7.05 (m, 2H),

6.83 (d, *J* = 7.7 Hz, 1H), 6.71 (d, *J* = 8.4 Hz, 2H), 6.65 (d, *J* = 8.1 Hz, 1H), 5.16 – 5.06 (m, 1H), 3.60 – 3.51 (m, 1H), 3.38 (s, 3H), 3.23 – 3.12 (m, 1H), 3.16 (d, *J* = 13.4 Hz, 1H), 3.09 – 3.01 (m, 1H), 2.53 – 2.33 (m, 2H), 2.50 (d, *J* = 13.4 Hz, 1H), 2.30 – 2.20 (m, 1H), 1.58 – 1.44 (m, 3H), 1.41 – 1.31 (m, 1H), 1.09 – 0.72 (m, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 217.5, 158.3, 150.4, 137.2, 135.2, 130.5, 128.1, 128.0, 126.5, 125.8 (q, *J* = 3.8 Hz), 125.6, 125.1 (q, *J* = 269.8 Hz), 121.0, 119.0 (q, *J* = 32.3 Hz), 113.0, 107.9, 55.5, 54.7, 54.4, 46.9, 38.7, 28.0, 27.9, 25.7, 25.7, 25.6, 25.4, 20.9.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.82.

HRMS (ESI+) Calcd. For $C_{32}H_{34}F_3NO_2Na^+$ ([M+ Na]$^+$): 544.2434, found: 544.2436.



3t

1-(-2-benzyl-8-methoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)-3-phenylpropan-1-one (**3t**):

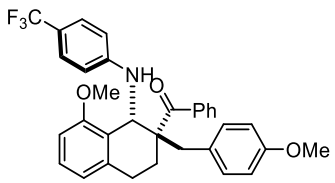
yield (62.0 mg, 57%); dr = 8:1; white solid; m.p. = 206.5–208.3 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 (d, *J* = 8.4 Hz, 2H), 7.25 – 7.16 (m, 4H), 7.14 – 7.06 (m, 3H), 7.04 – 6.99 (m, 2H), 6.92 – 6.87 (m, 2H), 6.81 (d, *J* = 7.7 Hz, 1H), 6.77 (d, *J* = 8.4 Hz, 2H), 6.65 (d, *J* = 8.0 Hz, 1H), 5.24 (d, *J* = 8.6 Hz, 1H), 3.59 (d, *J* = 8.6 Hz, 1H), 3.42 (s, 3H), 3.18 (d, *J* = 13.8 Hz, 1H), 3.13 – 3.02 (m, 1H), 3.02 – 2.91 (m, 1H), 2.71 – 2.59 (m, 2H), 2.57 – 2.47 (m, 1H), 2.52 (d, *J* = 13.8 Hz, 1H), 2.36 – 2.27 (m, 1H), 2.25 – 2.14 (m, 1H), 2.12 – 2.01 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 213.7, 158.3, 150.3, 141.3, 136.9, 135.3, 129.6, 128.4, 128.3, 128.2, 128.1, 126.6, 125.9 (q, *J* = 3.8 Hz), 125.8, 125.1 (q, *J* = 268.8 Hz), 125.2, 121.1, 119.1 (q, *J* = 32.5 Hz), 113.2, 107.9, 54.8, 54.7, 53.3, 43.2, 39.2, 29.0, 25.0, 20.8.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.80.

HRMS (ESI+) Calcd. For $C_{34}H_{32}F_3NO_2Na^+$ ([M+ Na]$^+$): 566.2277, found: 566.2280.



3u

(8-methoxy-2-(4-methoxybenzyl)-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydro-naphthalen-2-yl)(phenyl)methanone (**3u**):

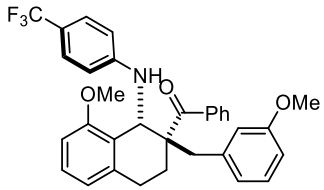
yield (69.8 mg, 64%); dr > 20:1; white solid; m.p. = 184.9–186.7 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 (d, *J* = 8.4 Hz, 2H), 7.28 – 7.22 (m, 1H), 7.20 – 7.15 (m, 1H), 7.15 – 7.08 (m, 4H), 6.86 – 6.78 (m, 3H), 6.75 (d, *J* = 8.4 Hz, 2H), 6.68 – 6.59 (m, 3H), 5.42 (d, *J* = 9.4 Hz, 1H), 3.78 (s, 3H), 3.60 (d, *J* = 9.4 Hz, 1H), 3.43 (s, 3H), 3.35 (d, *J* = 13.6 Hz, 1H), 3.22 – 3.07 (m, 1H), 3.03 – 2.91 (m, 1H), 2.61 (d, *J* = 13.6 Hz, 1H), 2.26 – 2.14 (m, 1H), 2.02 – 1.90 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.8, 158.4, 158.2, 150.1, 141.7, 135.1, 131.3, 129.4, 129.1, 128.1, 127.6, 125.9 (q, *J* = 3.8 Hz), 125.5, 125.1 (q, *J* = 260.1 Hz), 125.3, 121.0, 119.1 (q, *J* = 32.2 Hz), 114.0, 113.0, 107.9, 55.6, 55.2, 54.8, 54.1, 38.3, 25.2, 22.7.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.81.

HRMS (ESI+) Calcd. For $C_{33}H_{30}F_3NO_2Na^+$ ([M+ Na]$^+$): 568.2070, found: 568.2076.



3v

(8-methoxy-2-(3-methoxybenzyl)-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydro-naphthalen-2-yl)(phenyl)methanone (**3v**):

yield (61.1 mg, 56%); dr > 20:1; white solid; m.p. = 195.4–197.2 ºC;

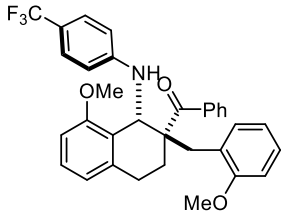
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38 (d, *J* = 8.4 Hz, 2H), 7.28 – 7.15 (m, 3H), 7.15 – 7.09 (m, 2H), 6.84 – 6.73 (m, 6H), 6.68 – 6.59 (m, 1H), 6.63 (d, *J* = 8.4 Hz, 2H), 5.43 (d, *J* = 9.4 Hz, 1H), 3.71 (s, 3H), 3.60 (d, *J* = 9.4 Hz, 1H), 3.43 (s, 3H), 3.40 (d, *J* = 13.4 Hz, 1H), 3.22 – 3.09 (m, 1H), 3.02 – 2.93 (m, 1H), 2.64 (d, *J* = 13.4 Hz, 1H), 2.27 – 2.17 (m, 1H), 2.03 – 1.91 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.7, 159.6, 158.2, 150.0, 141.7, 138.7, 135.0, 129.5, 129.4, 128.1,

127.6, 125.9 (q, J = 3.8 Hz), 125.5, 125.3, 125.1 (q, J = 268.9 Hz), 122.7, 121.0, 119.2 (q, J = 32.4 Hz), 116.0, 113.0, 112.4, 107.9, 55.5, 55.1, 54.8, 54.2, 39.3, 25.2, 22.8.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.83.

HRMS (ESI+) Calcd. For $C_{33}H_{30}F_3NO_2Na^+$ ([M+ Na]$^+$): 568.2070, found: 568.2070.



3w

(8-methoxy-2-(2-methoxybenzyl)-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydro-naphthalen-2-yl)(phenyl)methanone (**3w**):

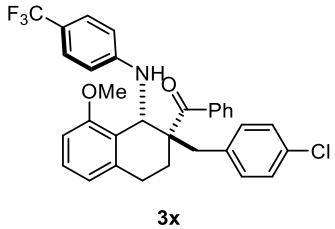
yield (54.6 mg, 50%); dr > 20:1; white solid; m.p. = 209.5–211.4 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.33 – 7.14 (m, 7H), 7.11 – 7.06 (m, 1H), 6.99 – 6.93 (m, 2H), 6.89 – 6.82 (m, 2H), 6.80 (d, J = 7.7 Hz, 1H), 6.69 – 6.63 (m, 3H), 5.51 (d, J = 8.4 Hz, 1H), 3.56 (s, 3H), 3.56 – 3.53 (m, 1H), 3.46 (s, 3H), 3.33 – 3.22 (m, 1H), 3.29 (d, J = 13.6 Hz, 1H), 2.96 (d, J = 13.6 Hz, 1H), 2.94 – 2.84 (m, 1H), 2.25 – 2.14 (m, 1H), 2.02 – 1.90 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 208.5, 158.1, 157.9, 150.0, 141.5, 135.7, 132.3, 129.5, 128.1, 127.9, 127.5, 126.4, 125.7, 125.6 (q, J = 3.4 Hz), 125.5, 125.1 (q, J = 268.4 Hz), 121.0, 120.5, 118.8 (q, J = 32.5 Hz), 113.0, 110.4, 107.7, 54.9, 54.8, 54.5, 53.0, 33.8, 24.9, 23.9.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.81.

HRMS (ESI+) Calcd. For $C_{33}H_{30}F_3NO_2Na^+$ ([M+ Na]$^+$): 568.2070, found: 568.2075.



3x

(2-(4-chlorobenzyl)-8-methoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(phenyl)methanone (**3x**):

yield (59.4 mg, 54%); dr > 20:1; white solid; m.p. = 201.5–203.1 ºC;

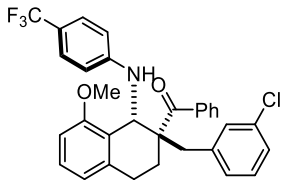
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 (d, J = 8.4 Hz, 2H), 7.29 – 7.23 (m, 3H), 7.21 – 7.16 (m, 3H),

7.16 – 7.11 (m, 2H), 6.83 – 6.79 (m, 1H), 6.74 (d, *J* = 8.4 Hz, 2H), 6.69 – 6.64 (m, 3H), 5.41 (d, *J* = 9.6 Hz, 1H), 3.60 (d, *J* = 9.6 Hz, 1H), 3.43 (s, 3H), 3.39 (d, *J* = 13.6 Hz, 1H), 3.17 – 3.05 (m, 1H), 3.04 – 2.95 (m, 1H), 2.64 (d, *J* = 13.6 Hz, 1H), 2.21 – 2.12 (m, 1H), 2.08 – 1.97 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.3, 158.2, 150.0, 141.5, 135.7, 134.8, 132.7, 131.7, 129.7, 128.7, 128.2, 127.7, 125.9 (q, *J* = 3.9 Hz), 125.5, 125.1, 125.0 (q, *J* = 268.4 Hz), 121.0, 119.3 (q, *J* = 32.4 Hz), 113.0, 108.0, 55.6, 54.8, 54.2, 38.6, 25.2, 22.7.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.87.

HRMS (ESI+) Calcd. For $C_{32}H_{27}ClF_3NO_2Na^+$ ([M+ Na]$^+$): 572.1575, found: 572.1582.



3y

(2-(3-chlorobenzyl)-8-methoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(phenyl)methanone (**3y**):

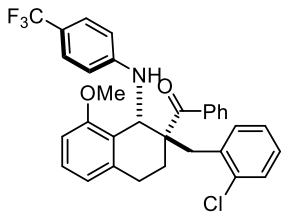
yield (66.0 mg, 60%); dr > 20:1; white solid; m.p. = 205.5–207.3 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38 (d, *J* = 8.4 Hz, 2H), 7.30 – 7.11 (m, 7H), 7.10 – 7.04 (m, 1H), 6.82 (d, *J* = 7.7 Hz, 1H), 6.76 (d, *J* = 8.4 Hz, 2H), 6.71 – 6.63 (m, 3H), 5.41 (d, *J* = 9.6 Hz, 1H), 3.61 (d, *J* = 9.6 Hz, 1H), 3.43 (s, 3H), 3.39 (d, *J* = 13.4 Hz, 1H), 3.17 – 3.06 (m, 1H), 3.05 – 2.96 (m, 1H), 2.64 (d, *J* = 13.4 Hz, 1H), 2.21 – 2.13 (m, 1H), 2.11 – 1.99 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.3, 158.2, 150.0, 141.5, 139.3, 134.8, 134.3, 130.3, 129.8, 129.6, 129.1, 128.6, 128.2, 127.7, 127.0, 126.4, 125.9 (q, *J* = 3.8 Hz), 125.5, 125.1, 125.0 (q, *J* = 268.4 Hz), 123.7, 121.1, 121.0, 119.3 (q, *J* = 32.4 Hz), 113.0, 108.0, 55.5, 54.8, 54.2, 38.9, 25.2, 22.8.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.86.

HRMS (ESI+) Calcd. For $C_{32}H_{27}ClF_3NO_2Na^+$ ([M+ Na]$^+$): 572.1575, found: 572.1581.



3z

(2-(2-chlorobenzyl)-8-methoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(phenyl)methanone (**3z**):

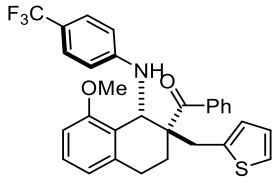
yield (62.7 mg, 57%); dr > 20:1; white solid; m.p. = 181.6–183.7 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40 – 7.27 (m, 4H), 7.22 – 7.16 (m, 4H), 7.16 – 7.10 (m, 2H), 6.99 – 6.95 (m, 2H), 6.79 (d, *J* = 7.7 Hz, 1H), 6.72 – 6.64 (m, 3H), 5.50 (d, *J* = 9.4 Hz, 1H), 3.60 (d, *J* = 9.4 Hz, 1H), 3.46 (s, 3H), 3.45 – 3.40 (m, 1H), 3.26 – 3.15 (m, 1H), 3.11 (d, *J* = 14.5 Hz, 1H), 2.98 – 2.87 (m, 1H), 2.36 – 2.24 (m, 1H), 2.20 – 2.07 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 209.3, 158.0, 149.9, 141.2, 135.5, 135.3, 135.0, 131.9, 130.01, 129.96, 128.1, 128.06, 127.7, 126.8, 126.3, 125.8 (q, *J* = 3.7 Hz), 125.1 (q, *J* = 268.8 Hz), 125.0, 121.1, 119.1 (q, *J* = 32.1 Hz), 113.1, 107.9, 55.1, 54.9, 53.7, 35.8, 25.0, 23.8.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.85.

HRMS (ESI+) Calcd. For $C_{32}H_{27}ClF_3NO_2Na^+$ ([M+ Na]$^+$): 572.1575, found: 572.1575.



3aa

(8-methoxy-2-(thiophen-2-ylmethyl)-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(phenyl)methanone (**3aa**):

yield (68.8 mg, 66%); dr > 20:1; white solid; m.p. = 196.1–198.3 ºC;

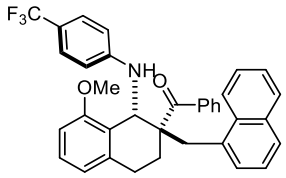
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 (d, *J* = 8.4 Hz, 2H), 7.29 – 7.25 (m, 1H), 7.22 – 7.08 (m, 4H), 6.98 – 6.92 (m, 1H), 6.86 – 6.79 (m, 2H), 6.78 – 6.71 (m, 2H), 6.75 (d, *J* = 8.4 Hz, 2H), 6.65 (d, *J* = 8.1 Hz, 1H), 5.36 (d, *J* = 9.4 Hz, 1H), 3.68 (d, *J* = 14.6 Hz, 1H), 3.63 (d, *J* = 9.4 Hz, 1H), 3.42 (s, 3H), 3.18 – 3.04 (m, 1H), 3.04 – 2.93 (m, 1H), 2.84 (d, *J* = 14.6 Hz, 1H), 2.40 – 2.28 (m, 1H), 2.12 – 1.98 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.6, 158.3, 150.0, 141.6, 138.7, 135.2, 129.6, 128.2, 127.6, 127.5,

127.1, 125.9 (q, J = 3.8 Hz), 125.7, 125.1 (q, J = 268.4 Hz), 125.0, 124.3, 121.1, 119.3 (q, J = 32.6 Hz), 112.9, 108.0, 55.7, 54.8, 54.1, 33.4, 25.2, 23.0.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.86.

HRMS (ESI+) Calcd. For $C_{30}H_{27}F_3NO_2S^+$ ([M+ H]$^+$): 522.1709, found: 522.1701.



3bb

(8-methoxy-2-(naphthalen-1-ylmethyl)-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(phenyl)methanone (**3bb**):

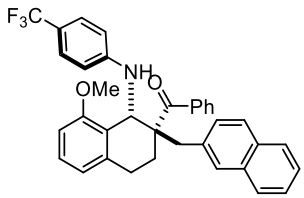
yield (62.2 mg, 55%); dr > 20:1; white solid; m.p. = 188.3–190.2 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.04 (d, J = 8.1 Hz, 1H), 7.82 – 7.78 (m, 1H), 7.77 – 7.73 (m, 1H), 7.46 – 7.40 (m, 2H), 7.39 – 7.34 (m, 4H), 7.23 – 7.12 (m, 2H), 7.04 – 6.98 (m, 2H), 6.81 (d, J = 7.7 Hz, 1H), 6.76 (d, J = 8.4 Hz, 2H), 6.67 (d, J = 8.1 Hz, 1H), 6.58 – 6.52 (m, 2H), 5.61 (d, J = 9.4 Hz, 1H), 3.93 (d, J = 14.6 Hz, 1H), 3.64 (d, J = 9.4 Hz, 1H), 3.47 (s, 3H), 3.20 – 3.06 (m, 2H), 2.99 – 2.86 (m, 1H), 2.33 – 2.24 (m, 1H), 2.16 – 2.03 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.5, 158.1, 150.0, 141.3, 135.2, 134.1, 133.6, 132.4, 129.5, 128.6, 128.2, 127.7, 127.6, 127.5, 125.9, 125.82 (q, J = 3.9 Hz), 125.78, 125.6, 125.4, 125.09 (q, J = 268.4 Hz), 125.06, 124.4, 121.1, 119.1 (q, J = 32.1 Hz), 113.1, 107.9, 55.2, 54.9, 54.3, 34.5, 25.2, 23.4.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.73.

HRMS (ESI+) Calcd. For $C_{36}H_{30}F_3NO_2Na^+$ ([M+ Na]$^+$): 588.2121, found: 588.2124.



3cc

(8-methoxy-2-(naphthalen-2-ylmethyl)-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(phenyl)methanone (**3cc**):

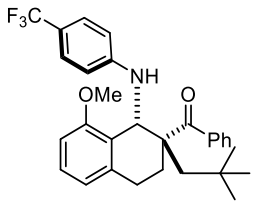
yield (71.3 mg, 63%); dr > 20:1; white solid; m.p. = 193.5–195.3 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 – 7.77 (m, 1H), 7.78 – 7.70 (m, 2H), 7.65 (s, 1H), 7.49 – 7.40 (m, 2H), 7.38 (d, *J* = 8.4 Hz, 2H), 7.36 – 7.29 (m, 1H), 7.24 – 7.14 (m, 2H), 7.07 – 6.99 (m, 2H), 6.85 (d, *J* = 7.7 Hz, 1H), 6.76 (d, *J* = 8.4 Hz, 2H), 6.69 – 6.59 (m, 3H), 5.48 (d, *J* = 9.2 Hz, 1H), 3.62 (d, *J* = 9.2 Hz, 1H), 3.61 (d, *J* = 13.6 Hz, 1H), 3.43 (s, 3H), 3.32 – 3.17 (m, 1H), 3.05 – 2.95 (m, 1H), 2.82 (d, *J* = 13.6 Hz, 1H), 2.28 – 2.14 (m, 1H), 2.08 – 1.94 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.7, 158.2, 150.1, 141.6, 135.1, 134.8, 133.4, 132.2, 129.5, 129.0, 128.5, 128.13, 128.09, 127.7, 127.6, 126.1, 125.9 (q, *J* = 2.0 Hz), 125.7, 125.6, 125.3, 125.1 (q, *J* = 268.5 Hz), 121.1, 119.2 (q, *J* = 32.2 Hz), 113.0, 107.9, 55.7, 54.8, 54.3, 39.4, 25.2, 22.9.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.79.

HRMS (ESI+) Calcd. For $C_{36}H_{30}F_3NO_2Na^+$ ([M+ Na]$^+$): 588.2121, found: 588.2119.



3dd

(8-methoxy-2-neopentyl-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(phenyl)methanone (**3dd**):

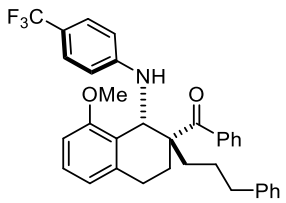
yield (51.5 mg, 52%); dr > 20:1; white solid; m.p. = 191.4–193.1 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.61 – 7.53 (m, 2H), 7.40 – 7.34 (m, 1H), 7.30 – 7.23 (m, 2H), 7.20 – 7.10 (m, 3H), 6.77 (d, *J* = 7.7 Hz, 1H), 6.60 (d, *J* = 8.0 Hz, 1H), 6.38 (d, *J* = 8.4 Hz, 2H), 5.21 (d, *J* = 9.6 Hz, 1H), 3.54 (d, *J* = 9.6 Hz, 1H), 3.37 (s, 3H), 3.04 – 2.94 (m, 2H), 2.78 – 2.67 (m, 1H), 2.27 (d, *J* = 15.2 Hz, 1H), 2.22 – 2.10 (m, 1H), 1.61 – 1.57 (m, 1H), 1.01 (s, 9H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 208.6, 158.0, 149.7, 140.7, 135.5, 130.6, 128.3, 127.9, 127.7, 125.8, 125.4 (q, *J* = 3.8 Hz), 125.0 (q, *J* = 268.8 Hz), 121.0, 118.6 (q, *J* = 31.9 Hz), 112.8, 107.7, 55.0, 54.7, 54.1, 45.1, 32.0, 31.8, 25.3, 24.1.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.90.

HRMS (ESI+) Calcd. For $C_{30}H_{32}F_3NO_2Na^+$ ([M+ Na]$^+$): 518.2277, found: 518.2278.



3ee

(8-methoxy-2-(3-phenylpropyl)-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydro-naphthalen-2-yl)(phenyl)methanone (**3ee**):

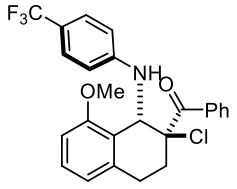
yield (76.1 mg, 70%); dr = 17:1; white solid; m.p. = 185.1–187.2 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.36 – 7.29 (m, 1H), 7.32 (d, *J* = 8.4 Hz, 2H), 7.26 – 7.21 (m, 3H), 7.20 – 7.06 (m, 7H), 6.72 (d, *J* = 7.7 Hz, 1H), 6.60 (d, *J* = 8.1 Hz, 1H), 6.42 (d, *J* = 8.4 Hz, 2H), 5.20 (d, *J* = 9.4 Hz, 1H), 3.68 (d, *J* = 9.4 Hz, 1H), 3.35 (s, 3H), 2.93 – 2.83 (m, 1H), 2.76 – 2.62 (m, 1H), 2.60 – 2.53 (m, 2H), 2.36 – 2.22 (m, 1H), 2.19 – 1.98 (m, 2H), 1.82 – 1.66 (m, 1H), 1.66 – 1.47 (m, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 209.0, 158.2, 149.9, 141.6, 140.7, 135.8, 130.2, 129.0, 128.4, 128.3, 128.0, 127.9, 126.7, 126.4, 125.9, 125.5 (q, *J* = 3.9 Hz), 125.4, 125.0 (q, *J* = 268.7 Hz), 123.7, 121.1, 121.0, 118.7 (q, *J* = 32.4 Hz), 112.9, 107.8, 54.6, 54.4, 53.4, 36.1, 32.3, 26.8, 24.8, 22.6.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.88.

HRMS (ESI+) Calcd. For $C_{34}H_{32}F_3NO_2Na^+$ ([M+ Na]$^+$): 566.2277, found: 566.2279.



3ff

(2-chloro-8-methoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(phenyl)methanone (**3ff**):

yield (32.2 mg, 35%); dr > 20:1; white solid; m.p. = 193.1–195.0 ºC;

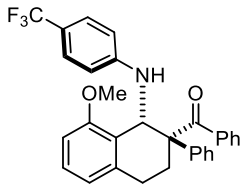
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (d, *J* = 8.4 Hz, 2H), 7.54 – 7.46 (m, 1H), 7.36 – 7.29 (m, 2H), 7.24 – 7.16 (m, 1H), 7.00 (d, *J* = 8.4 Hz, 2H), 6.83 (d, *J* = 7.7 Hz, 1H), 6.65 (d, *J* = 8.1 Hz, 1H), 6.12 (d, *J* = 8.4 Hz, 2H), 5.69 (d, *J* = 10.4 Hz, 1H), 3.59 (d, *J* = 10.4 Hz, 1H), 3.39 (s, 3H), 3.31 – 3.19 (m, 1H), 3.08 – 2.97 (m, 1H), 2.69 – 2.58 (m, 1H), 2.43 – 2.30 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 196.0, 158.2, 148.6, 136.2, 135.7, 132.3, 129.8, 128.5, 128.4, 125.3

(q, J = 4.1 Hz), 124.8 (q, J = 268.5 Hz), 123.2, 121.1, 119.3 (q, J = 32.1 Hz), 113.0, 107.9, 70.4, 54.7, 52.9, 26.6, 24.7.

^{19}F NMR (376 MHz, $CDCl_3$) δ -61.19.

HRMS (ESI+) Calcd. For $C_{25}H_{21}ClF_3NO_2Na^+$ ([M+ Na]$^+$): 482.1105, found: 482.1104.



3gg

(8-methoxy-2-phenyl-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(phenyl)methanone (**3gg**):

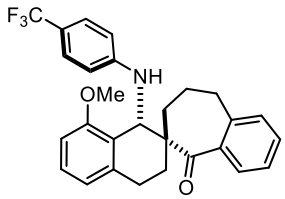
yield (61.1 mg, 61%); dr = 3:1; white solid; m.p. = 198.5–200.1 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.33 – 7.24 (m, 4H), 7.20 – 7.16 (m, 4H), 7.13 (t, J = 7.9 Hz, 1H), 7.08 – 7.03 (m, 2H), 6.95 (d, J = 8.4 Hz, 2H), 6.71 – 6.62 (m, 2H), 6.00 (d, J = 8.4 Hz, 2H), 5.85 (d, J = 10.6 Hz, 1H), 3.81 (d, J = 10.6 Hz, 1H), 3.36 (s, 3H), 2.83 – 2.73 (m, 1H), 2.64 – 2.56 (m, 1H), 2.43 – 2.31 (m, 1H), 2.21 – 2.08 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 202.5, 158.3, 150.2, 140.4, 138.7, 138.0, 132.0, 129.9, 129.8, 128.8, 128.6, 128.1, 127.5, 126.5, 125.7 (q, J = 3.7 Hz), 125.6 (q, J = 269.0 Hz), 122.0, 118.9 (q, J = 32.1 Hz), 113.3, 108.6, 59.5, 55.3, 50.2, 29.1, 25.8.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.90.

HRMS (ESI+) Calcd. For $C_{31}H_{26}F_3NO_2Na^+$ ([M+Na]$^+$): 524.1818, found: 524.1811.



3hh

8'-methoxy-1'-((4-(trifluoromethyl)phenyl)amino)-3',4',8,9-tetrahydro-1'H-spiro[benzo[7]annulene-6,2'-naphthalen]-5(7H)-one (**3hh**):

yield (42.8 mg, 46%); dr = 3:1; white solid; m.p. = 188.0–190.1 ºC;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.31 – 7.26 (m, 1H), 7.23 – 7.17 (m, 1H), 7.15 – 7.06 (m, 3H), 6.95 –

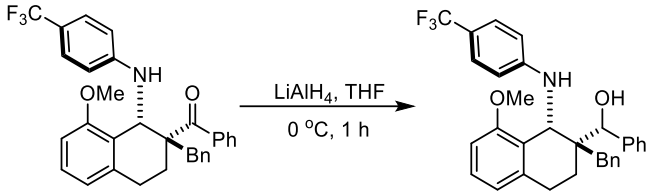
6.87 (m, 2H), 6.75 (d, J = 7.7 Hz, 1H), 6.59 (d, J = 8.1 Hz, 1H), 6.08 (d, J = 8.4 Hz, 2H), 5.24 (d, J = 9.4 Hz, 1H), 3.71 (d, J = 9.4 Hz, 1H), 3.34 (s, 3H), 3.05 – 2.95 (m, 3H), 2.95 – 2.87 (m, 1H), 2.27 – 2.13 (m, 2H), 2.08 – 1.93 (m, 1H), 1.91 – 1.80 (m, 2H), 1.78 – 1.69 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 210.5, 158.1, 149.8, 140.7, 137.7, 136.1, 130.1, 129.8, 128.8, 127.9, 127.0, 125.2 (q, J = 3.7 Hz), 125.0 (q, J = 268.3 Hz), 121.3, 118.5 (q, J = 32.3 Hz), 113.4, 107.9, 54.6, 53.3, 49.5, 35.8, 33.2, 24.7, 24.3, 23.9.

^{19}F NMR (376 MHz, $CDCl_3$) δ -60.92.

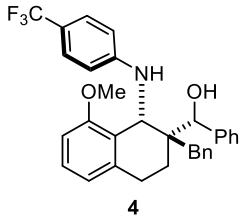
HRMS (ESI+) Calcd. For $C_{28}H_{26}F_3NO_2Na^+$ ($[M+ Na]^+$): 488.1808, found: 488.1810.

V. Synthetic Transformations



3a **4**, 71% yield, >20:1 dr

To a 4-mL vial charged with a stir bar were added **3a** (103 mg, 0.2 mmol) and THF (2 mL). To this vial, $LiAlH_4$ (15.2 mg, 0.4 mmol) was added and the mixture was stirred at 0 °C for 1 h. The progress of the reaction was monitored by TLC. After the completion of the reaction, the mixture was diluted with water (10 mL), and extracted with ethyl acetate (3 x 15 mL). The combined organic layers were washed with brine (15 mL), dried over Na_2SO_4 and concentrated carefully under vacuum. The residue was purified by chromatography on silica gel (eluent: PE/EA) to give the desired product **4**.



4

(2-benzyl-8-methoxy-1-((4-(trifluoromethyl)phenyl)amino)-1,2,3,4-tetrahydronaphthalen-2-yl)(phenyl)methanol (**4**):

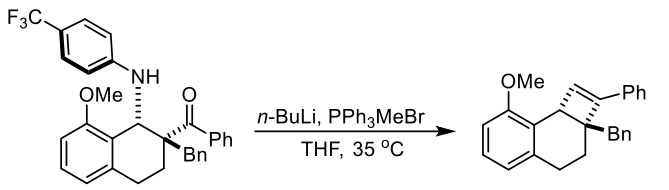
yield (74.3 mg, 71%); dr > 20:1; yellow solid; m.p. = 175.1–177.5 °C;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38 (d, *J* = 8.4 Hz, 2H), 7.25 – 7.13 (m, 8H), 7.12 – 7.02 (m, 3H), 6.72 (d, *J* = 7.7 Hz, 1H), 6.69 (d, *J* = 8.4 Hz, 2H), 6.57 (d, *J* = 8.1 Hz, 1H), 5.27 – 5.16 (m, 1H), 4.97 (s, 1H), 3.50 – 3.36 (m, 2H), 3.34 (s, 3H), 3.04 – 2.93 (m, 2H), 2.80 (d, *J* = 14.1 Hz, 1H), 2.59 (d, *J* = 14.1 Hz, 1H), 1.94 – 1.86 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 157.5, 150.3, 141.8, 138.1, 135.7, 130.4, 128.4, 128.1, 127.6, 127.1, 127.1, 127.0, 126.3, 125.8 (q, *J* = 3.6 Hz), 125.1 (q, *J* = 268.5 Hz) 121.1, 119.0 (q, *J* = 32.4 Hz), 114.1, 107.7, 78.4, 54.6, 52.3, 44.5, 39.5, 25.2, 22.4.

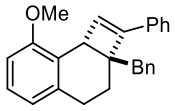
^{19}F NMR (376 MHz, $CDCl_3$) δ -60.81.

HRMS (ESI+) Calcd. For $C_{32}H_{31}F_3NO_2^+$ ([M+ H]$^+$): 518.2301, found: 518.2295.



3a **5**, 92% yield, >20:1 dr

To a flame-dried 10-mL Schlenk flask charged with a stir bar were added Ph_3PMeBr (256.8 mg, 0.4 mmol) and anhydrous THF (2 mL) under N_2 atmosphere. After cooling to 0 °C, *n*-BuLi (2.5 M in THF, 0.32 mL, 0.4 mmol) was added dropwise and stirred at this temperature for additional 30 min. Then, **3a** (103 mg, 0.2 mmol) in 2 mL anhydrous THF was added dropwise at 0 °C. The reaction mixture was warmed to 35 °C and stirred for additional 12 h. The progress of the reaction was monitored by TLC. After the completion of the reaction, the mixture was cooled to room temperature, diluted with water (5 mL), and extracted with ethyl acetate (3 x 15 mL). The combined organic layers were washed with brine (15 mL), dried over Na_2SO_4 and concentrated carefully under vacuum. The residue was purified by chromatography on silica gel (eluent: PE/EA) to give the desired product **5**.



5

yield (64.9 mg, 92%); dr > 20:1; yellow solid; m.p. = 196.5–198.2 °C;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.29 – 7.25 (m, 2H), 7.22 – 7.17 (m, 2H), 7.14 – 7.10 (m, 1H), 7.07 – 6.98 (m, 5H), 6.97 – 6.90 (m, 1H), 6.60 (d, *J* = 7.8 Hz, 2H), 6.03 (s, 1H), 3.96 (s, 1H), 3.67 (s, 3H), 3.21 (d, *J* = 13.7 Hz, 1H), 2.96 (d, *J* = 13.7 Hz, 1H), 2.64 – 2.42 (m, 2H), 2.28 – 2.17 (m, 1H), 1.62 – 1.46 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 157.3, 148.3, 140.5, 138.7, 134.0, 130.3, 128.4, 127.7, 127.6, 127.4, 126.1, 126.0, 125.9, 125.5, 120.6, 108.1, 55.5, 52.1, 44.2, 41.0, 31.1, 27.4.

HRMS (ESI+) Calcd. For $C_{26}H_{24}ONa^+$ ([M+ Na]$^+$): 375.1719, found: 375.1726.

VI. X-ray Structures of *rac*-3a, (1*S*,2*S*)-3a and *rac*-5

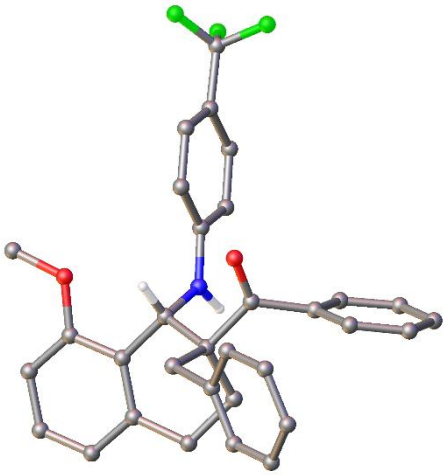


Figure S1. X-ray structure of *rac*-**3a**.

Crystal data for *rac*-**3a**: $C_{32}H_{28}F_3NO_2$, M_r = 515.55, T = 298 K, monoclinic, space group -P 2ybc 2, a = 9.59110(1), b = 23.4883(4), c = 11.4860(2) Å, β = 97.7030(10), V = 2564.20(7) Å^3, Z = 4, 3826 unique reflections, final R_1 = 0.0380 and wR_2 = 0.1006 for 4608 observed [I>2σ(I)] reflections. CCDC 2326949 contains the supplementary crystallographic data for this paper. These data can be obtained free of charge via www.ccdc.cam.ac.uk/conts/retrieving.html (or from the Cambridge Crystallographic Data Centre, 12, Union Road, Cambridge CB21EZ, UK; fax: (+44) 1223-336-033; or deposit@ccdc.cam.ac.uk).

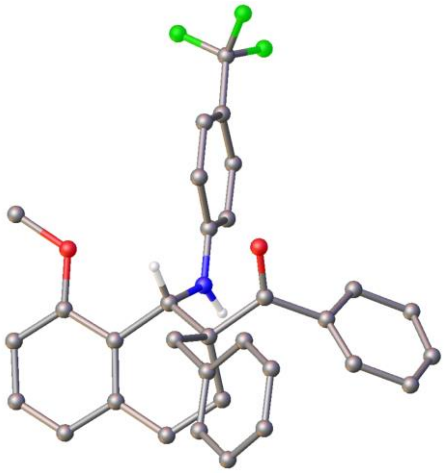


Figure S2. X-ray structure of (1*S*,2*S*)-**3a**.

Crystal data for (1*S*,2*S*)-**3a**: $C_{32}H_{28}F_3NO_2$, M_r = 515.55, T = 298 K, tetragonal, space group P 43 21 2, a = 16.5216(1), b = 16.5216(1), c = 20.1532(3) Å, V = 5501.08(11) Å^3, Z = 8, 3351 unique

reflections, final R_1 = 0.0614 and wR_2 = 0.2011 for 4940 observed [I>2σ(I)] reflections, Flack χ = 0.08(7). CCDC 2321837 contains the supplementary crystallographic data for this paper. These data can be obtained free of charge via www.ccdc.cam.ac.uk/conts/retrieving.html (or from the Cambridge Crystallographic Data Centre, 12, Union Road, Cambridge CB21EZ, UK; fax: (+44) 1223-336-033; or deposit@ccdc.cam.ac.uk).

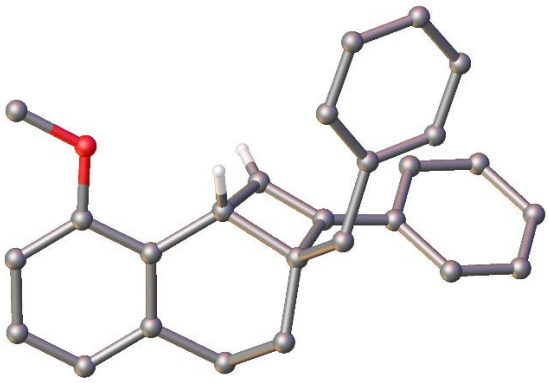


Figure S3. X-ray structure of *rac*-**5**.

Crystal data for *rac*-**5**: $C_{26}H_{24}O$, M_r = 352.45, T = 293 K, orthorhombic, space group P b c a, a= 22.7125(3) b= 7.2170(1) c= 22.9642(3) Å, V = 3764.20(9) Å^3, Z = 8, 3537 unique reflections, final R_1 = 0.0420 and wR_2 = 0.1129 for 3805 observed [I>2σ(I)] reflections. CCDC 2321838 contains the supplementary crystallographic data for this paper. These data can be obtained free of charge via www.ccdc.cam.ac.uk/conts/retrieving.html (or from the Cambridge Crystallographic Data Centre, 12, Union Road, Cambridge CB21EZ, UK; fax: (+44) 1223-336-033; or deposit@ccdc.cam.ac.uk).

VII. Mechanistic Investigation

Luminescence Quenching Experiments:

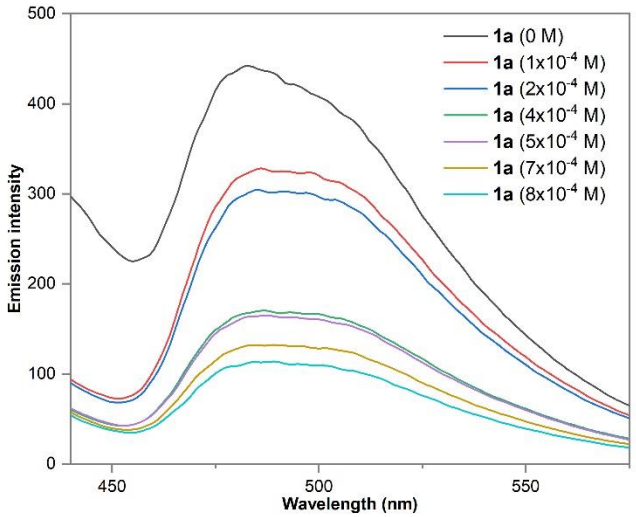


Figure S4. **Ir-I** emission quenching by **1a**

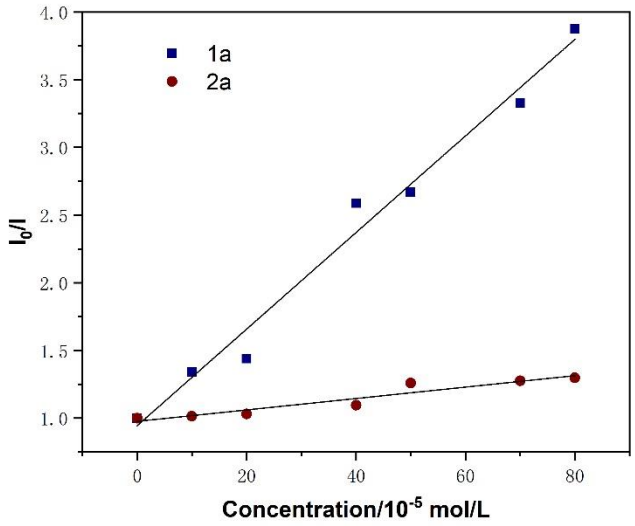


Figure S5. **Ir-I** emission quenching by **1a** and **2a**

Fluorescence quenching studies were performed on F-4600 Fluorescence Spectrophotometer. $Ir[dF(CF_3)ppy]_2(dtbbpy)PF_6$ solutions were excited at 380 nm and the emission intensity at 485 nm

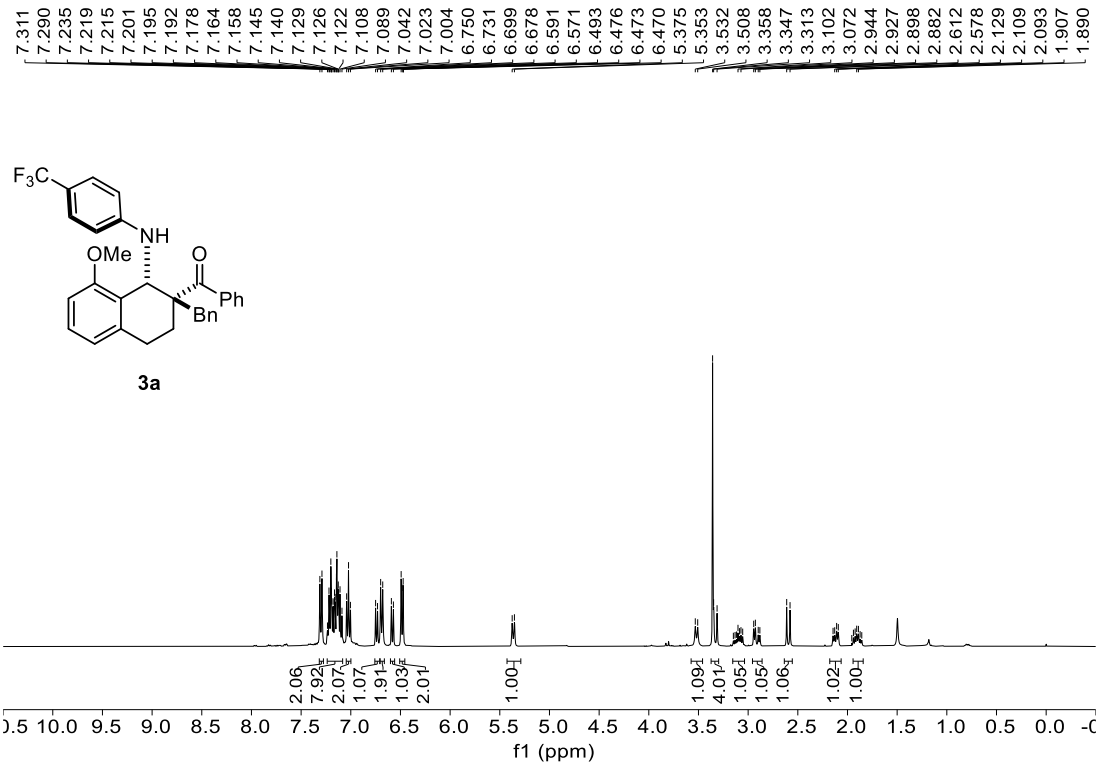
was observed. In a typical experiment, the emission spectrum of a 1×10^{-5} M solution of $Ir[dF(CF_3)ppy]_2(dtbbpy)PF_6$ in THF was collected. As shown in Figure **S4** and Figure **S5**, the results showed strong quenching of $[Ir(dF(CF_3)ppy)_2(dtbbpy)]PF_6$ by **1a**, **2a** did not obviously quench the excited catalyst. It might support our hypothesis on the initiation of this radical reaction through reductive quenching of the excited state of the photocatalyst by **1a**.

VIII. Reference

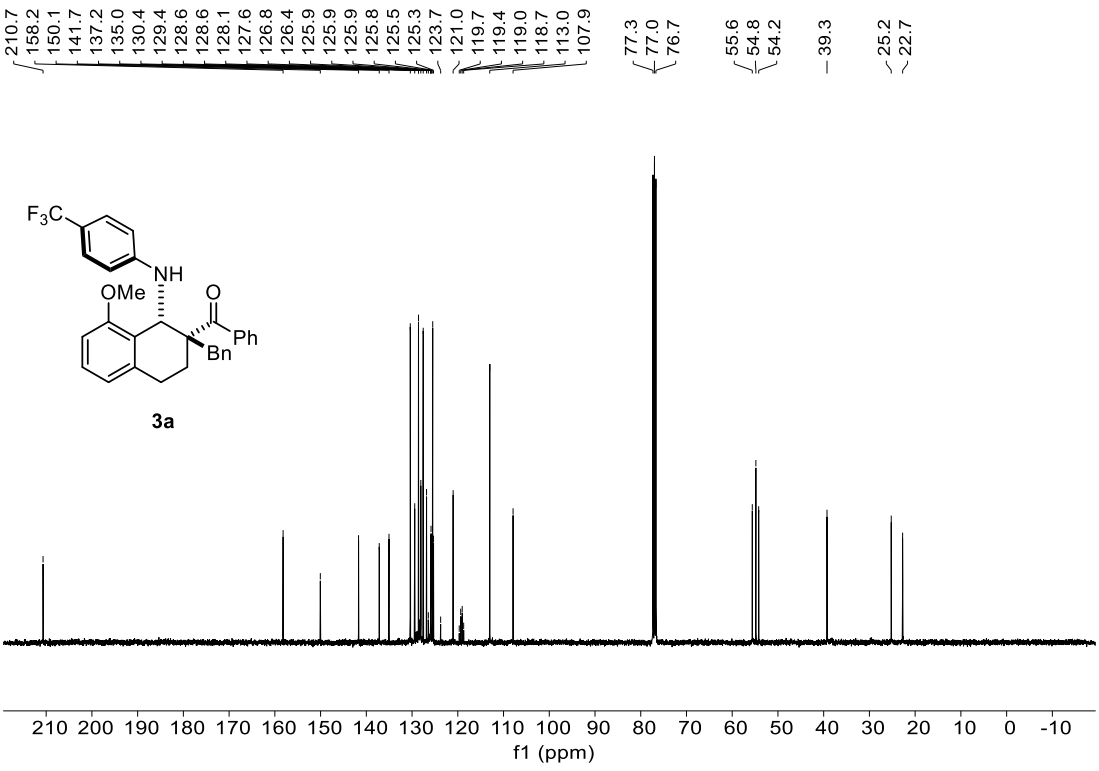
[1] Q. Wang, N. Zheng, *ACS Catal.* 2017, **7**, 4197-4201.

[2] a) Y. Luo, Q. Wei, L. Yang, Y. Zhou, W. Cao, Z. Su, X. Liu**,** X. Feng, *ACS Catal.* 2022, **12**, 12984-12992. b) J. A. R. Rodrigues, E. P. Siqueira-Filho, M. de Mancilha, P. J. S. Moran, *Synth. Commun.* 2003, **33**, 331-340.

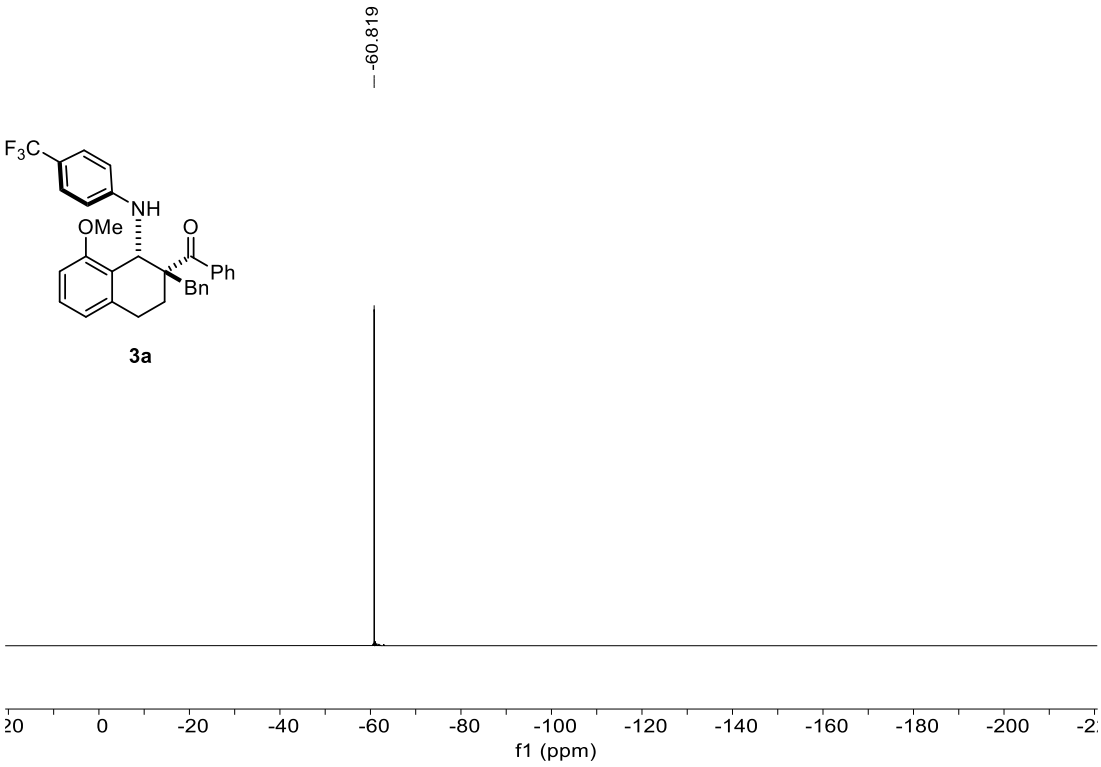
IX. NMR and HPLC Spectra



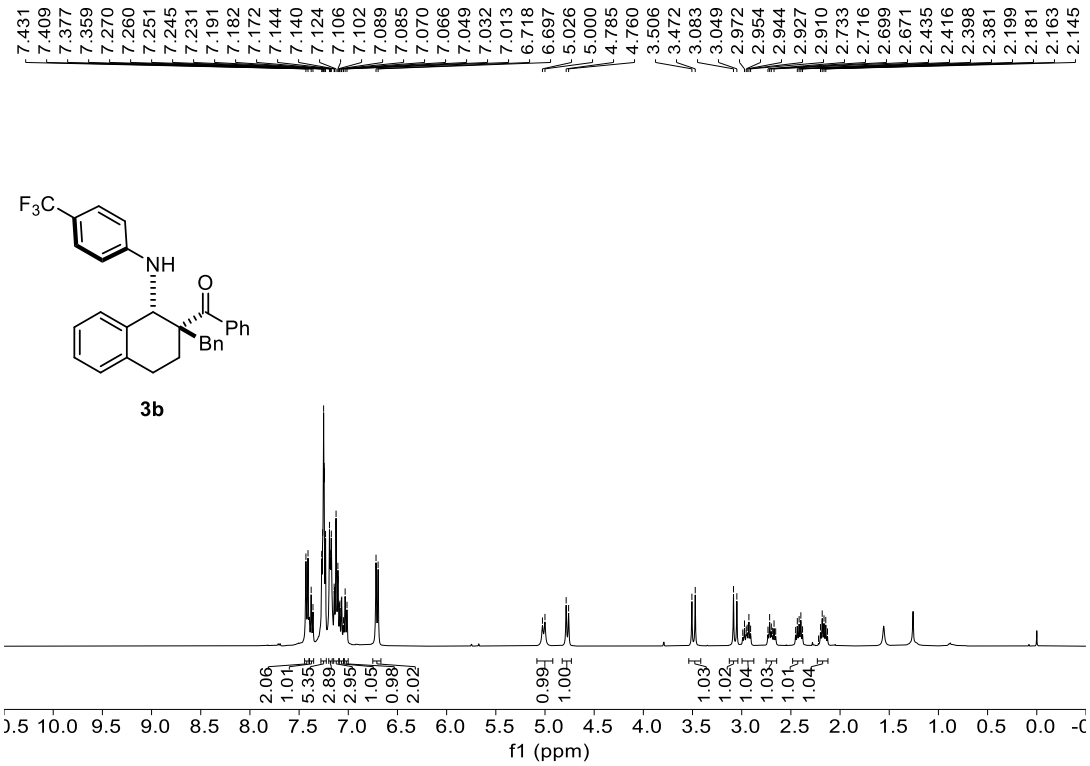
^{1}H NMR (400 MHz, $CDCl_3$) of **3a**



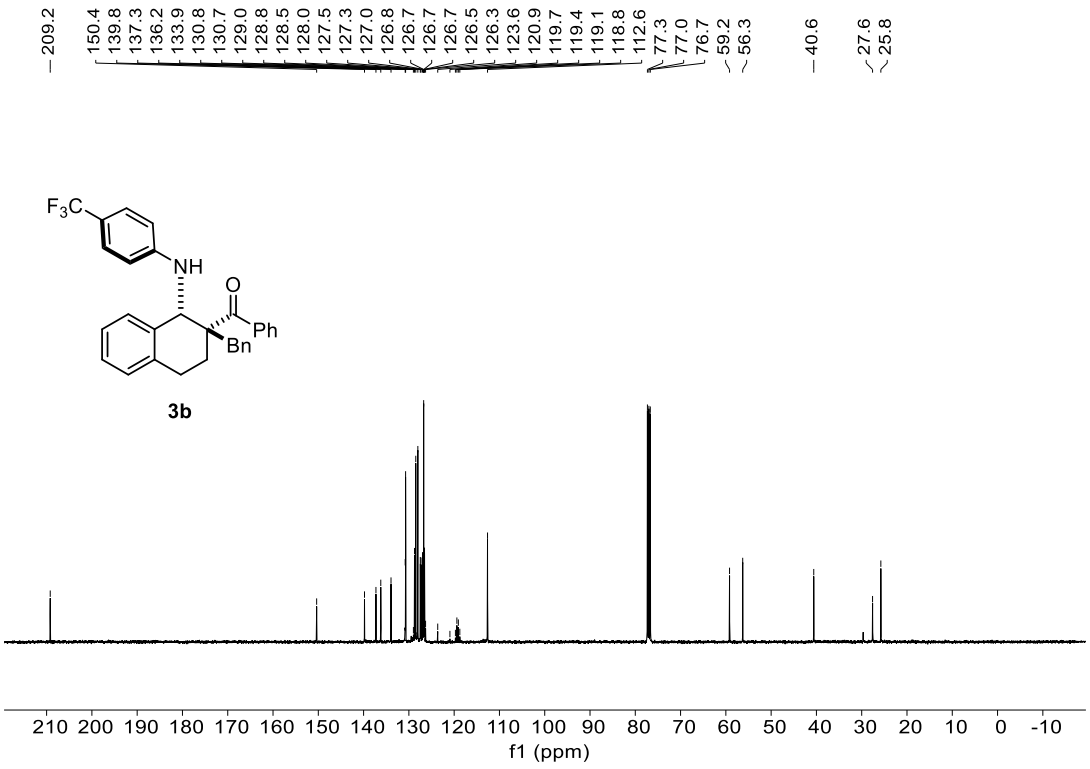
^{13}C NMR (100 MHz, $CDCl_3$) of **3a**



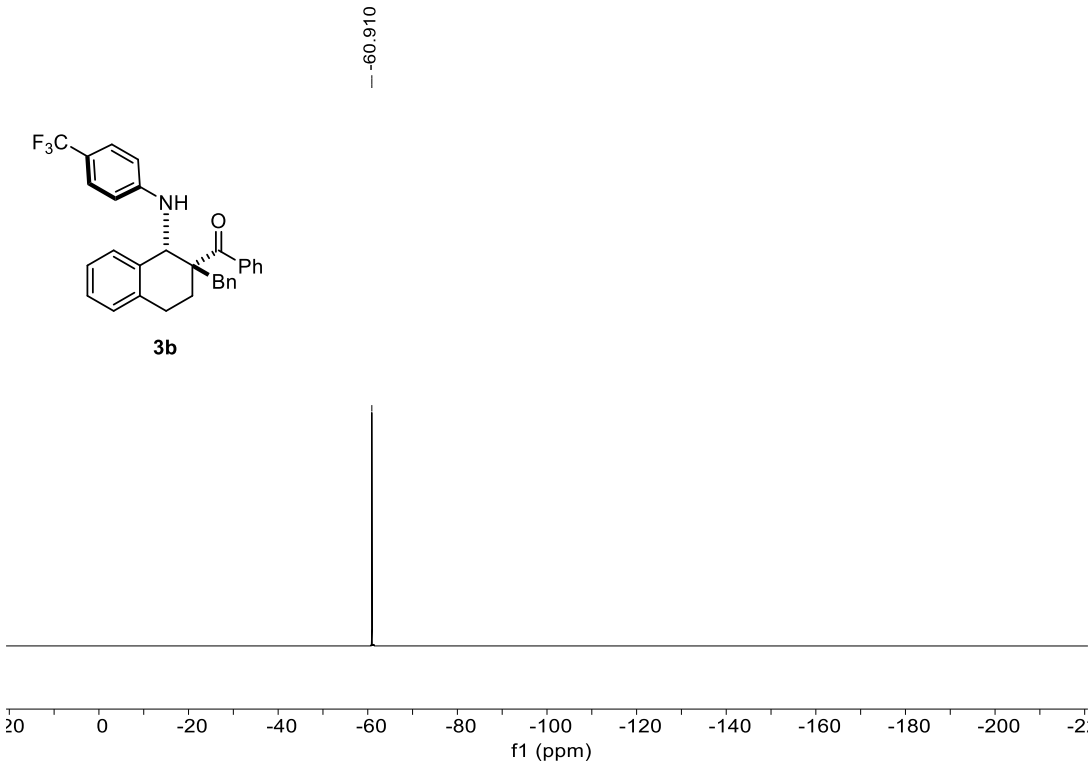
^{19}F NMR (376 MHz, $CDCl_3$) of **3a**



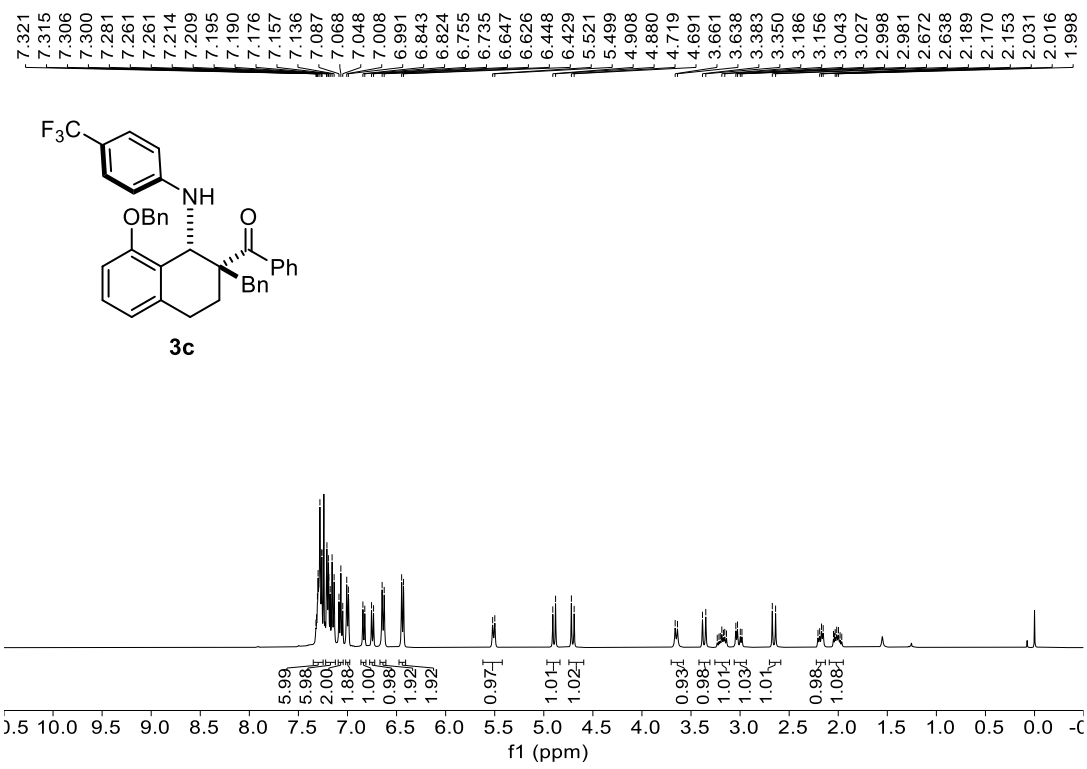
^{1}H NMR (400 MHz, $CDCl_3$) of **3b**



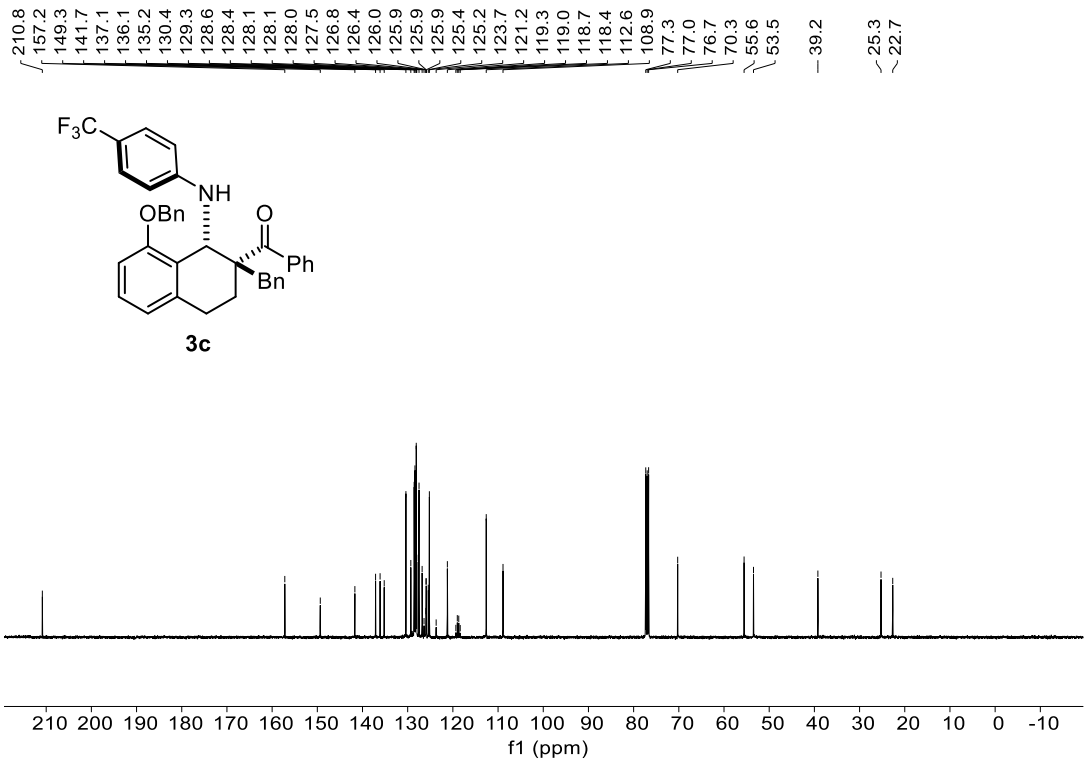
^{13}C NMR (100 MHz, $CDCl_3$) of **3b**



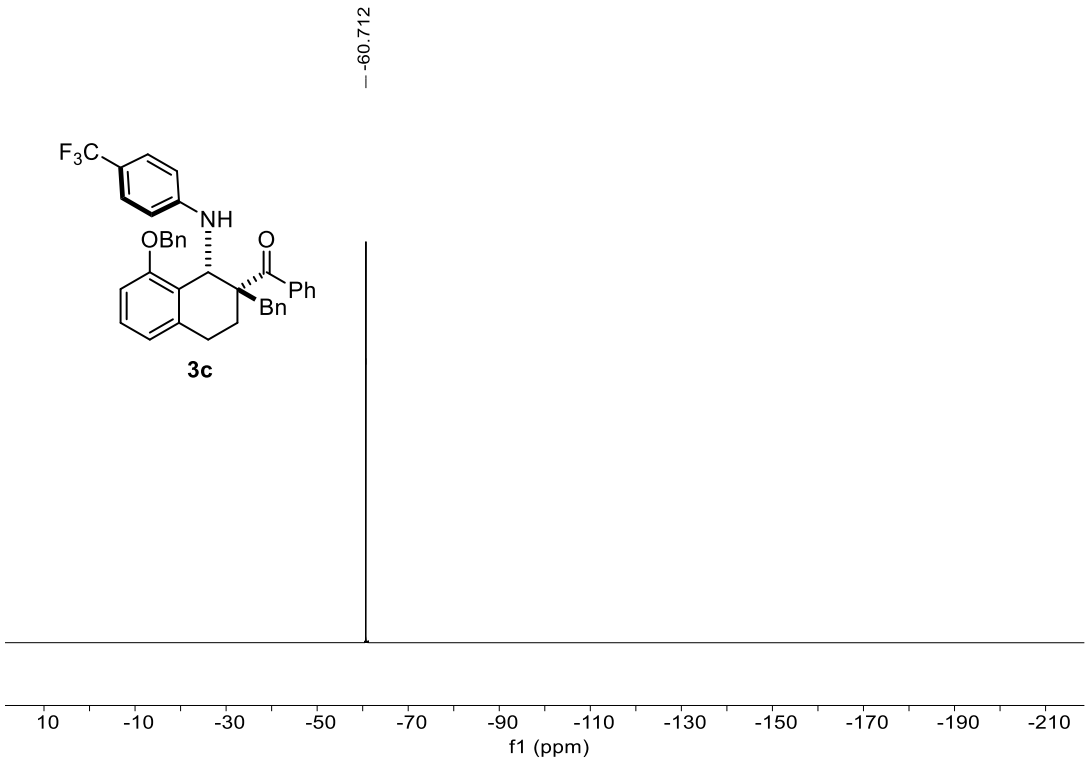
^{19}F NMR (376 MHz, $CDCl_3$) of **3b**



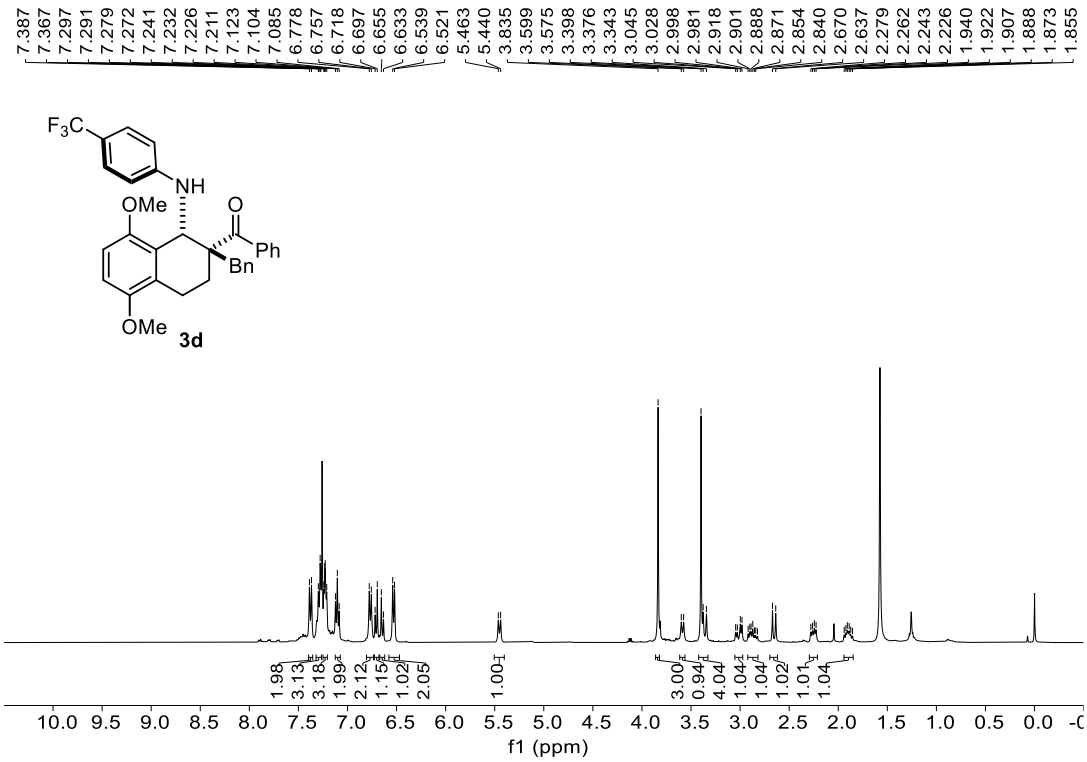
^{1}H NMR (400 MHz, $CDCl_3$) of **3c**



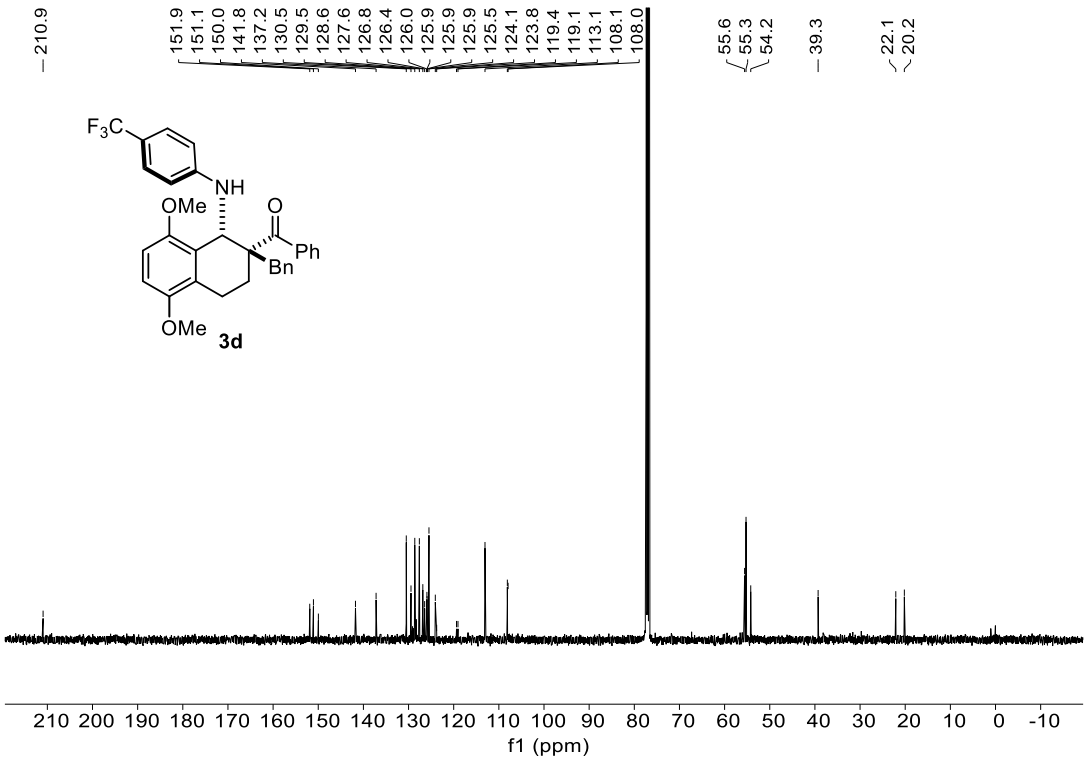
^{13}C NMR (100 MHz, $CDCl_3$) of **3c**



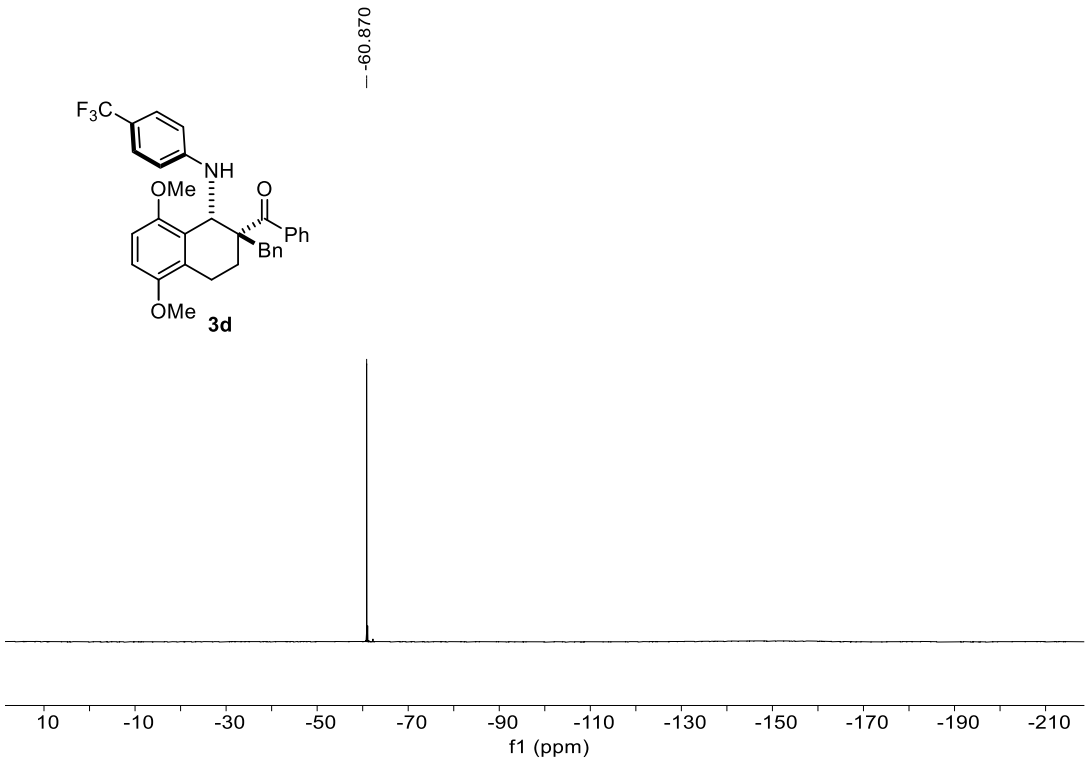
^{19}F NMR (376 MHz, $CDCl_3$) of **3c**



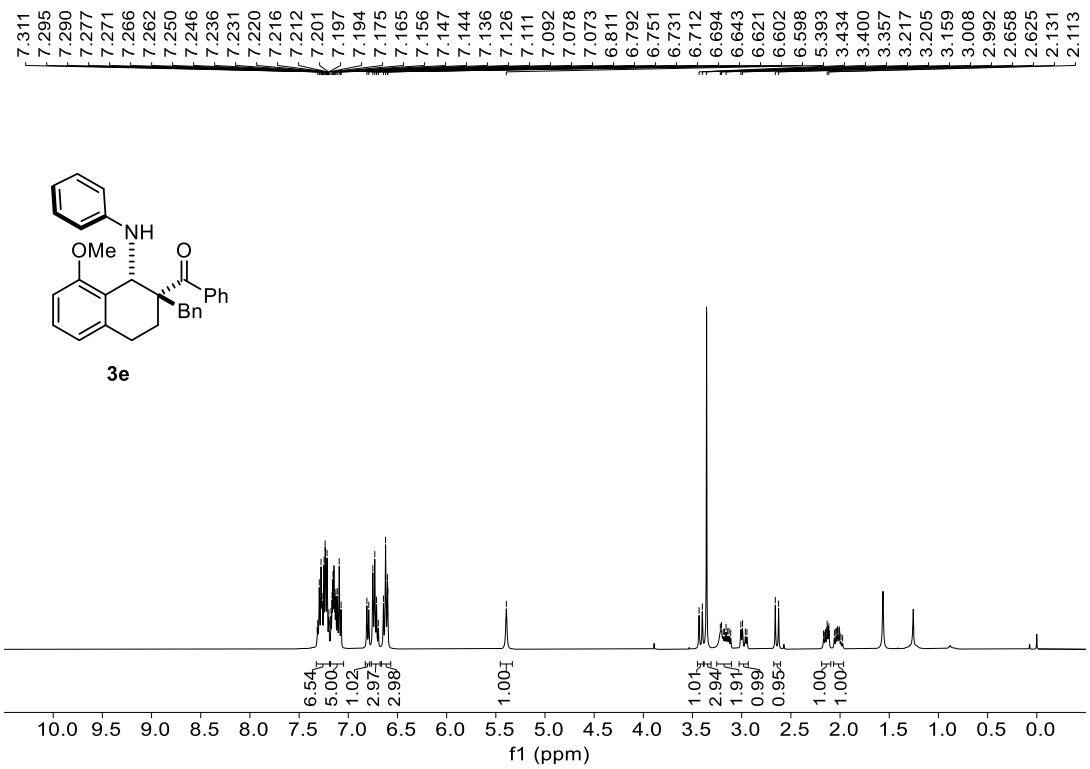
^{1}H NMR (400 MHz, $CDCl_3$) of **3d**



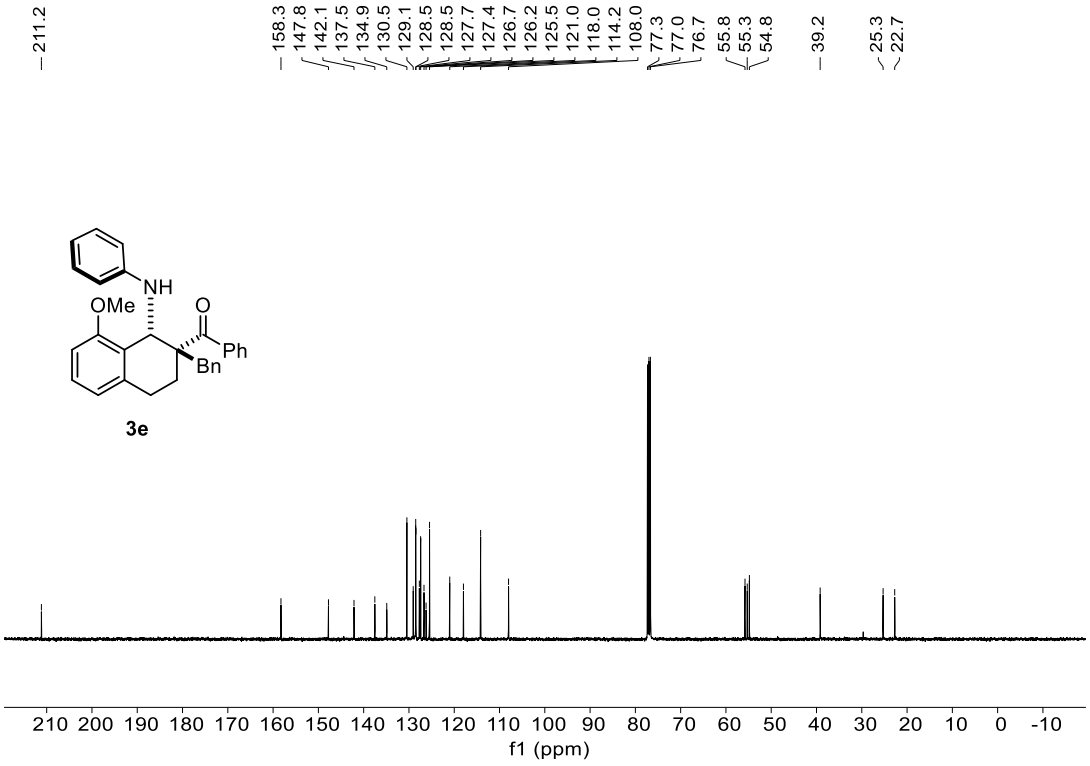
^{13}C NMR (100 MHz, $CDCl_3$) of **3d**



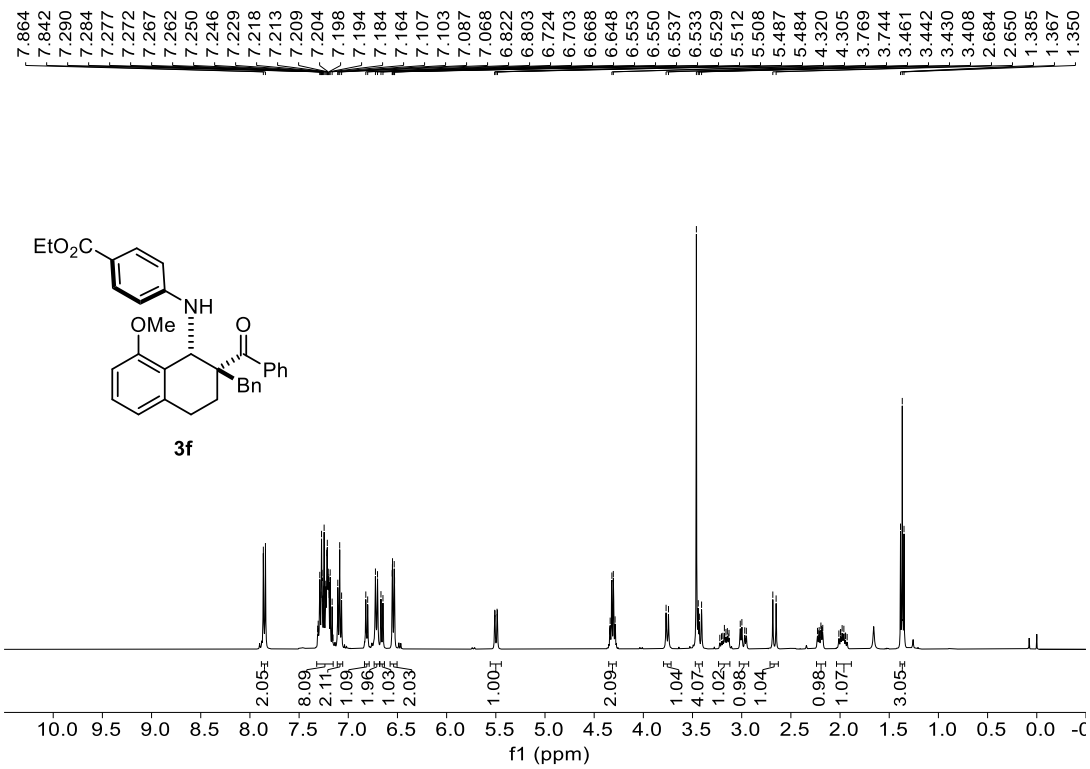
^{19}F NMR (376 MHz, $CDCl_3$) of **3d**



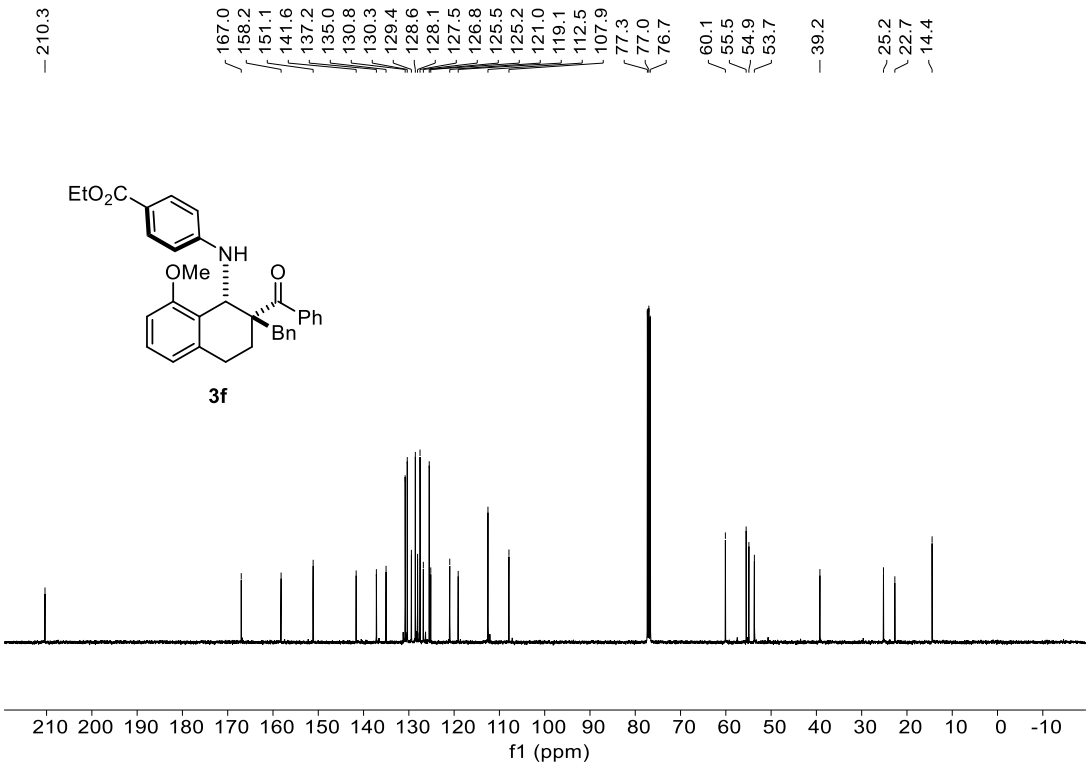
^{1}H NMR (400 MHz, $CDCl_3$) of **3e**



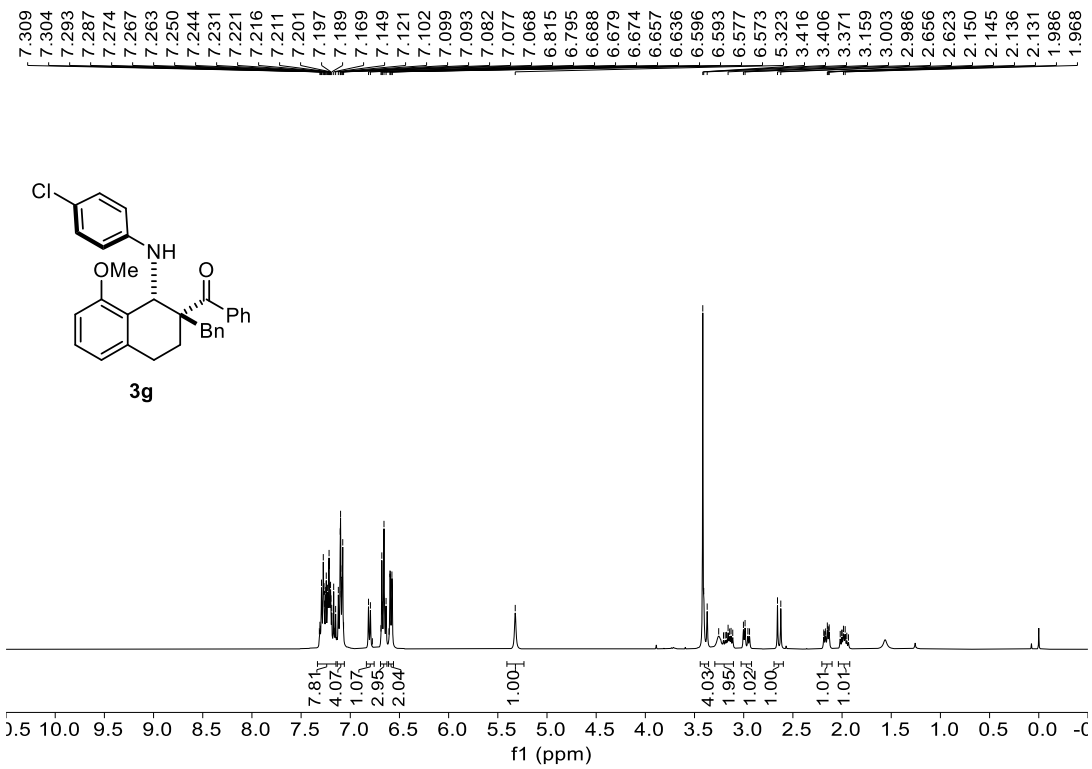
^{13}C NMR (100 MHz, $CDCl_3$) of **3e**



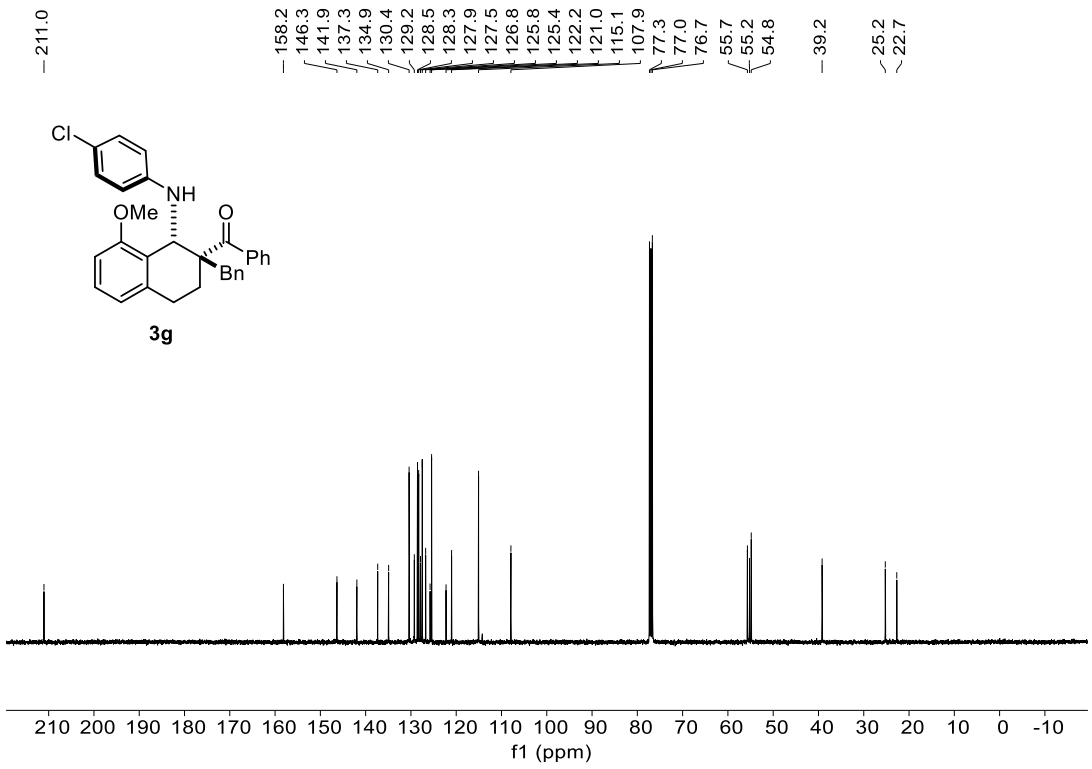
^{1}H NMR (400 MHz, $CDCl_3$) of **3f**



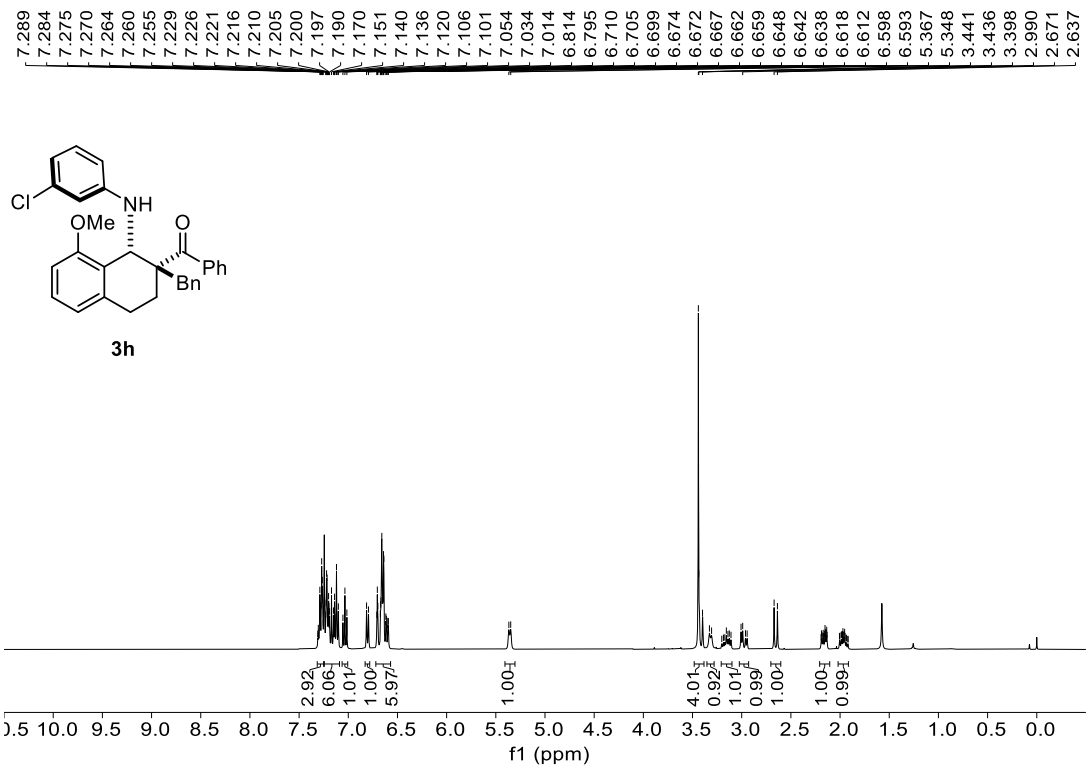
^{13}C NMR (100 MHz, $CDCl_3$) of **3f**



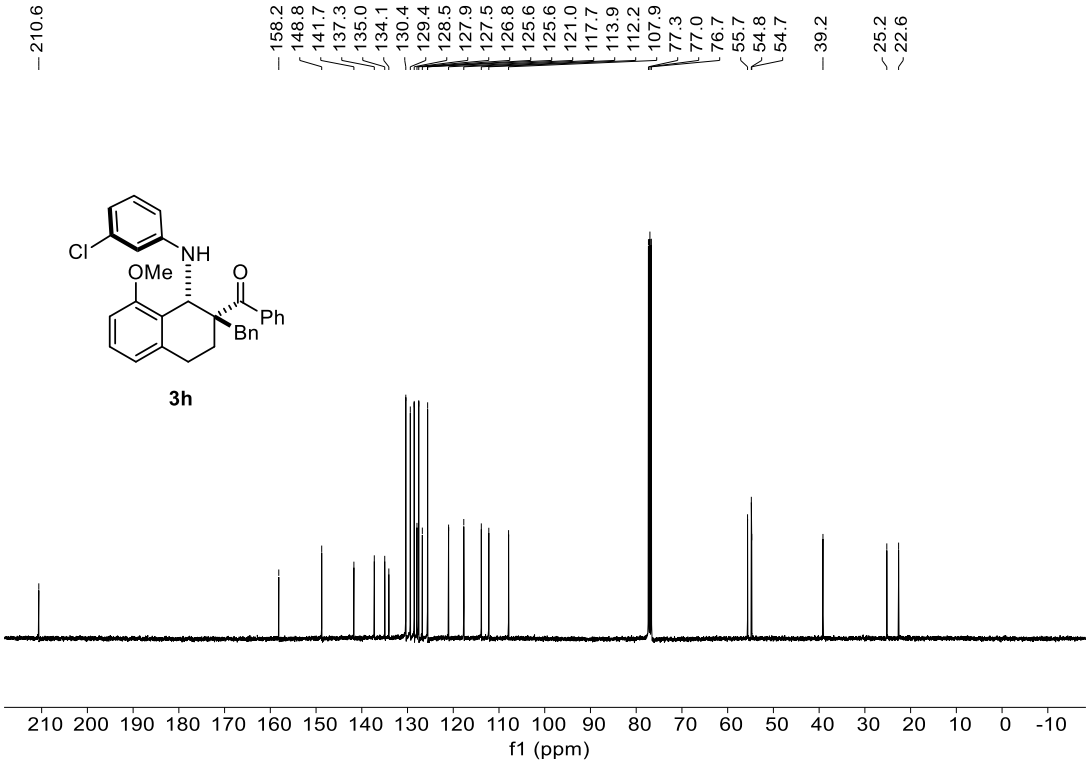
^{1}H NMR (400 MHz, $CDCl_3$) of **3g**



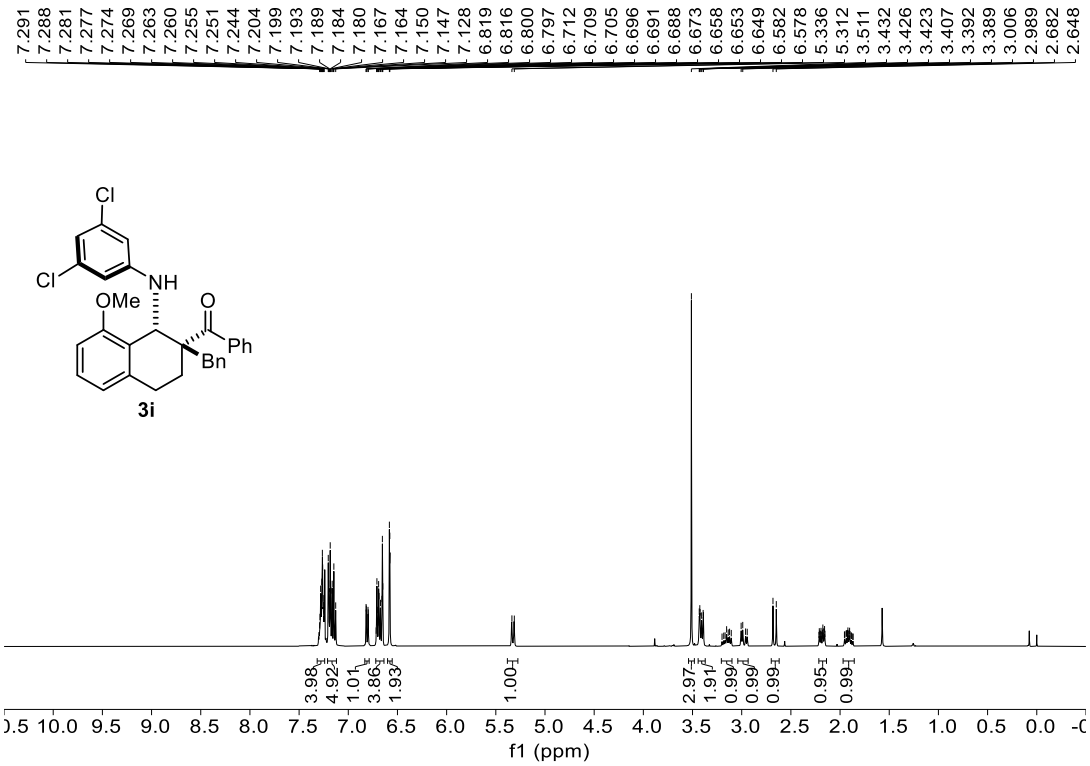
^{13}C NMR (100 MHz, $CDCl_3$) of **3g**



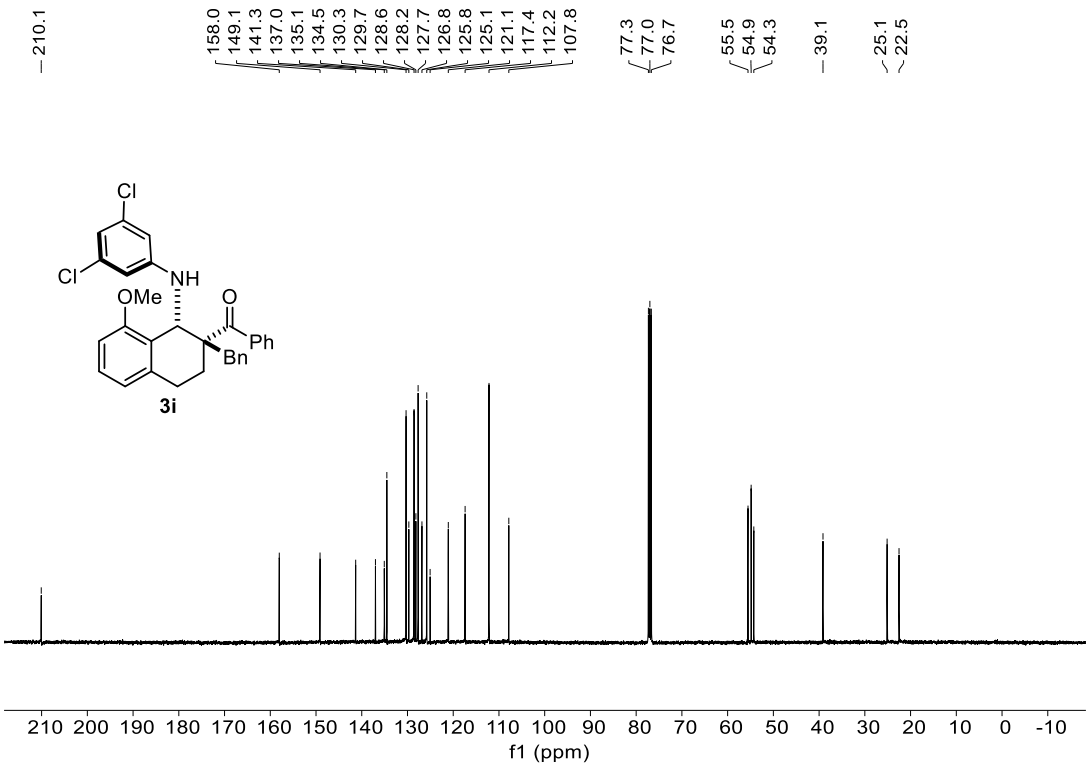
^{1}H NMR (400 MHz, $CDCl_3$) of **3h**



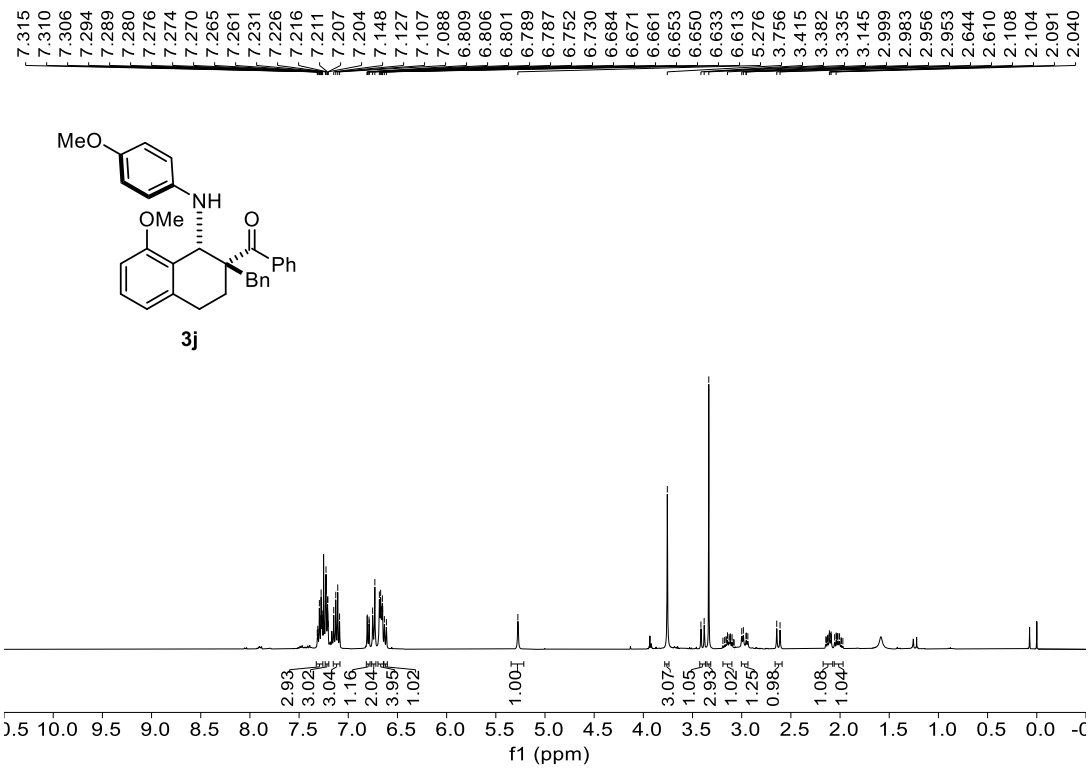
^{13}C NMR (100 MHz, $CDCl_3$) of **3h**



^{1}H NMR (400 MHz, $CDCl_3$) of **3i**



^{13}C NMR (100 MHz, $CDCl_3$) of **3i**



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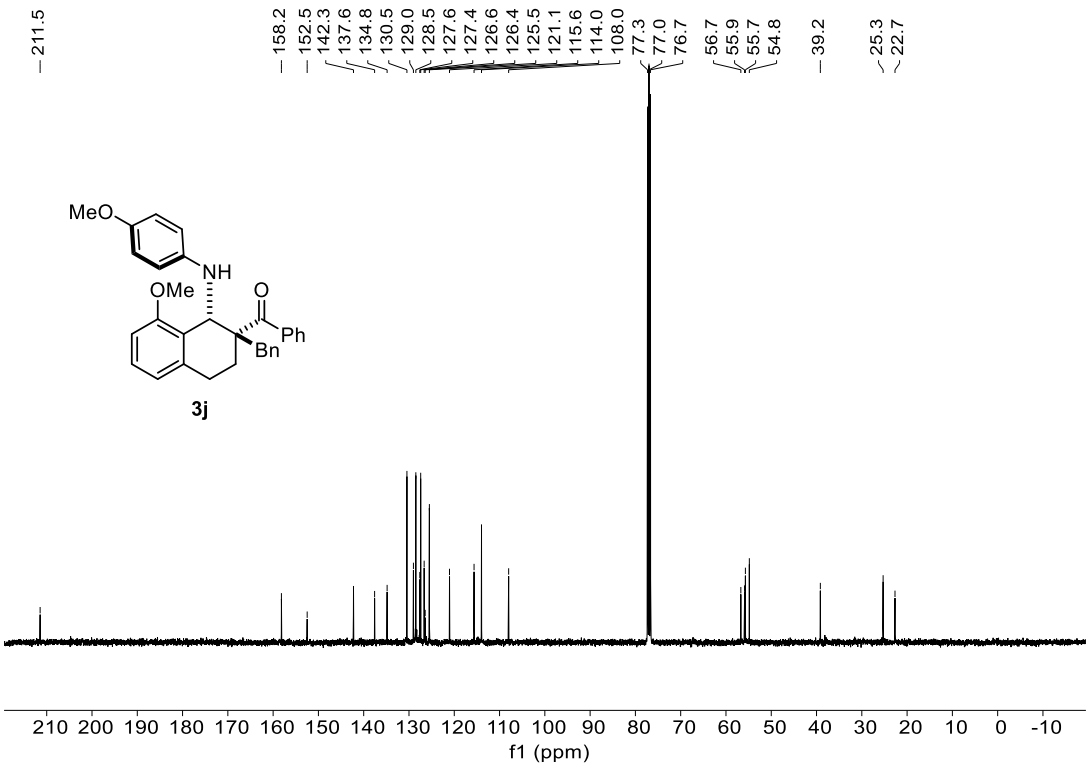

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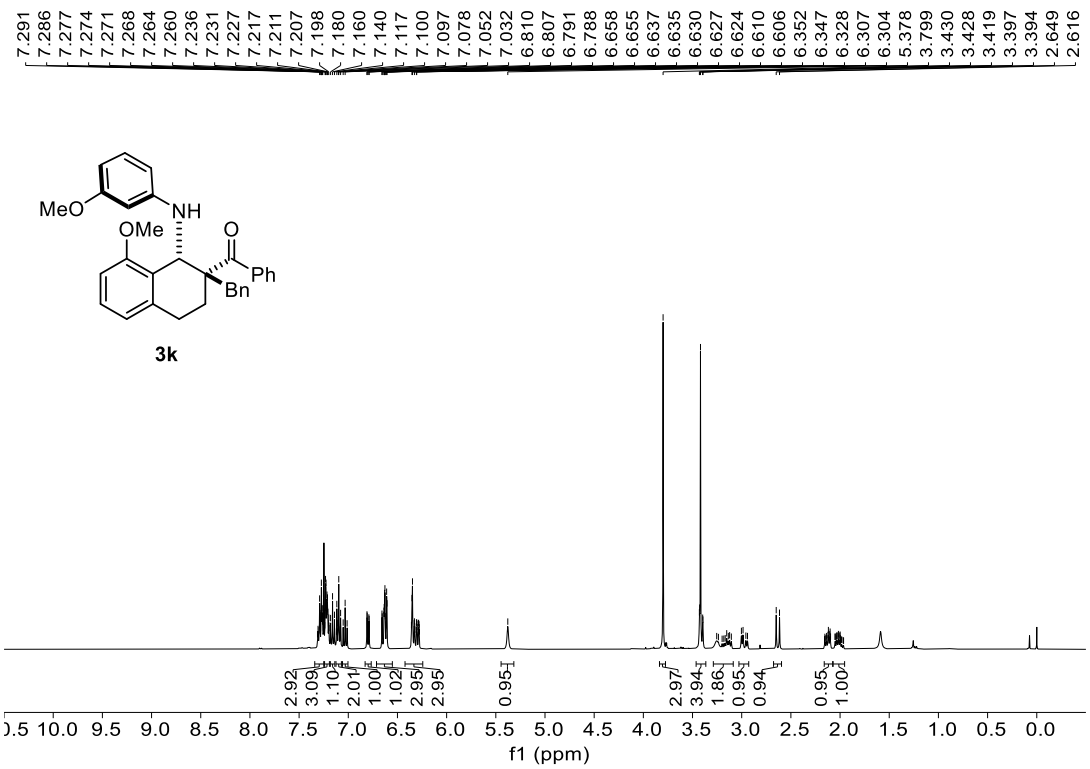
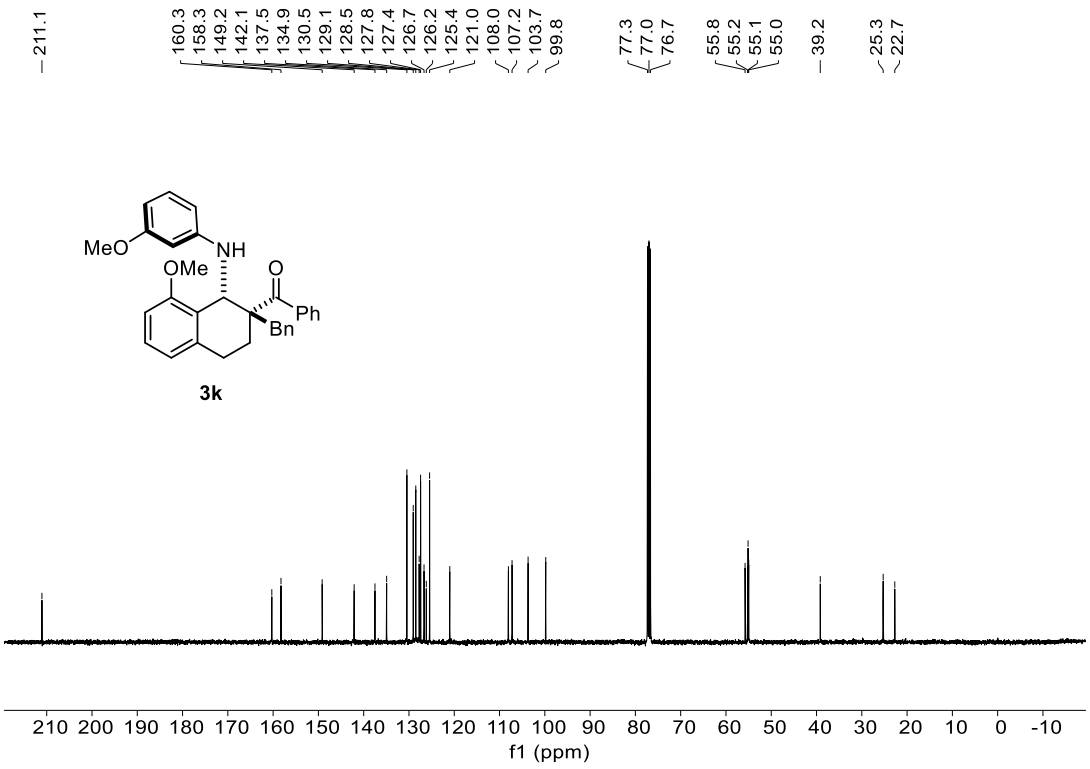
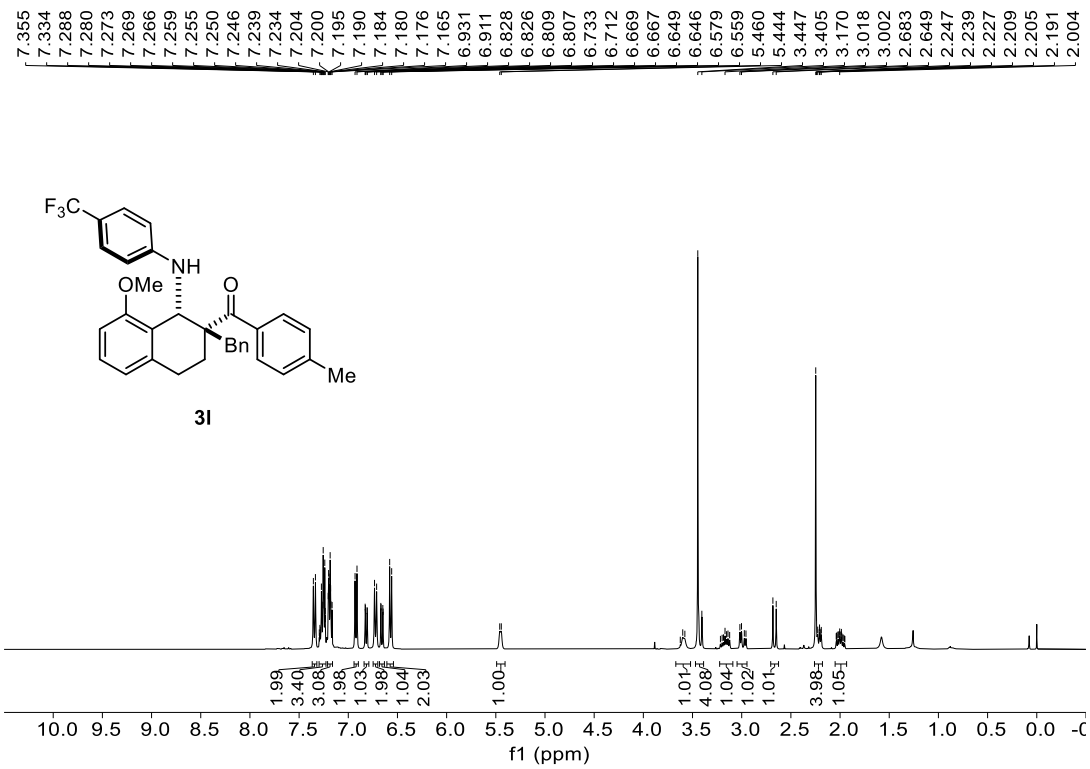


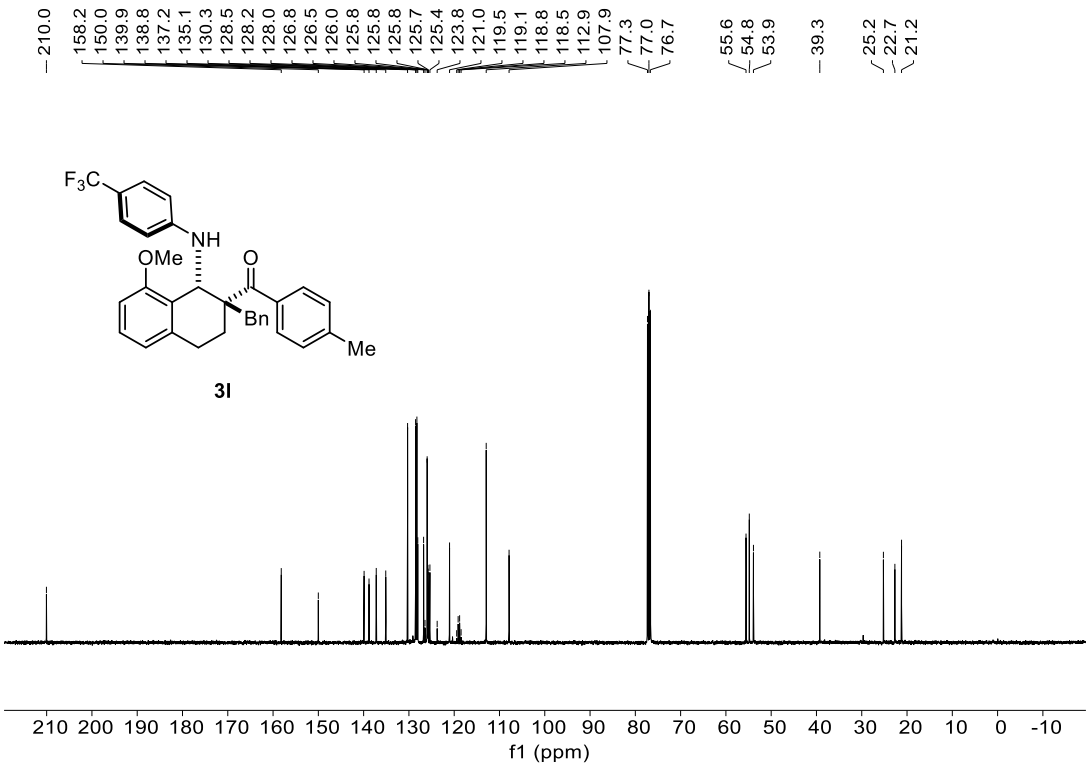
^{1}H NMR (400 MHz, $CDCl_3$) of **3k**



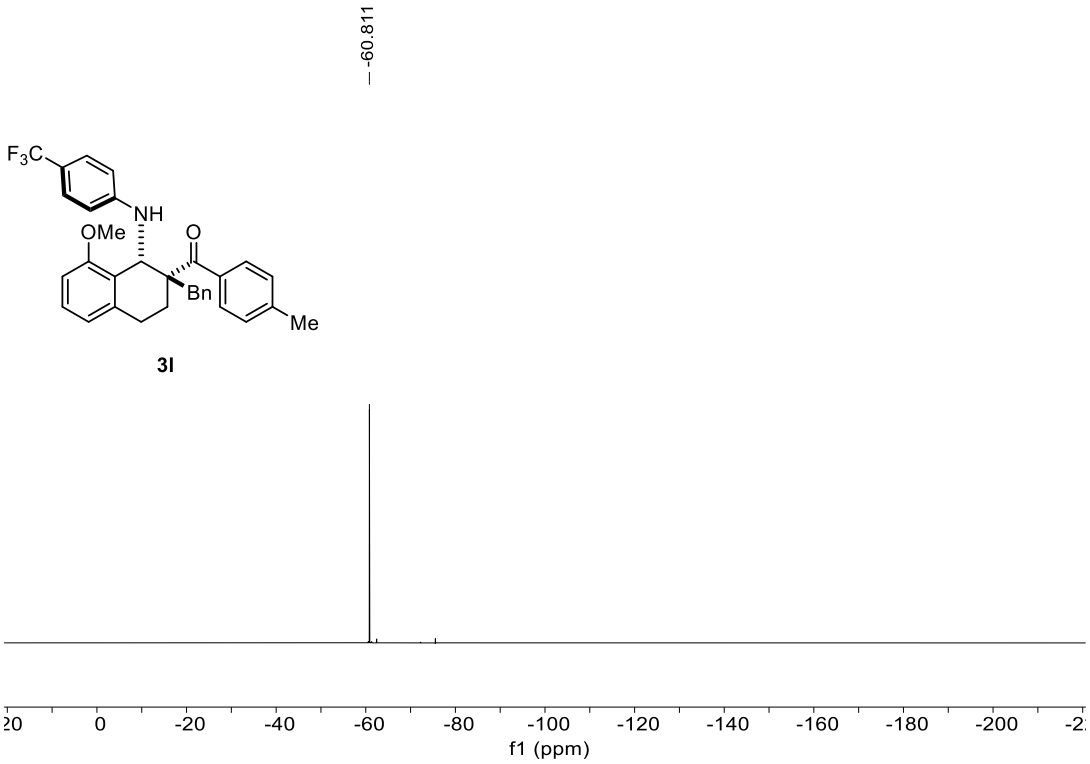
^{13}C NMR (100 MHz, $CDCl_3$) of **3k**



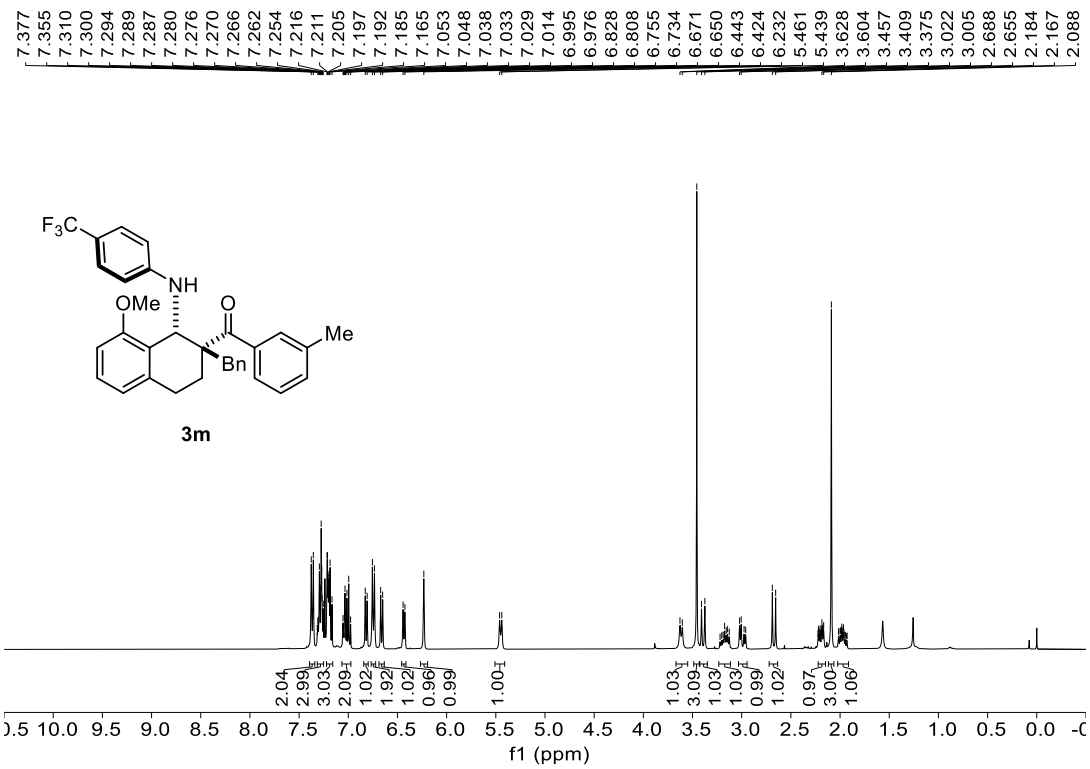
^{1}H NMR (400 MHz, $CDCl_3$) of **3l**



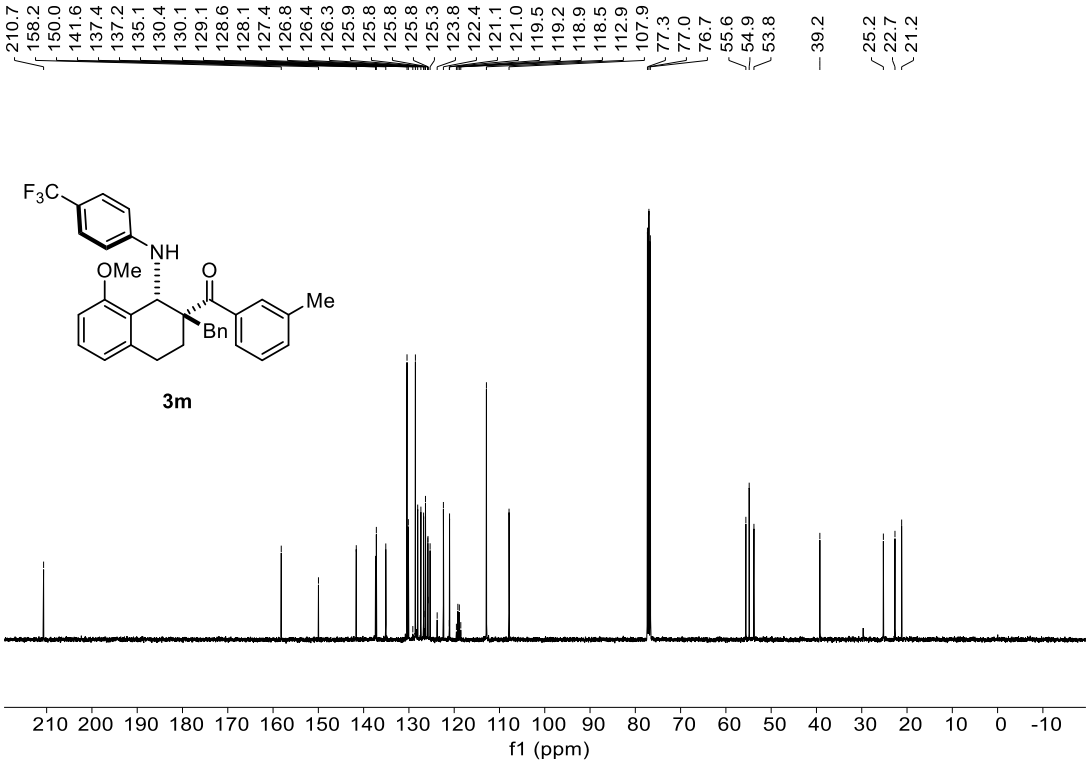
^{13}C NMR (100 MHz, $CDCl_3$) of **3l**



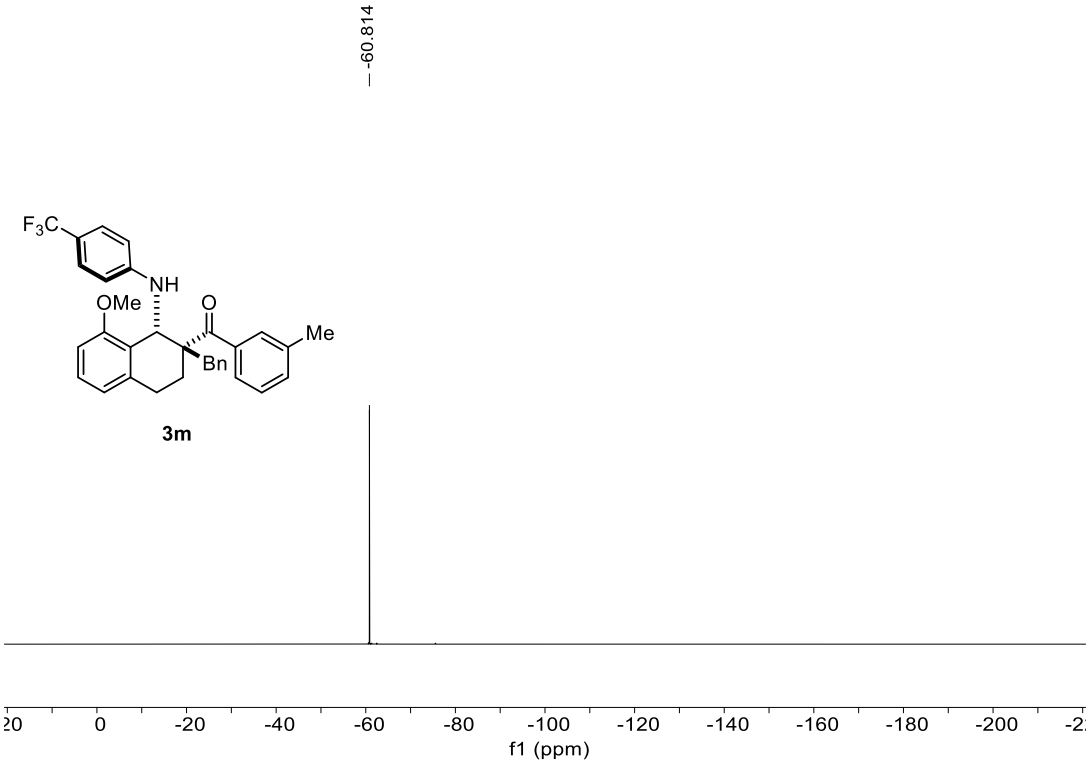
^{19}F NMR (376 MHz, $CDCl_3$) of **3l**



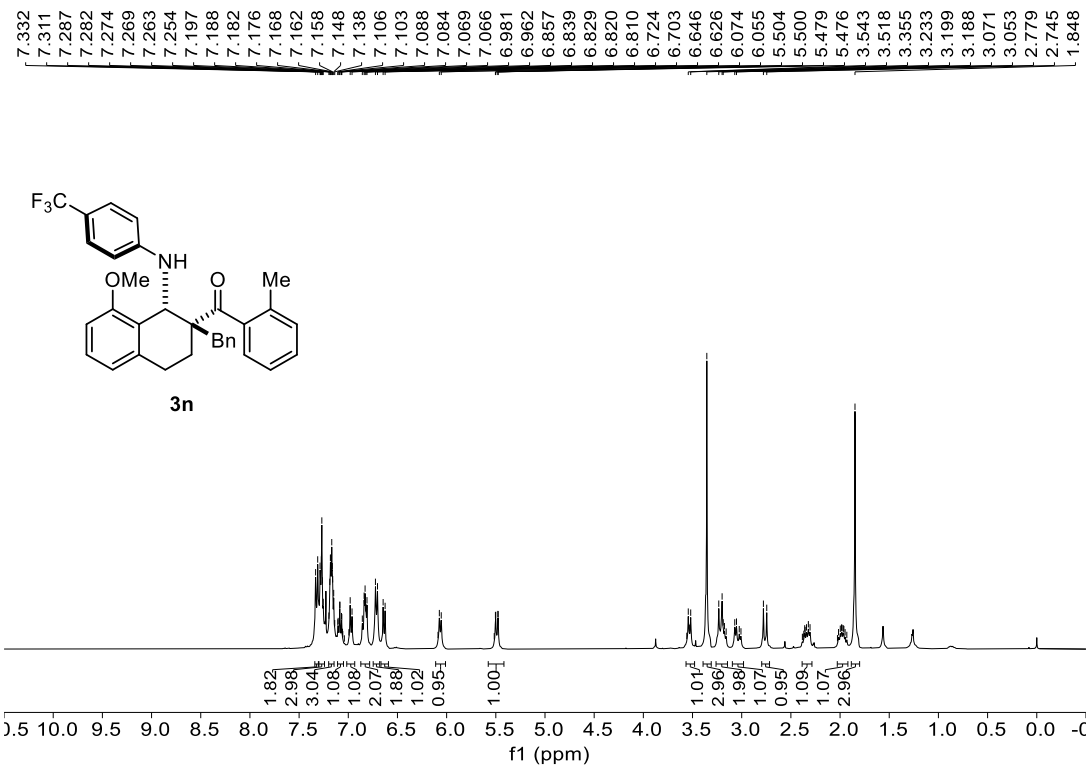
^{1}H NMR (400 MHz, $CDCl_3$) of **3m**



^{13}C NMR (100 MHz, $CDCl_3$) of **3m**



^{19}F NMR (376 MHz, $CDCl_3$) of **3m**



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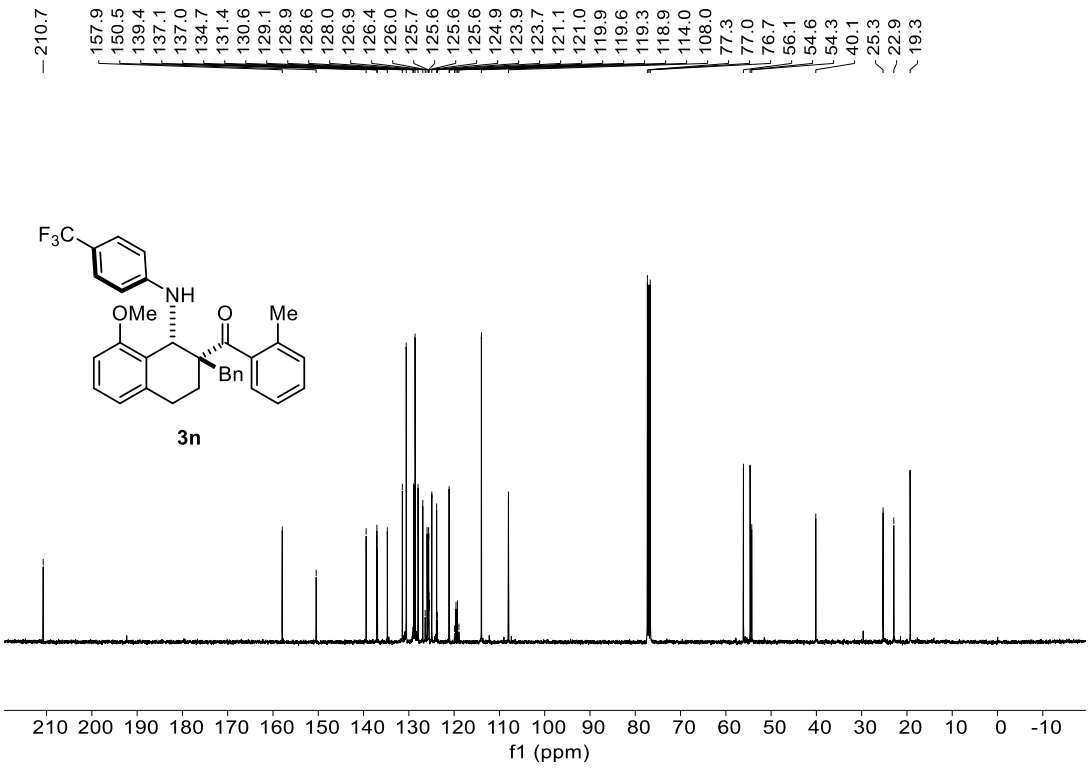
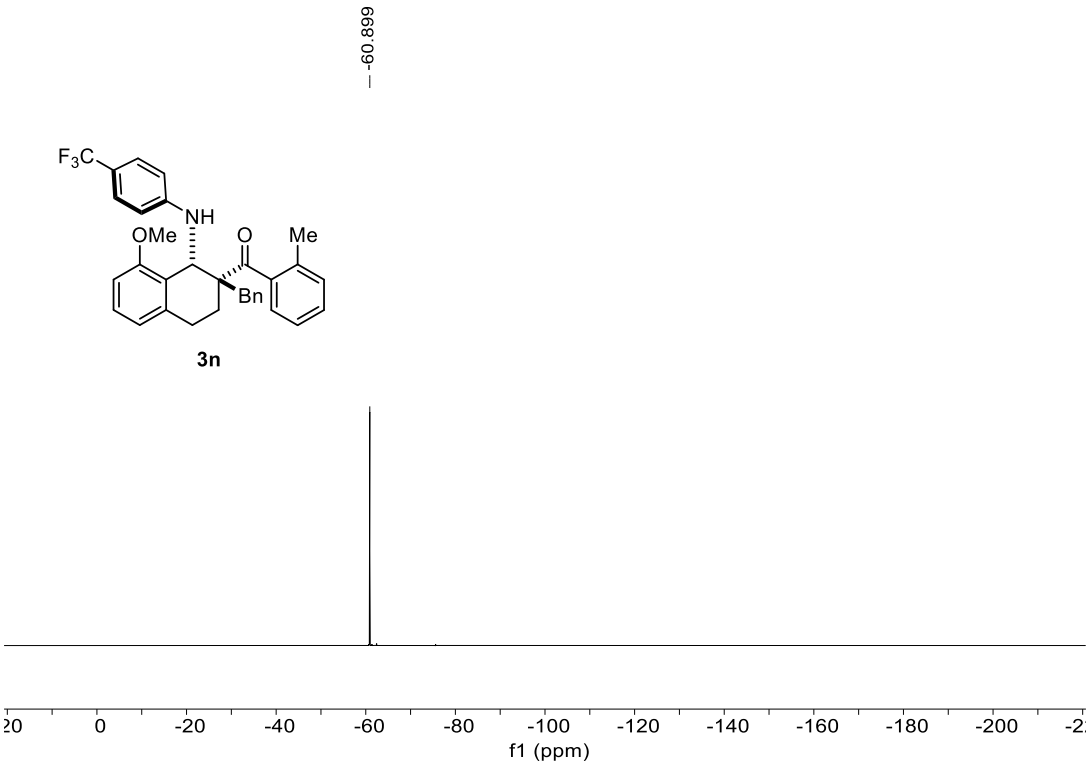


^{13}C NMR (100 MHz, $CDCl_3$) of **3n**



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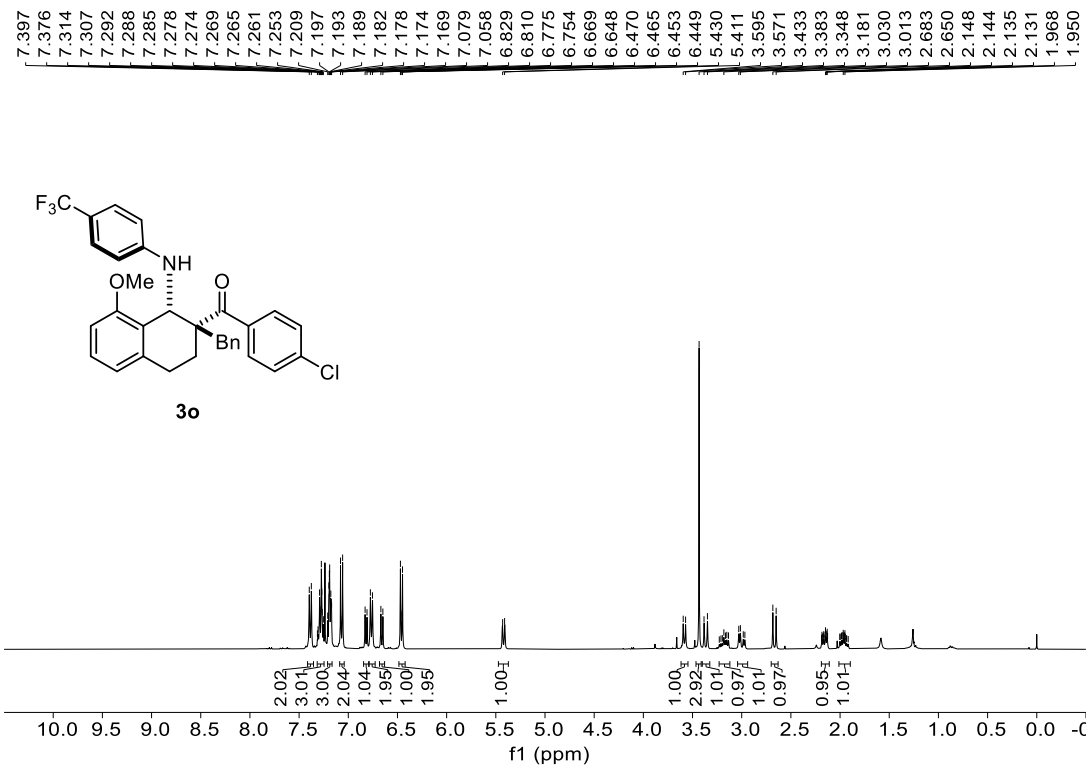

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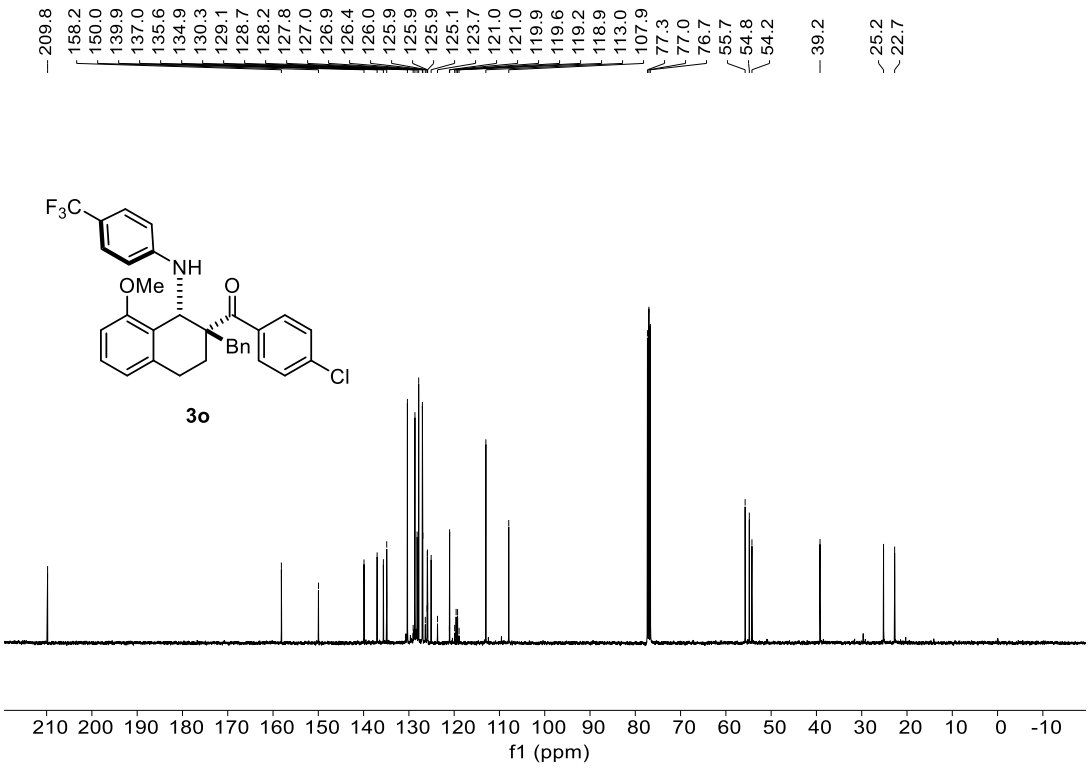

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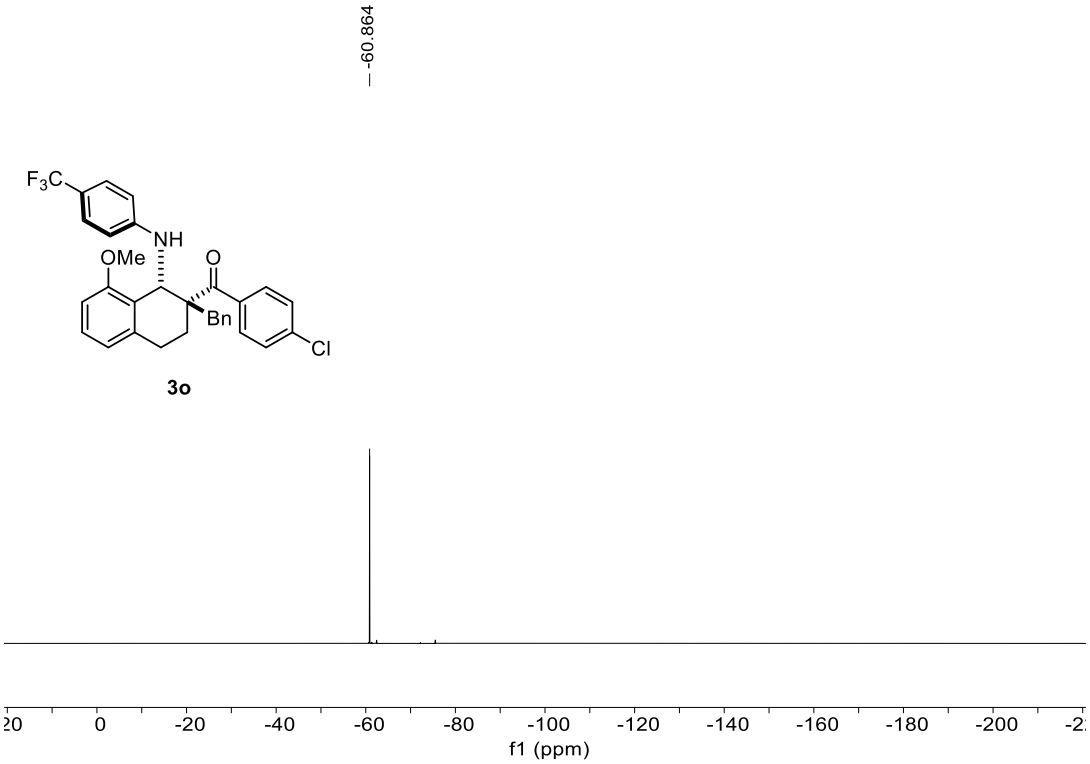

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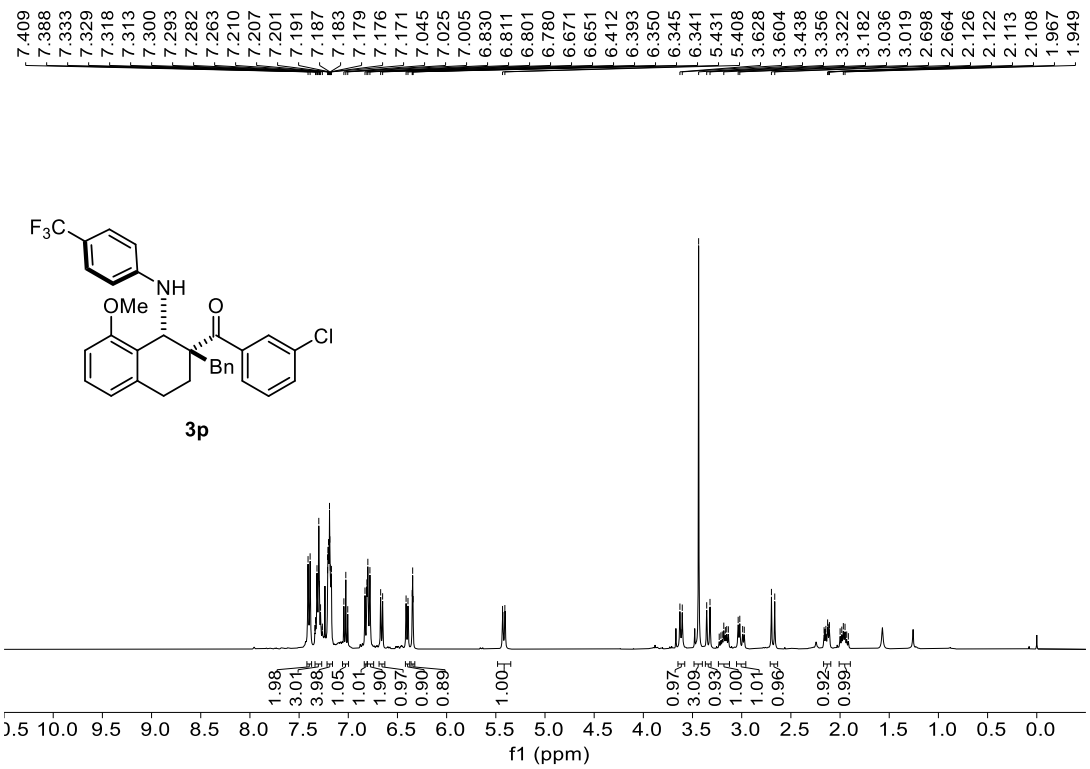
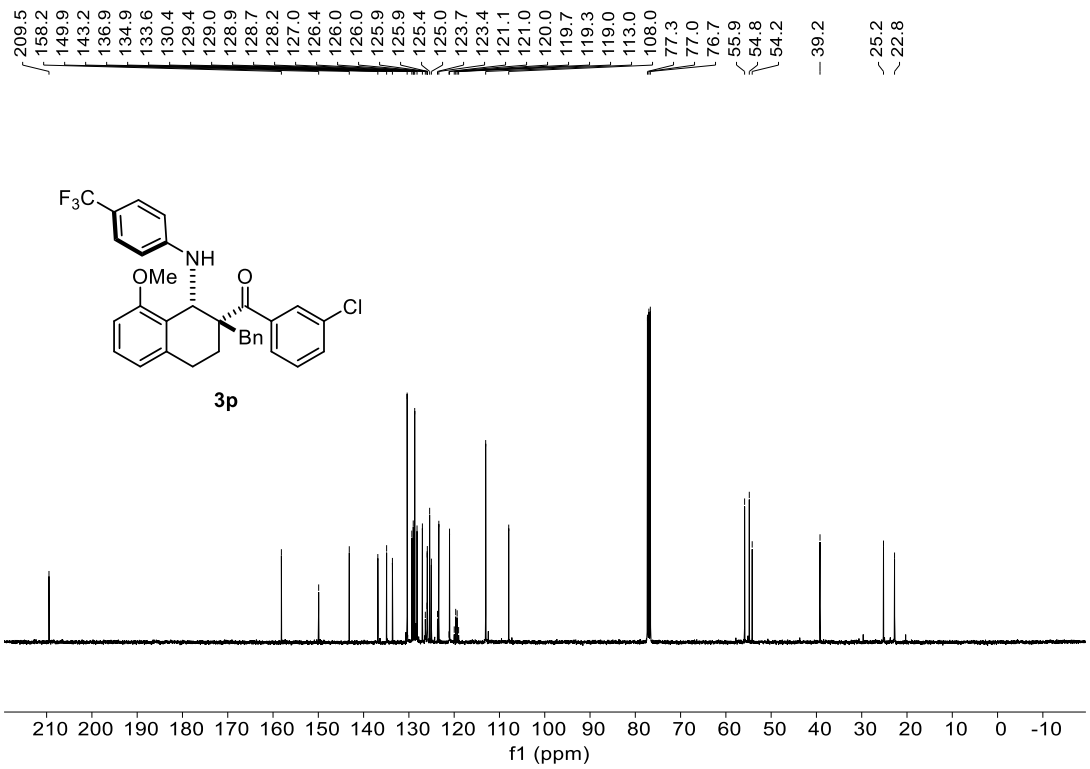
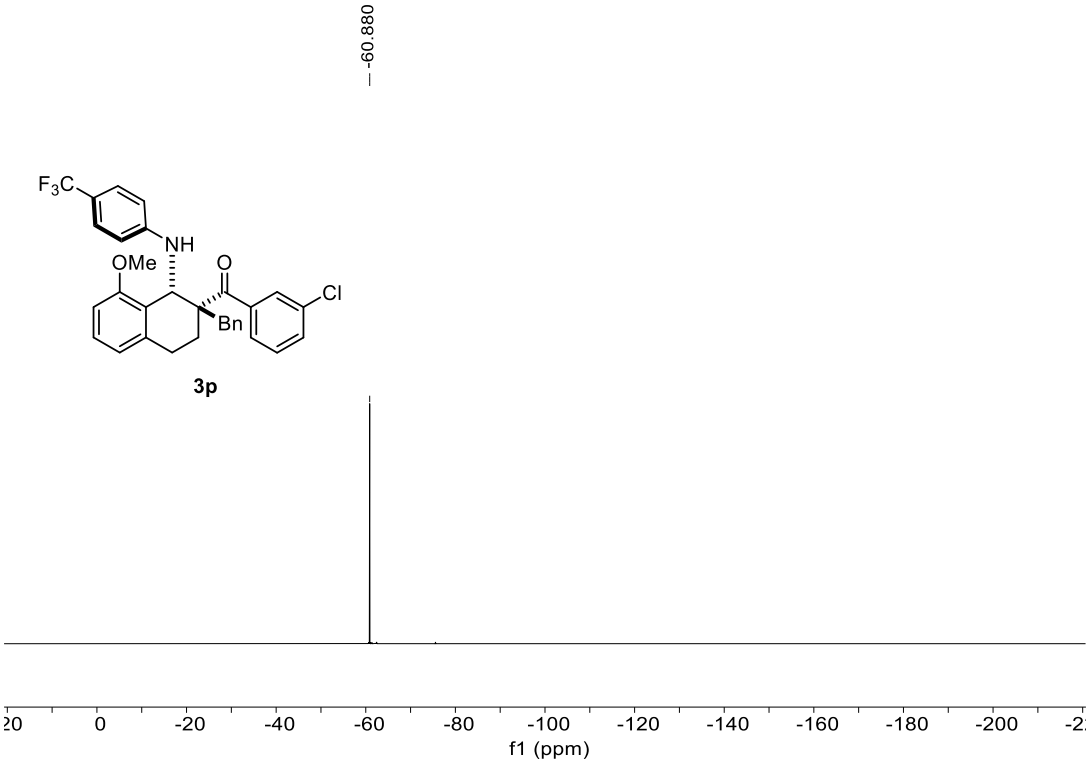


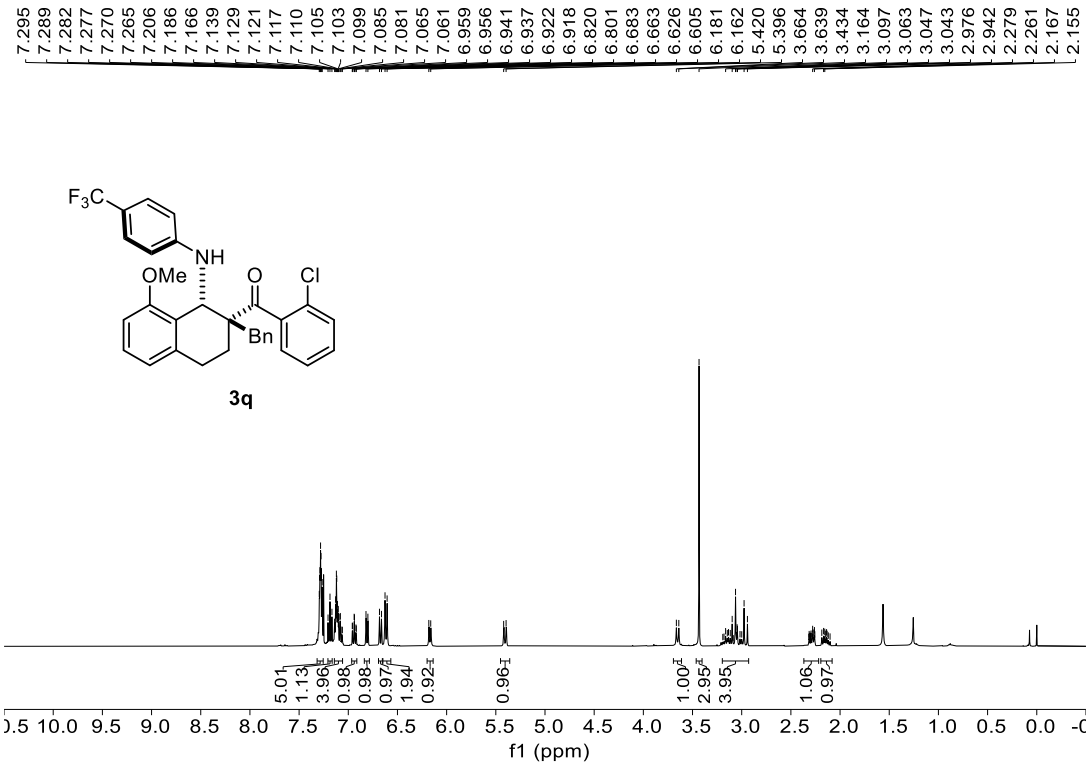
^{1}H NMR (400 MHz, $CDCl_3$) of **3p**



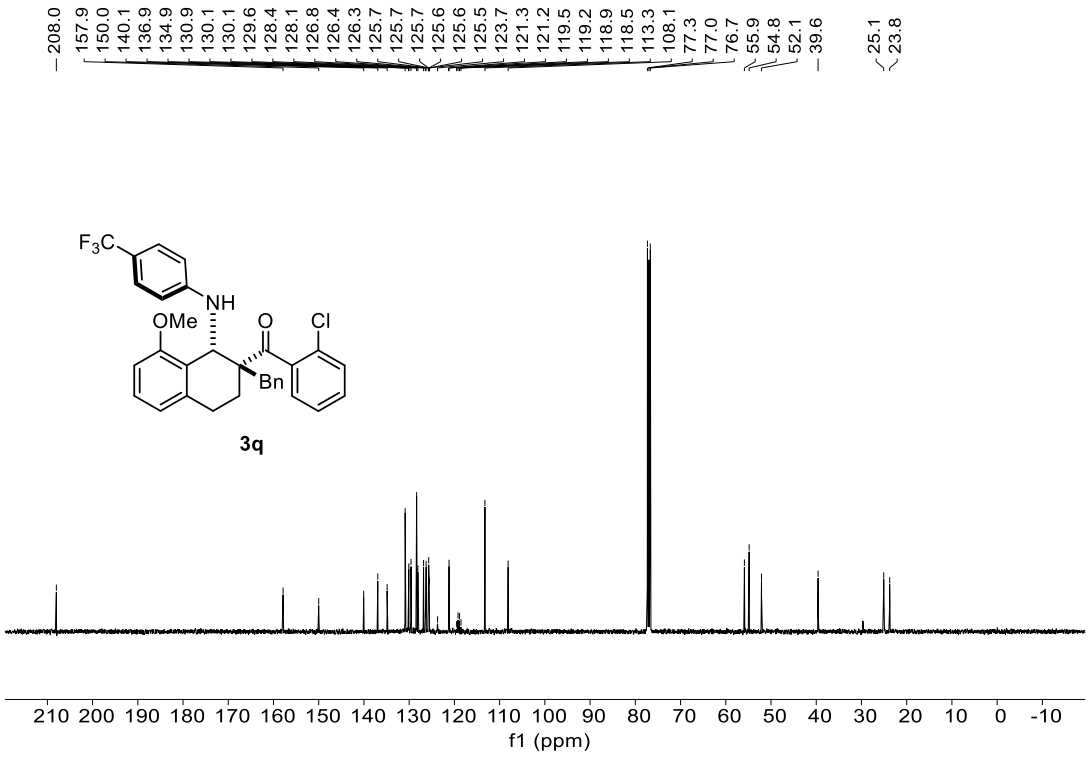
^{13}C NMR (100 MHz, $CDCl_3$) of **3p**



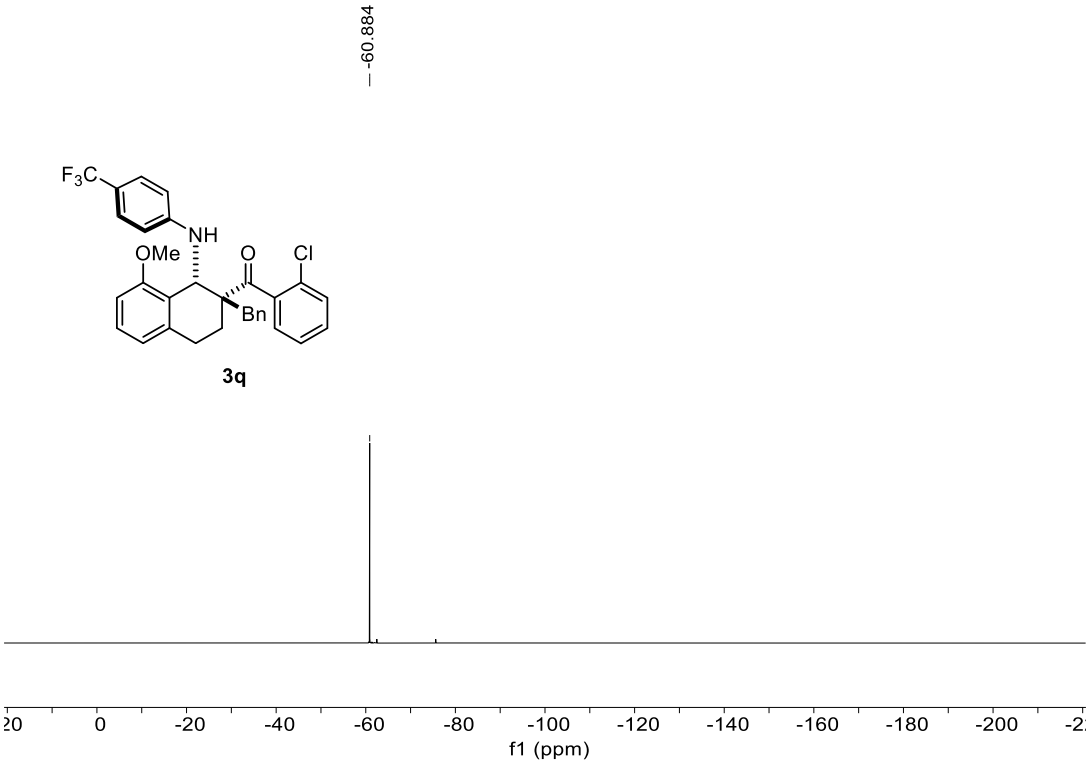
^{19}F NMR (376 MHz, $CDCl_3$) of **3p**



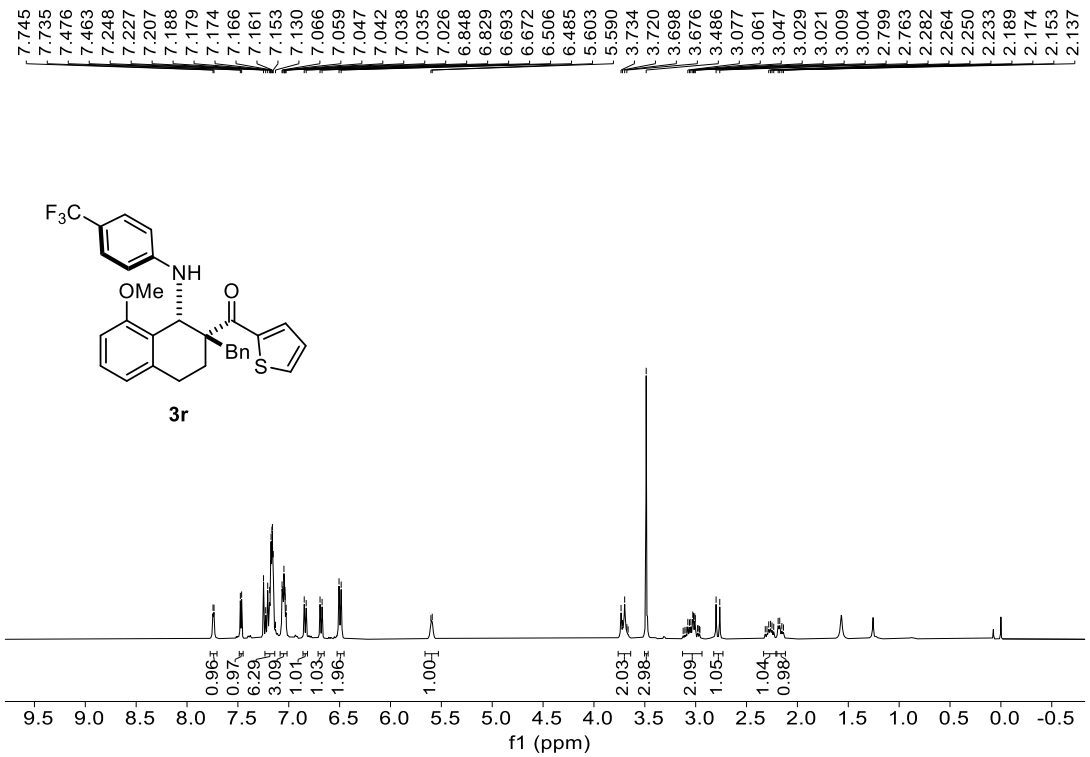
^{1}H NMR (400 MHz, $CDCl_3$) of **3q**



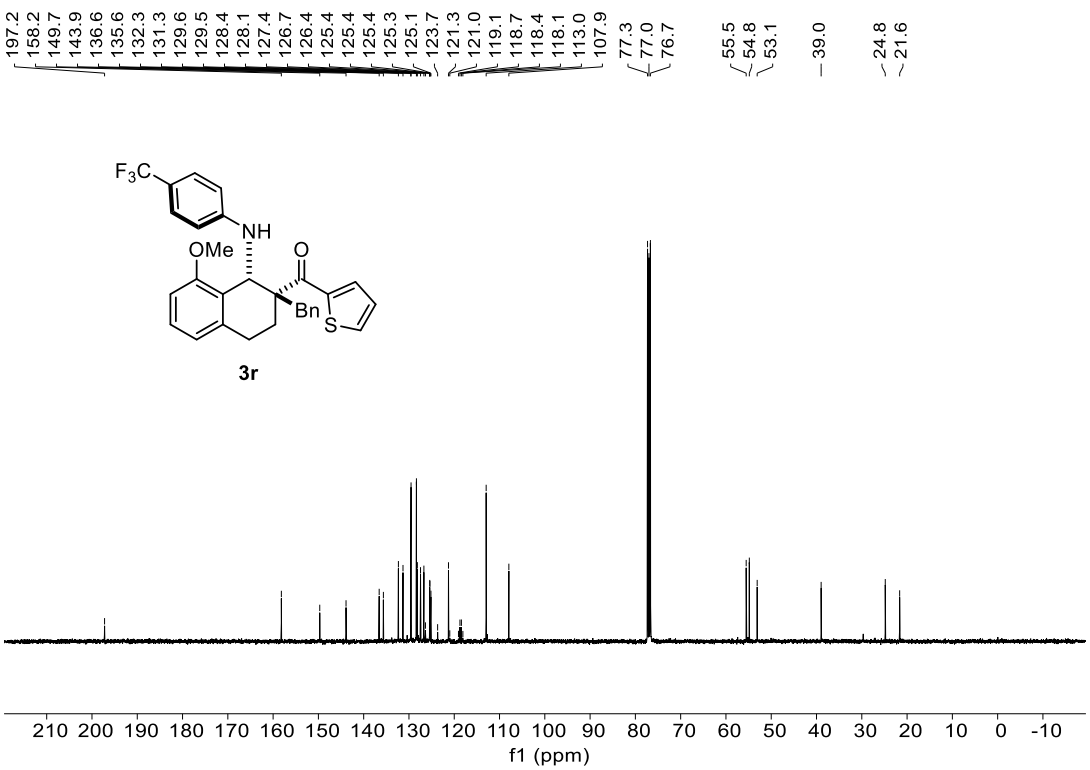
^{13}C NMR (100 MHz, $CDCl_3$) of **3q**



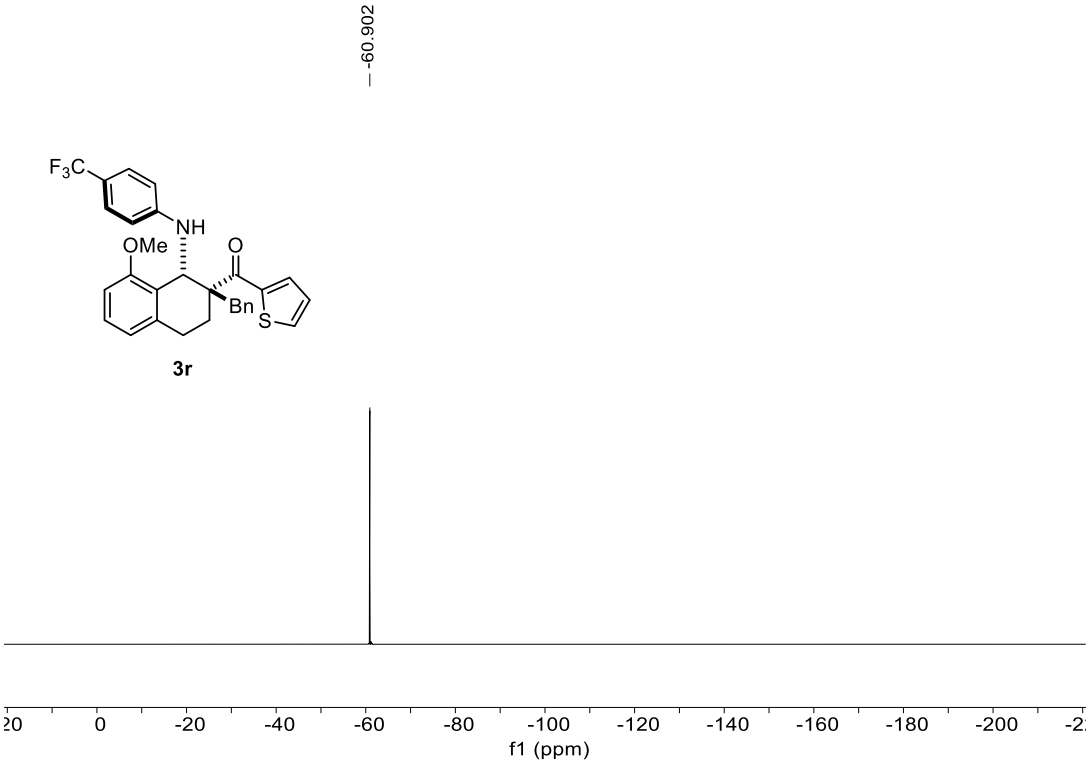
^{19}F NMR (376 MHz, $CDCl_3$) of **3q**



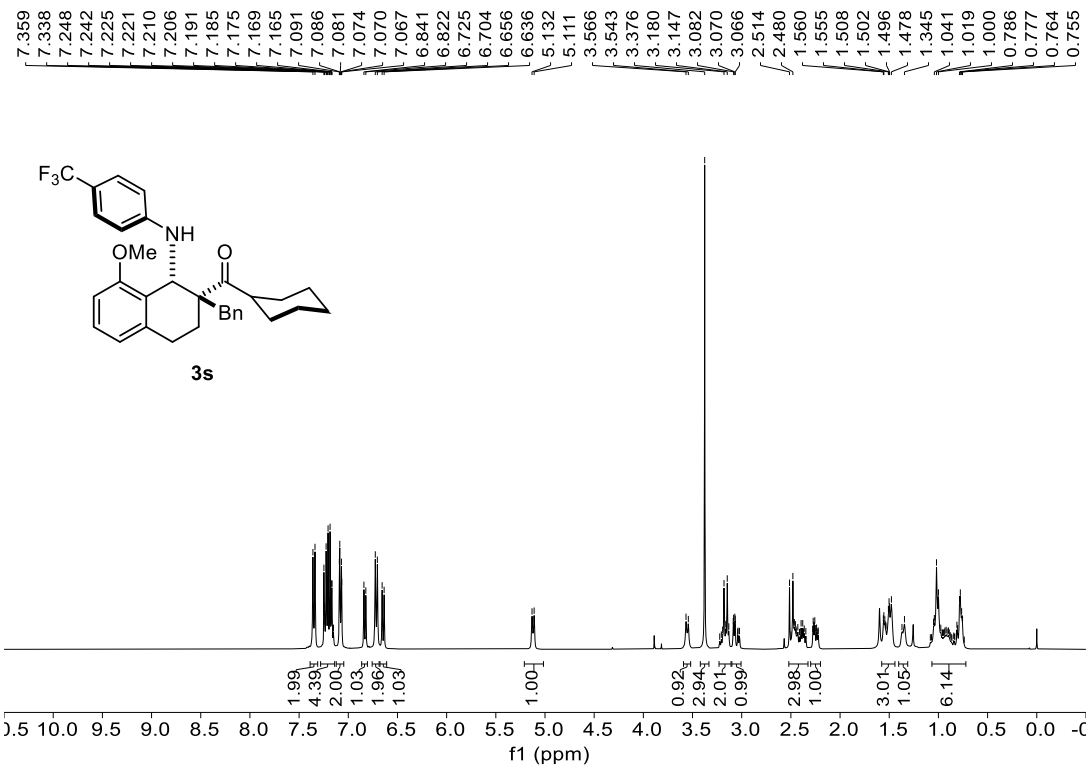
^{1}H NMR (400 MHz, $CDCl_3$) of **3r**



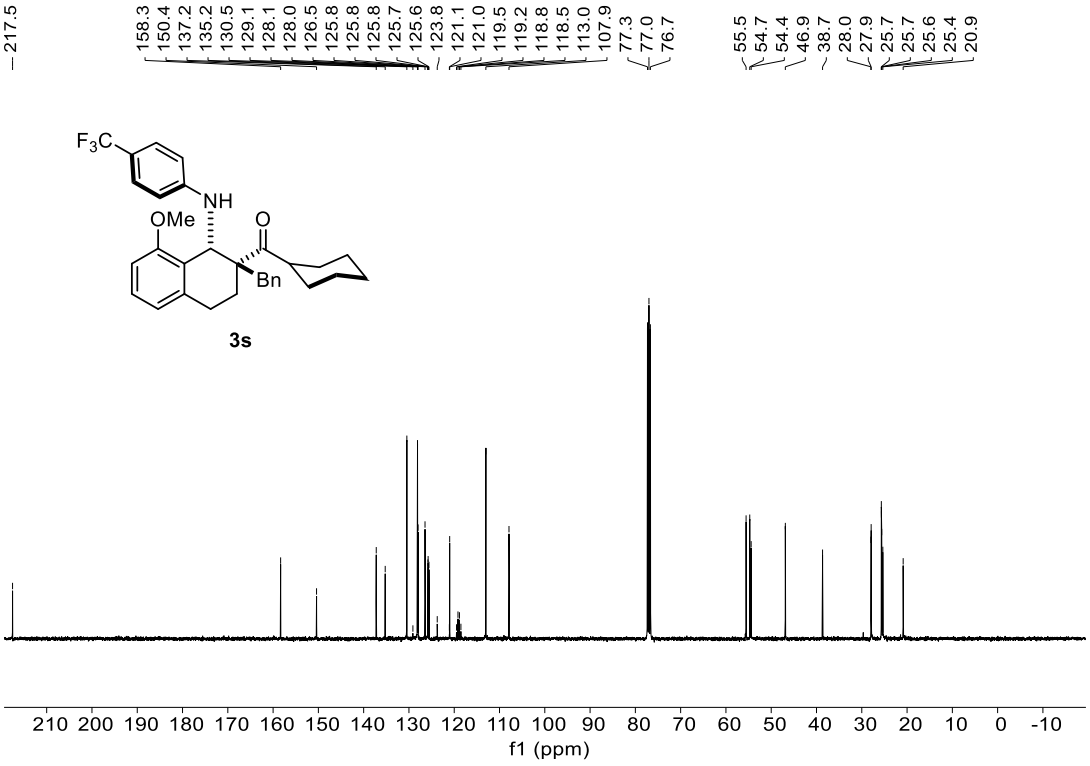
^{13}C NMR (100 MHz, $CDCl_3$) of **3r**



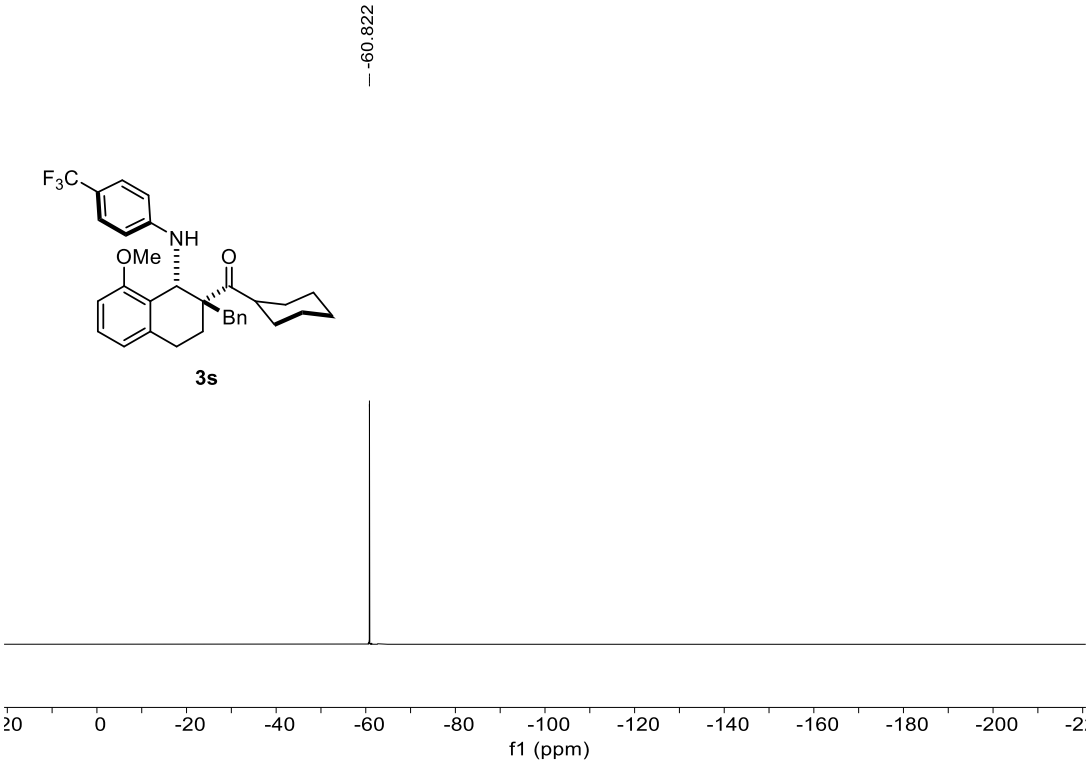
^{19}F NMR (376 MHz, $CDCl_3$) of **3r**



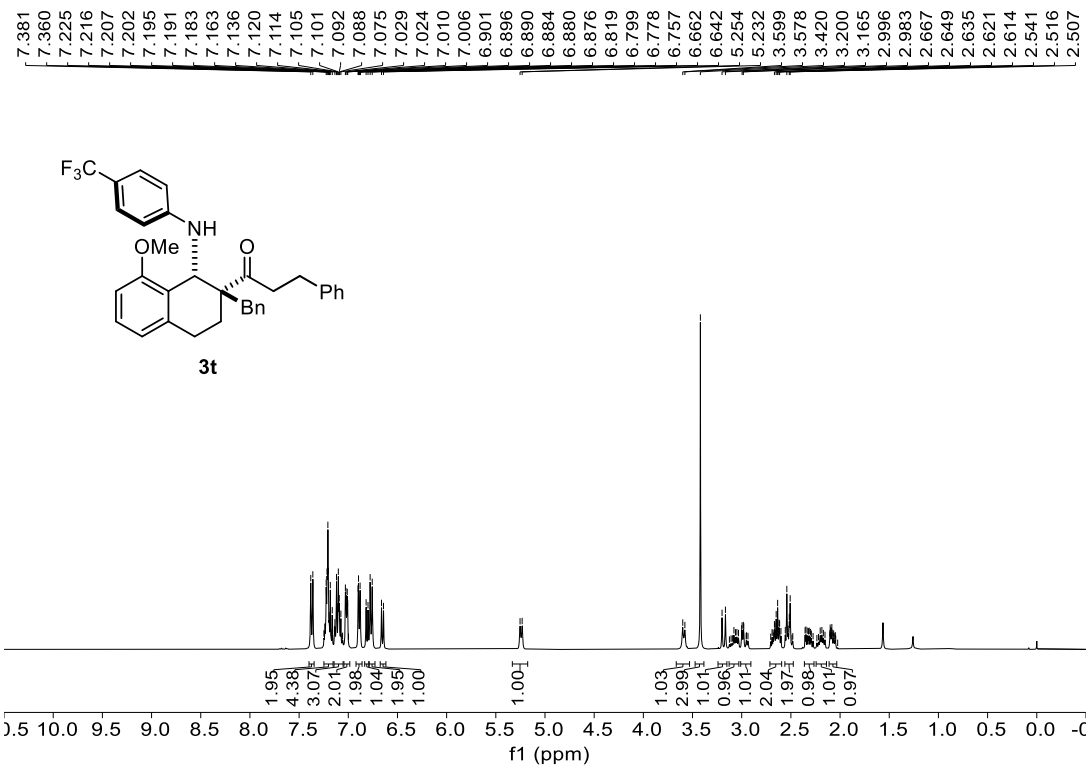
^{1}H NMR (400 MHz, $CDCl_3$) of **3s**



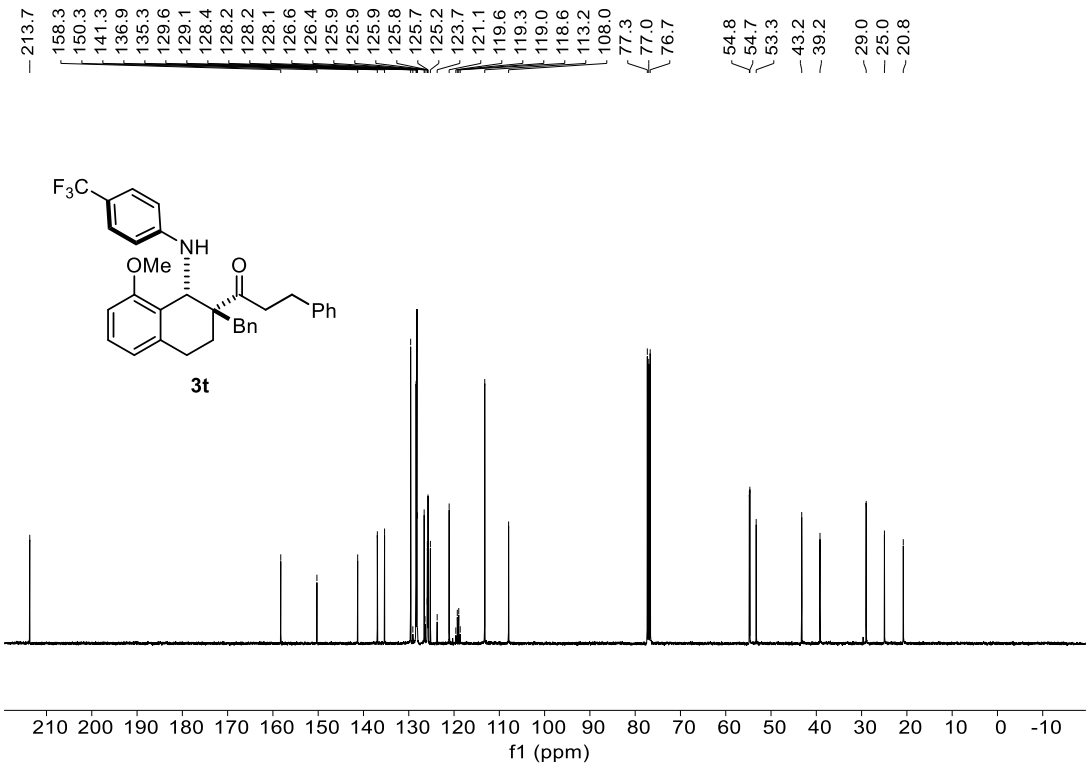
^{13}C NMR (100 MHz, $CDCl_3$) of **3s**



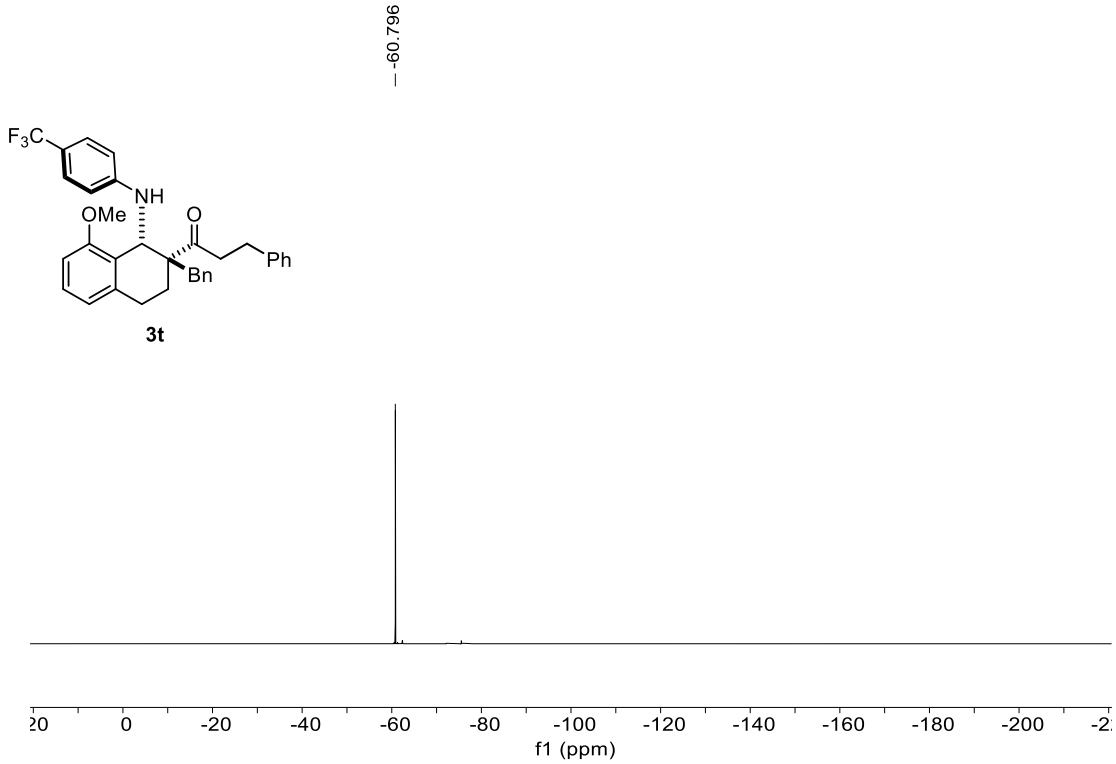
^{19}F NMR (376 MHz, $CDCl_3$) of **3s**



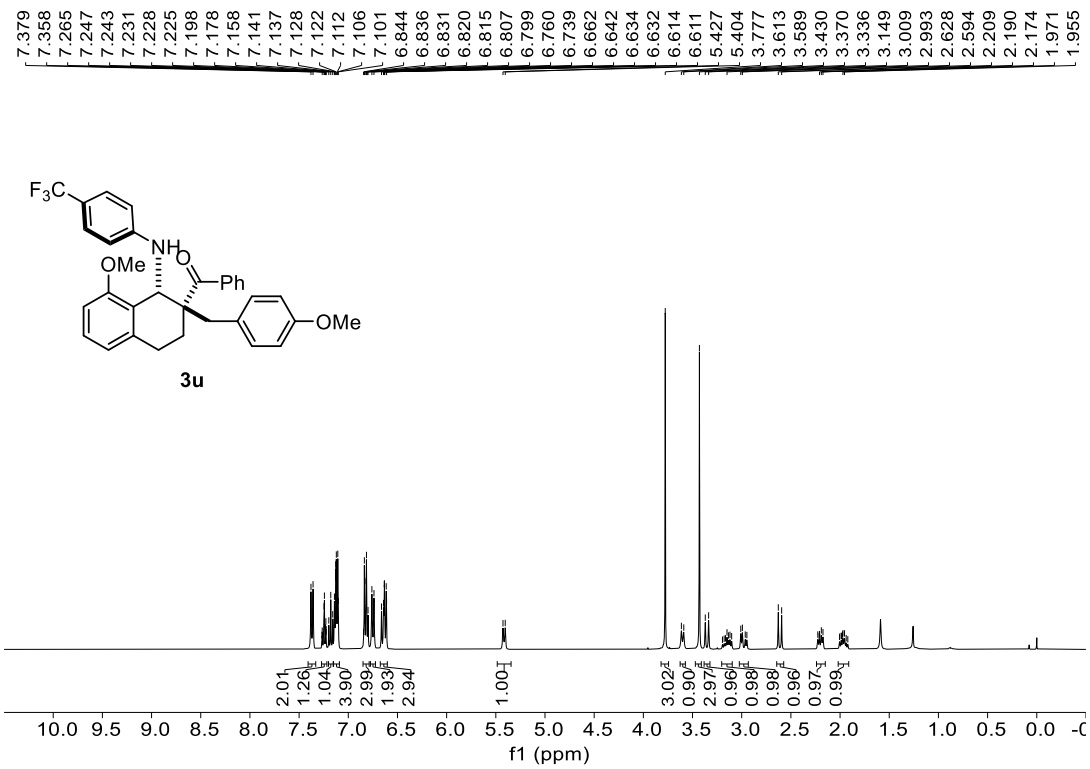
^{1}H NMR (400 MHz, $CDCl_3$) of **3t**



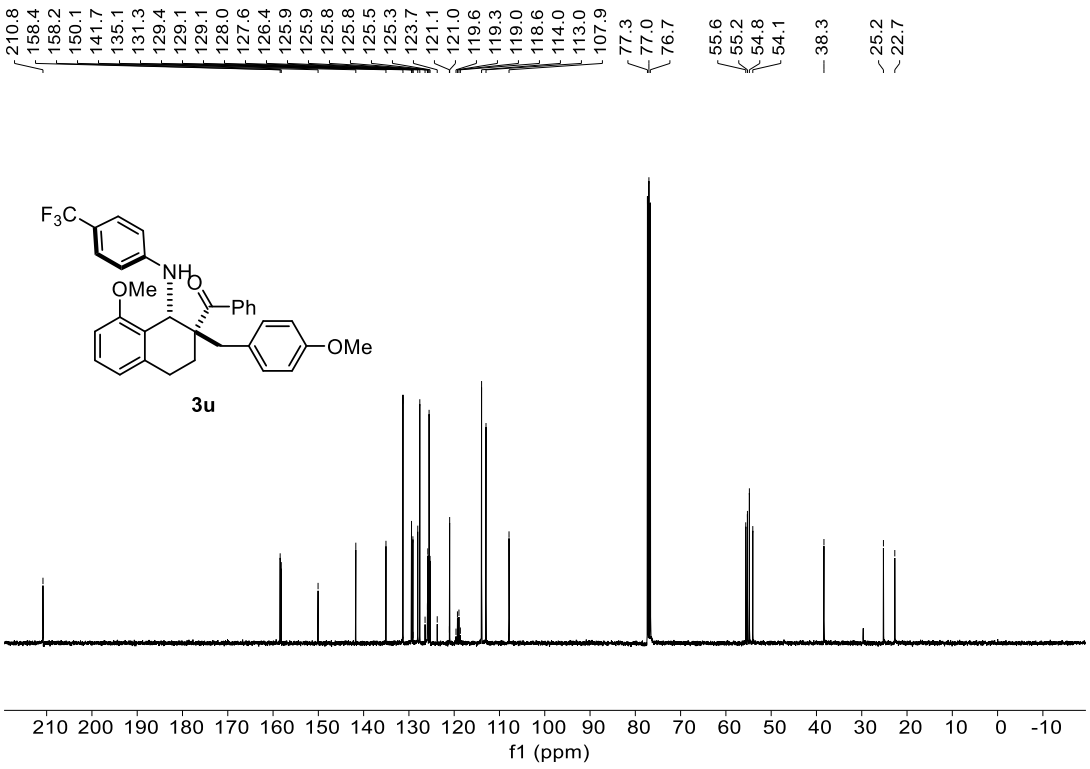
^{13}C NMR (100 MHz, $CDCl_3$) of **3t**



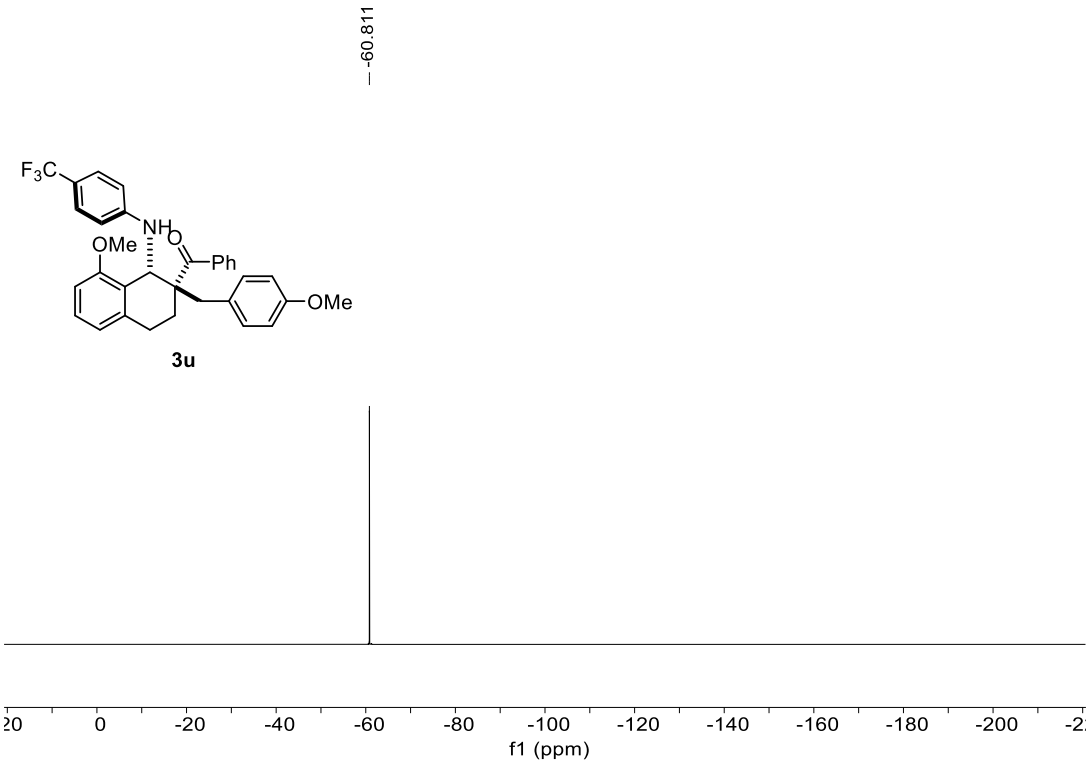
^{19}F NMR (376 MHz, $CDCl_3$) of **3t**



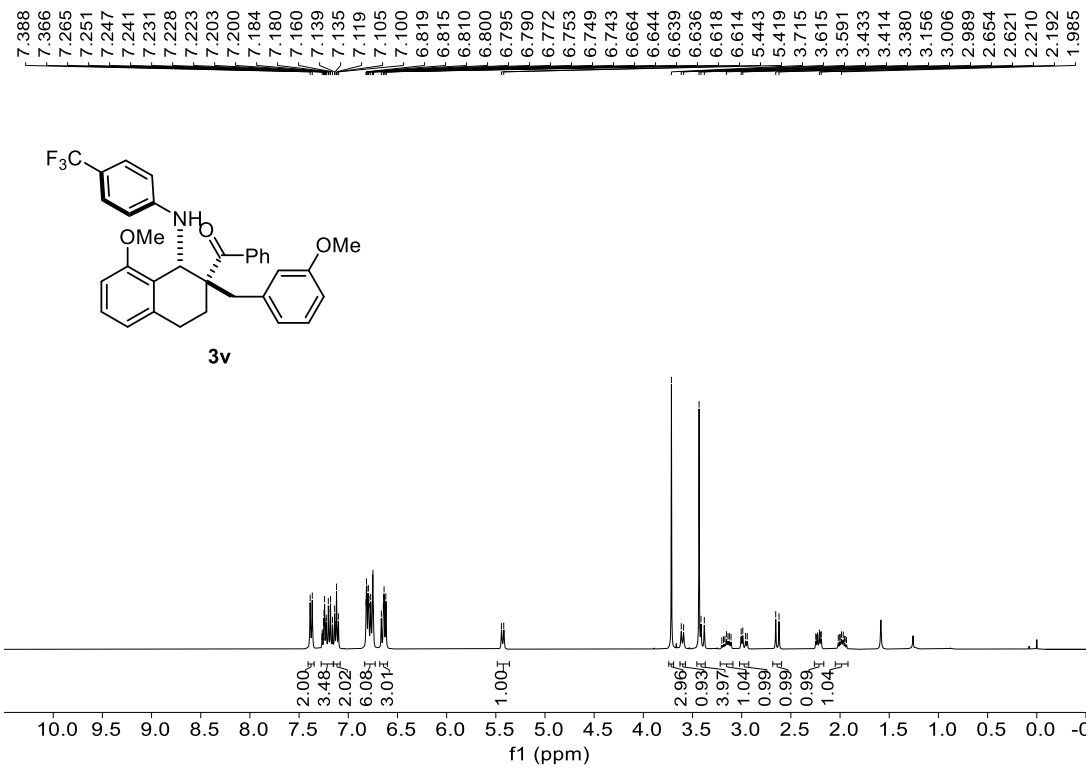
^{1}H NMR (400 MHz, $CDCl_3$) of **3u**



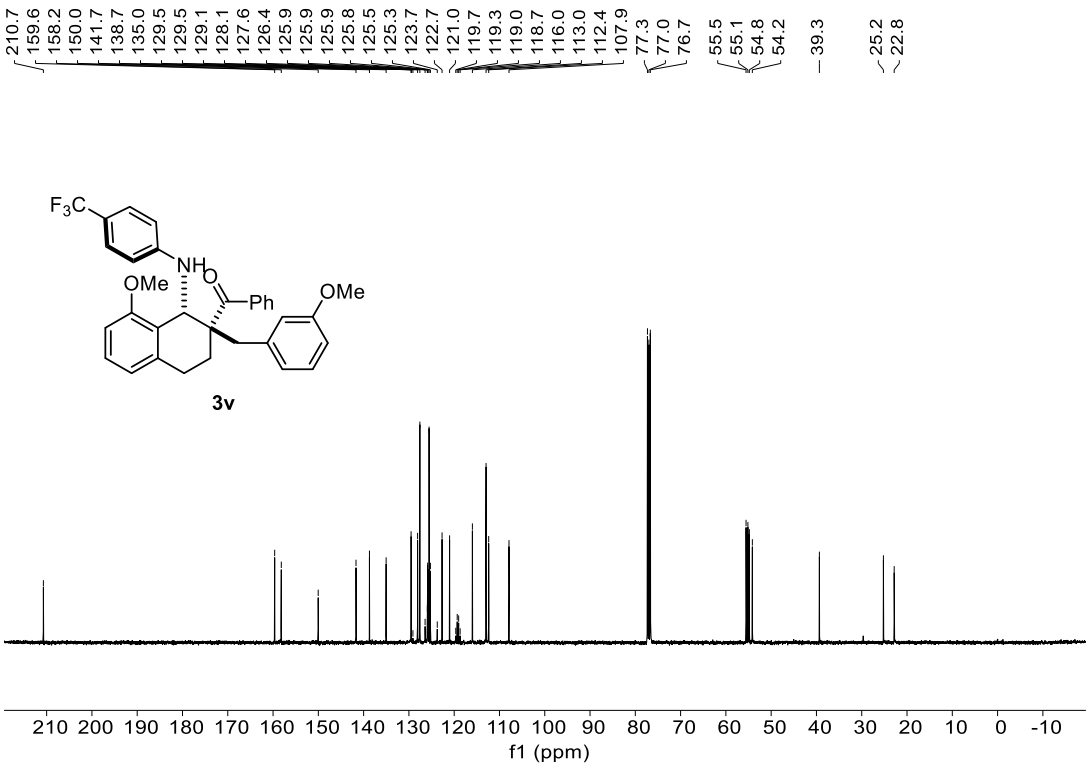
^{13}C NMR (100 MHz, $CDCl_3$) of **3u**



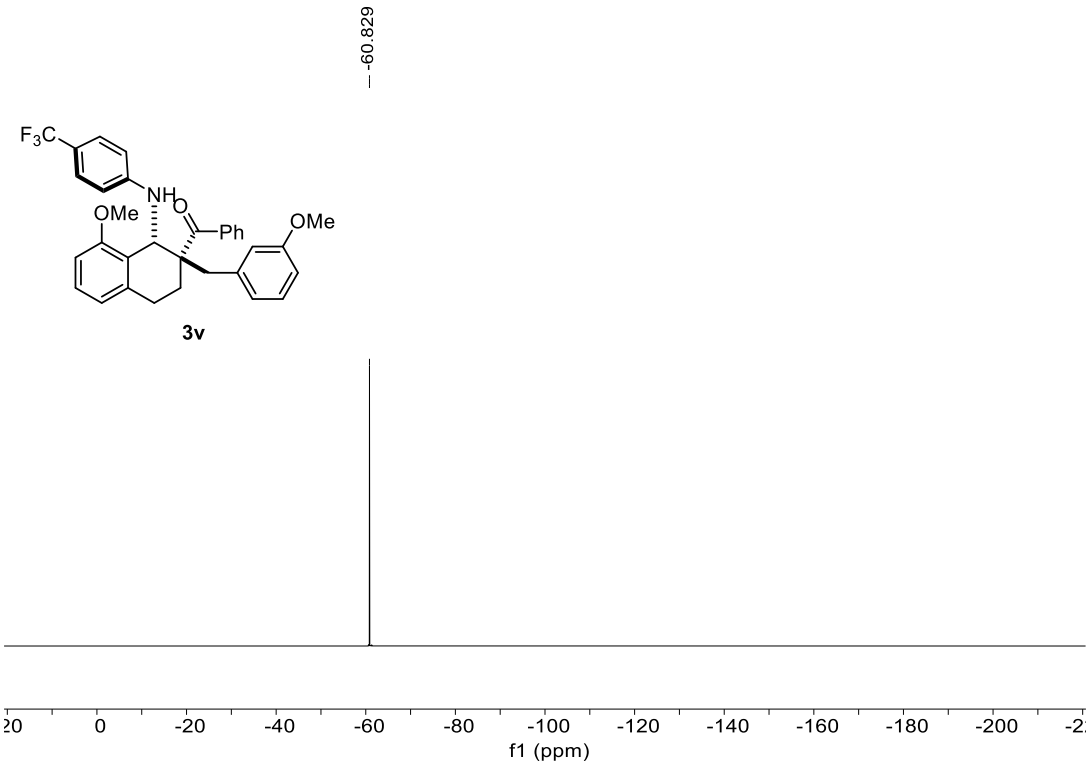
^{19}F NMR (376 MHz, $CDCl_3$) of **3u**



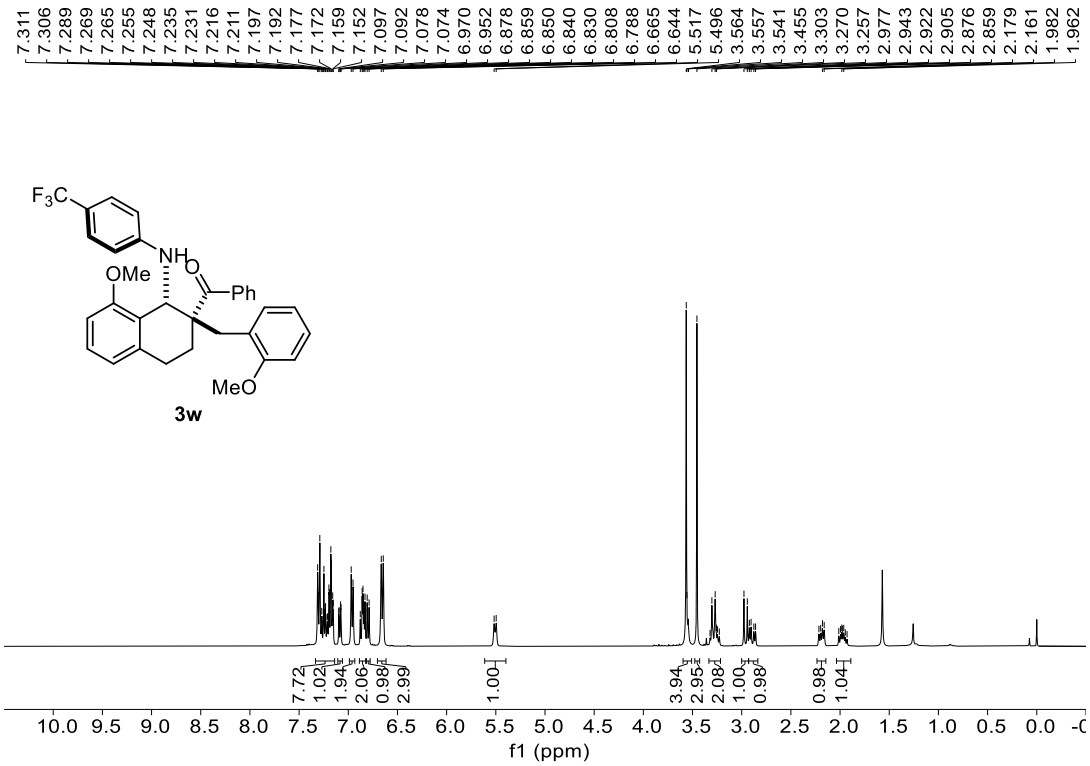
^{1}H NMR (400 MHz, $CDCl_3$) of **3v**



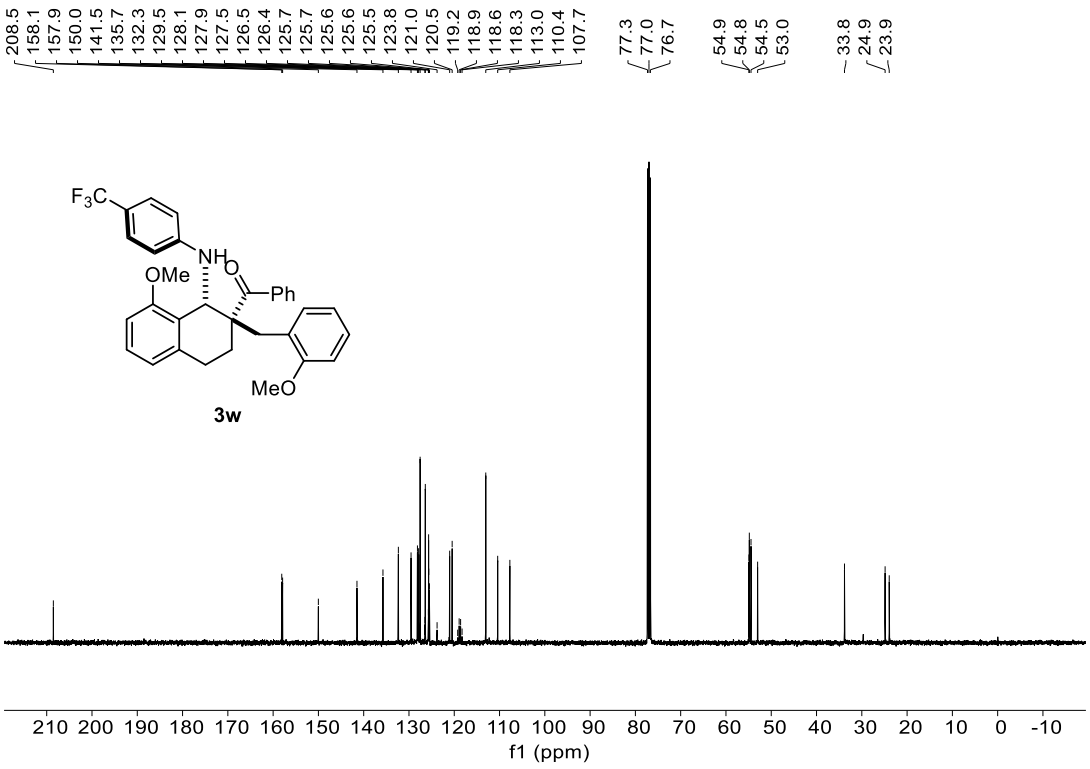
^{13}C NMR (100 MHz, $CDCl_3$) of **3v**



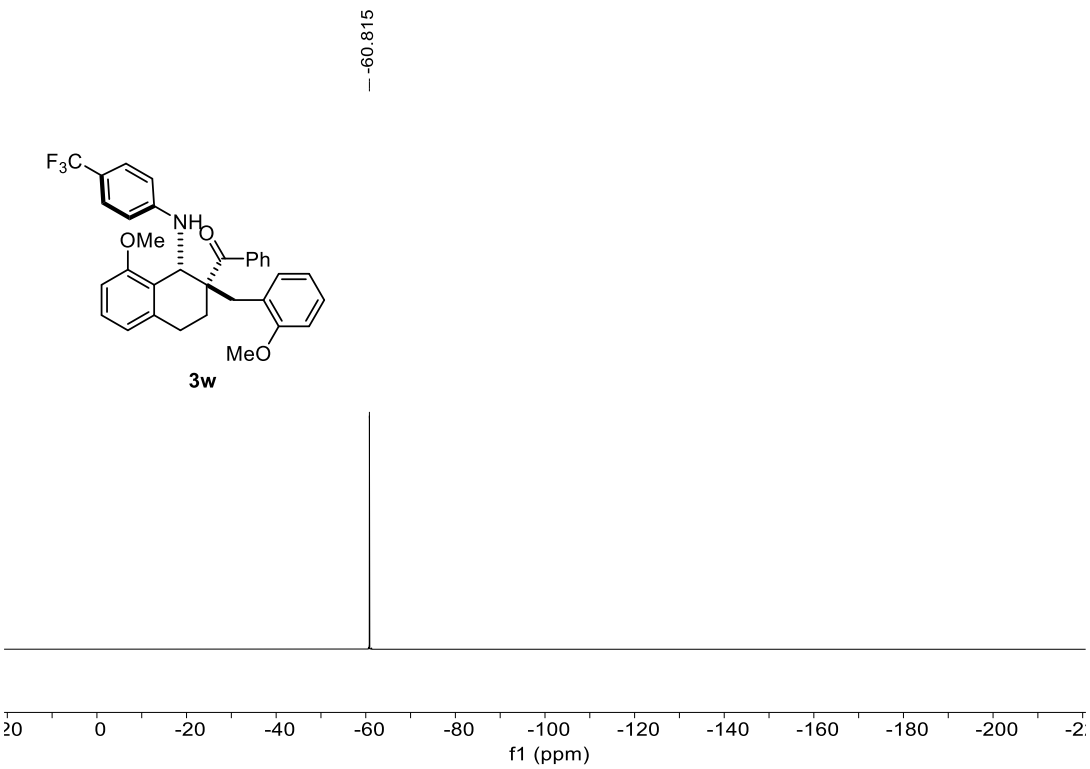
^{19}F NMR (376 MHz, $CDCl_3$) of **3v**



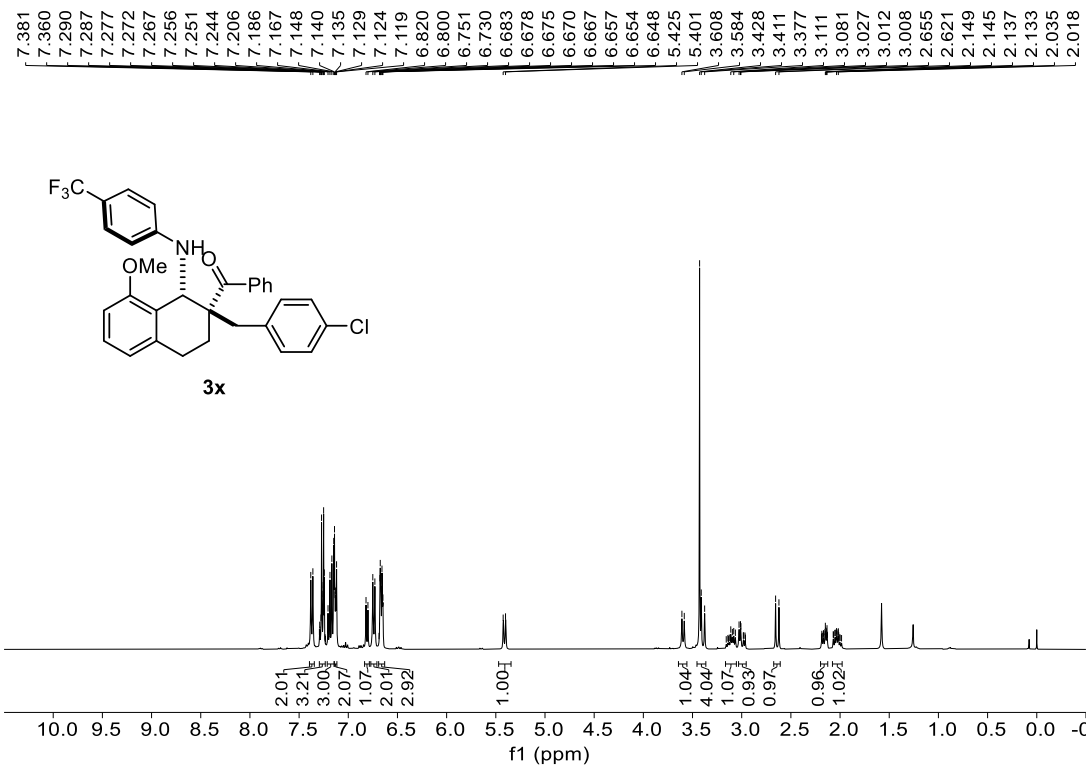
^{1}H NMR (400 MHz, $CDCl_3$) of **3w**



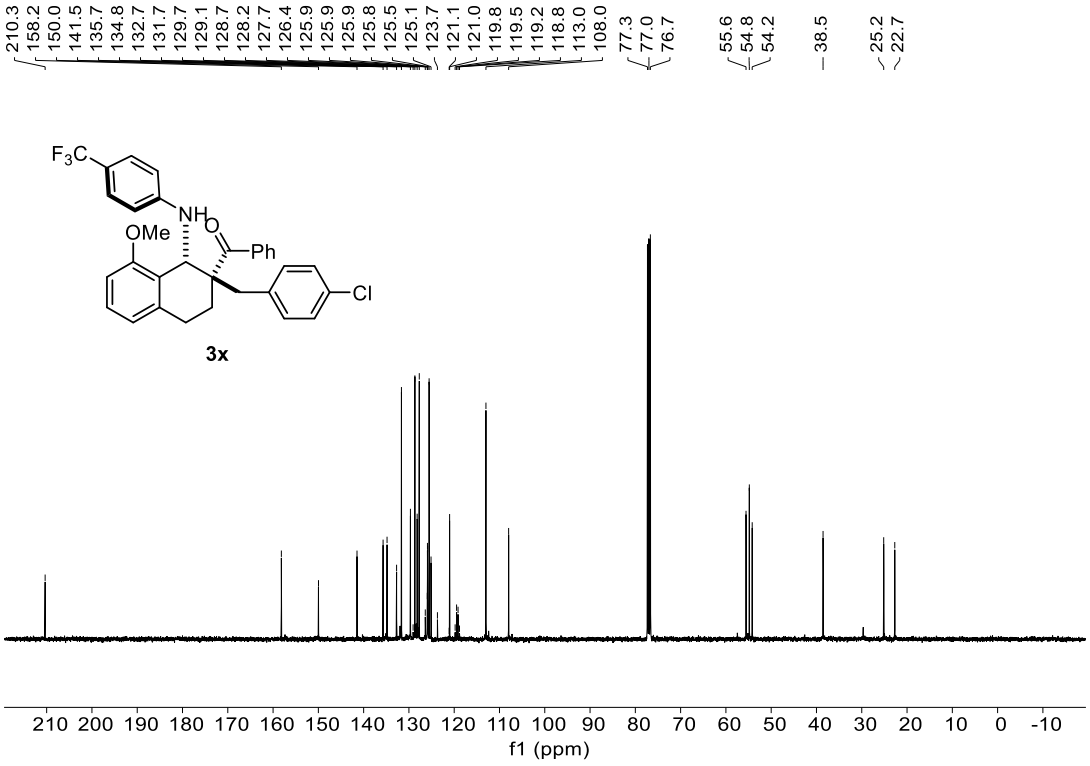
^{13}C NMR (100 MHz, $CDCl_3$) of **3w**



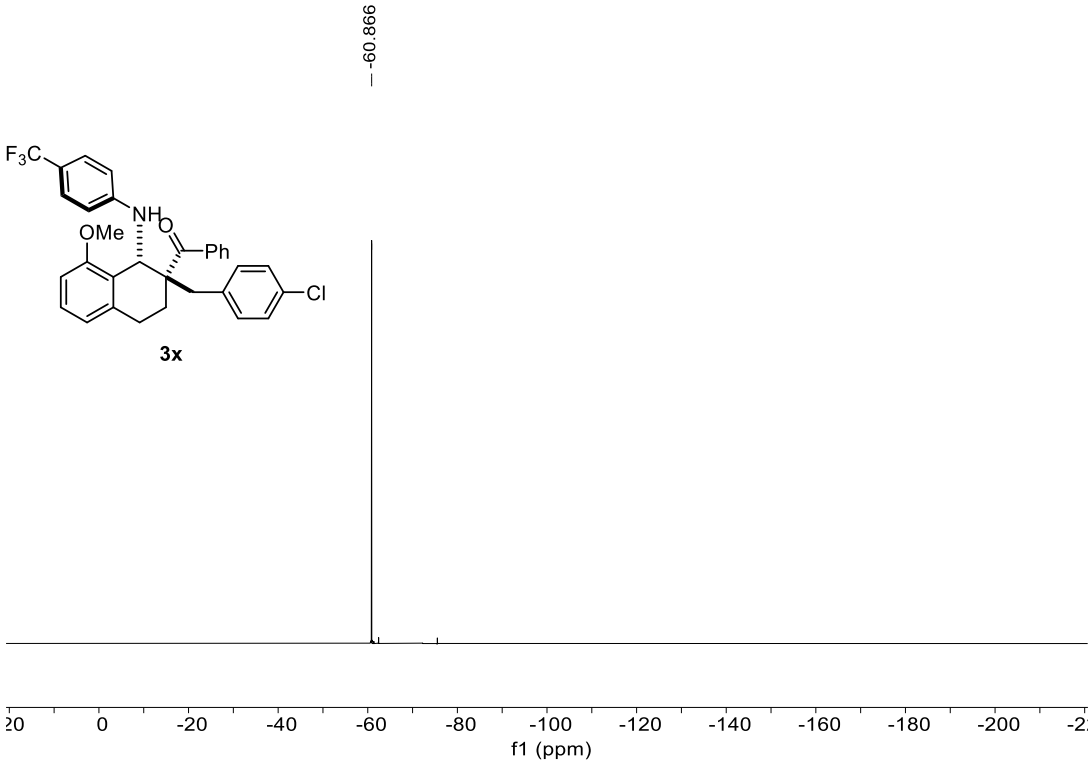
^{19}F NMR (376 MHz, $CDCl_3$) of **3w**



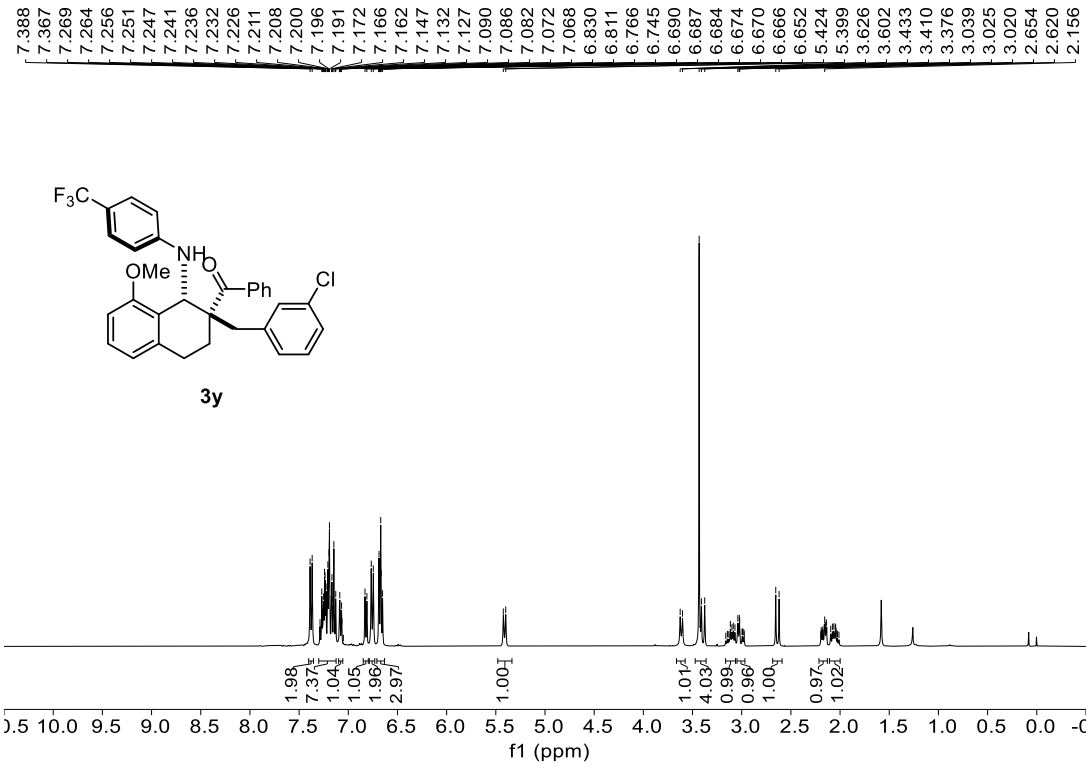
^{1}H NMR (400 MHz, $CDCl_3$) of **3x**



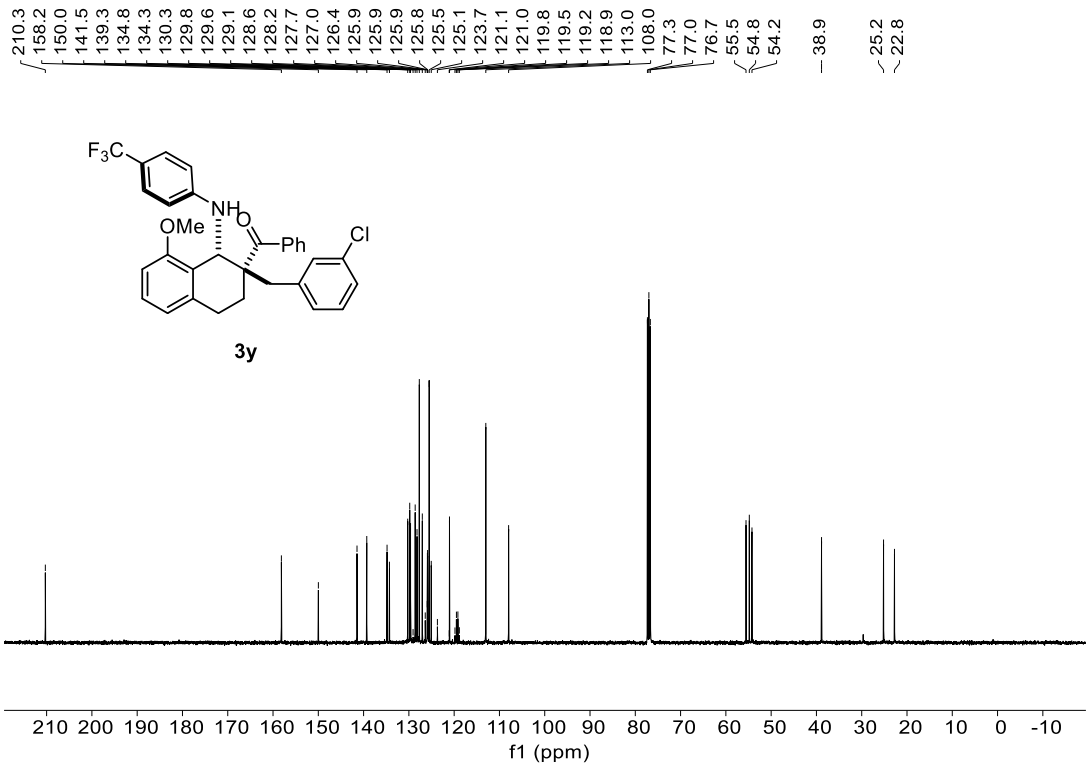
^{13}C NMR (100 MHz, $CDCl_3$) of **3x**



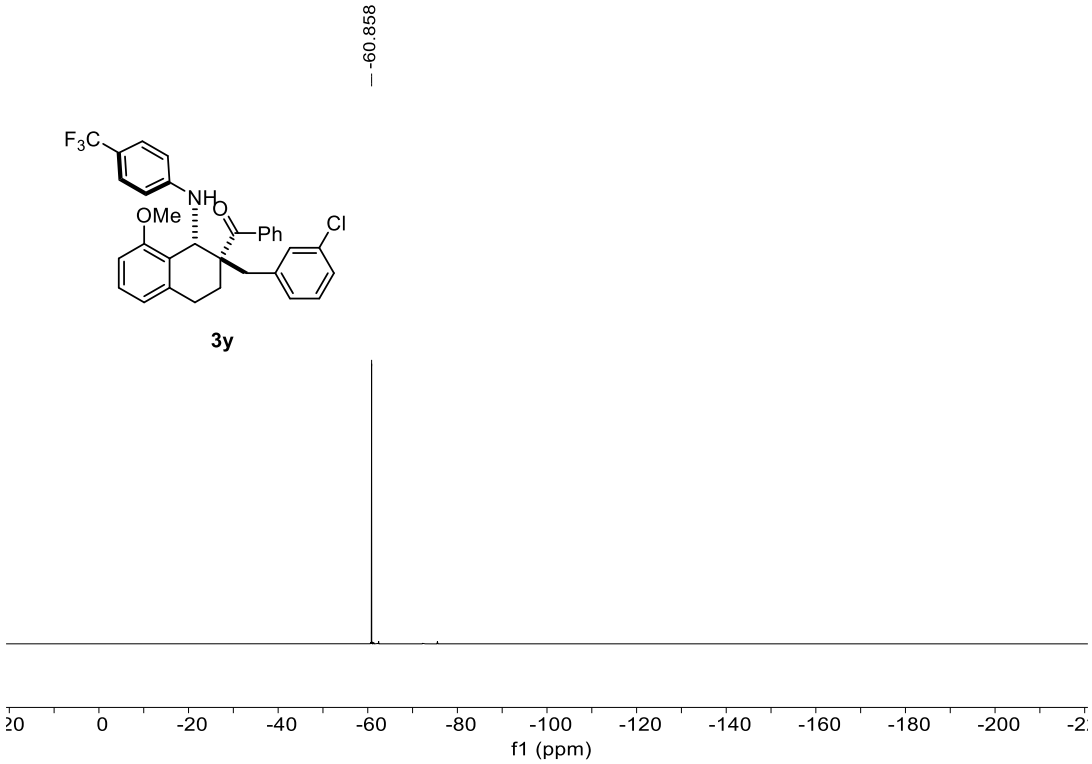
^{19}F NMR (376 MHz, $CDCl_3$) of **3x**



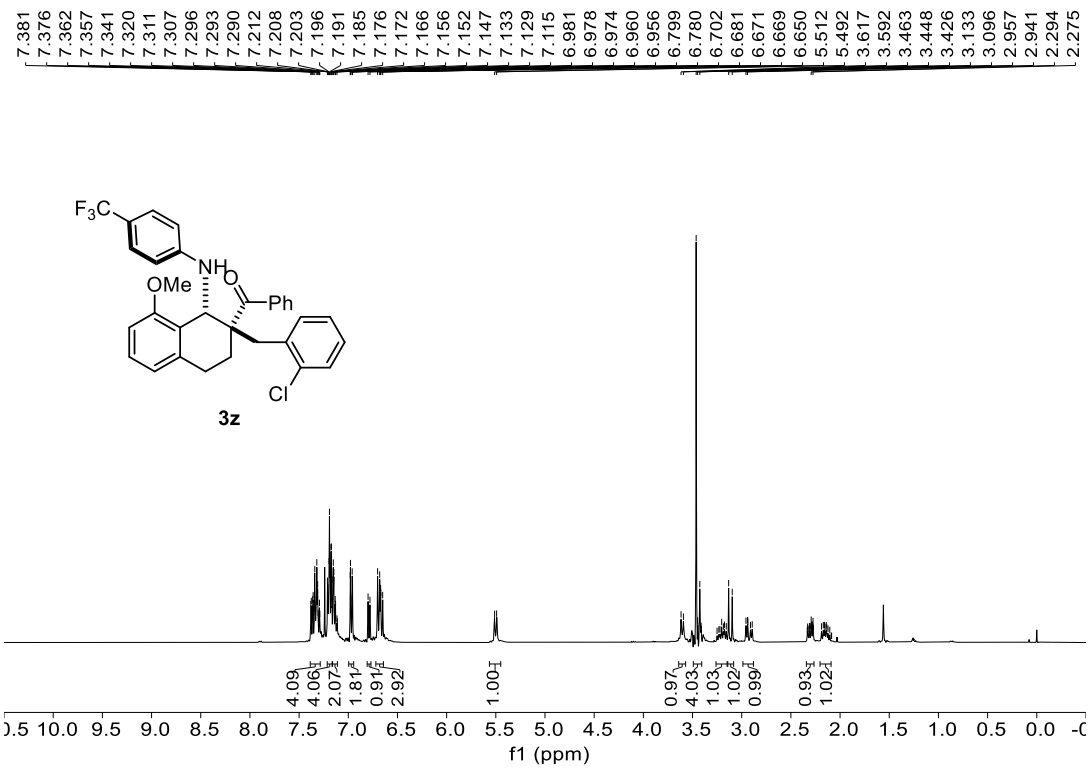
^{1}H NMR (400 MHz, $CDCl_3$) of **3y**



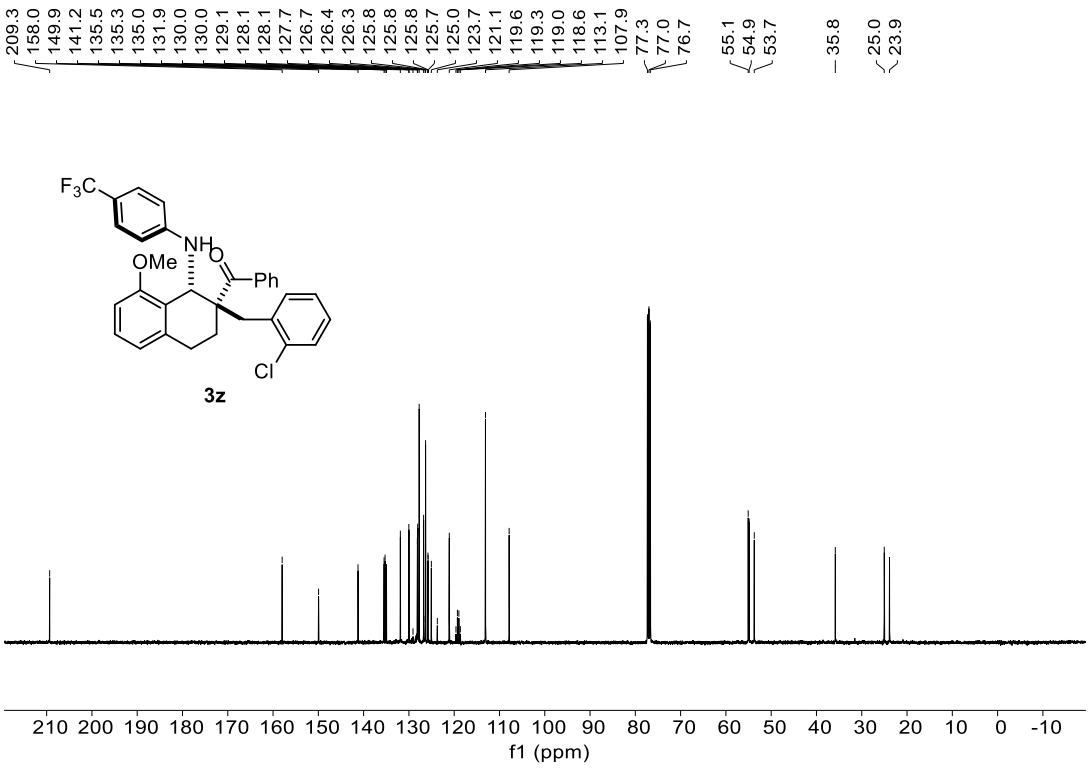
^{13}C NMR (100 MHz, $CDCl_3$) of **3y**



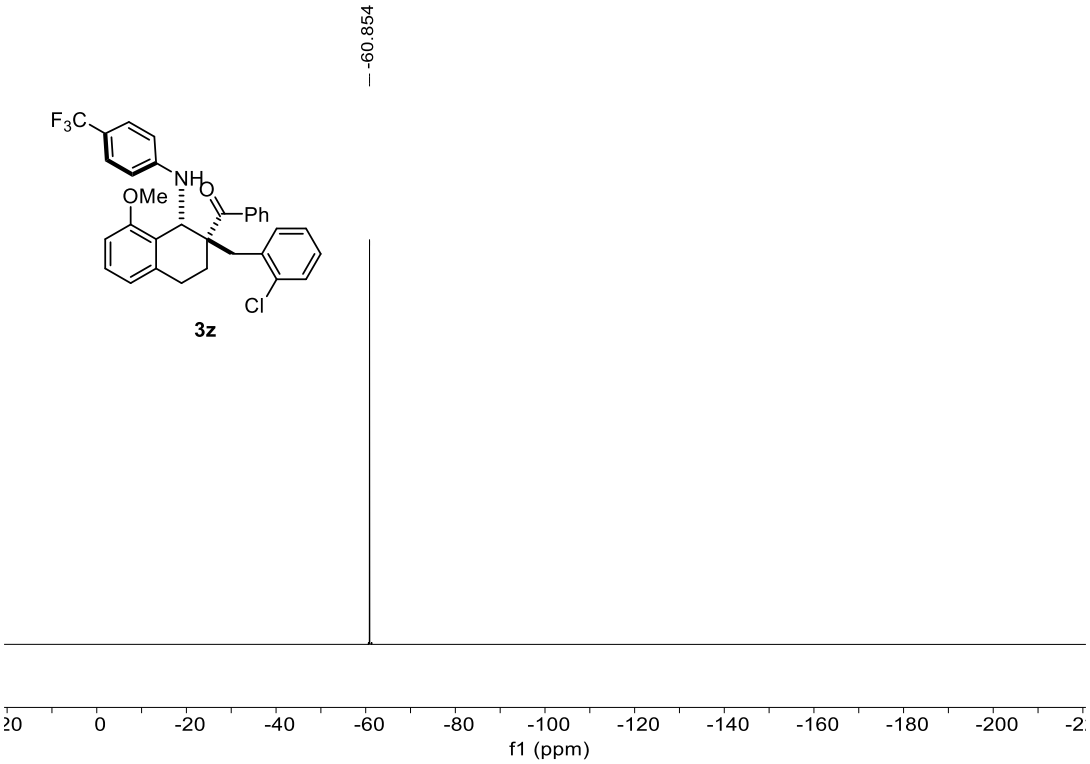
^{19}F NMR (376 MHz, $CDCl_3$) of **3y**



^{1}H NMR (400 MHz, $CDCl_3$) of **3z**



^{13}C NMR (100 MHz, $CDCl_3$) of **3z**



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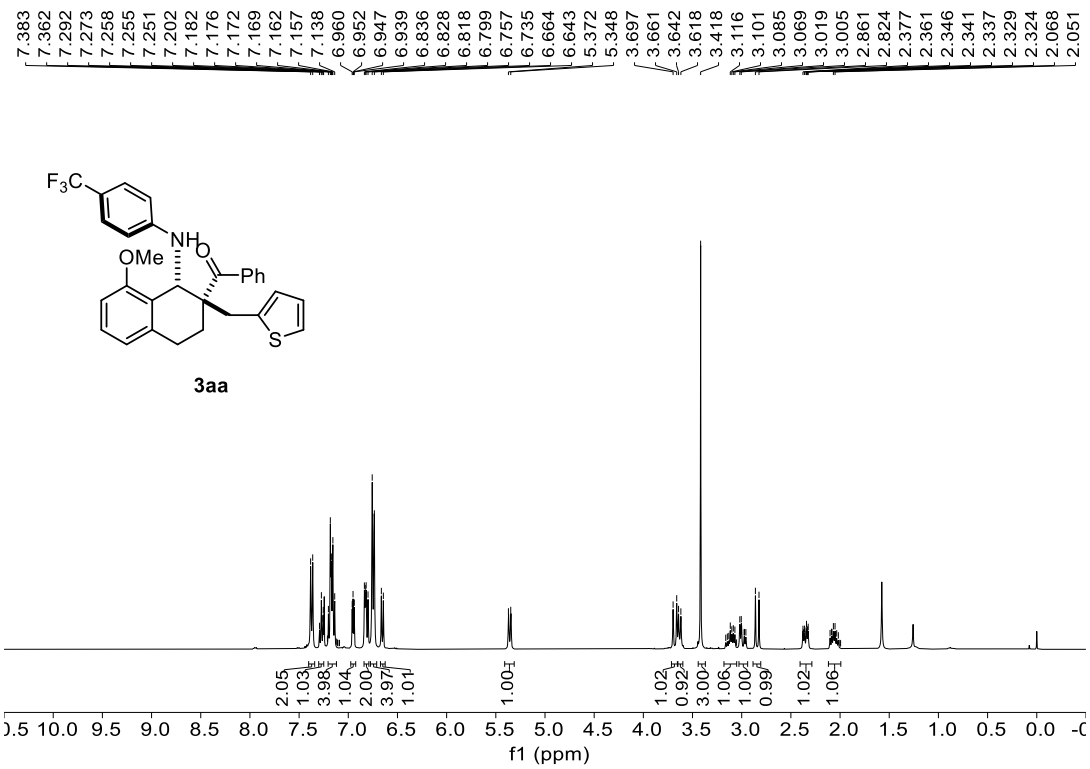
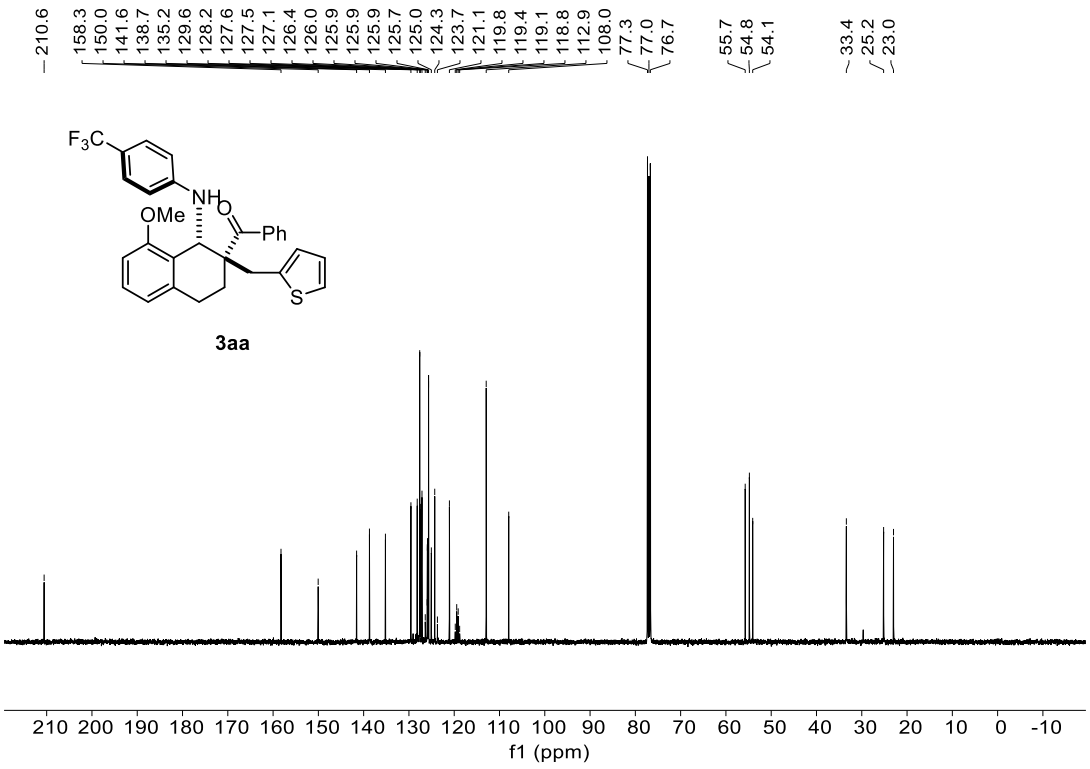
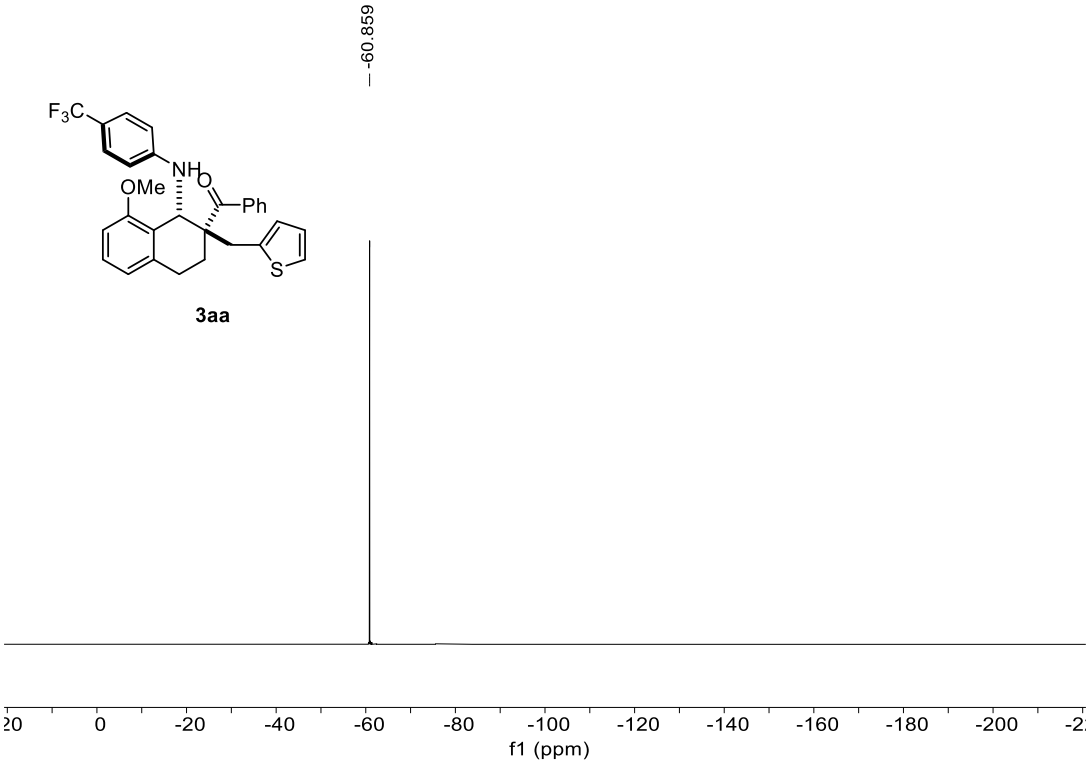


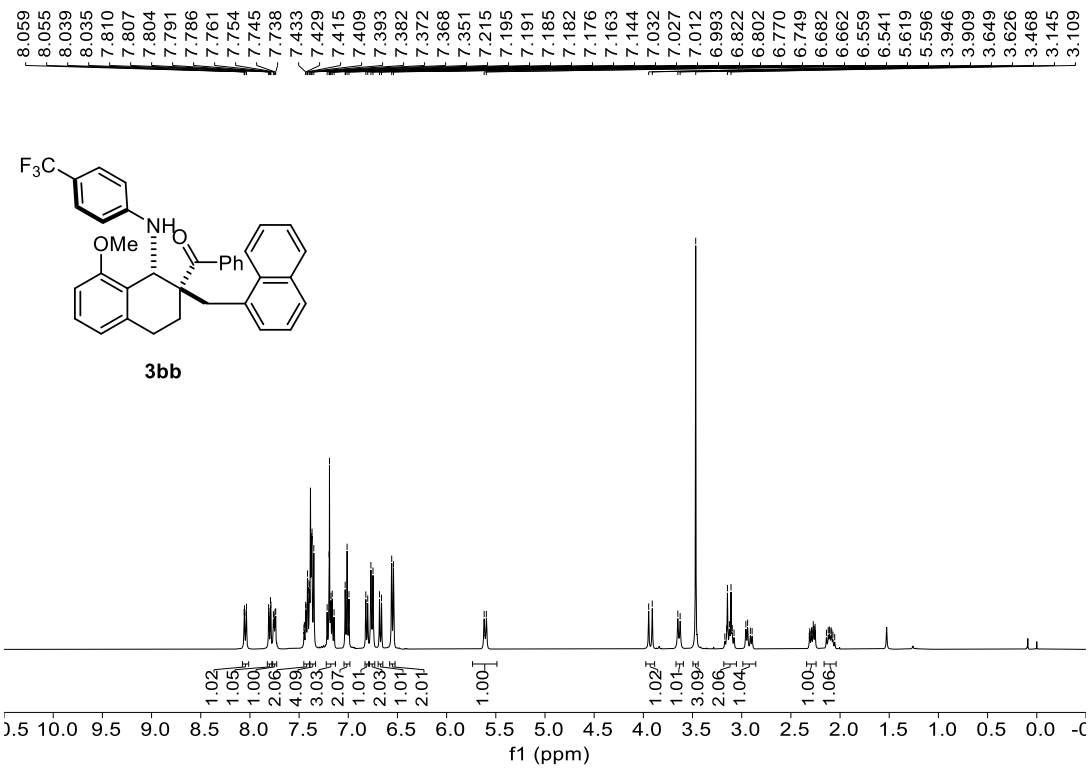
^{1}H NMR (400 MHz, $CDCl_3$) of **3aa**



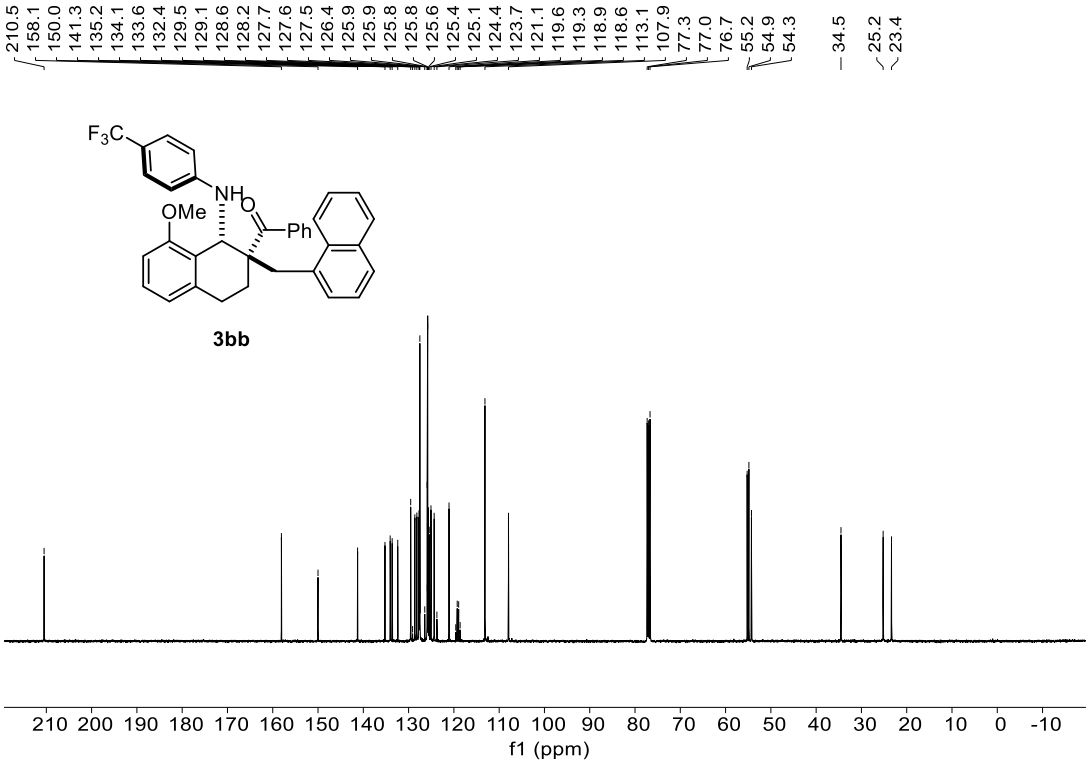
^{13}C NMR (100 MHz, $CDCl_3$) of **3aa**



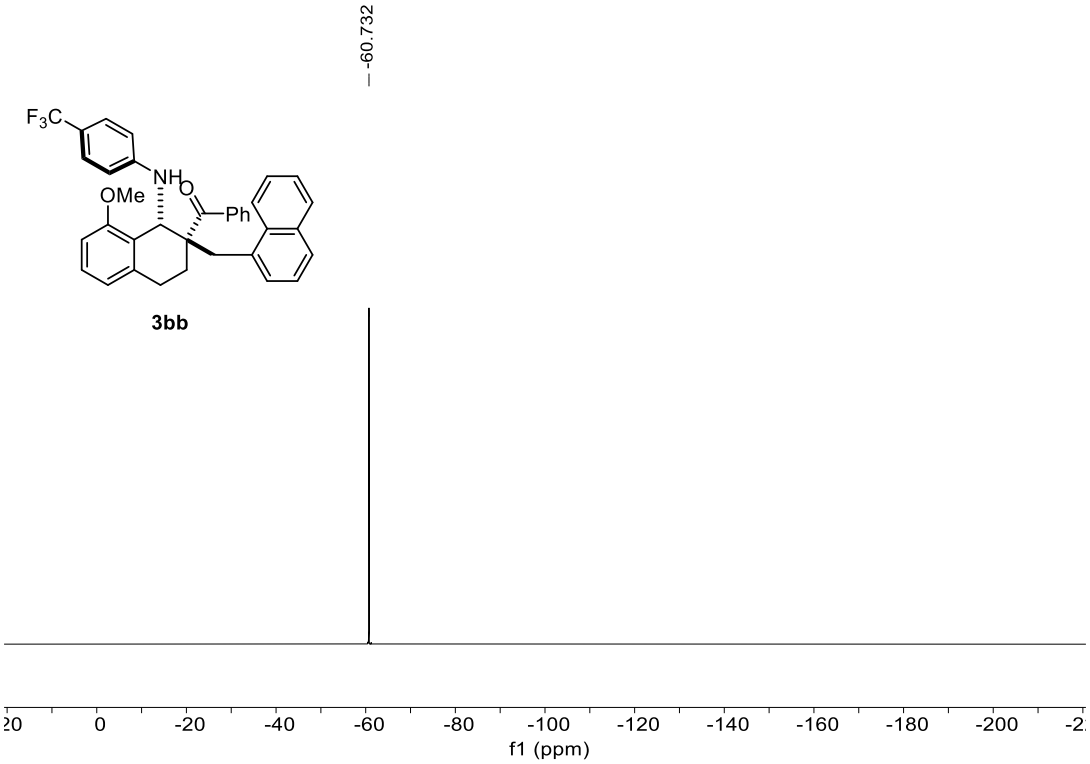
^{19}F NMR (376 MHz, $CDCl_3$) of **3aa**



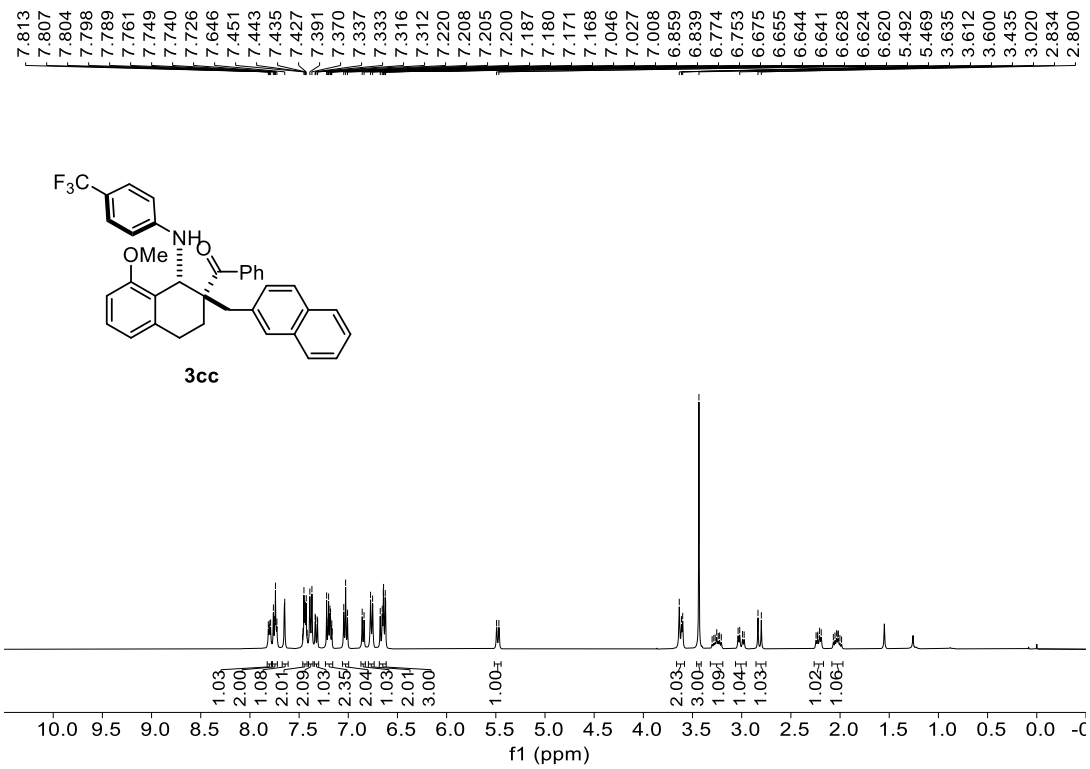
^{1}H NMR (400 MHz, $CDCl_3$) of **3bb**



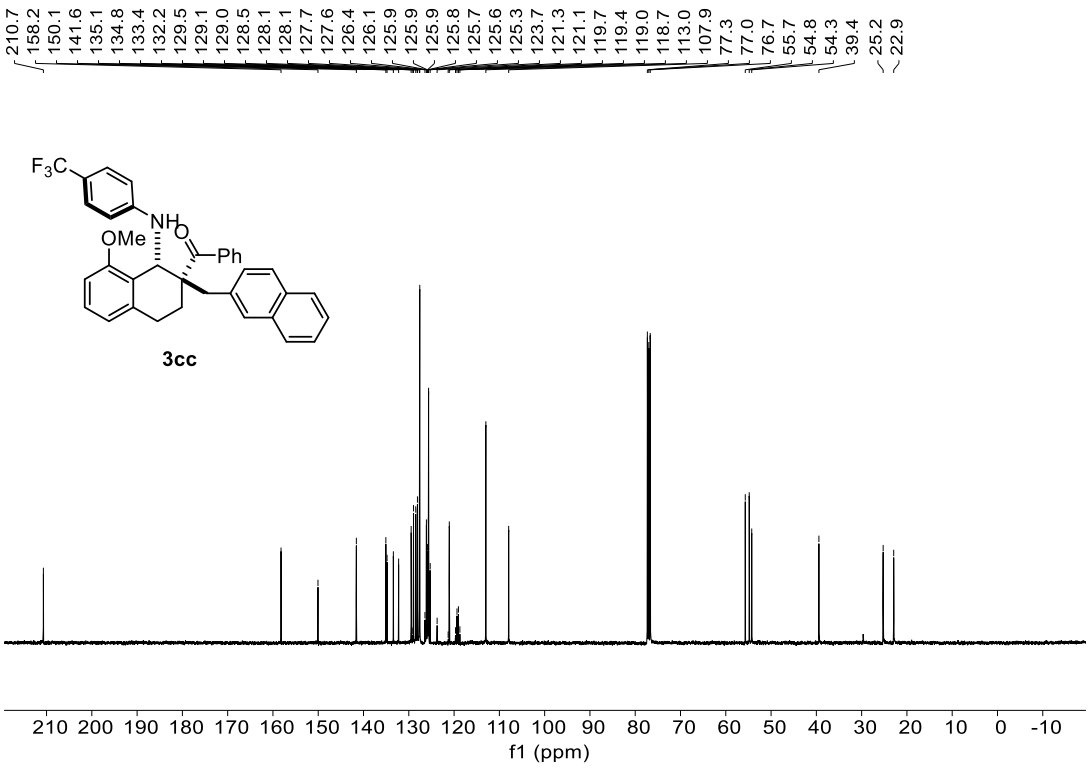
^{13}C NMR (100 MHz, $CDCl_3$) of **3bb**



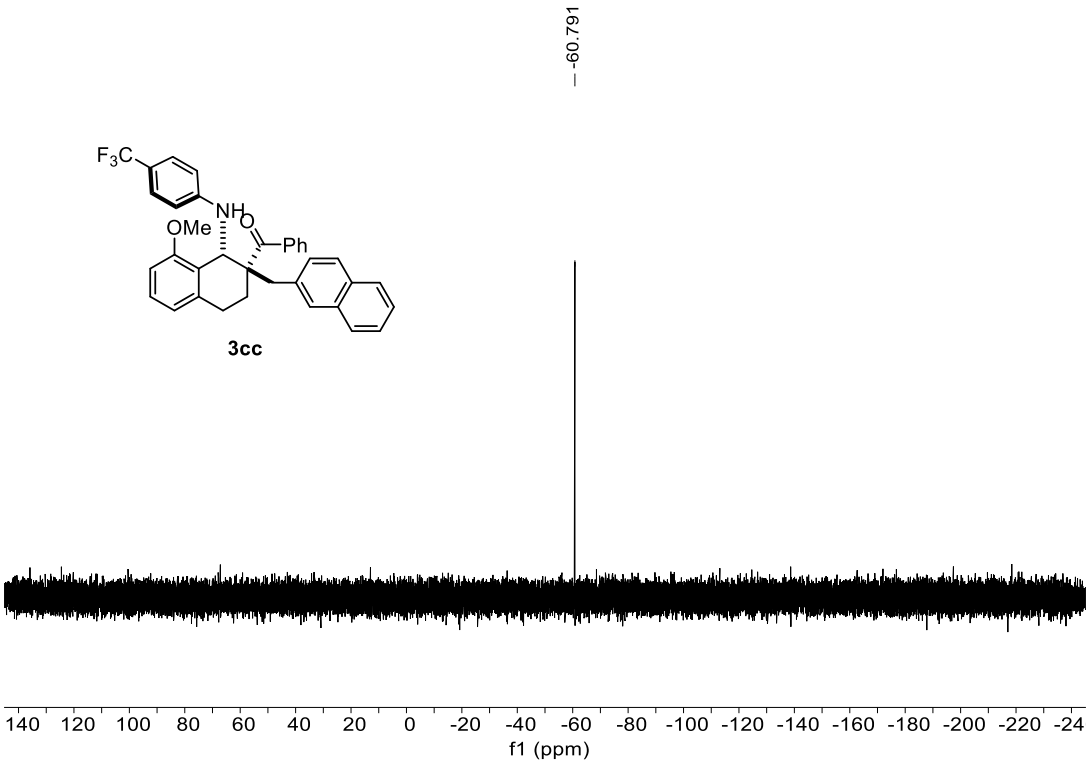
^{19}F NMR (376 MHz, $CDCl_3$) of **3bb**



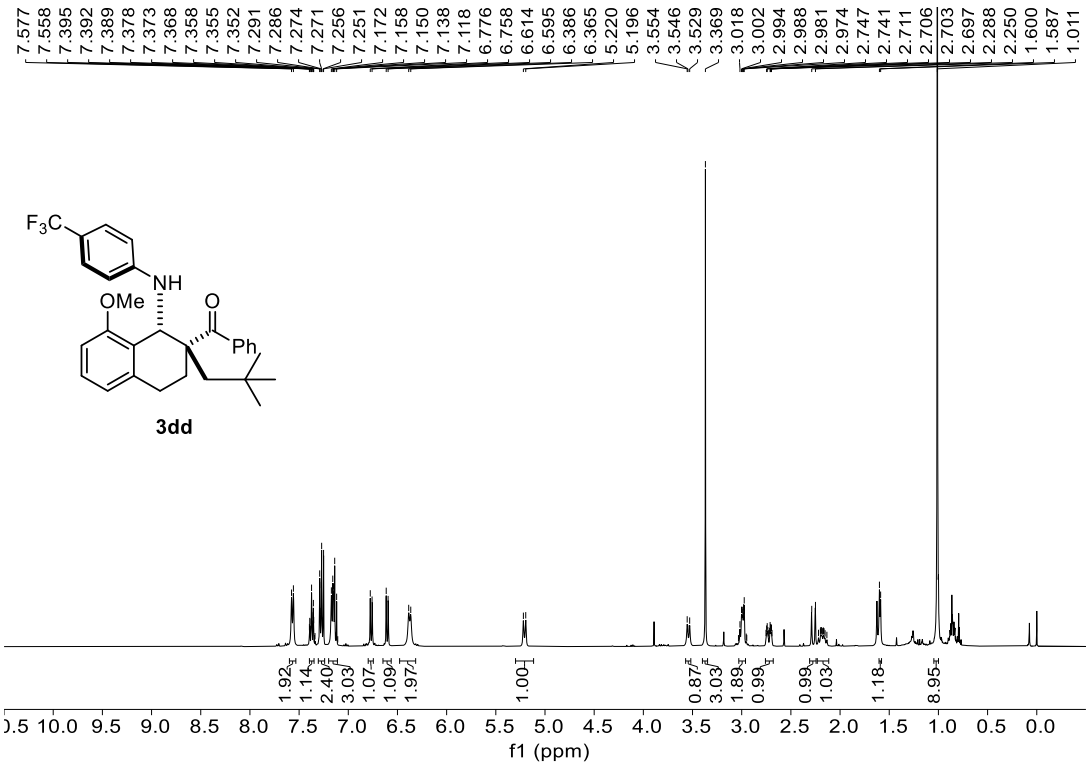
^{1}H NMR (400 MHz, $CDCl_3$) of **3cc**



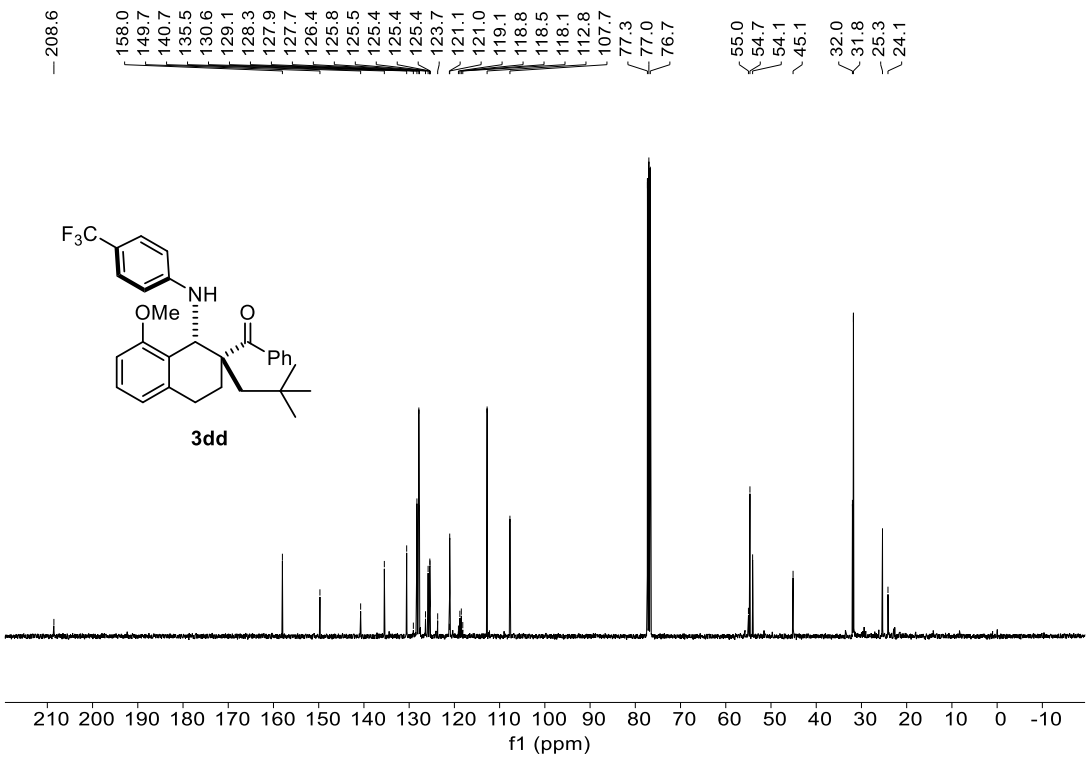
^{13}C NMR (100 MHz, $CDCl_3$) of **3cc**



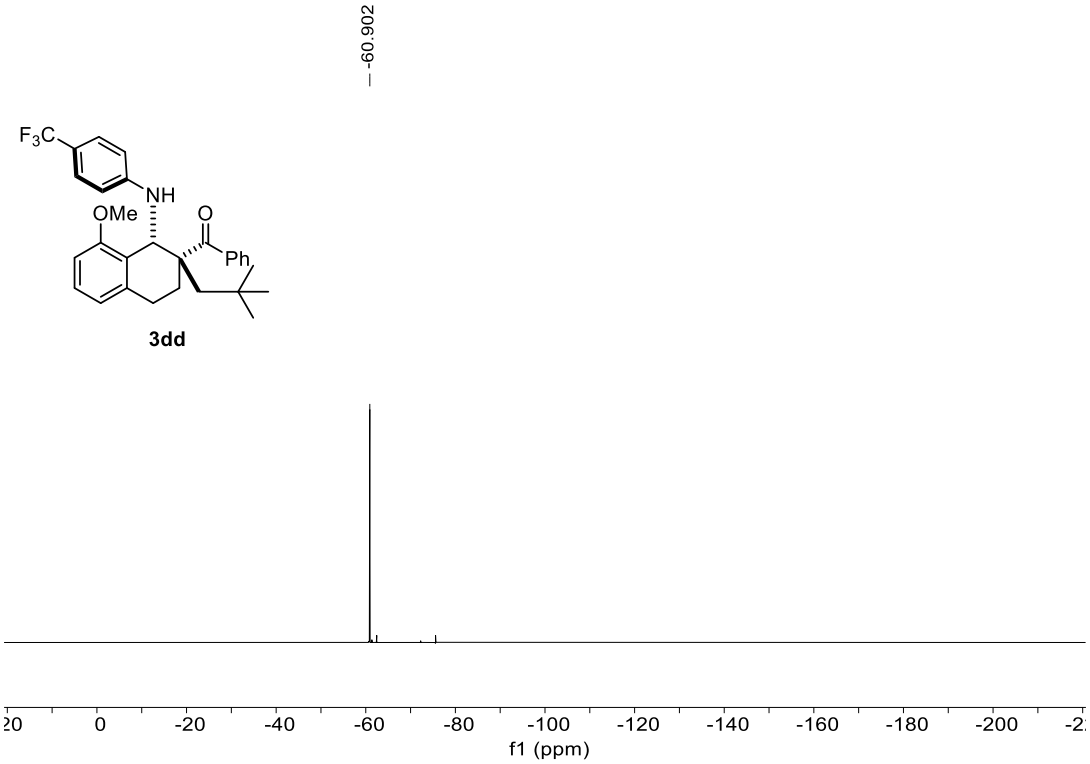
^{19}F NMR (376 MHz, $CDCl_3$) of **3cc**



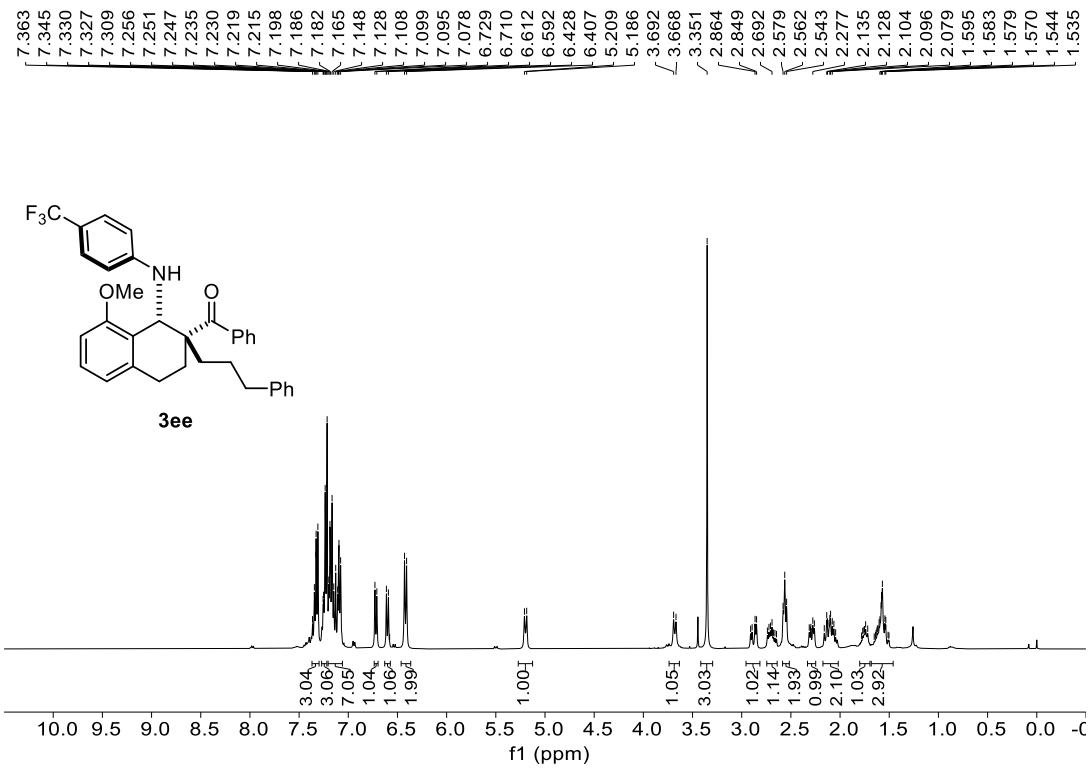
^{1}H NMR (400 MHz, $CDCl_3$) of **3dd**



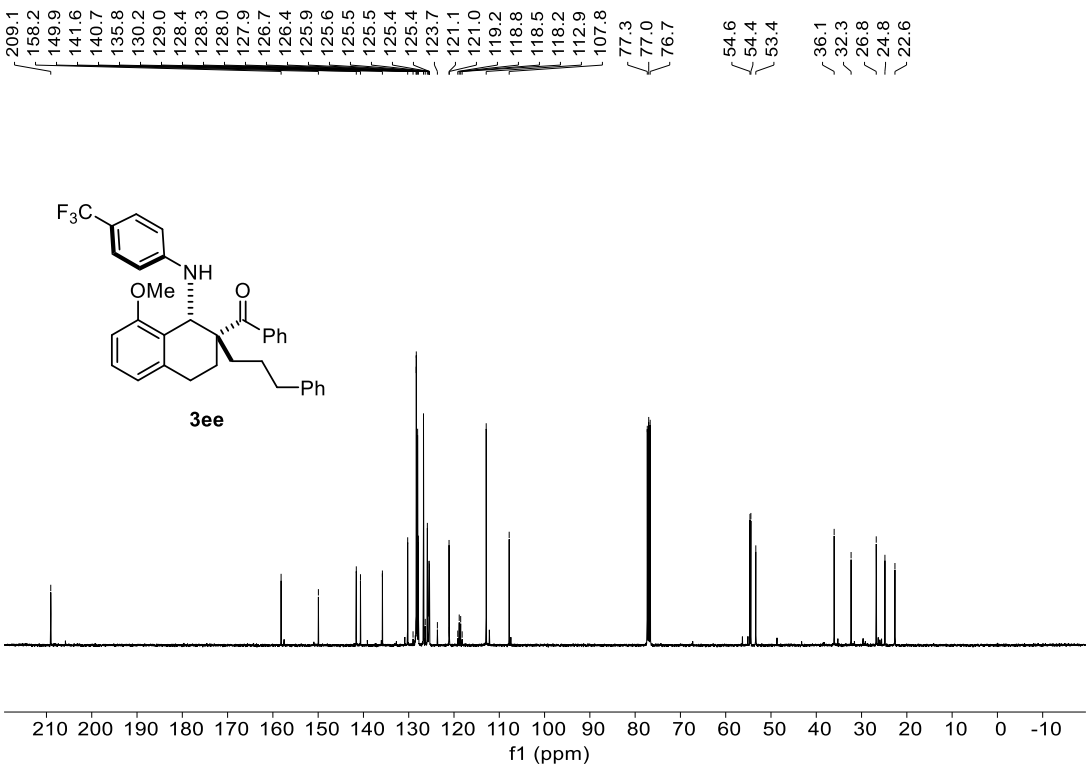
^{13}C NMR (100 MHz, $CDCl_3$) of **3dd**



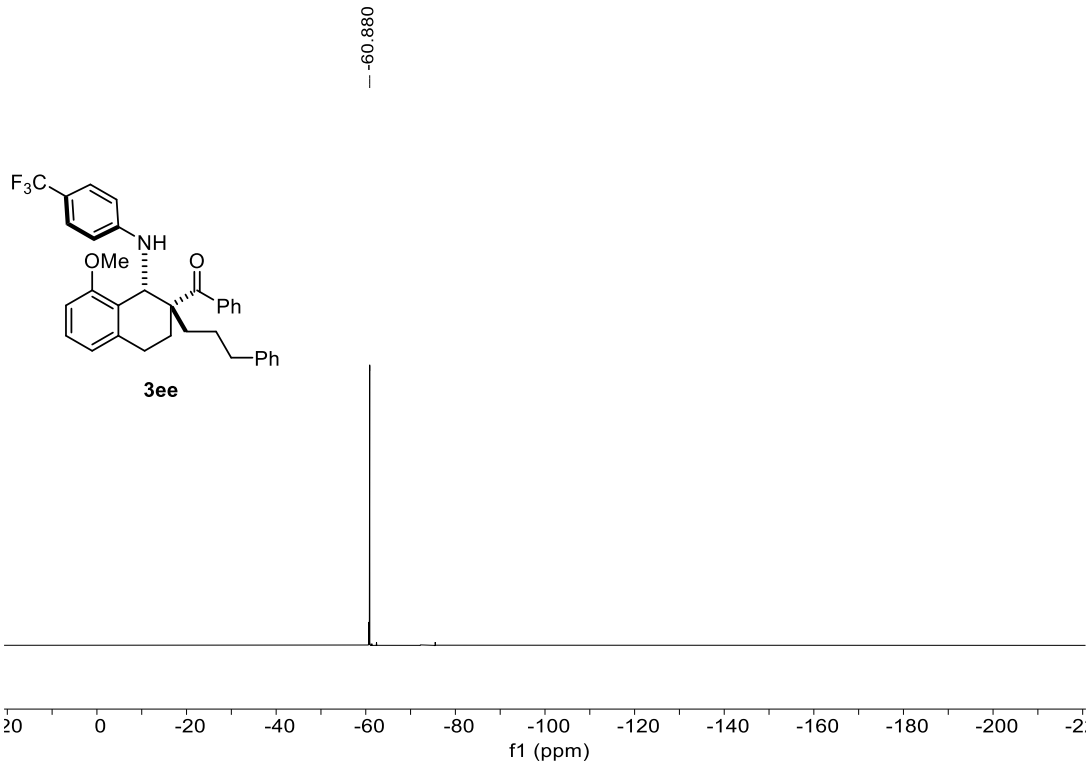
^{19}F NMR (376 MHz, $CDCl_3$) of **3dd**



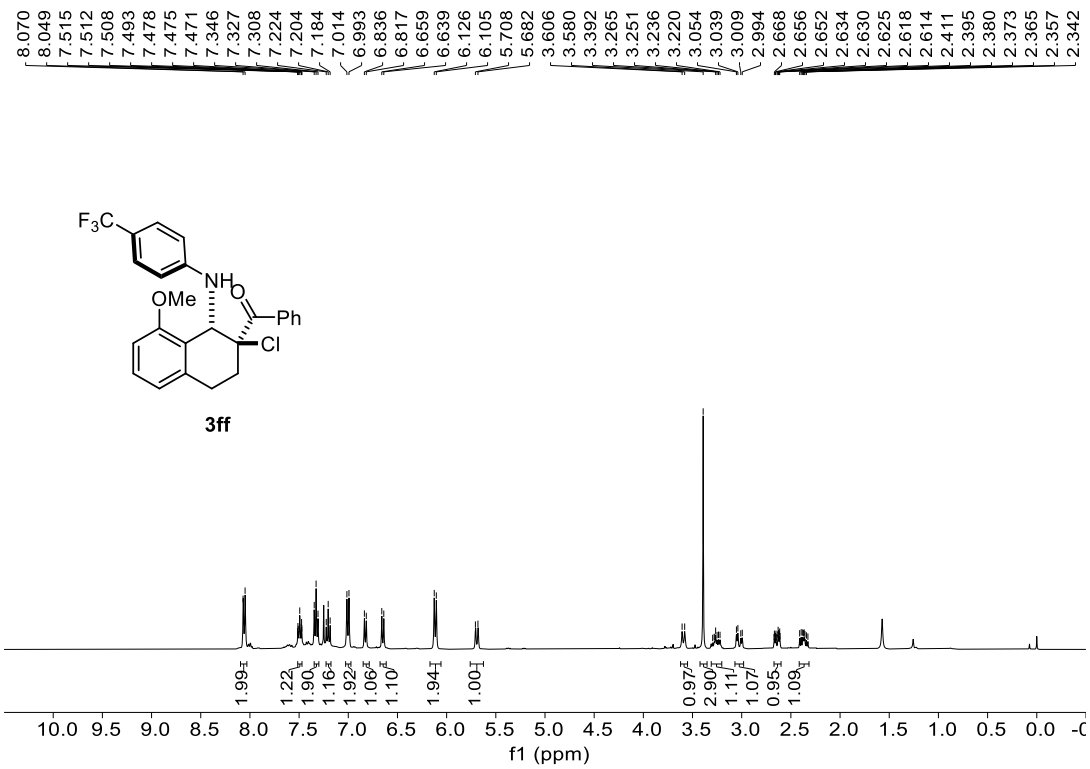
^{1}H NMR (400 MHz, $CDCl_3$) of **3ee**



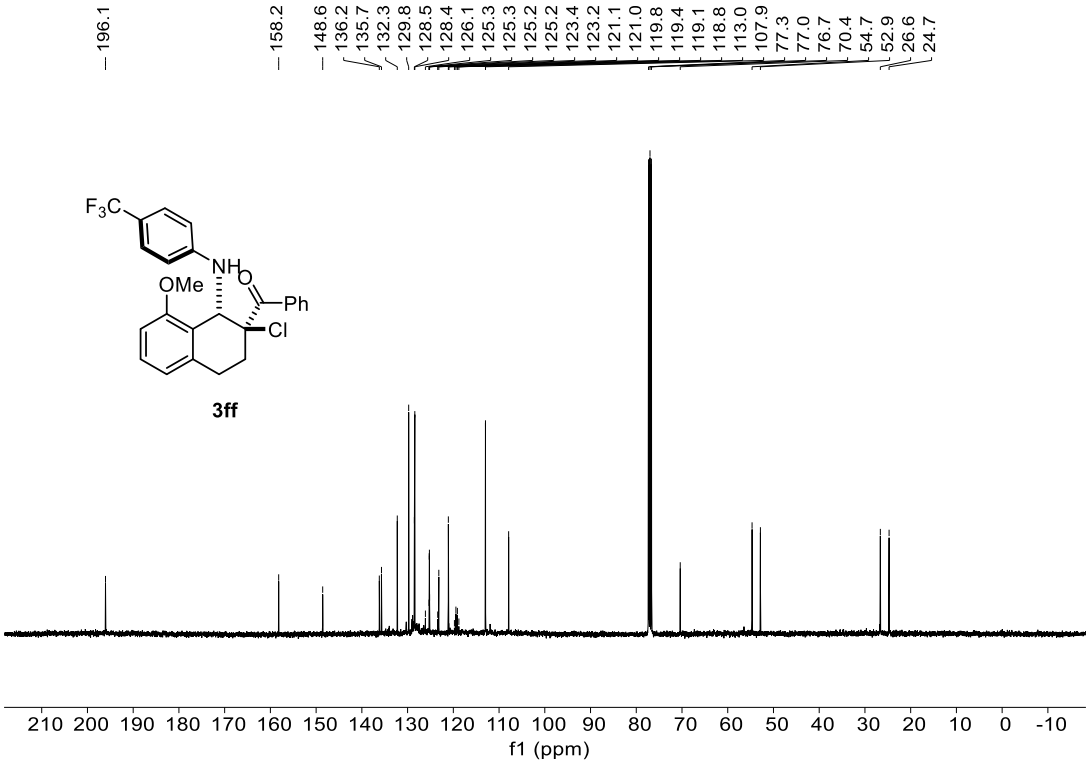
^{13}C NMR (100 MHz, $CDCl_3$) of **3ee**



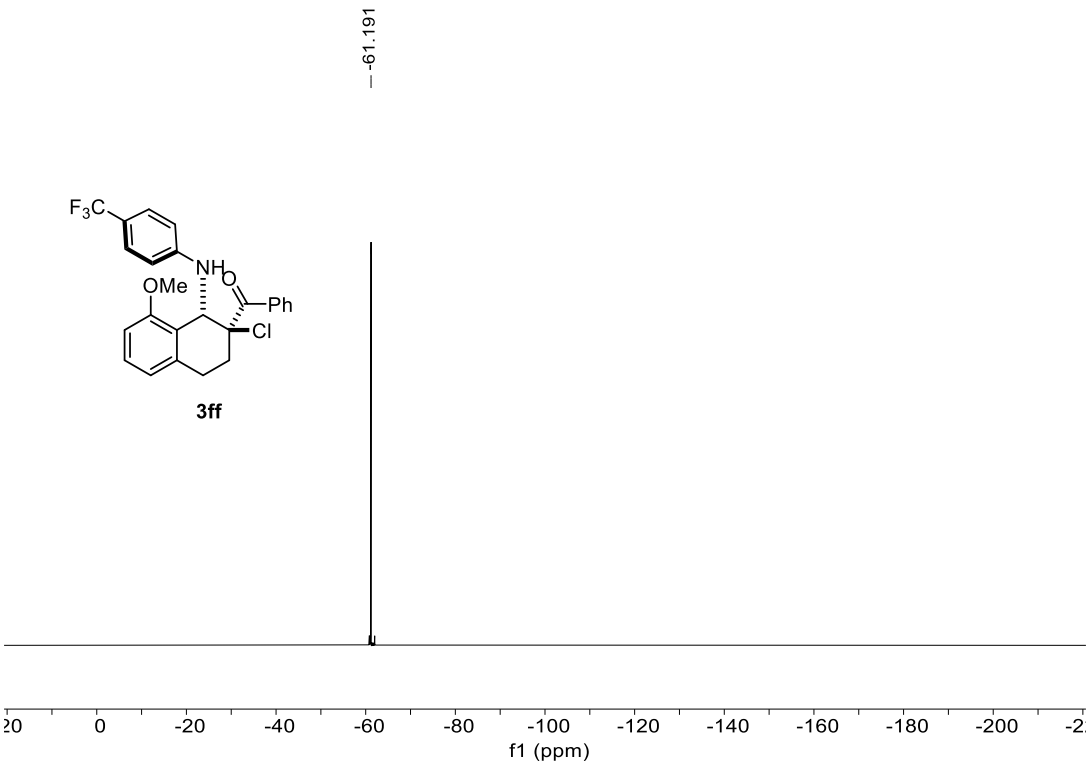
^{19}F NMR (376 MHz, $CDCl_3$) of **3ee**



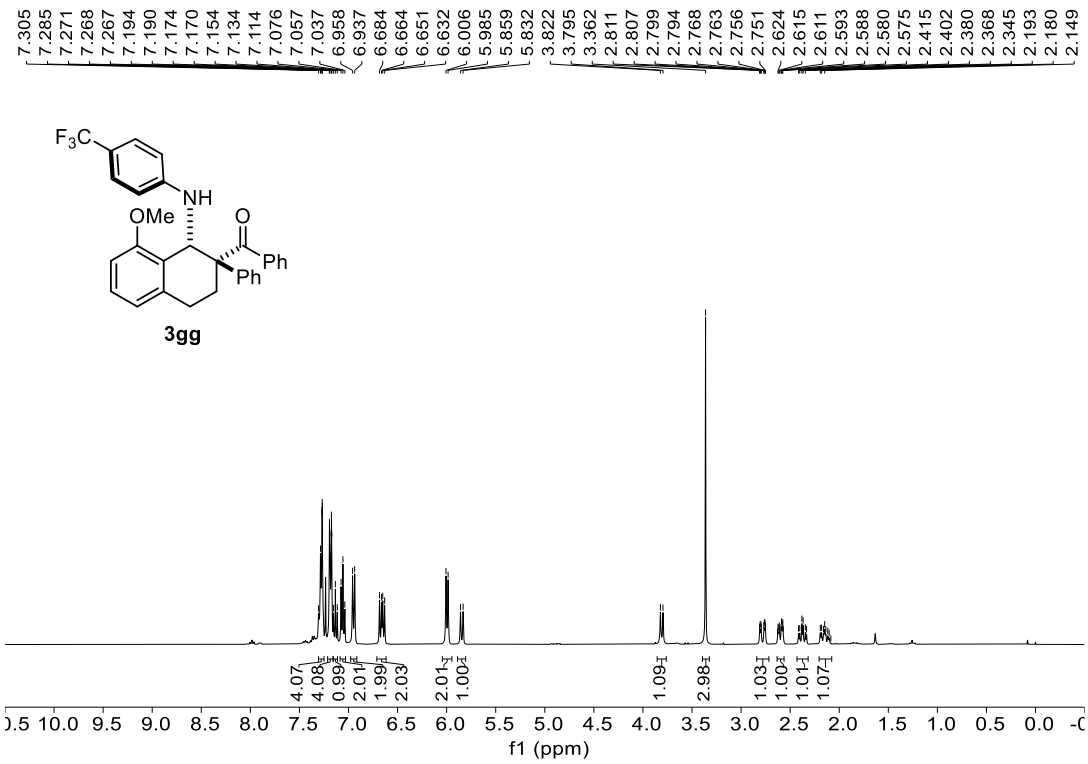
^{1}H NMR (400 MHz, $CDCl_3$) of **3ff**



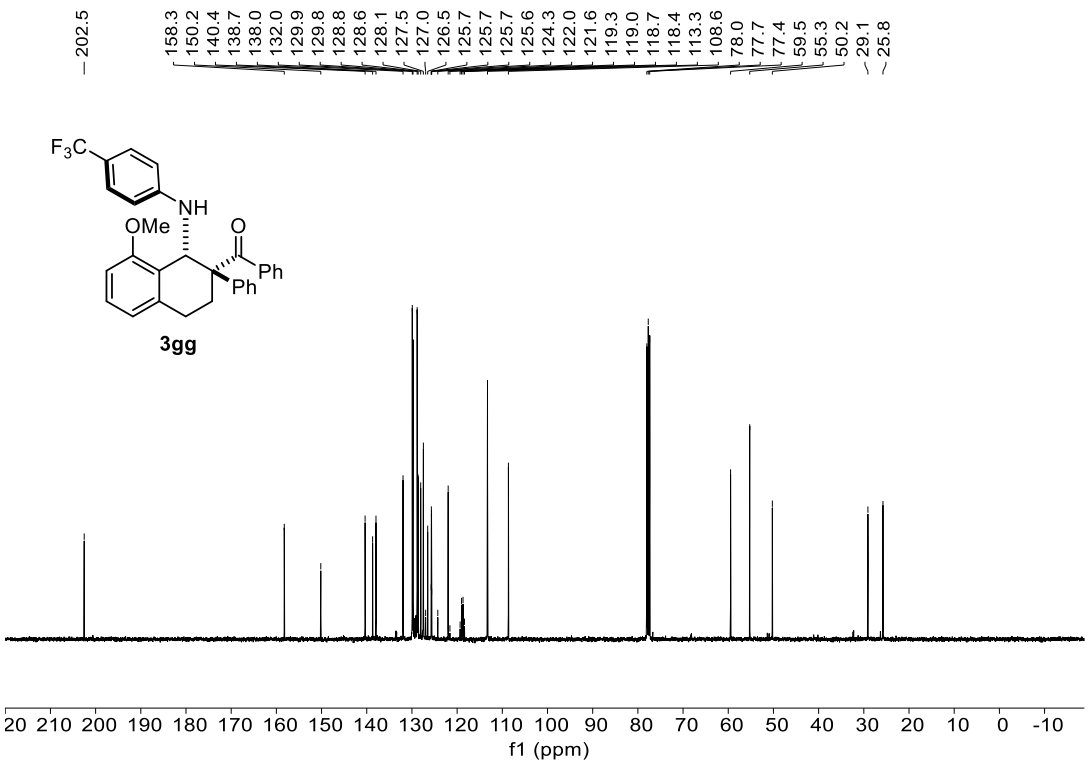
^{13}C NMR (100 MHz, $CDCl_3$) of **3ff**



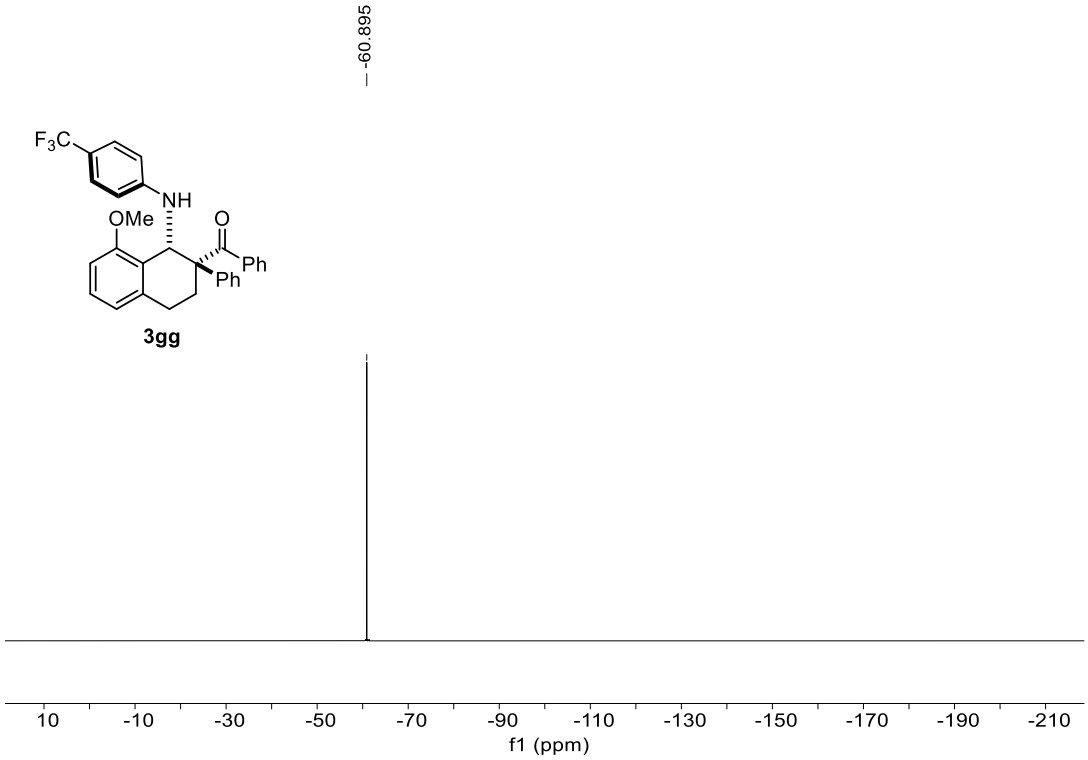
^{19}F NMR (376 MHz, $CDCl_3$) of **3ff**



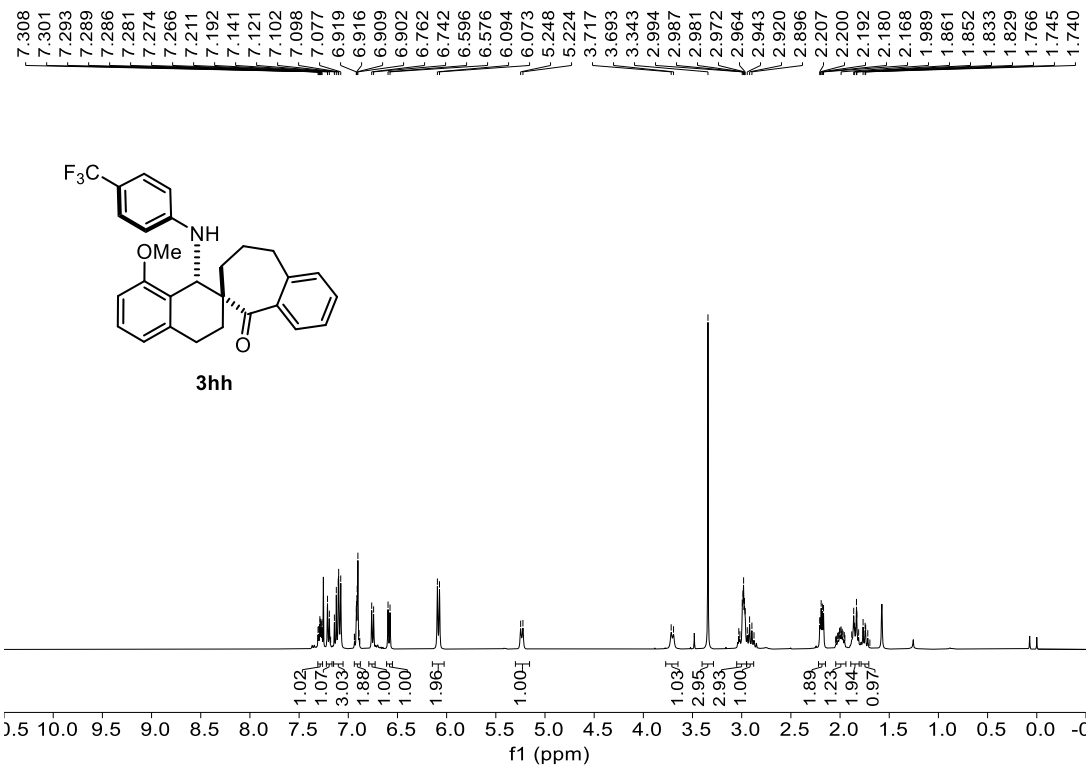
^{1}H NMR (400 MHz, $CDCl_3$) of **3gg**



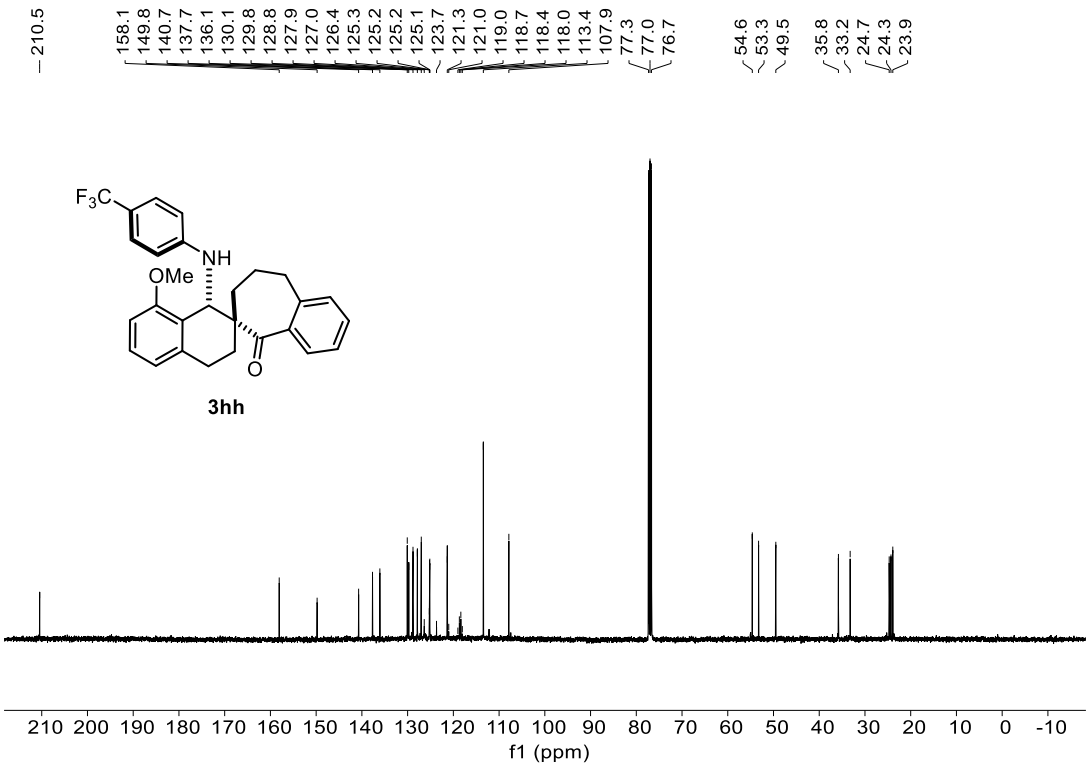
^{13}C NMR (100 MHz, $CDCl_3$) of **3gg**



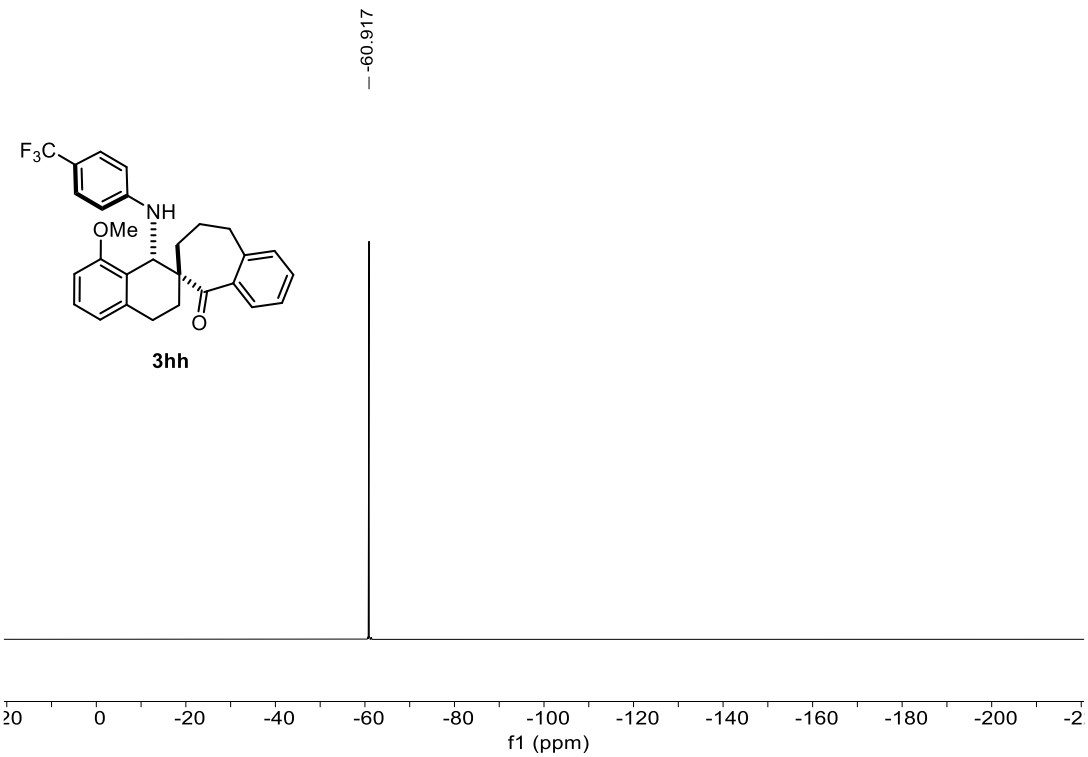
^{19}F NMR (376 MHz, $CDCl_3$) of **3gg**



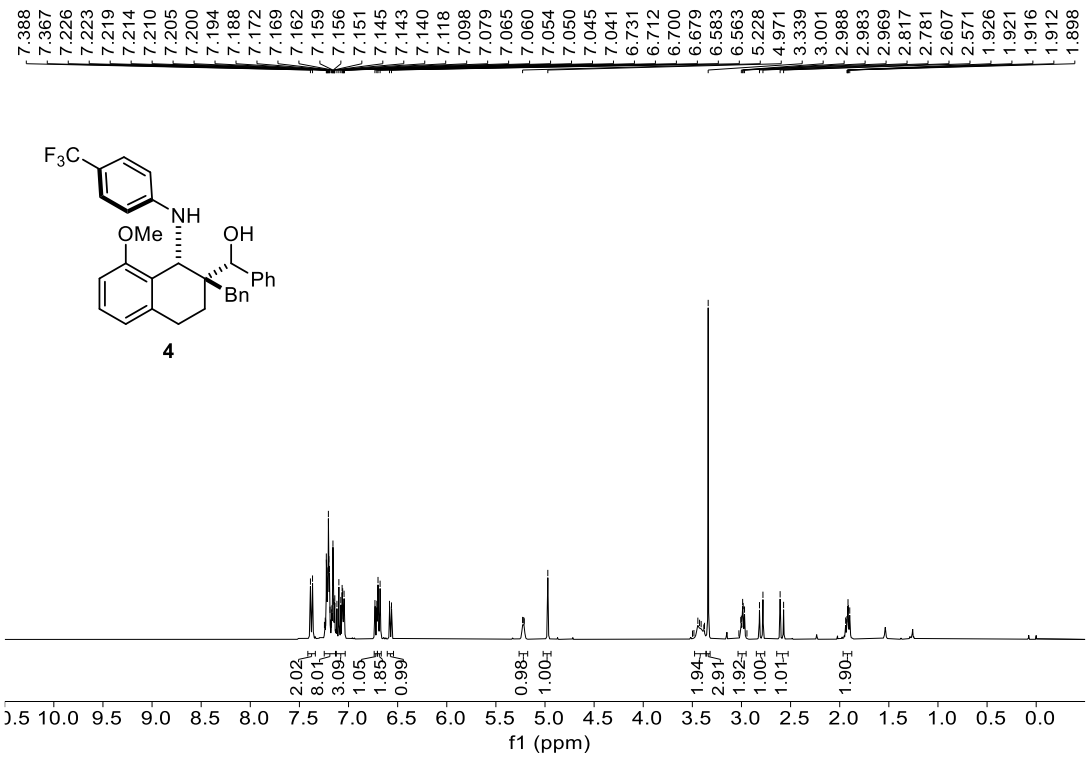
^{1}H NMR (400 MHz, $CDCl_3$) of **3hh**



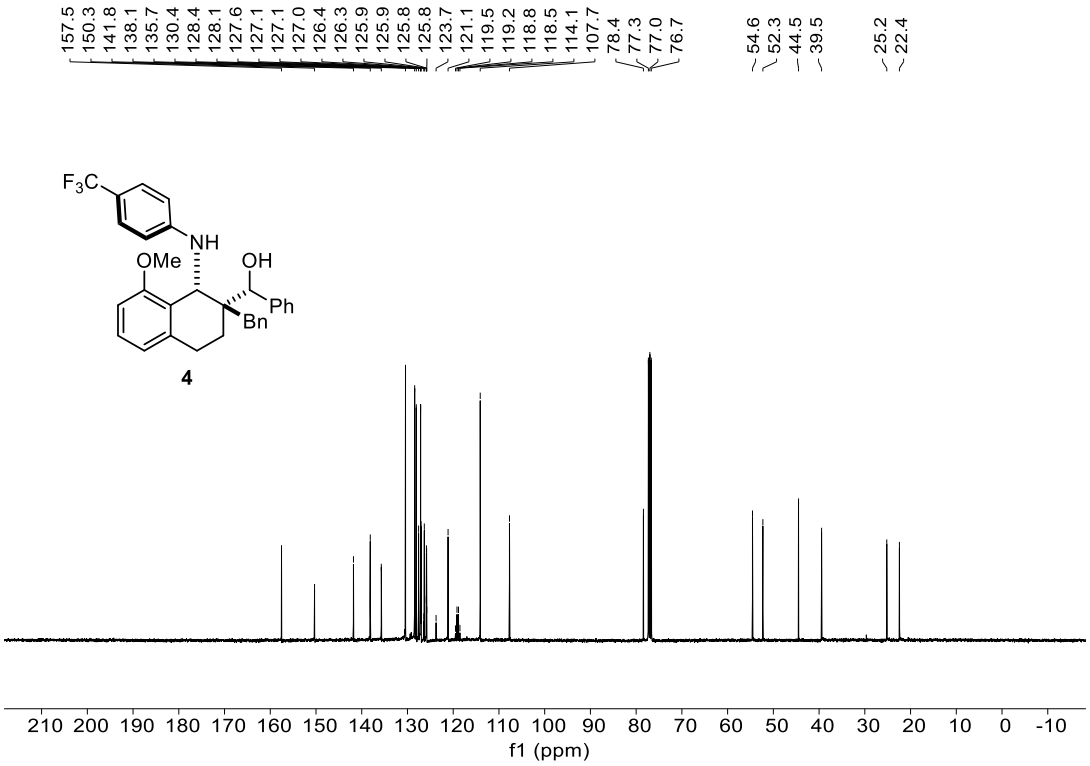
^{13}C NMR (100 MHz, $CDCl_3$) of **3hh**



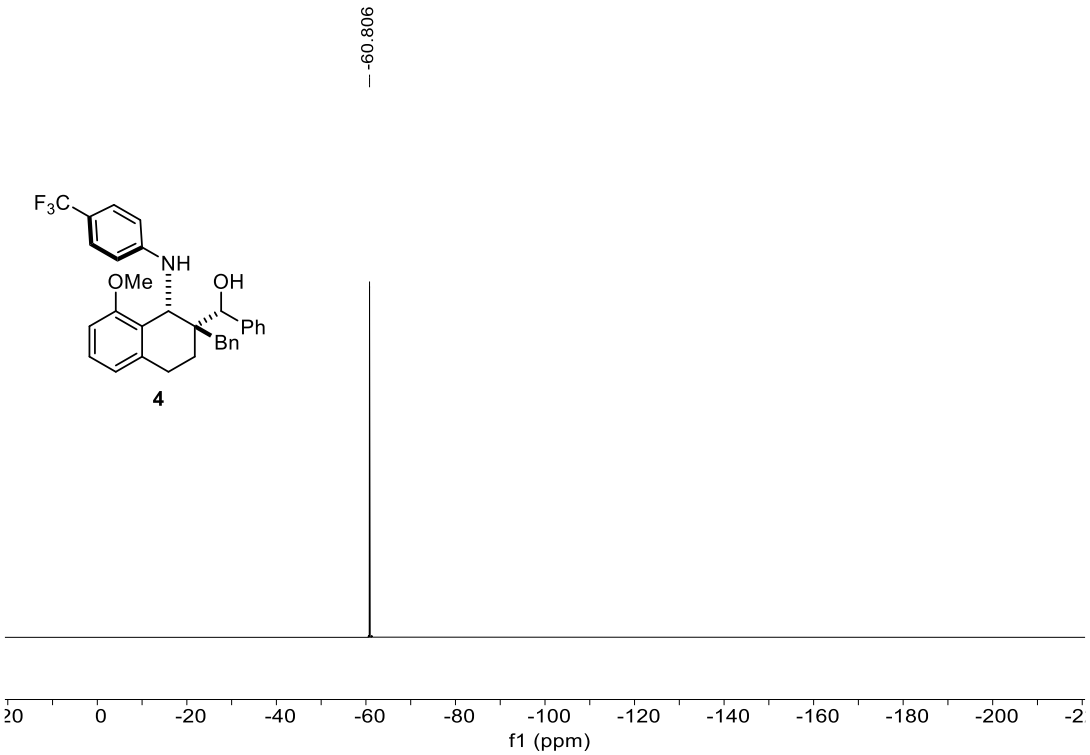
^{19}F NMR (376 MHz, $CDCl_3$) of **3hh**



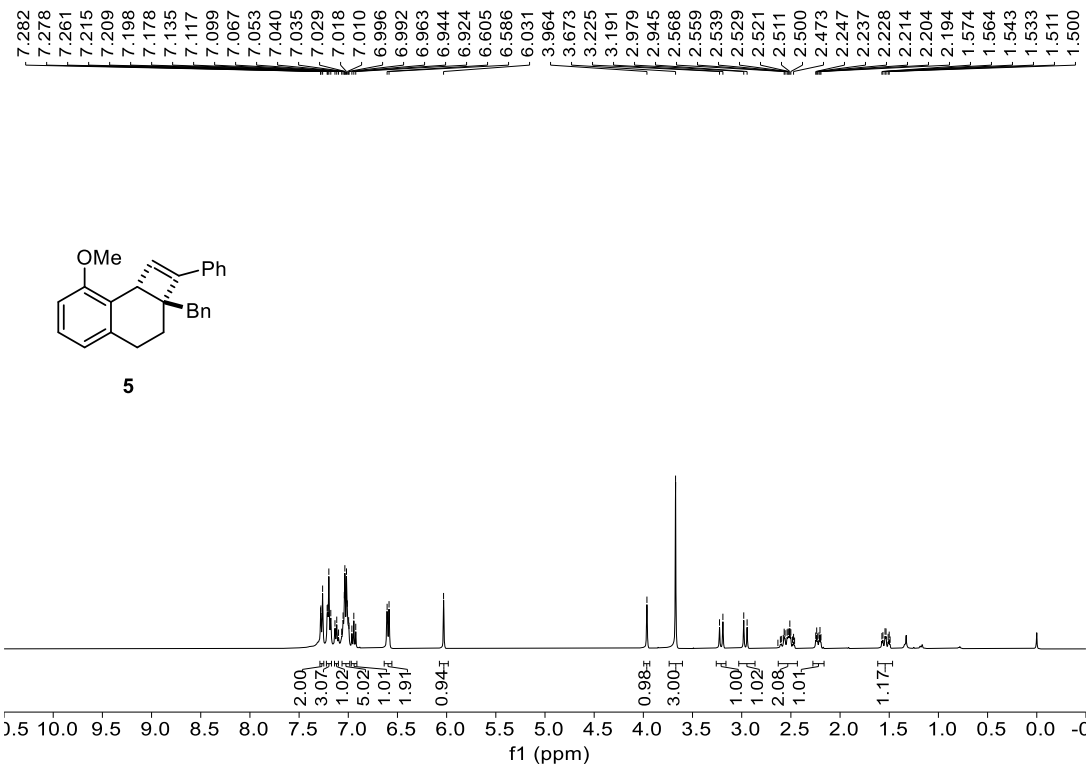
^{1}H NMR (400 MHz, $CDCl_3$) of **4**



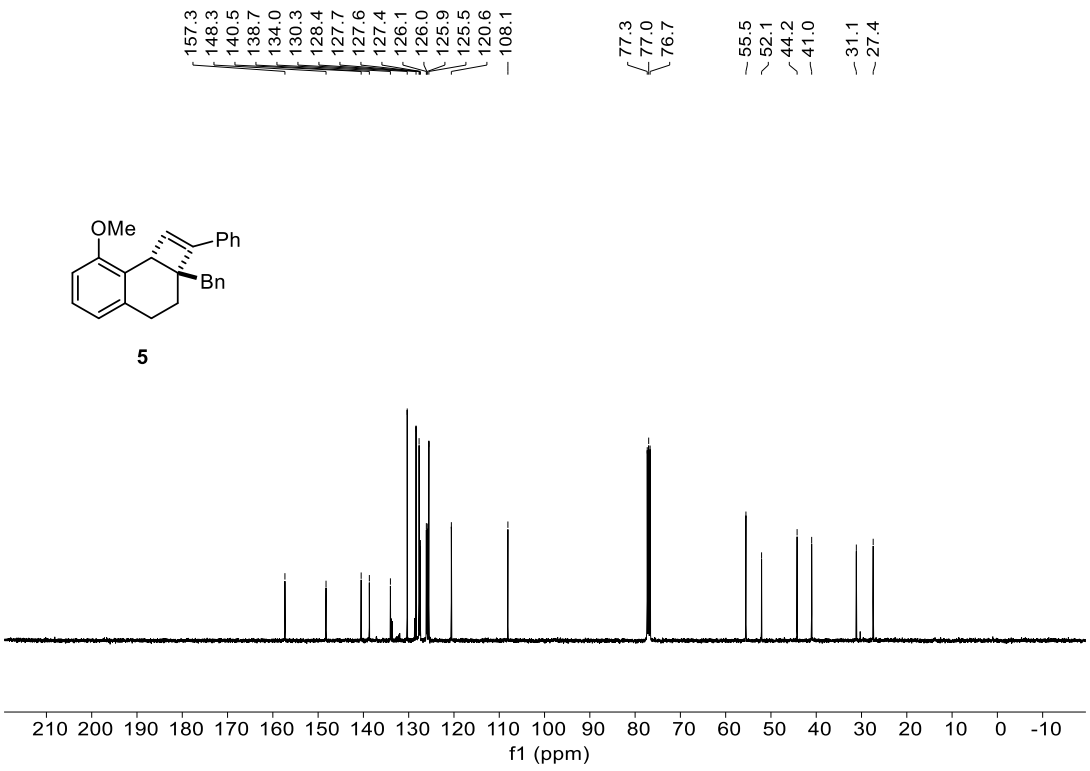
^{13}C NMR (100 MHz, $CDCl_3$) of **4**



^{19}F NMR (376 MHz, $CDCl_3$) of **4**

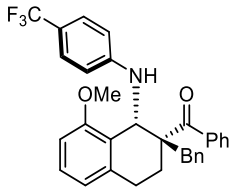


^{1}H NMR (400 MHz, $CDCl_3$) of **5**



^{13}C NMR (100 MHz, $CDCl_3$) of **5**

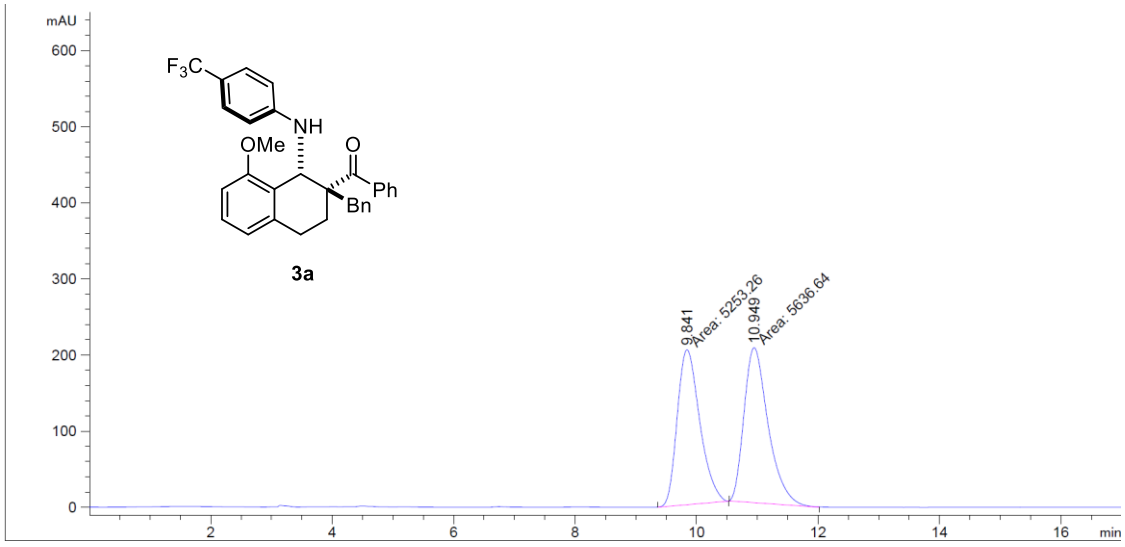
HPLC spectra



(1*S*, 2*S*)-**3a**

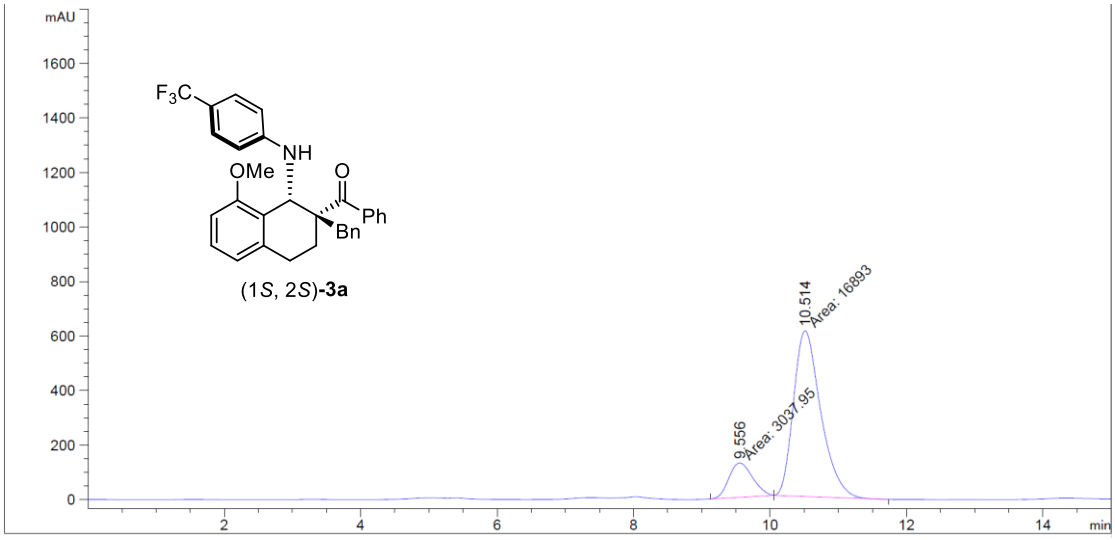
Following the general procedure B, (1*S*, 2*S*)-**3a** was purified as white powder by flash column chromatography (ethyl acetate/petroleum ether = 1/20-1/10) (61.9 mg, 60% yield, 85:15 er, 99.5:0.5 er (after recrystallization)), the NMR and HRMS (ESI) was absolutely in consistent with **3a**. (1*S*, 2*S*)-**3a**: $[\alpha]_D^{20}$ = +61.5 (*c* 0.5, acetone). The product was analyzed by HPLC to determine the enantiomeric ratio: 99.5:0.5 er (Chiralpak AD-H, *i*-propanol/hexane = 5/95, flow rate 1.0 mL/min, λ = 254 nm); t_r = 9.80 and 11.00 min.

HPLC chromatogram of compound 3a



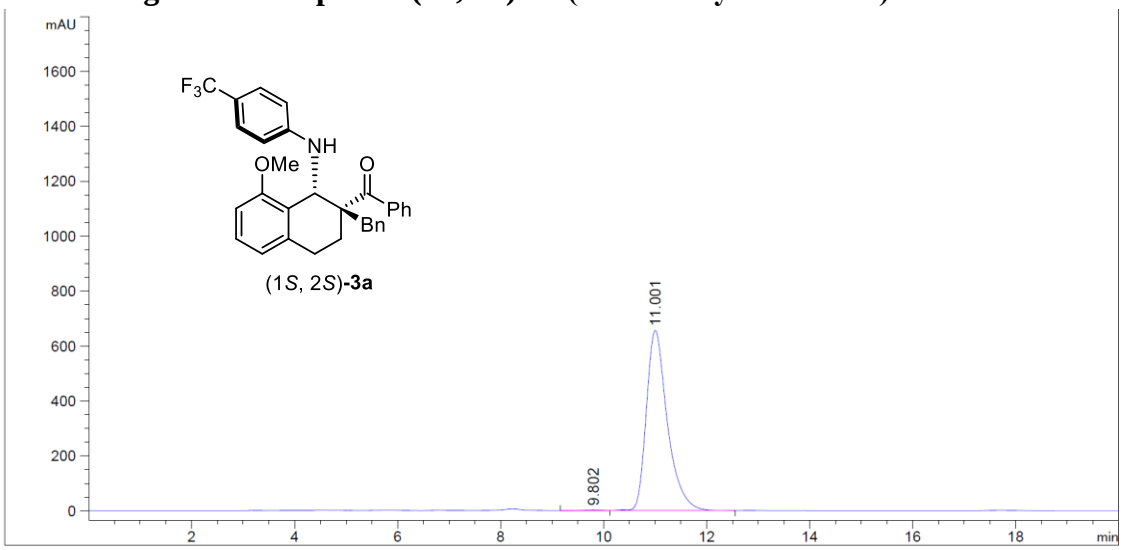
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.841	MM T	0.4301	5253.26367	203.59117	48.2398
2	10.949	MM T	0.4613	5636.63525	203.65741	51.7602

HPLC chromatogram of compound (1*S*, 2*S*)-3a

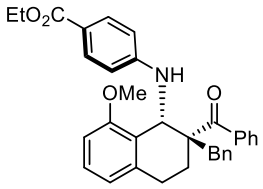


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.556	MM	0.4013	3037.95264	126.18247	15.2424
2	10.514	MM	0.4630	1.68930e4	608.09247	84.7576

HPLC chromatogram of compound (1*S*, 2*S*)-3a (After recrystallization)



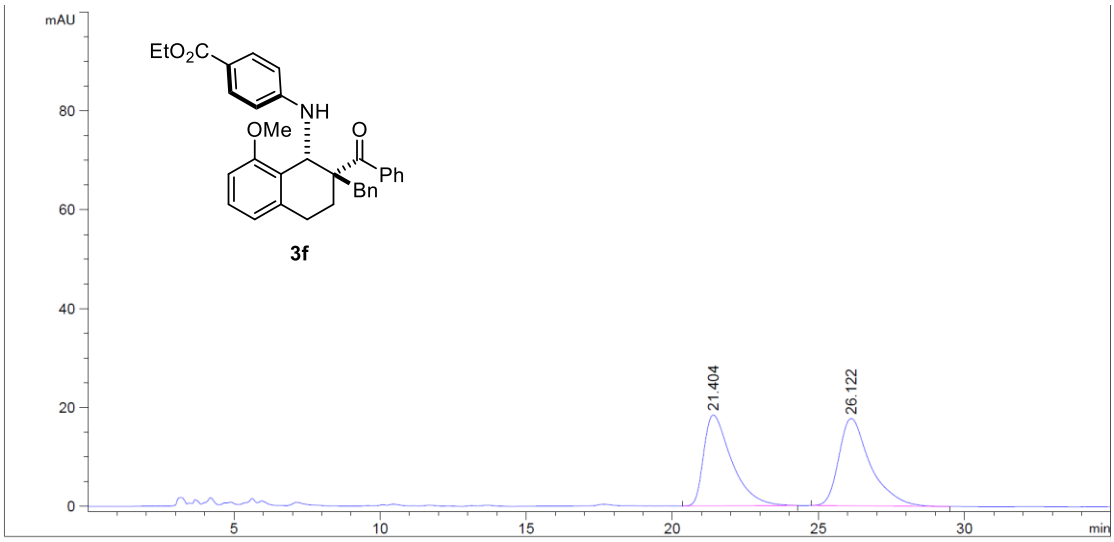
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.802	BV E	0.4637	86.52644	2.85435	0.4617
2	11.001	VB R	0.4277	1.86531e4	656.28351	99.5383



(1*S*, 2*S*)-**3f**

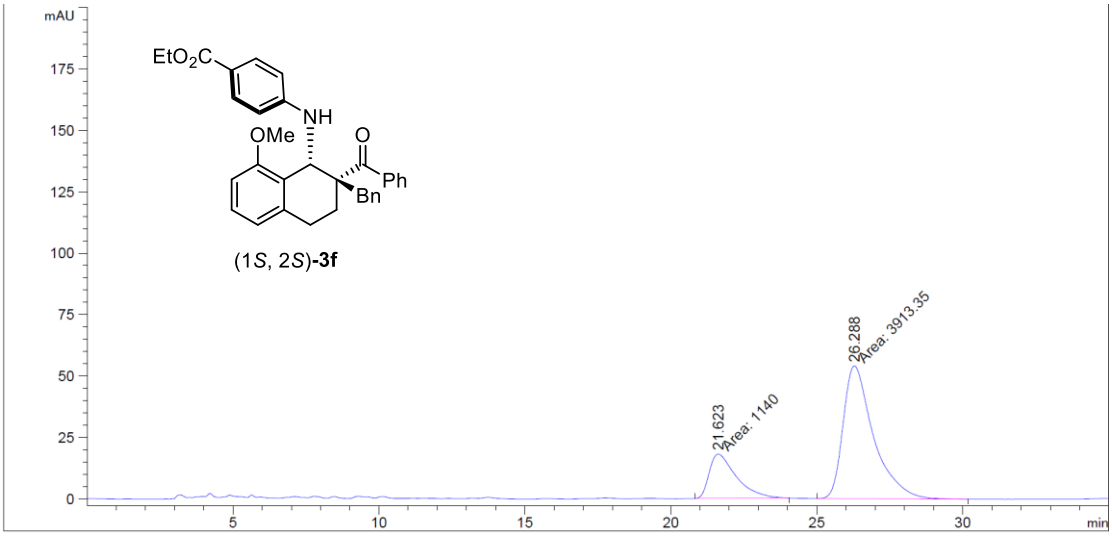
Following the general procedure B, (1*S*, 2*S*)-**3f** was purified as white powder by flash column chromatography (ethyl acetate/petroleum ether = 1/20-1/10) (48.9 mg, 47% yield, 77.5:22.5 er, 96:4 er (after recrystallization)), the NMR and HRMS (ESI) was absolutely in consistent with **3f**. (1*S*, 2*S*)-**3f**: $[\alpha]_D^{20}$ = +36.6 (*c* 0.25, acetone). The product was analyzed by HPLC to determine the enantiomeric ratio: 96:4 er (Chiralpak AD-H, *i*-propanol/hexane = 10/90, flow rate 1.0 mL/min, λ = 254 nm); t_r = 21.56 and 25.94 min.

HPLC chromatogram of compound 3f



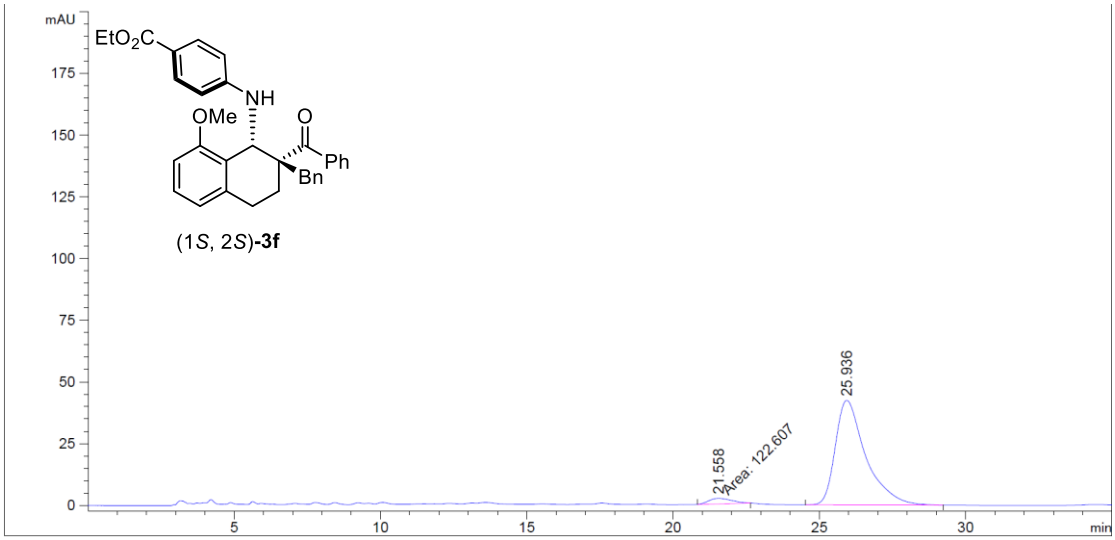
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	21.404	BB	0.9737	1220.03723	18.34946	48.0222
2	26.122	BB	1.0956	1320.53333	17.63513	51.9778

HPLC chromatogram of compound (1*S*, 2*S*)-3f

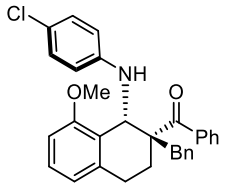


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	21.623	MM	1.0611	1140.00366	17.90583	22.5593
2	26.288	MM T	1.2072	3913.35181	54.02671	77.4407

HPLC chromatogram of compound (1*S*, 2*S*)-3f (After recrystallization)



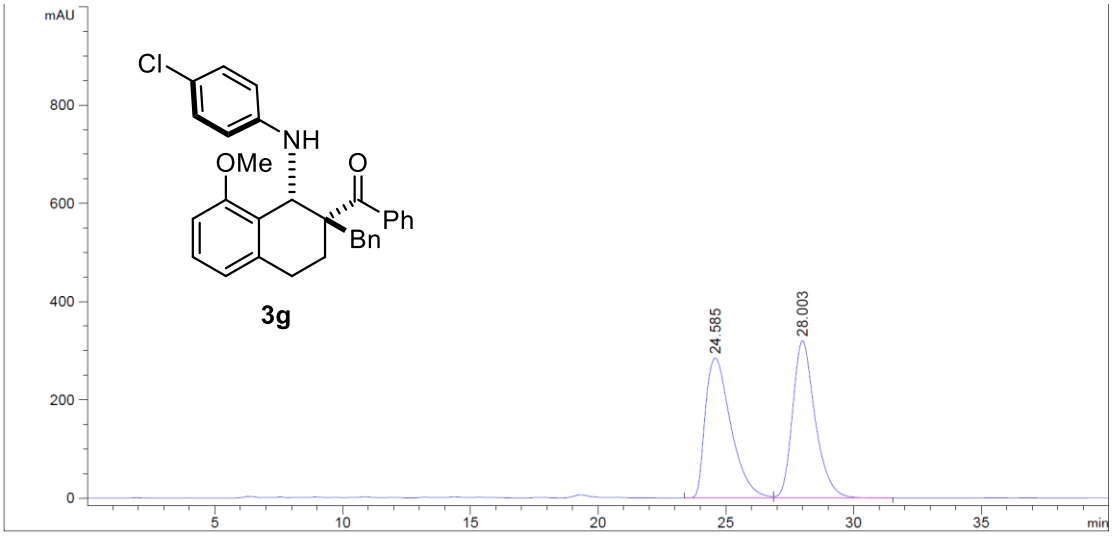
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	21.558	MM	0.8995	122.60712	2.27171	3.8602
2	25.936	BB	1.0735	3053.56787	42.33628	96.1398



(1*S*, 2*S*)-**3g**

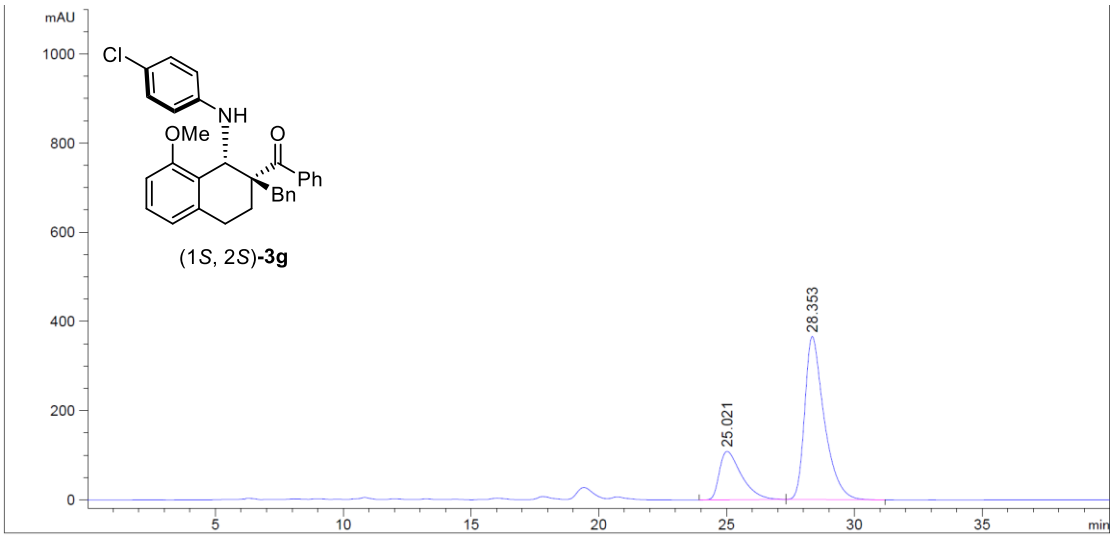
Following the general procedure B, (1*S*, 2*S*)-**3g** was purified as white powder by flash column chromatography (ethyl acetate/petroleum ether = 1/20-1/10) (48.2 mg, 50% yield, 75:25 er, 98:2 er (after recrystallization)), the NMR and HRMS (ESI) was absolutely in consistent with **3g**. (1*S*, 2*S*)-**3g**: $[\alpha]_D^{20}$ = +56.4 (*c* 0.5, acetone). The product was analyzed by HPLC to determine the enantiomeric ratio: 98:2 er (Chiralpak AD-H, *i*-propanol/hexane = 5/95, flow rate 0.5 mL/min, λ = 254 nm); t_r = 25.23 and 28.43 min.

HPLC chromatogram of compound 3g



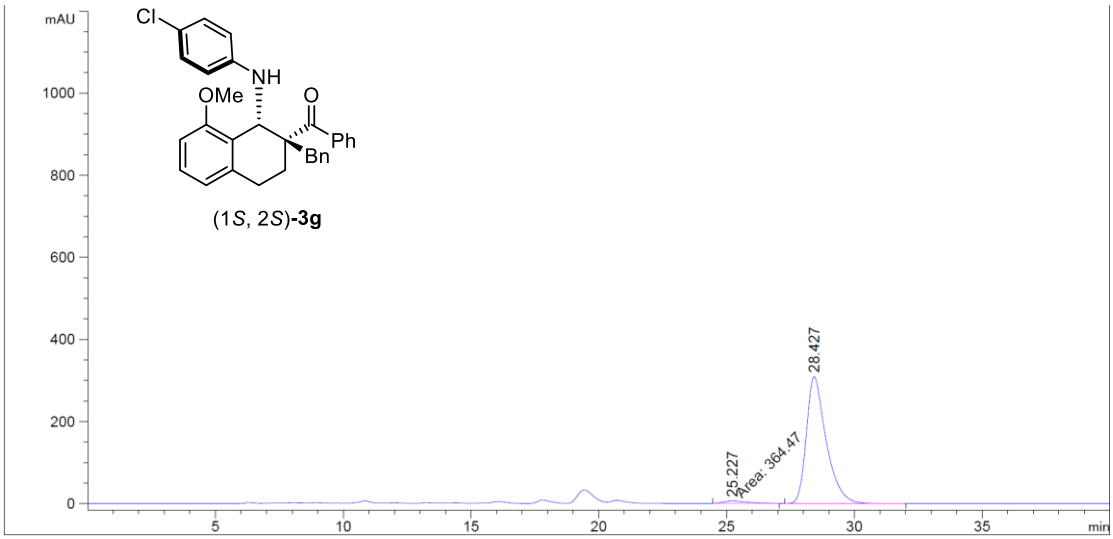
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	24.585	BV	1.0823	1.97990e4	284.70807	50.2669
2	28.003	VB	0.9266	1.95887e4	320.25671	49.7331

HPLC chromatogram of compound (1*S*, 2*S*)-3g

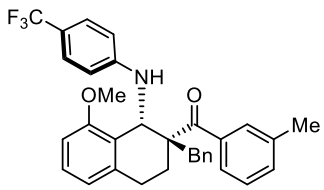


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	25.021	BB	0.8951	6462.77637	108.31718	25.0143
2	28.353	BB	0.7885	1.93735e4	365.46497	74.9857

HPLC chromatogram of compound (1*S*, 2*S*)-3g (After recrystallization)



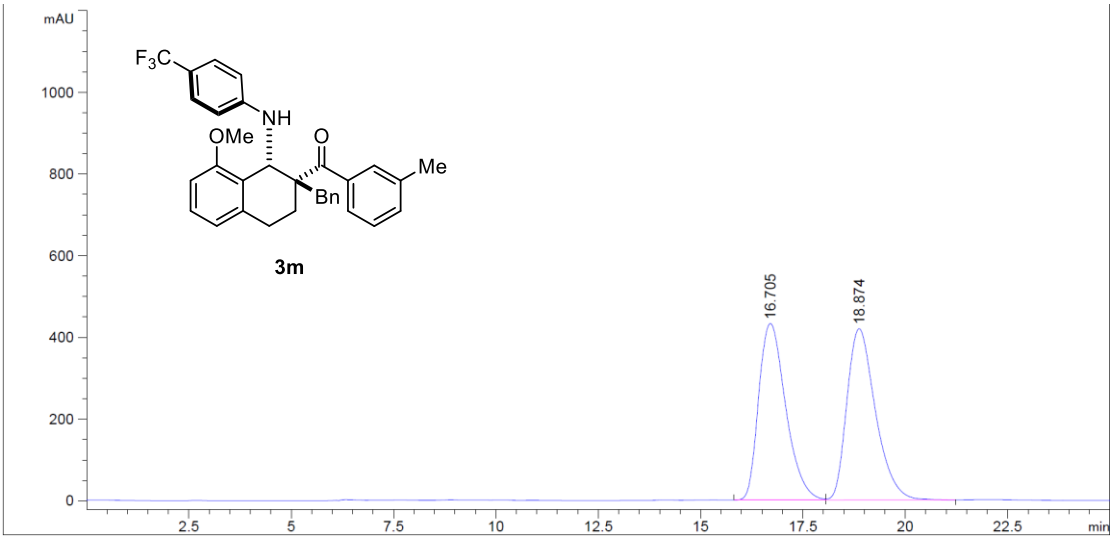
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	25.227	MM T	1.0364	364.46973	5.86106	2.1477
2	28.427	BB	0.7903	1.66054e4	308.82495	97.8523



(1*S*, 2*S*)-**3m**

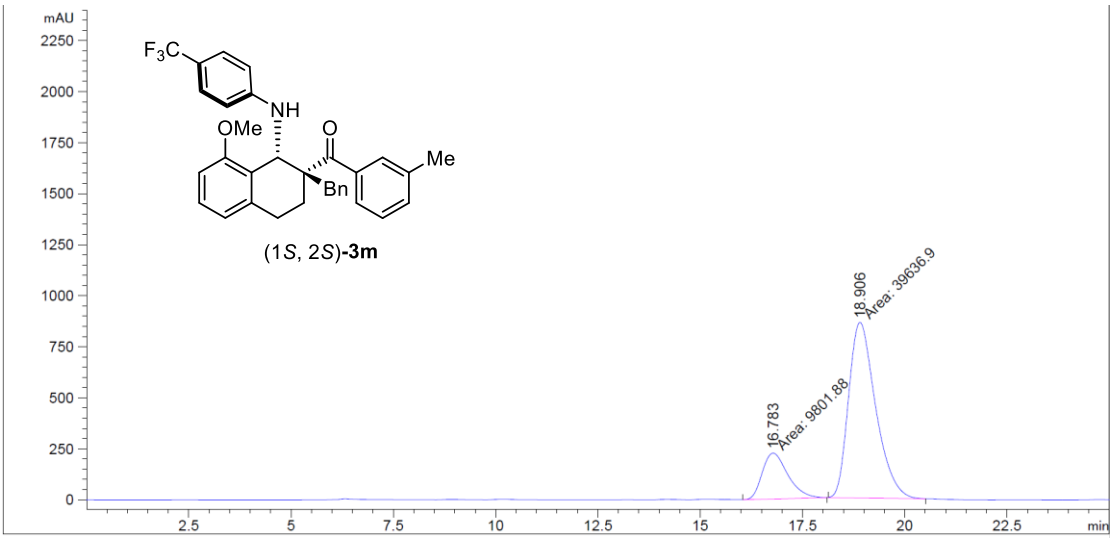
Following the general procedure B, (1*S*, 2*S*)-**3m** was purified as white powder by flash column chromatography (ethyl acetate/petroleum ether = 1/20-1/10) (50.8 mg, 48% yield, 80:20 er, 98.5:1.5 er (after recrystallization)), the NMR and HRMS (ESI) was absolutely in consistent with **3m**. (1*S*, 2*S*)-**3m**: $[\alpha]_D^{20}$ = +54.7 (*c* 0.7, acetone). The product was analyzed by HPLC to determine the enantiomeric ratio: 98.5:1.5 er (Chiralpak AD-H, *i*-propanol/hexane = 5/95, flow rate 0.5 mL/min, λ = 254 nm); t_r = 16.89 and 19.02 min.

HPLC chromatogram of compound 3m



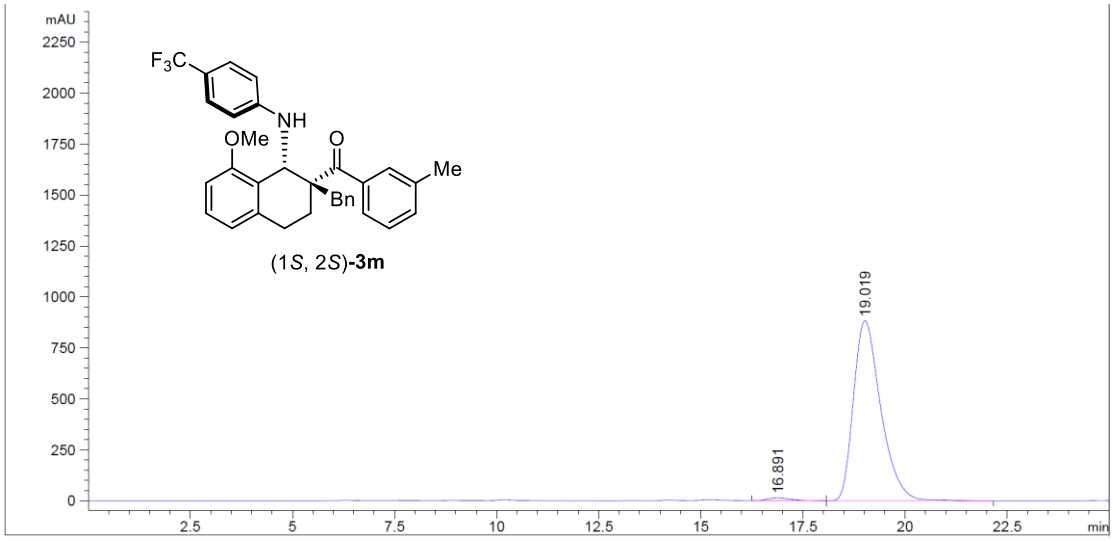
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.705	BV	0.7124	1.98208e4	431.89322	49.3517
2	18.874	VB	0.7523	2.03415e4	419.08221	50.6483

HPLC chromatogram of compound (1*S*, 2*S*)-3m

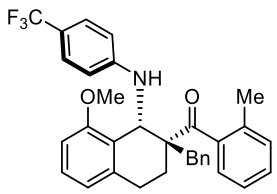


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.783	MM	0.7274	9801.88281	224.58003	19.8263
2	18.906	MM	0.7674	3.96369e4	860.89209	80.1737

HPLC chromatogram of compound (1*S*, 2*S*)-3m (After recrystallization)



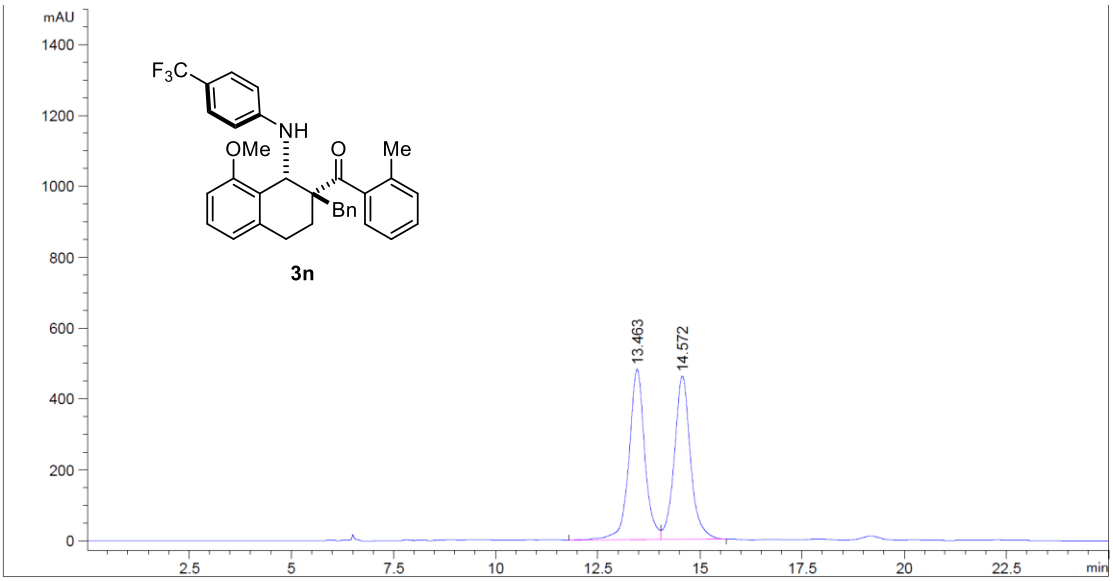
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.891	VB	0.6363	607.17261	14.56603	1.4507
2	19.019	BB	0.7161	4.12453e4	882.80597	98.5493



(1*S*, 2*S*)-**3n**

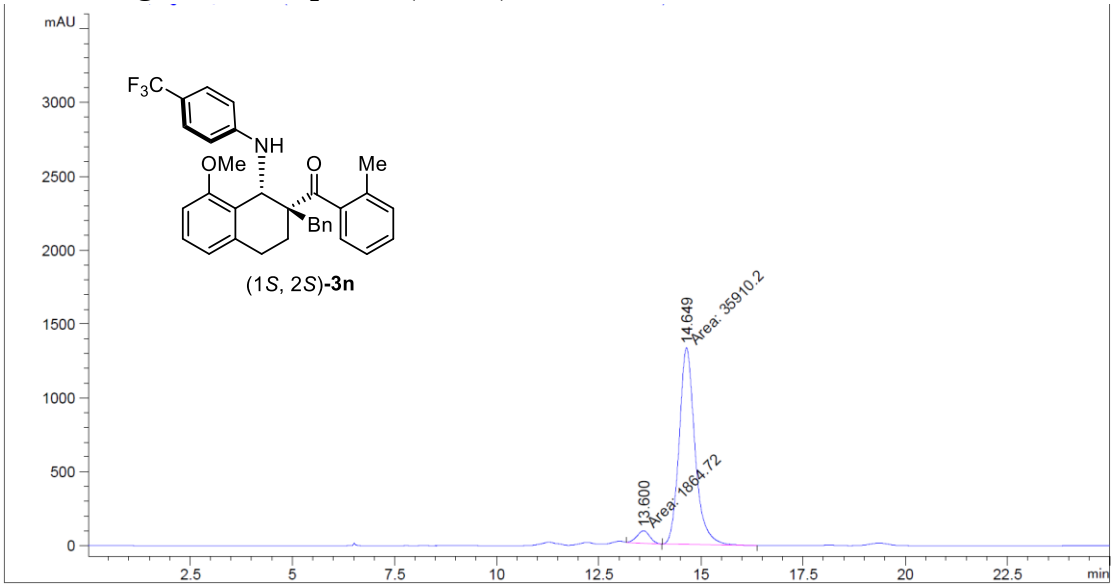
Following the general procedure B, (1*S*, 2*S*)-**3n** was purified as white powder by flash column chromatography (ethyl acetate/petroleum ether = 1/20-1/10) (57.2 mg, 54% yield, 95:5 er, 97:3 er (after recrystallization)), the NMR and HRMS (ESI) was absolutely in consistent with **3n**. (1*S*, 2*S*)-**3n**: $[\alpha]_D^{20}$ = +62.7 (*c* 1.3, acetone). The product was analyzed by HPLC to determine the enantiomeric ratio: 97:3 er (Chiralpak IA, *i*-propanol/hexane = 3/97, flow rate 0.5 mL/min, λ = 254 nm); t_r = 13.46 and 14.54 min.

HPLC chromatogram of compound 3n



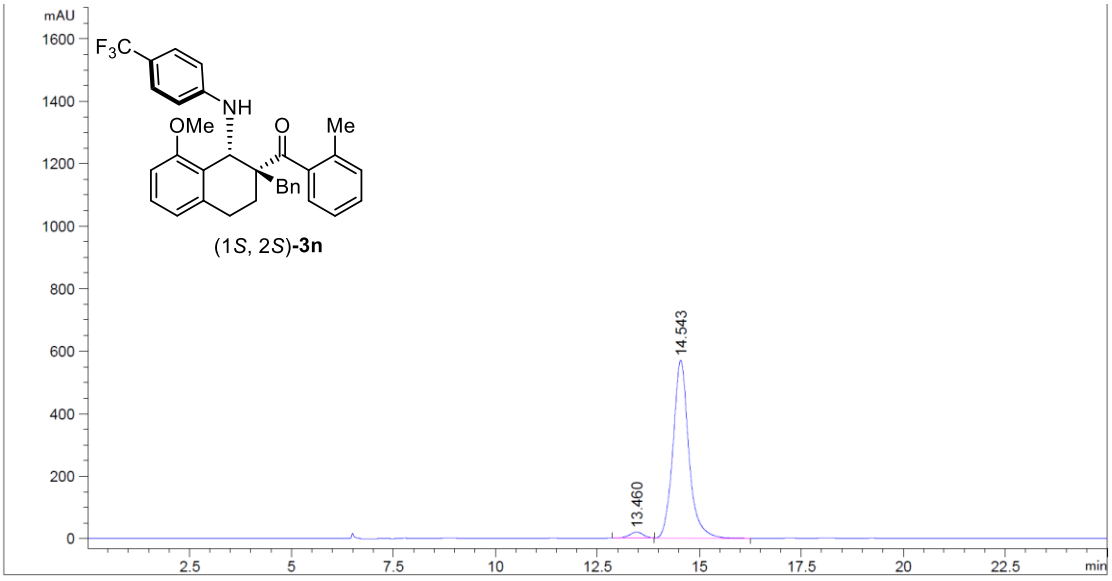
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.463	BV	0.3996	1.29731e4	481.07825	50.6513
2	14.572	VB	0.4139	1.26394e4	460.90271	49.3487

HPLC chromatogram of compound (1*S*, 2*S*)-3n

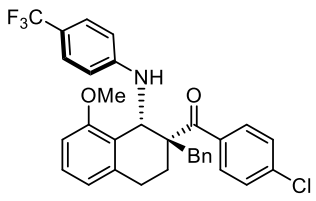


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.600	MM	0.3667	1864.71826	84.76291	4.9364
2	14.649	MM	0.4496	3.59102e4	1331.29932	95.0636

HPLC chromatogram of compound (1*S*, 2*S*)-3n (After recrystallization)



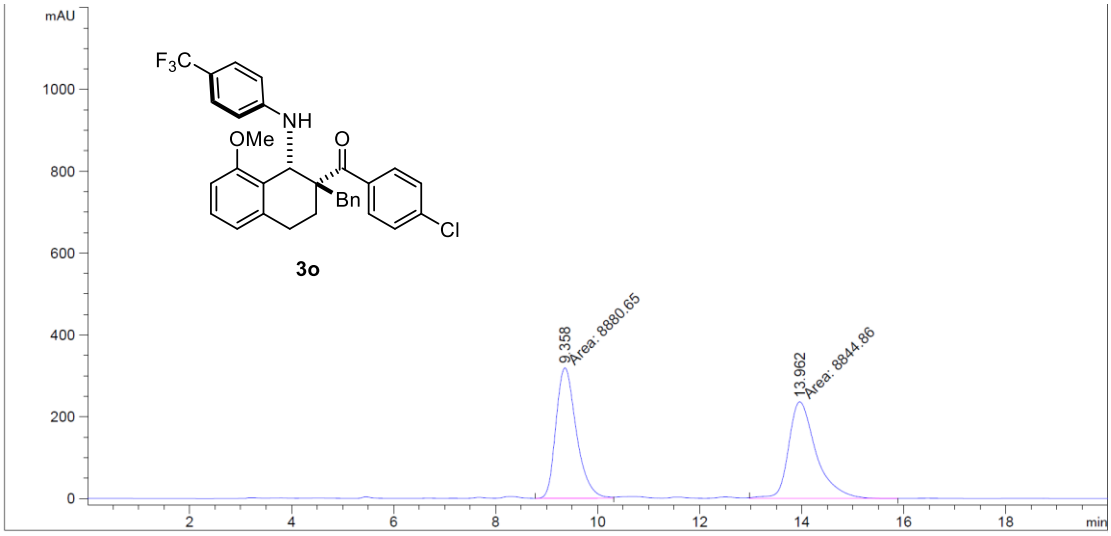
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.460	BB	0.3370	440.14517	18.88119	2.8001
2	14.543	BB	0.4037	1.52785e4	568.23364	97.1999



(1*S*, 2*S*)-**3o**

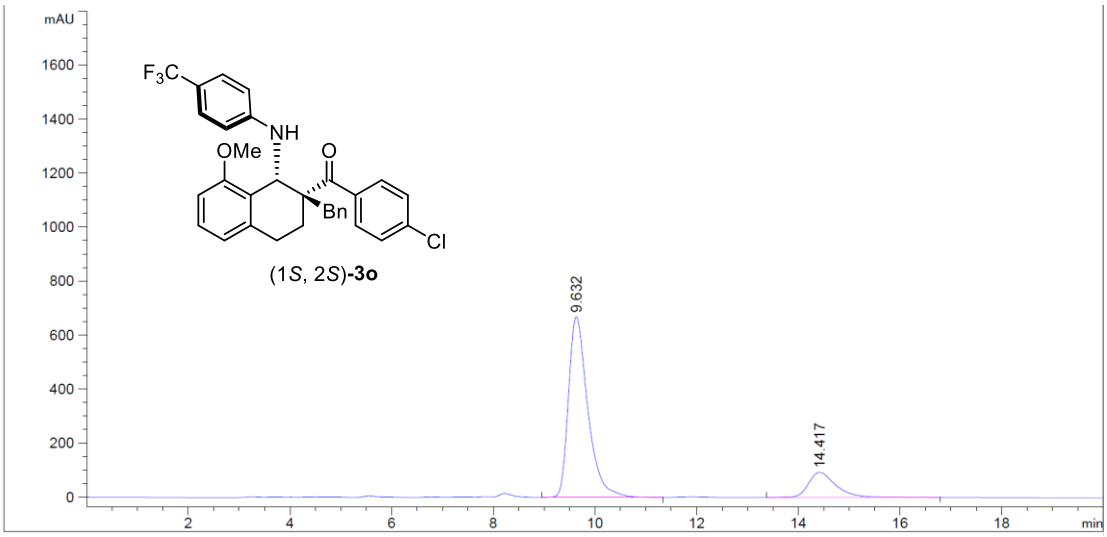
Following the general procedure B, (1*S*, 2*S*)-**3o** was purified as white powder by flash column chromatography (ethyl acetate/petroleum ether = 1/20-1/10) (61.6 mg, 56% yield, 84:16 er, 99:1 er (after recrystallization)), the NMR and HRMS (ESI) was absolutely in consistent with **3o**. (1*S*, 2*S*)-**3o**: $[\alpha]_D^{20}$ = +63.6 (*c* 0.65, acetone). The product was analyzed by HPLC to determine the enantiomeric ratio: 99:1 er (Chiralpak AD-H, *i*-propanol/hexane = 5/95, flow rate 1.0 mL/min, λ = 254 nm); t_r = 10.01 and 14.37 min.

HPLC chromatogram of compound 3o



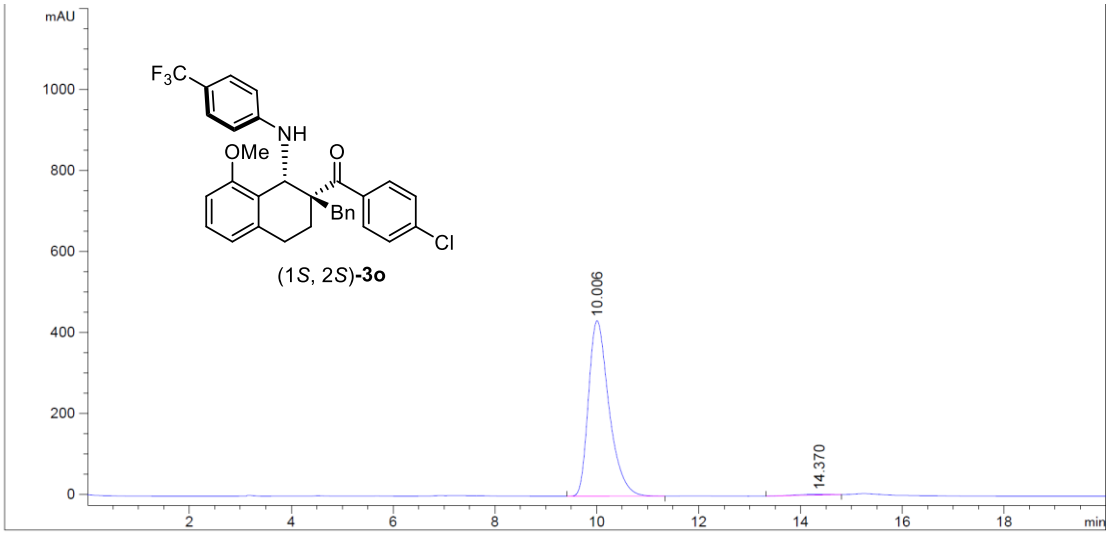
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.358	MF	0.4644	8880.65430	318.72327	50.1010
2	13.962	FM	0.6247	8844.85840	235.97050	49.8990

HPLC chromatogram of compound (1*S*, 2*S*)-3o

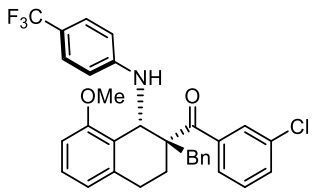


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.632	BB	0.4001	1.77173e4	668.77576	83.5737
2	14.417	BB	0.5613	3482.29370	92.89878	16.4263

HPLC chromatogram of compound (1*S*, 2*S*)-3o (After recrystallization)



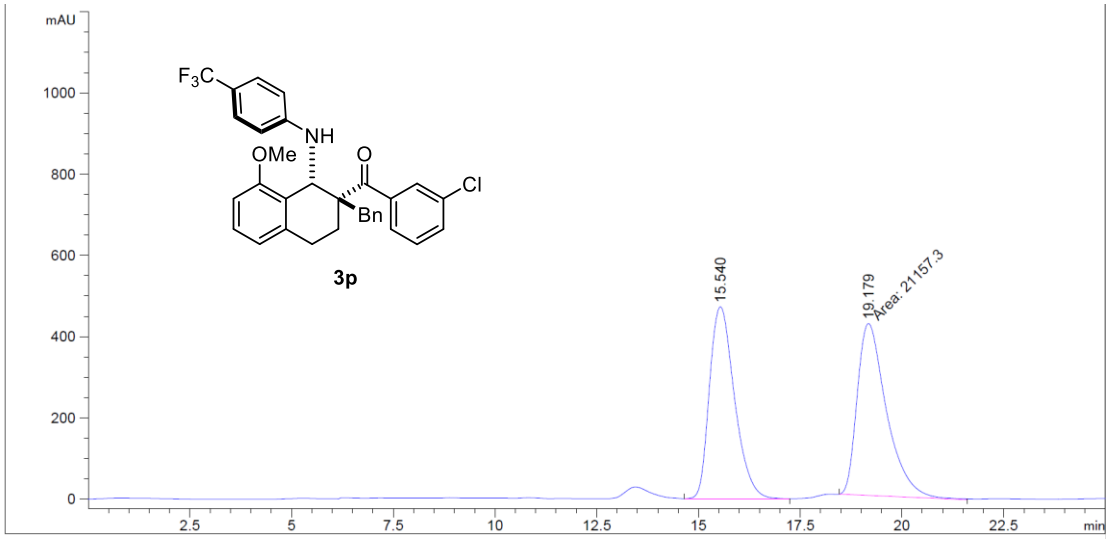
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.006	BB	0.4272	1.21514e4	433.32285	99.2686
2	14.370	BB	0.6411	89.53257	1.80999	0.7314



(1*S*, 2*S*)-**3p**

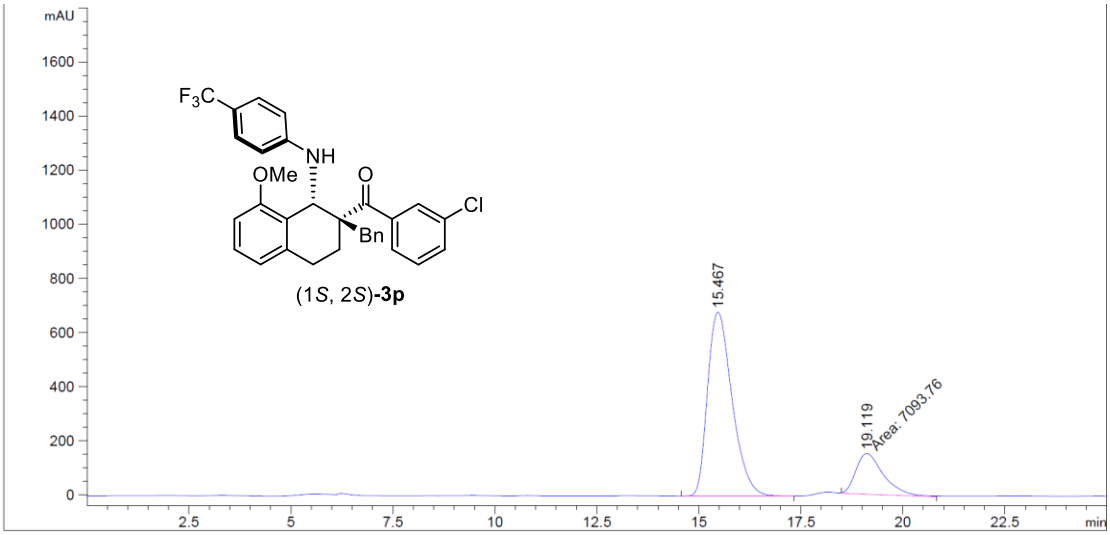
Following the general procedure B, (1*S*, 2*S*)-**3p** was purified as white powder by flash column chromatography (ethyl acetate/petroleum ether = 1/20-1/10) (58.3 mg, 53% yield, 80:20 er, 98:2 er (after recrystallization)), the NMR and HRMS (ESI) was absolutely in consistent with **3p**. (1*S*, 2*S*)-**3p**: $[\alpha]_D^{20}$ = +35.1 (*c* 0.85, acetone). The product was analyzed by HPLC to determine the enantiomeric ratio: 98:2 er (Chiralpak AD-H, *i*-propanol/hexane = 5/95, flow rate 0.5 mL/min, λ = 254 nm); t_r = 15.73 and 20.08 min.

HPLC chromatogram of compound 3p



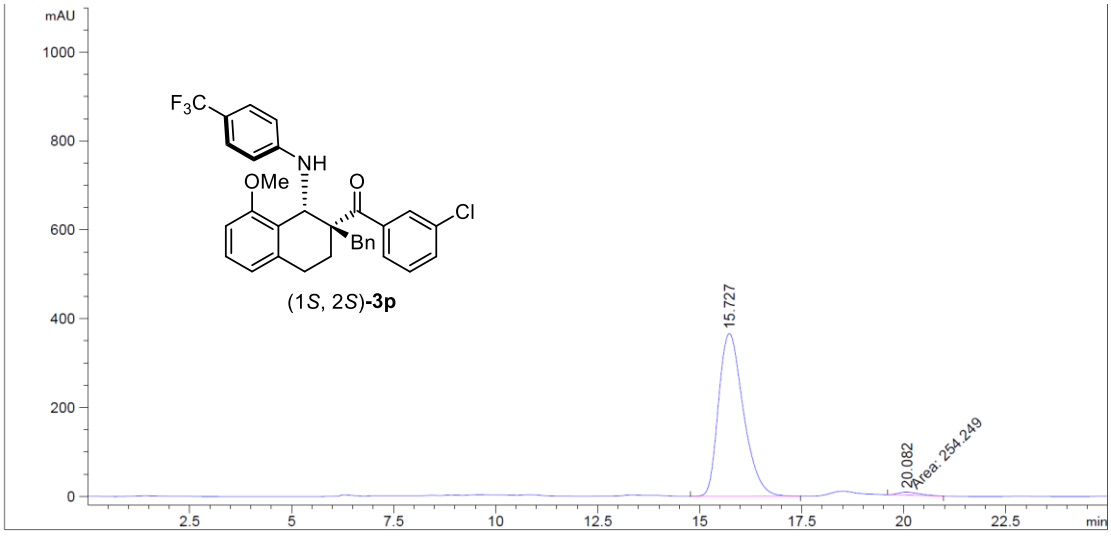
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.540	VB	0.6665	2.01856e4	472.38046	48.8248
2	19.179	MM T	0.8331	2.11573e4	423.28705	51.1752

HPLC chromatogram of compound (1*S*, 2*S*)-3p

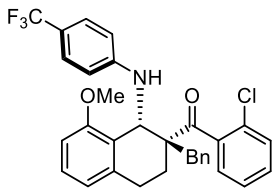


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.467	BB	0.6512	2.84218e4	679.17548	80.0263
2	19.119	MM T	0.7839	7093.76465	150.81483	19.9737

HPLC chromatogram of compound (1*S*, 2*S*)-3p (After recrystallization)



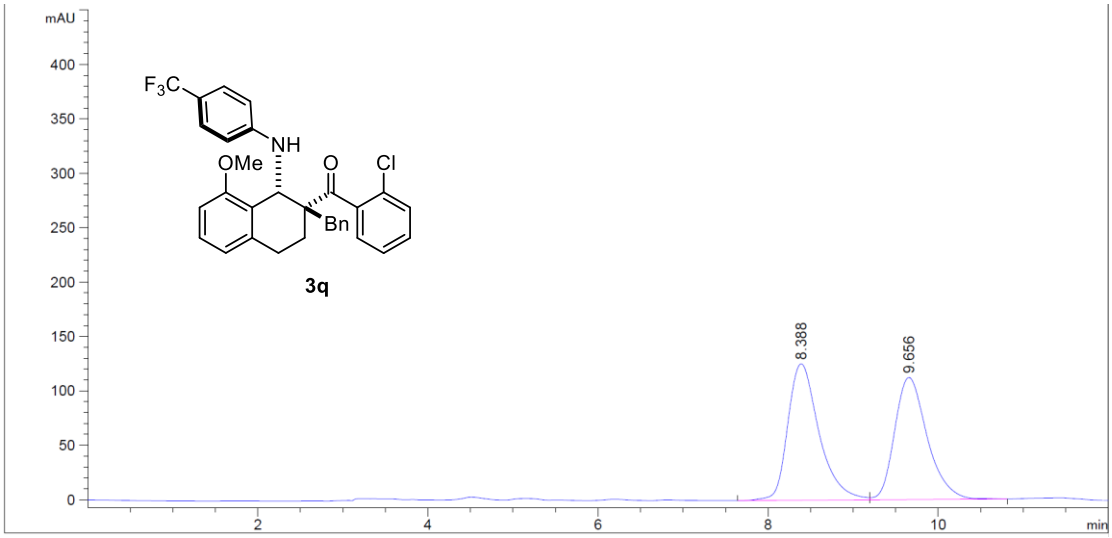
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.727	BB	0.6534	1.54797e4	366.02609	98.3841
2	20.082	MP	0.6091	254.24886	6.95667	1.6159



(1*S*, 2*S*)-**3q**

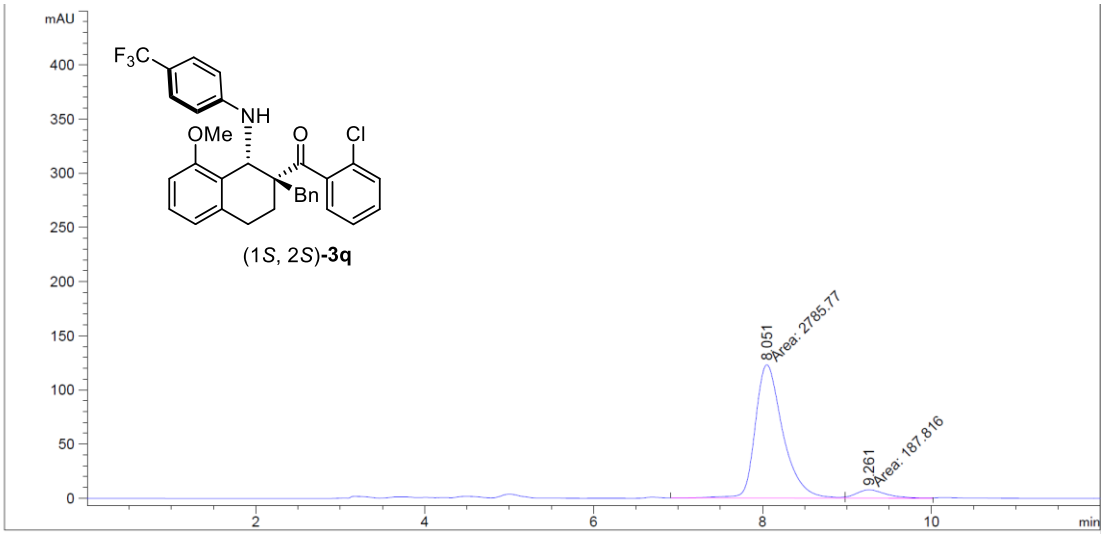
Following the general procedure B, (1*S*, 2*S*)-**3q** was purified as white powder by flash column chromatography (ethyl acetate/petroleum ether = 1/20-1/10) (39.6 mg, 36% yield, 94:6 er, 96:4 er (after recrystallization)), the NMR and HRMS (ESI) was absolutely in consistent with **3q**. (1*S*, 2*S*)-**3q**: $[\alpha]_D^{20}$ = +64.9 (*c* 0.8, acetone). The product was analyzed by HPLC to determine the enantiomeric ratio: 96:4 er (Chiralpak AD-H, *i*-propanol/hexane = 5/95, flow rate 1.0 mL/min, λ = 254 nm); t_r = 8.23 and 9.49 min.

HPLC chromatogram of compound 3q



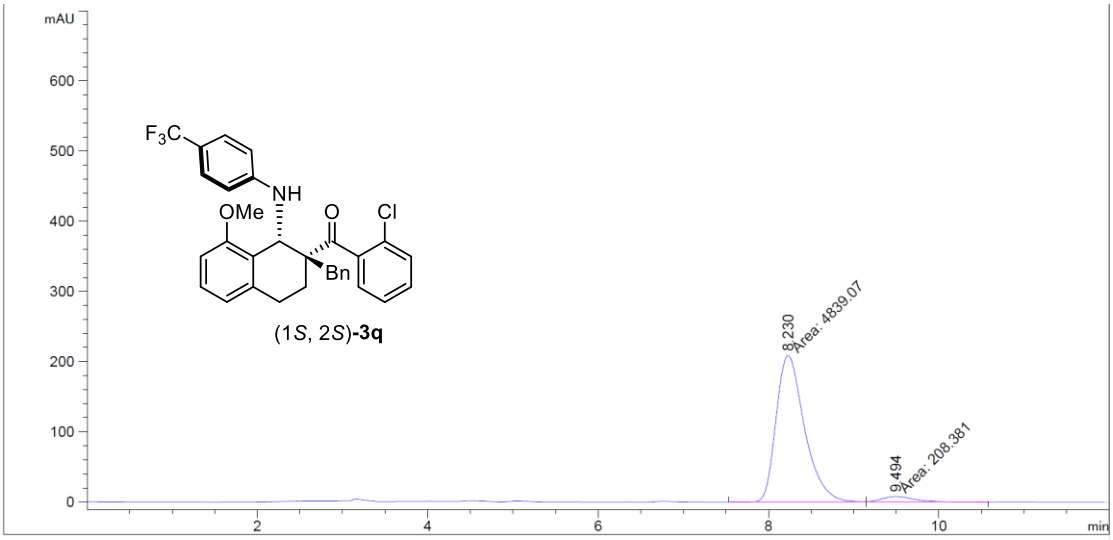
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.388	BV	0.3888	3200.12622	125.35560	51.7394
2	9.656	VB	0.4091	2984.95459	112.28841	48.2606

HPLC chromatogram of compound (1*S*, 2*S*)-3q

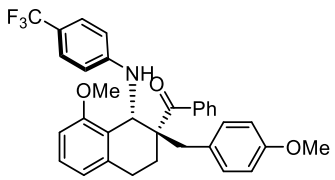


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.051	MF	0.3777	2785.76782	122.91134	93.6838
2	9.261	MF	0.4103	187.81622	7.62975	6.3162

HPLC chromatogram of compound (1*S*, 2*S*)-3q (After recrystallization)



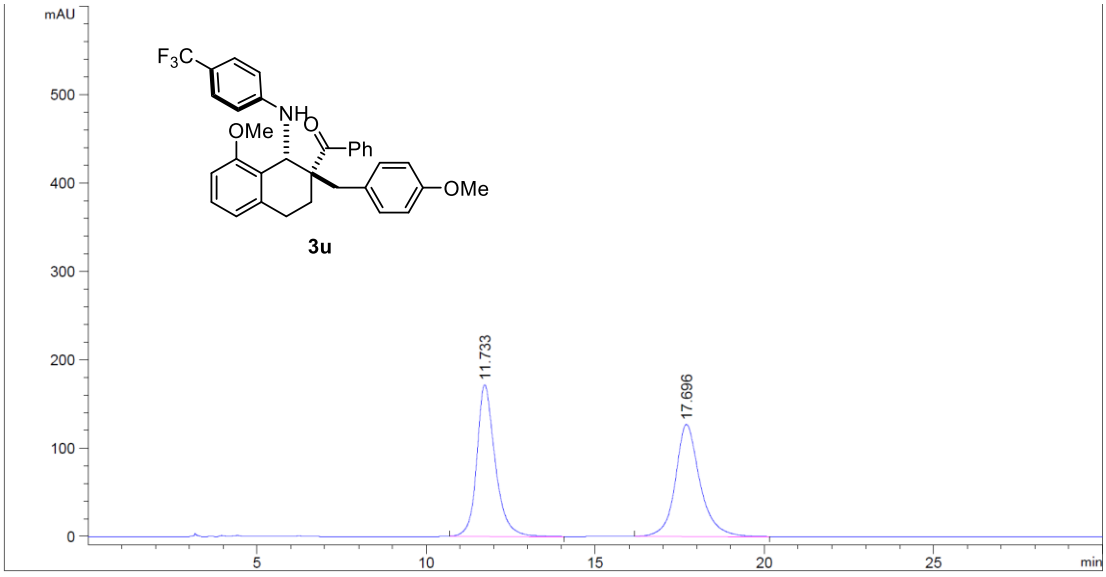
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.230	MF	0.3865	4839.06934	208.68764	95.8716
2	9.494	FM	0.4418	208.38141	7.86065	4.1284



(1*S*, 2*S*)-**3u**

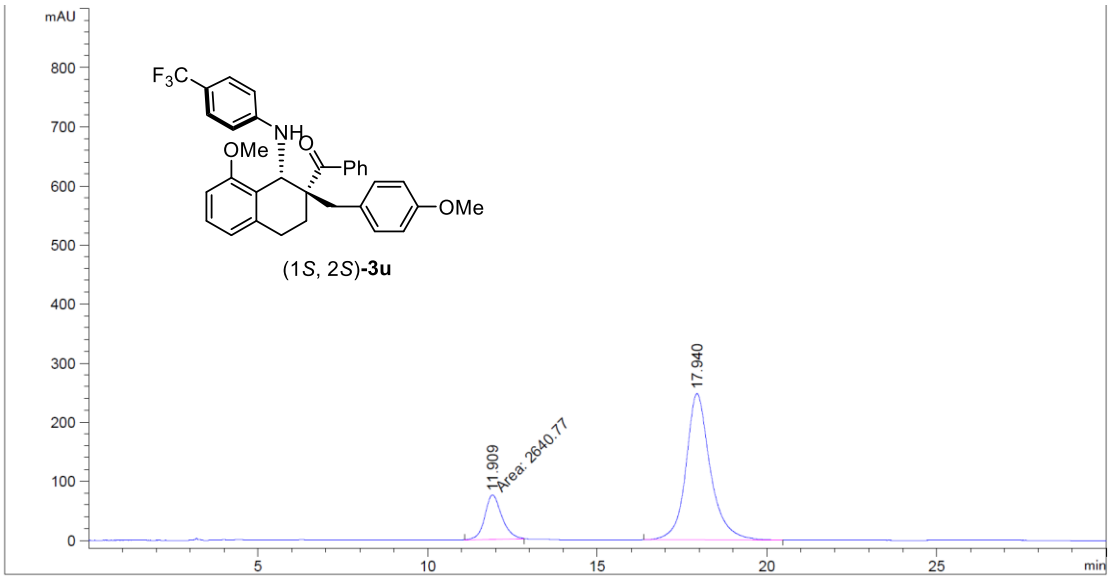
Following the general procedure B, (1*S*, 2*S*)-**3u** was purified as white powder by flash column chromatography (ethyl acetate/petroleum ether = 1/20-1/10) (58.9 mg, 54% yield, 82.5:17.5 er, 99:1 er (after recrystallization)), the NMR and HRMS (ESI) was absolutely in consistent with **3u**. (1*S*, 2*S*)-**3u**: $[\alpha]_D^{20}$ = +64.9 (*c* 0.65, acetone). The product was analyzed by HPLC to determine the enantiomeric ratio: 99:1 er (Chiralpak IA, *i*-propanol/hexane = 5/95, flow rate 1.0 mL/min, λ = 254 nm); t_r = 11.85 and 17.80 min.

HPLC chromatogram of compound 3u



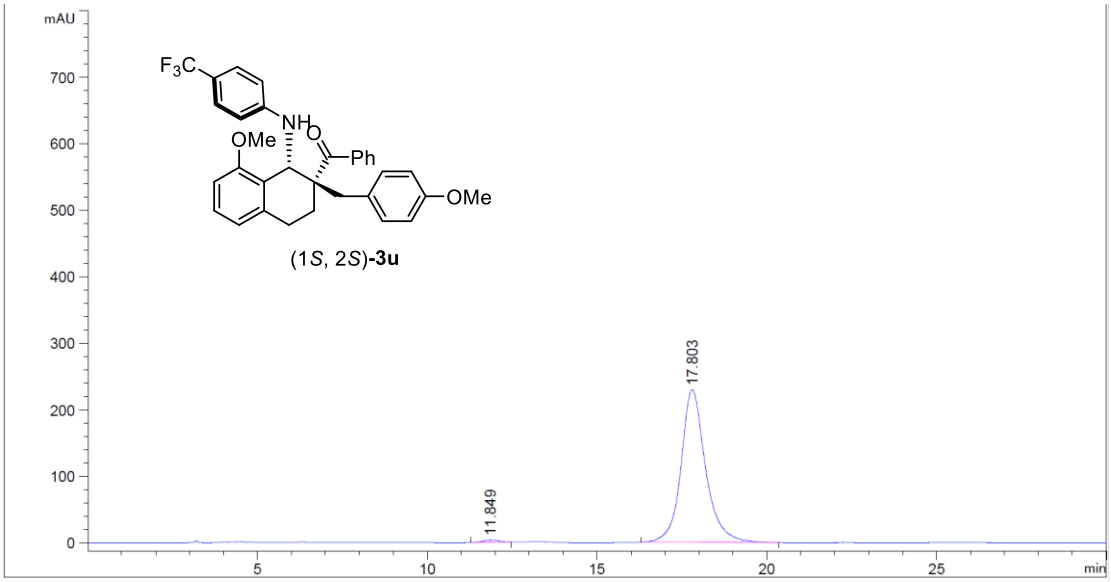
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.733	BB	0.5412	6469.24268	171.43584	50.1945
2	17.696	BB	0.7020	6419.11816	126.72320	49.8055

HPLC chromatogram of compound (1*S*, 2*S*)-3u

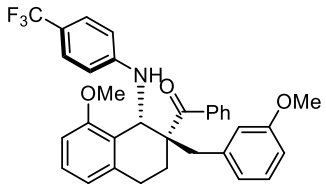


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.909	MP	0.5831	2640.76514	75.47431	17.5473
2	17.940	BB	0.7166	1.24086e4	247.64946	82.4527

HPLC chromatogram of compound (1*S*, 2*S*)-3u (After recrystallization)



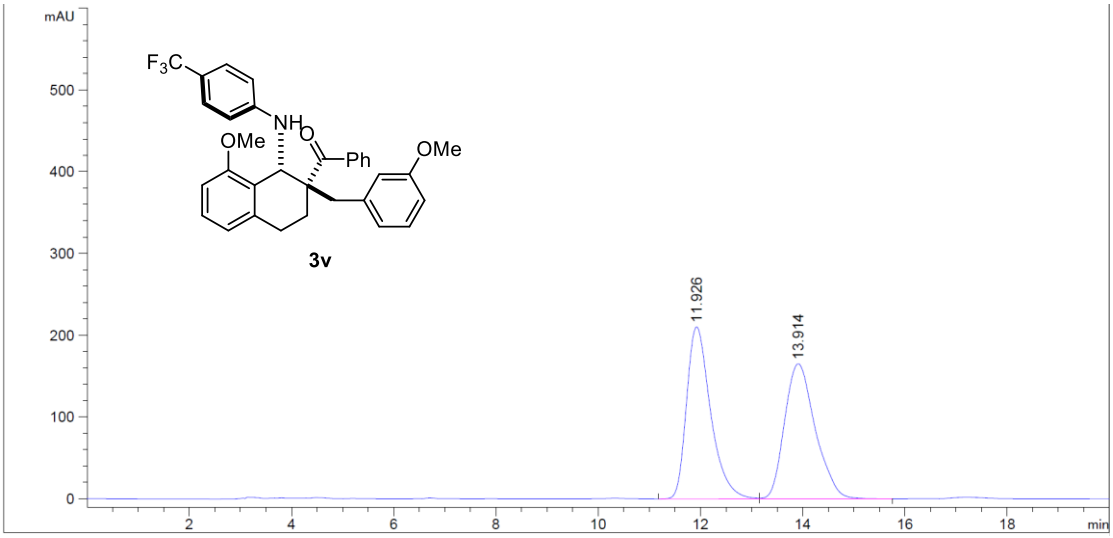
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.849	BB	0.3602	113.16372	3.73808	0.9670
2	17.803	BB	0.7132	1.15900e4	229.50003	99.0330



(1*S*, 2*S*)-**3v**

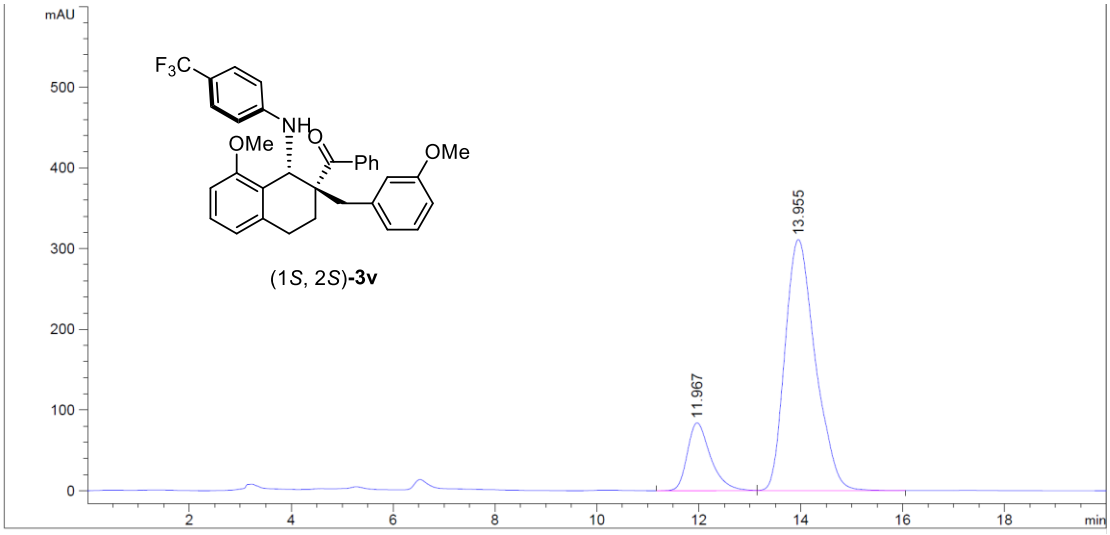
Following the general procedure B, (1*S*, 2*S*)-**3v** was purified as white powder by flash column chromatography (ethyl acetate/petroleum ether = 1/20-1/10) (46.9 mg, 43% yield, 83:17 er, 98.5:1.5 er (after recrystallization)), the NMR and HRMS (ESI) was absolutely in consistent with **3v**. (1*S*, 2*S*)-**3v**: $[\alpha]_D^{20}$ = +62.7 (*c* 0.6, acetone). The product was analyzed by HPLC to determine the enantiomeric ratio: 98.5:1.5 er (Chiralpak AD-H, *i*-propanol/hexane = 5/95, flow rate 1.0 mL/min, λ = 254 nm); t_r = 11.53 and 13.63 min.

HPLC chromatogram of compound 3v



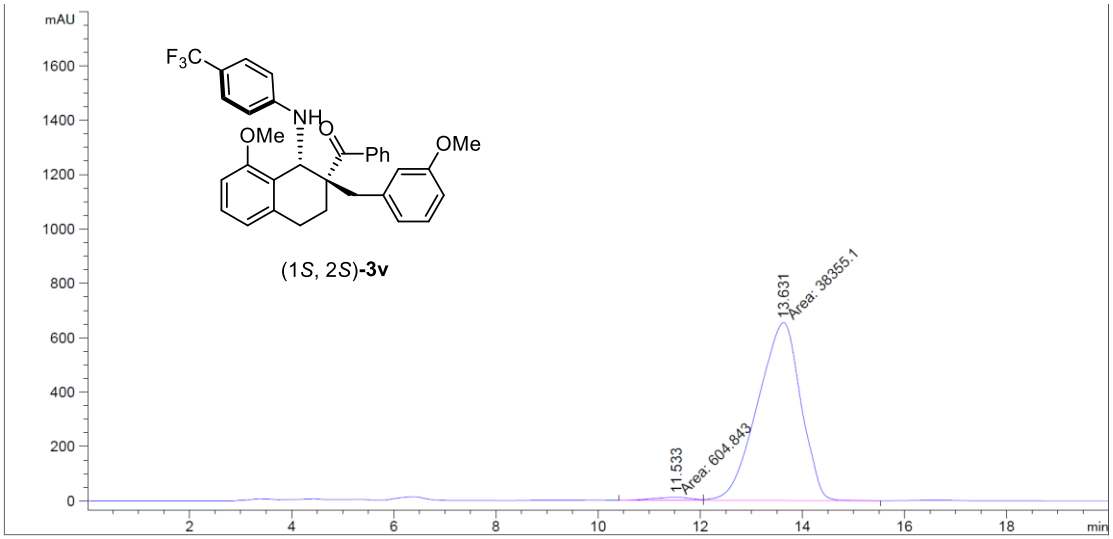
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.926	BV	0.4991	6871.38135	210.33145	50.0110
2	13.914	VB	0.6420	6868.36768	165.20503	49.9890

HPLC chromatogram of compound (1*S*, 2*S*)-3v

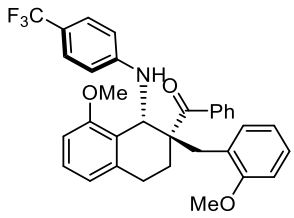


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.967	BV	0.4794	2660.76440	84.03101	17.1551
2	13.955	VB	0.6329	1.28493e4	311.07538	82.8449

HPLC chromatogram of compound (1*S*, 2*S*)-3v (After recrystallization)



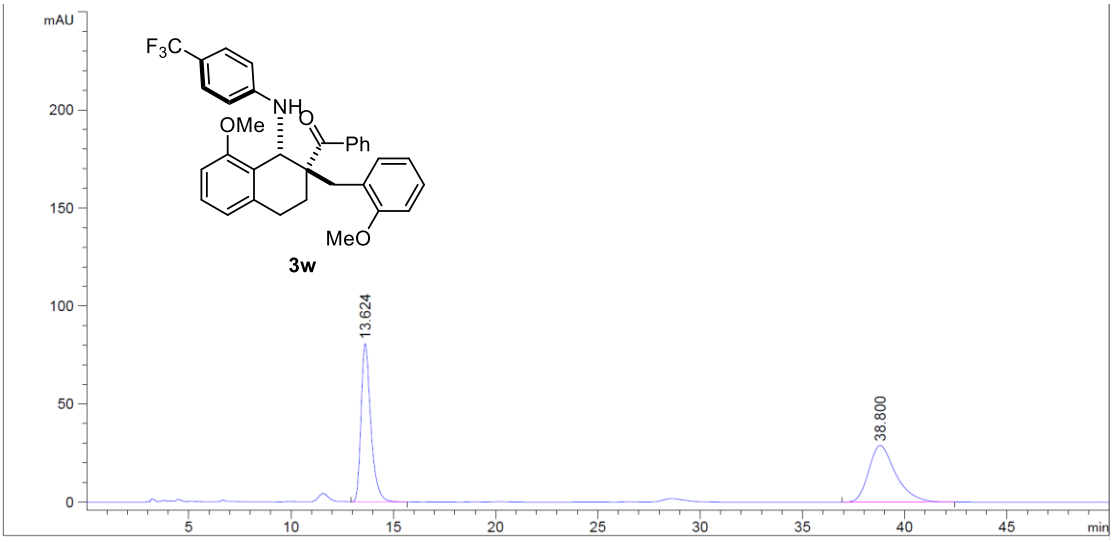
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.533	MF	0.8828	604.84326	11.41881	1.5525
2	13.631	FM	0.9765	3.83551e4	654.60742	98.4475



(1*S*, 2*S*)-**3w**

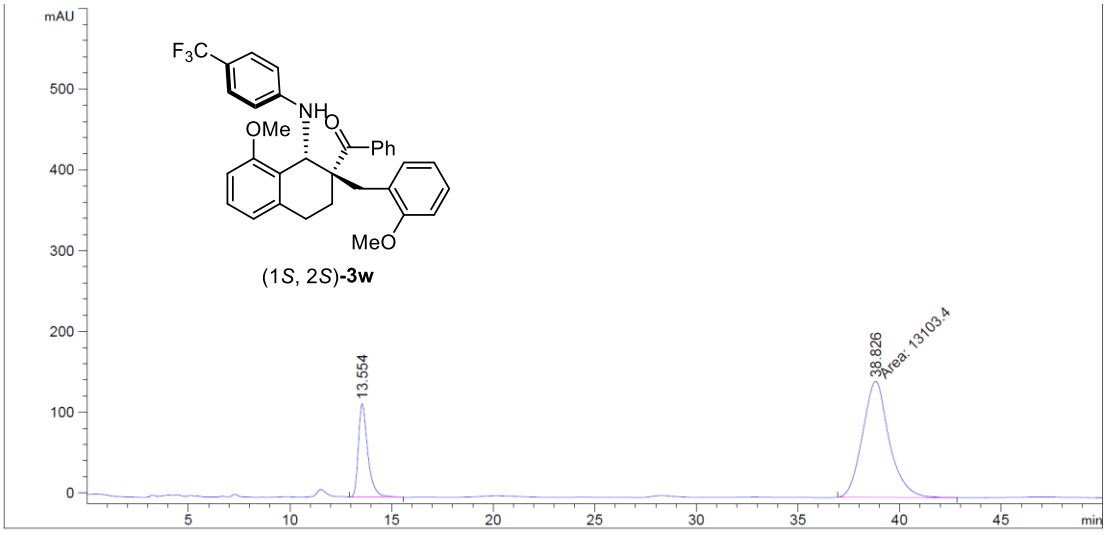
Following the general procedure B, (1*S*, 2*S*)-**3w** was purified as white powder by flash column chromatography (ethyl acetate/petroleum ether = 1/20-1/10) (46.9 mg, 43% yield, 78:22 er, 98.5:1.5 er (after recrystallization)), the NMR and HRMS (ESI) was absolutely in consistent with **3w**. (1*S*, 2*S*)-**3w**: $[\alpha]_D^{20}$ = +54.9 (*c* 0.68, acetone). The product was analyzed by HPLC to determine the enantiomeric ratio: 98.5:1.5 er (Chiralpak AD-H, *i*-propanol/hexane = 5/95, flow rate 1.0 mL/min, λ = 254 nm); t_r = 13.93 and 39.63 min.

HPLC chromatogram of compound 3w



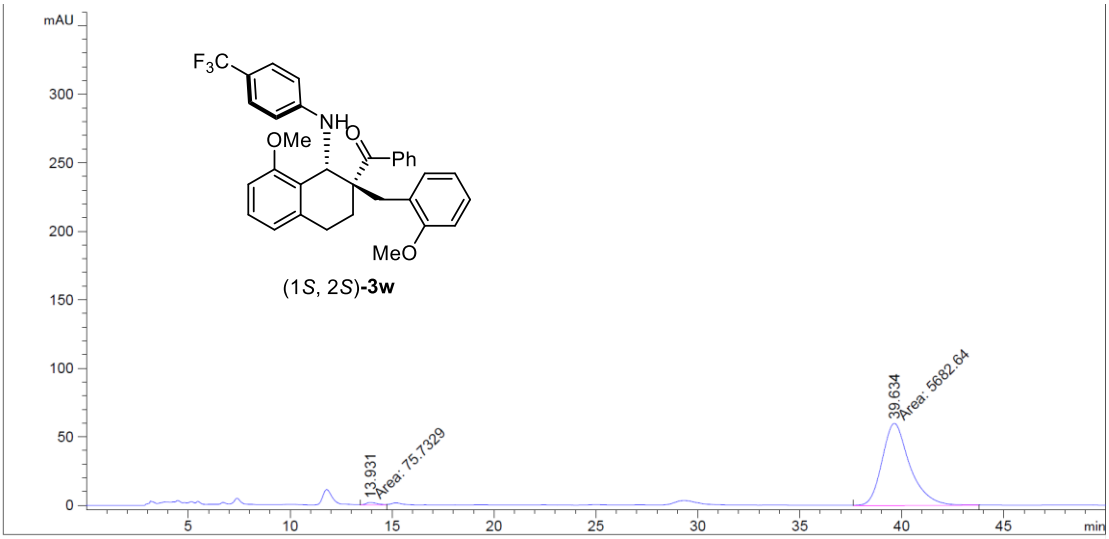
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.624	BB	0.5023	2689.28467	80.79406	50.2652
2	38.800	BB	1.3395	2660.90625	28.94439	49.7348

HPLC chromatogram of compound (1*S*, 2*S*)-3w

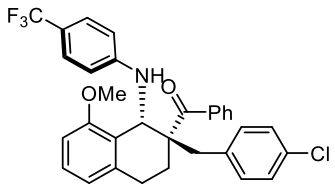


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.554	BB	0.4825	3717.83936	115.50104	22.1021
2	38.826	PM	1.5216	1.31034e4	143.52345	77.8979

HPLC chromatogram of compound (1*S*, 2*S*)-3w (After recrystallization)



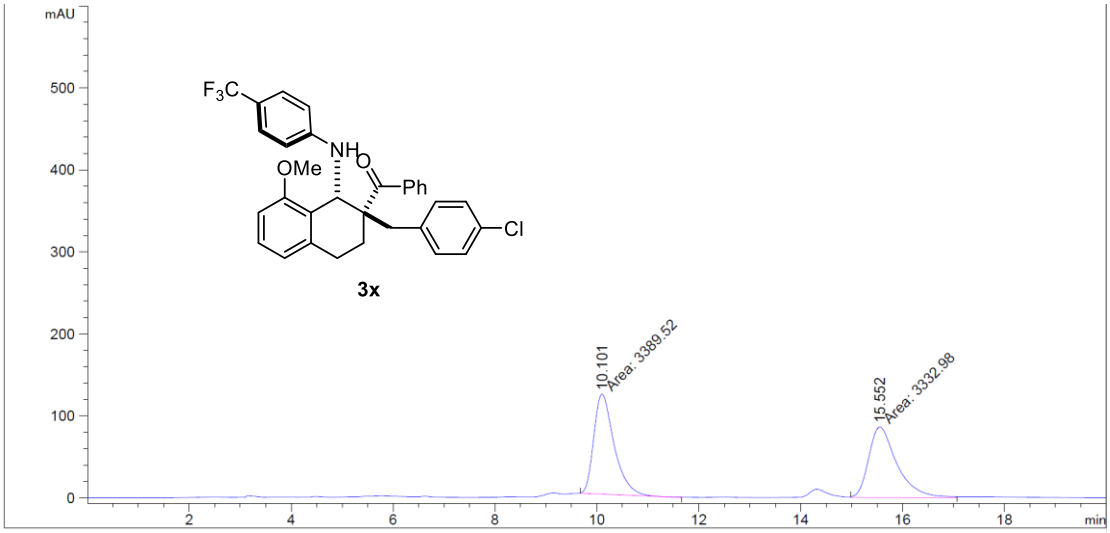
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.931	MF	0.6785	75.73286	1.86020	1.3152
2	39.634	MM	1.5819	5682.64307	59.87023	98.6848



(1*S*, 2*S*)-**3x**

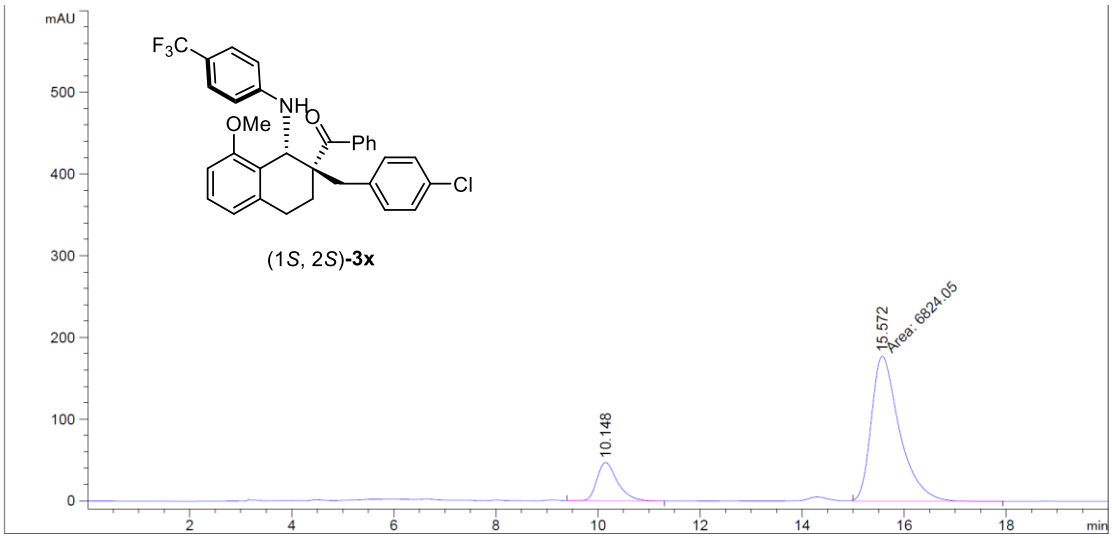
Following the general procedure B, (1*S*, 2*S*)-**3x** was purified as white powder by flash column chromatography (ethyl acetate/petroleum ether = 1/20-1/10) (67.1 mg, 61% yield, 84:16 er, 99:1 er (after recrystallization)), the NMR and HRMS (ESI) was absolutely in consistent with **3x**. (1*S*, 2*S*)-**3x**: $[\alpha]_D^{20}$ = +88.6 (*c* 1.26, acetone). The product was analyzed by HPLC to determine the enantiomeric ratio: 99:1 er (Chiralpak AD-H, *i*-propanol/hexane = 5/95, flow rate 1.0 mL/min, λ = 254 nm); t_r = 10.20 and 15.69 min.

HPLC chromatogram of compound 3x



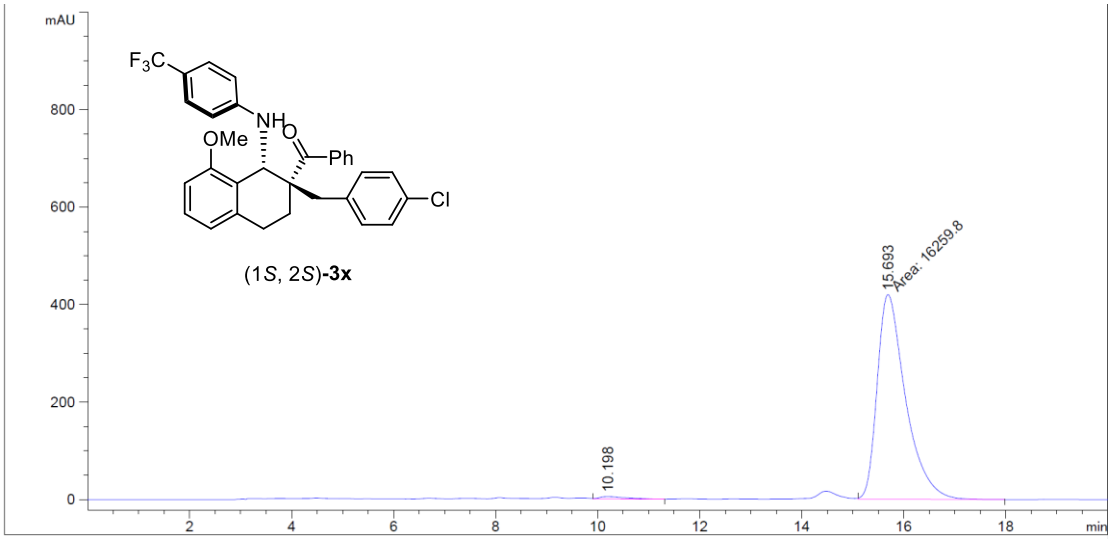
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.101	MM T	0.4631	3389.51538	121.98667	50.4205
2	15.552	MF	0.6439	3332.98462	86.27321	49.5795

HPLC chromatogram of compound (1*S*, 2*S*)-3x

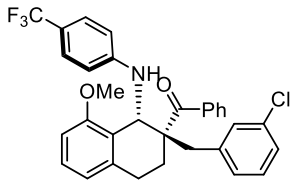


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.148	BB	0.4224	1300.57544	46.77842	16.0078
2	15.572	FM	0.6408	6824.05469	177.48561	83.9922

HPLC chromatogram of compound (1*S*, 2*S*)-3x (After recrystallization)



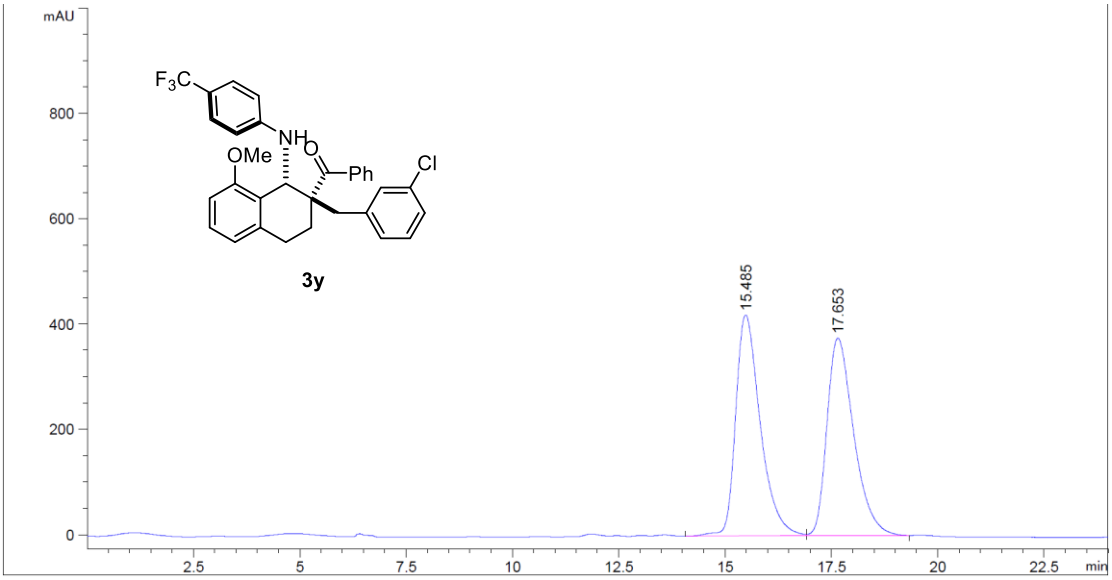
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.198	VB	0.4979	191.11771	5.27295	1.1617
2	15.693	FM	0.6452	1.62598e4	420.03046	98.8383



(1*S*, 2*S*)-**3y**

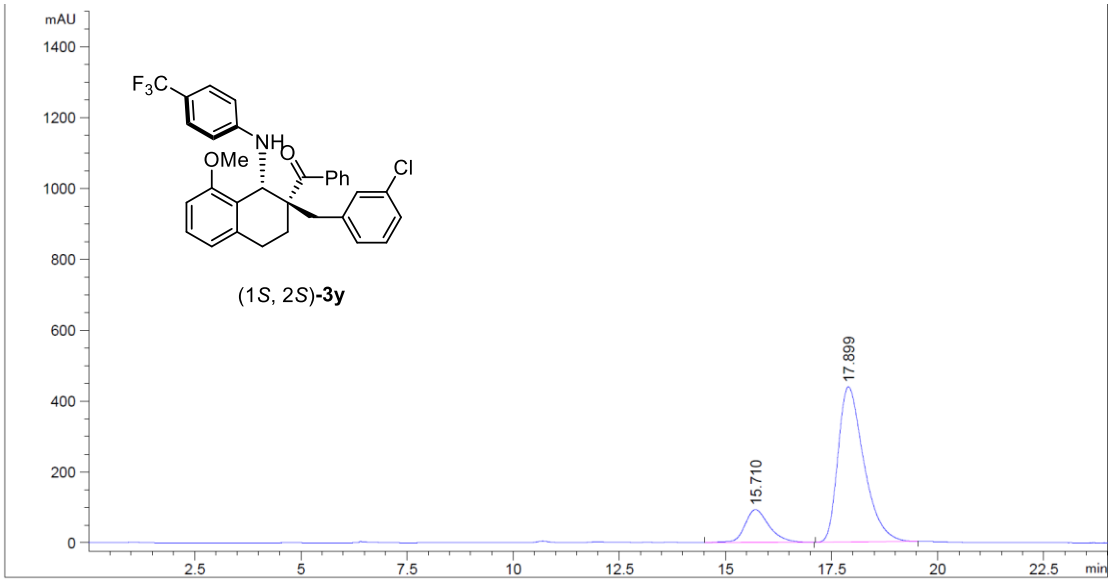
Following the general procedure B, (1*S*, 2*S*)-**3y** was purified as white powder by flash column chromatography (ethyl acetate/petroleum ether = 1/20-1/10) (63.8 mg, 58% yield, 83:17 er, 99:1 er (after recrystallization)), the NMR and HRMS (ESI) was absolutely in consistent with **3y**. (1*S*, 2*S*)-**3y**: $[\alpha]_D^{20}$ = +65.0 (*c* 1.02, acetone). The product was analyzed by HPLC to determine the enantiomeric ratio: 99:1 er (Chiralcel OD-H, *i*-propanol/hexane = 5/95, flow rate 0.5 mL/min, λ = 254 nm); t_r = 15.45 and 17.55 min.

HPLC chromatogram of compound 3y



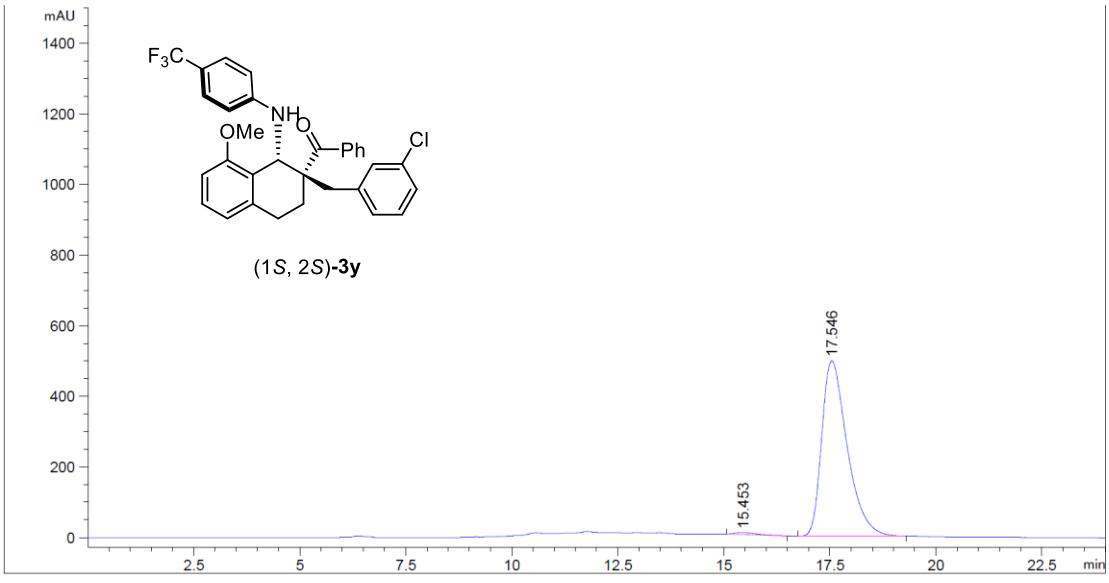
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.485	BV	0.6042	1.69625e4	419.95367	50.7464
2	17.653	VB	0.6515	1.64635e4	375.54633	49.2536

HPLC chromatogram of compound (1*S*, 2*S*)-3y

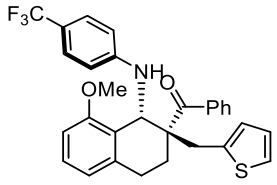


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.710	BB	0.5781	3725.38892	93.04046	16.7145
2	17.899	BB	0.6404	1.85630e4	438.05075	83.2855

HPLC chromatogram of compound (1*S*, 2*S*)-3y (After recrystallization)



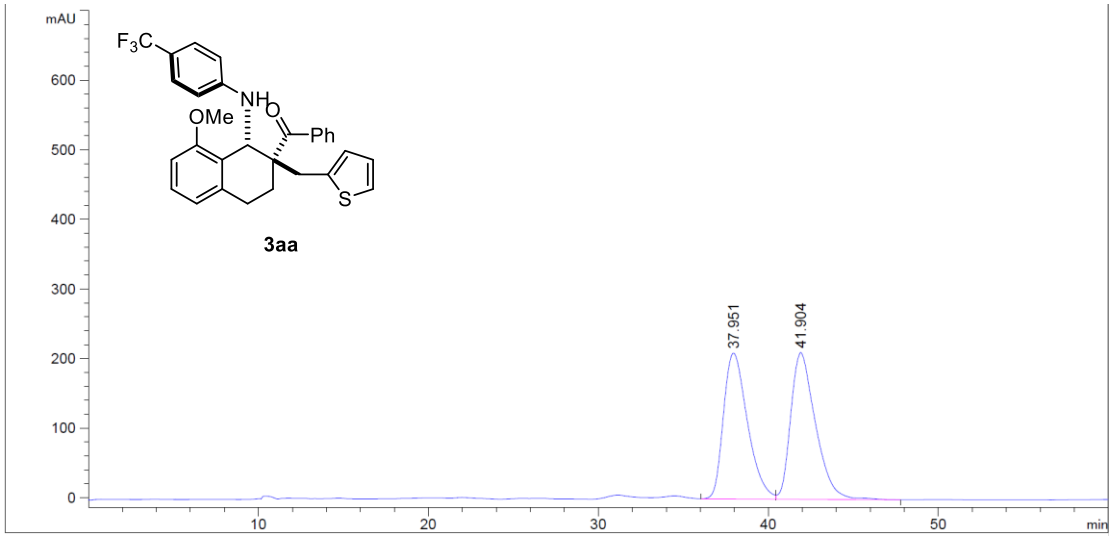
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.453	BB	0.4225	194.77324	5.53520	0.9326
2	17.546	BB	0.6194	2.06896e4	496.23544	99.0674



(1*S*, 2*S*)-**3aa**

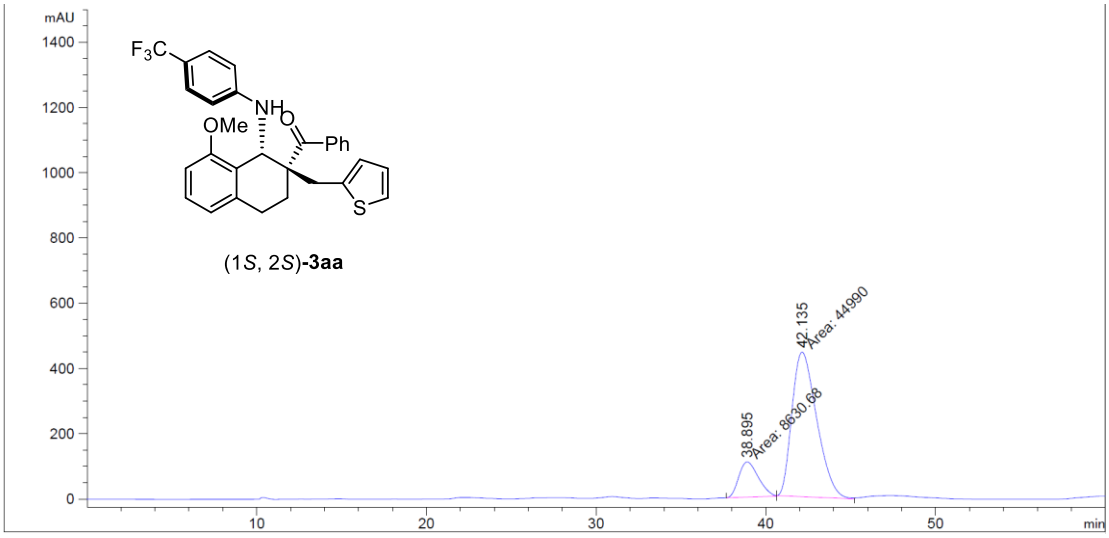
Following the general procedure B, (1*S*, 2*S*)-**3aa** was purified as white powder by flash column chromatography (ethyl acetate/petroleum ether = 1/20-1/10) (62.6 mg, 60% yield, 84:16 er, 99.5:0.5 er (after recrystallization)), the NMR and HRMS (ESI) was absolutely in consistent with **3aa**. (1*S*, 2*S*)-**3aa**: $[\alpha]_D^{20}$ = +83.0 (*c* 0.95, acetone). The product was analyzed by HPLC to determine the enantiomeric ratio: 99.5:0.5 er (Chiralpak AD-H, *i*-propanol/hexane = 5/95, flow rate 0.3 mL/min, λ = 254 nm); t_r = 38.50 and 41.96 min.

HPLC chromatogram of compound 3aa



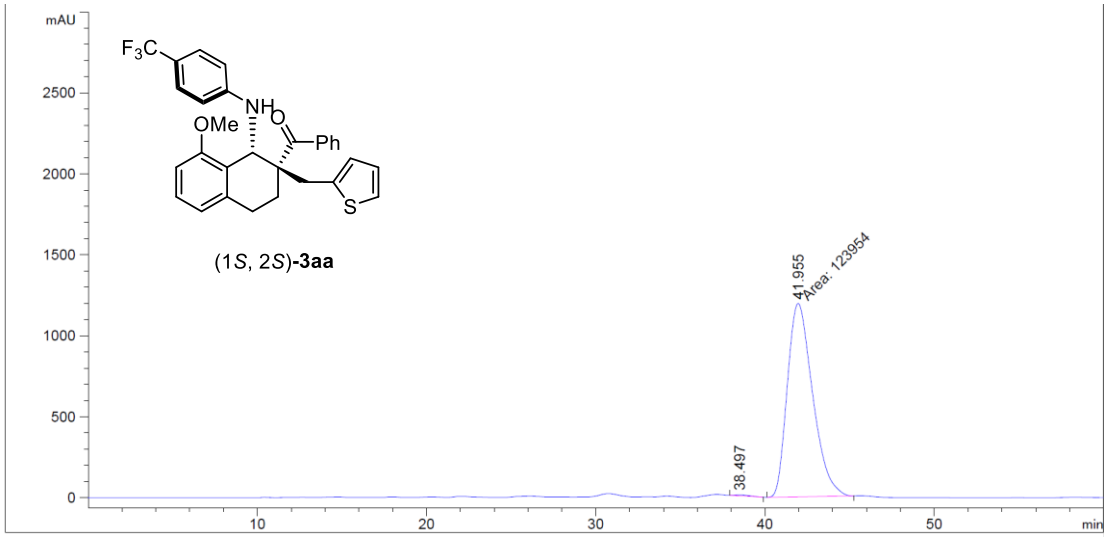
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	37.951	BV	1.5042	2.05987e4	209.65875	49.0923
2	41.904	VB	1.4985	2.13604e4	211.10541	50.9077

HPLC chromatogram of compound (1*S*, 2*S*)-3aa



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	38.895	MM	1.3338	8630.67578	107.84774	16.0958
2	42.135	MM	1.6925	4.49900e4	443.03424	83.9042

HPLC chromatogram of compound (1*S*, 2*S*)-3aa (After recrystallization)



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	38.497	BB	0.9230	376.29358	5.97277	0.3027
2	41.955	MM	1.7301	1.23954e5	1194.08423	99.6973