Supporting Information

Pd-catalyzed Enantioselective Dicarbofunctionalization of Alkene to Access Disubstituted Dihydroisoquinolinone

Qiaoyu Chen,[†] Sanliang Li,[†] Xiaoxiao Xie, Hao Guo,* Junfeng Yang,* and Junliang Zhang*

Department of Chemistry, Fudan University,2005 Songhu Road, Shanghai, 200438, China

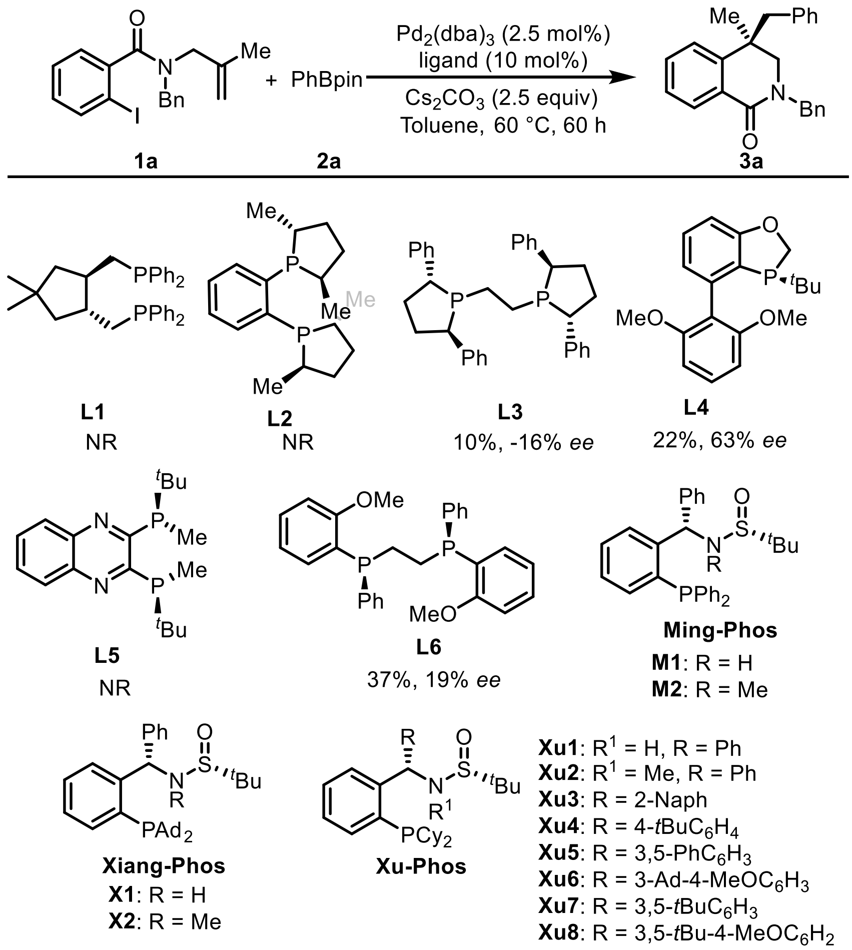
Contents

1. General Information

All reactions were carried out under an atmosphere of nitrogen in flame-dried sealed tube with magnetic stirring. The [α]D was recorded using PolAAr 3005 High Accuracy Polarimeter. ^{1}H NMR spectra, ^{13}C NMR spectra, ^{19}F NMR spectra and ^{31}P NMR spectra were recorded on a Bruker 400 MHz spectrometer in $CDCl_3$. All signals are reported in δ units, parts per million (ppm), and were referenced to $CDCl_3$ (δ 7.26 ppm for ^{1}H NMR and 77.0 ppm for ^{13}C NMR) as the internal standard. Data for ^{1}H NMR spectra are reported as follows: chemical shift (ppm; s = singlet, d = doublet, t = triplet, dd = doublet of doublets, m = multiplet), coupling constant (Hz), and integration. Data for ^{13}C NMR are ,re,ported in terms of chemical shift (ppm) relative to residual solvent peak ($CDCl_3$: 77.0 ppm). HRMS spectra were recorded on GCQTOF 7200 and Bruker McriOTOF11. SAESI-MS spectra were recorded on a Thermo TSQ Quantum Access triplequadrupole mass spectrometer (Thermo Fisher Scientific, Waltham, MA) equipped with a home-made SAESI ion source in positive mode. The instrumentation used for the crystal measurement was D8 VENTURE MetalJet. Reactions were monitored by thin layer chromatography (TLC) using silica gel plates. Toluene and CH_2Cl_2 was freshly distilled from CaH_2; THF, mesitylene, xylene and dioxane were freshly distilled from sodium metal prior to use; EtOAc (AR grade), DMF (AR grade), CH_3OH (AR grade) and *n*-hexane (anhydrous) were purchased from Sinopharm. Flash column chromatography was performed on silica gel 60 (particle size 200-400 mesh ASTM, purchased from Yantai, China) and eluted with petroleum ether/dichloromethane or petroleum ether/ethyl acetate. The substrates **1a-1aa**[1,2], **4**[1], **6a-6j**[1,2], **XuPhos**[3] and **N-Me-XuPhos**[3] were synthesized according to published procedures, the others are commercially available. The spectral data of the substrates were consisted with that reported in the literature. The enantionmeric excesses of the products were determined by chiral stationary phase Shimadzu HPLC using a Chiralpak AD-H, IC, OD-H, OJ-H, OZ-H, IA.

2. Optimization of reaction conditions

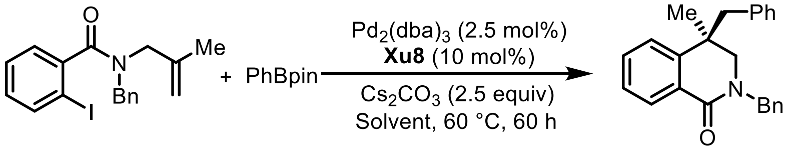
2.1 Table S1. Screening of the Known Chiral Ligands for Reaction[a]



Entry	ligand	Yield [%][b]	*ee* [%][c]
1	**M1**	NR	-
2	**M2**	43	10
3	**X1**	NR	-
4	**X2**	56	10
5	**Xu1**	NR	-
6	**Xu2**	78	11
7	**Xu3**	75	11
8	**Xu4**	81	19
9	**Xu5**	79	38
10	**Xu6**	85	66
11	**Xu7**	78	70
12	**Xu8**	84	83

[a] The reaction was performed using 0.1 mmol of **1a** and 0.15 mmol of **2a** (0.1 M) for 60 h. [b] Yield determined by HNMR using CH_2BrCH_2Br as an internal standard. [c] Determined by HPLC using a chiral stationary phase. NR = no reaction.

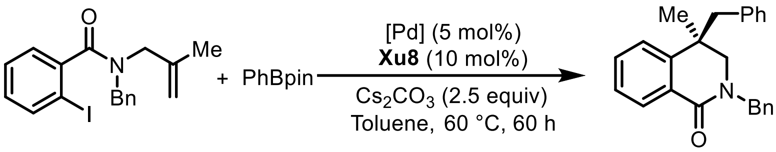
2.2 Table S2. Screening of Solvents for Reaction[a]



Entry	Solvent	Yield [%][b]	*ee* [%][c]
1	THF	60	84
2	CH_2Cl_2	trace	-
3	EtOAc	42	91
5	1,4-Dixoane	15	93
6	DMF	NR	11
7	CH_3OH	35	73
8	*n*-hexane	90	80
9	*o*-xylene	82	82
10	*m*-xylene	76	82
11	*p*-xylene	75	81
12	Mesitylene	69	77
13	Toluene	84	83

[a] The reaction was performed using 0.1 mmol of **1a** and 0.15 mmol of **2a** (0.1 M) for 60 h. [b] Yield determined by HNMR using CH_2BrCH_2Br as an internal standard. [c] Determined by HPLC using a chiral stationary phase.

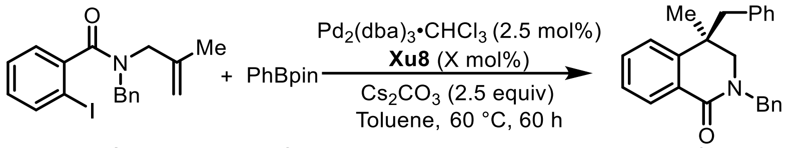
2.3 Table S3. Screening of Palladium Salts for Reaction[a]



Entry	[Pd]	Yield [%][b]	*ee* [%][c]
1	$Pd(dba)_2$	81	85
2	$Pd(dppf)Cl_2$	42	10
3	$Pd(dppe)Cl_2$	62	30
4	$[Pd(C_3H_5)Cl]_2$	73	79
5	$Pd_2(dba)_3$	84	83
6	$Pd_2(dba)_3 \cdot CHCl_3$	80	88

[a] The reaction was performed using 0.1 mmol of **1a** and 0.15 mmol of **2a** (0.1 M) for 60 h. [b] Yield determined by HNMR using CH_2BrCH_2Br as an internal standard. [c] Determined by HPLC using a chiral stationary phase.

2.4 Table S4. Screening of the Amount of ligand for Reaction[a]

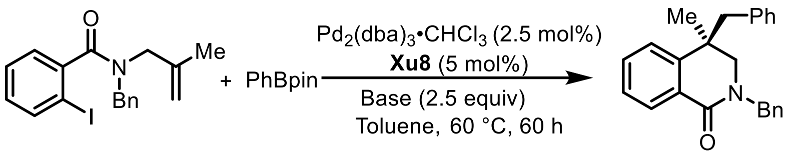


1a 2a 3a

Entry	X	Yield [%][b]	*ee* [%][c]
1	5	90	92
2	7.5	86	89
3	10	80	88
4[d]	5	64	89

[a] The reaction was performed using 0.1 mmol of **1a** and 0.15 mmol of **2a** (0.1 M) for 60 h. [b] Yield determined by HNMR using CH_2BrCH_2Br as an internal standard. [c] Determined by HPLC using a chiral stationary phase. [d] 0.15 mmol of phenyl boronic acid was used.

2.5 Table S5. Screening of Bases for Reaction[a]



1a 2a 3a

Entry	Base	Yield [%][b]	*ee* [%][c]
1	NaOH	10	62
2	NaOMe	19	60
3	K_2CO_3	trace	-
4	K_3PO_4	trace	-
5	NEt_3	NR	-
6	KO*ᵗ*Bu	66	85
7	CsF	trace	-
8	CsOAc	trace	-
9	Cs(OH) H_2O	88	81
10	Cs_2CO_3	90	92

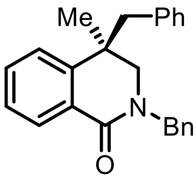
[a] The reaction was performed using 0.1 mmol of **1a** and 0.15 mmol of **2a** (0.1 M) for 60 h. [b] Yield determined by HNMR using CH_2BrCH_2Br as an internal standard. [c] Determined by HPLC using a chiral stationary phase. NR = no reaction.

3. General procedure

To a sealed tube was added **Xu8** (5 mol%) and $Pd_2(dba)_3 \cdot CHCl_3$ (2.5 mol%). The flask was evacuated and refilled with argon. Toluene (1.0 mL/0.1 mmol) was added to the tube, and stirred at room temperature for 1 h. Then under argon atmosphere Cs_2CO_3 (2.5 equiv), **2** (1.5 equiv), *N*-allyl carboxamide (0.1/0.3 mmol) were successively added. The reaction mixture was kept stirring at 60 ºC for 60 h or 60 ºC for 60 h, then 70 ºC for 24 h. After completion of the reaction (monitored by TLC), the mixture was concentrated in vacuum and the residue was purified by flash column chromatography on silica gel with petroleum ether-ethyl acetate as eluent to give the desired product.

Characterization data of products:

(*R*)-2,4-dibenzyl-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (3a)

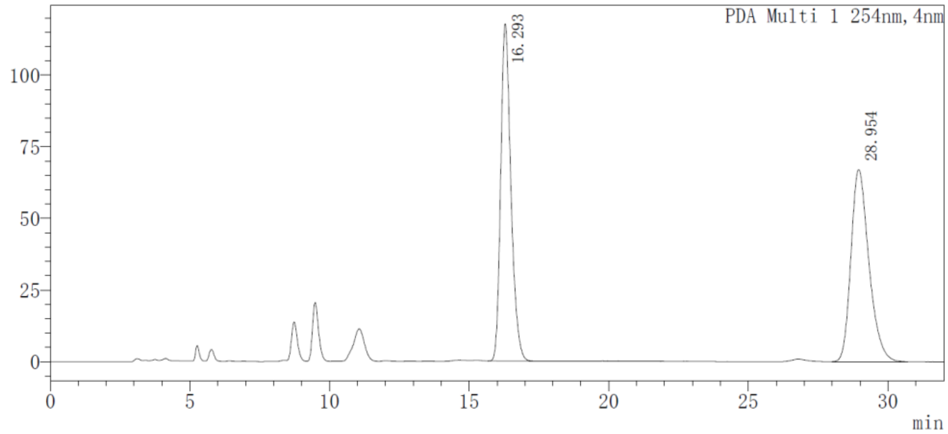


3a

Prepared according to typical procedure from **2a** (61.2 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3a** as a yellow oil (57.0 mg, 84% yield) with 92% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.29-8.27 (m, 1H), 7.46-7.29 (m, 7H), 7.25-7.13 (m, 3H), 7.00-6.91 (m, 1H), 6.78-6.66 (m, 2H), 4.97 (d, *J* = 14.4 Hz, 1H), 4.75 (d, *J* = 14.4 Hz, 1H), 3.40 (d, *J* = 12.6 Hz, 1H), 3.15 (d, *J* = 12.7 Hz, 1H), 2.88 (d, *J* = 13.2 Hz, 1H), 2.65 (d, *J* = 13.3 Hz, 1H)., 1.24 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 144.9, 136.9, 136.8, 131.6, 130.5, 128.8, 128.6 (two peaks overlap), 128.1, 127.7, 127.6, 126.9, 126.4, 124.8, 55.6, 50.8, 45.9, 37.9, 22.1. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{24}H_{23}NO$: 341.1780, found 341.1778. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 16.6 min (major), 29.4 min (minor). $[\alpha]_D{}^{20}$ = -165.3 (*c* = 0.5, $CHCl_3$).

<Chromatogram>

mAU



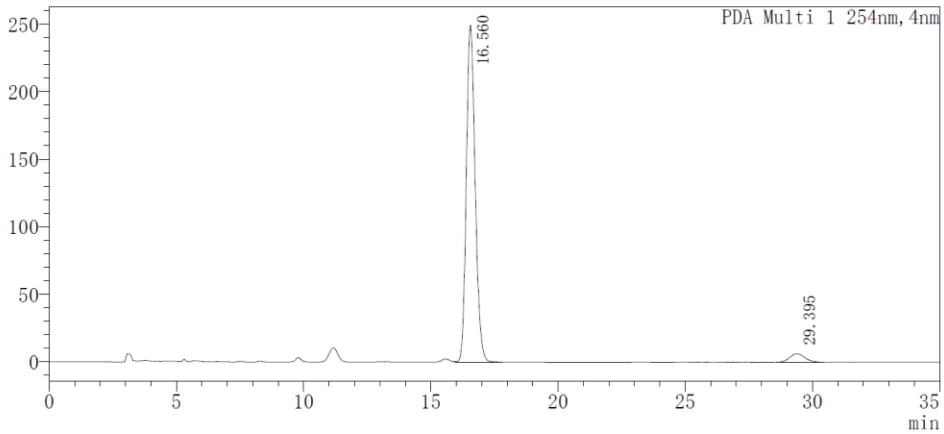
<Peak Table>

PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	16.293	117665	63.682	3005556	50.025
2	28.954	67105	36.318	3002581	49.975
Total		184770	100.000	6008137	100.000

<Chromatogram>

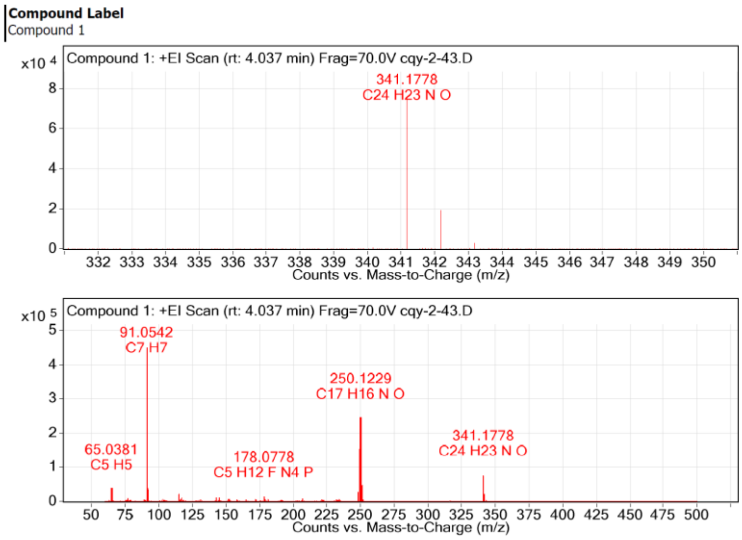
mAU



<Peak Table>

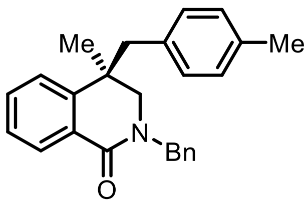
PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	16.560	249322	97.534	6008876	95.898
2	29.395	6304	2.466	257018	4.102
Total		255626	100.000	6265895	100.000



m/z	Calc m/z	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
341.1778	341.1774	-1.21	-0.41	75939.65	C24 H23 N O	M+	17.09
342.1813	342.1807	-1.63	-0.56	19353.83	C24 H23 N O	M+	4.35
343.1851	343.1839	-3.59	-1.23	2786.13	C24 H23 N O	M+	0.63

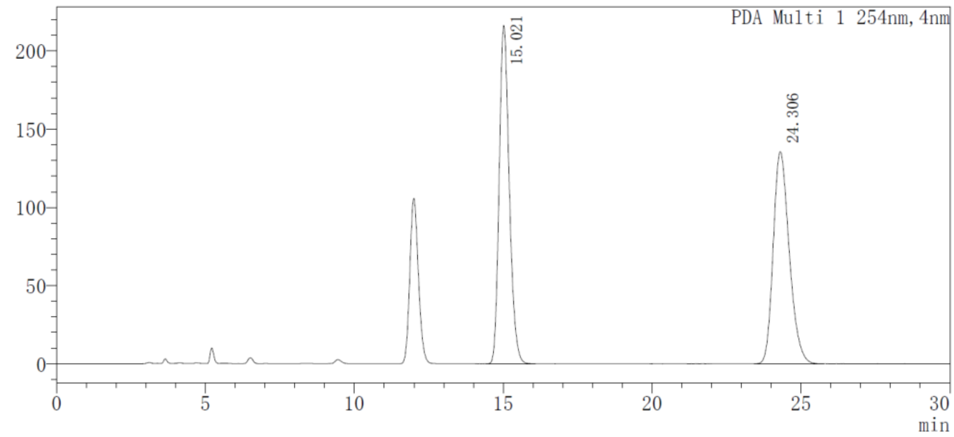
(*R*)-2-benzyl-4-methyl-4-(4-methylbenzyl)-3,4-dihydroisoquinolin-1(2H)-one (3b)



3b

Prepared according to typical procedure from **2b** (65.4 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3b** as a yellow oil (66.7 mg, 94% yield) with 92% *ee*. ^{1}**H NMR** (400 MHz, $CDCl_3$) δ 8.28-8.26 (m, 1H), 7.43-7.28 (m, 7H), 7.01-6.96 (m, 3H), 6.62 (d, *J* = 8.0 Hz, 2H), 4.98 (d, *J* = 14.4 Hz, 1H), 4.73 (d, *J* = 14.5 Hz, 1H), 3.38 (d, *J* = 12.6 Hz, 1H), 3.14 (d, *J* = 12.6 Hz, 1H), 2.83 (d, *J* = 13.3 Hz, 1H), 2.63 (d, *J* = 13.3 Hz, 1H), 2.33 (s, 3H), 1.22 (s, 3H); ^{13}**C NMR** (101 MHz, $CDCl_3$) δ164.5, 145.1, 137.0, 135.9, 133.7, 131.6, 130.4, 128.8, 128.6 (two peaks overlap), 128.4, 128.1, 127.6, 126.9, 124.8, 55.5, 50.9, 45.4, 37.9, 22.0, 21.0. **HRMS** (EI): m/z: $[M]^{+}$ Calcd for $C_{25}H_{25}NO$: 355.1936, found 355.1935. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 15.2 min (major), 24.8 min (minor). $[\alpha]_D^{20}$ = -183.2 (*c* = 0.5, $CHCl_3$).

<Chromatogram>



<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	15.021	216262	61.451	5090707	50.047
2	24.306	135665	38.549	5081100	49.953
Total		351927	100.000	10171807	100.000

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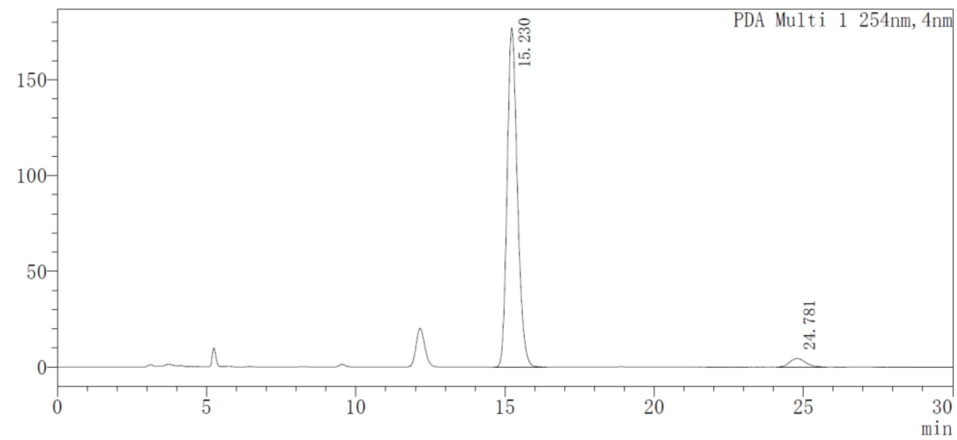
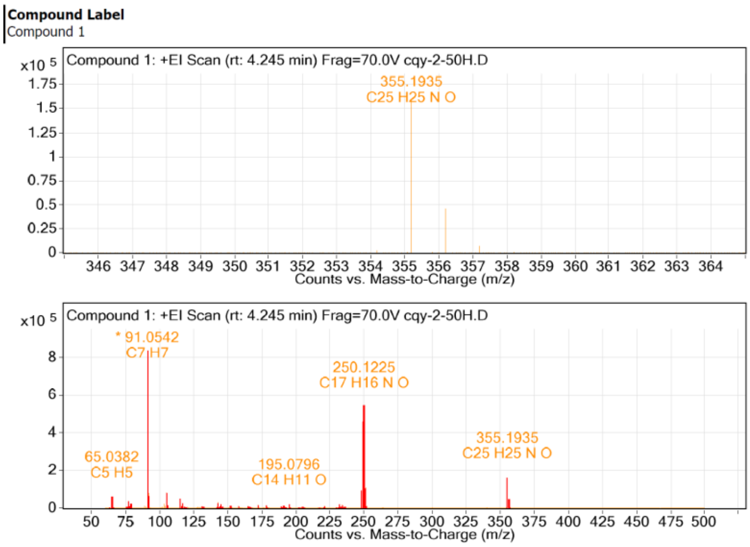


<Peak Table>

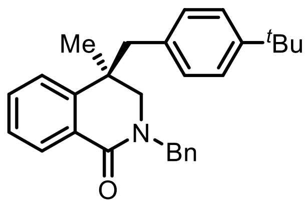
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	15.230	176902	97.504	4222886	96.161
2	24.781	4529	2.496	168611	3.839
Total		181431	100.000	4391497	100.000



m/z	*Calc m/z*	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
355.1935	355.1931	-1.32	-0.47	160220.31	C25 H25 N O	M+	19.7
356.1965	356.1964	-0.44	-0.16	45857.79	C25 H25 N O	M+	5.64
357.1995	357.1995	0.2	0.07	6675.68	C25 H25 N O	M+	0.82

(*R*)-2-benzyl-4-(4-(tert-butyl)benzyl)-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (3c)



3c

Prepared according to typical procedure from **2c** (78.1 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3c** as a yellow oil (50.5 mg, 86% yield) with 91% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.30-8.27 (m, 1H), 7.43-7.31 (m, 7H), 7.24-7.21 (m, 2H), 7.04-7.00 (m, 1H), 6.72-6.69 (m, 2H), 5.01 (d, *J* = 14.4 Hz, 1H), 4.73 (d, *J* = 14.4 Hz, 1H), 3.38 (d, *J* = 12.6 Hz, 1H), 3.16 (d, *J* = 12.7 Hz, 1H), 2.82 (d, *J* = 13.3 Hz, 1H), 2.67 (d, *J* = 13.4 Hz, 1H), 1.34 (s, 9H), 1.24 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 149.3, 145.3, 136.9, 133.7, 131.6, 130.2, 128.7, 128.6, 128.6, 128.0, 127.5, 126.8, 124.7, 124.6, 55.3, 50.8, 45.3, 37.9, 31.3, 24.8, 22.1. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{28}H_{31}NO$: 397.2406, found 397.2401. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 9.8 min (major), 13.8 min (minor). $[\alpha]_D^{20}$ = -142.0 (*c* = 0.5, $CHCl_3$).

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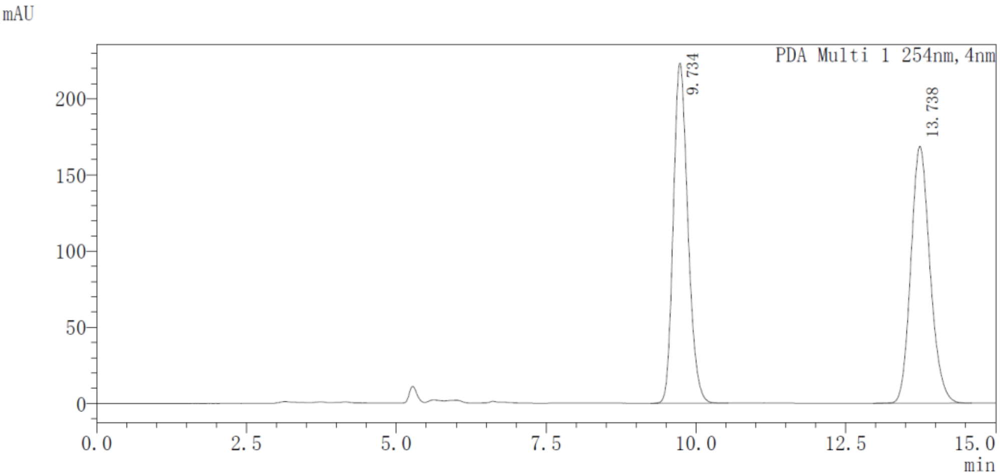

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PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	9.734	223236	56.940	3784322	50.170
2	13.738	168817	43.060	3758717	49.830
Total		392053	100.000	7543039	100.000

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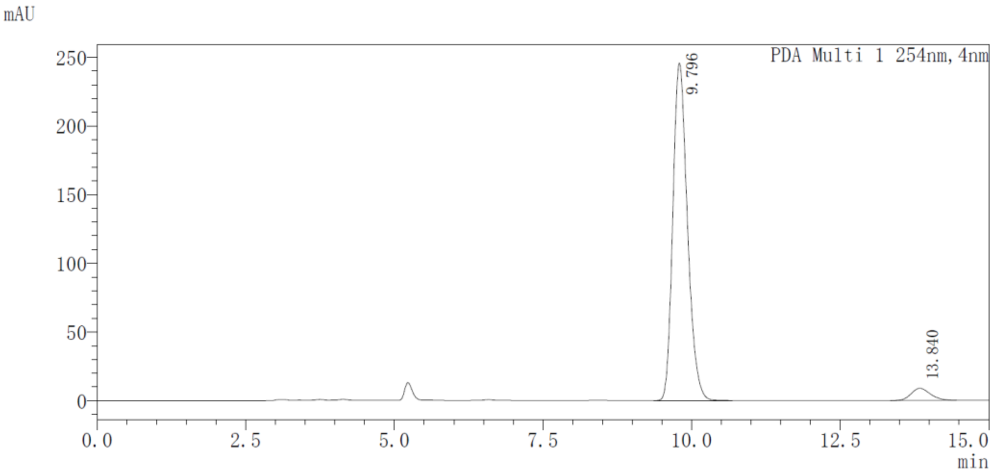
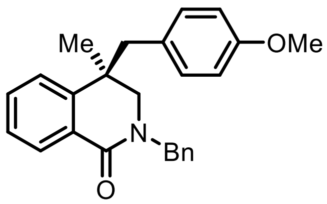


<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	9.796	245561	96.500	4185859	95.419
2	13.840	8906	3.500	200955	4.581
Total		254467	100.000	4386814	100.000

(*R*)-2-benzyl-4-(4-methoxybenzyl)-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (3d)



3d

Prepared according to typical procedure from **2d** (70.5 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3d** as a yellow oil (54.8 mg, 74% yield) with 93% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.29-8.25 (m, 1H), 7.41-7.30 (m, 7H), 6.96-6.93 (m, 1H), 6.74-6.72 (m, 2H), 6.64-6.61 (m, 2H), 4.95 (d, *J* = 14.4 Hz, 1H), 4.75 (d, *J* = 14.5 Hz, 1H), 3.79 (s, 3H), 3.39 (d, *J* = 12.6 Hz, 1H), 3.13 (d, *J* = 12.6 Hz, 1H), 2.82 (d, *J* = 13.4 Hz, 1H), 2.59 (d, *J* = 13.5 Hz, 1H), 1.22 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 158.3, 145.1, 137.1, 131.6, 131.5, 128.9, 128.8, 128.73, 128.7, 128.1, 127.6, 126.9, 124.9, 113.2, 55.6, 55.2, 50.9, 45.0, 38.0, 22.1. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{25}H_{25}NO_2$: 371.1885, found 371.1882. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 22.4 min (major), 42.5 min (minor). $[\alpha]_D^{20}$ = -169.1 (*c* = 0.5, $CHCl_3$).

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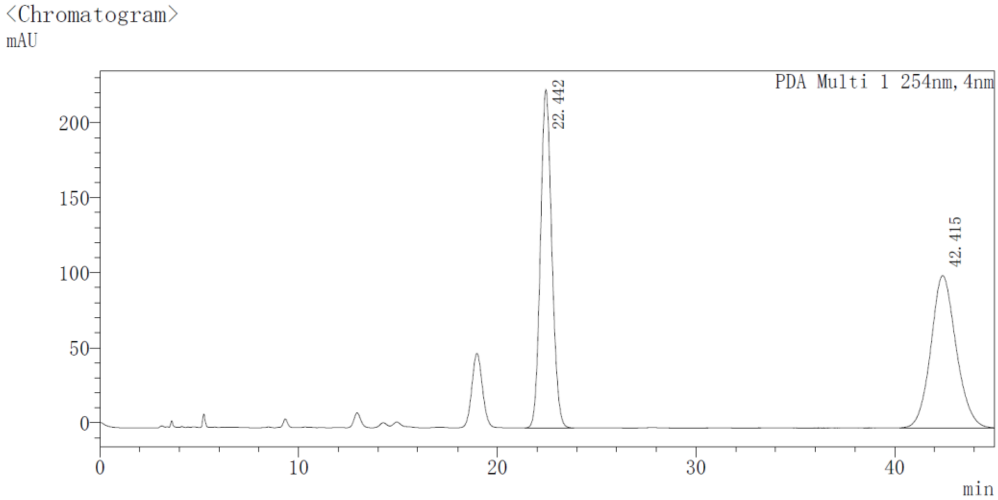

<Peak Table>

PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	22.442	225149	68.971	8950383	50.032
2	42.415	101290	31.029	8939082	49.968
Total		326439	100.000	17889465	100.000

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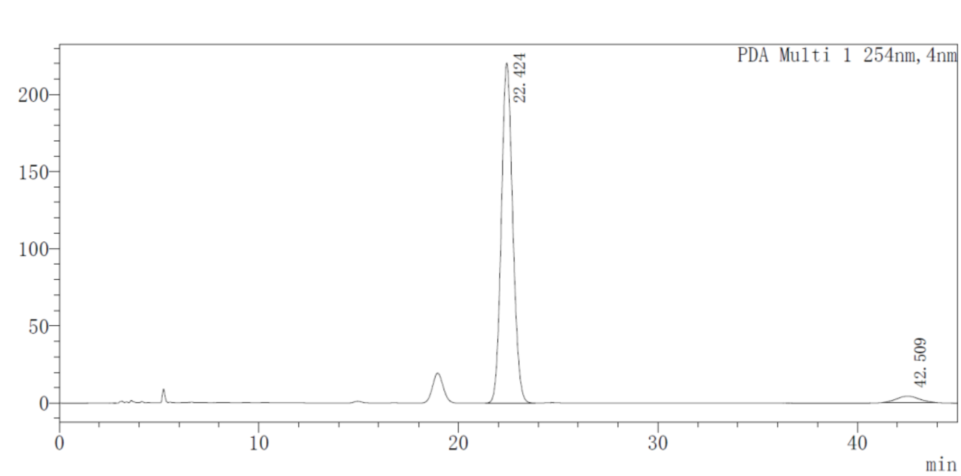
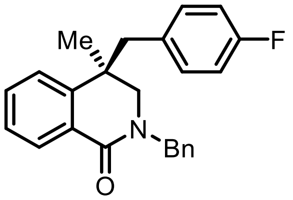


<Peak Table>

PDA Ch1 254nm

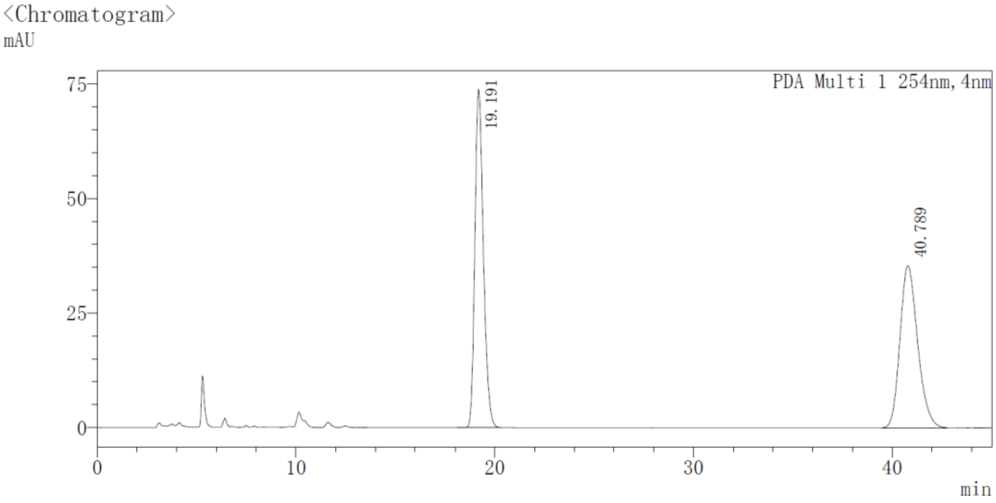
No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	22.424	220214	98.167	8750975	96.510
2	42.509	4111	1.833	316434	3.490
Total		224326	100.000	9067409	100.000

(*R*)-2-benzyl-4-(4-fluorobenzyl)-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (3e)



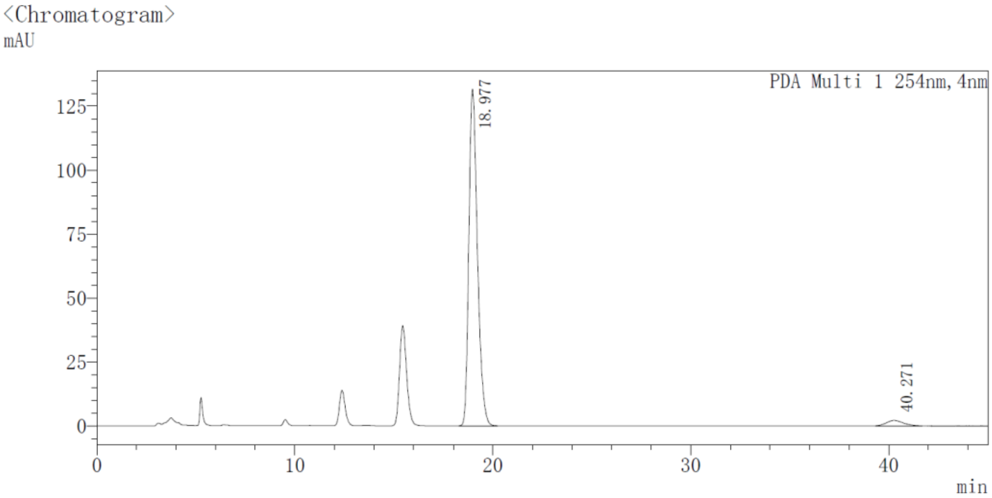
3e

Prepared according to typical procedure from **2e** (66.6 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 g, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3e** as a yellow oil (50.0 mg, 72% yield) with 94% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.25-8.22 (m, 1H), 7.40-7.29 (m, 7H), 6.86-6.80 (m, 3H), 6.60-6.56 (m, 2H), 4.85-4.76 (m, 2H), 3.41 (d, *J* = 12.7 Hz, 1H), 3.10 (d, *J* = 12.7 Hz, 1H), 2.83 (d, *J* = 13.4 Hz, 1H), 2.54 (d, *J* = 13.4 Hz, 1H), 1.19 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) 164.4, 161.6 (d, *J* = 244.7 Hz), 144.4, 136.9, 131.8 (d, *J* = 7.8 Hz), 131.6, 129.5, 128.8, 128.7 (d, *J* = 2.3 Hz), 128.4, 128.1, 127.7, 127.1, 124.9, 114.5 (d, *J* = 21.1 Hz), 55.7, 50.8, 44.9, 37.9, 21.9; **^{19}F NMR** (376 MHz, $CDCl_3$) δ -116.51; **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{24}H_{22}FNO$: 359.1685, found 359.1680. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 19.0 min (major), 40.3 min (minor). $[\alpha]_D^{20}$ = -94.5 (*c* = 0.5, $CHCl_3$).



<Peak Table>
PDA Ch1 254nm

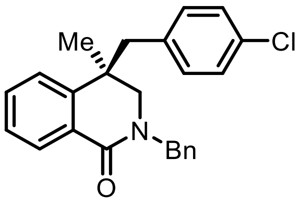
No.	Ret. Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	19.191	73812	67.597	2195441	50.096
2	40.789	35383	32.403	2186988	49.904
Total		109195	100.000	4382429	100.000



<Peak Table>
PDA Ch1 254nm

No.	Ret. Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	18.977	131523	98.429	3947499	96.991
2	40.271	2099	1.571	122479	3.009
Total		133622	100.000	4069978	100.000

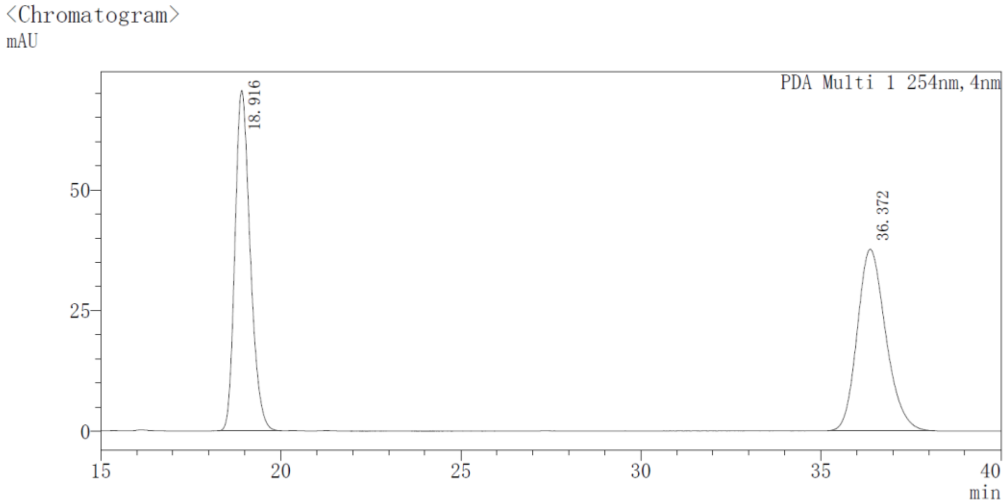
(*R*)-2-benzyl-4-(4-chlorobenzyl)-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (3f)



3f

Prepared according to typical procedure from **2f** (71.6 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3f** as a yellow oil (70.7 mg, 94% yield) with 89% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.29-8.25 (m, 1H), 7.43-7.28 (m, 7H), 7.15-7.08 (m, 2H), 6.90-6.85 (m, 1H), 6.59-6.54 (m, 2H), 4.84 (s, 2H), 3.43 (d, J = 12.7 Hz, 1H), 3.12 (d, J = 12.7 Hz, 1H), 2.84 (d, J = 13.3 Hz, 1H), 2.56 (d, J = 13.3 Hz, 1H), 1.21 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 144.4, 137.0, 135.3, 132.4, 131.8, 131.7, 128.9, 128.8 (two peaks overlap), 128.1, 127.9, 127.7, 127.2, 125.0, 55.7, 50.9, 45.1, 37.9, 21.9. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{24}H_{22}ClNO$: 375.1390, found 375.1387. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 18.8 min (major), 36.1 min (minor). $[\alpha]_D^{20}$ = -179.3 (c = 0.5, $CHCl_3$).

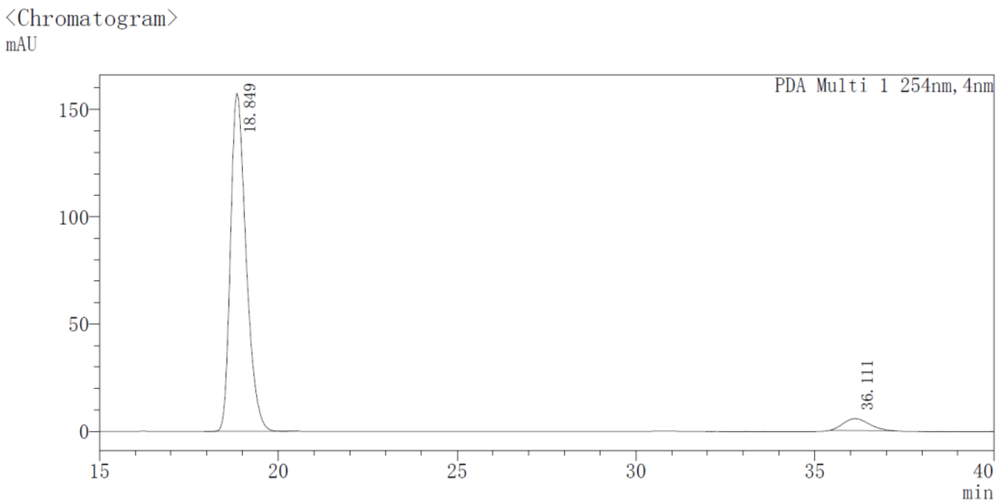
<Chromatogram>



<Peak Table>

PDA Ch1 254nm

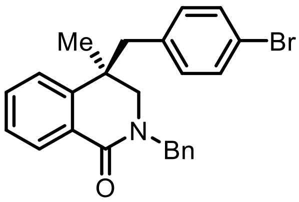
No.	Ret. Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	18.916	70512	65.226	2073862	50.028
2	36.372	37592	34.774	2071516	49.972
Total		108104	100.000	4145378	100.000



<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	18.849	157404	96.590	4772466	94.470
2	36.111	5557	3.410	279385	5.530
Total		162960	100.000	5051851	100.000

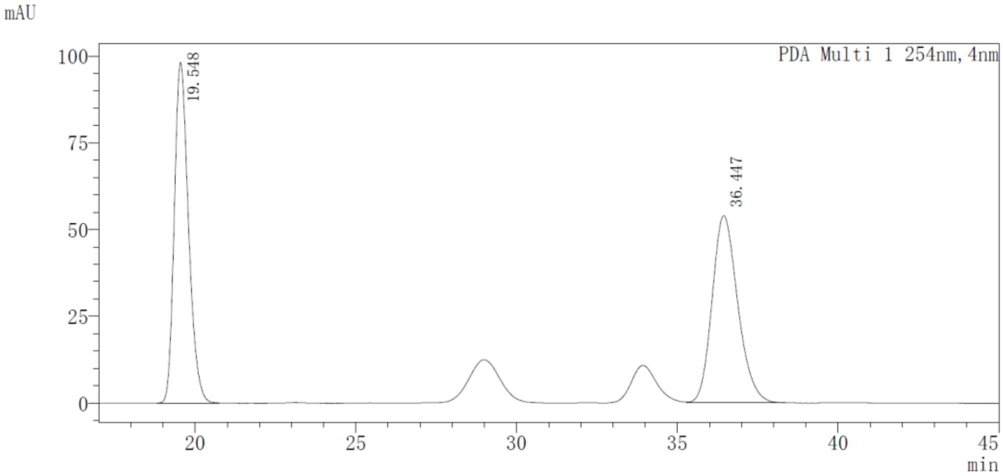
(*R*)-2-benzyl-4-(4-bromobenzyl)-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (3g)



3g

Prepared according to typical procedure from **2g** (84.8 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3g** as a yellow oil (47.2 mg, 58% yield) with 88% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.28-8.25 (m, 1H), 7.42-7.31 (m, 7H), 7.29-7.25 (m, 2H), 6.89-6.86 (m, 1H), 6.52-6.48 (m, 2H), 4.83 (s, 2H), 3.43 (d, *J* = 12.7 Hz, 1H), 3.12 (d, *J* = 12.7 Hz, 1H), 2.82 (d, *J* = 13.2 Hz, 1H), 2.54 (d, *J* = 13.3 Hz, 1H), 1.20 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 144.2, 136.9, 135.7, 132.1, 131.6, 130.8, 128.8, 128.7 (two peaks overlap), 128.0, 127.7, 127.1, 124.9, 120.5, 55.6, 50.8, 45.1, 37.8, 21.8. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{24}H_{22}BrNO$: 419.0885, found 419.0884. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 19.4 min (major), 36.1 min (minor). $[\alpha]_D^{20}$ = -175.0 (*c* = 0.5, $CHCl_3$).

<Chromatogram>



<Peak Table>

PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	19.548	98161	64.530	3010575	50.049
2	36.447	53956	35.470	3004625	49.951
Total		152117	100.000	6015200	100.000

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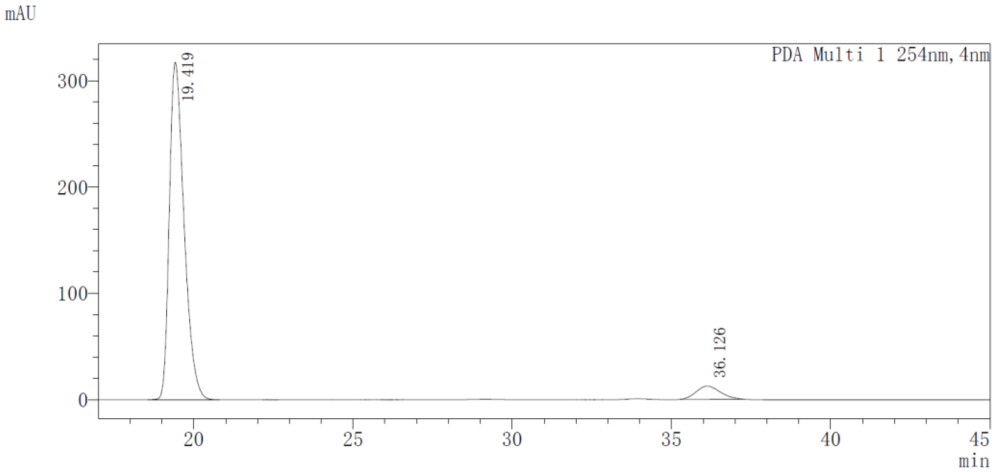
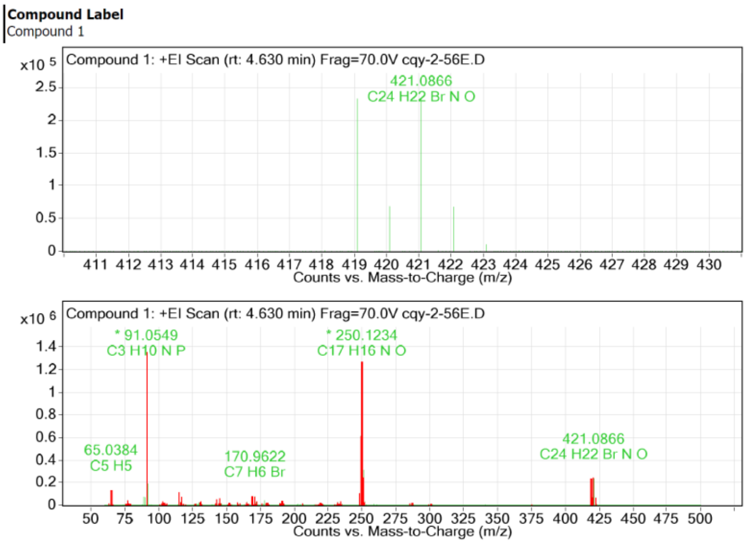


<Peak Table>

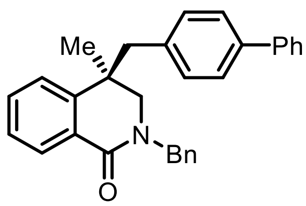
PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	19.419	317095	96.241	10191977	94.019
2	36.126	12384	3.759	648381	5.981
Total		329479	100.000	10840359	100.000



m/z	*Calc m/z*	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
419.0884	419.0879	-1.15	-0.48	232665.63	C24 H22 Br N O	M+	17.17
421.0866	421.0862	-1.02	-0.43	233759	C24 H22 Br N O	M+	17.25

(*R*)-4-([1,1'-biphenyl]-4-ylmethyl)-2-benzyl-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (3h)



3h

Prepared according to typical procedure from **2h** (84.1 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3h** as a yellow oil (75.1 mg, 90% yield) with 91% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.32-8.29 (m, 1H), 7.62-7.59 (m, 2H), 7.49-7.31 (m, 12H), 7.02-6.98 (m, 1H), 6.80-6.78 (m, 2H), 4.97 (d, J = 14.4 Hz, 1H), 4.82 (d, J = 14.4 Hz, 1H), 3.44 (d, J = 12.7 Hz, 1H), 3.20 (d, J = 12.7 Hz, 1H), 2.92 (d, J = 13.2 Hz, 1H), 2.70 (d, J = 13.2 Hz, 1H), 1.28 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 144.8, 140.6, 139.2, 136.9, 135.9, 131.6, 130.9, 128.8, 128.7, 128.7, 128.6, 128.0, 127.6, 127.1, 127.0, 126.9, 126.4, 124.9, 55.5, 50.8, 45.4, 38.0, 22.0. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{30}H_{27}NO$: 417.2093, found 417.2089. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 22.6 min (major), 38.2 min (minor). $[\alpha]_D^{20}$ = -206.3 (c = 0.25, $CHCl_3$).

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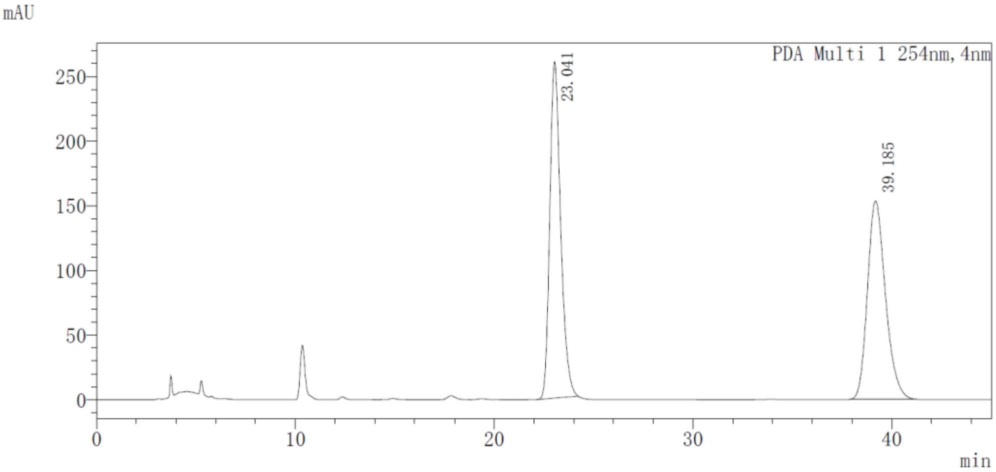

<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	23.041	260159	62.877	9871216	50.464
2	39.185	153601	37.123	9689787	49.536
Total		413760	100.000	19561003	100.000

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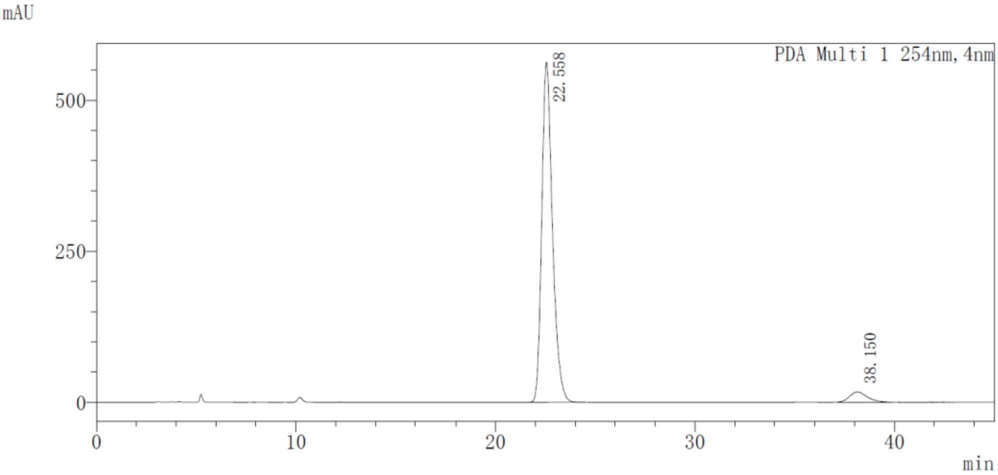
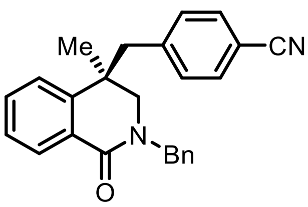


<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	22.558	562824	97.099	20970024	95.370
2	38.150	16816	2.901	1018121	4.630
Total		579640	100.000	21988144	100.000

(*R*)-4-((2-benzyl-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)methyl) benzonitrile (3i)



3i

Prepared according to typical procedurefrom **2i** (68.7 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 10:1-5:1) afforded the product **3i** as a yellow oil (69.1 mg, 94% yield) with 90% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.26 (dd, J = 7.5, 1.8 Hz, 1H), 7.43-7.30 (m, 9H), 6.80-6.78 (m, 1H), 6.68-6.66 (m, 2H), 4.91 (d, J = 14.5 Hz, 1H), 4.74 (d, J = 14.4 Hz, 1H), 3.49 (d, J = 12.8 Hz, 1H), 3.13 (d, J = 12.8 Hz, 1H), 2.93 (d, J = 13.0 Hz, 1H), 2.59 (d, J = 13.0 Hz, 1H), 1.22 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ164.2, 143.4, 142.4, 136.7, 131.6, 131.3, 131.1, 128.9, 128.7 (two peaks overlap), 128.0, 127.7, 127.3, 124.8, 118.7, 110.3, 55.8, 50.7, 45.7, 38.0, 21.8. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{25}H_{22}N_2O$: 366.1732, found 366.1732. HPLC (AD-H, 2-propanol /n-hexane = 20/80, flow rate = 1.0 mL/min, l = 254 nm) tR = 18.1 min (major), 38.5 min (minor). $[\alpha]_D^{20}$ = -227.2 (c = 0.5, $CHCl_3$).

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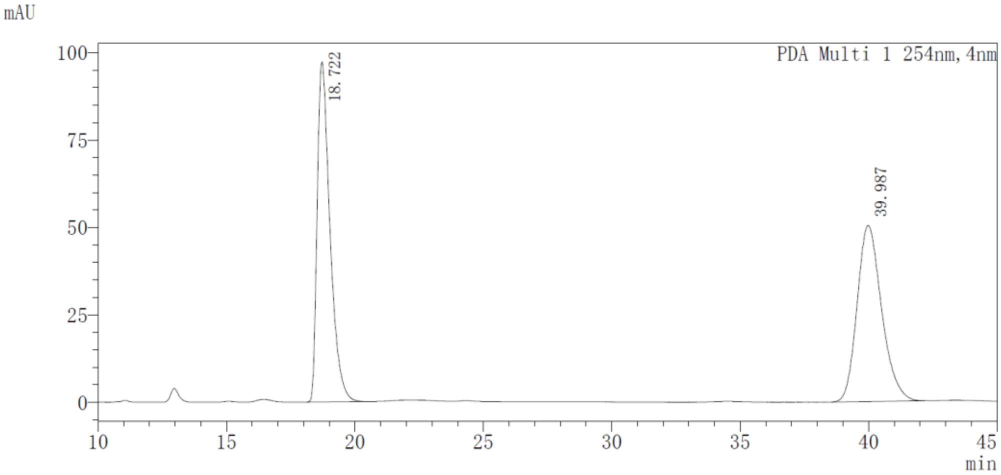
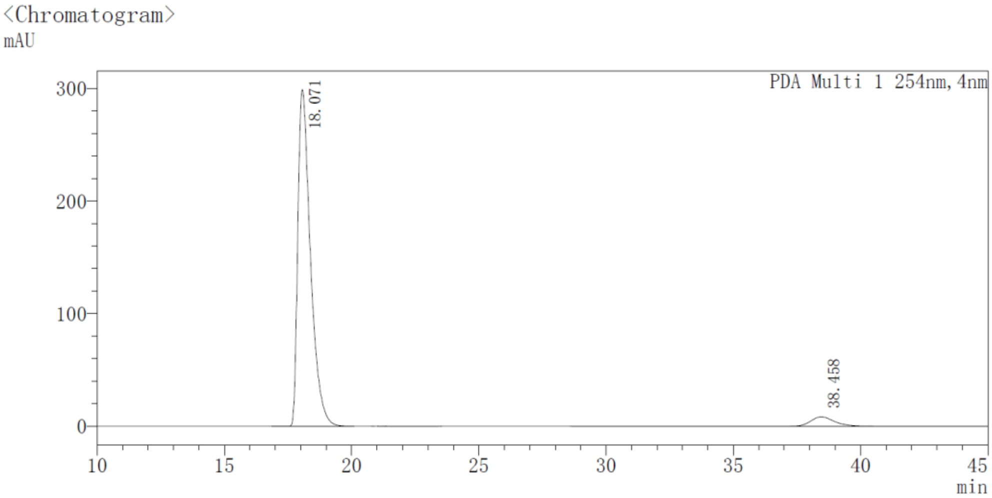


<Peak Table>

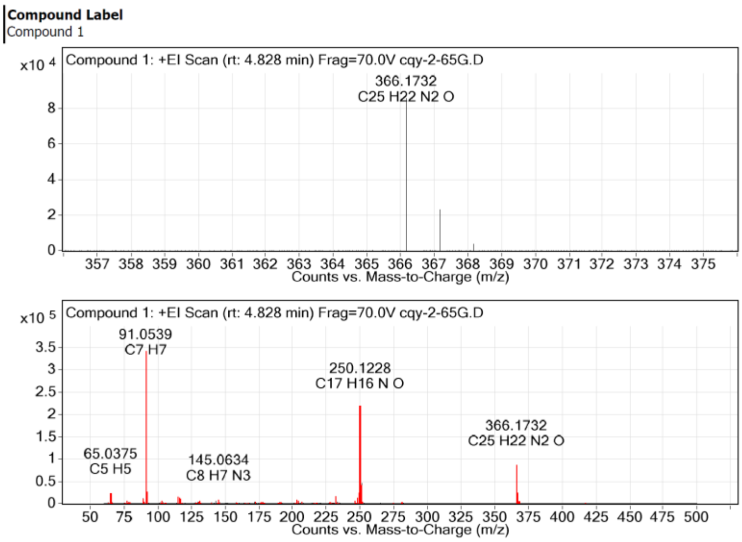
PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	18.722	97200	65.871	3363048	50.140
2	39.987	50360	34.129	3344283	49.860
Total		147560	100.000	6707331	100.000



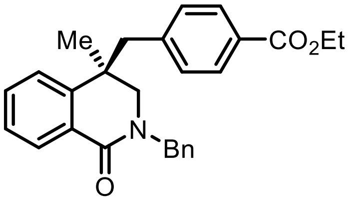
<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	18.071	298984	97.289	10283446	95.091
2	38.458	8330	2.711	530826	4.909
Total		307314	100.000	10814273	100.000



m/z	*Calc m/z*	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
366.1732	366.1727	-1.59	-0.58	85556	C25 H22 N2 O	M+	25.02
367.1761	367.1759	-0.56	-0.21	23012.42	C25 H22 N2 O	M+	6.73
368.1765	368.179	6.66	2.45	3843.71	C25 H22 N2 O	M+	1.12

Ethyl (*R*)-4-((2-benzyl-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)methyl) benzoate (3j)



3j

Prepared according to typical procedure from **2j** (82.8 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes:

EA = 20:1-10:1) afforded the product **3j** as a yellow oil (71.7 mg, 87% yield) with 89% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.28-8.25 (m, 1H), 7.85-7.82 (m, 2H), 7.42-7.28 (m, 7H), 6.86-6.83 (m, 1H), 6.73-6.70 (m, 2H), 4.89-4.78 (m, 2H), 4.37 (q, *J* = 7.1 Hz, 2H), 3.44 (d, *J* = 12.7 Hz, 1H), 3.13 (d, *J* = 12.7 Hz, 1H), 2.93 (d, *J* = 13.0 Hz, 1H), 2.64 (d, *J* = 13.0 Hz, 1H), 1.40 (t, *J* = 7.2 Hz, 3H), 1.22 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 166.4, 164.3, 144.1, 142.1, 136.7, 131.6, 130.4, 128.9, 128.8, 128.6 (two peaks overlap), 128.6, 128.0, 127.6, 127.1, 124.8, 60.8, 55.8, 50.8, 45.7, 38.0, 21.9, 14.2. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{27}H_{27}NO_3$: 413.1991, found 413.1990. HPLC (AD-H, 2-propanol /n-hexane = 15/85, flow rate = 1.0 mL/min, l = 254 nm) tR = 15.0 min (major), 24.8 min (minor). $[\alpha]_D^{20}$ = -184.0 (*c* = 0.5, $CHCl_3$).

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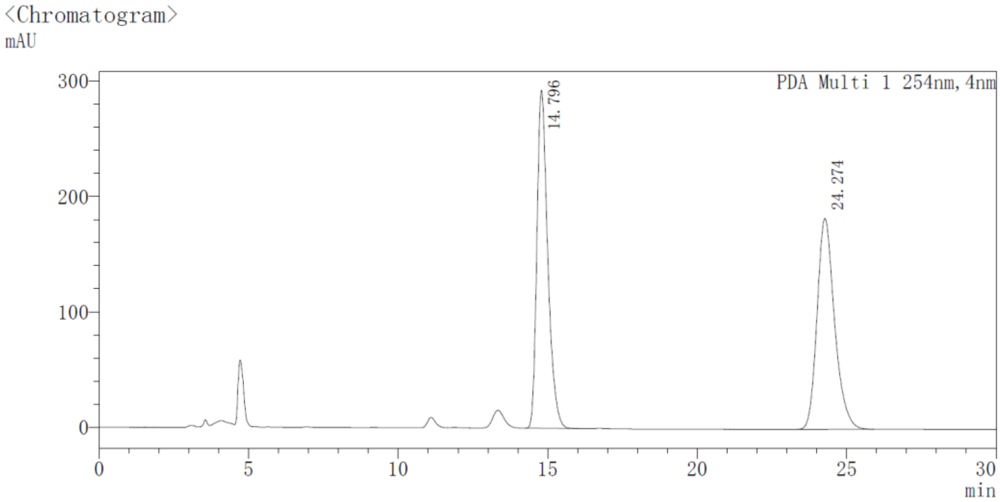

<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	14.796	293005	61.589	7404465	49.952
2	24.274	182736	38.411	7418612	50.048
Total		475740	100.000	14823077	100.000

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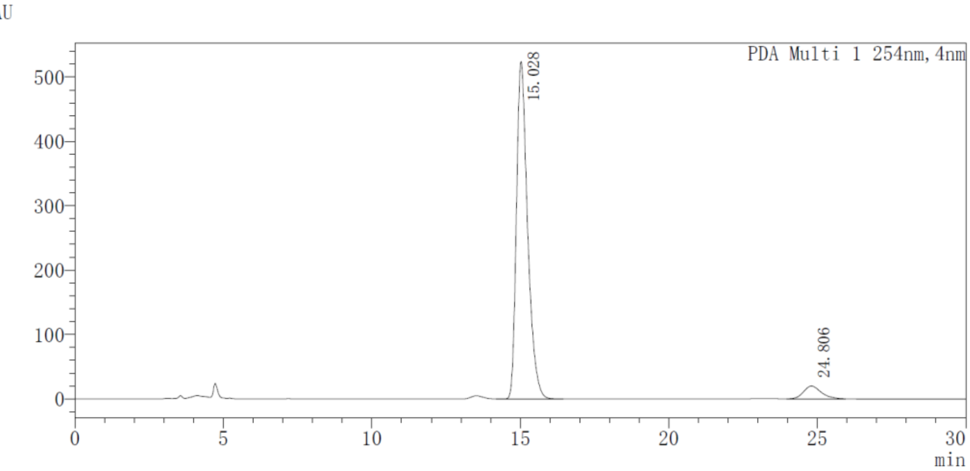
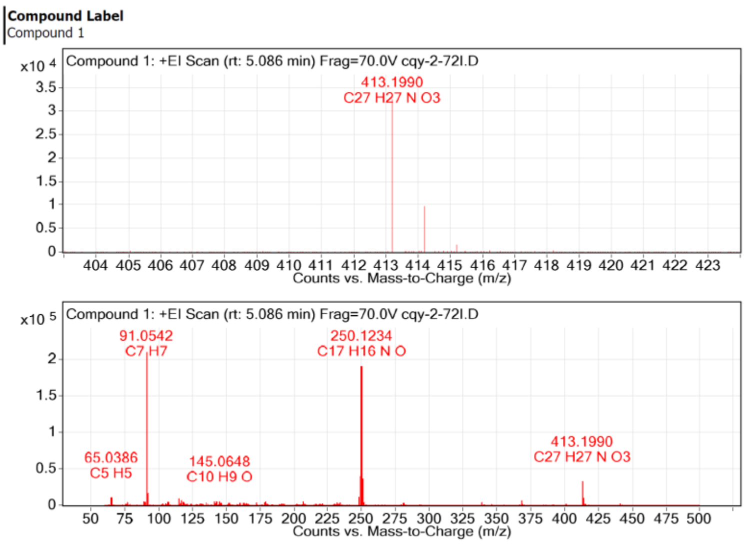


<Peak Table>

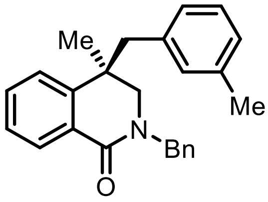
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	15.028	523755	96.373	13694295	94.406
2	24.806	19711	3.627	811390	5.594
Total		543465	100.000	14505685	100.000



m/z	Calc m/z	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
413.199	413.1985	-1.11	-0.46	32427.43	C27 H27 N O3	M+	15.53
414.2035	414.2019	-3.97	-1.64	9754.09	C27 H27 N O3	M+	4.67
415.2023	415.2049	6.23	2.59	1573.13	C27 H27 N O3	M+	0.75

(*R*)-2-benzyl-4-methyl-4-(3-methylbenzyl)-3,4-dihydroisoquinolin-1(2H)-one (3k)



3k

Prepared according to typical procedure from **2k** (17.5 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes:

EA = 20:1-10:1) afforded the product **3k** as a yellow oil (56.0 mg, 79% yield) with 92% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.28 (dd, *J* = 5.6, 3.3 Hz, 1H), 7.46-7.26 (m, 7H), 7.13-7.01 (m, 2H), 6.98-6.90 (m, 1H), 6.56 (d, *J* = 7.6 Hz, 2H), 5.02 (d, *J* = 14.4 Hz, 1H), 4.72 (d, *J* = 14.4 Hz, 1H), 3.41 (d, *J* = 12.6 Hz, 1H), 3.14 (d, *J* = 12.6 Hz, 1H), 2.85 (d, *J* = 13.2 Hz, 1H), 2.62 (d, *J* = 13.2 Hz, 1H), 2.26 (s, 3H), 1.24 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 145.0, 137.2, 136.9, 136.7, 131.5, 131.4, 128.7, 128.6, 128.6, 128.1, 127.6, 127.5,127.5, 127.1, 126.9, 124.9, 55.6, 50.9, 45.8, 37.9, 22.0, 21.3. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{25}H_{25}NO$: 355.1936, found 355.1935. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 12.1 min (major), 32.1 min (minor). $[\alpha]_D^{20}$ = -158.9 (*c* = 0.5, $CHCl_3$).

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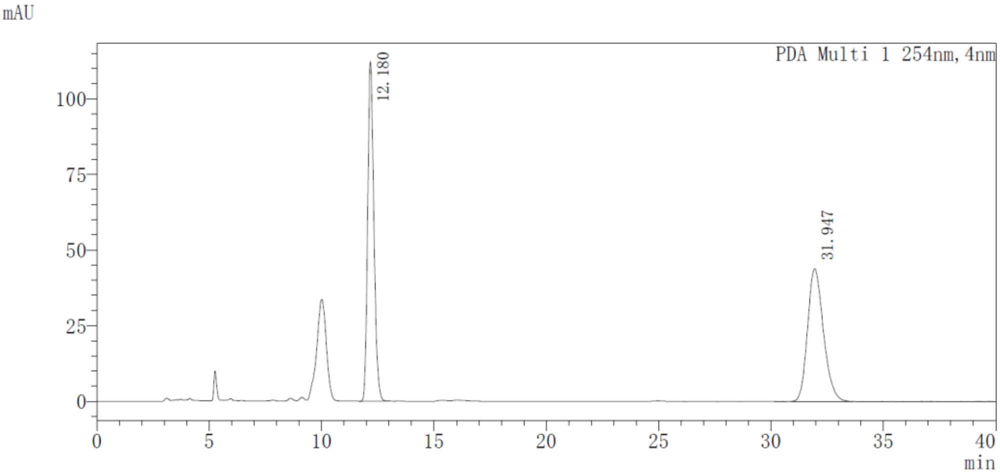
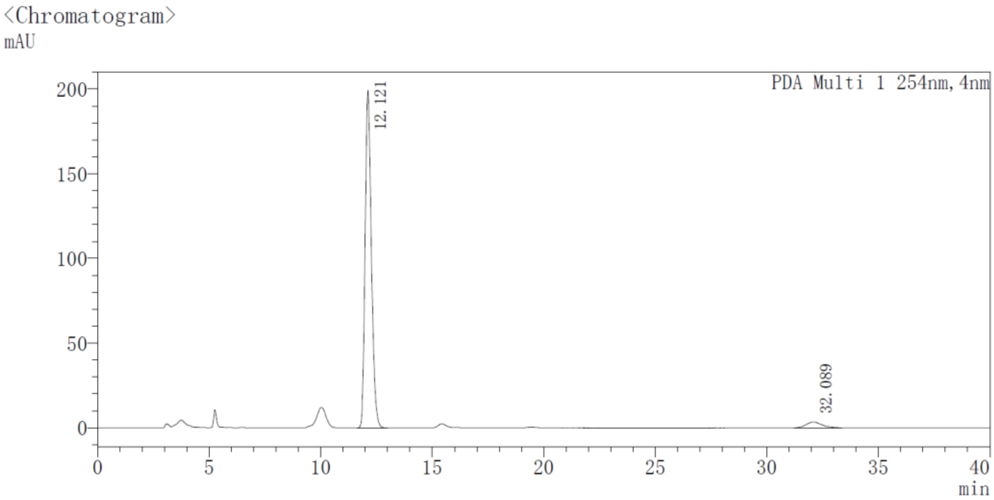


<Peak Table>

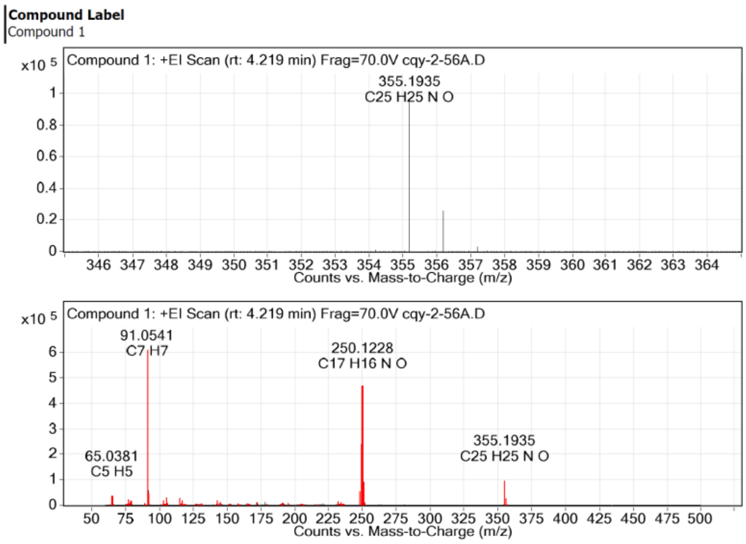
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	12.180	112087	71.869	2156377	50.042
2	31.947	43873	28.131	2152736	49.958
Total		155959	100.000	4309112	100.000



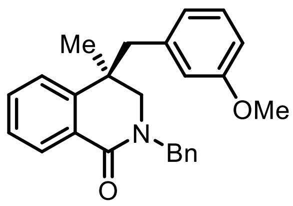
<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	12.121	199092	98.353	3873148	96.006
2	32.089	3333	1.647	161149	3.994
Total		202425	100.000	4034297	100.000



m/z	*Calc m/z*	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
355.1935	355.1931	-1.09	-0.39	96580.77	C25 H25 N O	M+	16.05
356.1961	356.1964	0.89	0.32	25689.65	C25 H25 N O	M+	4.27
357.1969	357.1995	7.34	2.62	2968.64	C25 H25 N O	M+	0.49

(*R*)-2-benzyl-4-(3-methoxybenzyl)-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (3l)

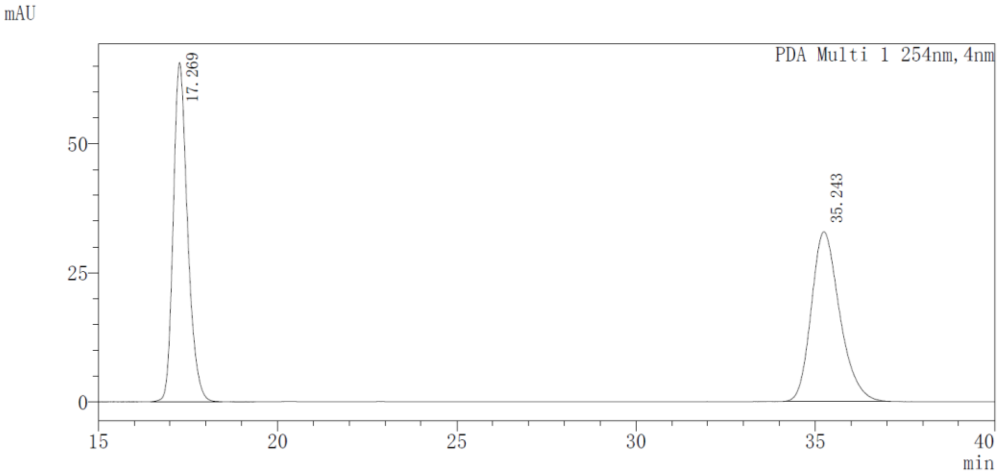


3l

Prepared according to typical procedure from **2l** (70.2 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes:

EA = 20:1-10:1) afforded the product **3l** as a yellow oil (50.5 mg, 68% yield) with 94% *ee*. **^{1}H NMR** (400 MHz, CDCl3) δ 8.30-8.26 (m, 1H), 7.43-7.28 (m, 7H), 7.11 (t, J = 7.9 Hz, 1H), 6.93-6.90 (m, 1H), 6.76 (dd, *J* = 8.2, 2.6 Hz, 1H), 6.37 (d, *J* = 7.5 Hz, 1H), 6.22 (t, *J* = 2.0 Hz, 1H), 5.02 (d, *J* = 14.4 Hz, 1H), 4.71 (d, *J* = 14.4 Hz, 1H), 3.66 (s, 3H), 3.43 (d, *J* = 12.6 Hz, 1H), 3.15 (d, *J* = 12.7 Hz, 1H), 2.89 (d, *J* = 13.2 Hz, 1H), 2.60 (d, *J* = 13.2 Hz, 1H), 1.25 (s, 3H); **^{13}C NMR** (101 MHz, CDCl3) δ 164.4, 158.9, 144.7, 138.3, 136.8, 131.5, 128.7, 128.6 (two peaks overlap), 128.5, 128.1, 127.5, 126.9, 125.0, 122.9, 115.8, 112.2, 55.9, 55.0, 50.8, 45.9, 38.0, 22.0. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{25}H_{25}NO_2$: 371.1885, found 371.1878. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 16.9 min (major), 34.4 min (minor). $[\alpha]_D^{20}$ = -155.1 (*c* = 0.5, $CHCl_3$).

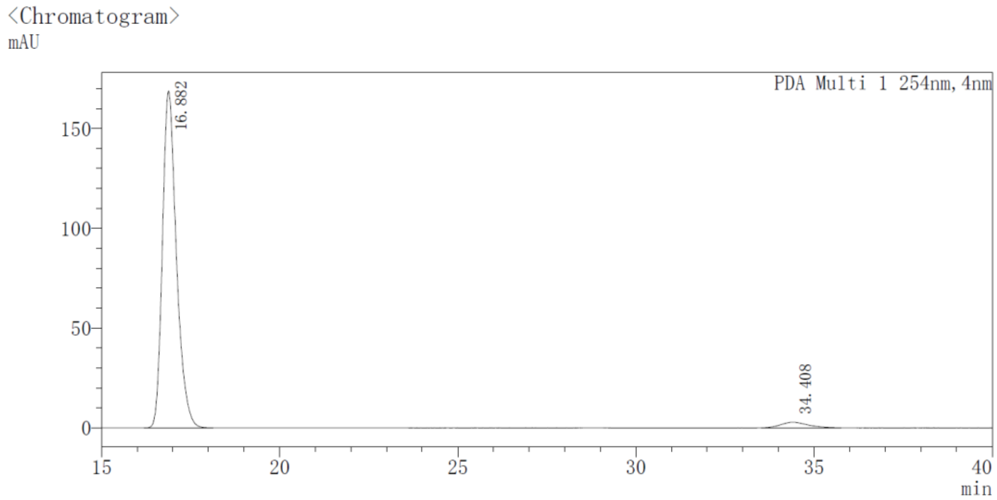
<Chromatogram>



<Peak Table>

PDA Ch1 254nm

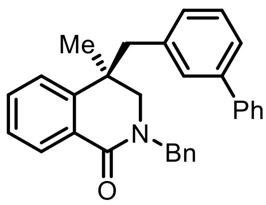
No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	17.269	65690	66.669	1824687	50.225
2	35.243	32842	33.331	1808349	49.775
Total		98532	100.000	3633035	100.000



<Peak Table>
PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	16.882	168702	98.383	4603359	96.946
2	34.408	2772	1.617	145037	3.054
Total		171474	100.000	4748396	100.000

(*R*)-4-([1,1'-biphenyl]-3-ylmethyl)-2-benzyl-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (3m)



3m

Prepared according to typical procedure from **2m** (84.1 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3m** as a yellow oil (70.8 mg, 85% yield) with 91% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.35-8.33 (m, 1H), 7.48-7.28 (m, 14H), 6.96-6.91 (m, 2H), 6.75-6.72 (m, 1H), 4.99 (d, *J* = 14.5 Hz, 1H), 4.78 (d, *J* = 14.4 Hz, 1H), 3.48 (d, *J* = 12.7 Hz, 1H), 3.21 (d, *J* = 12.6 Hz, 1H), 3.01 (d, *J* = 13.2 Hz, 1H), 2.69 (d, *J* = 13.2 Hz, 1H), 1.30 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 144.5, 140.8, 140.5, 137.2, 136.8, 131.5, 129.4, 129.3, 128.8, 128.6 (two peaks overlap), 128.6, 128.1, 128.1, 127.5, 127.2, 126.9 (two peaks overlap), 125.2, 125.0, 55.9, 50.8, 45.8, 38.0, 22.0. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{30}H_{27}NO$: 417.2093, found 417.2090. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 16.7 min (major), 28.9 min (minor). $[\alpha]_D^{20}$ = -158.4 (*c* = 0.5, $CHCl_3$).

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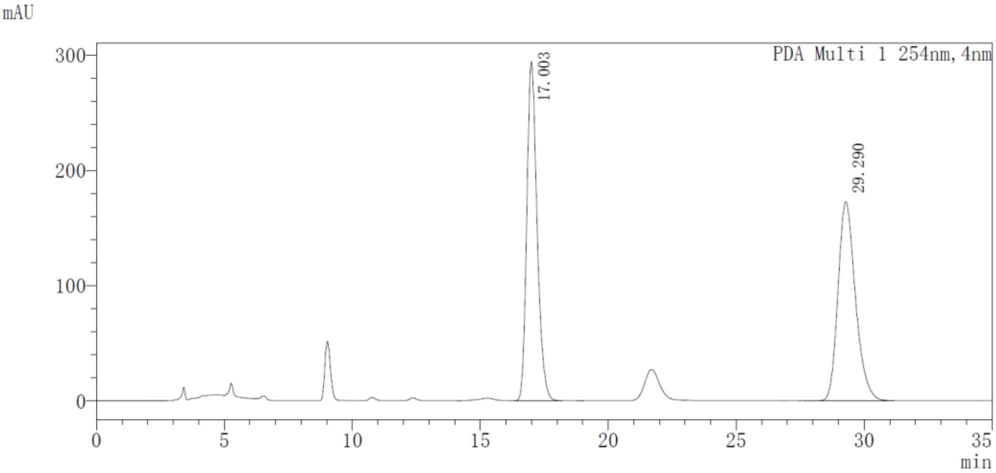

<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	17.003	294223	63.003	8191520	49.991
2	29.290	172776	36.997	8194623	50.009
Total		467000	100.000	16386143	100.000

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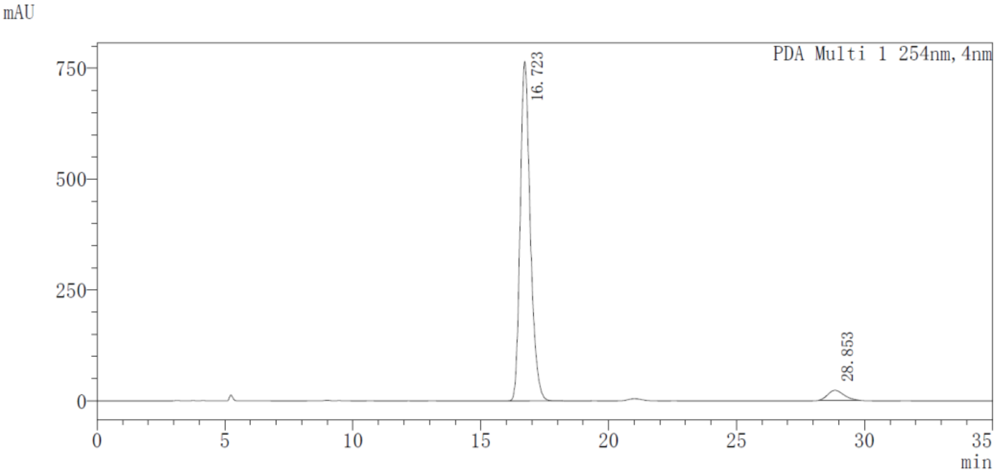
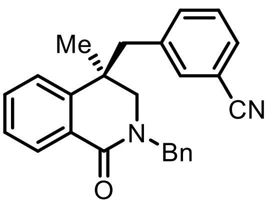


<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	16.723	765007	97.145	21059720	95.584
2	28.853	22482	2.855	973052	4.416
Total		787489	100.000	22032772	100.000

(*R*)-3-((2-benzyl-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)methyl) benzonitrile (3n)



3n

Prepared according to typical procedure from **2n** (68.7 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3n** as a yellow oil (59.6 mg, 81% yield) with 87% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.27 (dd, *J* = 7.5, 1.7 Hz, 1H), 7.48-7.28 (m, 8H), 7.21 (t, *J* = 7.7 Hz, 1H), 6.93 (t, *J* = 1.7 Hz, 1H), 6.77-6.71 (m, 2H), 4.89 (d, *J* = 14.4 Hz, 1H), 4.77 (d, *J* = 14.4 Hz, 1H), 3.50 (d, *J* = 12.8 Hz, 1H), 3.13 (d, *J* = 12.7 Hz, 1H), 2.91 (d, *J* = 13.2 Hz, 1H), 2.55 (d, *J* = 13.2 Hz, 1H), 1.21 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.1, 143.3, 138.3, 136.7, 134.8, 133.6, 131.6, 130.2, 128.9, 128.7, 128.6, 128.4, 128.0, 127.7, 127.4, 124.8, 118.6, 111.7, 55.8, 50.6, 45.2, 37.8, 21.7. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{25}H_{22}N_2O$: 366.1732, found 366.1729. HPLC (AD-H, 2-propanol /n-hexane = 15/85, flow rate = 1.0 mL/min, l = 254 nm) tR = 22.1 min (major), 43.6 min (minor). $[\alpha]_D{}^{20}$ = -175.9 (*c* = 0.5, $CHCl_3$).

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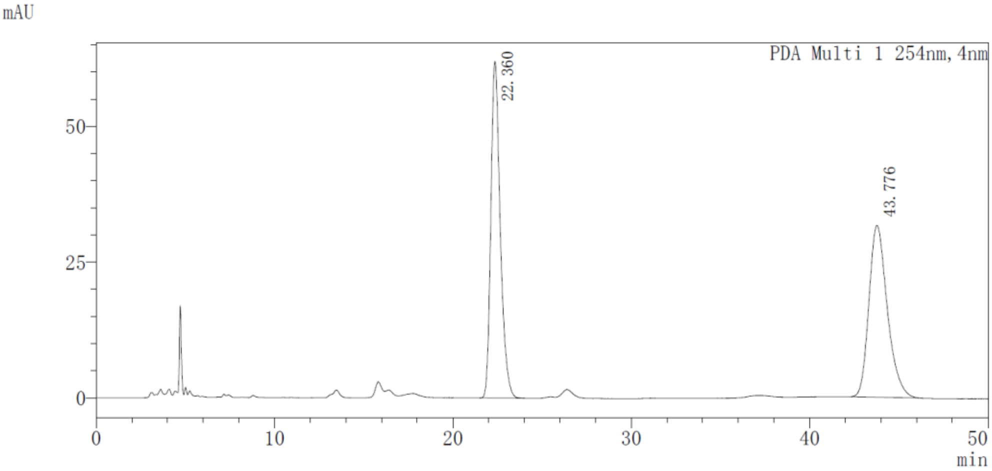
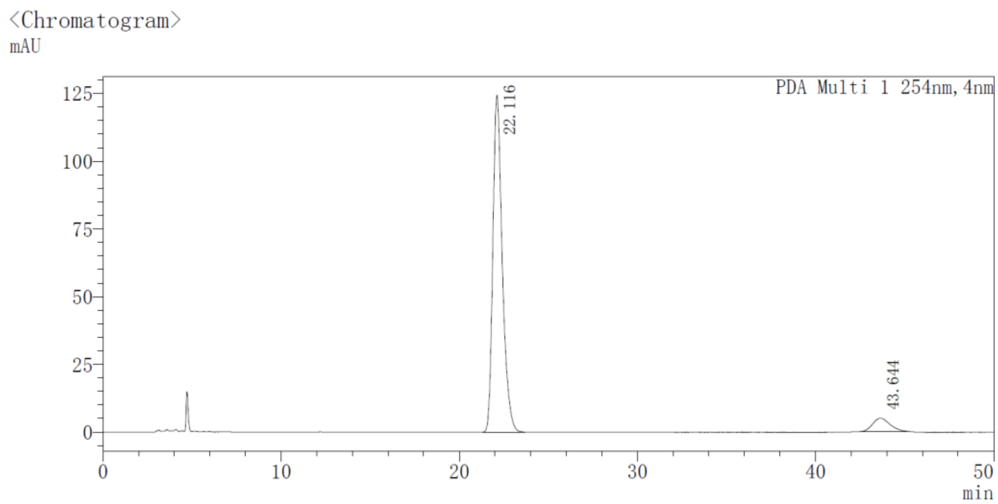


<Peak Table>

PDA Ch1 254nm

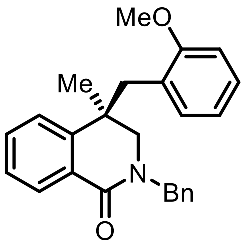
No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	22.360	61969	66.226	2272582	50.133
2	43.776	31603	33.774	2260526	49.867
Total		93572	100.000	4533108	100.000



<Peak Table>
PDA Ch1 254nm

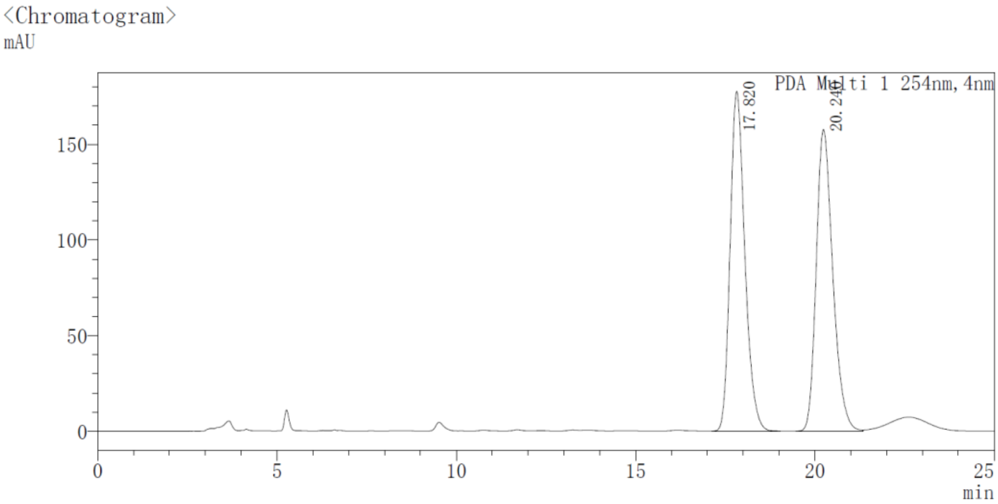
No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	22.116	124379	96.225	4566780	93.337
2	43.644	4880	3.775	326014	6.663
Total		129259	100.000	4892794	100.000

(*R*)-2-benzyl-4-(2-methoxybenzyl)-4-methyl-3,4-dihydroisoquinolin-1(2H)-one(3o)



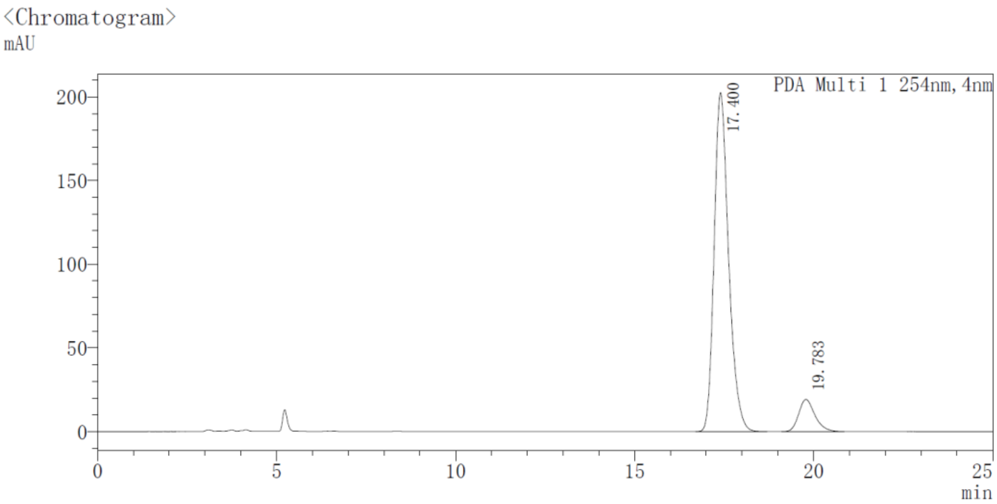
3o

Prepared according to typical procedure from **2o** (70.2 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3o** as a yellow oil (63.6 mg, 86% yield) with 81% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.28-8.23 (m, 1H), 7.42-7.28 (m, 7H), 7.21-7.16 (m, 1H), 6.96-6.91 (m, 1H), 6.80-6.74 (m, 2H), 6.62-6.59 (m, 1H), 4.99 (d, *J* = 14.5 Hz, 1H), 4.73 (d, *J* = 14.5 Hz, 1H), 3.56 (s, 3H), 3.41 (d, *J* = 12.6 Hz, 1H), 3.25 (d, *J* = 12.6 Hz, 1H), 3.14 (d, *J* = 13.0 Hz, 1H), 2.64 (d, *J* = 13.0 Hz, 1H), 1.24 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 157.8, 145.1, 137.0, 132.1, 131.2, 128.5 (two peaks overlap), 128.4, 128.3, 127.7, 127.4, 126.5, 125.5, 124.7, 119.6, 110.0, 56.2, 54.8, 50.8, 38.5, 38.3, 22.3. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{25}H_{25}NO_2$: 371.1885, found 371.1879. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 17.4 min (major), 19.8 min (minor). $[\alpha]_D^{20}$ = -124.6 (*c* = 0.5, $CHCl_3$).



<Peak Table>
PDA Ch1 254nm

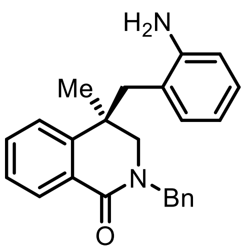
No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	17.820	177581	52.974	5066087	49.976
2	20.240	157644	47.026	5070919	50.024
Total		335225	100.000	10137007	100.000



<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	17.400	202480	91.362	5689154	90.456
2	19.783	19145	8.638	600235	9.544
Total		221625	100.000	6289389	100.000

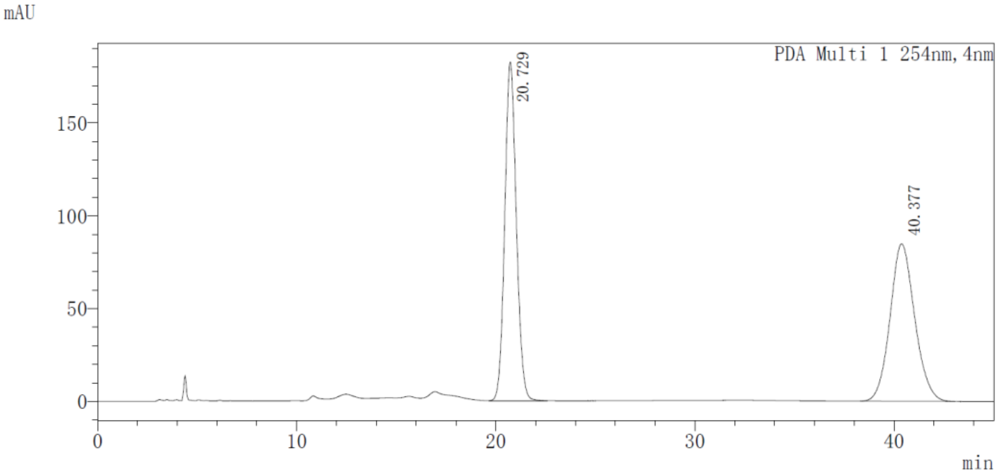
(*R*)-4-(2-aminobenzyl)-2-benzyl-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (3p)



3p

Prepared according to typical procedure from **2p** (65.7 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 15:1-5:1) afforded the product **3p** as a yellow oil (55.2 mg, 77% yield) with 90% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.27-8.25 (m, 1H), 7.44-7.27 (m, 7H), 7.05-7.00 (m, 1H), 6.96-6.93 (m, 1H), 6.70-6.64 (m, 2H), 6.55 (d, *J* = 7.9 Hz, 1H), 4.97 (d, *J* = 14.4 Hz, 1H), 4.78 (d, *J* = 14.5 Hz, 1H), 3.51 (d, *J* = 12.7 Hz, 1H), 3.24 (d, *J* = 12.7 Hz, 1H), 2.94 (d, *J* = 14.0 Hz, 1H), 2.79 (s, 2H), 2.46 (d, *J* = 14.1 Hz, 1H), 1.32 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 145.4, 144.6, 136.8, 132.8, 132.0, 128.9, 128.7 (two peaks overlap), 128.0, 127.8, 127.7, 127.3, 125.1, 121.5, 118.3, 116.3, 56.6, 51.0, 40.9, 38.4, 22.5. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{24}H_{24}N_2O$: 356.1889, found 356.1884. HPLC (AD-H, 2-propanol /n-hexane = 20/80, flow rate = 1.0 mL/min, l = 254 nm) tR = 20.7 min (major), 40.4 min (minor). $[\alpha]_D^{20}$ = -109.4 (*c* = 0.5, $CHCl_3$).

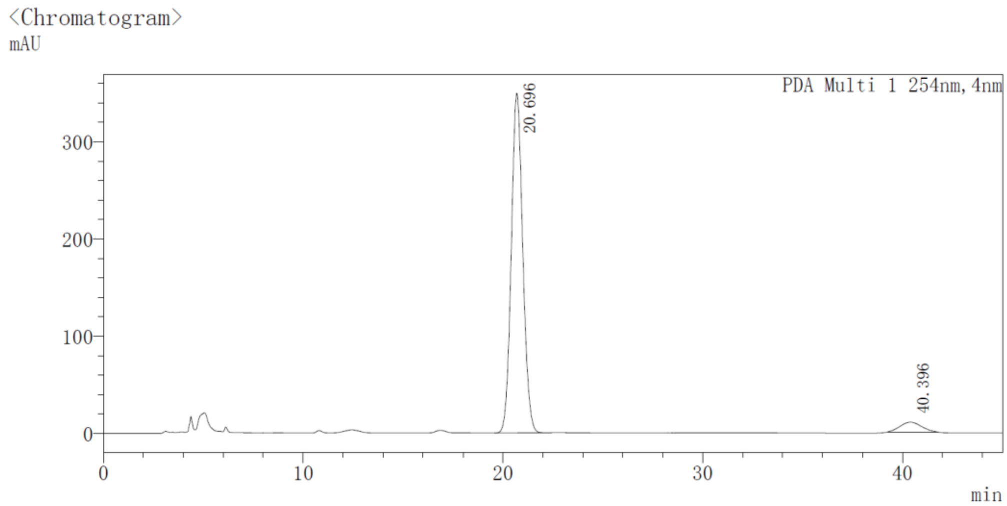
<Chromatogram>



<Peak Table>

PDA Ch1 254nm

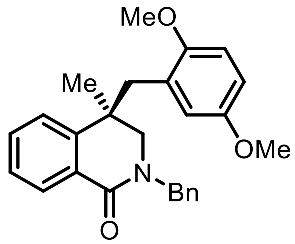
No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	20.729	182346	68.252	7345251	50.151
2	40.377	84820	31.748	7301082	49.849
Total		267165	100.000	14646334	100.000



<Peak Table>
PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	20.696	349461	97.180	13961938	94.889
2	40.396	10140	2.820	752024	5.111
Total		359601	100.000	14713963	100.000

(*R*)-2-benzyl-4-(2,5-dimethoxybenzyl)-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (3q)



3q

Prepared according to typical procedure from **2q** (79.2 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3q** as a yellow oil (79.4 mg, 99% yield) with 82% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.27-8.23 (m, 1H), 7.41-7.28 (m, 7H), 6.93-6.88 (m, 1H), 6.73-6.68 (m, 2H), 6.14 (d, *J* = 2.7 Hz, 1H), 5.04 (d, *J* = 14.5 Hz, 1H), 4.68 (d, *J* = 14.5 Hz, 1H), 3.61 (s, 3H), 3.55 (s, 3H), 3.43 (d, *J* = 12.6 Hz, 1H), 3.25 (d, *J* = 12.6 Hz, 1H), 3.05 (d, *J* = 13.0 Hz, 1H), 2.66 (d, *J* = 13.0 Hz, 1H), 1.25 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 152.6, 152.2, 145.0, 137.0, 131.3, 128.6, 128.6 (two peaks overlap), 128.5, 127.5, 126.7, 126.6, 125.1, 117.9, 112.6, 111.0, 56.7, 55.6, 55.5, 51.0, 38.8, 38.5, 22.4. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{26}H_{27}NO_3$: 401.1991, found 401.1991. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 20.1 min (major), 23.7 min (minor). $[\alpha]_D^{20}$ = -109.5 (*c* = 0.5, $CHCl_3$).

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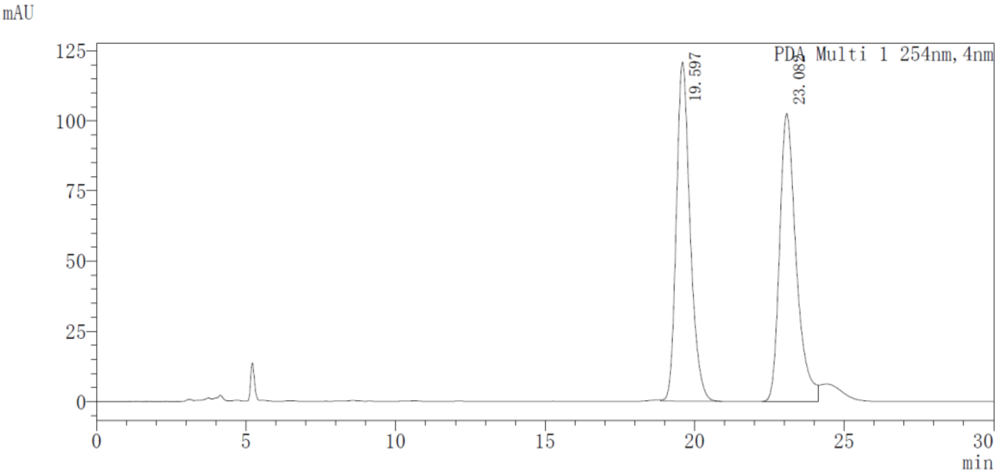

<Peak Table>

PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	19.597	120793	54.088	3871775	49.445
2	23.082	102532	45.912	3958719	50.555
Total		223325	100.000	7830495	100.000

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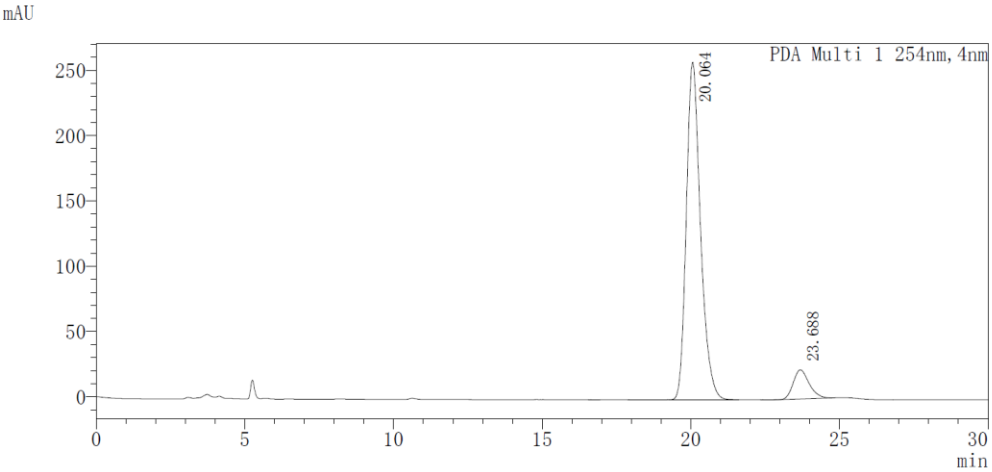
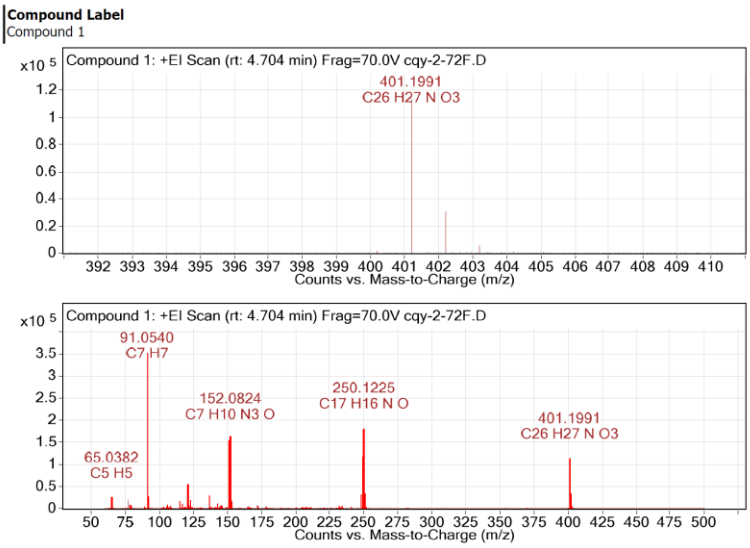


<Peak Table>

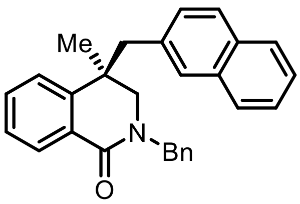
PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	20.064	258411	92.069	8559150	91.217
2	23.688	22259	7.931	824088	8.783
Total		280670	100.000	9383237	100.000



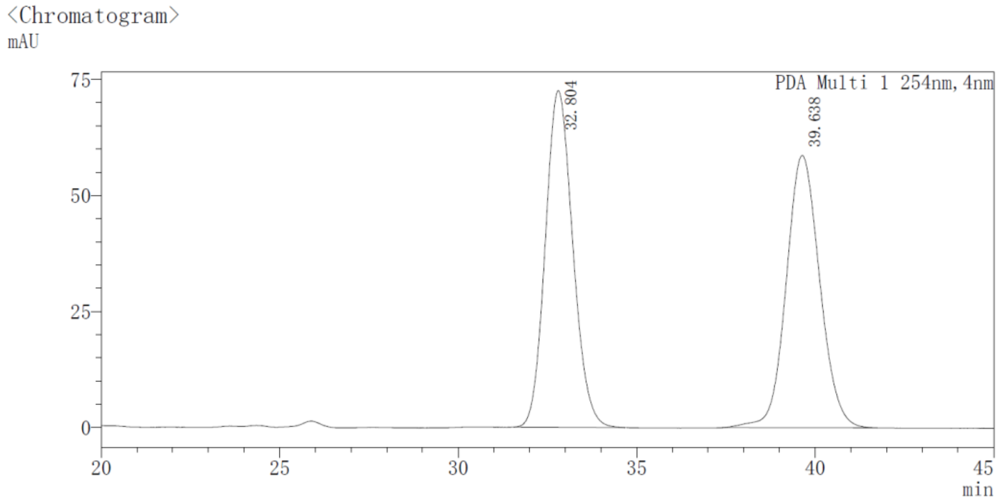
m/z	*Calc m/z*	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
401.1991	401.1985	-1.36	-0.54	113532.05	C26 H27 N O3	M+	32.55
402.2015	402.2019	0.81	0.33	30624.31	C26 H27 N O3	M+	8.78

(*R*)-2-benzyl-4-methyl-4-(naphthalen-2-ylmethyl)-3,4-dihydroisoquinolin-1(2H)-one (3r)



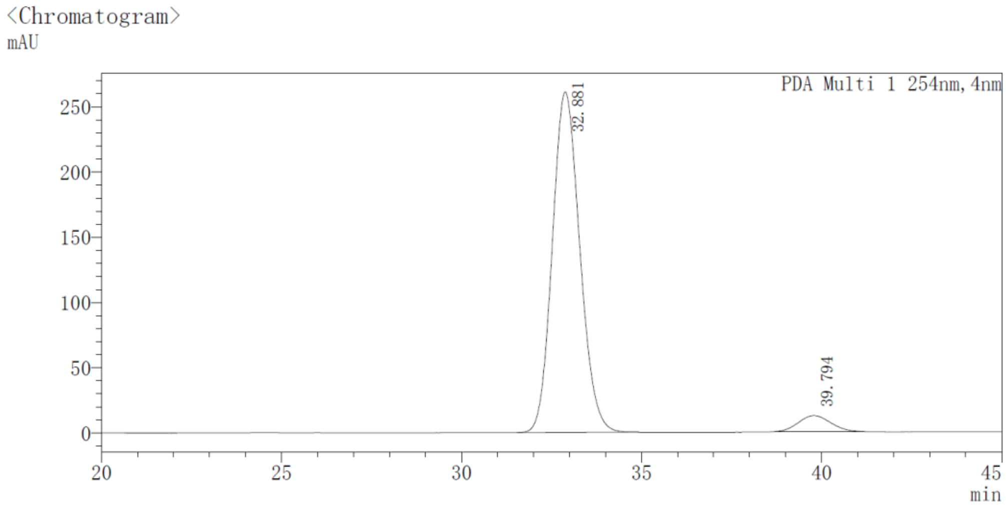
3r

Prepared according to typical procedure from **2r** (76.2 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3r** as a yellow oil (69.5 mg, 89% yield) with 90% *ee*. ^{1}**H NMR** (400 MHz, $CDCl_3$) δ 8.34 (dd, *J* = 7.7, 1.6 Hz, 1H), 7.84-7.81 (m, 1H), 7.71-7.65 (m, 2H), 7.51-7.30 (m, 9H), 7.21 (d, *J* = 1.7 Hz, 1H), 6.90 (dd, *J* = 7.7, 1.3 Hz, 1H), 6.83 (dd, *J* = 8.4, 1.8 Hz, 1H), 5.01 (d, *J* = 14.5 Hz, 1H), 4.81 (d, *J* = 14.4 Hz, 1H), 3.46 (d, *J* = 12.6 Hz, 1H), 3.21 (d, *J* = 12.7 Hz, 1H), 3.07 (d, *J* = 13.2 Hz, 1H), 2.81 (d, *J* = 13.2 Hz, 1H), 1.29 (s, 3H); ^{13}**C NMR** (101 MHz, $CDCl_3$) δ 164.5, 144.7, 136.9, 134.4, 132.9, 132.0, 131.6, 129.1, 128.9, 128.8, 128.6, 128.6, 128.1, 127.6, 127.5, 127.4, 127.0, 127.0, 125.9, 125.5, 124.9, 55.8, 50.9, 45.9, 38.2, 22.0. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{28}H_{25}NO$: 391.1936, found 391.1932. HPLC (IC, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 32.9 min (major), 39.8 min (minor). $[\alpha]_D^{20}$ = -213.3 (*c* = 0.5, $CHCl_3$).



<Peak Table>
PDA Ch1 254nm

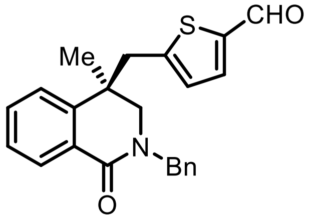
No.	Ret. Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	32.804	72553	55.285	3890441	50.337
2	39.638	58681	44.715	3838282	49.663
Total		131234	100.000	7728723	100.000



<Peak Table>
PDA Ch1 254nm

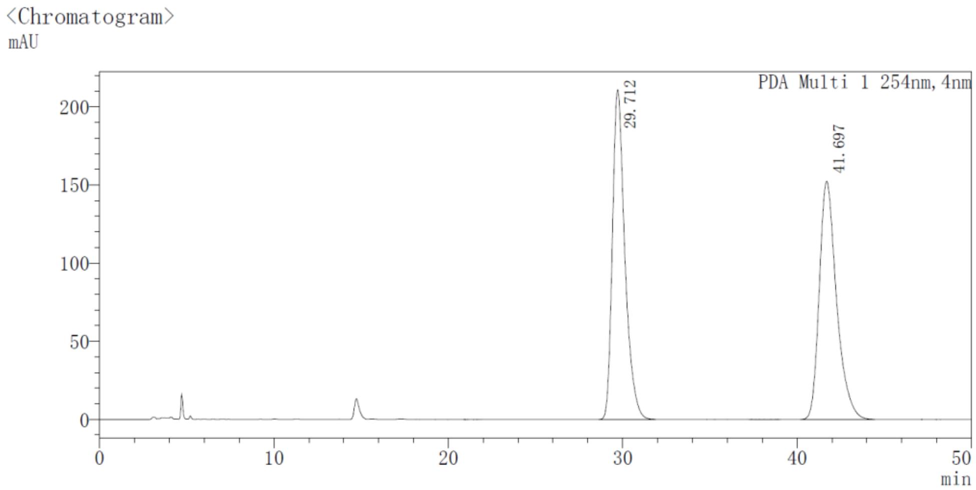
No.	Ret. Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	32.881	261040	95.504	13849306	94.777
2	39.794	12289	4.496	763184	5.223
Total		273329	100.000	14612490	100.000

(*R*)-5-((2-benzyl-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)methyl) thiophene-2-carbaldehyde (3s)



3s

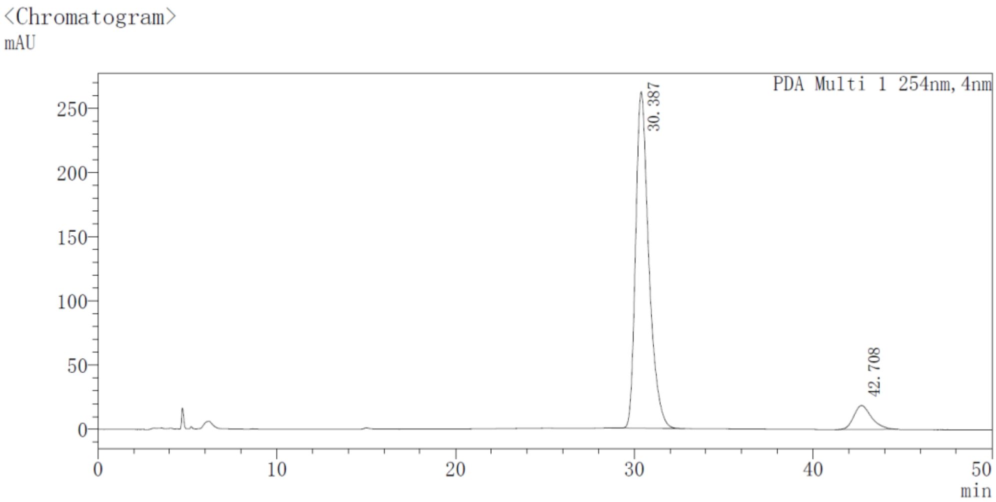
Prepared according to typical procedure from **2s** (71.4 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3s** as a yellow oil (67.6 mg, 90% yield) with 83% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.77 (s, 1H), 8.28-8.20 (m, 1H), 7.50 (d, *J* = 3.8 Hz, 1H), 7.46-7.27 (m, 7H), 7.07-7.05 (m, 1H), 6.31 (d, *J* = 3.8 Hz, 1H), 4.89 (d, *J* = 14.5 Hz, 1H), 4.75 (d, *J* = 14.4 Hz, 1H), 3.43 (d, *J* = 12.9 Hz, 1H), 3.19 (d, *J* = 12.8 Hz, 1H), 3.06 (d, *J* = 14.4 Hz, 1H), 2.94 (d, *J* = 14.3 Hz, 1H), 1.32 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 182.4, 164.1, 149.9, 143.5, 142.6, 136.6, 136.3, 131.9, 128.9 (two peaks overlap), 128.6, 128.6, 127.9, 127.6, 127.4, 124.4, 55.0, 50.6, 40.3, 37.9, 22.3. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{23}H_{21}NO_2S$: 375.1293, found 375.1287. HPLC (AD-H, 2-propanol /n-hexane = 15/85, flow rate = 1.0 mL/min, l = 254 nm) tR = 30.4 min (major), 42.7 min (minor). $[\alpha]_D^{20}$ = -176.7 (*c* = 0.5, $CHCl_3$).



<Peak Table>

PDA Ch1 254nm

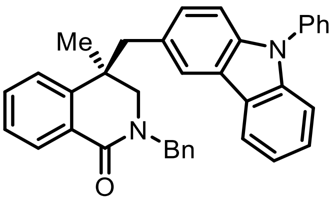
No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	29.712	210737	58.059	10478653	50.028
2	41.697	152234	41.941	10466794	49.972
Total		362971	100.000	20945447	100.000



<Peak Table>
PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	30.387	261902	93.365	13445602	91.250
2	42.708	18612	6.635	1289364	8.750
Total		280514	100.000	14734966	100.000

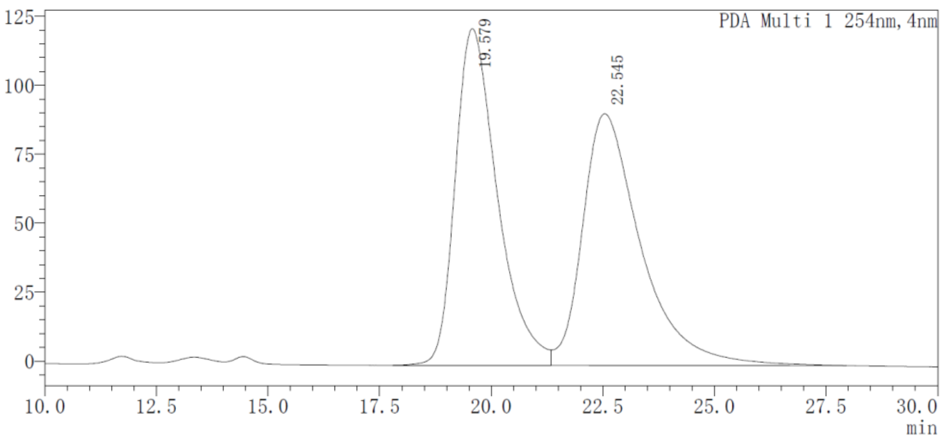
(*R*)-2-benzyl-4-methyl-4-((9-phenyl-9H-carbazol-3-yl)methyl)-3,4-dihydroisoquinolin-1(2H)-one (3t)



3t

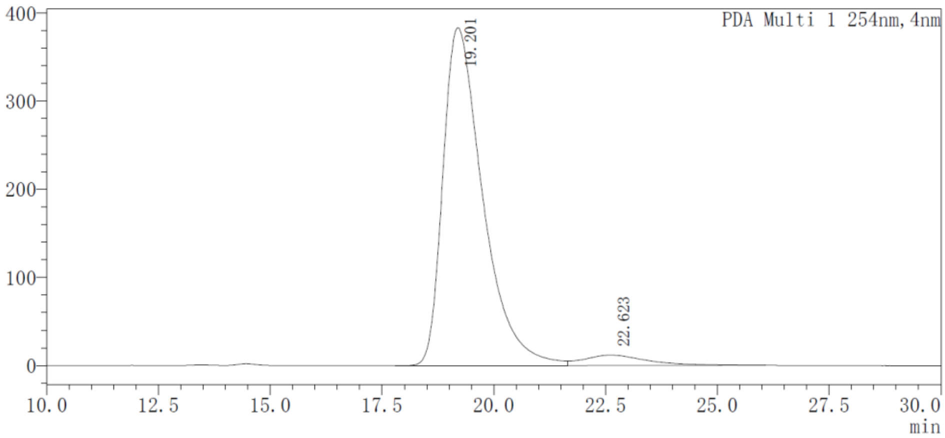
Prepared according to typical procedure from **2t** (110.8 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3t** as a white solid (92.1 mg, 91% yield) with 91% *ee*, m.p.: 79.5-80.1 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.36-8.34 (m, 1H), 8.06-8.03 (m, 1H), 7.66-7.55 (m, 5H), 7.52-7.24 (m, 12H), 6.95 (dd, *J* = 7.6, 1.3 Hz, 1H), 6.79 (dd, *J* = 8.4, 1.7 Hz, 1H), 5.13 (d, *J* = 14.4 Hz, 1H), 4.76 (d, *J* = 14.5 Hz, 1H), 3.48 (d, *J* = 12.6 Hz, 1H), 3.23 (d, *J* = 12.6 Hz, 1H), 3.12 (d, *J* = 13.5 Hz, 1H), 2.86 (d, *J* = 13.4 Hz, 1H), 1.31 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.6, 145.1, 140.9, 139.6, 137.6, 136.9, 131.5, 129.8, 128.8, 128.6, 128.6, 128.3, 128.1, 127.5 (two peaks overlap), 127.3, 126.9, 126.9, 125.9, 125.1, 123.1, 122.9, 121.9, 120.0, 119.9, 109.7, 108.9, 55.8, 51.0, 45.9, 38.3, 21.9. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{36}H_{30}N_2O$: 506.2358, found

506.2357. HPLC (OD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 19.2 min (major), 22.6 min (minor). $[\alpha]_D^{20}$ = -180.6 (c = 0.5, $CHCl_3$).



<Peak Table>
PDA Ch1 254nm

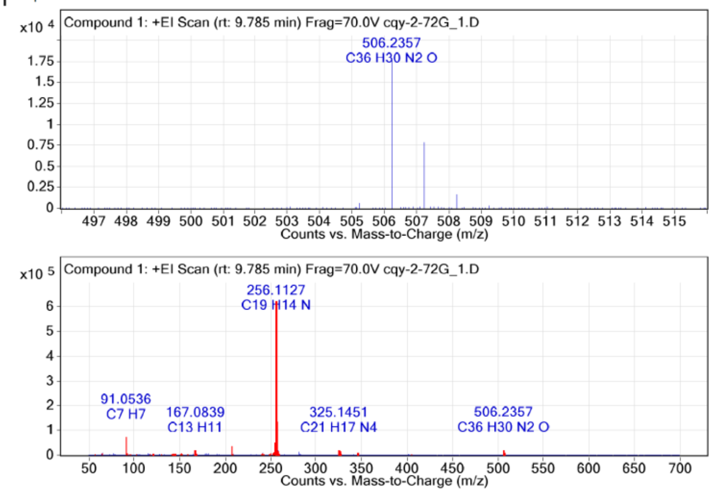
No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	19.579	122008	57.218	8028087	49.201
2	22.545	91227	42.782	8288863	50.799
Total		213235	100.000	16316950	100.000



<Peak Table>
PDA Ch1 254nm

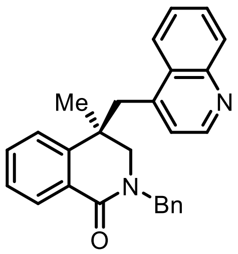
No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	19.201	383575	97.038	23818711	95.408
2	22.623	11707	2.962	1146392	4.592
Total		395282	100.000	24965103	100.000

Compound Label
Compound 1



m/z	*Calc m/z*	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
506.2357	506.2353	-0.89	-0.45	17699.75	C36 H30 N2 O	M+	2.94
507.2405	507.2385	-3.83	-1.94	7813.19	C36 H30 N2 O	M+	1.3
508.2437	508.2417	-3.93	-2	1617.42	C36 H30 N2 O	M+	0.27

(*R*)-2-benzyl-4-methyl-4-(quinolin-4-ylmethyl)-3,4-dihydroisoquinolin-1(2H)-one (3u)



3u

Prepared according to typical procedure from **2u** (76.6 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3u** as a yellow oil (72.3 mg, 92% yield) with 85% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.66 (d, *J* = 4.5 Hz, 1H), 8.28 (dd, *J* = 7.8, 1.5 Hz, 1H), 8.06 (dd, *J* = 8.5, 1.3 Hz, 1H), 7.64-7.60 (m, 1H), 7.54-7.52 (m, 1H), 7.42-7.28 (m, 7H), 7.19-7.14 (m, 1H), 6.62-6.59 (m, 2H), 4.83 (s, 2H), 3.56 (d, *J* = 12.7 Hz, 1H), 3.48 (d, *J* = 13.4 Hz, 1H), 3.27 (d, *J* = 12.7 Hz, 1H), 2.95 (d, *J* = 13.4 Hz, 1H), 1.26 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 149.1, 148.2, 143.5, 143.2, 136.7, 131.6, 129.9, 129.0, 128.8, 128.7, 128.7, 128.4, 128.2, 127.8, 127.3, 126.1, 125.0, 123.6, 123.6, 56.6, 50.7, 40.3, 38.5, 22.6. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{27}H_{24}N_2O$: 392.1889, found 392.1888. HPLC (AD-H, 2-propanol /n-hexane = 15/85, flow rate = 1.0 mL/min, l = 254 nm) tR = 18.7 min (major), 24.2 min (minor). $[\alpha]_D^{20}$ = -120.2 (*c* = 0.5, $CHCl_3$).

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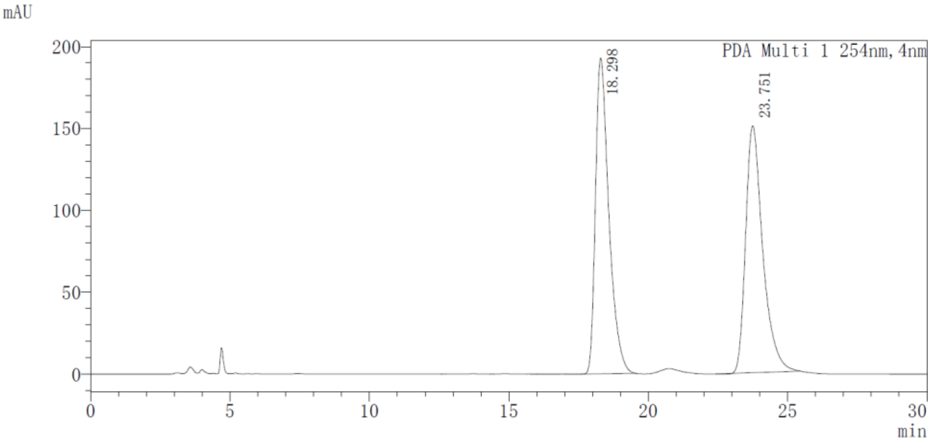

<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	18.298	192779	56.110	6446466	49.986
2	23.751	150796	43.890	6450056	50.014
Total		343575	100.000	12896522	100.000

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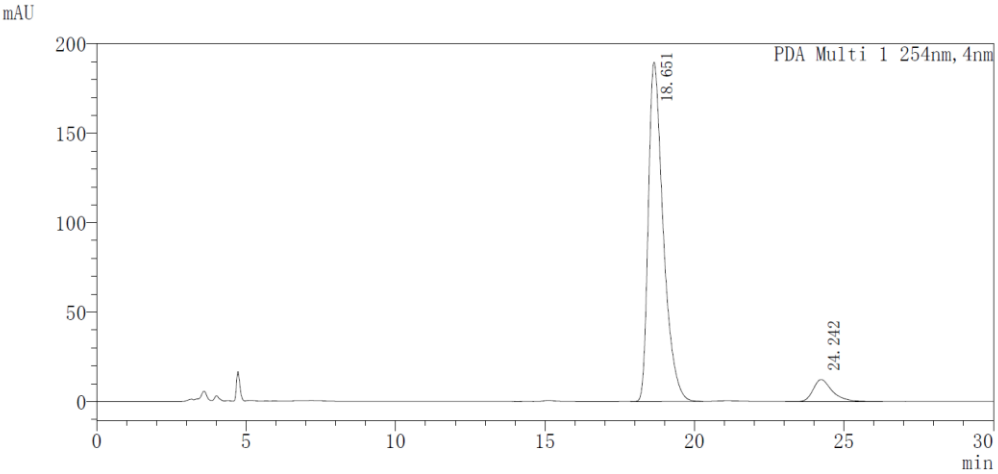
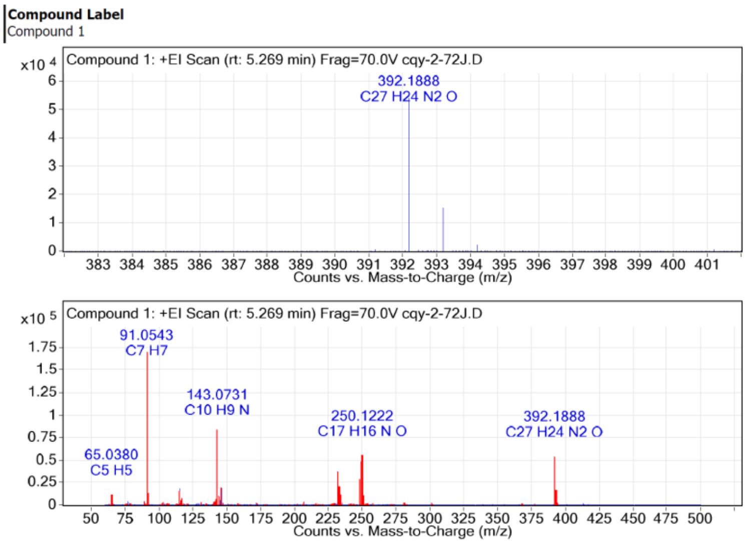


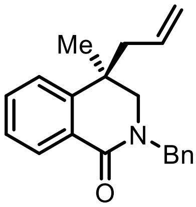
<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	18.651	189683	93.916	6582606	92.406
2	24.242	12289	6.084	540986	7.594
Total		201972	100.000	7123592	100.000



m/z	*Calc m/z*	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
392.1888	392.1883	-1.16	-0.45	53864.84	C27 H24 N2 O	M+	31.71
393.1924	393.1915	-2.28	-0.9	15284.44	C27 H24 N2 O	M+	9
394.1943	394.1947	1.04	0.41	2172.49	C27 H24 N2 O	M+	1.28

(*R*)-4-allyl-2-benzyl-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (3v)



3v

Prepared according to typical procedure from **2v** (46.2 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3v** as a yellow oil (62.9 mg, 99% yield) with 81% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.22 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.51-7.47 (m, 1H), 7.40-7.30 (m, 6H), 7.25 (dd, *J* = 7.7, 1.2 Hz, 1H), 5.54-5.43 (m, 1H), 5.03-4.99 (m, 1H), 4.92-4.84 (m, 2H), 4.69 (d, *J* = 14.4 Hz, 1H), 3.32 (d, *J* = 12.6 Hz, 1H), 3.21 (d, *J* = 12.6 Hz, 1H), 2.28-2.25 (m, 2H), 1.24 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.2, 145.3, 136.9, 133.2 (two peaks overlap), 131.8, 128.7, 128.5, 128.1, 127.5, 126.8, 124.1, 118.6, 54.9, 50.6, 43.7, 36.8, 22.8. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{20}H_{21}NO$: 291.1623, found 291.1622. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 9.8 min (major), 14.7 min (minor). $[\alpha]_D^{20}$ = -80.7 (*c* = 0.5, $CHCl_3$).

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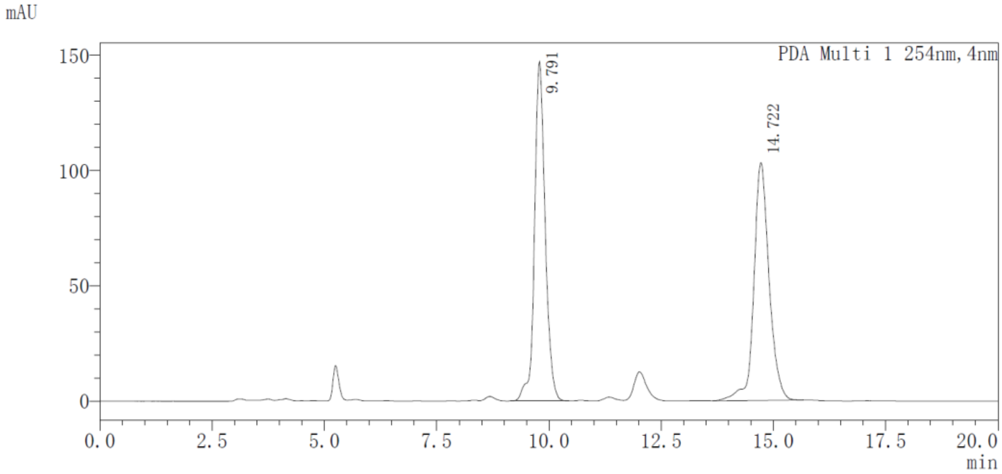

<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	9.791	147126	58.781	2436885	50.014
2	14.722	103170	41.219	2435507	49.986
Total		250296	100.000	4872392	100.000

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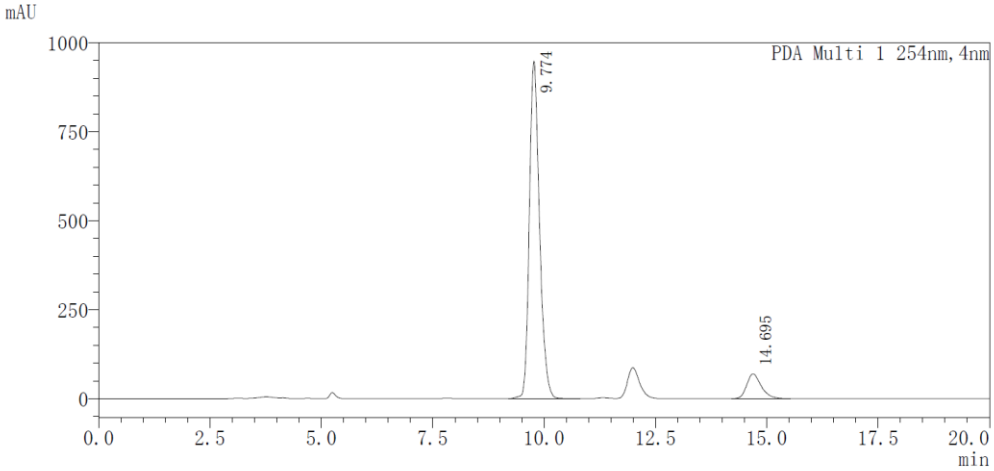
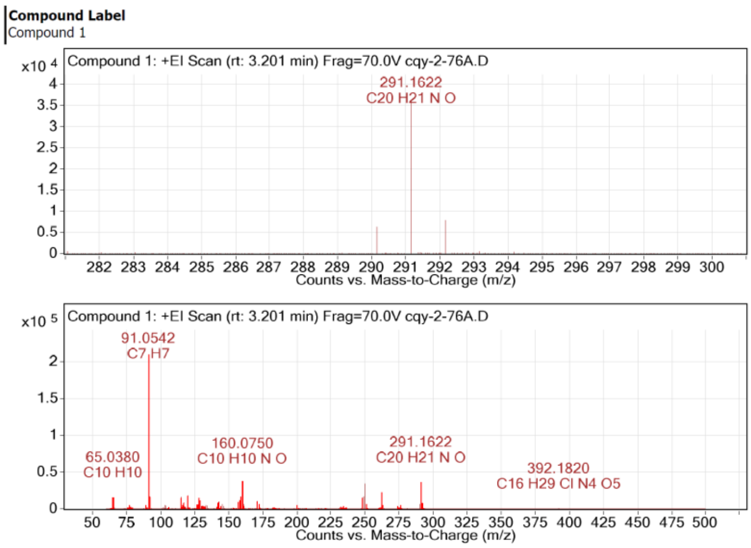


<Peak Table>

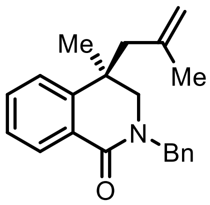
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	9.774	947252	93.192	14987954	90.593
2	14.695	69205	6.808	1556323	9.407
Total		1016457	100.000	16544276	100.000



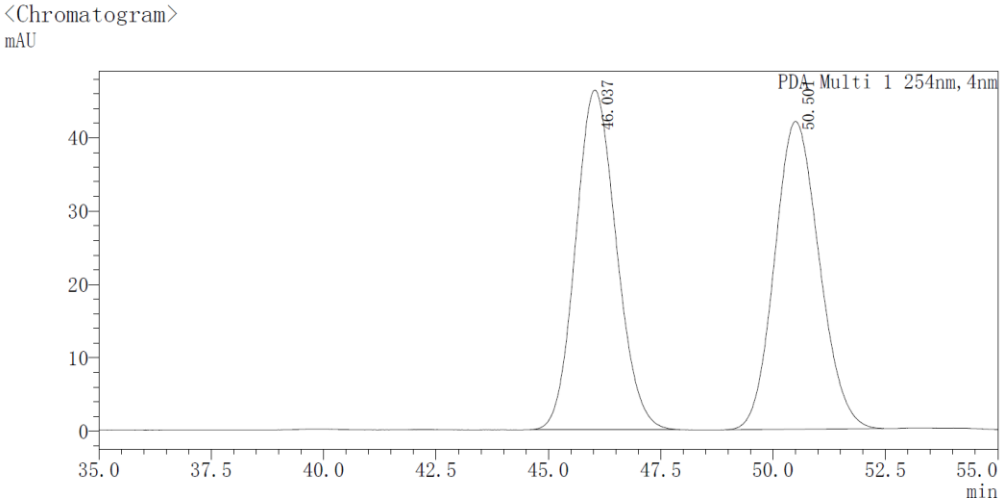
m/z	*Calc m/z*	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
291.1622	291.1618	-1.64	-0.48	36404.43	C20 H21 N O	M+	17.4
292.1645	292.1651	1.87	0.55	7839.3	C20 H21 N O	M+	3.75

(*R*)-2-benzyl-4-methyl-4-(2-methylallyl)-3,4-dihydroisoquinolin-1(2H)-one (3w)



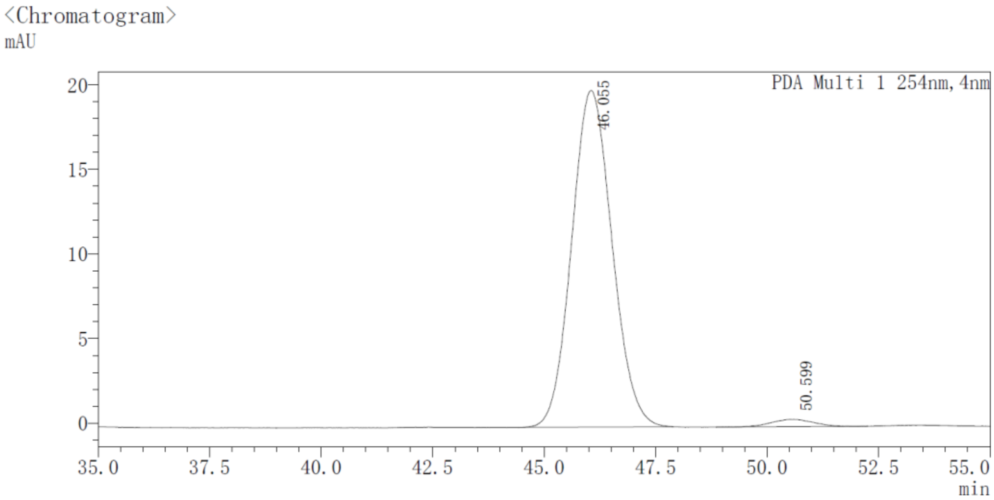
3w

Prepared according to typical procedure from **2w** (100.8 mg, 0.6 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3w** as a yellow oil (31.5 mg, 52% yield) with 96% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.21 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.49-7.45 (m, 1H), 7.40-7.24 (m, 7H), 5.07 (d, *J* = 14.5 Hz, 1H), 4.87-4.85 (m, 1H), 4.56 (d, *J* = 14.5 Hz, 1H), 4.49-4.48 (m, 1H), 3.38 (d, *J* = 12.6 Hz, 1H), 3.20 (d, *J* = 12.6 Hz, 1H), 2.31 (d, *J* = 13.2 Hz, 1H), 2.20 (d, *J* = 13.3 Hz, 1H), 1.40 (s, 3H), 1.30 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 145.3, 141.7, 136.9, 131.8, 128.7 (two peaks overlap), 128.6, 128.5, 127.5, 126.9, 124.6, 115.6, 56.0, 50.9, 47.0, 37.3, 24.7, 23.3. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{21}H_{23}NO$: 305.1780, found 305.1774. HPLC (IC, 2-propanol /n-hexane = 5/95, flow rate = 0.8 mL/min, l = 254 nm) tR = 46.1 min (major), 50.6 min (minor). $[\alpha]_D^{20}$ = -53.8 (*c* = 0.5, $CHCl_3$).



<Peak Table>
PDA Ch1 254nm

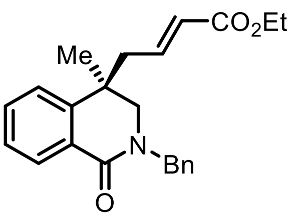
No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	46.037	46313	52.463	2921061	50.142
2	50.501	41964	47.537	2904510	49.858
Total		88277	100.000	5825571	100.000



<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	46.055	19886	97.885	1254182	97.778
2	50.599	430	2.115	28501	2.222
Total		20315	100.000	1282683	100.000

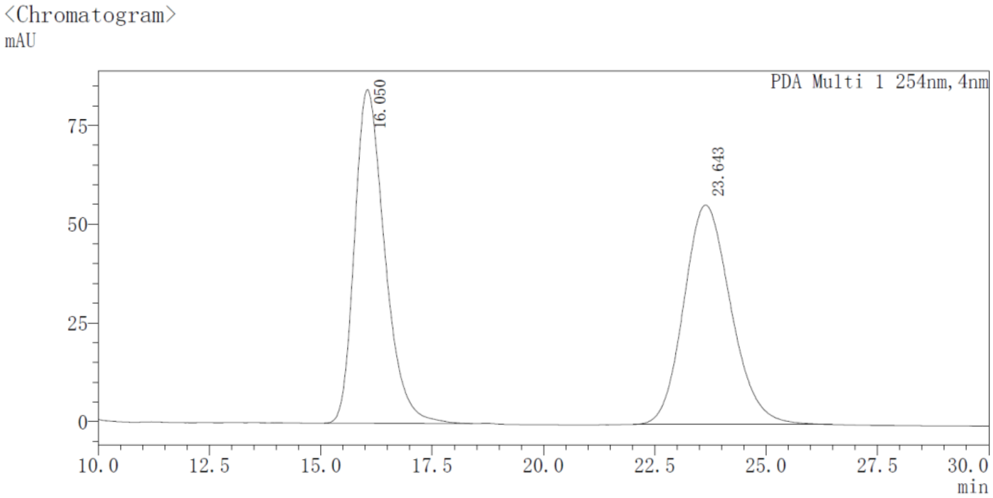
Ethyl (*R*,*E*)-4-(2-benzyl-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)but-2-enoate (3x)



3x

Prepared according to typical procedure from **2x** (67.8 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3x** as a yellow oil (59.6 mg, 82% yield) with 81% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.21 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.51-7.47 (m, 1H), 7.42-7.26 (m, 6H), 7.24-7.21 (m, 1H), 6.62-6.54 (m, 1H), 5.61-5.56 (m, 1H), 4.83 (d, *J* = 14.4 Hz, 1H), 4.71 (d, *J* = 14.4 Hz, 1H), 4.19-4.13 (m, 2H), 3.35 (d, *J* = 12.8 Hz, 1H), 3.18 (d, *J* = 12.7 Hz, 1H), 2.37-2.33 (m, 2H), 1.29 (t, *J* = 7.1 Hz, 3H), 1.26 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 165.8, 164.0, 144.4, 143.2, 136.7, 132.1, 128.9, 128.6, 128.5, 128.0, 127.6, 127.1, 124.7, 123.9, 60.2, 54.9, 50.5, 41.7, 37.1, 22.7, 14.1. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{23}H_{25}NO_3$: 363.1834, found 363.1827. HPLC (OJ-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 15.9 min (major), 23.7 min (minor). $[\alpha]_D{}^{20}$ = -112.5 (*c* = 0.5, $CHCl_3$).

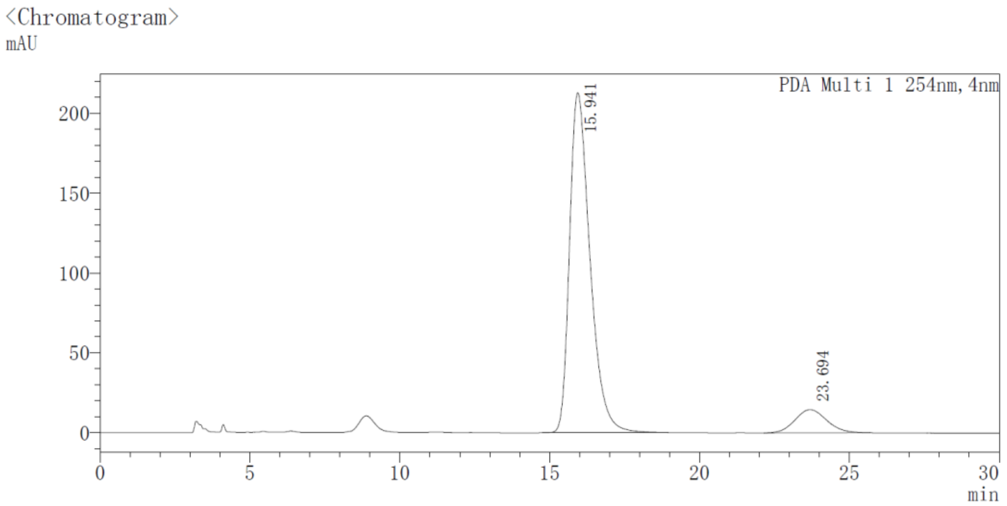
<Chromatogram>



<Peak Table>

PDA Ch1 254nm

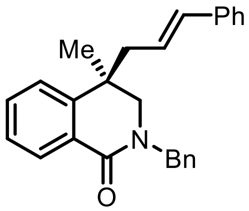
No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	16.050	84482	60.320	3991339	49.359
2	23.643	55575	39.680	4095085	50.641
Total		140057	100.000	8086424	100.000



<Peak Table>
PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	15.941	213009	93.622	10109515	90.466
2	23.694	14512	6.378	1065378	9.534
Total		227521	100.000	11174893	100.000

(*R*)-2-benzyl-4-cinnamyl-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (3y)



3y

Prepared according to typical procedure from **2y** (69.0 mg, 0.3 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3y** as a yellow oil (69.0 mg, 96% yield) with 84% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.28 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.55-7.51 (m, 1H), 7.44-7.30 (m, 9H), 7.27-7.22 (m, 3H), 6.22 (d, *J* = 15.7 Hz, 1H), 5.84-5.77 (m, 1H), 4.89 (d, *J* = 14.4 Hz, 1H), 4.77 (d, *J* = 14.4 Hz, 1H), 3.39 (d, *J* = 12.6 Hz, 1H), 3.27 (d, *J* = 12.6 Hz, 1H), 2.43-2.37 (m, 2H), 1.31 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.2, 145.3, 137.0, 133. 5, 131.9, 128.8, 128.6, 128.6, 128.4 (two peaks overlap), 128.1, 127.6, 127.2, 126.9, 126.0, 124.8, 124.1, 54.8, 50.5, 42.9, 37.4, 22.6. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{26}H_{25}NO$: 367.1936, found 367.1934. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 15.7 min (major), 18.3 min (minor). $[\alpha]_D^{20}$ = -115.8 (*c* = 0.5, $CHCl_3$).

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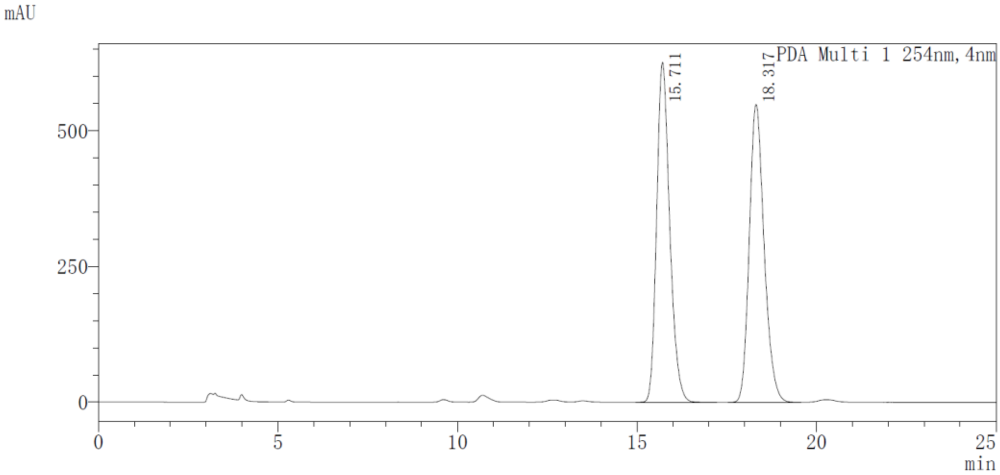

<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	15.711	626187	53.283	15817989	50.045
2	18.317	549019	46.717	15789445	49.955
Total		1175205	100.000	31607434	100.000

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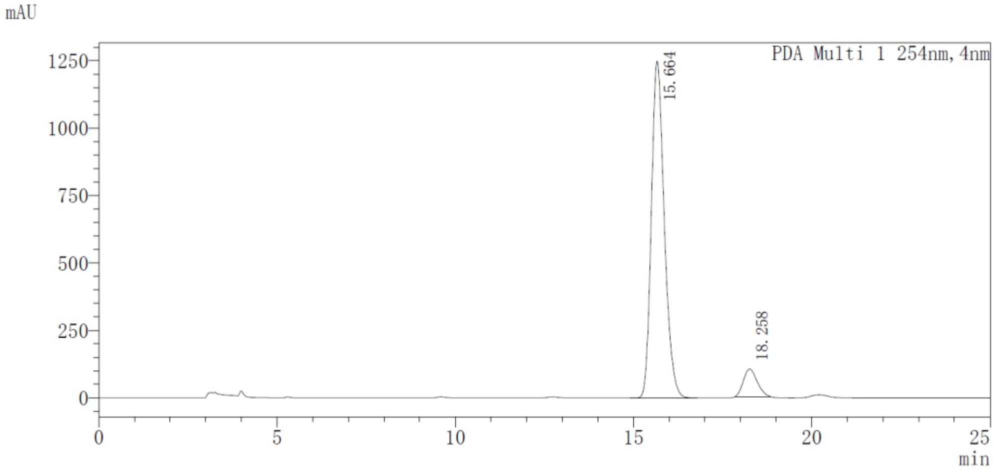
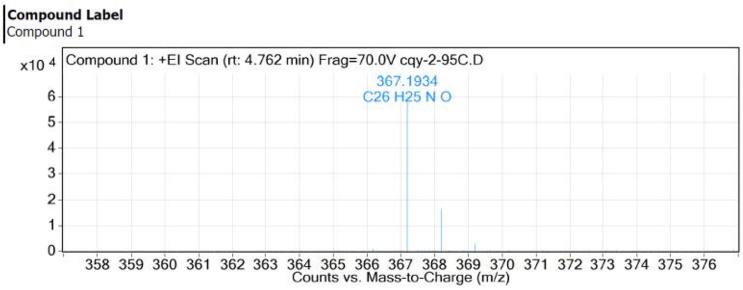
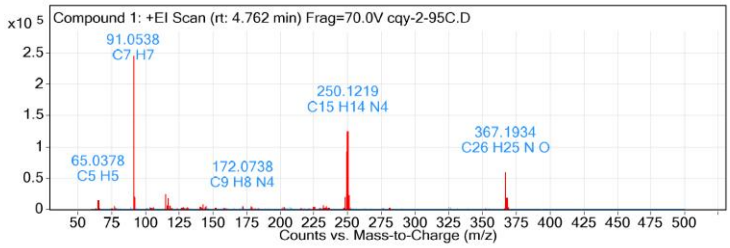


<Peak Table>

PDA Ch1 254nm

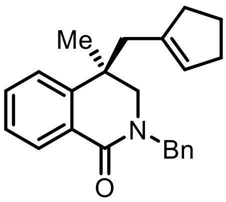
No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	15.664	1247520	92.408	31521365	91.989
2	18.258	102488	7.592	2745036	8.011
Total		1350008	100.000	34266401	100.000





m/z	*Calc m/z*	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
367.1934	367.1931	-0.97	-0.36	59227.7	C26 H25 N O	M+	24.23
368.1955	368.1964	2.37	0.87	16303.29	C26 H25 N O	M+	6.67
369.1982	369.1996	3.81	1.41	2750.52	C26 H25 N O	M+	1.13

(*R*)-2-benzyl-4-(cyclopent-1-en-1-ylmethyl)-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (3z)



3z

Prepared according to typical procedure from **2z** (116.4 mg, 0.6 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3z** as a yellow oil (45.7 mg, 69% yield) with 90% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.21 (dd, *J* = 7.7, 1.6 Hz, 1H), 7.48-7.44 (m, 1H), 7.39-7.33 (m, 5H), 7.31-7.27 (m, 1H), 7.25-7.23 (m, 1H), 5.17 (t, *J* = 2.1 Hz, 1H), 5.04 (d, *J* = 14.5 Hz, 1H), 4.57 (d, *J* = 14.5 Hz, 1H), 3.33 (d, *J* = 12.6 Hz, 1H), 3.23 (d, *J* = 12.6 Hz, 1H), 2.34 (s, 2H), 2.26-2.21 (m, 2H), 2.02-1.92 (m, 1H), 1.81-1.66 (m, 3H), 1.26 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 145.7, 140.2, 137.0, 131.7, 129.4, 128.7 (two peaks overlap), 128.6, 128.1, 127.5, 126.8, 124.4, 55.7, 50.9, 40.6, 37.3, 37.1, 32.5, 24.0, 23.8. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{23}H_{25}NO$: 331.1936, found 331.1933. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 11.8 min (major), 19.1 min (minor). $[\alpha]_D^{20}$ = -107.3 (*c* = 0.5, $CHCl_3$).

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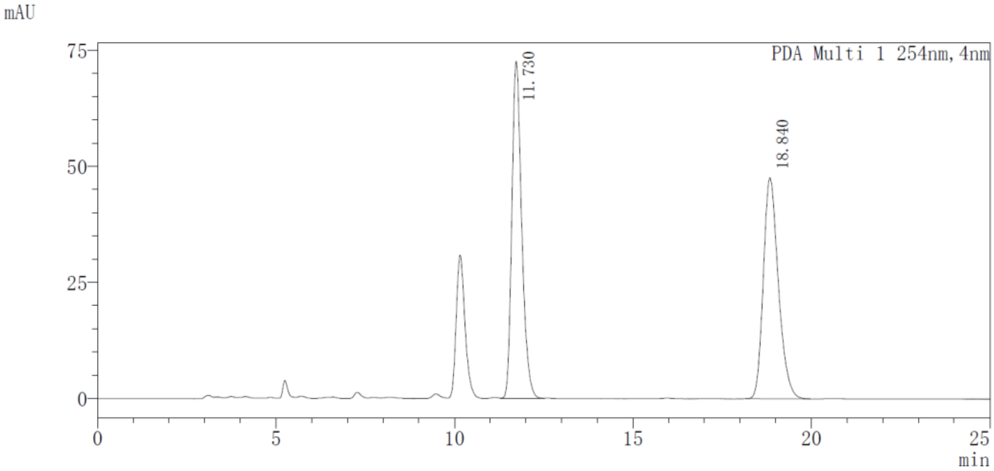

<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	11.730	72563	60.387	1389233	49.927
2	18.840	47601	39.613	1393272	50.073
Total		120164	100.000	2782505	100.000

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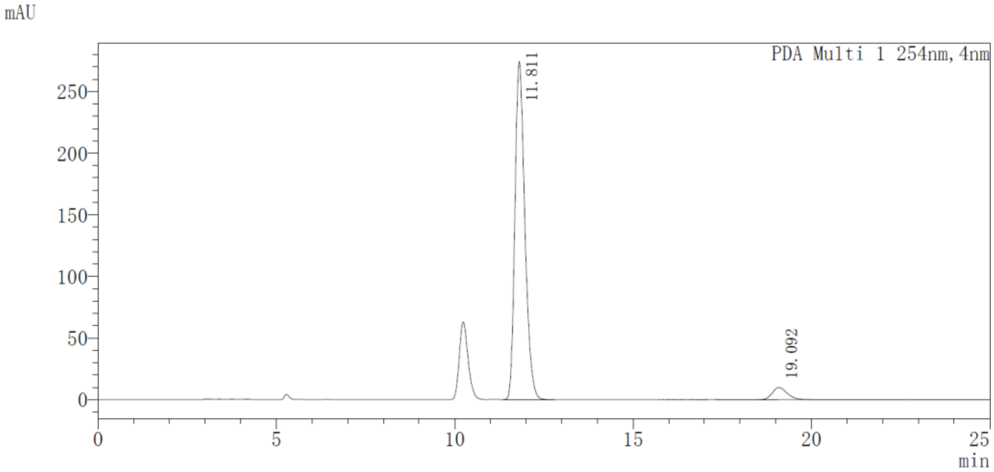
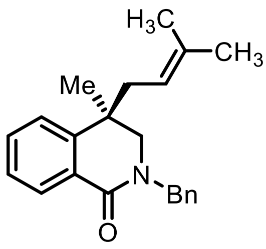


<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	11.811	274204	96.519	5306246	94.819
2	19.092	9889	3.481	289930	5.181
Total		284094	100.000	5596176	100.000

(*R*)-2-benzyl-4-methyl-4-(3-methylbut-2-en-1-yl)-3,4-dihydroisoquinolin-1(2H)-one (3aa)



3aa

Prepared according to typical procedure from **2aa** (109.2 mg, 0.6 mmol), *N*-allyl carboxamide **1a** (78.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **3aa** as a yellow oil (51.0 mg, 80% yield) with 87% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.20 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.50-7.46 (m, 1H), 7.39-7.28 (m, 6H), 7.24-7.22 (m, 1H), 4.89 (d, *J* = 14.5 Hz, 1H), 4.86-4.82 (m, 1H), 4.70 (d, *J* = 14.4 Hz, 1H), 3.32-3.21 (m, 2H), 2.26-2.13 (m, 2H), 1.64 (d, *J* = 1.4 Hz, 3H), 1.42 (d, *J* = 1.4 Hz, 3H), 1.21 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 145.7, 137.0, 135.0, 131.7, 128.7, 128.6, 128.6, 128.2, 127.5, 126.6, 124.2, 118.9, 55.2, 50.7, 37.6, 25.9, 22.9, 17.67. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{22}H_{25}NO$: 319.1936, found 319.1934. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 9.5 min (major), 15.3 min (minor). $[\alpha]_D{}^{20}$ = -116.6 (*c* = 0.5, $CHCl_3$).

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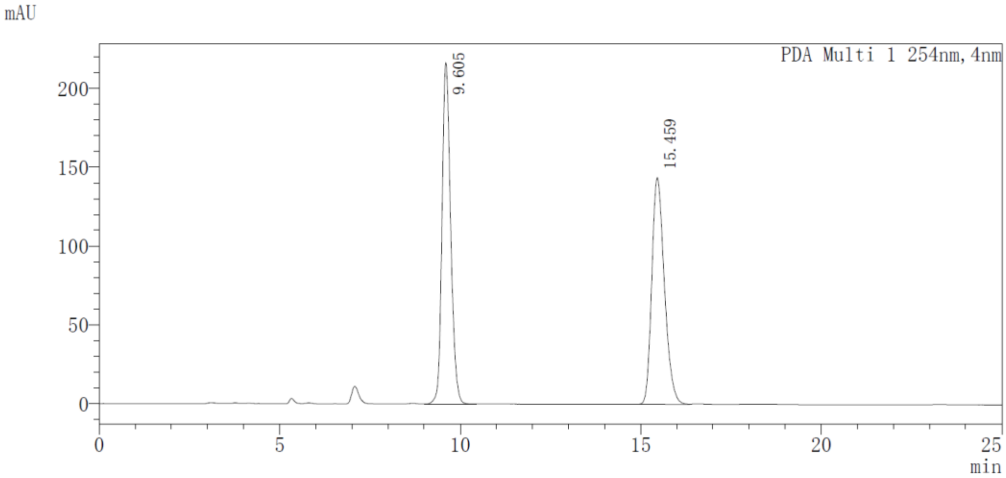
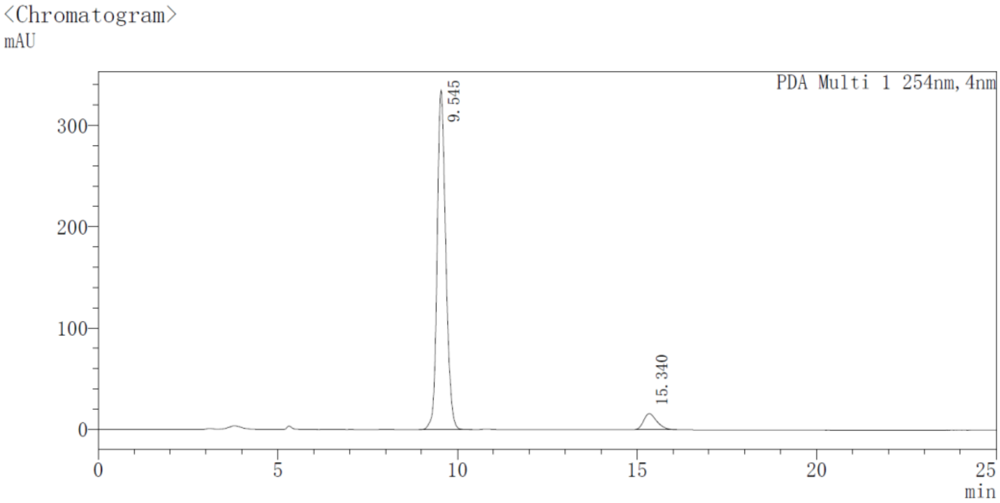


<Peak Table>

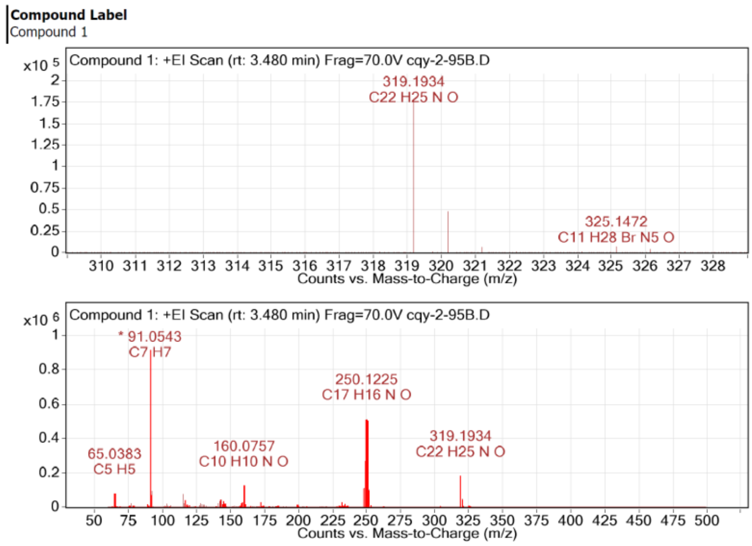
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	9.605	216632	60.097	3604236	50.773
2	15.459	143840	39.903	3494518	49.227
Total		360473	100.000	7098755	100.000



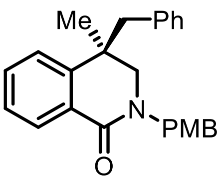
<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	9.545	334763	95.484	5598699	93.259
2	15.340	15832	4.516	404690	6.741
Total		350595	100.000	6003389	100.000



m/z	*Calc m/z*	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
319.1934	319.1931	-1.17	-0.37	178778.89	C22 H25 N O	M+	20.04
320.1957	320.1964	2.09	0.67	47703	C22 H25 N O	M+	5.35
321.2014	321.1995	-5.85	-1.88	5875.04	C22 H25 N O	M+	0.66

(*R*)-4-benzyl-2-(4-methoxybenzyl)-4-methyl-3,4-dihydroisoquinolin-1(2H)-one(5a)



5a

Prepared according to typical procedure from **2a** (61.2 mg, 0.3 mmol), *N*-allyl carboxamide **4a** (84.3 mg, 0.2 mmol), after a flash column chromatography (hexanes:

EA = 20:1-10:1) afforded the product **5a** as a yellow oil (49.9 mg, 67% yield) with 93% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.29-8.24 (m, 1H), 7.42-7.38 (m, 2H), 7.37-7.32 (m, 2H), 7.23-7.15 (m, 3H), 6.96-6.86 (m, 3H), 6.76-6.71 (m, 2H), 4.86 (d, *J* = 14.3 Hz, 1H), 4.72 (d, *J* = 14.3 Hz, 1H), 3.81 (s, 3H), 3.37 (d, *J* = 12.6 Hz, 1H), 3.14 (d, *J* = 12.7 Hz, 1H), 2.86 (d, *J* = 13.3 Hz, 1H), 2.63 (d, *J* = 13.2 Hz, 1H), 1.23 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 159.0, 144.8, 136.8, 131.5, 130.5, 130.0, 129.0, 128.7, 128.1, 127.7, 126.9, 126.4, 124.8, 113.9, 55.3, 55.2, 50.1, 45.8, 37.9, 22.0. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{25}H_{25}NO_2$: 371.1885, found 371.1884. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 19.2 min (major), 34.2 min (minor). $[\alpha]_D^{20}$ = -167.1 (*c* = 0.5, $CHCl_3$).

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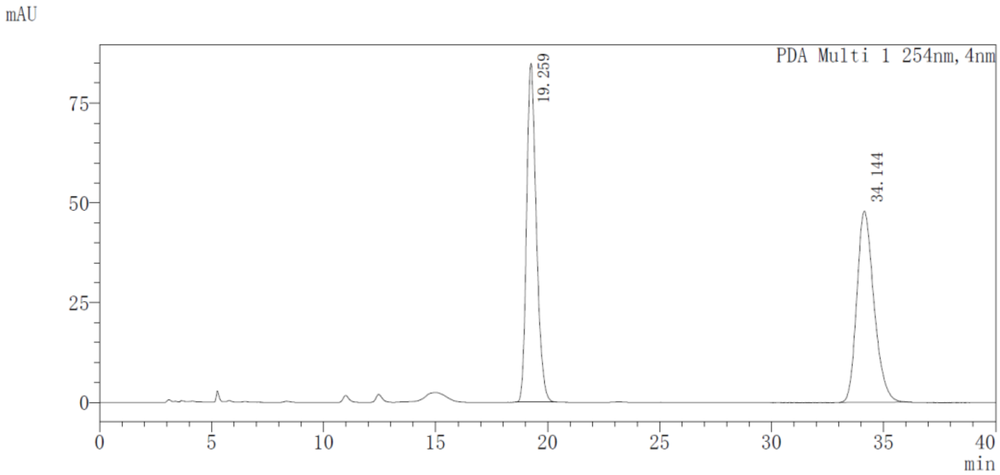

<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	19.259	84891	63.915	2557354	50.003
2	34.144	47928	36.085	2557050	49.997
Total		132819	100.000	5114404	100.000

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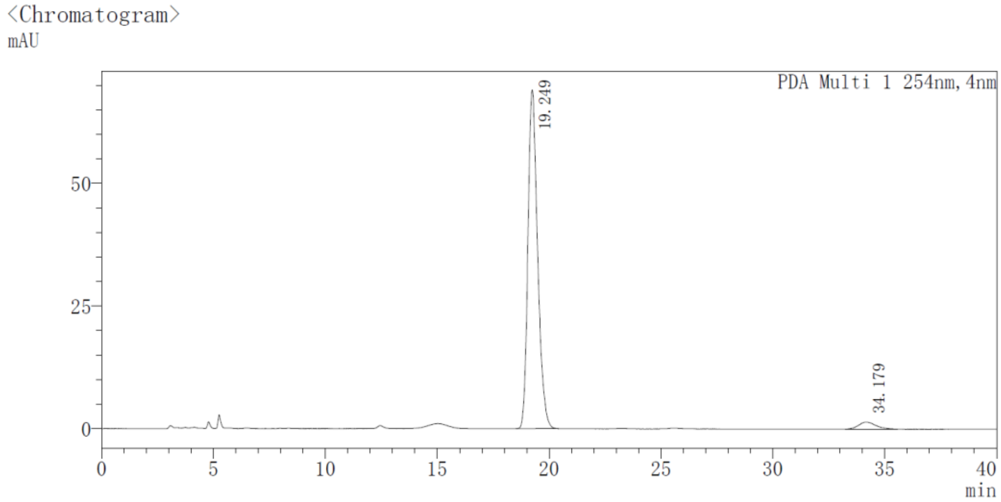
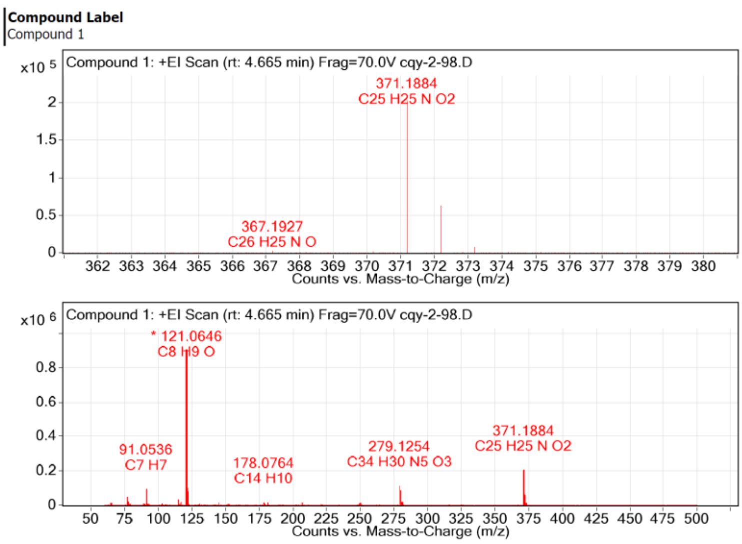


<Peak Table>

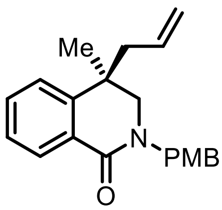
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	19.249	69036	97.963	2075373	96.509
2	34.179	1435	2.037	75079	3.491
Total		70472	100.000	2150452	100.000



m/z	*Calc m/z*	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
371.1884	371.188	-1.17	-0.43	202739.8	C25 H25 N O2	M+	22.91
372.1917	372.1913	-1.08	-0.4	62340.32	C25 H25 N O2	M+	7.04
373.1939	373.1944	1.2	0.45	7702.74	C25 H25 N O2	M+	0.87

(*R*)-2-benzyl-4-methyl-4-(3-(trimethylsilyl)prop-2-yn-1-yl)-3,4-dihydroisoquinolin-1(2H)-one (5b)



5b

Prepared according to typical procedure from **2v** (92.4 mg, 0.6 mmol), *N*-allyl carboxamide **4a** (84.3 mg, 0.2 mmol), after a flash column chromatography (hexanes:

EA = 20:1-10:1) afforded the product **5b** as a yellow oil (56.0 mg, 87% yield) with 85% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.20 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.50-7.45 (m, 1H), 7.38-7.34 (m, 1H), 7.32-7.28 (m, 2H), 7.26-7.22 (m, 1H), 6.90-6.84 (m, 2H), 5.54-5.43 (m, 1H), 5.03-4.90 (m, 2H), 4.81 (d, *J* = 14.3 Hz, 1H), 4.62 (d, *J* = 14.3 Hz, 1H), 3.80 (s, 3H), 3.28 (d, *J* = 12.6 Hz, 1H), 3.19 (d, *J* = 12.7 Hz, 1H), 2.29-2.20 (m, 2H), 1.22 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.1, 159.0, 145.3, 133.3, 131.8, 129.9, 129.1, 128.7, 128.2, 126.7, 124.1, 118.5, 113.9, 55.2, 54.7, 49.9, 43.7, 36.8, 22.8. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{21}H_{23}NO_2$: 321.1729, found 321.1725. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 12.2 min (major), 18.7 min (minor). $[\alpha]_D{}^{20}$ = -88.0 (*c* = 0.5, $CHCl_3$).

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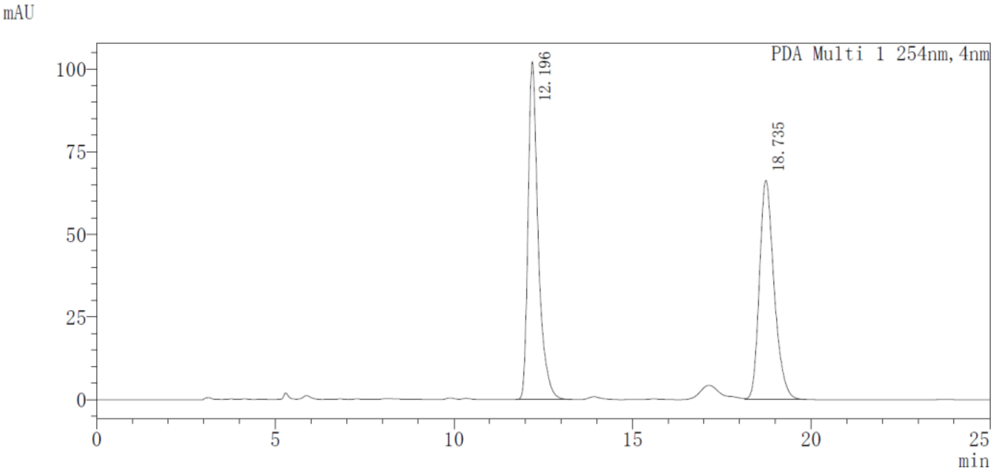
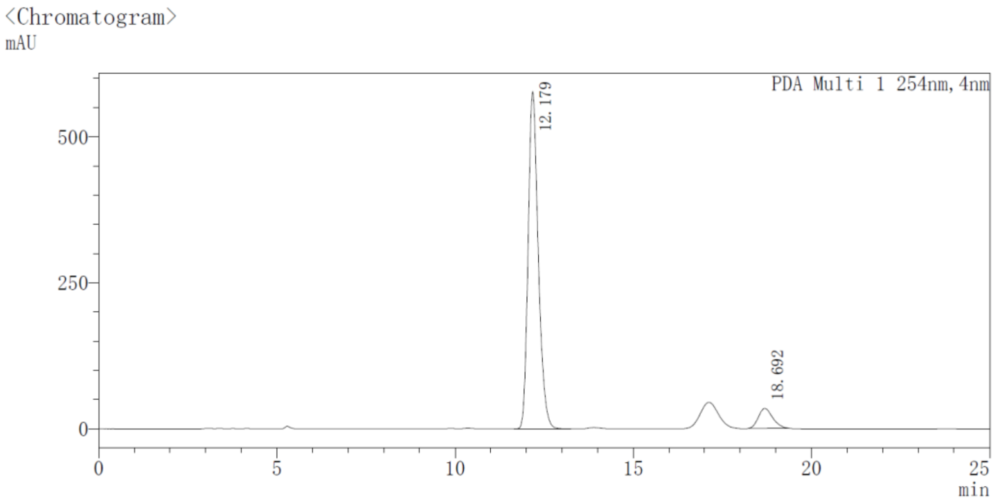


<Peak Table>

PDA Ch1 254nm

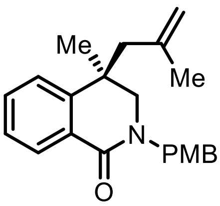
No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	12.196	102184	60.648	2020571	51.596
2	18.735	66303	39.352	1895555	48.404
Total		168487	100.000	3916127	100.000



<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	12.179	576666	94.412	11405116	92.335
2	18.692	34129	5.588	946720	7.665
Total		610795	100.000	12351837	100.000

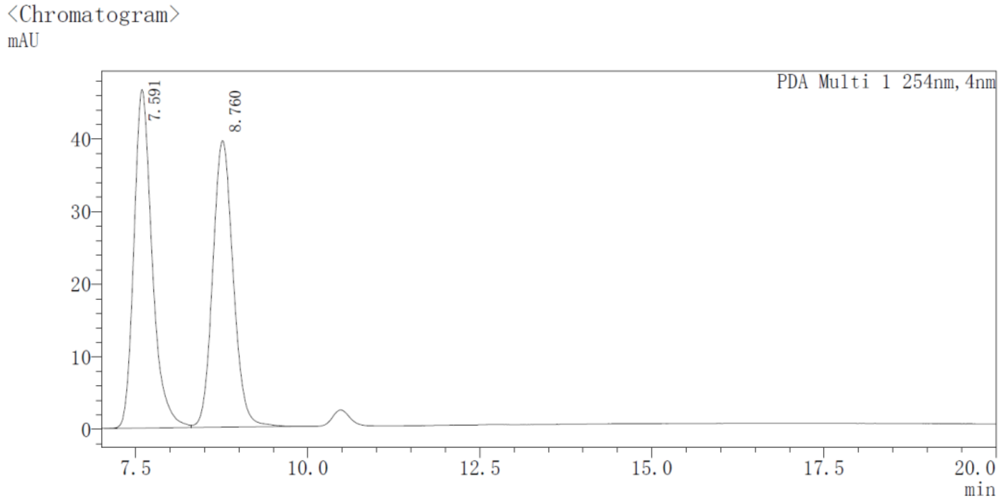
(*R*)-2-(4-methoxybenzyl)-4-methyl-4-(2-methylallyl)-3,4-dihydroisoquinolin-1(2H)-one (5c)



5c

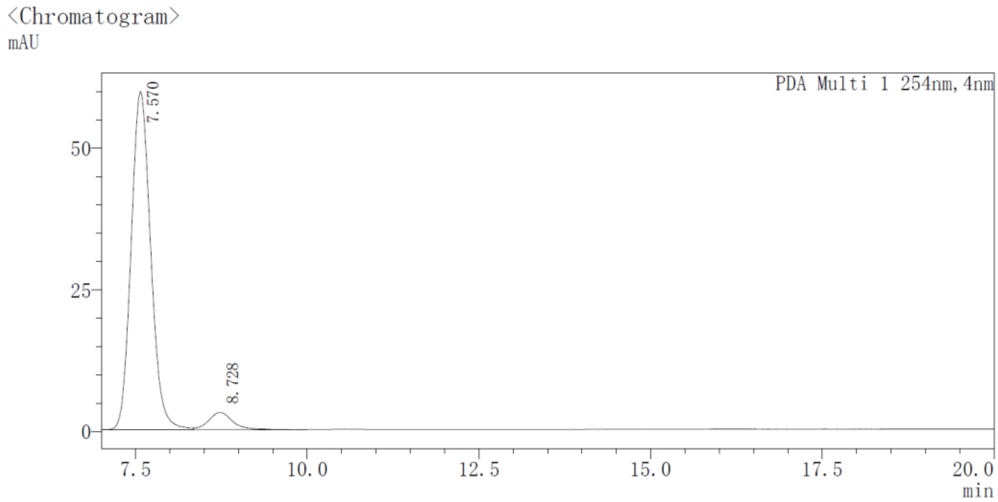
Prepared according to typical procedure from **2w** (100.8 mg, 0.6 mmol), *N*-allyl carboxamide **4a** (84.3 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **5c** as a yellow oil (26.3 mg, 40% yield) with 88% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.20 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.48-7.44 (m, 1H), 7.39-7.35 (m, 1H), 7.32-7.28 (m, 2H), 7.25-7.23 (m, 1H), 6.90-6.86 (m, 2H), 4.97 (d, *J* = 14.3 Hz, 1H), 4.87-4.85 (m, 1H), 4.53-4.49 (m, 2H), 3.81 (s, 3H), 3.35 (d, *J* = 12.6 Hz, 2H), 3.19 (d, *J* = 12.6 Hz, 1H), 2.30 (d, *J* = 13.2 Hz, 1H), 2.18 (d, *J* = 13.2 Hz, 1H), 1.39 (dd, *J* = 1.5, 0.8 Hz, 3H), 1.30 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.2, 159.0, 145.2, 141.8, 131.7, 129.9, 129.0, 128.7, 128.2, 126.8, 124.6, 115.6, 113.9, 55.8, 55.2, 50.2, 47.0, 37.3, 24.7, 23.3. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{22}H_{25}NO_2$: 335.1885, found 335.1881. HPLC (OJ-H, 2-propanol /n-hexane = 10/90, flow rate =

1.0 mL/min, l = 254 nm) tR = 7.6 min (major), 8.7 min (minor). $[\alpha]_D^{20}$ = -53.0 (*c* = 0.5, $CHCl_3$).



<Peak Table>
PDA Ch1 254nm

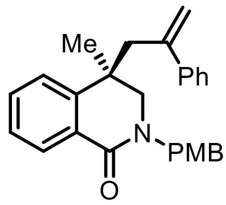
No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	7.591	46574	54.129	861555	51.356
2	8.760	39469	45.871	816061	48.644
Total		86042	100.000	1677616	100.000



<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	7.570	59632	95.177	1178530	94.159
2	8.728	3022	4.823	73111	5.841
Total		62654	100.000	1251641	100.000

(*R*)-2-(4-methoxybenzyl)-4-methyl-4-(2-phenylallyl)-3,4-dihydroisoquinolin-1(2H)-one (5d)



5d

Prepared according to typical procedure from **2ab** (69.0 mg, 0.3 mmol), *N*-allyl carboxamide **4a** (84.3 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **5d** as a yellow oil (39.5 mg, 50% yield) with 91% *ee*. ^{1}**H NMR** (400 MHz, $CDCl_3$) δ 8.16 (dd, *J* = 7.7, 1.6 Hz, 1H), 7.40 (td, *J* = 7.5, 1.6 Hz, 1H), 7.35-7.28 (m, 6H), 7.19-7.12 (m, 3H), 6.85-6.80 (m, 2H), 5.27 (d, *J* = 1.7 Hz, 1H), 5.05 (d, *J* = 14.3 Hz, 1H), 4.85 (d, *J* = 1.7 Hz, 1H), 3.79 (s, 3H), 3.56 (d, *J* = 14.4 Hz, 1H), 3.15 (d, *J* = 12.8 Hz, 1H), 2.98 (d, *J* = 12.7 Hz, 1H), 2.81-2.72 (m, 2H), 1.17 (s, 3H); ^{13}**C NMR** (101 MHz, $CDCl_3$) δ 164.1, 158.9, 145.6, 145.2, 142.6, 131.7, 129.8, 129.0, 128.6, 128.4, 128.1, 127.4, 126.8, 126.4, 124.2, 118.1, 113.8, 55.2, 54.7, 49.7, 44.8, 37.7, 23.0. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{27}H_{27}NO_2$: 397.2042, found 397.2038. HPLC (OZ-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 27.5 min (major), 40.4 min (minor). $[\alpha]_D^{20}$ = -59.4 (*c* = 0.5, $CHCl_3$).

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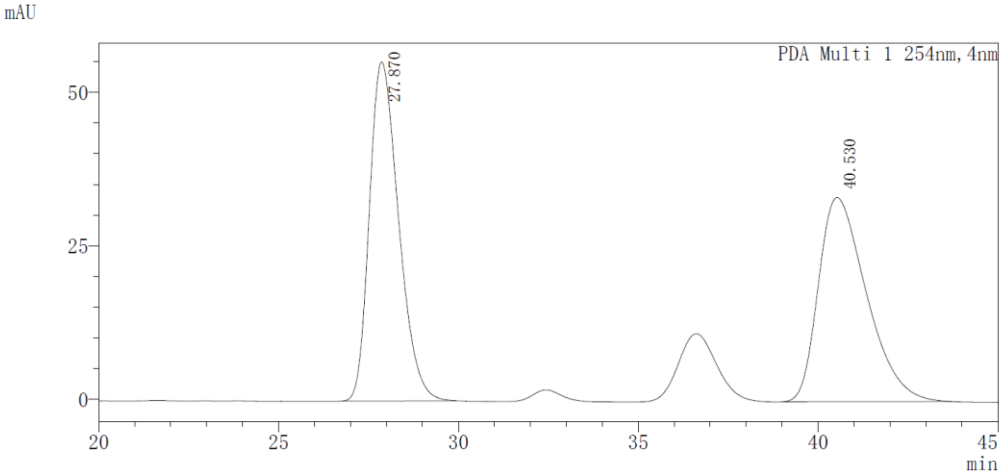

<Peak Table>

PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	27.870	55218	62.407	3123117	50.269
2	40.530	33262	37.593	3089730	49.731
Total		88480	100.000	6212847	100.000

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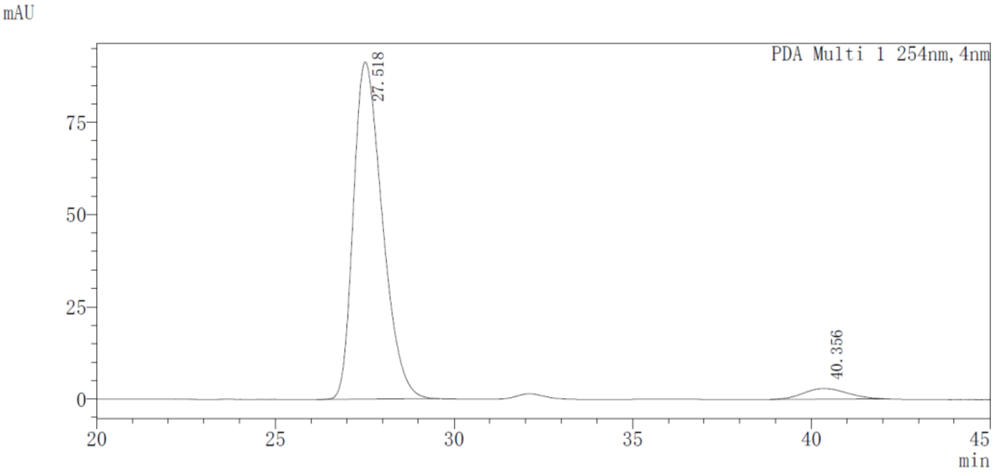
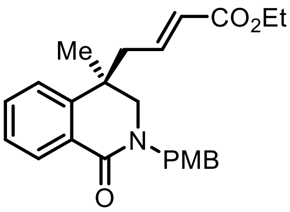


<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	27.518	91395	96.899	5154744	95.395
2	40.356	2924	3.101	248829	4.605
Total		94320	100.000	5403574	100.000

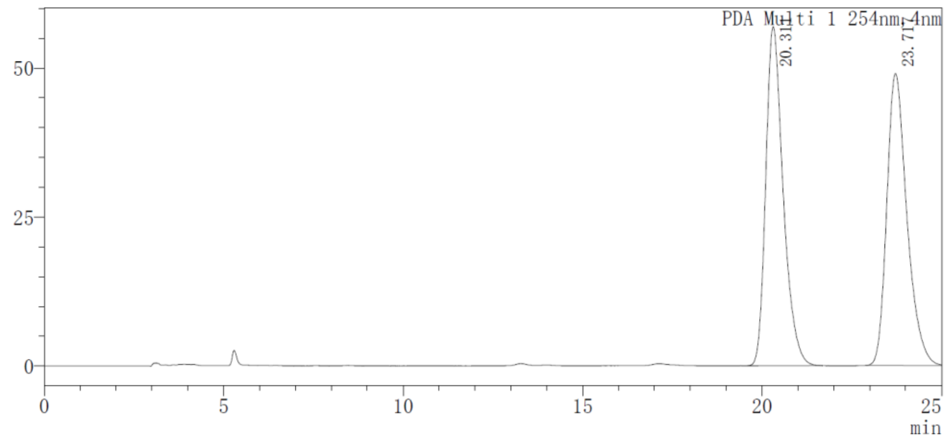
Ethyl(*R*,*E*)-4-(2-(4-methoxybenzyl)-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)but-2-enoate (5e)



5e

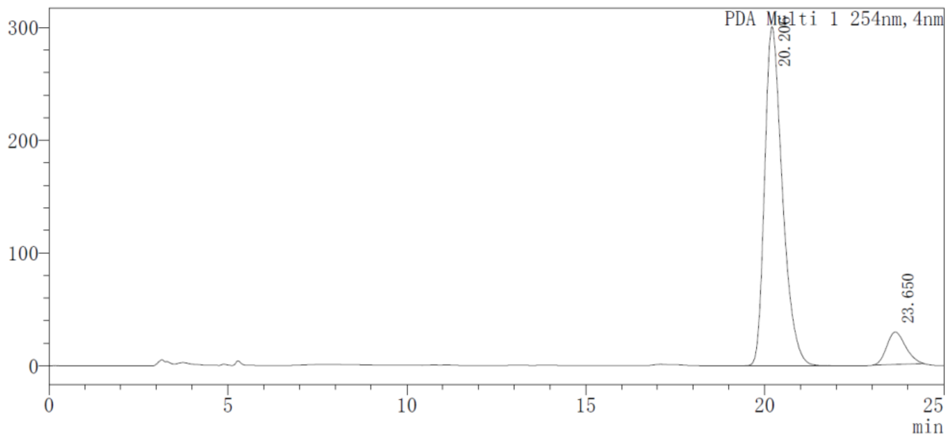
Prepared according to typical procedure from **2x** (67.8 mg, 0.3 mmol), *N*-allyl carboxamide **4a** (67.8 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **5e** as a white solid (72.4 mg, 92% yield) with 82% *ee*, m.p.: 71.7-72.4 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.19 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.48 (td, *J* = 7.6, 1.5 Hz, 1H), 7.38 (td, *J* = 7.6, 1.2 Hz, 1H), 7.31-7.27 (m, 2H), 7.22 (dd, *J* = 7.7, 1.2 Hz, 1H), 6.88-6.85 (m, 2H), 6.60-6.52 (m, 1H), 5.58 (dt, *J* = 15.5, 1.4 Hz, 1H), 4.80 (d, *J* = 14.3 Hz, 1H), 4.60 (d, *J* = 14.3 Hz, 1H), 4.16 (q, *J* = 7.1 Hz, 2H), 3.79 (s, 3H), 3.33 (d, *J* = 12.7 Hz, 1H), 3.17 (d, *J* = 12.7 Hz, 1H), 2.34-2.31 (m, 2H), 1.28 (t, *J* = 7.1 Hz, 3H), 1.26 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 165.8, 163.9, 159.1, 144.4, 143.2, 132.0, 129.9, 128.9, 128.8, 128.1, 127.1, 124.7, 123.9, 114.0, 60.2, 55.1, 54.6, 49.7, 41.7, 37.1, 22.7, 14.1. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{24}H_{27}NO_2$: 393.1940, found 393.1938. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate =

1.0 mL/min, l = 254 nm) tR = 20.2 min (major), 23.7 min (minor). $[\alpha]_D^{20}$ = -119.3 (*c* = 0.5, $CHCl_3$).



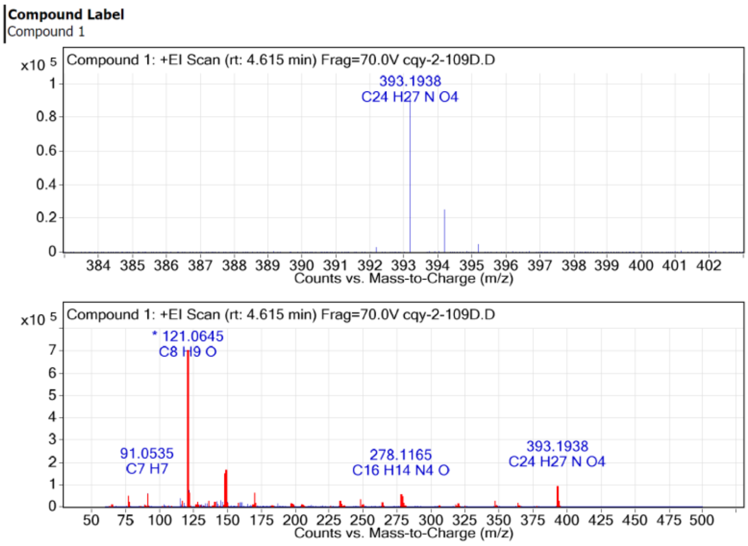
<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	20.311	56882	53.718	1921803	50.187
2	23.717	49008	46.282	1907504	49.813
Total		105890	100.000	3829307	100.000



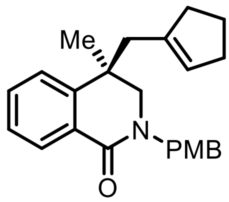
<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	20.206	300790	91.268	10406128	90.802
2	23.650	28777	8.732	1054133	9.198
Total		329567	100.000	11460261	100.000



m/z	*Calc m/z*	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
393.1938	393.1935	-0.94	-0.37	91227.21	C24 H27 N O4	M+	13.22
394.1964	394.1968	0.85	0.34	25132.35	C24 H27 N O4	M+	3.64
395.1974	395.1996	5.51	2.18	4570.82	C24 H27 N O4	M+	0.66

(*R*)-4-(cyclopent-1-en-1-ylmethyl)-2-(4-methoxybenzyl)-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (5f)



5f

Prepared according to typical procedure from **2z** (116.4 mg, 0.6 mmol), *N*-allyl carboxamide **4a** (84.3 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **5f** as a yellow oil (48.0 mg, 66% yield) with 91% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.19 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.45 (td, *J* = 7.5, 1.5 Hz, 1H), 7.36 (td, *J* = 7.5, 1.2 Hz, 1H), 7.32-7.29 (m, 2H), 7.22 (dd, *J* = 7.7, 1.3 Hz, 1H), 6.90-6.86 (m, 2H), 5.17 (d, *J* = 2.8 Hz, 1H), 4.94 (d, *J* = 14.3 Hz, 1H), 4.52 (d, *J* = 14.3 Hz, 1H), 3.81 (s, 3H), 3.31-3.20 (m, 2H), 2.32 (s, 2H), 2.26-2.18 (m, 2H), 1.99-1.93 (m, 1H), 1.80-1.66 (m, 3H), 1.25 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.2, 159.0, 145.6, 140.1, 131.6, 129.9, 129.3, 129.0, 128.6, 128.1, 126.7, 124.3, 113.9, 55.4, 55.2, 50.1, 40.5, 37.2, 37.0, 32.4, 23.9, 23.7. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{24}H_{27}NO_2$: 361.2042, found 361.2037. HPLC (OJ-H, 2-propanol /n-hexane = 10/90, flow rate = 0.5 mL/min, l = 254 nm) tR = 15.9 min (major), 17.7 min (minor). $[\alpha]_D^{20}$ = -51.9 (*c* = 0.5, $CHCl_3$).

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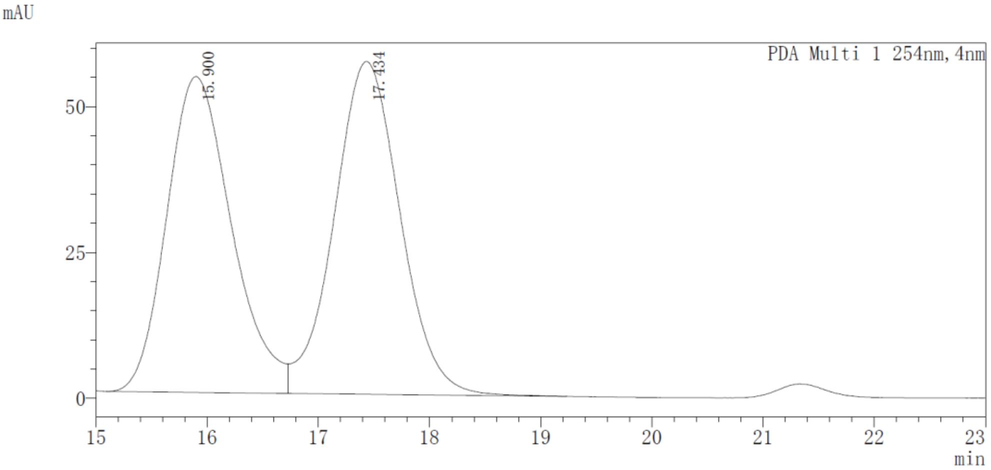

<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	15.900	54106	48.701	2195957	48.246
2	17.434	56991	51.299	2355622	51.754
Total		111097	100.000	4551579	100.000

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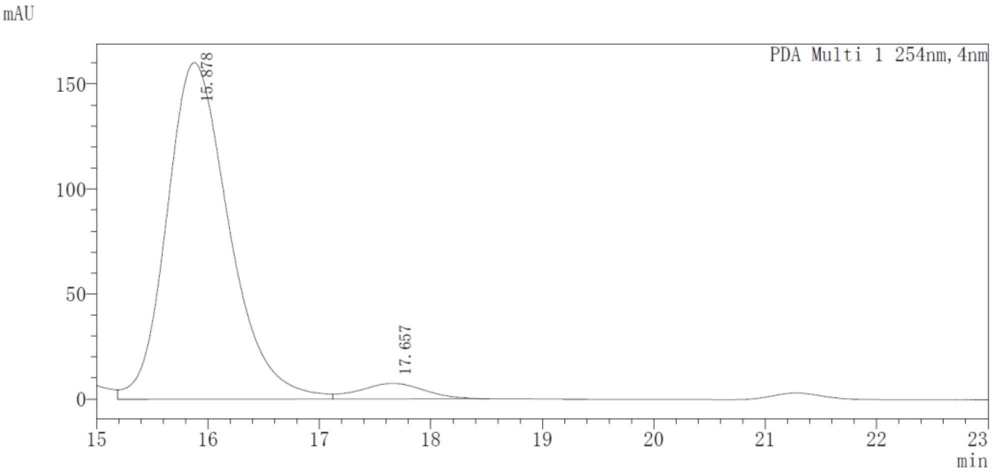
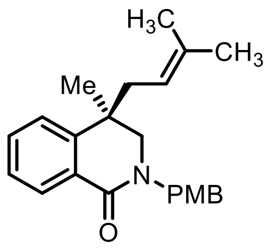


<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	15.878	160326	95.603	6216114	95.431
2	17.657	7373	4.397	297607	4.569
Total		167699	100.000	6513721	100.000

(*R*)-2-(4-methoxybenzyl)-4-methyl-4-(3-methylbut-2-en-1-yl)-3,4-dihydroisoquinolin-1(2H)-one (5g)



5g

Prepared according to typical procedure from **2aa** (109.2 mg, 0.6 mmol), *N*-allyl carboxamide **4a** (84.3 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **5g** as a white solid (44.7 mg, 64% yield) with 90% *ee*, m.p.: 68.8-69.6 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.19 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.46 (td, *J* = 7.5, 1.5 Hz, 1H), 7.36 (td, *J* = 7.6, 1.3 Hz, 1H), 7.32-7.28 (m, 2H), 7.22 (dd, *J* = 7.7, 1.2 Hz, 1H), 6.90-6.86 (m, 2H), 4.85-4.82 (m, 1H), 4.79 (d, *J* = 14.3 Hz, 1H), 4.65 (d, *J* = 14.3 Hz, 1H), 3.80 (s, 3H), 3.29-3.19 (m, 2H), 2.24-2.11 (m, 2H), 1.64 (d, *J* = 1.4 Hz, 3H), 1.41 (d, *J* = 1.4 Hz, 3H), 1.20 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.1, 159.0, 145.6, 134.8, 131.6, 129.9, 129.1, 128.6, 128.3, 126.6, 124.2, 118.9, 113.9, 55.2, 54.9, 50.0, 37.6 (two peaks overlap), 25.8, 22.9, 17.6. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{23}H_{27}NO_2$: 349.2042, found 349.2040. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 11.1 min (major), 20.7 min (minor). $[\alpha]_D^{20}$ = -30.1 (*c* = 0.5, $CHCl_3$).

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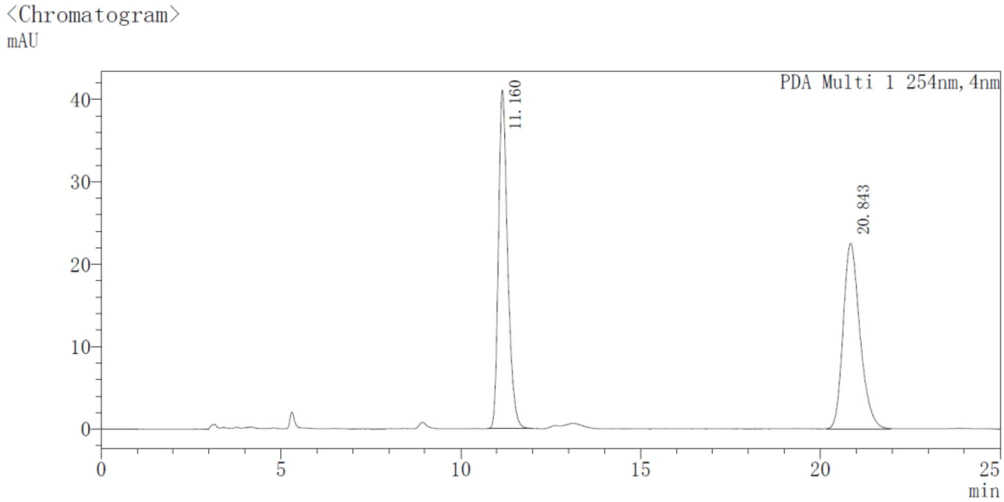

<Peak Table>

PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	11.160	41085	64.610	730446	50.036
2	20.843	22504	35.390	729405	49.964
Total		63589	100.000	1459851	100.000

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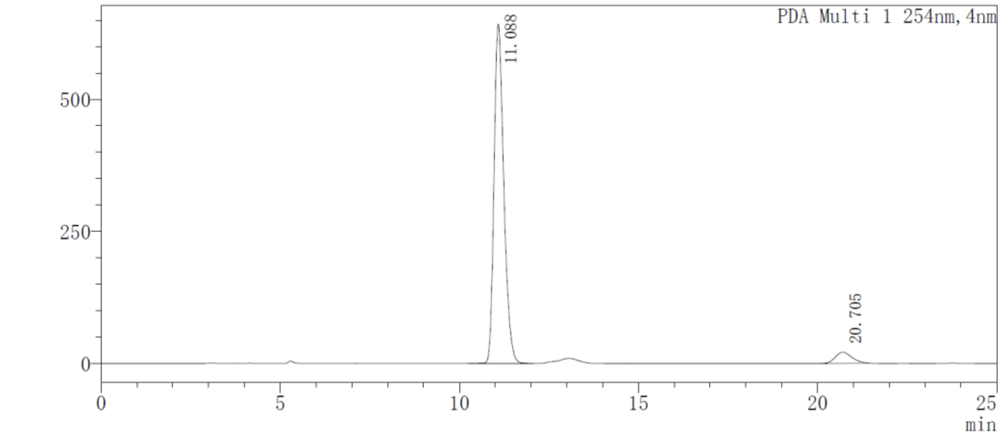
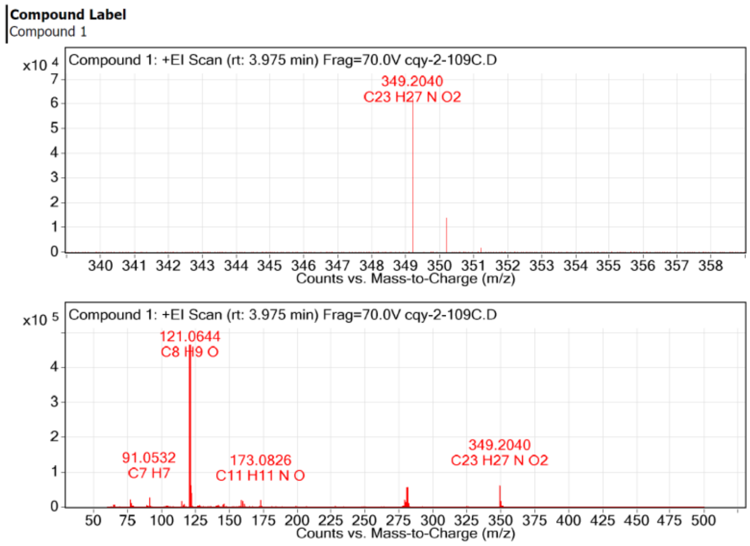


<Peak Table>

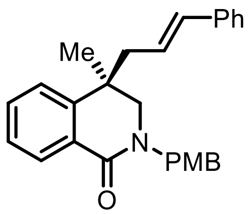
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	11.088	642269	96.841	12172470	94.813
2	20.705	20950	3.159	665980	5.187
Total		663219	100.000	12838450	100.000



m/z	*Calc m/z*	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
349.204	349.2036	-0.93	-0.32	62095.01	C23 H27 N O2	M+	14.12
350.205	350.2069	5.44	1.9	13793.77	C23 H27 N O2	M+	3.14
351.2095	351.21	1.39	0.49	1682.69	C23 H27 N O2	M+	0.38

(*R*)-4-cinnamyl-2-(4-methoxybenzyl)-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (5h)



5h

Prepared according to typical procedure from **2aa** (69.0 mg, 0.3 mmol), *N*-allyl carboxamide **4a** (84.3 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **5h** as a white solid (70.1 mg, 88% yield) with 86% *ee*, m.p.: 77.1-77.6 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.26 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.51 (td, *J* = 7.5, 1.5 Hz, 1H), 7.41 (td, *J* = 7.6, 1.2 Hz, 1H), 7.38-7.28 (m, 5H), 7.26-7.22 (m, 3H), 6.94-6.87 (m, 2H), 6.20 (d, *J* = 15.7 Hz, 1H), 5.79-5.72 (m, 1H), 4.91 (d, *J* = 14.3 Hz, 1H), 4.60 (d, *J* = 14.3 Hz, 1H), 3.79 (s, 3H), 3.36 (d, *J* = 12.6 Hz, 1H), 3.25 (d, *J* = 12.7 Hz, 1H), 2.42-2.31 (m, 2H), 1.29 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.1, 159.0, 145.4, 137.0, 133.5, 131.9, 130.0, 129.1, 128.7, 128.4, 128.1, 127.2, 126.8, 126.0, 124.8, 124.0, 113.9, 55.1, 54.3, 49.7, 42.8, 37.4, 22.5. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{27}H_{27}NO_2$: 397.2042, found 397.2040. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 19.4 min (major), 22.8 min (minor). $[\alpha]_D^{20}$ = -117.1 (*c* = 0.5, $CHCl_3$).

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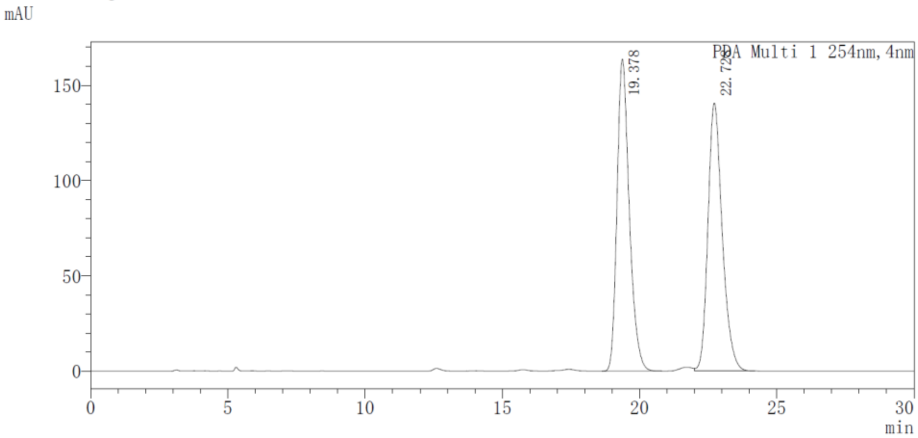

<Peak Table>

PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	19.378	163731	53.784	5095278	49.954
2	22.728	140694	46.216	5104709	50.046
Total		304426	100.000	10199988	100.000

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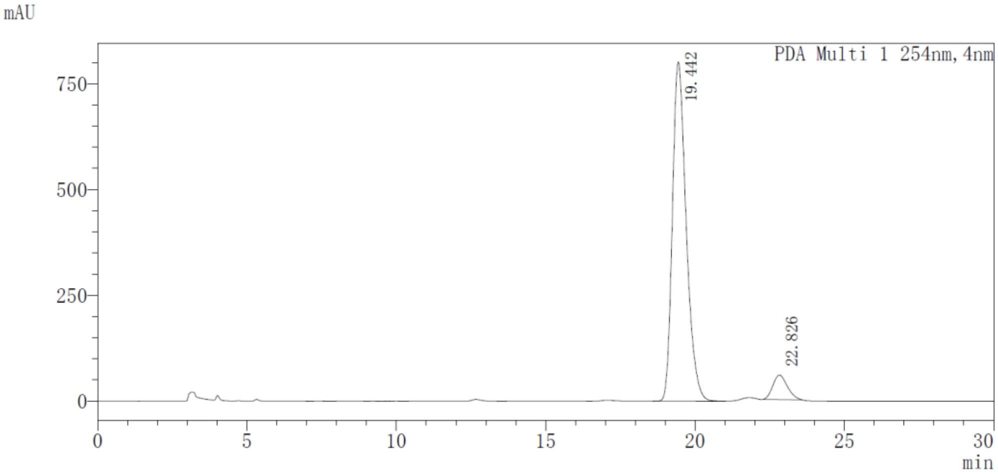
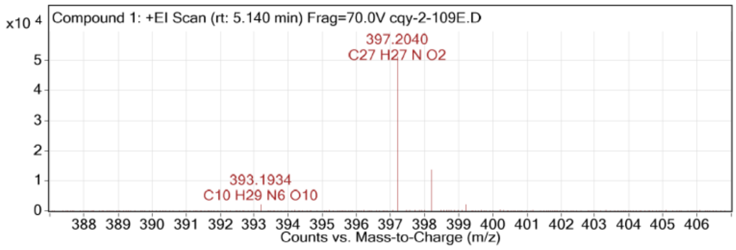


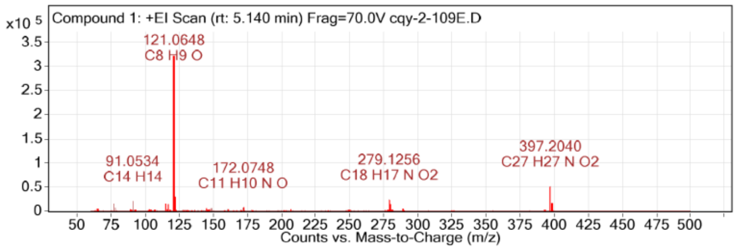
<Peak Table>

PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	19.442	801427	93.245	25737916	92.881
2	22.826	58059	6.755	1972711	7.119
Total		859486	100.000	27710627	100.000

Compound Label
Compound 1





m/z	*Calc m/z*	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
397.204	397.2036	-0.86	-0.34	51171.35	C27 H27 N O2	M+	16
398.2074	398.2069	-1.13	-0.45	13557.43	C27 H27 N O2	M+	4.24
399.2137	399.21	-9.08	-3.62	2045.95	C27 H27 N O2	M+	0.64

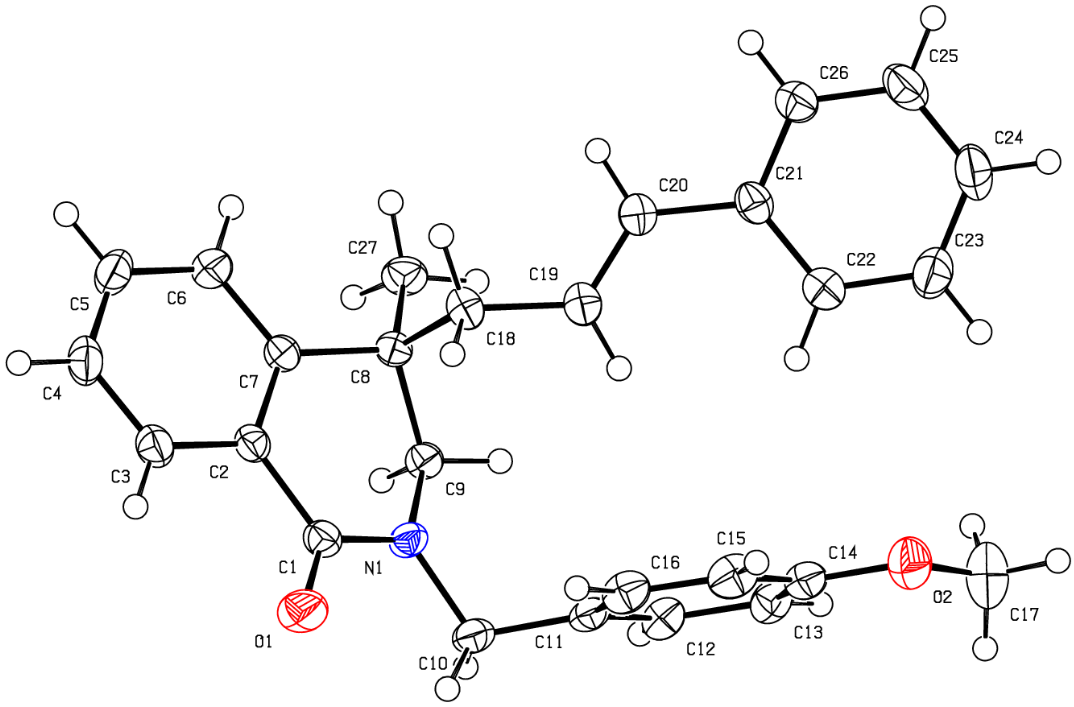


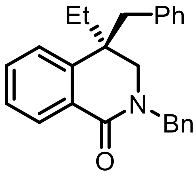
Figure S1. ORTEP drawing of **5h** (thermal ellipsoids set at 50% probability).

Recrystallization from pentane/CH_2Cl_2 afforded single crystals suitable for X-ray diffraction analysis, which allowed determination of the absolute configurations of the stereocenters generated by the hydroacylation.[6]

Table S6. Crystal data and structure refinement for ga_200917ca_a.

Identification code	ga_200917ca_a	
Empirical formula	C27 H27 N O2	
Formula weight	397.49	
Temperature	173(2) K	
Wavelength	1.34138 Å	
Crystal system	Orthorhombic	
Space group	$P2_12_12_1$	
Unit cell dimensions	a = 8.9356(4) Å	a= 90°.
	b = 9.4799(4) Å	b= 90°.
	c = 25.1950(11) Å	g = 90°.
Volume	2134.23(16) Å^3	
Z	4	
Density (calculated)	1.237 Mg/m^3	
Absorption coefficient	0.385 mm^{-1}	
F(000)	848	
Crystal size	0.160 x 0.090 x 0.050 mm^3	
Theta range for data collection	4.335 to 58.493°.	
Index ranges	-11<=h<=11, -11<=k<=12, -32<=l<=32	
Reflections collected	34885	
Independent reflections	4579 [R(int) = 0.0395]	
Completeness to theta = 53.594°	99.9 %	
Absorption correction	Semi-empirical from equivalents	
Max. and min. transmission	0.752 and 0.624	
Refinement method	Full-matrix least-squares on F^2	
Data / restraints / parameters	4579 / 0 / 273	
Goodness-of-fit on F^2	1.032	
Final R indices [I>2sigma(I)]	R1 = 0.0302, wR2 = 0.0810	
R indices (all data)	R1 = 0.0308, wR2 = 0.0816	
Absolute structure parameter	-0.08(6)	
Extinction coefficient	n/a	
Largest diff. peak and hole	0.223 and -0.181 e.Å^{-3}	

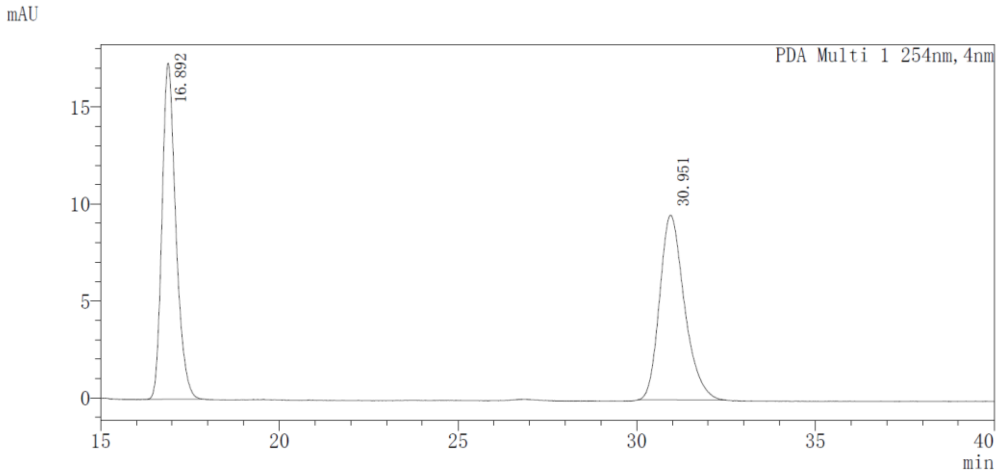
(*R*)-2,4-dibenzyl-4-ethyl-3,4-dihydroisoquinolin-1(2H)-one (7a)



7a

Prepared according to typical procedure from **2a** (61.2 mg, 0.3 mmol), *N*-allyl carboxamide **6a** (43.3 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **7a** as a yellow oil (63.0 mg, 89% yield) with 87% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.29-8.27 (m, 1H), 7.44-7.31 (m, 7H), 7.19-7.13 (m, 3H), 6.86-6.83 (m, 1H), 6.70-6.68 (m, 2H), 4.82 (d, J = 1.0 Hz, 2H), 3.50 (d, J = 12.6 Hz, 1H), 3.19 (d, J = 12.7 Hz, 1H), 2.95 (d, J = 13.4 Hz, 1H), 2.67 (d, J = 13.4 Hz, 1H), 1.82-1.74 (m, 2H), 0.82 (t, J = 7.5 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.1, 142.8, 136.9, 136.7, 131.2, 130.6, 128.9, 128.6, 128.6, 127.7, 127.6, 127.0, 126.8, 126.4, 125.7, 53.3, 51.0, 44.0, 41.0, 26.8, 8.3. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{25}H_{25}NO$: 355.1936, found 355.1929. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 16.9 min (major), 31.0 min (minor). $[\alpha]_D{}^{20}$ = -83.8 (c = 0.5, $CHCl_3$).

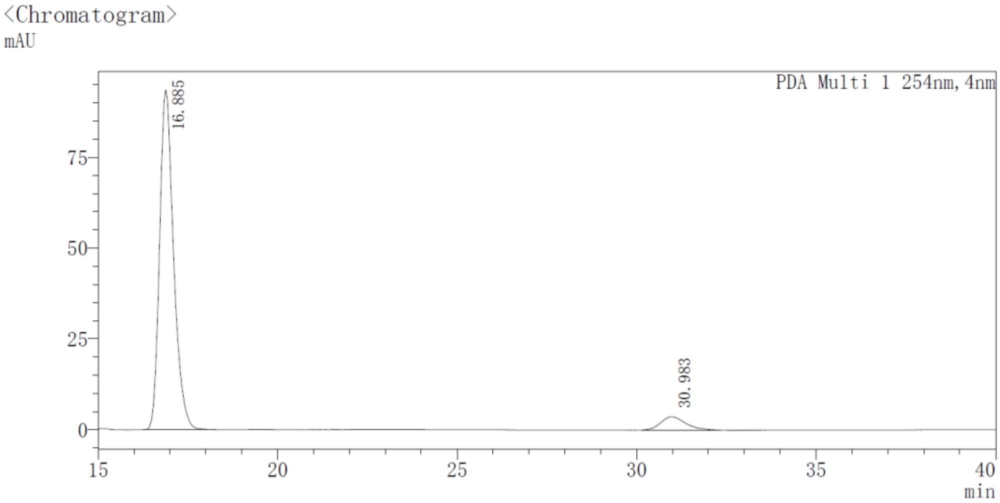
<Chromatogram>



<Peak Table>

PDA Ch1 254nm

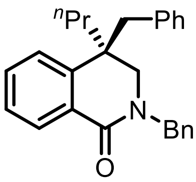
No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	16.892	17329	64.506	466828	50.281
2	30.951	9535	35.494	461618	49.719
Total		26864	100.000	928445	100.000



<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	16.885	93487	96.259	2534532	93.592
2	30.983	3633	3.741	173533	6.408
Total		97119	100.000	2708066	100.000

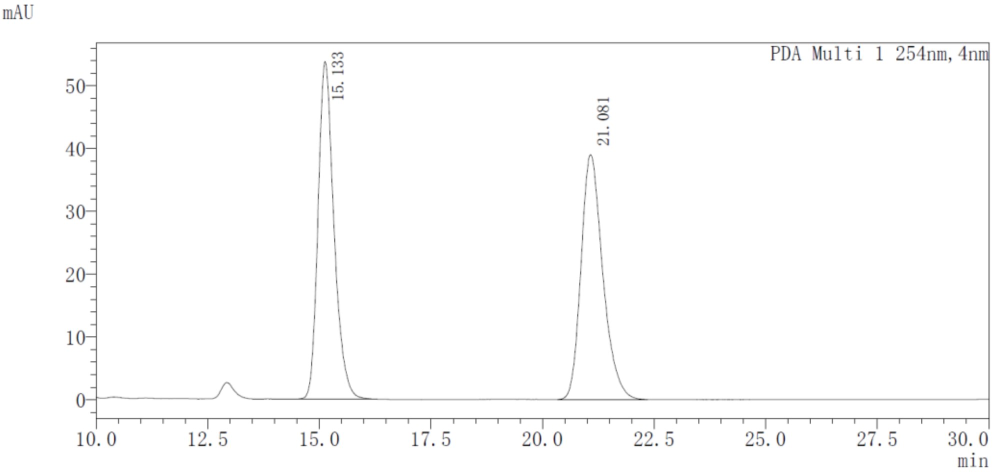
(*R*)-2,4-dibenzyl-4-propyl-3,4-dihydroisoquinolin-1(2H)-one (7b)



7b

Prepared according to typical procedure from **2a** (61.2 mg, 0.3 mmol), *N*-allyl carboxamide **6b** (83.9 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **7b** as a yellow oil (61.9 mg, 84% yield) with 94% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.29-8.25 (m, 1H), 7.41-7.29 (m, 7H), 7.20-7.14 (m, 3H), 6.87-6.83 (m, 1H), 6.70-6.67 (m, 2H), 4.81 (d, *J* = 1.8 Hz, 2H), 3.49 (d, *J* = 12.6 Hz, 1H), 3.21 (d, *J* = 12.6 Hz, 1H), 2.96 (d, *J* = 13.4 Hz, 1H), 2.67 (d, *J* = 13.4 Hz, 1H), 1.69-1.52 (m, 2H), 1.27-1.15 (m, 2H), 0.88 (t, *J* = 7.3 Hz, 3H); **^{13}C NMR** (101 MHz, CDCl3) δ 164.2, 143.3, 136.9, 136.7, 131.3, 130.6, 128.9, 128.7, 128.7, 127.8, 127.6 (two peaks overlap), 126.8, 126.4, 125.6, 53.8, 51.0, 44.2, 41.1, 36.7, 17.1, 14.6. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{26}H_{27}NO$: 369.2093, found 369.2093. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 15.1 min (major), 21.1 min (minor). $[\alpha]_D^{20}$ = -106.5 (*c* = 0.5, $CHCl_3$).

<Chromatogram>

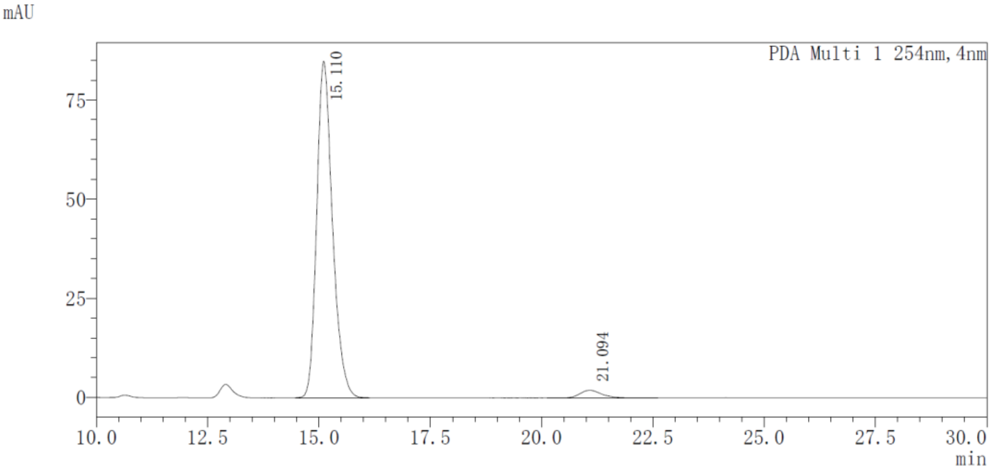


<Peak Table>

PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	15.133	53730	57.957	1334823	50.204
2	21.081	38977	42.043	1323979	49.796
Total		92707	100.000	2658802	100.000

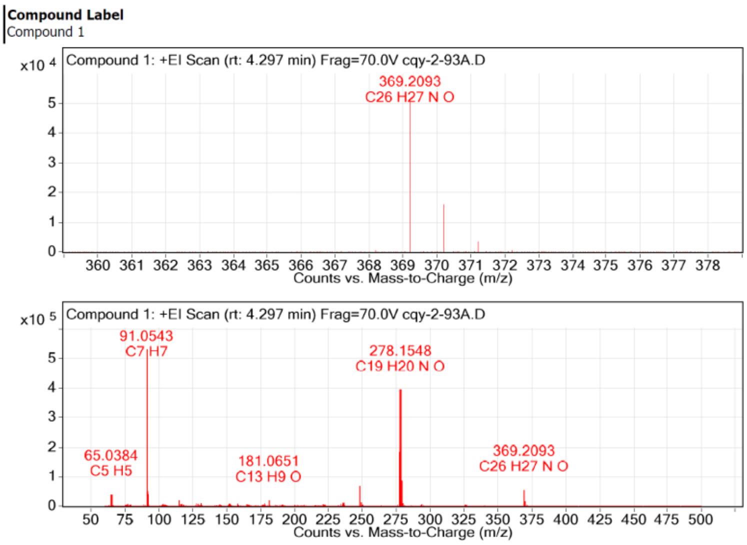
<Chromatogram>



<Peak Table>

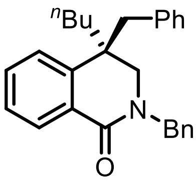
PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	15.110	84894	97.755	2100611	97.027
2	21.094	1950	2.245	64364	2.973
Total		86844	100.000	2164975	100.000



m/z	Calc m/z	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
369.2093	369.2087	-1.67	-0.62	51556.12	C26 H27 N O	M+	9.94
370.2133	370.212	-3.47	-1.28	15853.05	C26 H27 N O	M+	3.05
371.2182	371.2152	-8.05	-2.99	3502.34	C26 H27 N O	M+	0.67

(*R*)-2,4-dibenzyl-4-butyl-3,4-dihydroisoquinolin-1(2H)-one (7c)



7c

Prepared according to typical procedure from **2a** (61.2 mg, 0.3 mmol), *N*-allyl carboxamide **6c** (86.7 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **7c** as a yellow oil (42.8 mg, 56% yield) with 93% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.33-8.25 (m, 1H), 7.43-7.30 (m, 7H), 7.17 (q, *J* = 6.8, 6.1 Hz, 3H), 6.89-6.83 (m, 1H), 6.73-6.65 (m, 2H), 4.90-4.74 (m, 2H), 3.50 (d, *J* = 12.6 Hz, 1H), 3.22 (d, *J* = 12.6 Hz, 1H), 2.97 (d, *J* = 13.4 Hz, 1H), 2.68 (d, *J* = 13.4 Hz, 1H), 1.74-1.53 (m, 2H), 1.32-1.22 (m, 2H), 1.22-1.10 (m, 2H), 0.87 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.1, 143.2, 136.9, 136.7, 131.2, 130.5, 128.9, 128.7, 128.6,128.6, 127.7, 127.5, 126.8, 126.3, 125.6, 53.7, 51.0, 44.1, 40.9, 34.2, 25.9, 23.3, 13.9. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{27}H_{29}NO$: 383.2249, found 383.2243. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 12.4 min (major), 15.3 min (minor). $[\alpha]_D^{20}$ = -90.3 (*c* = 0.5, $CHCl_3$).

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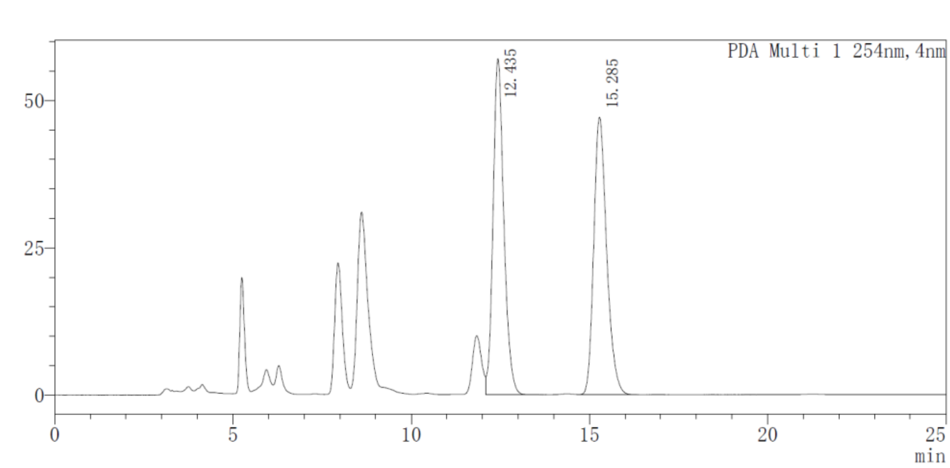
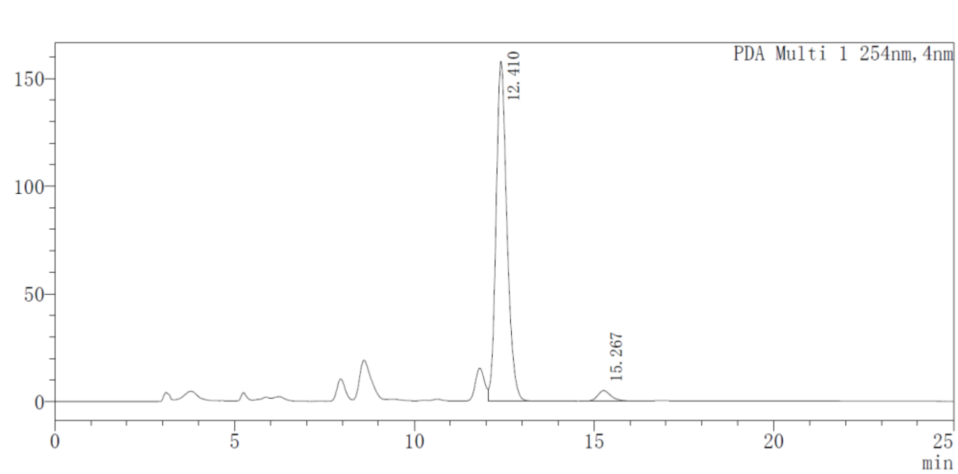


<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	12.435	56995	54.742	1200344	50.266
2	15.285	47120	45.258	1187662	49.734
Total		104115	100.000	2388006	100.000

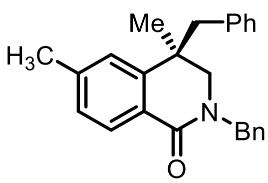
<Chromatogram>



<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	12.410	157625	97.074	3314044	96.542
2	15.267	4751	2.926	118715	3.458
Total		162376	100.000	3432759	100.000

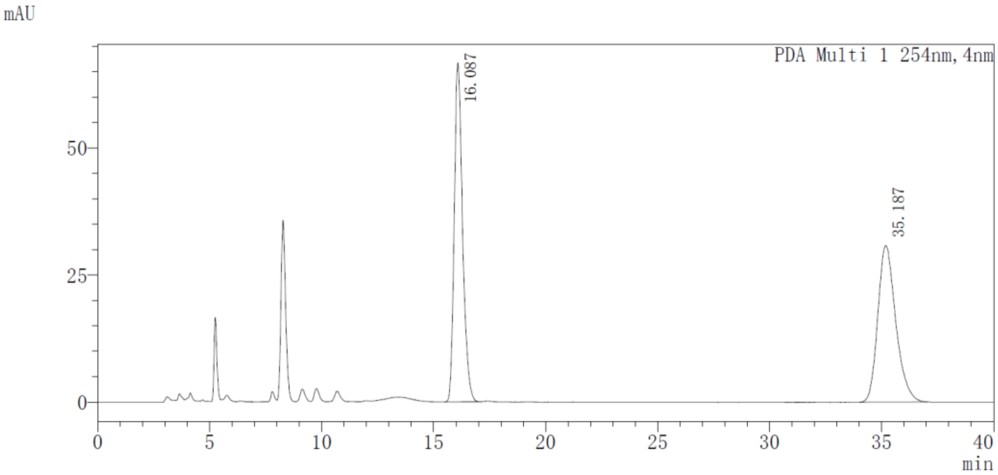
(*R*)-2,4-dibenzyl-4,6-dimethyl-3,4-dihydroisoquinolin-1(2H)-one (7d)



7d

Prepared according to typical procedure from **2a** (61.2 mg, 0.3 mmol), *N*-allyl carboxamide **6d** (83.8 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **7d** as a yellow oil (48.4 mg, 68% yield) with 94% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.10 (d, *J* = 2.0 Hz, 1H), 7.42 – 7.29 (m, 5H), 7.22 (dt, *J* = 7.0, 3.6 Hz, 4H), 6.85 (d, *J* = 7.9 Hz, 1H), 6.75 (dd, *J* = 7.2, 2.3 Hz, 2H), 5.00 (d, *J* = 14.4 Hz, 1H), 4.71 (d, *J* = 14.4 Hz, 1H), 3.37 (d, *J* = 12.6 Hz, 1H), 3.13 (d, *J* = 12.6 Hz, 1H), 2.86 (d, *J* = 13.2 Hz, 1H), 2.65 (d, *J* = 13.2 Hz, 1H), 2.44 (s, 3H), 1.22 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.6, 142.0, 137.0, 137.0, 136.6, 132.3, 130.5, 129.1, 128.6, 128.6, 127.8, 127.7, 127.5, 126.3, 124.8, 55.7, 50.8, 45.9, 37.6, 22.1, 21.0. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{25}H_{25}NO$: 355.1936, found 355.1933. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 16.1 min (major), 35.3 min (minor). $[\alpha]_D{}^{20}$ = -162.2 (*c* = 0.5, $CHCl_3$).

<Chromatogram>



<Peak Table>

PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	16.087	66636	68.415	1720122	50.065
2	35.187	30764	31.585	1715627	49.935
Total		97400	100.000	3435749	100.000

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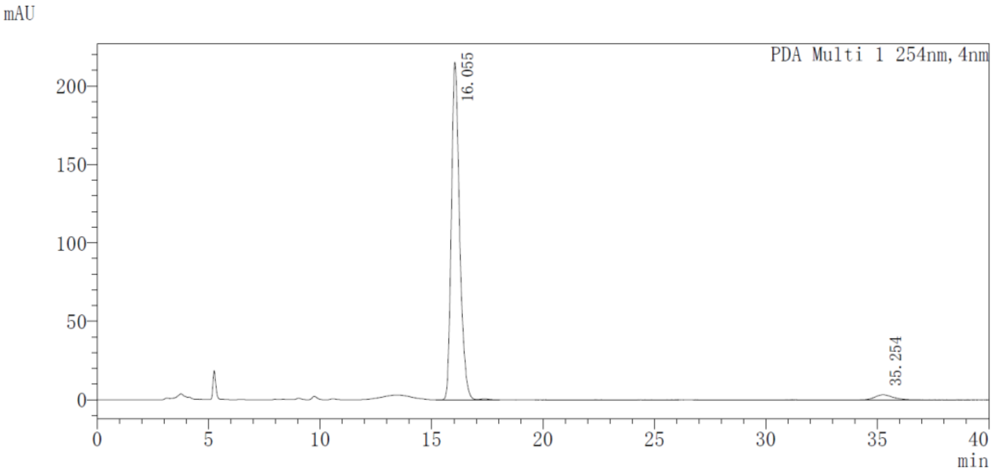
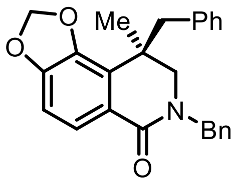


<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	16.055	215111	98.510	5575569	96.846
2	35.254	3254	1.490	181603	3.154
Total		218364	100.000	5757172	100.000

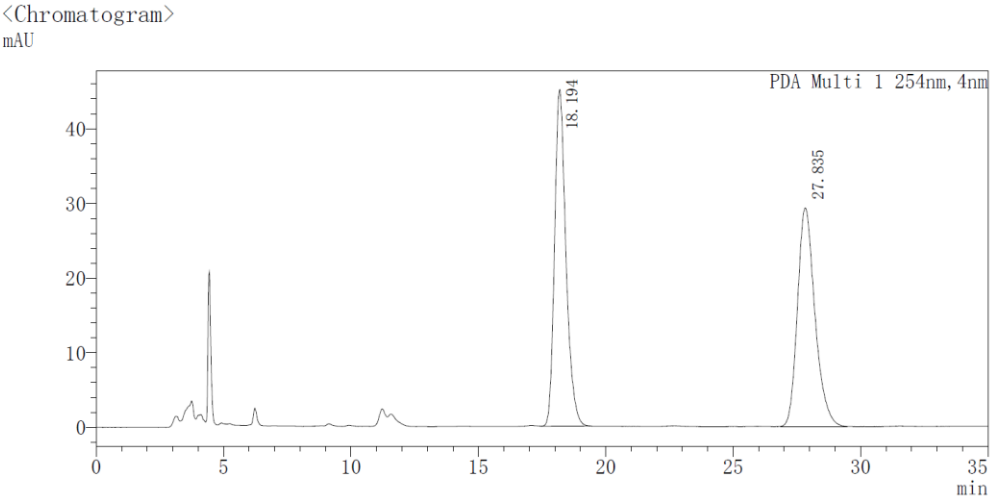
(*R*)-7,9-dibenzyl-9-methyl-8,9-dihydro-[1,3]dioxolo[4,5-f]isoquinolin-6(7H)-one (7e)



7e

Prepared according to typical procedure from **2a** (61.2 mg, 0.3 mmol), *N*-allyl carboxamide **6e** (87.0 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 10:1-5:1) afforded the product **7e** as a red solid (34.4 mg, 45% yield) with 93% *ee*, m.p.: 116.9 -117.7 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.43-7.40 (m, 2H), 7.37-7.28 (m, 3H), 7.21-7.15 (m, 3H), 6.77 (d, *J* = 8.1 Hz, 1H), 6.76-6.72 (m, 2H), 6.30 (d, *J* = 8.1 Hz, 1H), 6.22-6.13 (m, 2H), 4.90 (d, *J* = 14.3 Hz, 1H), 4.73 (d, *J* = 14.3 Hz, 1H), 3.38 (d, *J* = 12.7 Hz, 1H), 3.09 (d, *J* = 12.7 Hz, 1H), 2.83 (d, *J* = 13.2 Hz, 1H), 2.55 (d, *J* = 13.2 Hz, 1H), 1.16 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 162.3, 148.1, 147.7, 138.1, 136.9, 130.5, 128.8, 128.6, 127.7, 127.6, 126.3, 117.8, 112.0, 110.4, 102.2, 56.1, 50.3, 45.8, 38.2, 22.3. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{25}H_{23}NO_3$: 385.1678, found 385.1678. HPLC (AD-H, 2-propanol /n-hexane = 20/80, flow rate = 1.0 mL/min, l = 254 nm) tR = 18.2 min (major), 27.9 min (minor). $[\alpha]_D^{20}$ = -163.9 (*c* = 0.5, $CHCl_3$).

<Chromatogram>



<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	18.194	45112	60.617	1400924	50.092
2	27.835	29309	39.383	1395754	49.908
Total		74421	100.000	2796678	100.000

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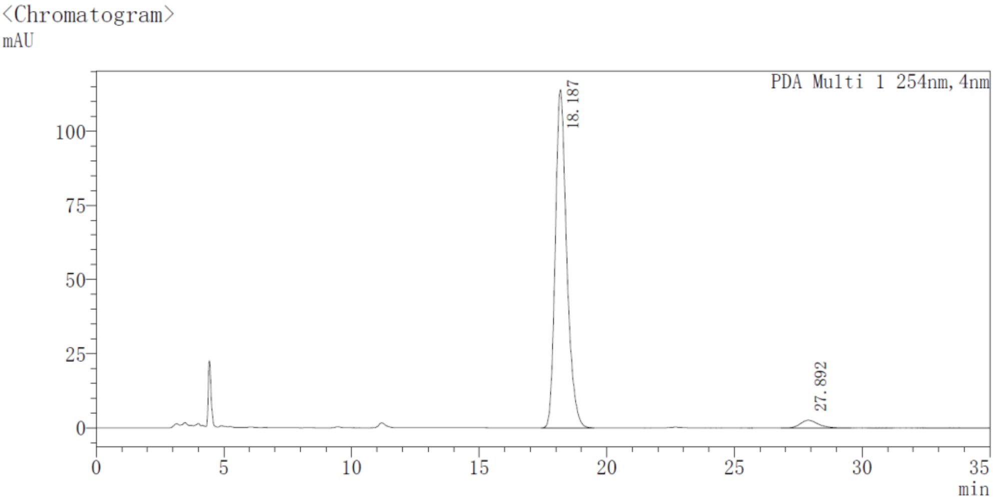
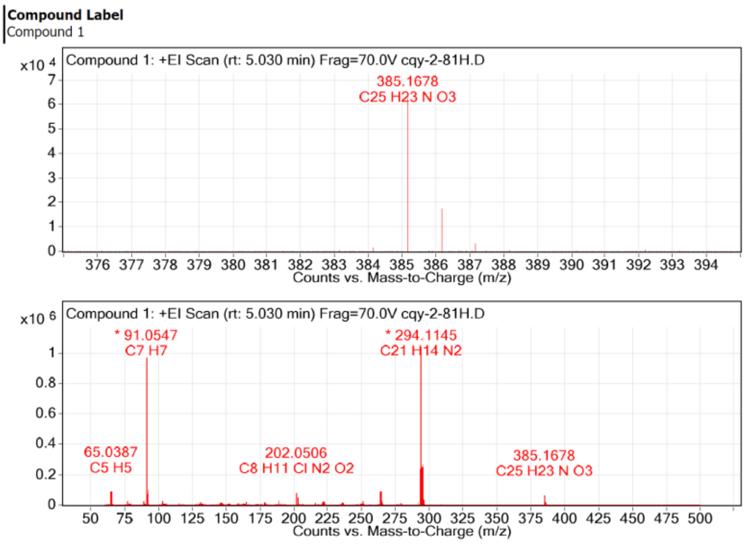


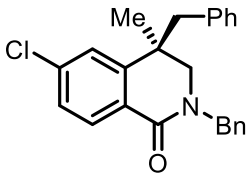
<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	18.187	114024	97.761	3555290	96.603
2	27.892	2612	2.239	125017	3.397
Total		116635	100.000	3680307	100.000



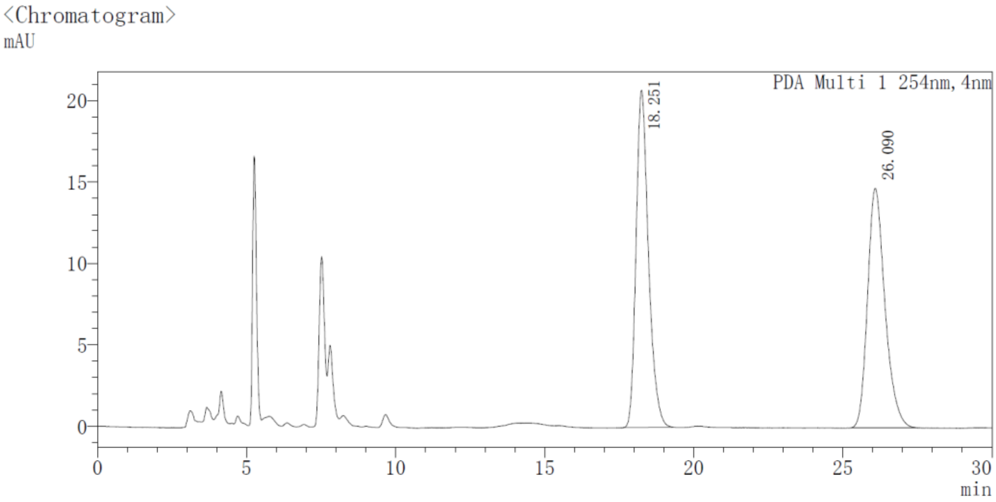
m/z	*Calc m/z*	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
385.1678	385.1672	-1.55	-0.6	62412.21	C25 H23 N O3	M+	6.21
386.171	386.1705	-1.27	-0.49	17374.41	C25 H23 N O3	M+	1.73
387.1737	387.1735	-0.37	-0.14	3114.8	C25 H23 N O3	M+	0.31

(*R*)-2,4-dibenzyl-6-chloro-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (7f)



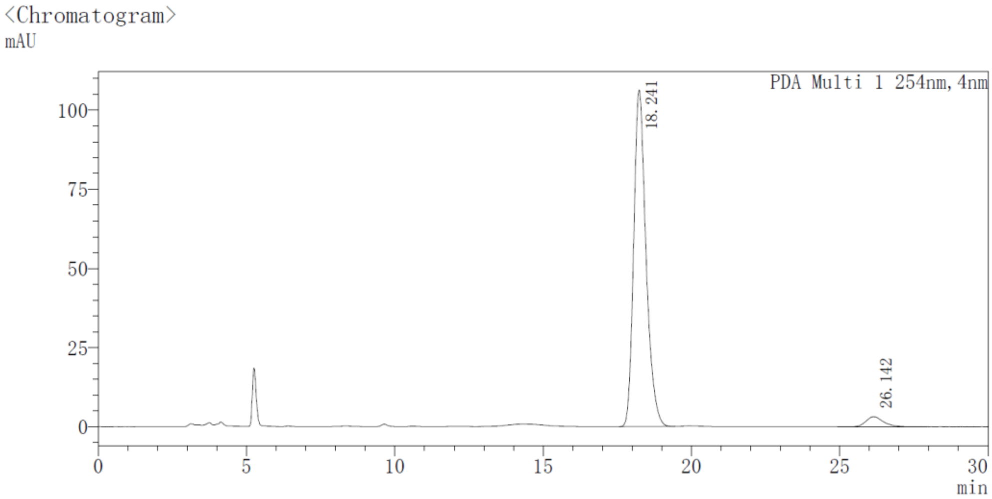
7f

Prepared according to typical procedure from **2a** (61.2 mg, 0.3 mmol), *N*-allyl carboxamide **6f** (85.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **7f** as a yellow oil (42.9 mg, 57% yield) with 92% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.24 (d, *J* = 2.3 Hz, 1H), 7.40-7.28 (m, 6H), 7.23-7.17 (m, 3H), 6.83 (d, *J* = 8.3 Hz, 1H), 6.73-6.70 (m, 2H), 4.93 (d, *J* = 14.4 Hz, 1H), 4.73 (d, *J* = 14.4 Hz, 1H), 3.39 (d, *J* = 12.7 Hz, 1H), 3.14 (d, *J* = 12.7 Hz, 1H), 2.85 (d, *J* = 13.2 Hz, 1H), 2.61 (d, *J* = 13.2 Hz, 1H), 1.22 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 163.2, 143.1, 136.6, 136.4, 133.2, 131.4, 130.5, 129.7, 128.7 (two peaks overlap), 128.7, 127.8, 127.7, 126.6, 126.6, 55.6, 50.9, 45.7, 37.8, 22.0. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{24}H_{22}ClNO$: 375.1390, found 375.1384. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 18.2 min (major), 26.1 min (minor). $[\alpha]_D^{20}$ = -175.4 (*c* = 0.5, $CHCl_3$).



<Peak Table>
PDA Ch1 254nm

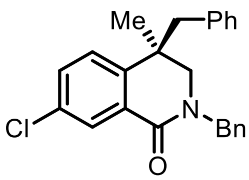
No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	18.251	20686	58.458	597740	50.051
2	26.090	14700	41.542	596513	49.949
Total		35386	100.000	1194253	100.000



<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	18.241	106253	97.091	3081447	95.971
2	26.142	3183	2.909	129367	4.029
Total		109436	100.000	3210814	100.000

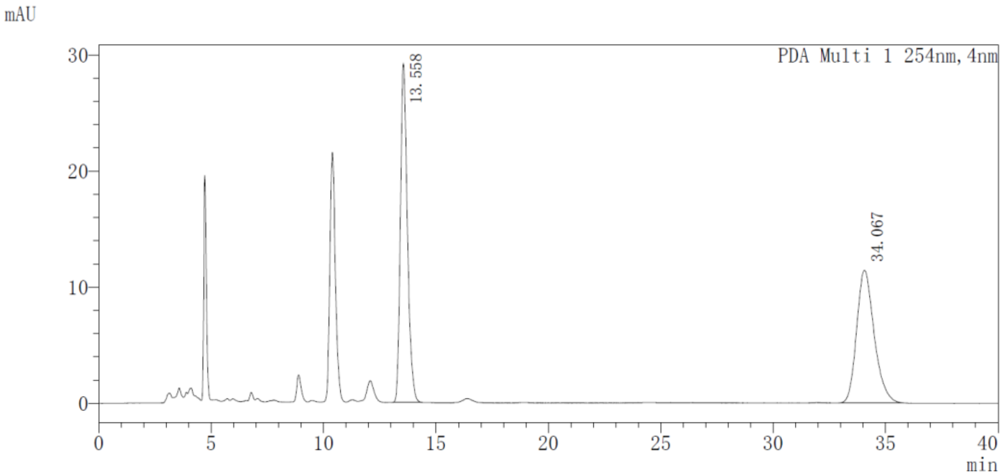
(*R*)-2,4-dibenzyl-7-chloro-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (7g)



7g

Prepared according to typical procedure from **2a** (61.2 mg, 0.2 mmol), *N*-allyl carboxamide **6g** (85.2 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **7g** as a yellow oil (62.0 mg, 82% yield) with 97% *ee*. **^{1}H NMR** (400 MHz, CDCl3) δ 8.21 (d, J = 8.3 Hz, 1H), 7.40-7.31 (m, 6H), 7.24-7.19 (m, 3H), 6.92 (d, J = 2.0 Hz, 1H), 6.73-6.71 (m, 2H), 4.93 (d, *J* = 14.4 Hz, 1H), 4.73 (d, *J* = 14.4 Hz, 1H), 3.38 (d, *J* = 12.8 Hz, 1H), 3.14 (d, *J* = 12.8 Hz, 1H), 2.84 (d, *J* = 13.2 Hz, 1H), 2.65 (d, *J* = 13.3 Hz, 1H), 1.21 (s, 3H); **^{13}C NMR** (101 MHz, CDCl3) δ 163.6, 146.8, 137.9, 136.7, 136.3, 130.4, 130.4, 128.7, 128.6, 127.8, 127.7, 127.2, 126.7, 126.5, 125., 55.22, 50.8, 45.6, 38.1, 21.9. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{24}H_{22}ClNO$: 375.1390, found 375.1389. HPLC (AD-H, 2-propanol /n-hexane = 15/85, flow rate = 1.0 mL/min, l = 254 nm) tR = 13.5 min (major), 34.1 min (minor). $[\alpha]_D^{20}$ = -139.3 (*c* = 0.5, $CHCl_3$).

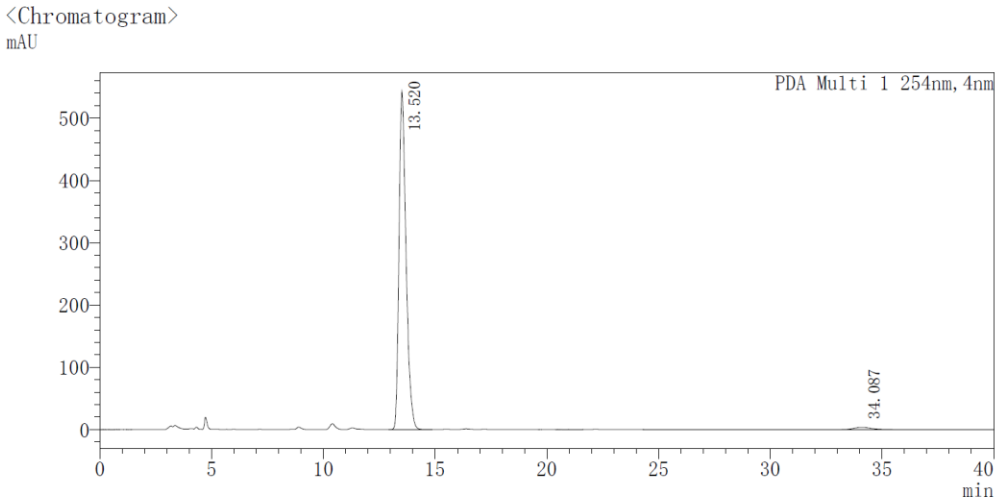
<Chromatogram>



<Peak Table>

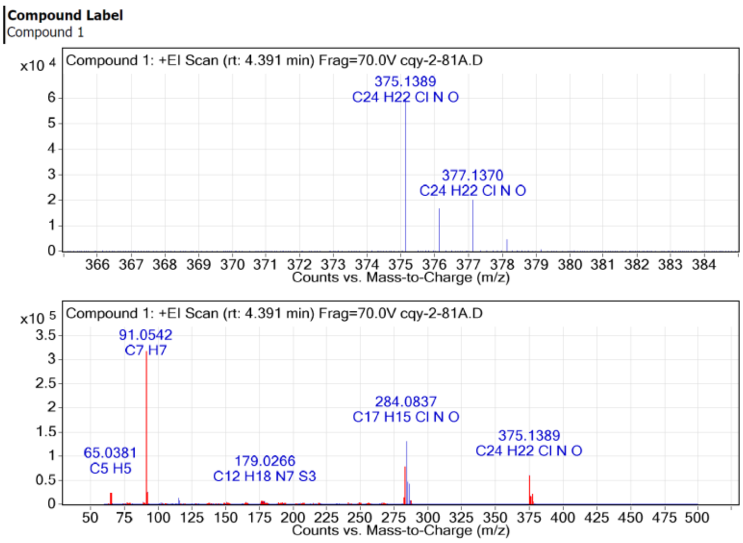
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	13.558	29165	71.876	629635	50.464
2	34.067	11412	28.124	618056	49.536
Total		40577	100.000	1247690	100.000



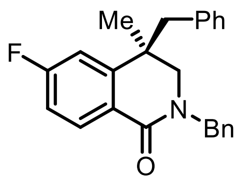
<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	13.520	542971	99.350	11918901	98.443
2	34.087	3551	0.650	188547	1.557
Total		546521	100.000	12107449	100.000



m/z	Calc m/z	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
375.1389	375.1384	-1.21	-0.45	59837.69	C24 H22 Cl N O	M+	18.93
377.137	377.1364	-1.5	-0.56	20154.1	C24 H22 Cl N O	M+	6.38

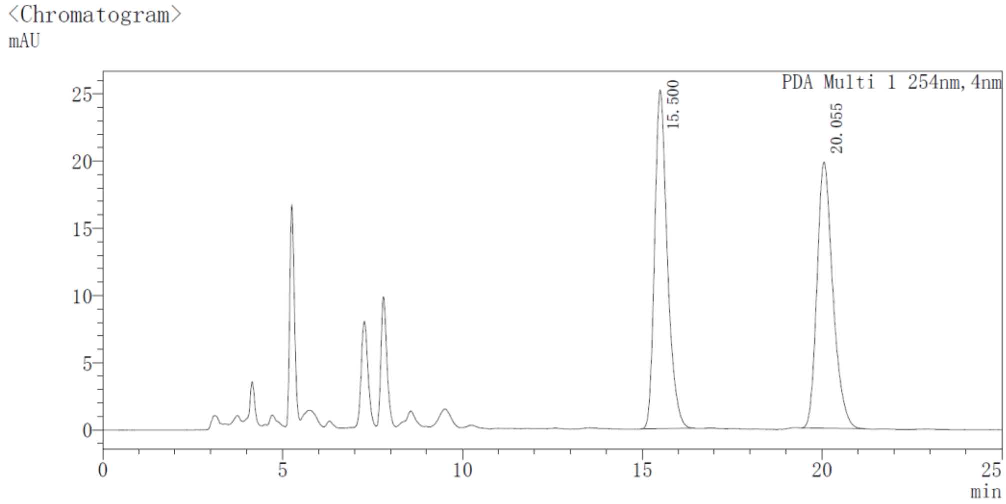
(*R*)-2,4-dibenzyl-6-fluoro-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (7h)



7h

Prepared according to typical procedure from **2a** (61.2 mg, 0.3 mmol), *N*-allyl carboxamide **6h** (81.8 mg, 0.2 mmol), after a flash column chromatography (hexanes:

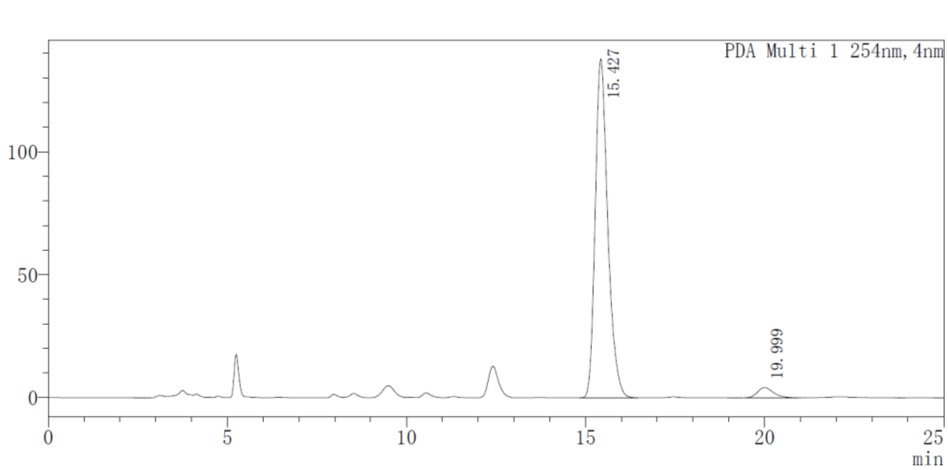
EA = 20:1-10:1) afforded the product **7h** as a yellow oil (56.7 mg, 79% yield) with 93% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.95 (dd, *J* = 9.3, 2.9 Hz, 1H), 7.41-7.31 (m, 5H), 7.22-7.16 (m, 3H), 7.06 (td, *J* = 8.4, 2.9 Hz, 1H), 6.87-6.83 (m, 1H), 6.71-6.68 (m, 2H), 4.92 (d, *J* = 14.4 Hz, 1H), 4.76 (d, *J* = 14.4 Hz, 1H), 3.41 (d, *J* = 12.7 Hz, 1H), 3.15 (d, *J* = 12.7 Hz, 1H), 2.85 (d, *J* = 13.2 Hz, 1H), 2.59 (d, *J* = 13.2 Hz, 1H), 1.23 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 163.4 (d, *J* = 2.3 Hz), 161.7 (d, *J* = 246.2 Hz), 136.6 (d, *J* = 6.7 Hz), 130.5, 129.5, 128.8, 128.7 (d, *J* = 2.8 Hz), 128.4, 127.8, 127.7, 127.3, 127.0 (d, *J* = 7.4 Hz), 126.5, 118.4 (d, *J* = 21.7 Hz), 115.3 (d, *J* = 23.2 Hz), 55.8, 50.9, 45.8, 37.7, 22.2; **^{19}F NMR** (376 MHz, $CDCl_3$) δ -114.78. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{24}H_{22}FNO$: 359.1685, found 359.1683. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 15.4 min (major), 20.0 min (minor). $[\alpha]_D^{20}$ = -138.6 (*c* = 0.5, $CHCl_3$).



<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	15.500	25189	55.987	618263	50.390
2	20.055	19802	44.013	608695	49.610
Total		44990	100.000	1226958	100.000

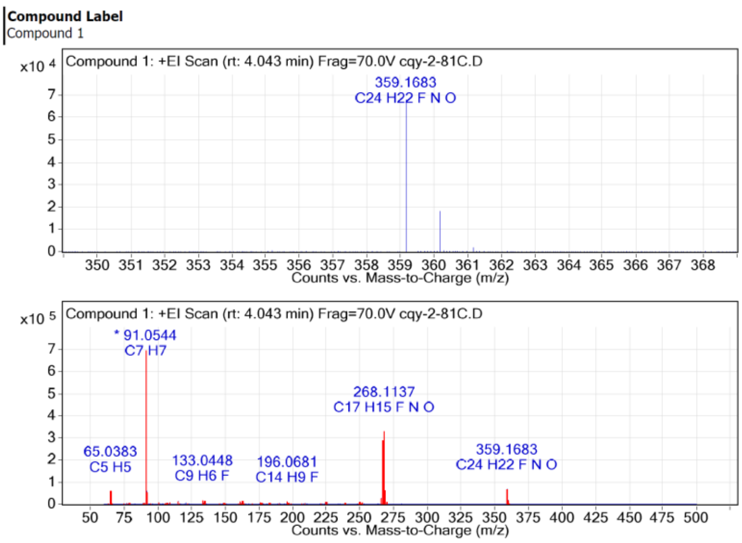
<Chromatogram>



<Peak Table>

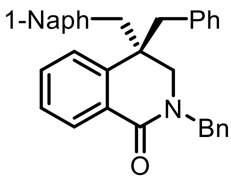
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	15.427	137833	97.034	3364459	96.318
2	19.999	4213	2.966	128630	3.682
Total		142046	100.000	3493089	100.000



m/z	Calc m/z	Diff(ppm)	mDa	Abund	Formula	Ion	Height%
359.1683	359.168	-0.85	-0.31	67953.95	C24 H22 F N O	M+	9.87
360.1717	360.1713	-1.19	-0.43	17996.09	C24 H22 F N O	M+	2.61
361.172	361.1745	6.75	2.44	1901.24	C24 H22 F N O	M+	0.28

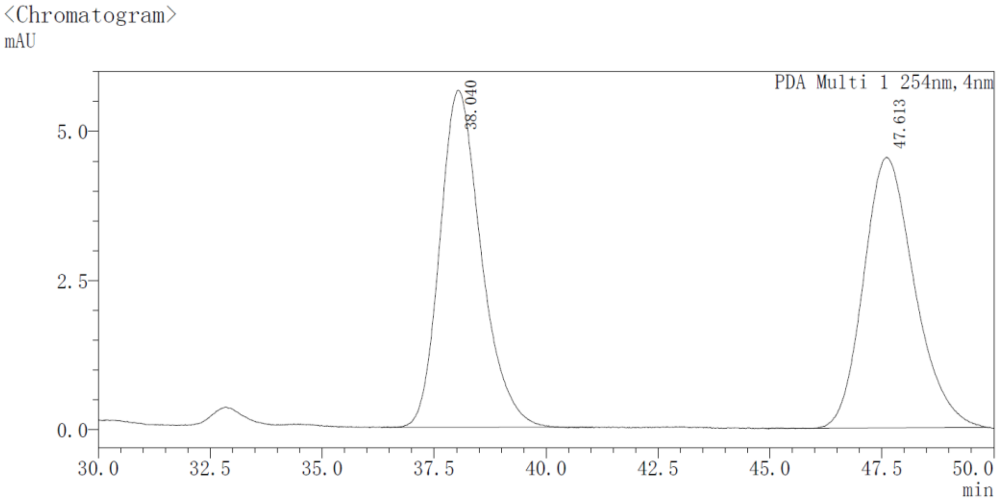
(*S*)-2,4-dibenzyl-4-(naphthalen-1-ylmethyl)-3,4-dihydroisoquinolin-1(2H)-one (7i)



7i

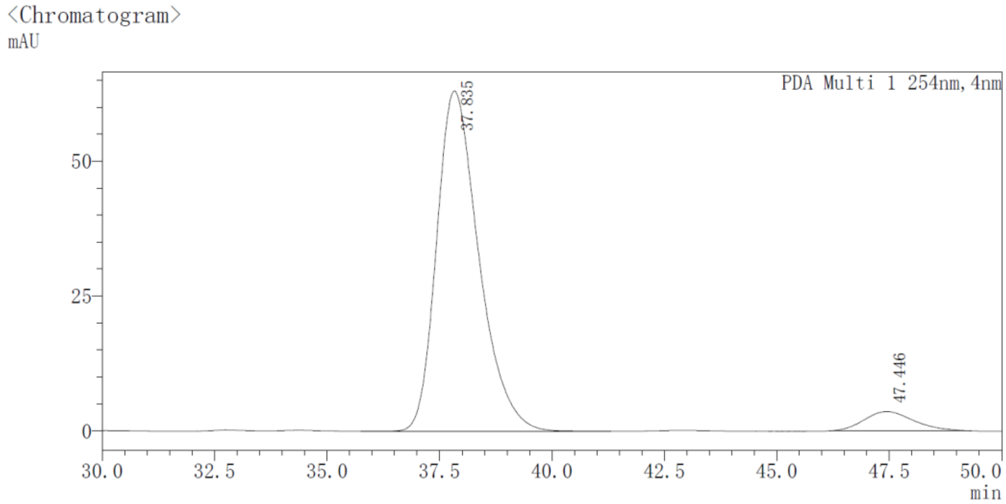
Prepared according to typical procedure from **2a** (61.2 mg, 0.3 mmol), *N*-allyl carboxamide **6i** (103.5 mg, 0.2 mmol), after a flash column chromatography (hexanes:

EA = 20:1-10:1) afforded the product **7i** as a yellow oil (50.4 mg, 56% yield) with 88% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.27 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.82 (dd, *J* = 8.2, 1.4 Hz, 1H), 7.71 (d, *J* = 8.2 Hz, 1H), 7.62 (d, *J* = 8.6 Hz, 1H), 7.44-7.24 (m, 10H), 7.22-7.17 (m, 3H), 7.02 (dd, *J* = 7.8, 1.2 Hz, 1H), 6.96 (dd, *J* = 7.0, 1.2 Hz, 1H), 6.93-6.90 (m, 2H), 4.61-4.45 (m, 2H), 3.68 (d, *J* = 14.6 Hz, 1H), 3.46-3.31 (m, 2H), 3.28-3.20 (m, 2H), 3.04 (d, *J* = 14.0 Hz, 1H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 163.7, 142.3, 136.7, 136.7, 133.6, 133.0, 132.8, 131.2, 130.6, 129.0, 128.7, 128.7, 128.6, 128.6, 128.3, 128.0, 127.5, 127.3, 127.0, 126.6, 126.2, 125.7, 125.2, 124.8, 123.5, 53.3, 50.6, 43.7, 42.6, 39.0. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{34}H_{29}NO$: 467.2249, found 467.2246. HPLC (AD-H, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 37.8 min (major), 47.4 min (minor). $[\alpha]_D^{20}$ = -1.5 (*c* = 0.5, $CHCl_3$).



<Peak Table>
PDA Ch1 254nm

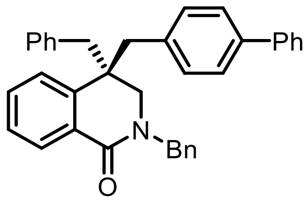
No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	38.040	5650	55.493	364186	50.337
2	47.613	4531	44.507	359306	49.663
Total		10181	100.000	723492	100.000



<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	37.835	63084	94.638	4114990	93.765
2	47.446	3574	5.362	273608	6.235
Total		66658	100.000	4388599	100.000

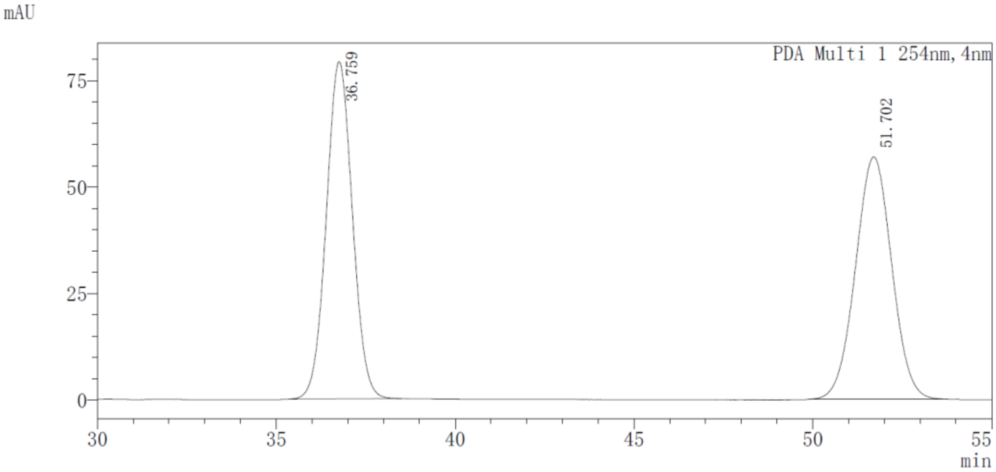
(*R*)-4-(3-([1,1'-biphenyl]-4-yl)prop-2-yn-1-yl)-2-(4-methoxybenzyl)-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (7j)



7j

Prepared according to typical procedure from **2h** (84.1 mg, 0.3 mmol), *N*-allyl carboxamide **6j** (99.4 mg, 0.2 mmol), after a flash column chromatography (hexanes: EA = 20:1-10:1) afforded the product **7j** as a yellow oil (67.2 mg, 70% yield) with 84% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.32-8.30 (m, 1H), 7.60-7.56 (m, 2H), 7.48-7.40 (m, 6H), 7.39-7.31 (m, 6H), 7.23-7.19 (m, 3H), 7.07-7.04 (m, 1H), 6.95-6.93 (m, 2H), 6.91-6.88 (m, 2H), 4.80-4.70 (m, 2H), 3.42-3.35 (m, 2H), 3.03 (d, *J* = 2.9 Hz, 4H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 163.9, 142.3, 140.5, 139.3, 136.8, 136.6, 135.6, 131.1, 130.6, 129.0, 128.8, 128.7, 128.7 (two peaks overlap), 128.6, 127.9, 127.6, 127.2, 127.2, 127.1, 126.9, 126.5, 126.3, 53.8, 50.9, 42.8, 42.3, 42.0. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{36}H_{31}NO$: 493.2406, found 493.2402. HPLC (IA, 2-propanol /n-hexane = 10/90, flow rate = 1.0 mL/min, l = 254 nm) tR = 36.7 min (major), 51.7 min (minor). $[\alpha]_D^{20}$ = -35.9 (*c* = 0.5, $CHCl_3$).

<Chromatogram>

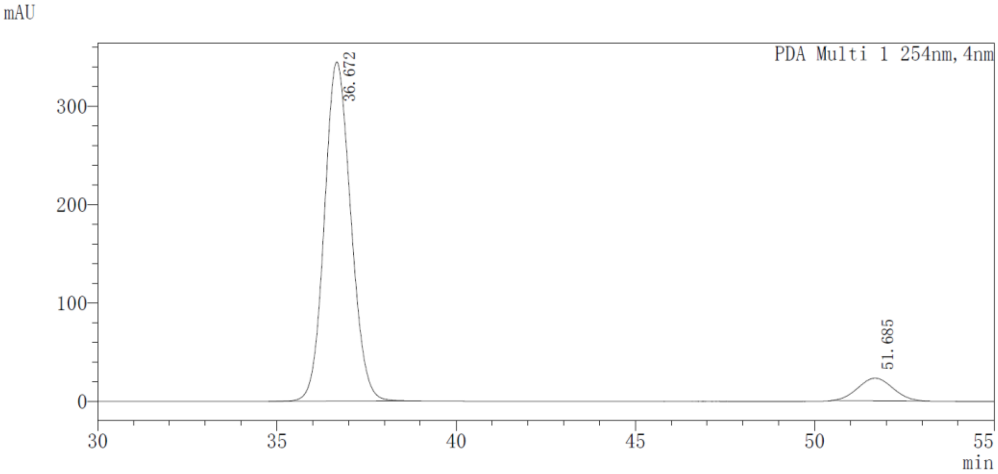


<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	36.759	79164	58.178	4022761	50.021
2	51.702	56909	41.822	4019424	49.979
Total		136073	100.000	8042184	100.000

<Chromatogram>

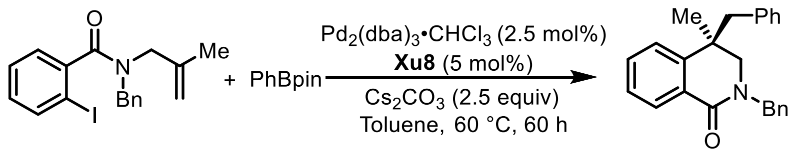


<Peak Table>

PDA Ch1 254nm

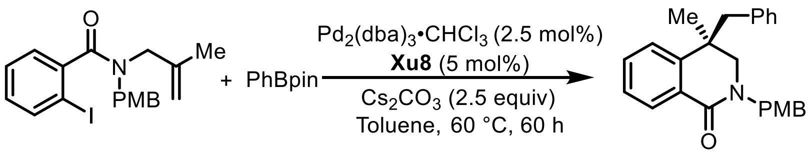
No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	36.672	344903	93.746	17656574	91.868
2	51.685	23010	6.254	1562845	8.132
Total		367912	100.000	19219420	100.000

4. Gram-scale synthesis of 3a



To a sealed tube was added **Xu8** (160 mg, 0.25 mmol), $Pd_2(dba)_3 \cdot CHCl_3$ (130 mg, 0.125 mmol). The flask was evacuated and refilled with argon. Toluene (1.0 mL/0.1 mmol) was added to the tube, and stirred at room temperature for 1 h. Then under argon atmosphere Cs_2CO_3 (4.1 g, 12.5 mmol), **2a** (1.53g, 7.5 mmol), *N*-allyl carboxamide **1a** (1.95 g, 5 mmol) were successively added. The reaction mixture was kept stirring at 60 °C for 60 h. After completion of the reaction (monitored by TLC), the mixture was concentrated in vacuum and the residue was purified by flash column chromatography on silica gel with petroleum ether-ethyl acetate as eluent to give the desired product **3a** (1.52 g, 89% yield, 92% *ee*).

Gram-scale synthesis of 5a:



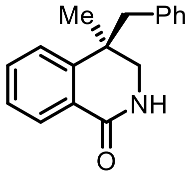
To a sealed tube was added **Xu8** (160 mg, 0.25 mmol), $Pd_2(dba)_3 \cdot CHCl_3$ (130 mg, 0.125 mmol). The flask was evacuated and refilled with argon. Toluene (1.0 mL/0.1 mmol) was added to the tube, and stirred at room temperature for 1 h. Then under argon atmosphere Cs_2CO_3 (4.1 g, 12.5 mmol), **2a** (1.53g, 7.5 mmol), *N*-allyl carboxamide **4a** (2.10 g, 5 mmol) were successively added. The reaction mixture was kept stirring at 60 °C for 60 h. After completion of the reaction (monitored by TLC), the mixture was concentrated in vacuum and the residue was purified by flash column chromatography on silica gel with petroleum ether-ethyl acetate as eluent to give the desired product **5a** (1.41 g, 76% yield, 94% *ee*).

General experimental procedure for synthesis of 8:[4]

A round bottom flask equipped with a magnetic stir bar and charged with a solution of **5a** (186 mg, 0.5 mmol) in TFA (1.6 mL) and Anisole (0.27 mL) stir at 80 °C for 20 h.

After the indicated time the reaction mixture was quenched with saturated sodium bicarbonate solution and extracted with EA. The combined organic phases were dried over Na_2SO_4 and concentrated in vacuo, and the reaction mixture was purified directly by chromatography on silica gel to afford the title product **8** (101 mg, 80 % yield, 94% *ee*).

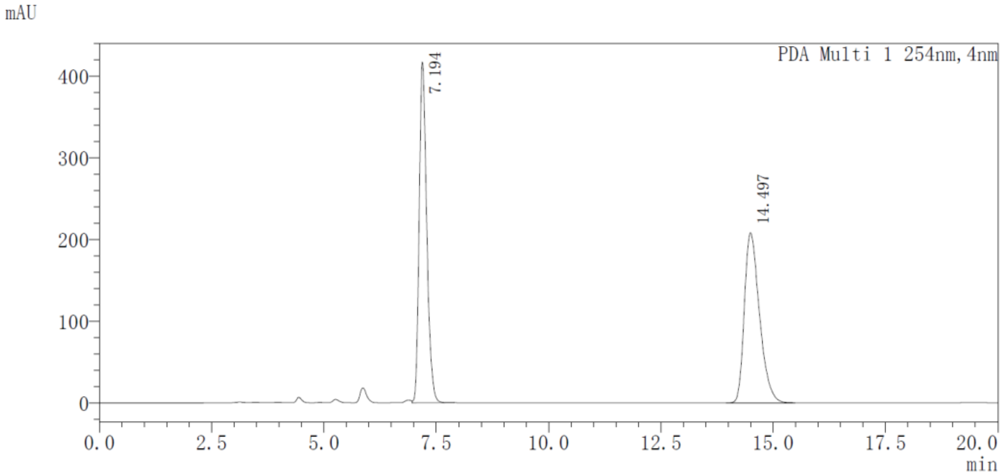
(*R*)-4-benzyl-4-methyl-3,4-dihydroisoquinolin-1(2H)-one (8)



8

Flash column chromatography on a silica gel (ethyl acetate: petroleum ether) give the product **8** as a yellow oil (100.9 mg, 80% yield) with 94% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.18 (dd, J = 7.5, 1.7 Hz, 1H), 7.57 (d, J = 4.6 Hz, 1H), 7.48-7.38 (m, 2H), 7.26-7.19 (m, 3H), 7.09 (dd, J = 7.6, 1.4 Hz, 1H), 7.00-6.94 (m, 2H), 3.40-3.27 (m, 2H), 2.97-2.89 (m, 2H), 1.31 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 166.6, 146.2, 136.8, 132.1, 130.4, 128.1, 127.8, 127.7, 126.8, 126.4, 124.8, 49.4, 45.3, 37.9, 21.9. **HRMS** (EI): m/z: $[M]^+$ Calcd for $C_{17}H_{17}NO$: 251.1310, found 251.1307. HPLC (AD-H, 2-propanol /n-hexane = 20/80, flow rate = 1.0 mL/min, l = 254 nm) tR = 7.2 min (major), 14.6 min (minor). $[\alpha]_D^{20}$ = -175.3 (c = 0.5, $CHCl_3$).

<Chromatogram>

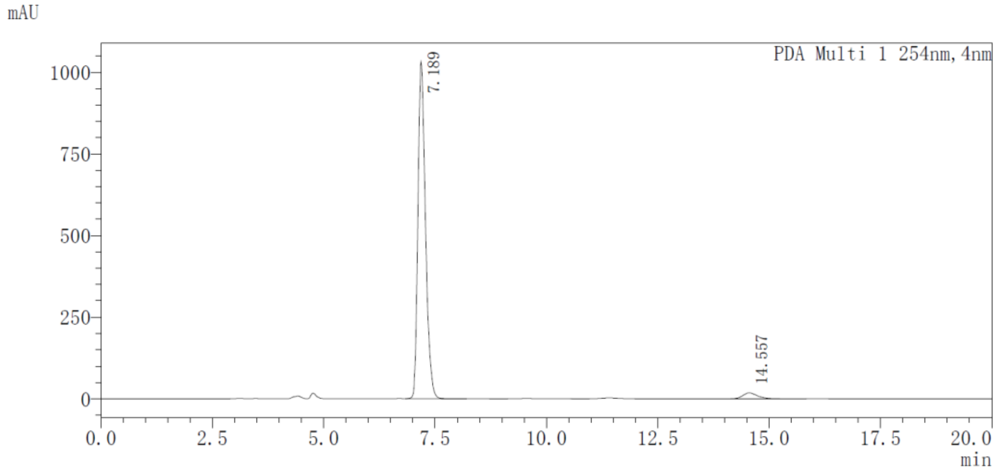


<Peak Table>

PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	7.194	416836	66.686	4877860	50.083
2	14.497	208236	33.314	4861672	49.917
Total		625072	100.000	9739532	100.000

<Chromatogram>



<Peak Table>

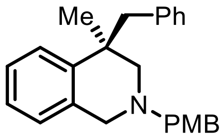
PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	7.189	1032706	98.295	12173774	96.761
2	14.557	17910	1.705	407512	3.239
Total		1050616	100.000	12581285	100.000

General experimental procedure for synthesis of 9: [5]

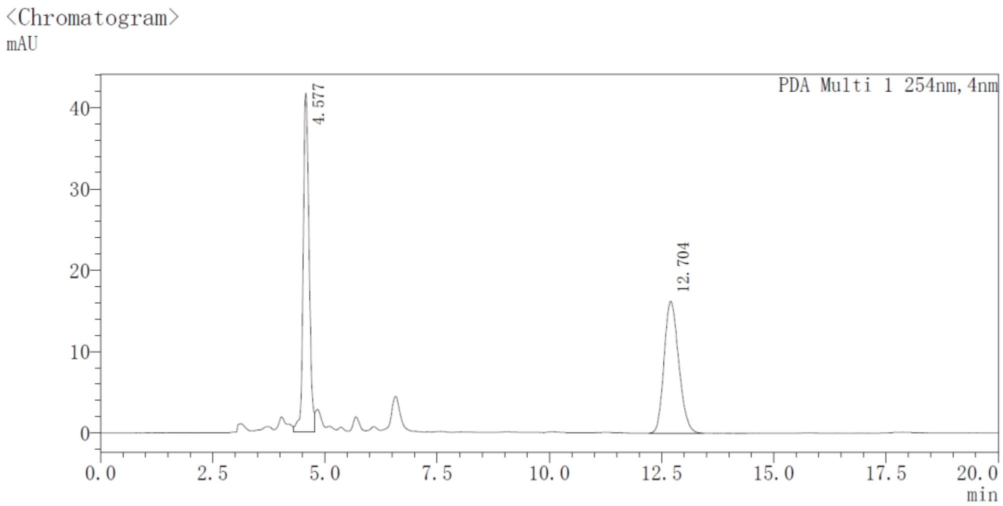
To a stirred solution of **5a** (74.3 mg, 0.2 mmol) in Et_2O (15 mL) at 0 ºC was added $LiAlH_4$ (11.4 mg, 0.3 mmol). After 3 h, the reaction mixture was quenched with brine and extracted with Et_2O. The combined organic phases were dried over Na_2SO_4 and concentrated in vacuo, and the reaction mixture was purified directly by chromatography on silica gel to afford the title product **9** (64.4 mg, 90 % yield, 93% *ee*).

(*R*)-4-benzyl-2-(4-methoxybenzyl)-4-methyl-1,2,3,4-tetrahydroisoquinoline (9)



9

Flash column chromatography on a silica gel (ethyl acetate: petroleum ether) give the product **9** as a yellow oil (64.4 mg, 90 % yield) with 93% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.44-7.40 (m, 2H), 7.28-7.15 (m, 6H), 7.08-6.95 (m, 5H), 3.91-3.87 (m, 4H), 3.68-3.60 (m, 2H), 3.47 (d, J = 14.7 Hz, 1H), 3.21 (d, J = 13.0 Hz, 1H), 2.89 (d, J = 13.0 Hz, 1H), 2.81 (dd, J = 11.6, 1.6 Hz, 1H), 2.15 (d, J = 11.5 Hz, 1H), 1.21 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 158.8, 143.3, 138.8, 134.4, 130.9, 130.6, 130.4, 127.6, 126.5, 126.3, 126.1, 125.8, 125.6, 113.7, 62.3, 60.6, 57.3, 55.3, 47.8, 39.3, 24.8. **HRMS** (ESI): m/z: $[M+H]^+$ Calcd for $C_{25}H_{27}NO$: 358.2171, found 358.2165. HPLC (AD-H, 2-propanol /n-hexane = 5/95, flow rate = 1.0 mL/min, l = 254 nm) tR = 4.6 min (major), 12.7 min (minor). $[\alpha]_D{}^{20}$ = -31.9 (c = 0.5, $CHCl_3$).

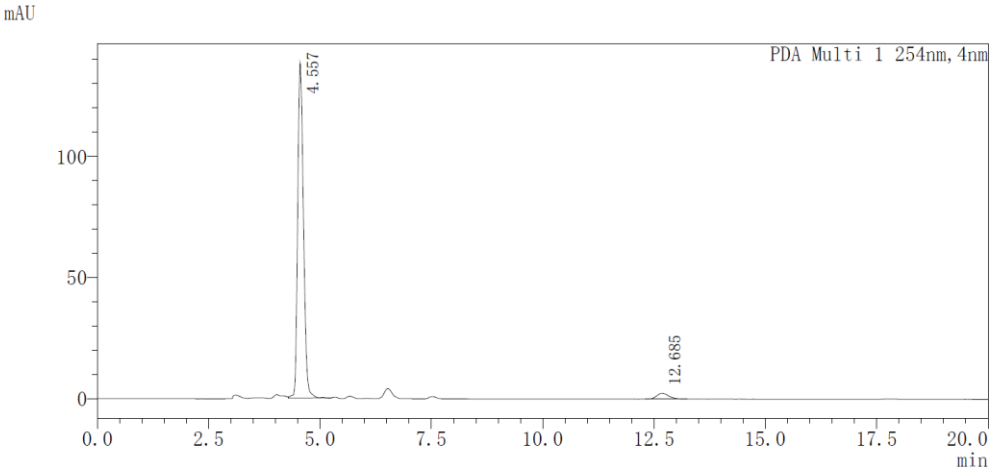


<Peak Table>

PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	4.577	41712	71.983	381555	50.874
2	12.704	16235	28.017	368447	49.126
Total		57947	100.000	750002	100.000

<Chromatogram>



<Peak Table>

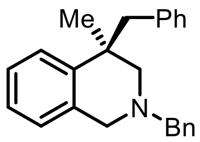
PDA Ch1 254nm

No.	Ret.Time(min)	Height(mAU)	Height%	Area(mAU*min)	Area%
1	4.557	138722	98.288	1252484	96.456
2	12.685	2416	1.712	46019	3.544
Total		141138	100.000	1298504	100.000

General experimental procedure for synthesis of 10: [5]

To a stirred solution of **3a** (136 mg, 0.4 mmol) in Et_2O (15 mL) at 0 ºC was added $LiAlH_4$ (22.8 mg, 0.6 mmol). After stirred for 3 h at the same temperature, the reaction mixture was quenched with brine and extracted with Et_2O. The combined organic phases were dried over Na_2SO_4 and concentrated in vacuo, and the reaction mixture was purified by chromatography on silica gel to afford the title product **10** (116 mg, 89 % yield, 90% *ee*).

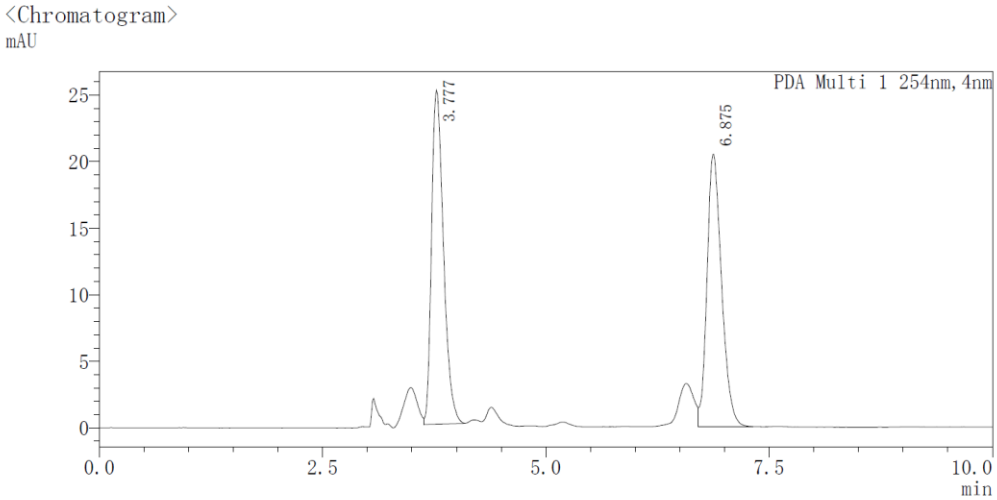
(*R*)-2,4-dibenzyl-4-methyl-1,2,3,4-tetrahydroisoquinoline (10)



10

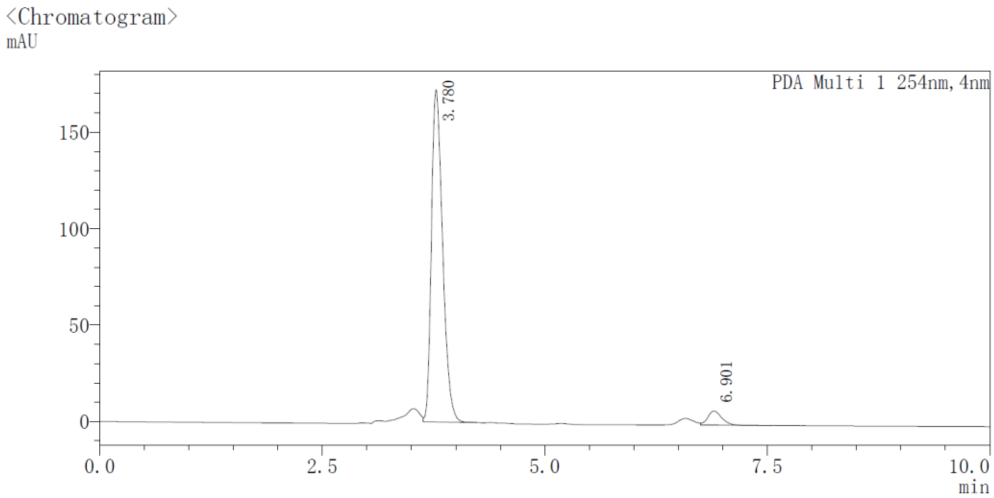
Flash column chromatography on a silica gel (ethyl acetate: petroleum ether) give the product **10** as a yellow oil (116.4 mg, 89% yield) with 90% *ee*. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.59-7.56 (m, 2H), 7.51-7.42 (m, 3H), 7.35-7.20 (m, 6H), 7.11-7.07 (m, 3H), 3.96 (dd, *J* = 15.0, 4.1 Hz, 1H), 3.82-3.70 (m, 2H), 3.55 (dd, *J* = 14.9, 3.9 Hz, 1H), 3.32-3.27 (m, 1H), 3.01-2.85 (m, 2H), 2.28-2.23 (m, 1H), 1.32-1.28 (m, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 143.2, 138.8, 138.6, 134.3, 130.9, 129.2, 128.3, 127.6, 127.1, 126.5, 126.3, 126.1, 125.8, 125.6, 63.0, 60.9, 57.3, 47.8, 39.3, 24.8. **HRMS** (ESI): m/z:

[M+H]+ Calcd for $C_{24}H_{25}N$: 328.2065, found 328.2060. HPLC (AD-H, 2-propanol /n-hexane = 5/95, flow rate = 1.0 mL/min, l = 254 nm) tR = 3.8 min (major), 6.9 min (minor). $[\alpha]_D^{20}$ = -48.9 (c = 0.5, $CHCl_3$).



<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	3.777	25096	55.074	232686	50.123
2	6.875	20472	44.926	231541	49.877
Total		45568	100.000	464227	100.000



<Peak Table>
PDA Ch1 254nm

No.	Ret. Time (min)	Height (mAU)	Height%	Area (mAU*min)	Area%
1	3.780	172096	95.929	1504098	94.995
2	6.901	7303	4.071	79254	5.005
Total		179399	100.000	1583352	100.000

5. References:

[1]. C. Cheng, B. Wan, B. Zhou, Y. Gu, Y. Zhang, *Chem. Sci*. **2019**, *10*, 9853– 9858.

[2]. L. J. Zhou, S. L. Li, B. Xu, D. T. Ji, L. Z. Wu, Y. Liu, Z. M. Zhang, J. Zhang, *Angew. Chem. Int. Ed*. **2020**, *59*, 2769; *Angew. Chem*. **2020**, *132*, 2791.

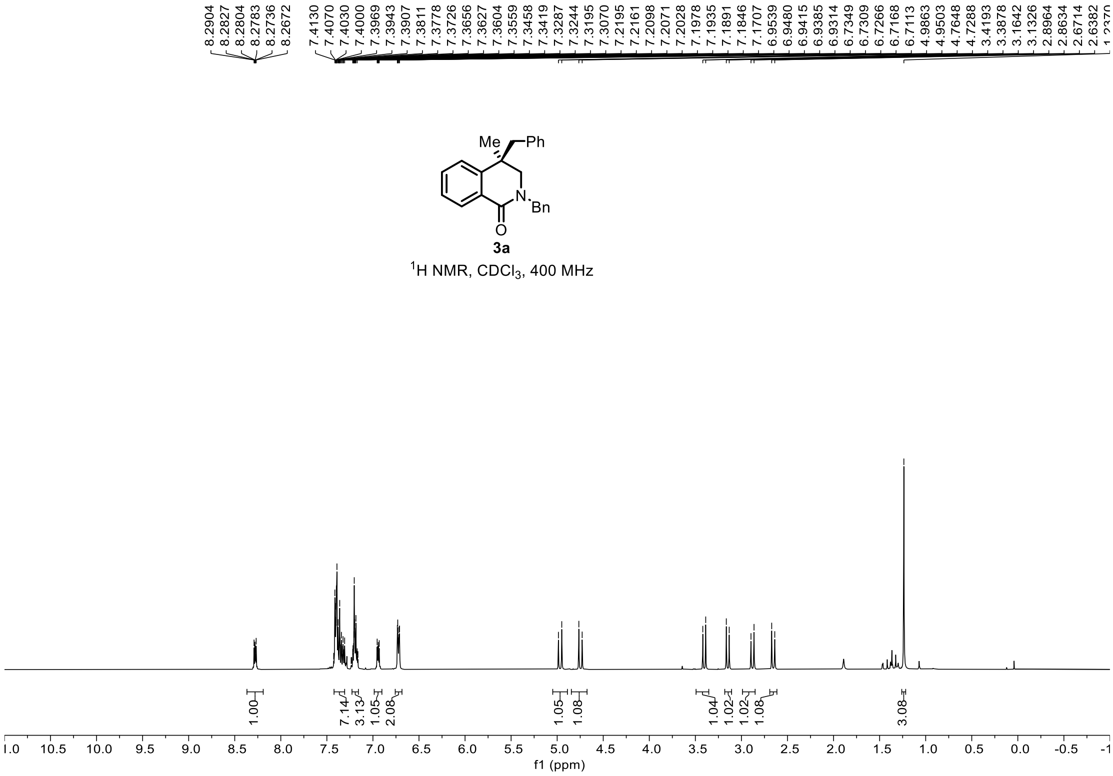
[3]. Zhang, Z.-M.; Xu, B.; Qian, Y.; Wu, L.; Wu, Y.; Zhou, L.; Liu, Y.; Zhang, J. L. *Angew. Chem. Int. Ed.* **2018**, *57*, 10373-10377; *Angew. Chem.* **2018**, *130*, 10530 –10534

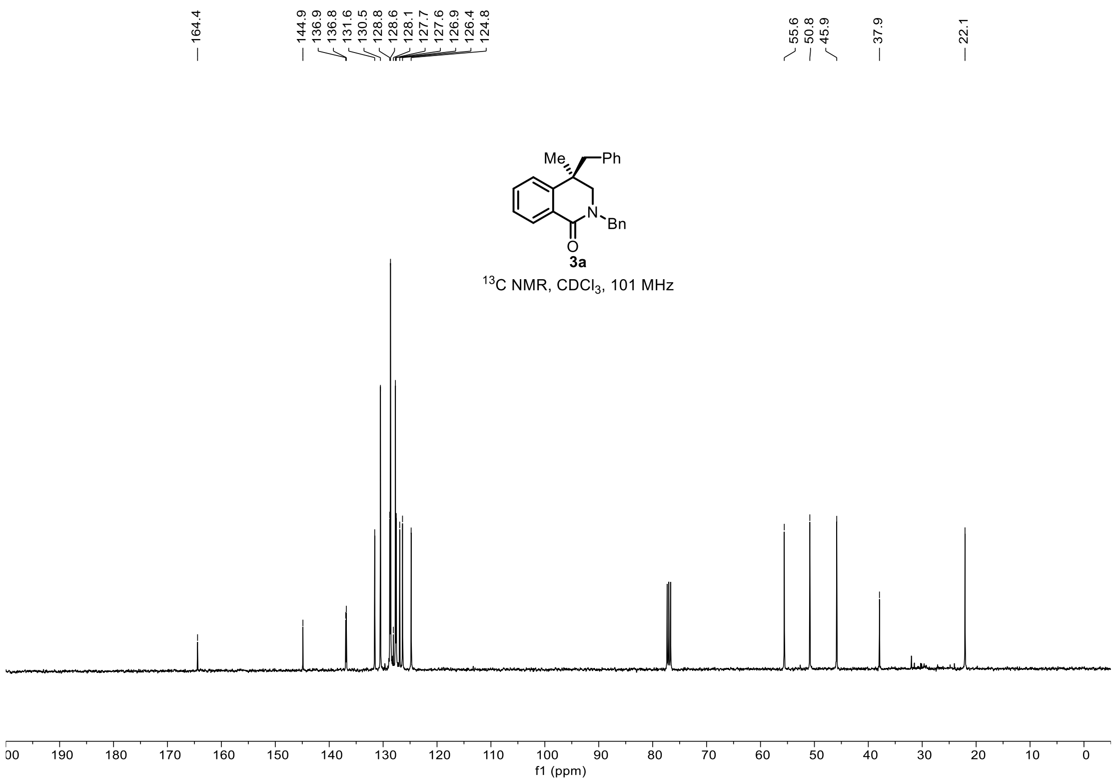
[4]. J. Pedroni, T. Saget, P. A. Donets and N. Cramer, *Chem. Sci*. **2015**, *6*, 5164.

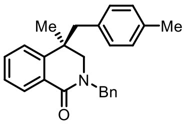
[5]. L. Mengozzi, A. Gualandi, and P. G. Cozzi, *Chem. Sci.* **2014**, 5, 3915.

[6] CCDC 2068939 (**5h**) contains the supplementary crystallographic data for this paper. These data are provided free of charge by The Cambridge Crystallographic Data Centre.

6. NMR spectra of products:

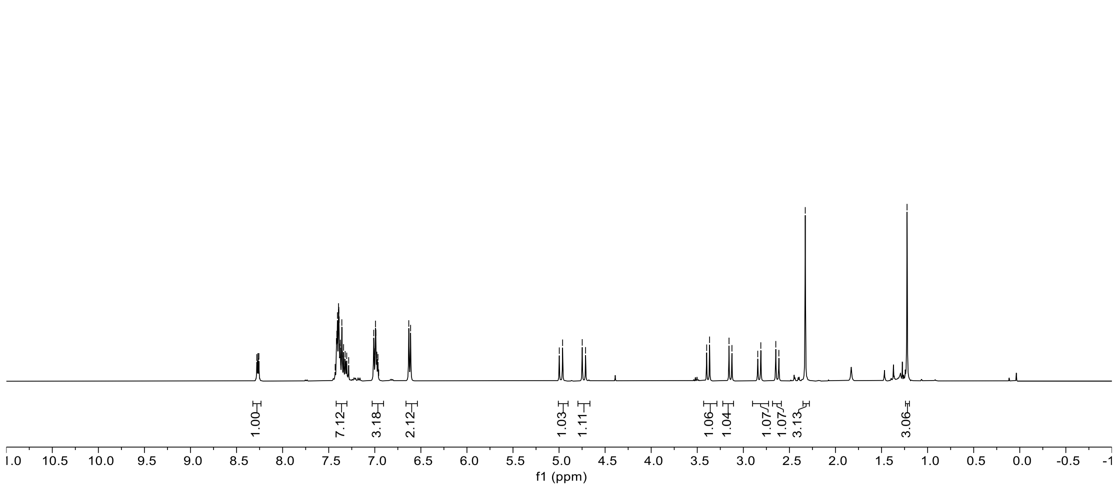


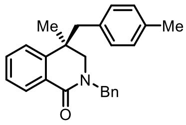




3b

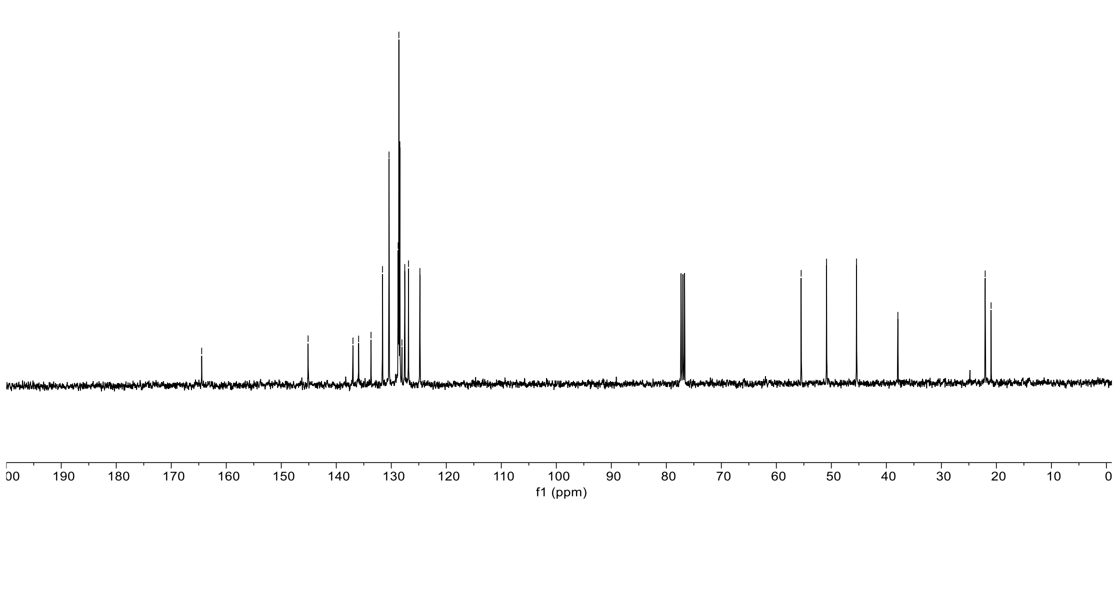
^1H NMR, $CDCl_3$, 400 MHz

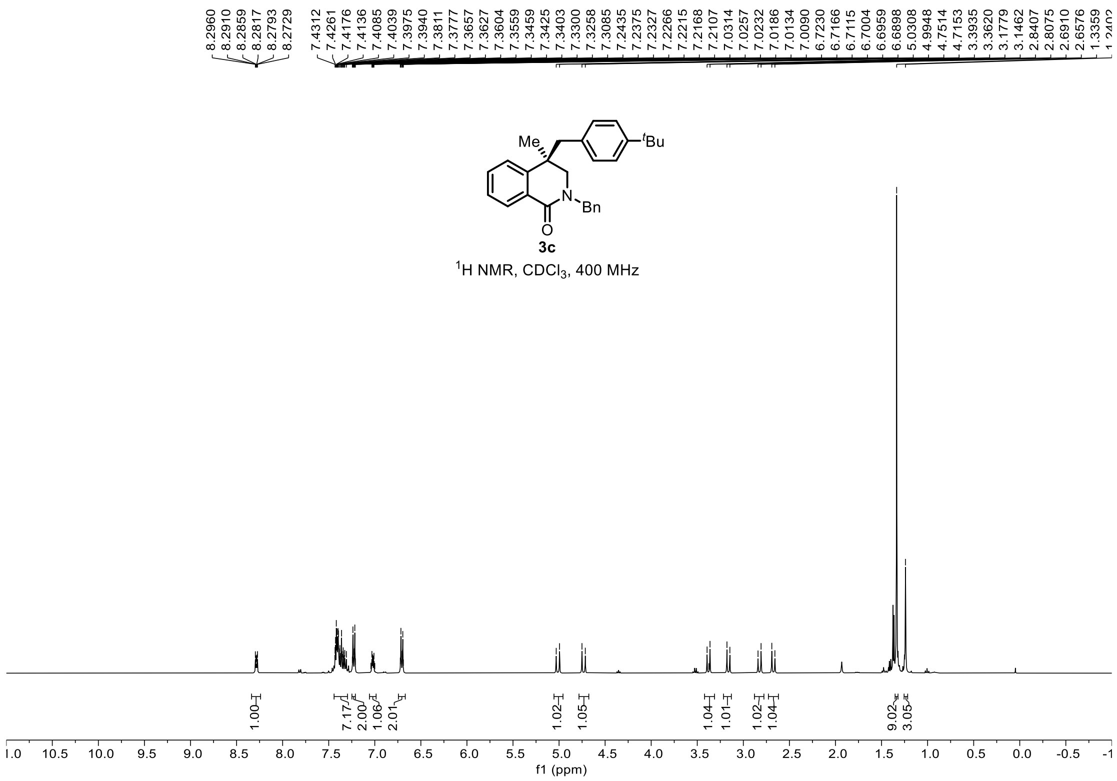




3b

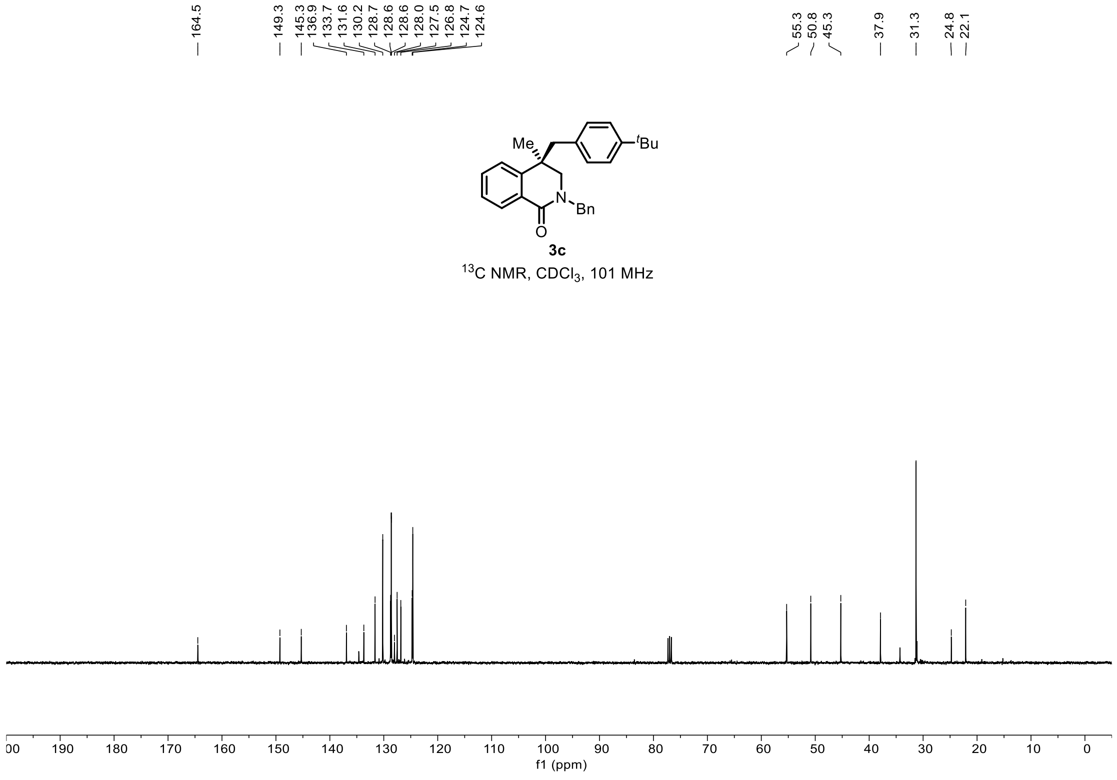
^{13}C NMR, $CDCl_3$, 101 MHz





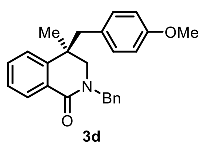
3c

^{1}H NMR, $CDCl_3$, 400 MHz



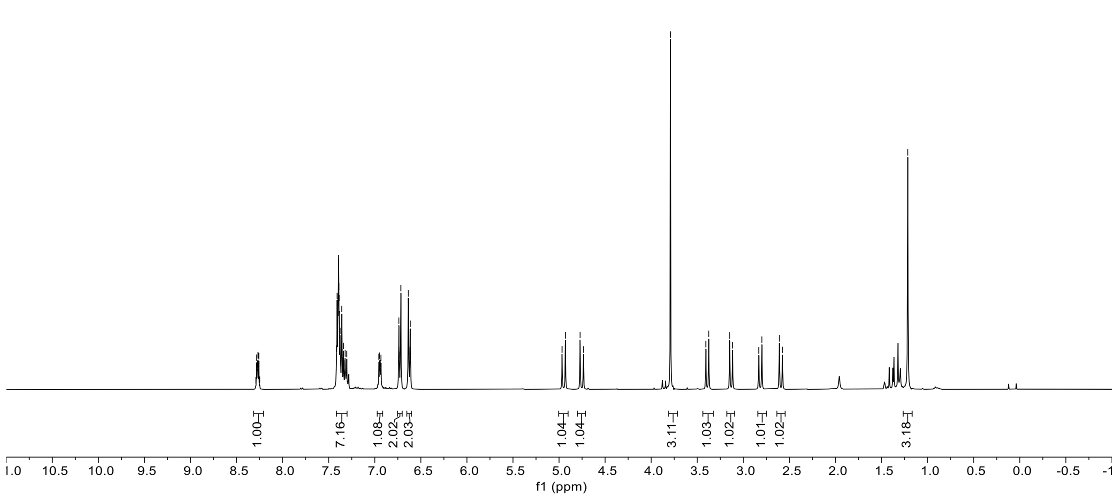
3c

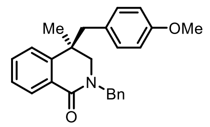
^{13}C NMR, $CDCl_3$, 101 MHz



3d

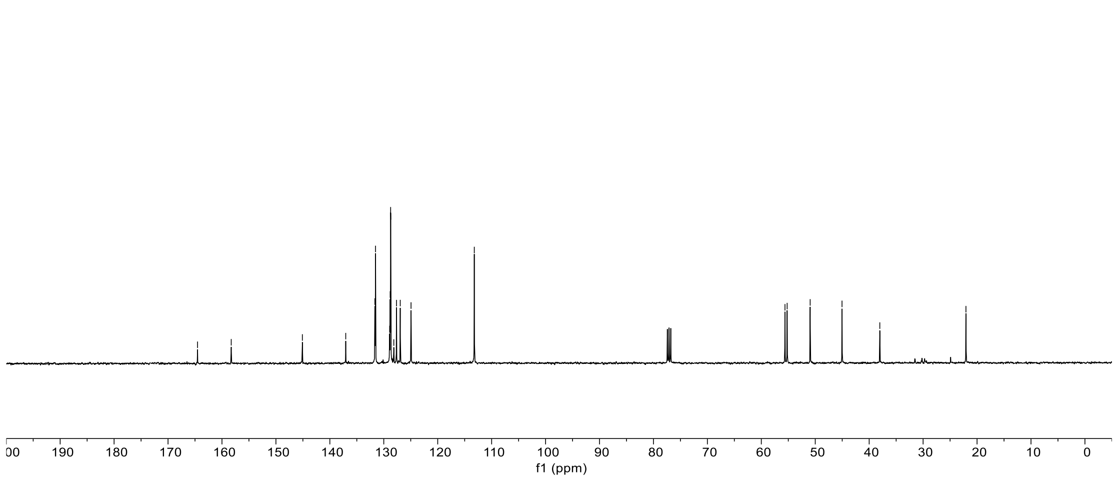
^{1}H NMR, $CDCl_3$, 400 MHz

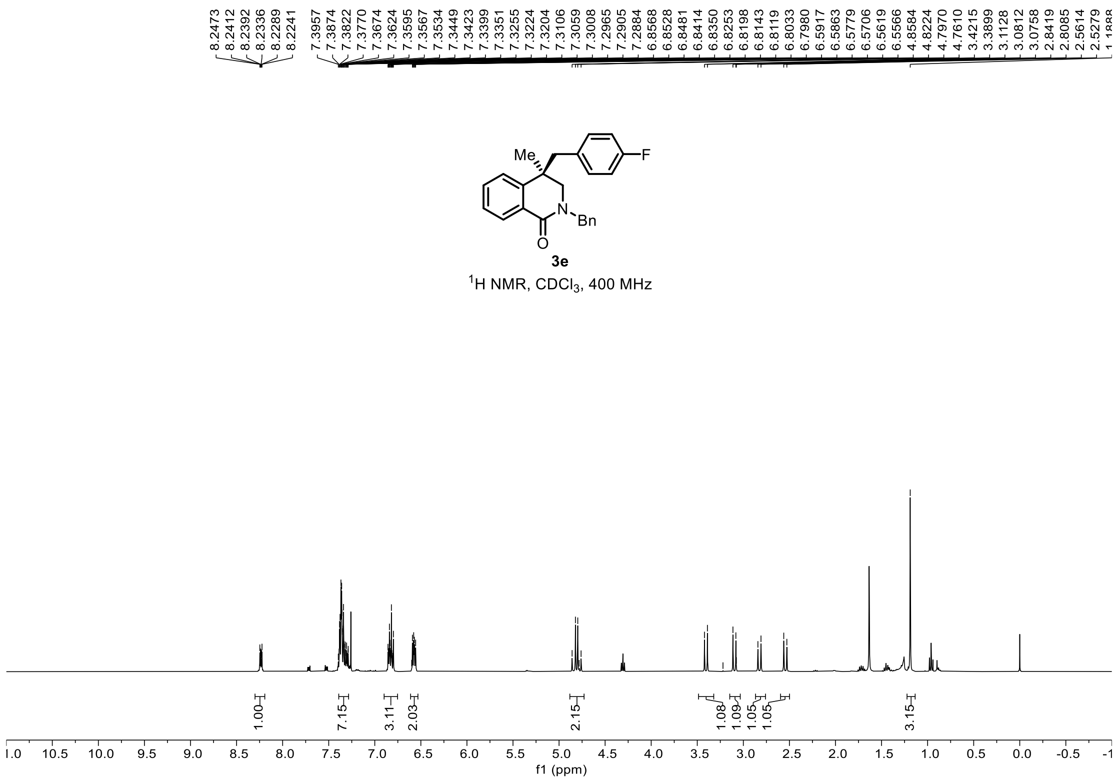




3d

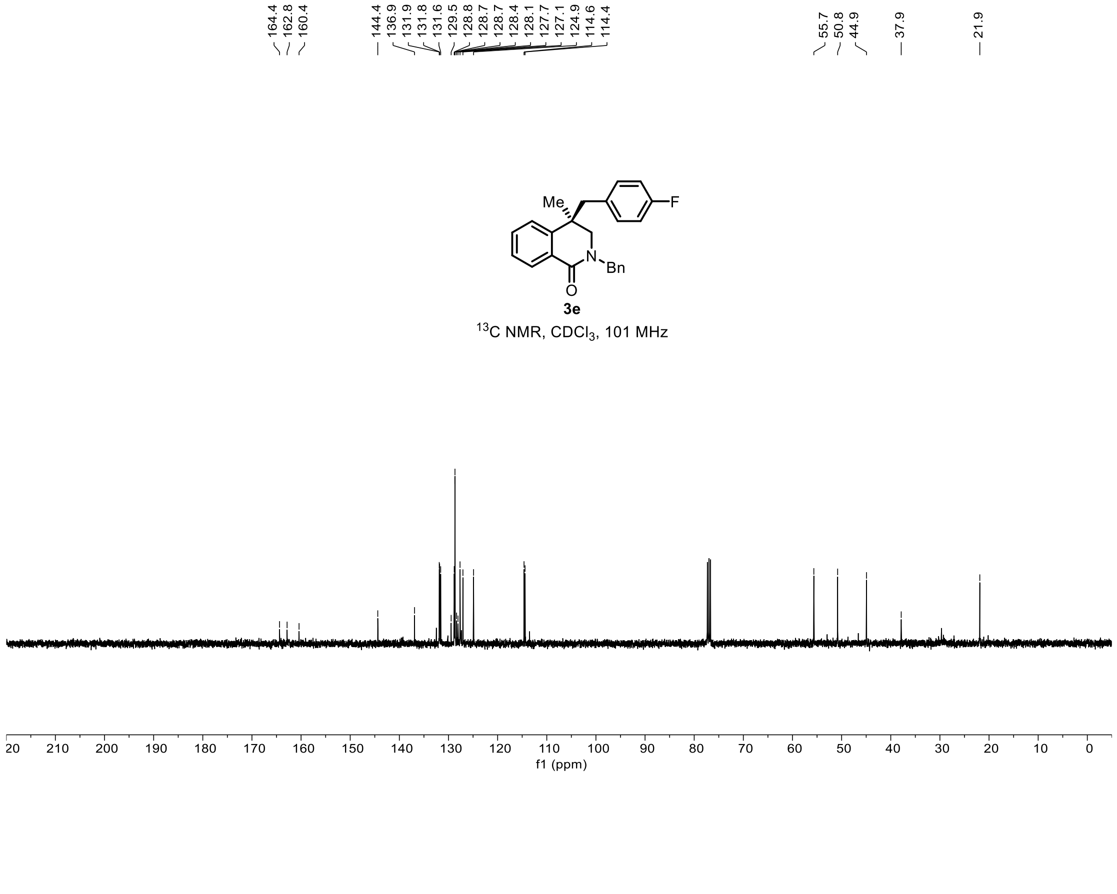
^{13}C NMR, $CDCl_3$, 101 MHz





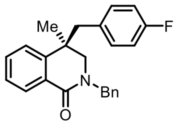
3e

^1H NMR, $CDCl_3$, 400 MHz



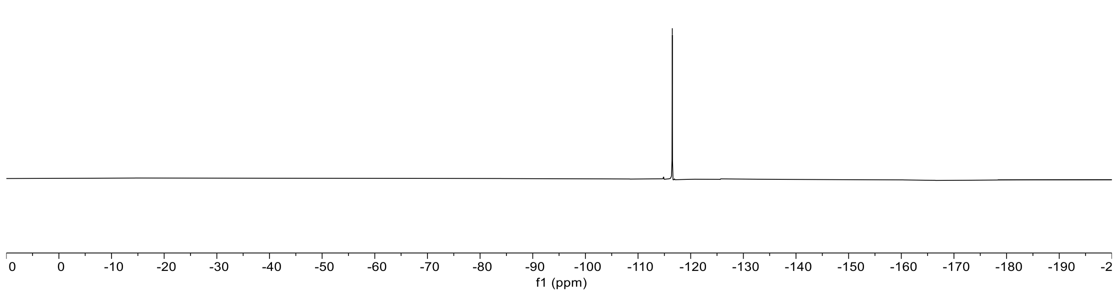
3e

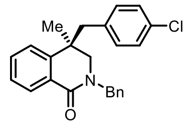
^{13}C NMR, $CDCl_3$, 101 MHz



3e

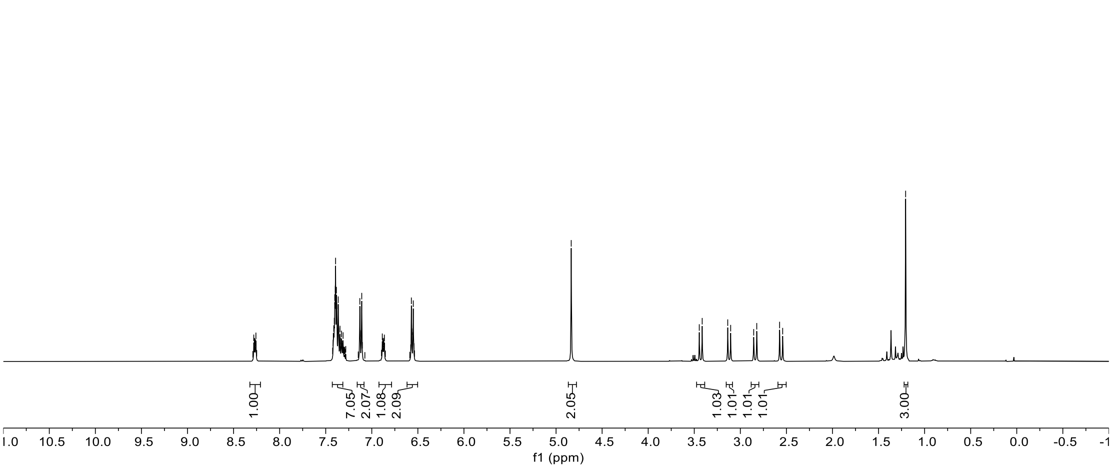
^{19}F NMR, $CDCl_3$, 376 MHz

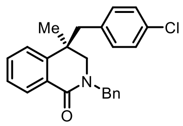




3f

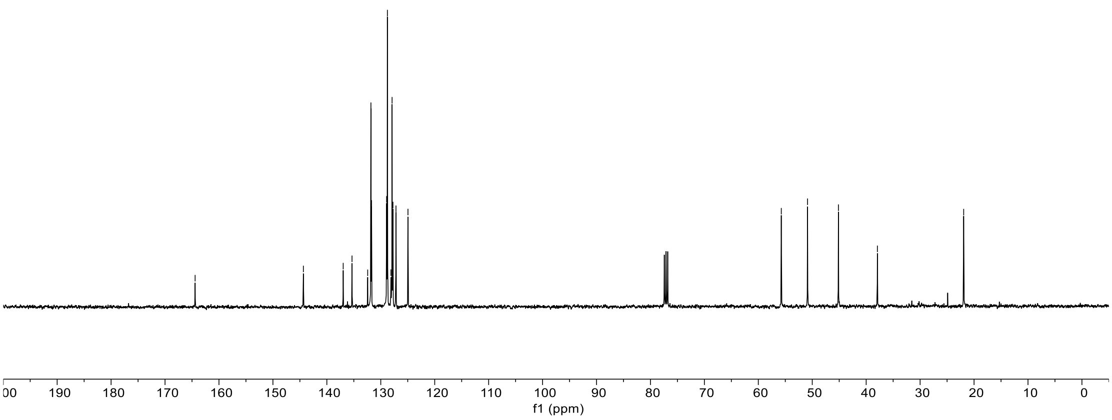
^{1}H NMR, $CDCl_3$, 400 MHz

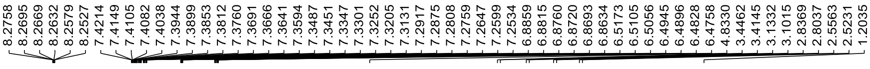


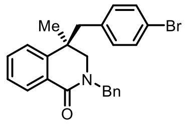


3f

^{13}C NMR, $CDCl_3$, 101 MHz

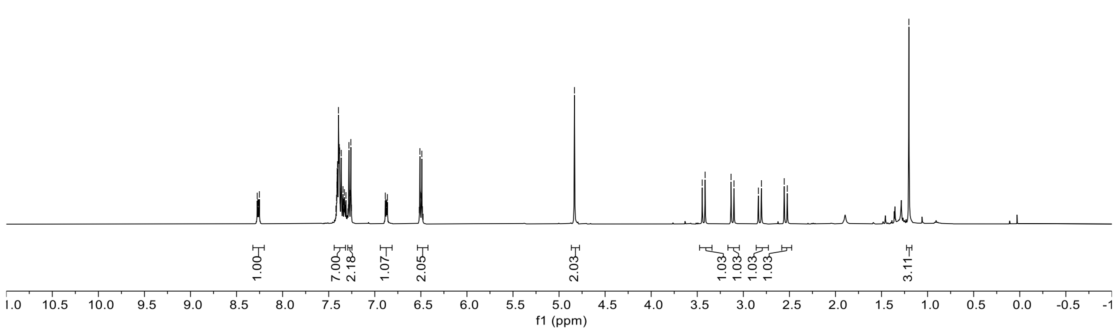


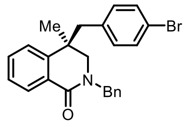




3g

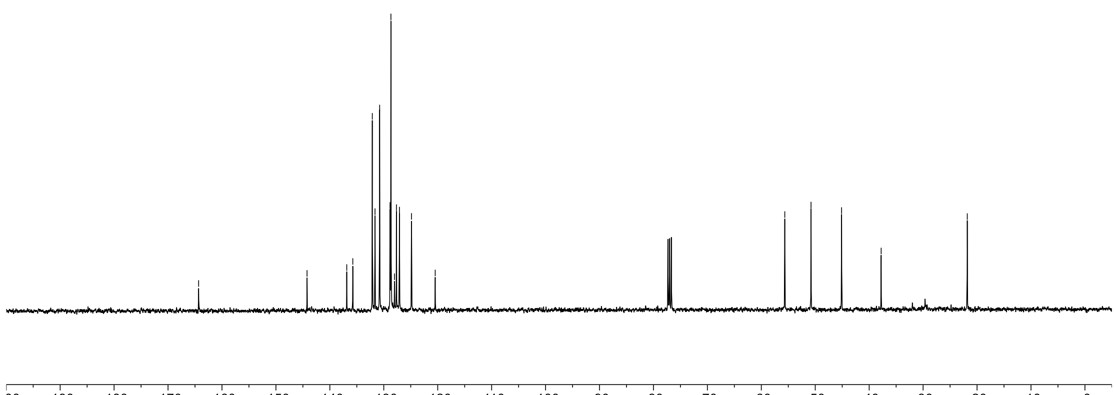
^{1}H NMR, $CDCl_3$, 400 MHz

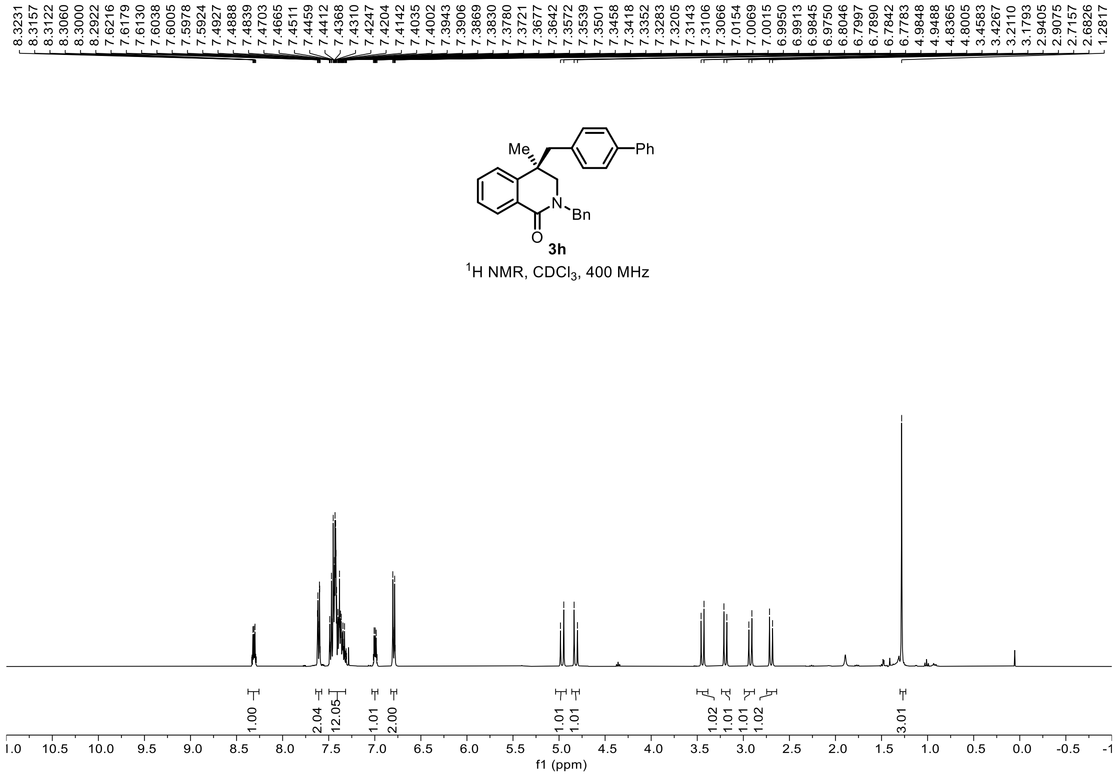


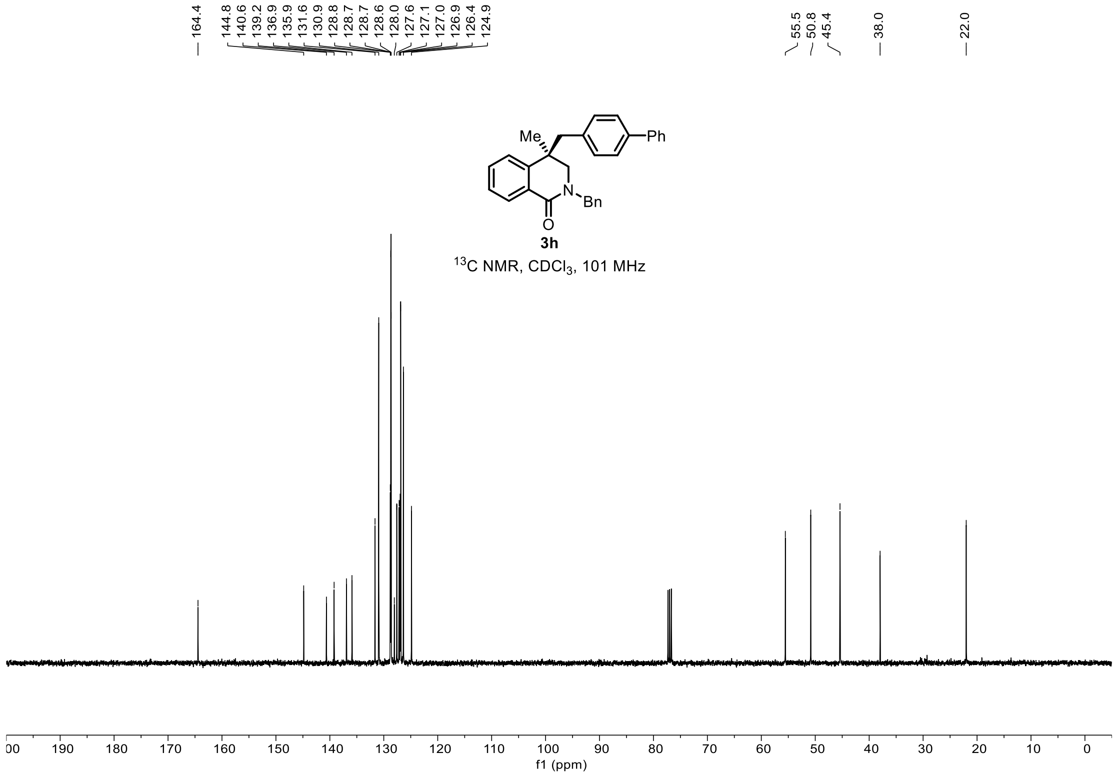


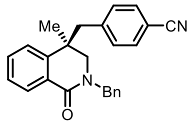
3g

^{13}C NMR, $CDCl_3$, 101 MHz



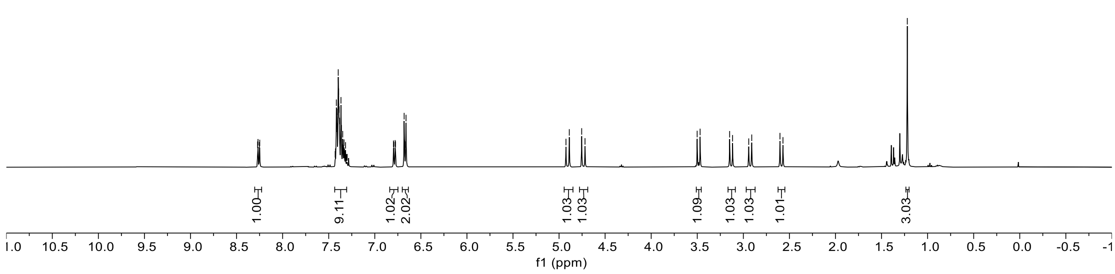

8.3231 8.3157 8.3122 8.3060 8.3000 8.2922 7.6216 7.6179 7.6130 7.6038 7.6005 7.5978 7.5924 7.4927 7.4888 7.4839 7.4703 7.4665 7.4511 7.4459 7.4412 7.4368 7.4310 7.4247 7.4204 7.4142 7.4035 7.4002 7.3943 7.3906 7.3869 7.3830 7.3780 7.3721 7.3677 7.3642 7.3572 7.3539 7.3501 7.3458 7.3418 7.3352 7.3283 7.3205 7.3143 7.3106 7.3066 7.0154 7.0069 7.0015 6.9950 6.9913 6.9845 6.9750 6.8046 6.7997 6.7890 6.7842 6.7783 4.9848 4.9488 4.8365 4.8005 3.4583 3.4267 3.2110 3.1793 2.9405 2.9075 2.7157 2.6826 1.2817
Me
Ph
N
Bn
O
3h
^{1}H NMR, CDCl$_3$, 400 MHz
1.00
2.04
12.05
1.01
2.00
1.01
1.01
1.02
1.01
1.01
1.02
3.01
f1 (ppm)

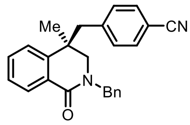

164.4
144.8 140.6 139.2 136.9 135.9 131.6 130.9 128.8 128.7 128.7 128.6 128.0 127.6 127.1 127.0 126.9 126.4 124.9
55.5 50.8 45.4
38.0
22.0
Me
Ph
N
Bn
O
3h
^{13}C NMR, CDCl$_3$, 101 MHz
f1 (ppm)



3i

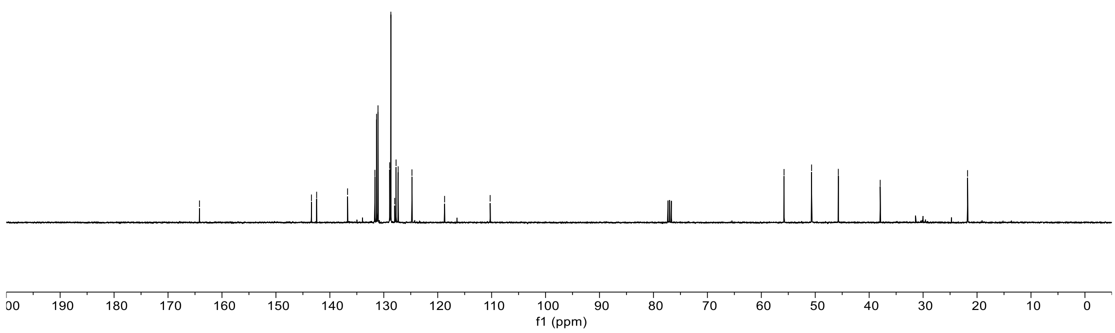
^{1}H NMR, $CDCl_3$, 400 MHz

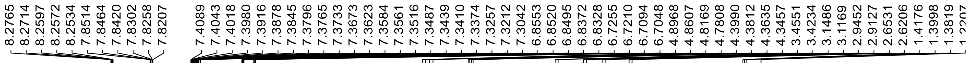


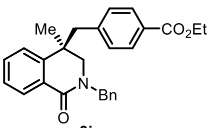


3i

^{13}C NMR, $CDCl_3$, 101 MHz







3j

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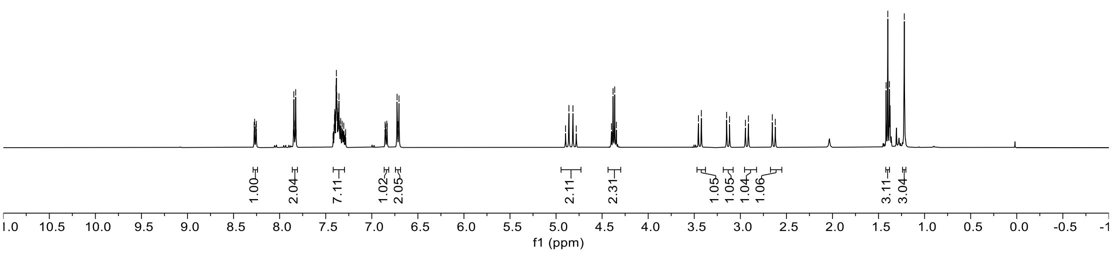

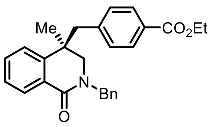

3j

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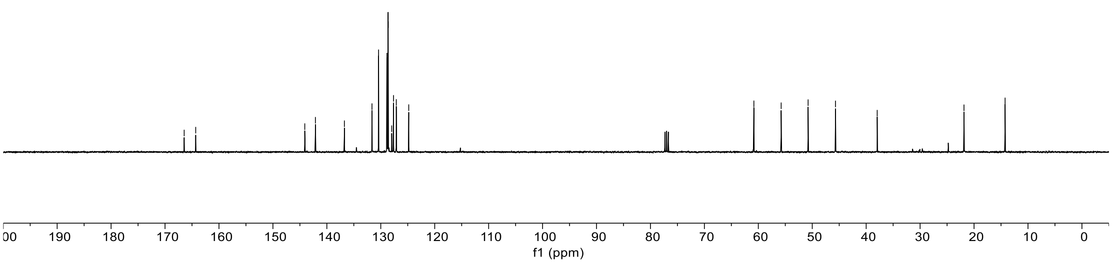
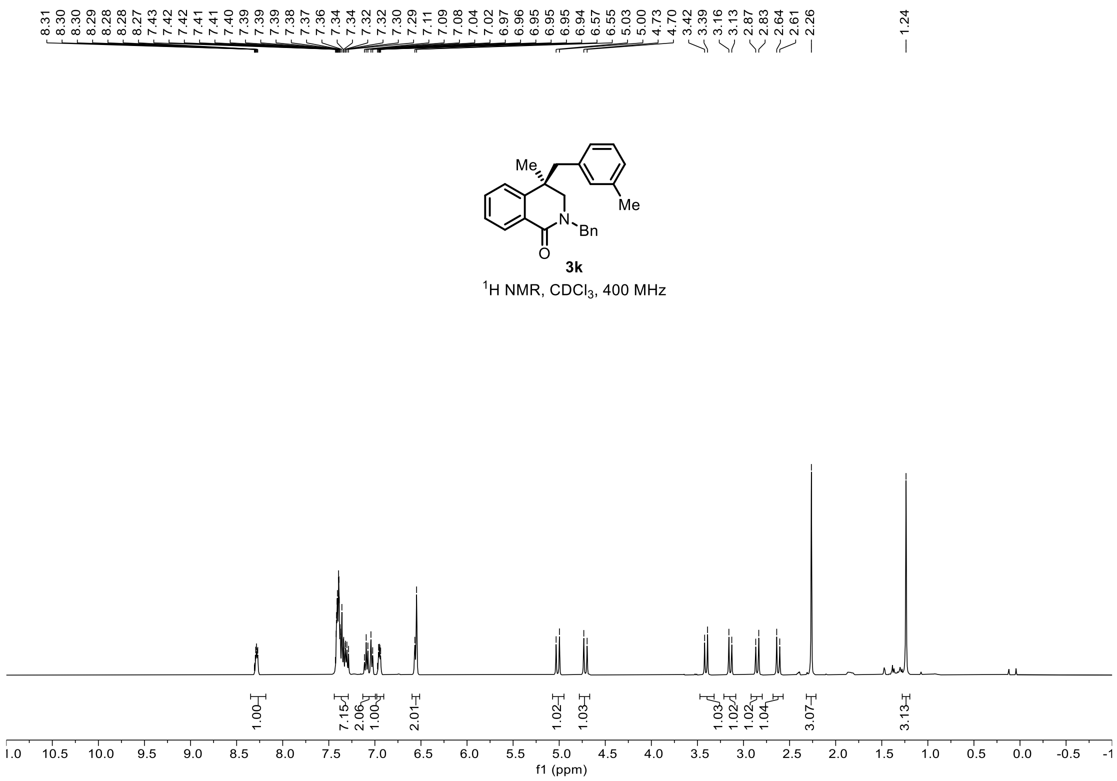
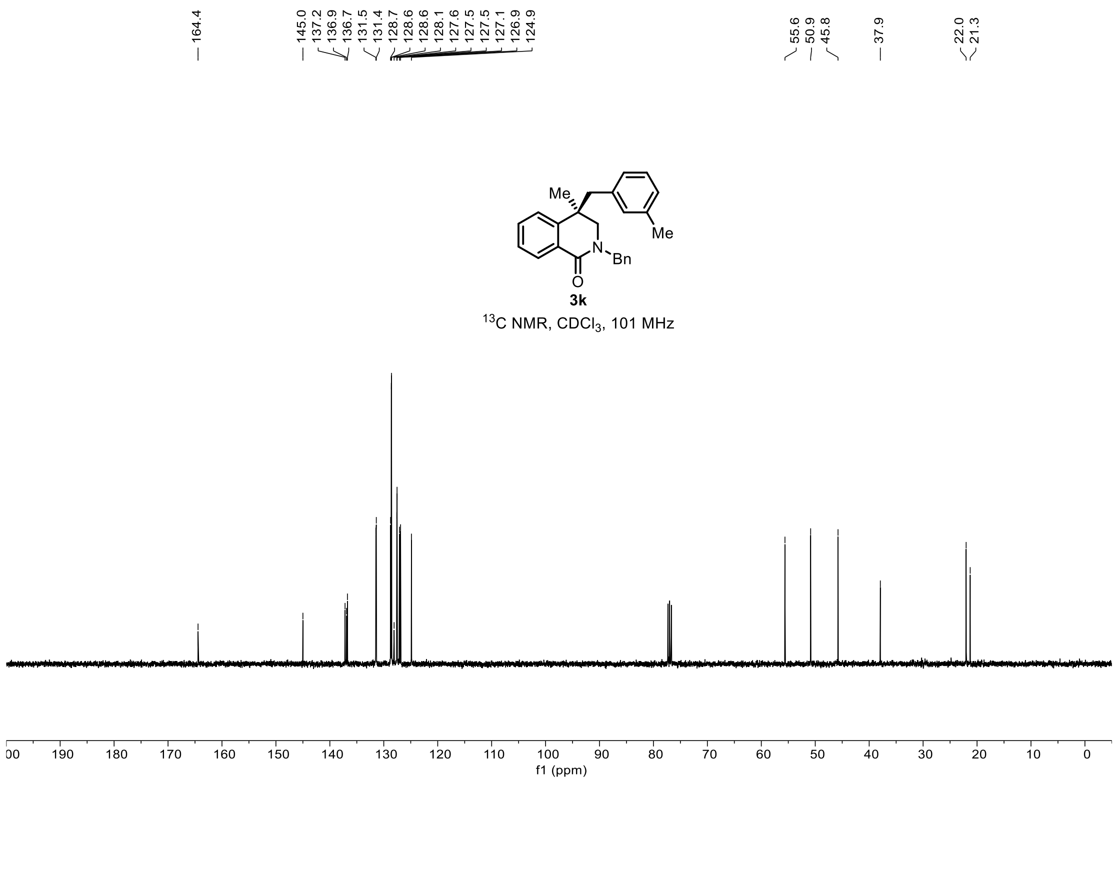




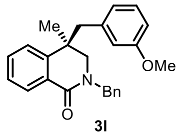
3k

^{1}H NMR, $CDCl_3$, 400 MHz



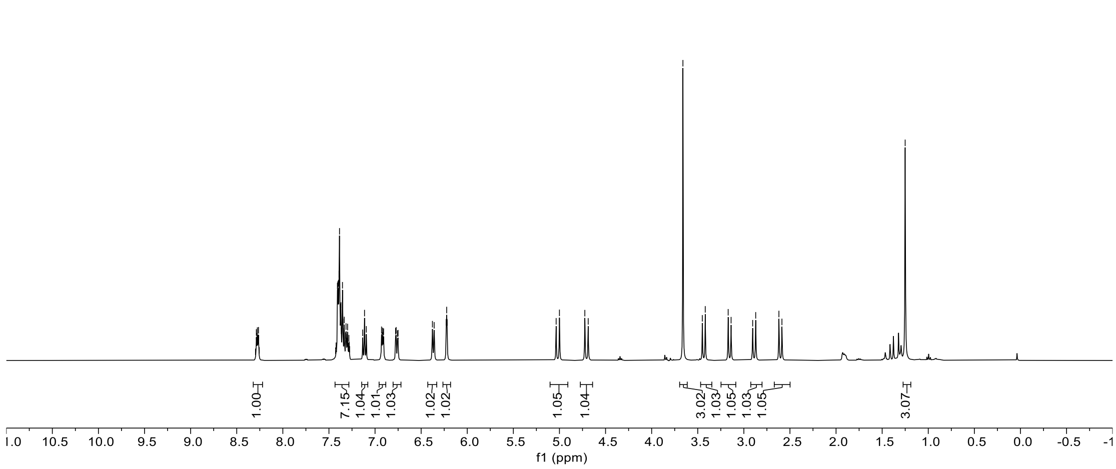
3k

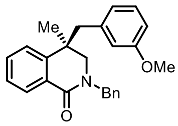
^{13}C NMR, $CDCl_3$, 101 MHz



3l

^{1}H NMR, $CDCl_3$, 400 MHz





3l

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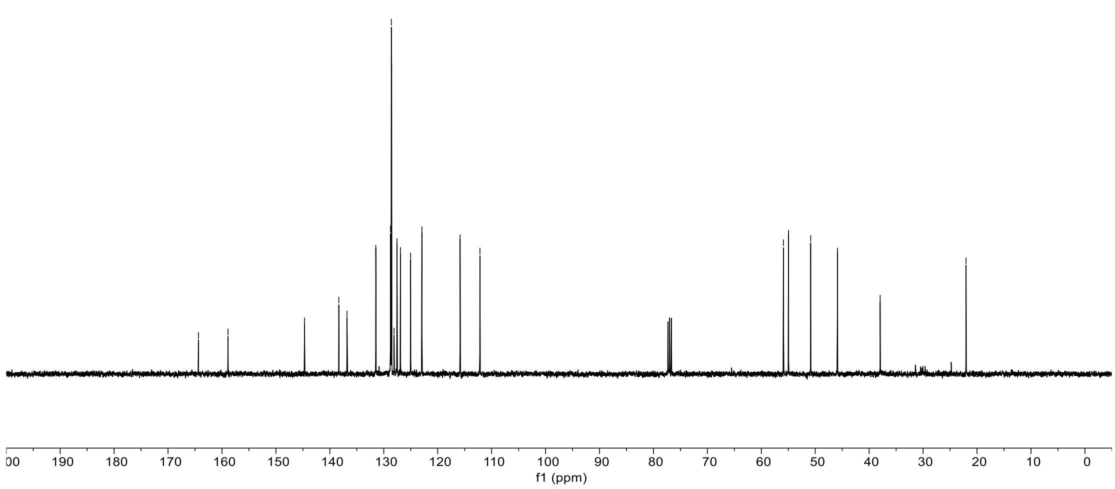

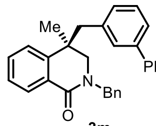

3m

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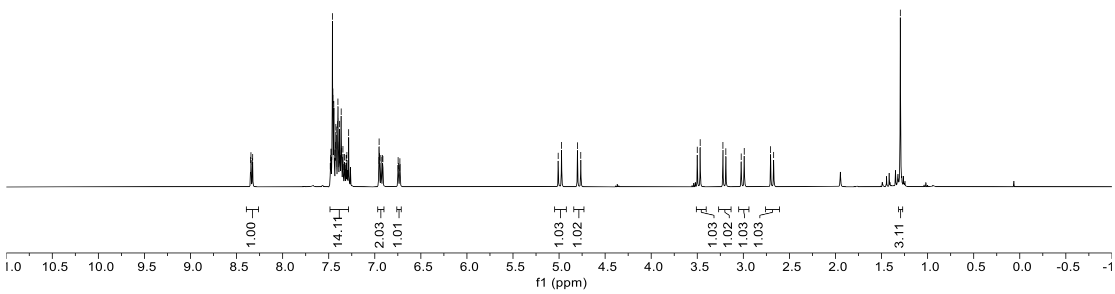
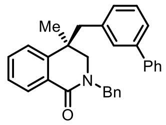
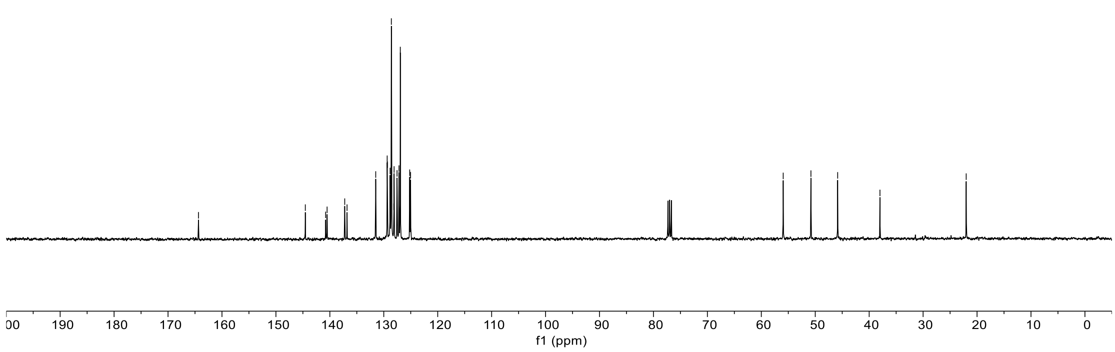
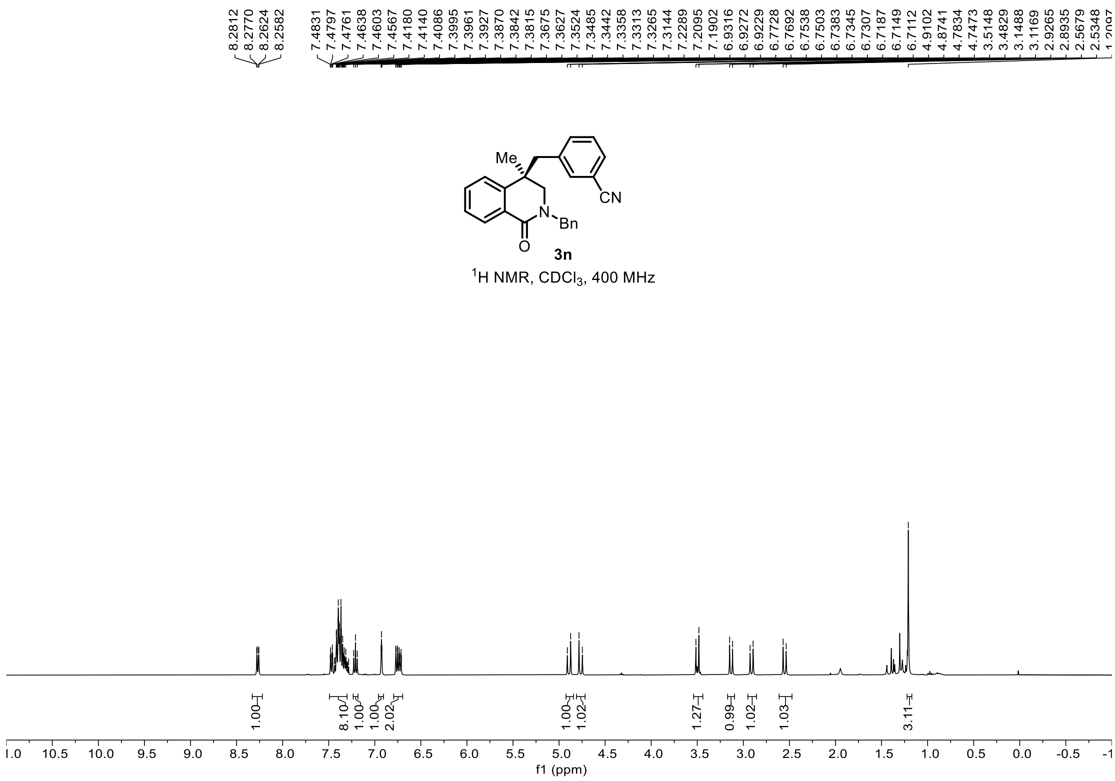


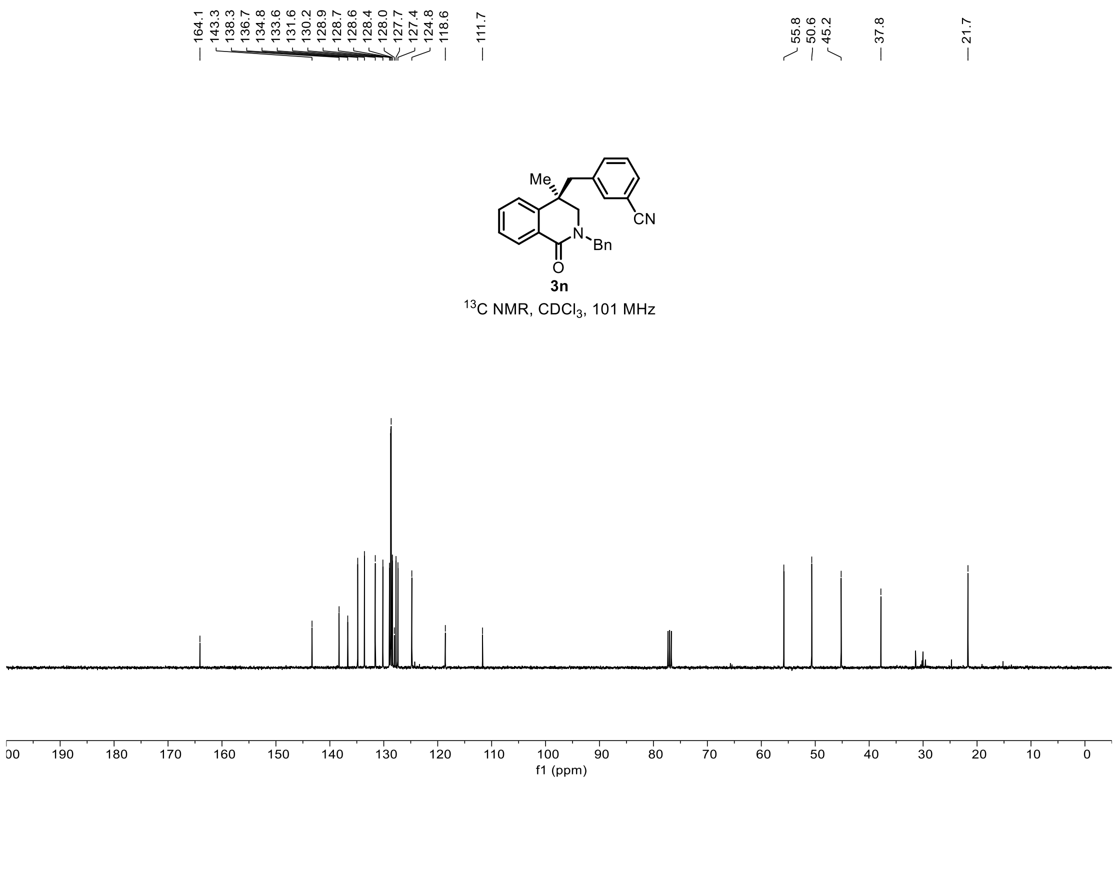


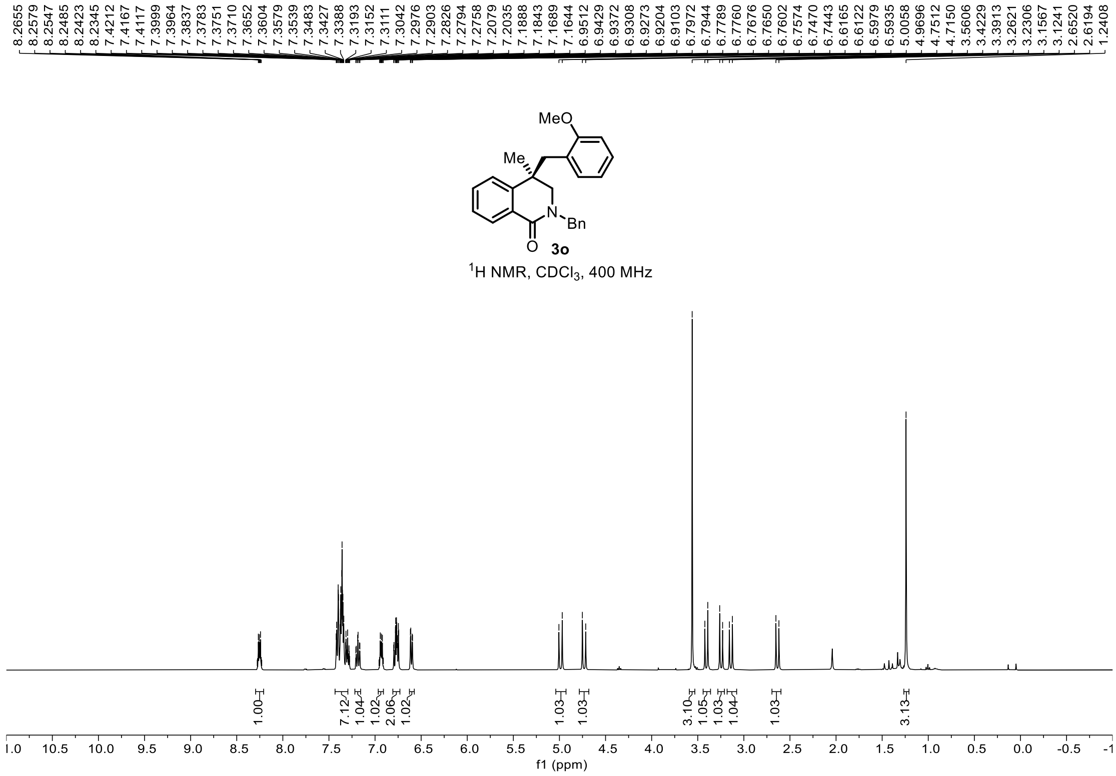
3m

^{13}C NMR, $CDCl_3$, 101 MHz



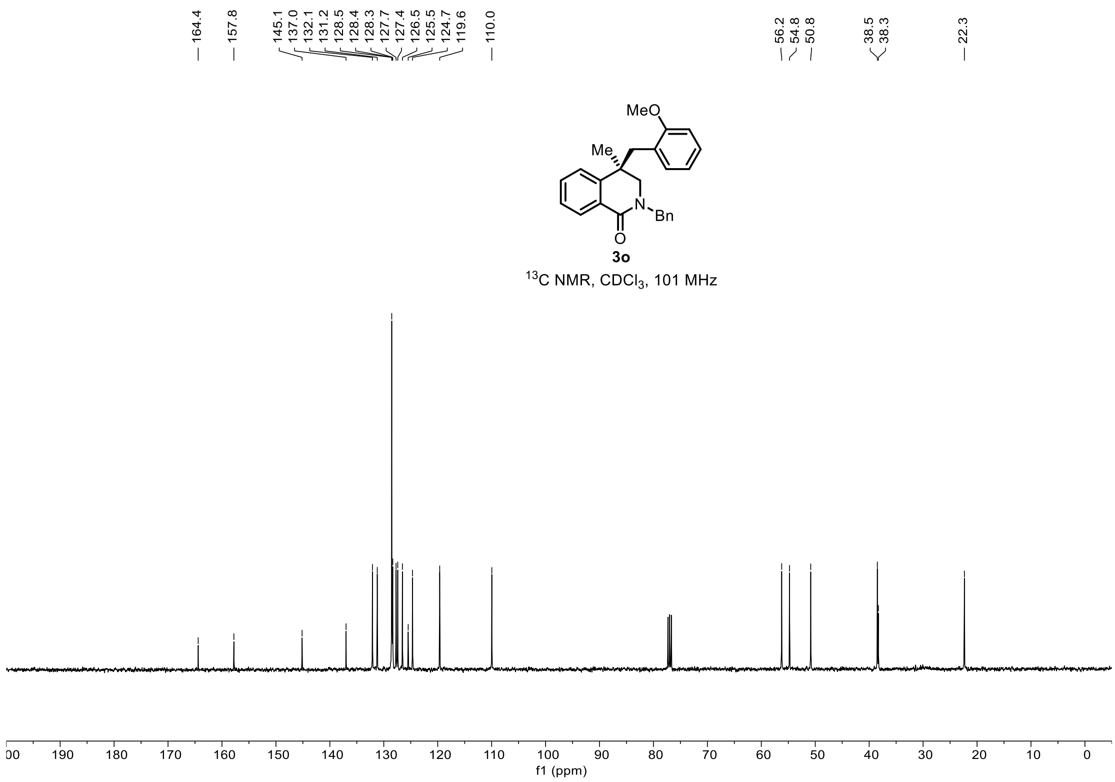

8.2812
8.2770
8.2624
8.2582
7.4831
7.4797
7.4761
7.4638
7.4603
7.4567
7.4180
7.4086
7.3995
7.3961
7.3927
7.3870
7.3842
7.3815
7.3675
7.3627
7.3524
7.3485
7.3442
7.3358
7.3313
7.3265
7.3144
7.2289
7.2095
7.1902
6.9316
6.9272
6.9229
6.7728
6.7692
6.7538
6.7503
6.7383
6.7345
6.7307
6.7187
6.7149
6.7112
4.9102
4.8741
4.7834
4.7473
3.5148
3.4829
3.1488
3.1169
2.9265
2.8935
2.5679
2.5348
Me
CN
N
Bn
O
3n
^{1}H NMR, $CDCl_3$, 400 MHz
1.00
8.10
1.00
1.00
2.02
1.00
1.02
1.27
0.99
1.02
1.03
3.11
f1 (ppm)


164.1
143.3
138.3
136.7
134.8
133.6
131.6
130.2
128.9
128.7
128.6
128.4
128.0
127.7
127.4
124.8
118.6
111.7
55.8
50.6
45.2
37.8
21.7
Me
CN
N
Bn
O
3n
^{13}C NMR, $CDCl_3$, 101 MHz
f1 (ppm)



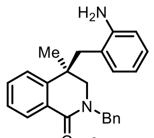
3o

^1H NMR, $CDCl_3$, 400 MHz



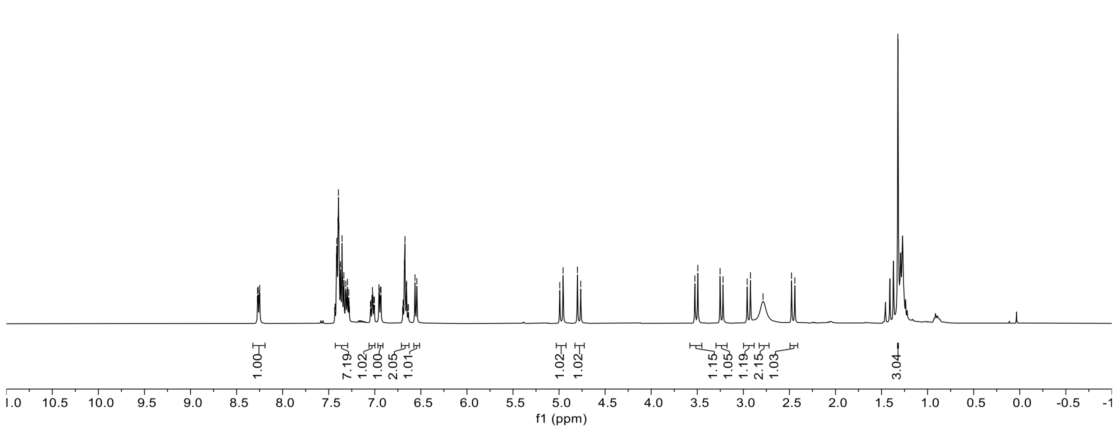
3o

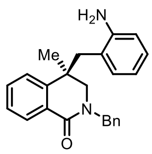
^{13}C NMR, $CDCl_3$, 101 MHz



3p

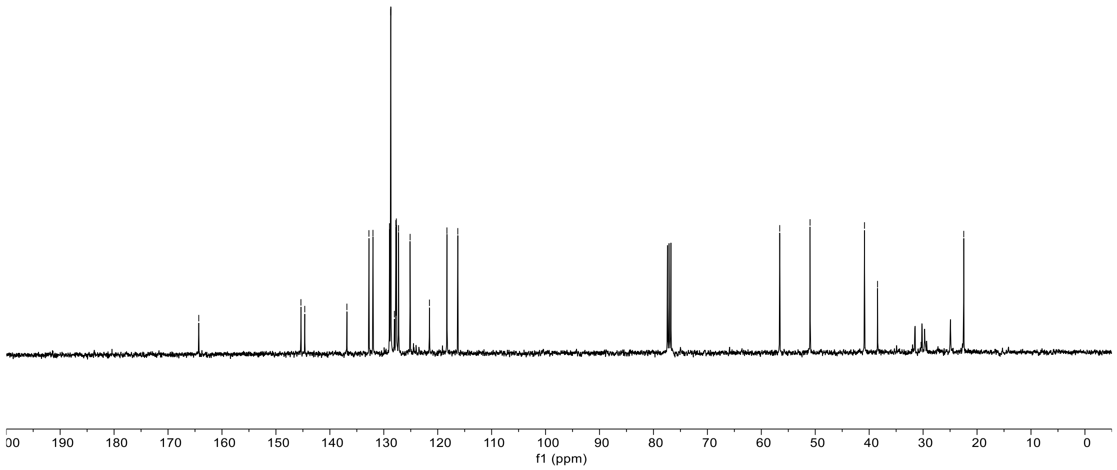
^{1}H NMR, $CDCl_3$, 400 MHz

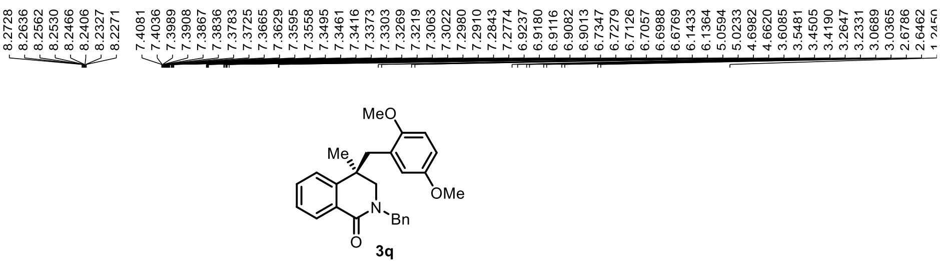




3p

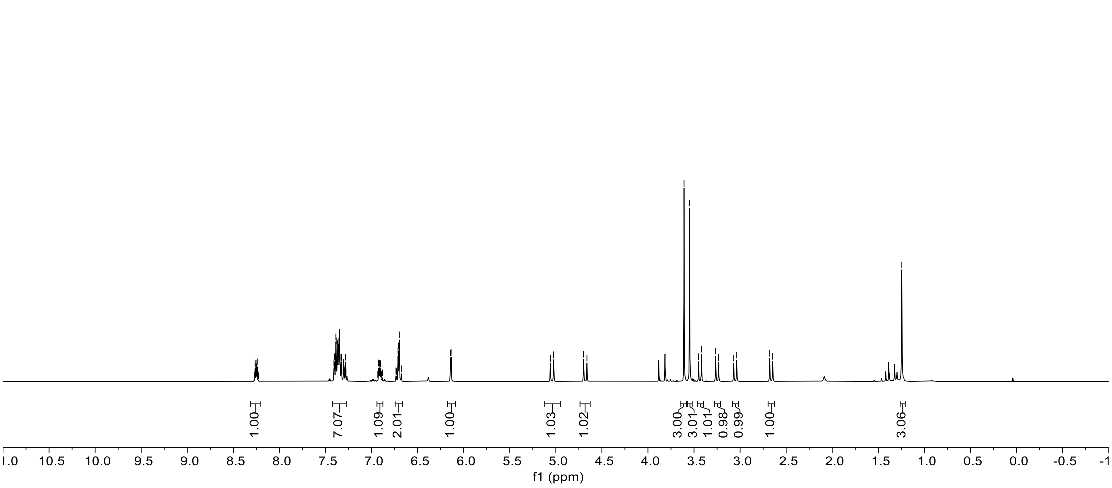
^{13}C NMR, $CDCl_3$, 101 MHz

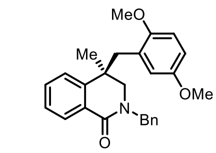




3q

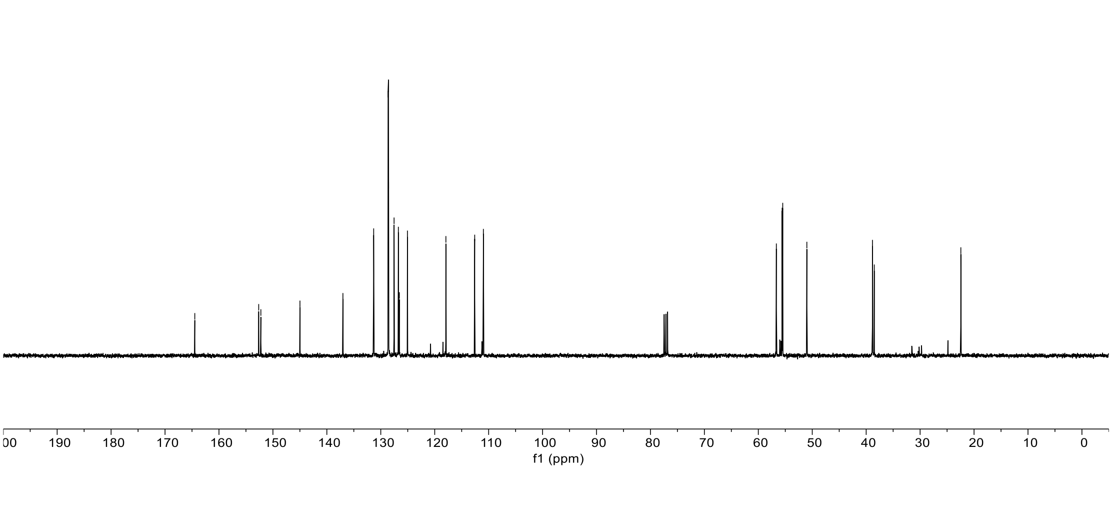
^{1}H NMR, CDCl$_3$, 400 MHz

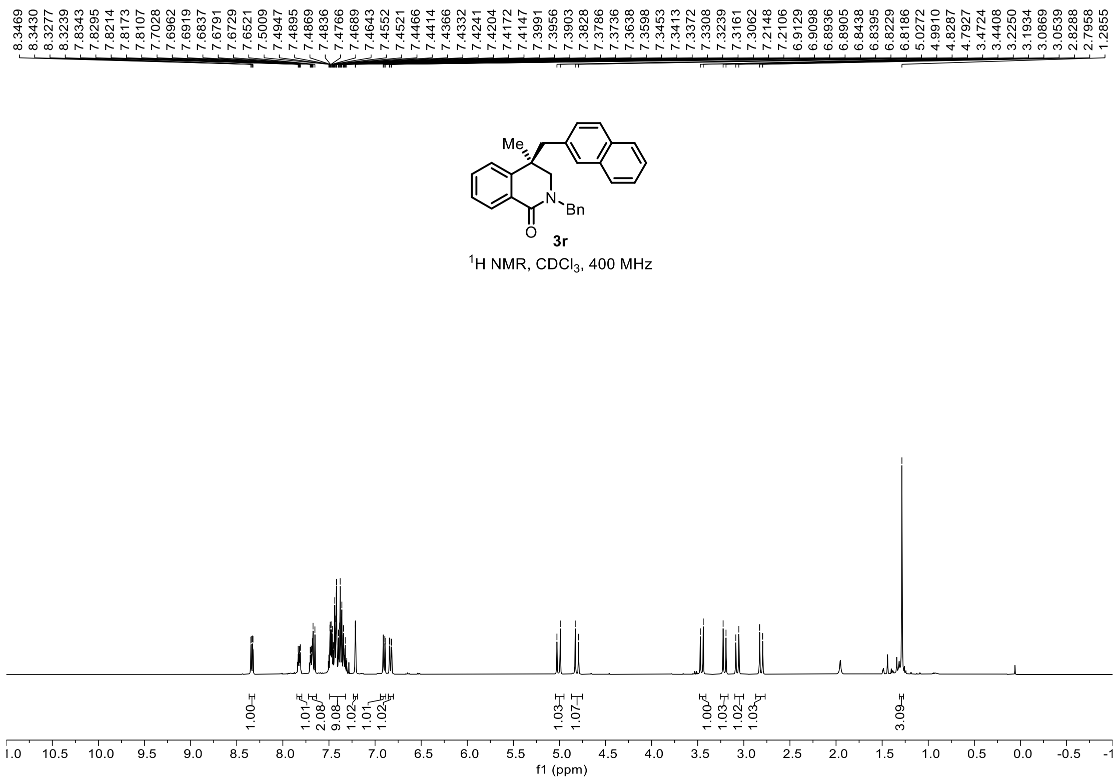




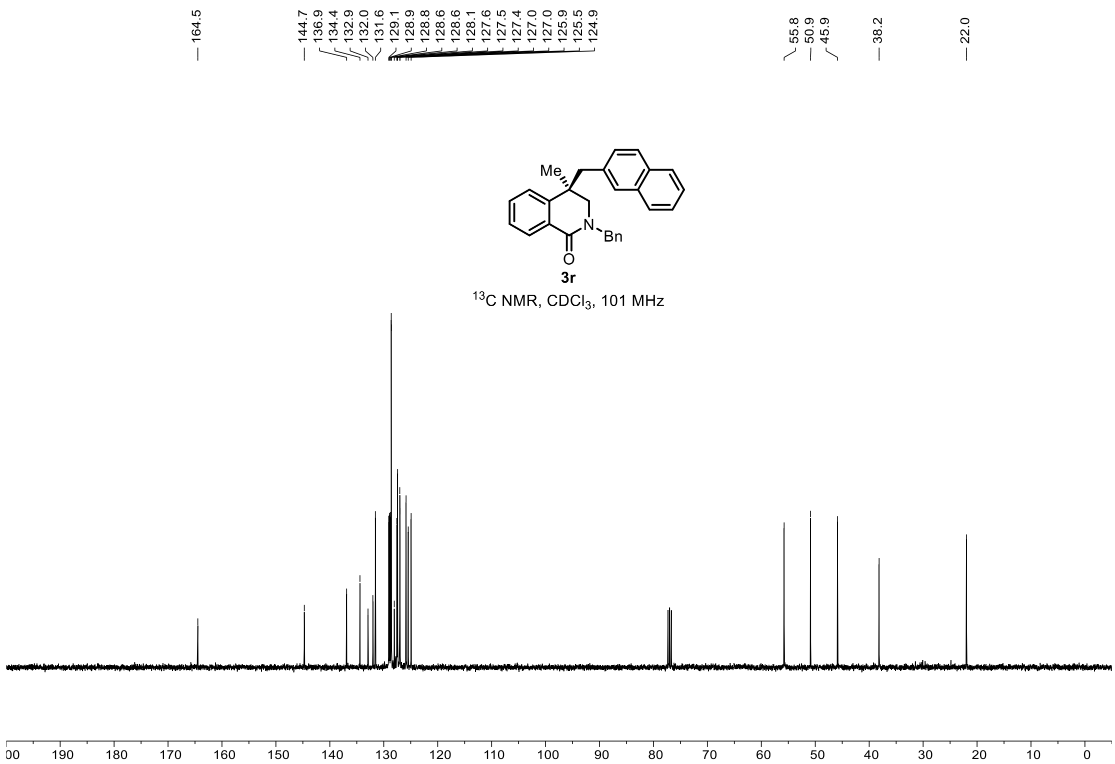
3q

^{13}C NMR, CDCl$_3$, 101 MHz

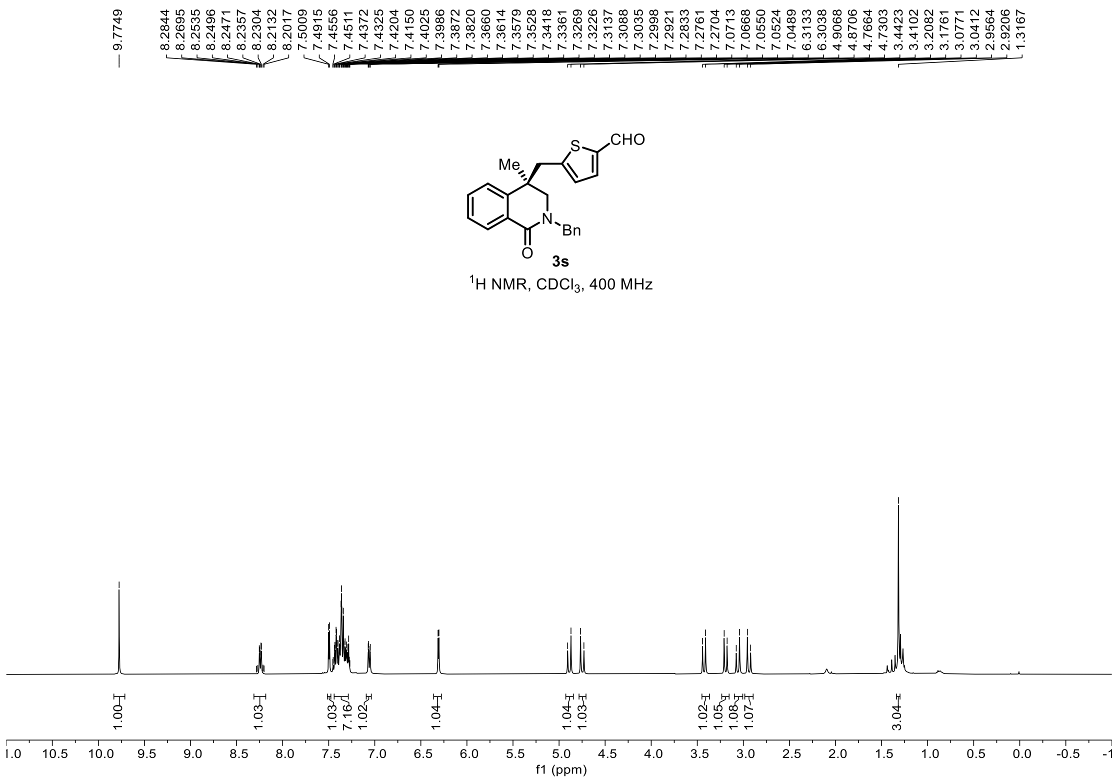




^{1}H NMR, $CDCl_3$, 400 MHz

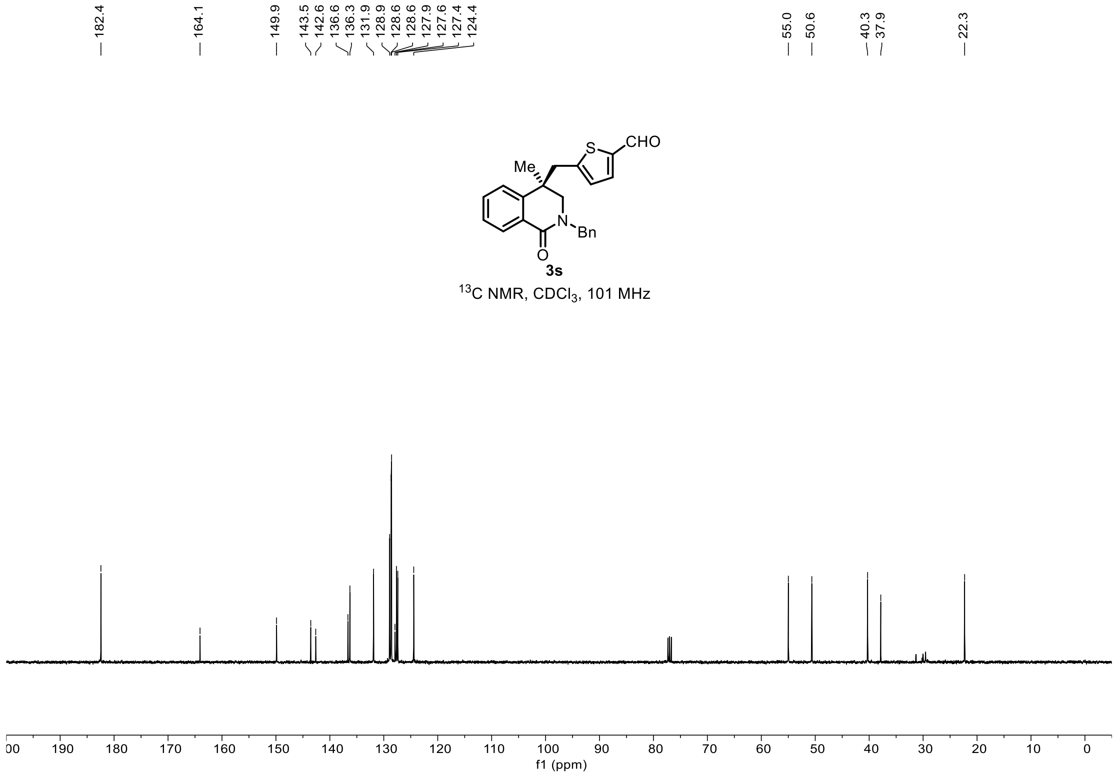


^{13}C NMR, $CDCl_3$, 101 MHz



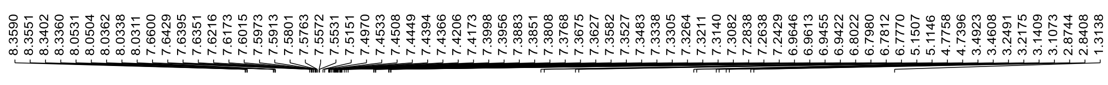
3s

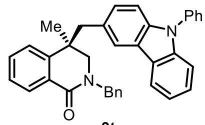
^{1}H NMR, $CDCl_3$, 400 MHz



3s

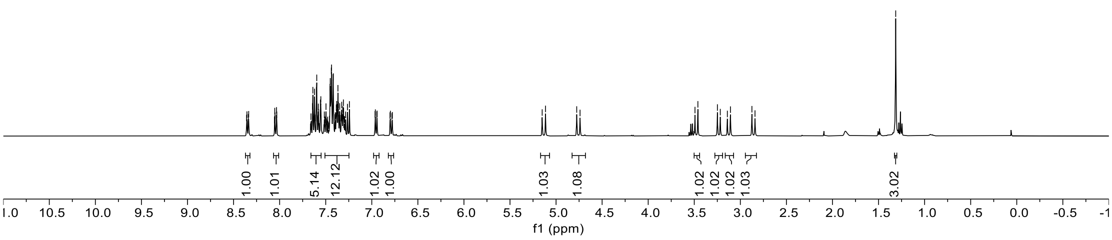
^{13}C NMR, $CDCl_3$, 101 MHz

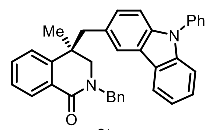




3t

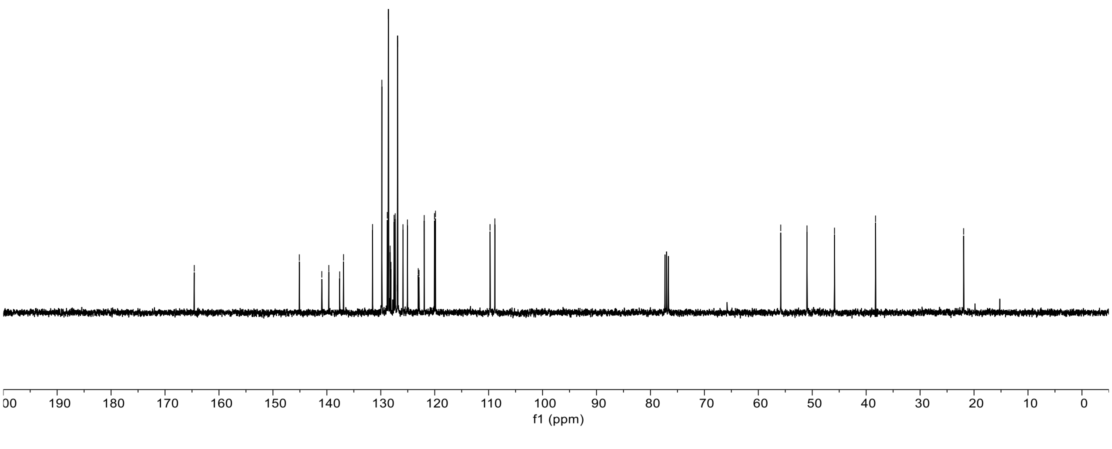
^{1}H NMR, $CDCl_3$, 400 MHz

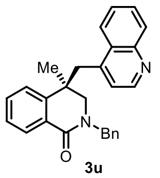




3t

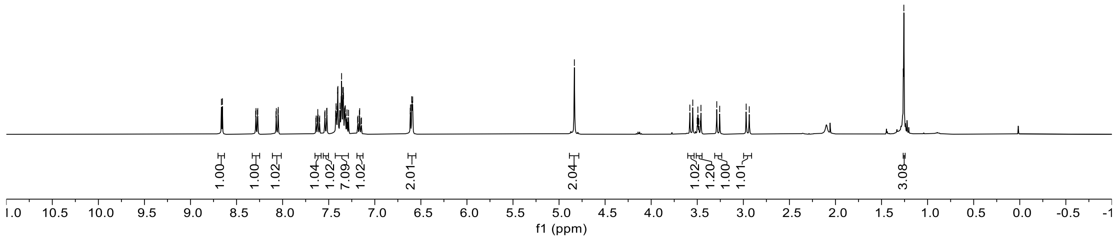
^{13}C NMR, $CDCl_3$, 101 MHz

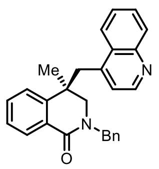




3u

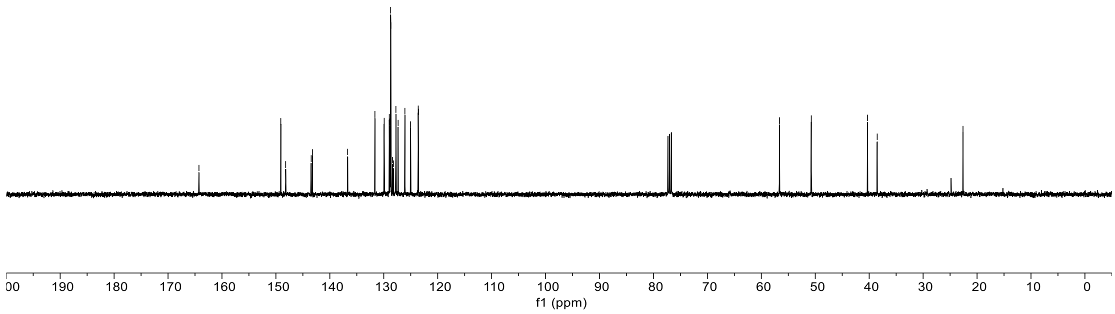
^{1}H NMR, $CDCl_3$, 400 MHz

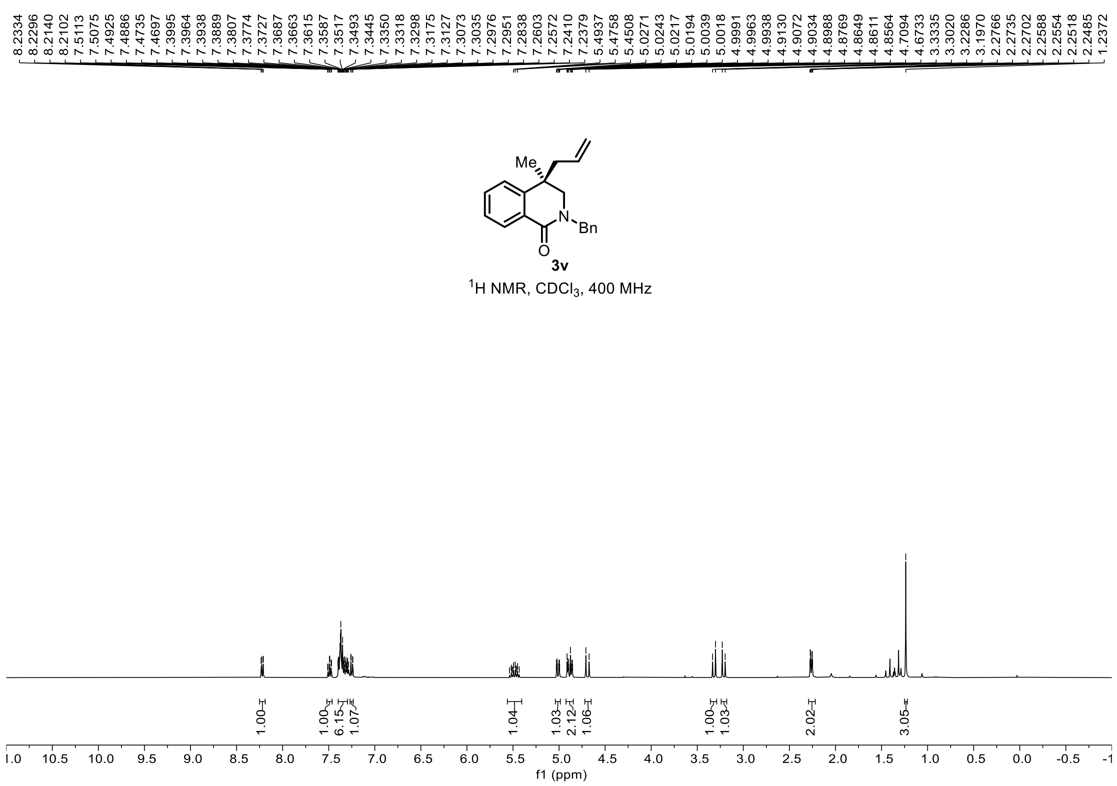




3u

^{13}C NMR, $CDCl_3$, 101 MHz

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8.2140
8.2102
7.5113
7.5075
7.4925
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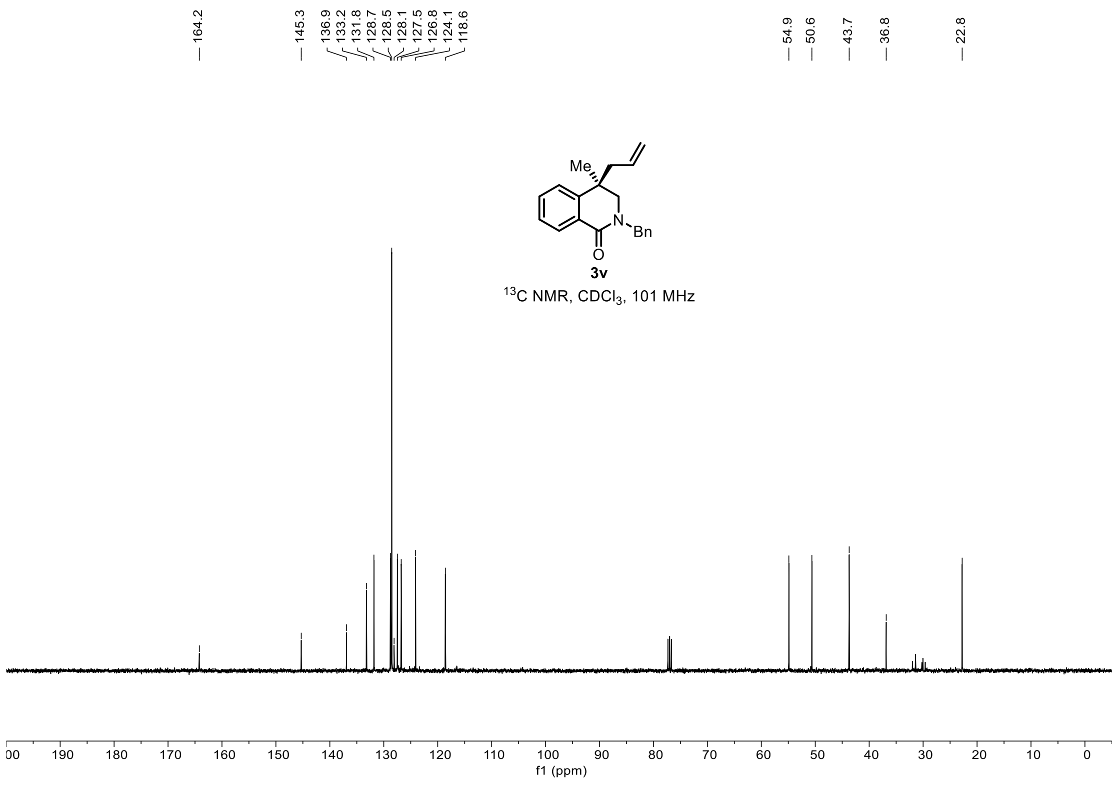
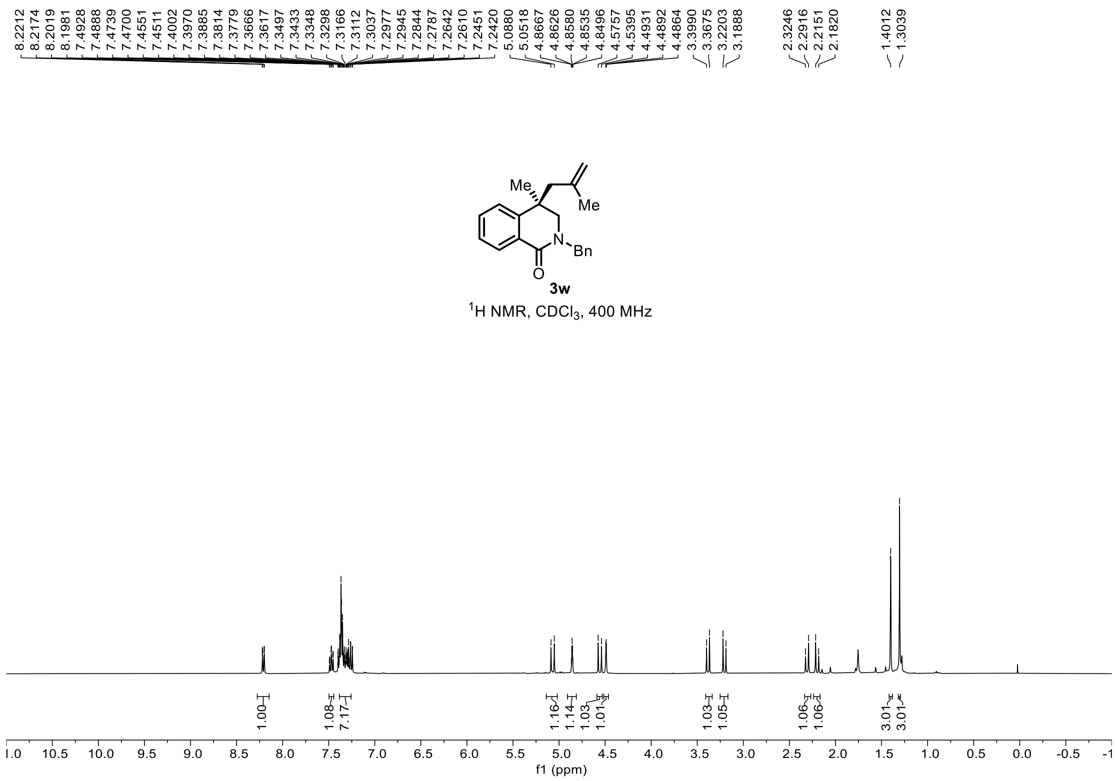
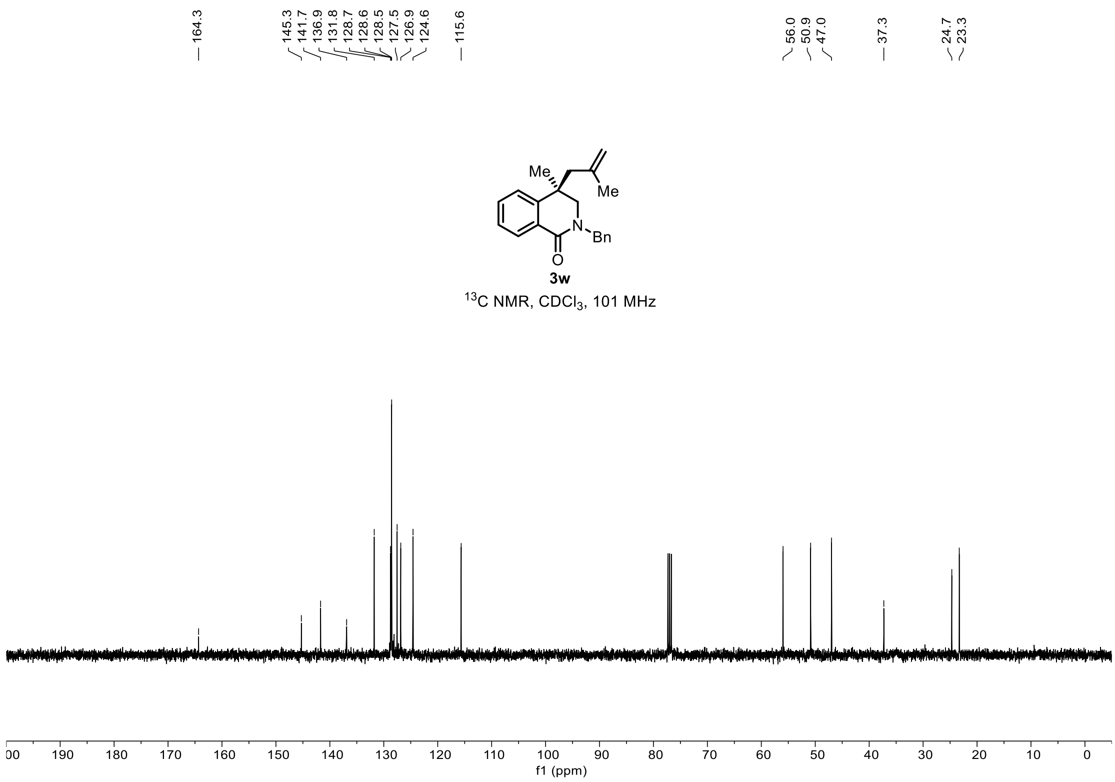
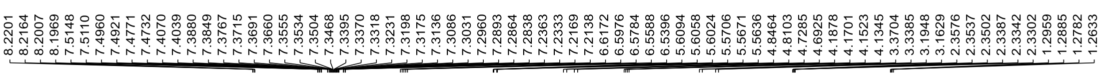
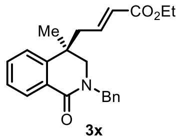
7.3995
7.3964
7.3938
7.3889
7.3807
7.3774
7.3727
7.3687
7.3663
7.3615
7.3587
7.3517
7.3493
7.3445
7.3350
7.3318
7.3298
7.3175
7.3127
7.3073
7.3035
7.2976
7.2951
7.2838
7.2603
7.2572
7.2410
7.2379
5.4937
5.4758
5.4508
5.0271
5.0243
5.0217
5.0194
5.0039
5.0018
4.9991
4.9963
4.9938
4.9130
4.9072
4.9034
4.8988
4.8769
4.8649
4.8611
4.8564
4.7094
4.6733
3.3335
3.3020
3.2286
3.1970
2.2766
2.2735
2.2702
2.2588
2.2554
2.2518
2.2485
1.2372
Me
N
Bn
O
3v
^{1}H NMR, $CDCl_3$, 400 MHz
1.00
1.00
6.15
1.07
1.04
1.03
2.12
1.06
1.00
1.03
2.02
3.05
f1 (ppm)


164.2
145.3
136.9
133.2
131.8
128.7
128.5
128.1
127.5
126.8
124.1
118.6
54.9
50.6
43.7
36.8
22.8
Me
N
Bn
O
3v
^{13}C NMR, $CDCl_3$, 101 MHz
f1 (ppm)


Me
Me
N
Bn
O
3w
1H NMR, CDCl3, 400 MHz
f1 (ppm)

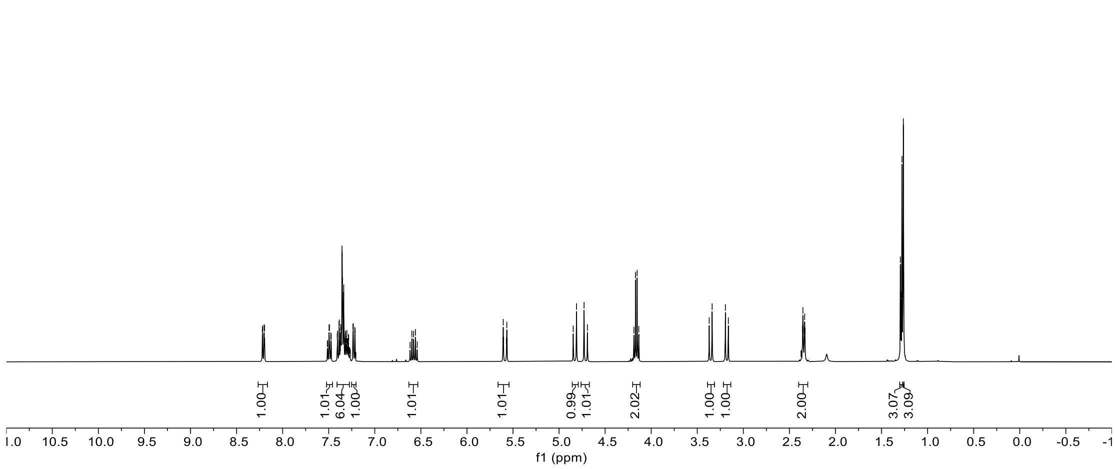

164.3
145.3
141.7
136.9
131.8
128.7
128.6
128.5
127.5
126.9
124.6
115.6
56.0
50.9
47.0
37.3
24.7
23.3
Me
Me
N
Bn
O
3w
13C NMR, CDCl3, 101 MHz
f1 (ppm)

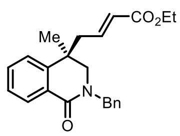




3x

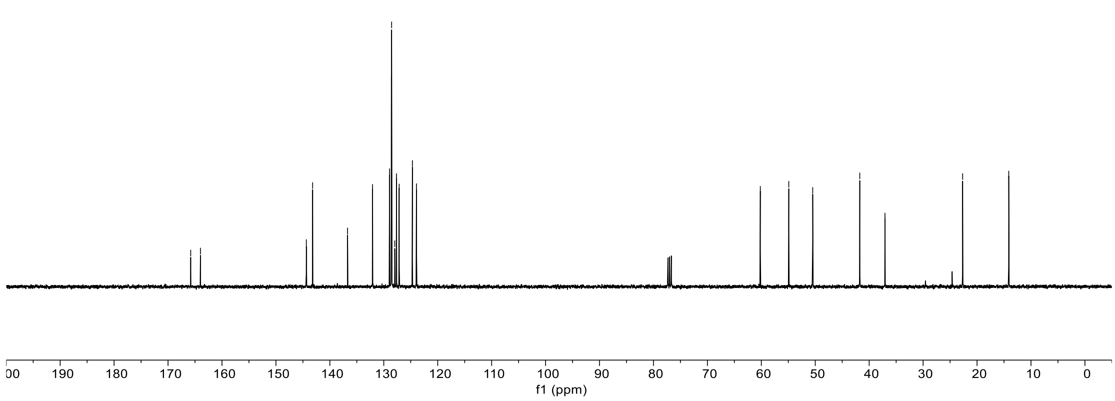
^{1}H NMR, $CDCl_3$, 400 MHz

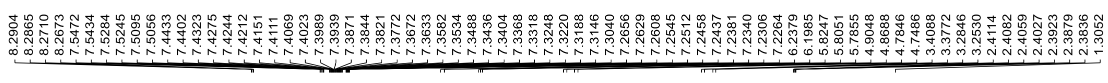


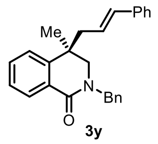


3x

^{13}C NMR, $CDCl_3$, 101 MHz

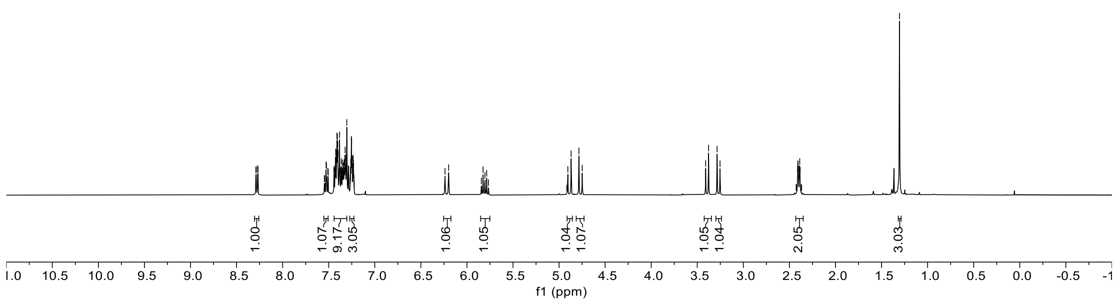


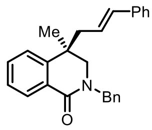




3y

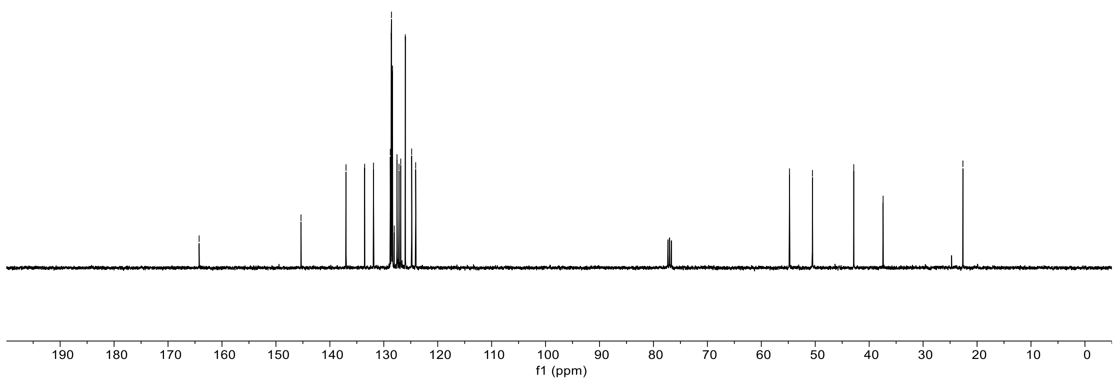
^{1}H NMR, $CDCl_3$, 400 MHz

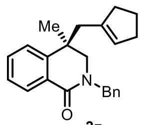




3y

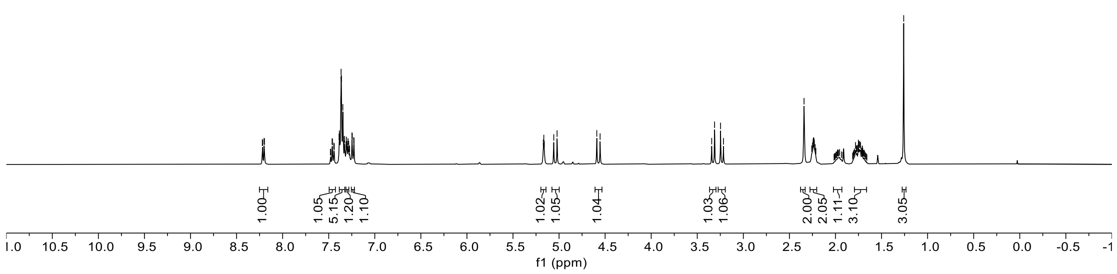
^{13}C NMR, $CDCl_3$, 101 MHz

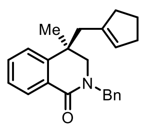




3z

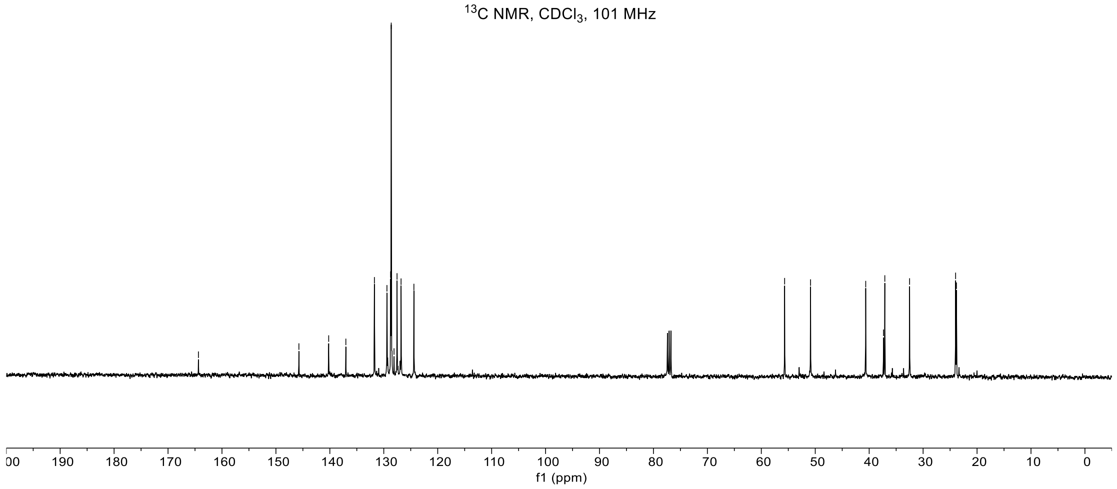
^{1}H NMR, $CDCl_3$, 400 MHz

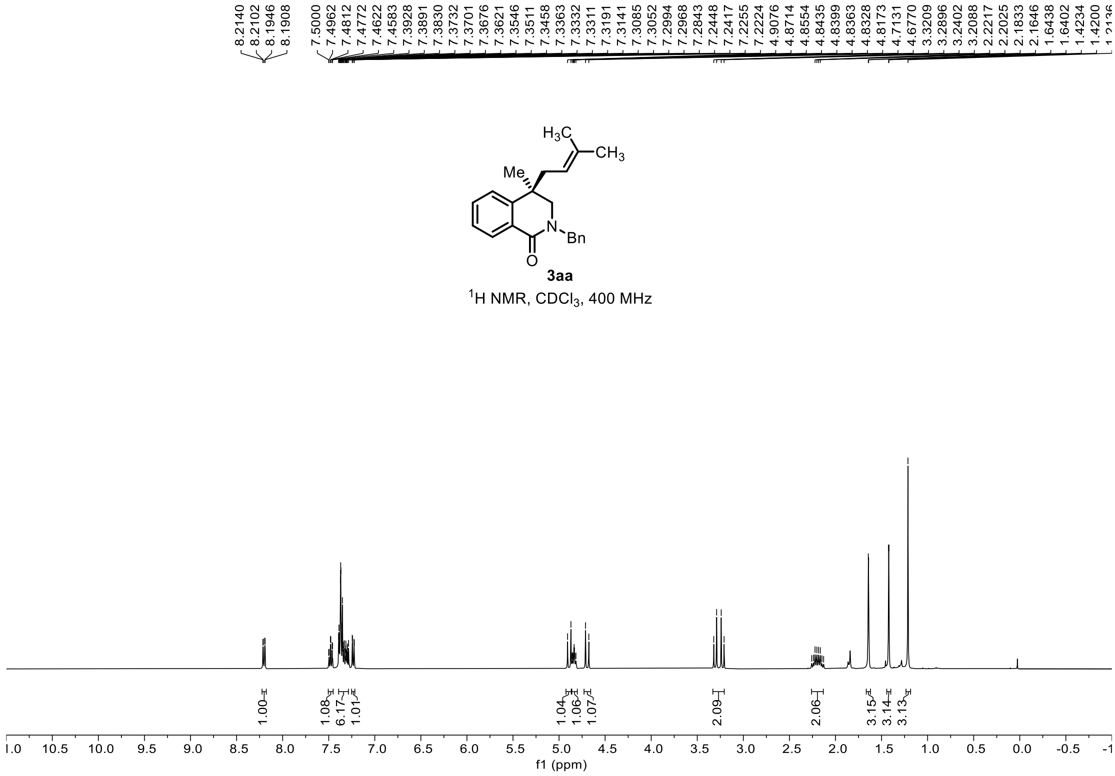




3z

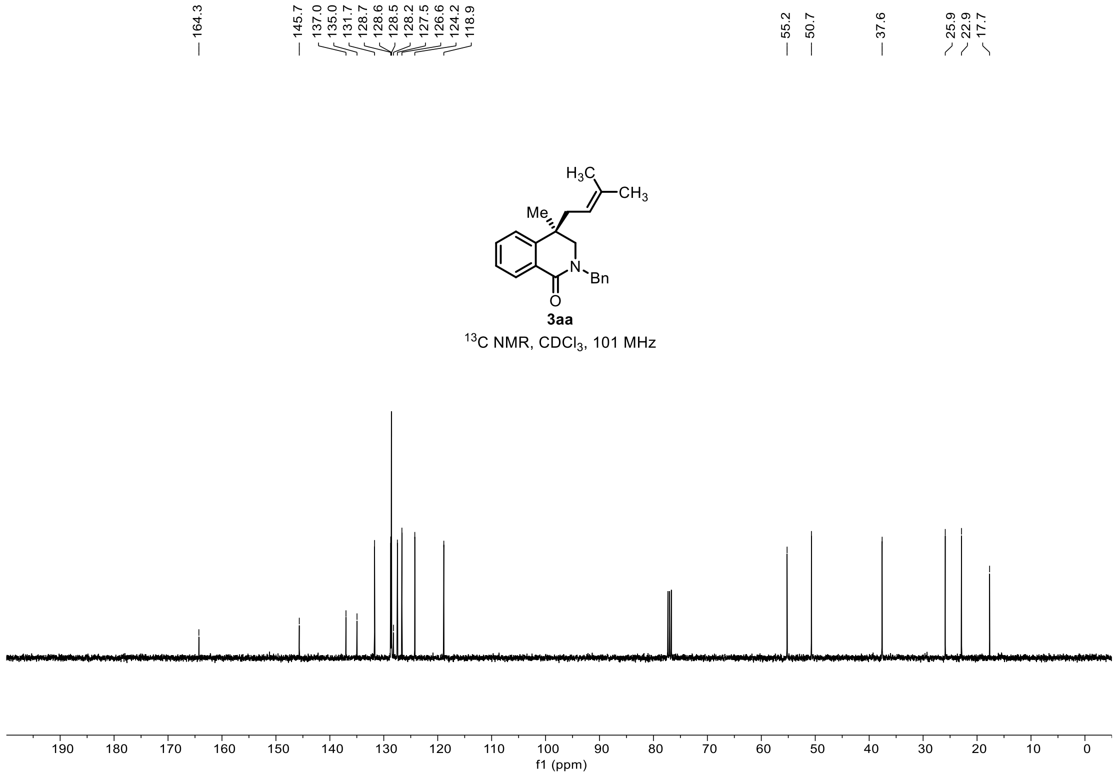
^{13}C NMR, $CDCl_3$, 101 MHz





3aa

^{1}H NMR, $CDCl_3$, 400 MHz



3aa

^{13}C NMR, $CDCl_3$, 101 MHz

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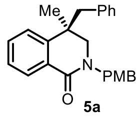
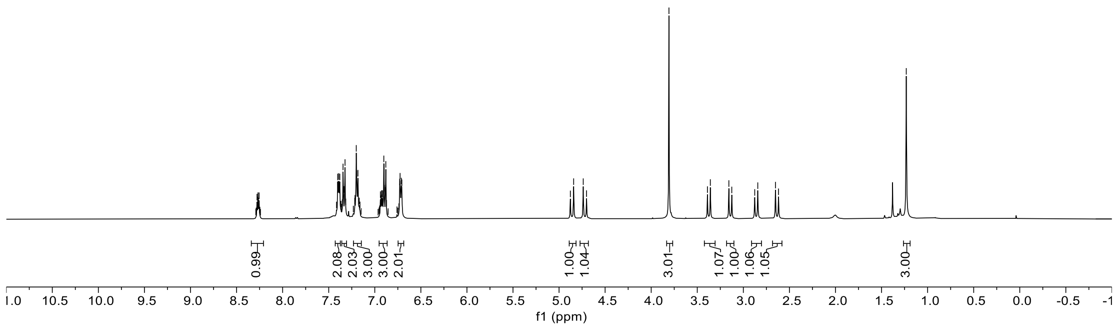
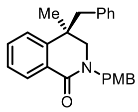


5a

^{1}H NMR, $CDCl_3$, 400 MHz

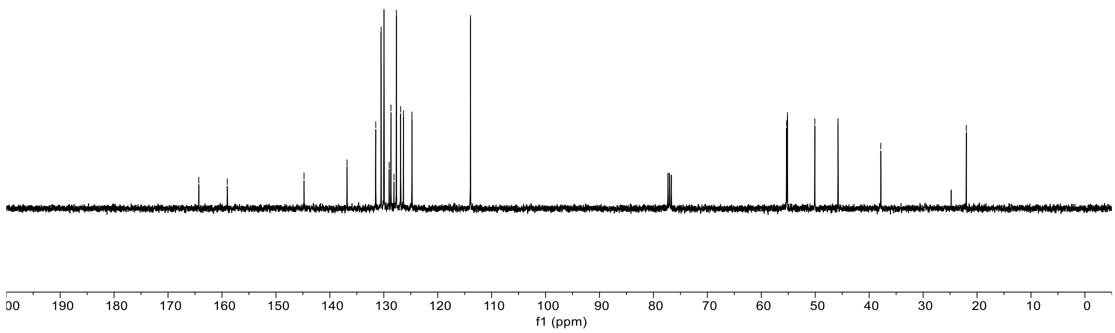


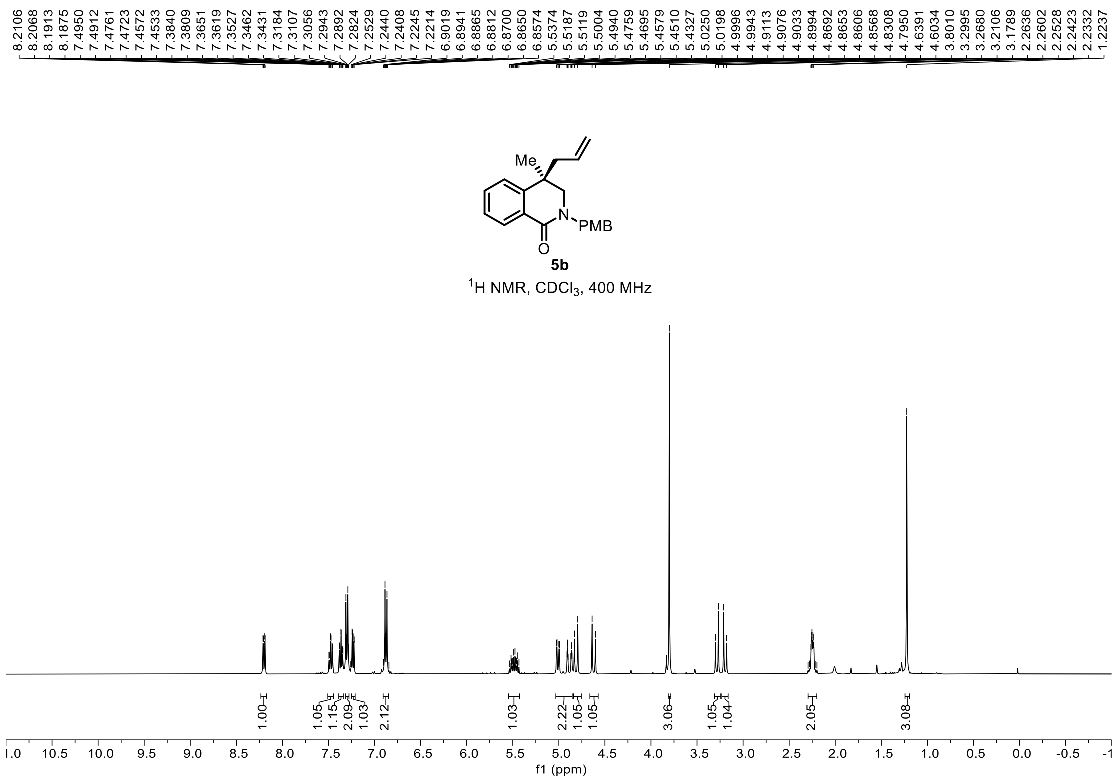
164.3 159.0 144.8 136.8 131.5 130.5 130.0 129.0 128.7 128.1 127.7 126.9 126.4 124.8 113.9 55.3 55.2 50.1 45.8 37.9 22.0



5a

^{13}C NMR, $CDCl_3$, 101 MHz





5b

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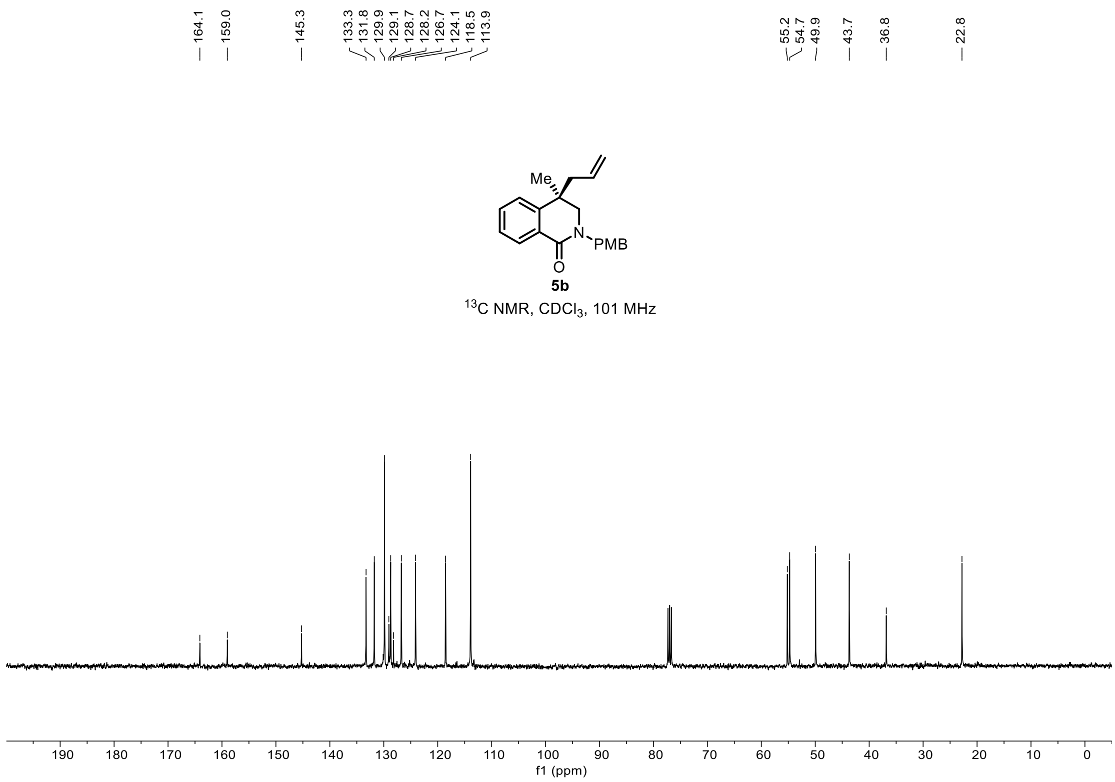
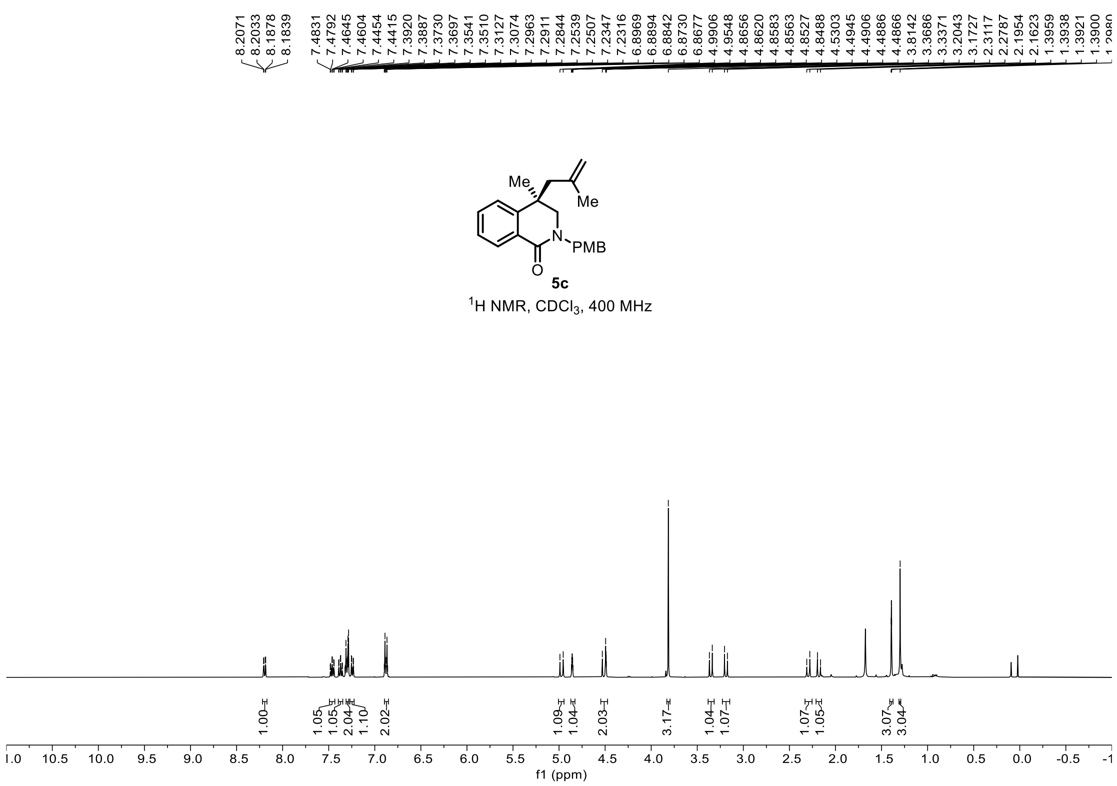


5b

^{13}C NMR, $CDCl_3$, 101 MHz



5c

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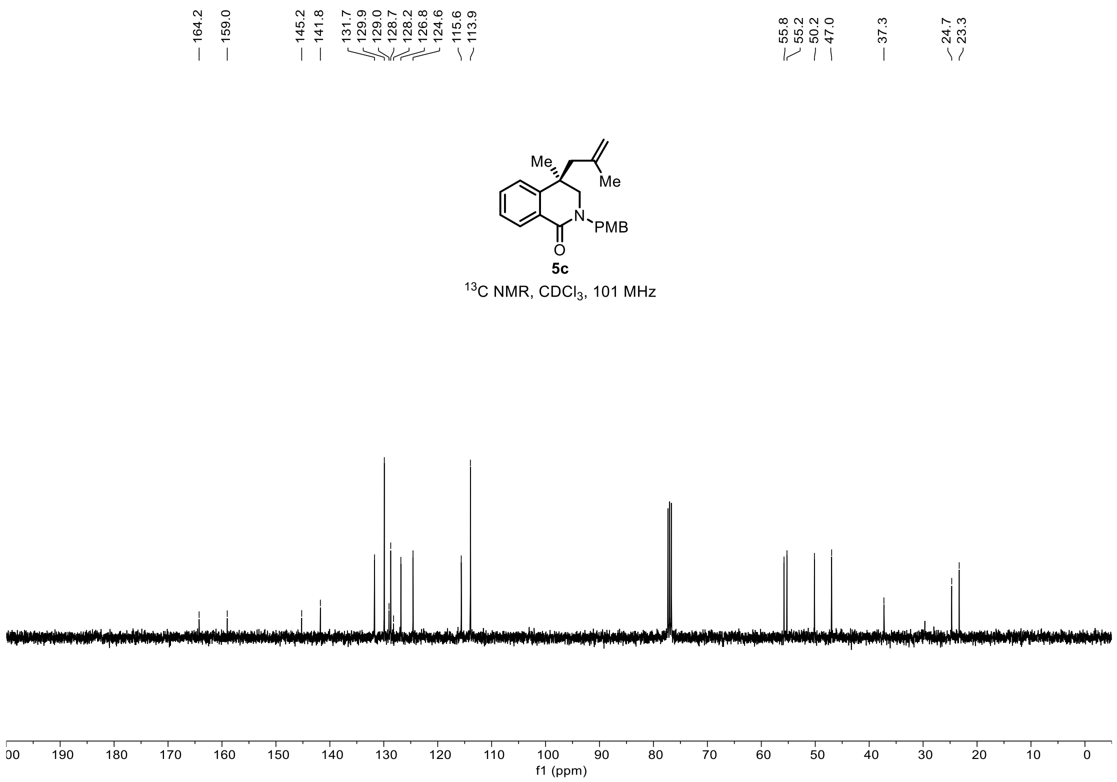
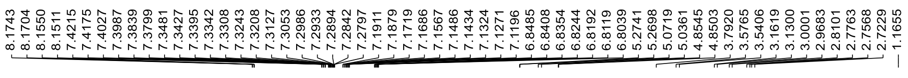
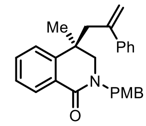


5c

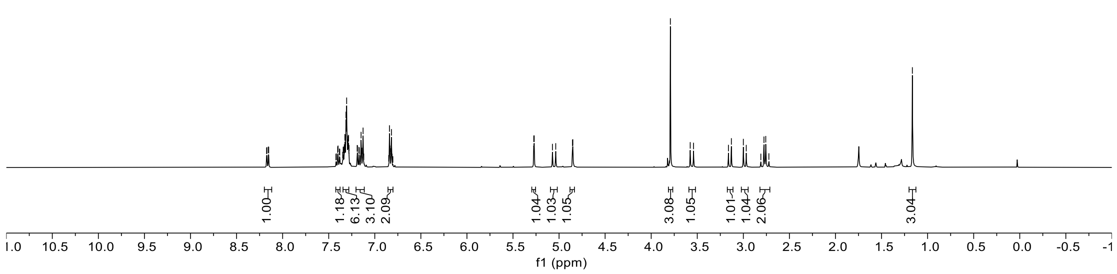
^{13}C NMR, $CDCl_3$, 101 MHz

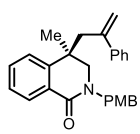




5d

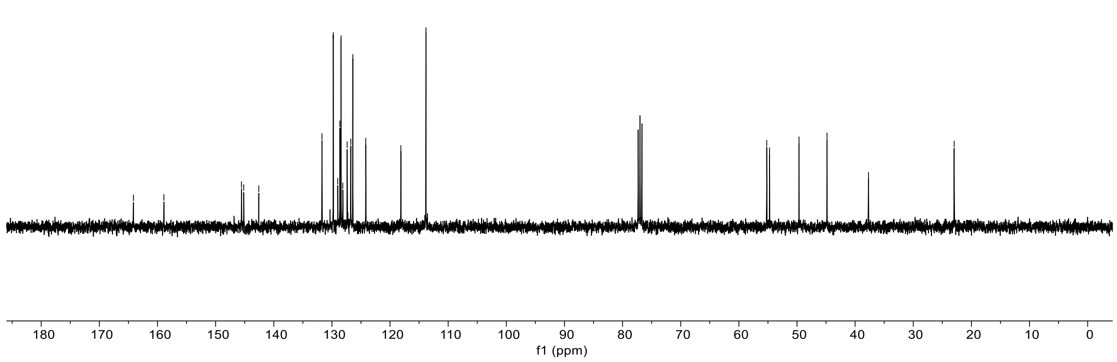
^{1}H NMR, $CDCl_3$, 400 MHz

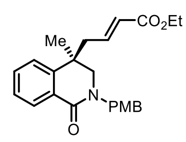




5d

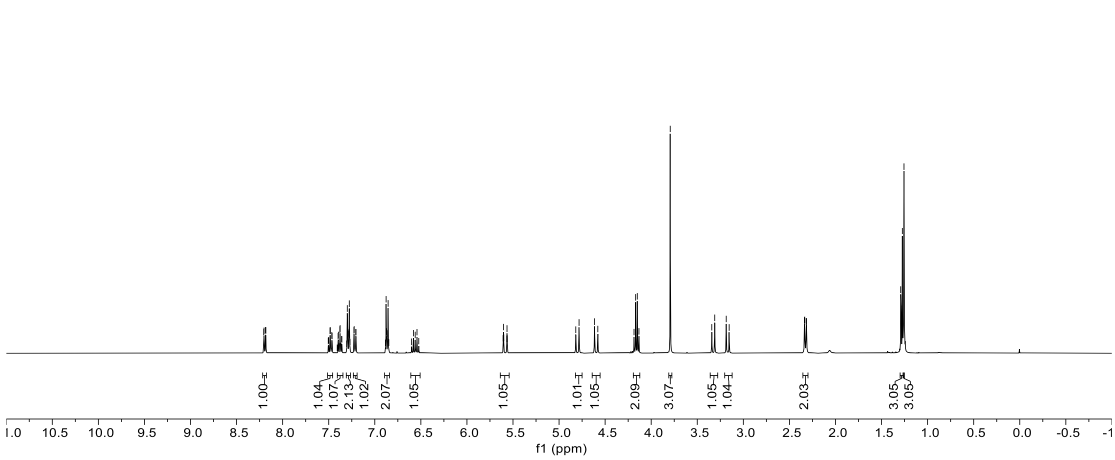
^{13}C NMR, $CDCl_3$, 101 MHz

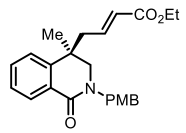




5e

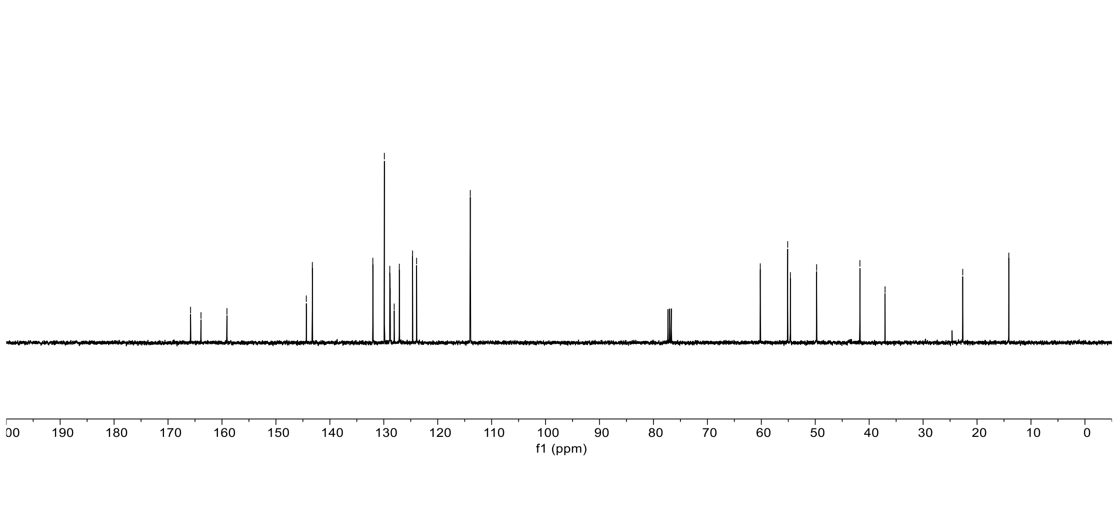
^{1}H NMR, $CDCl_3$, 400 MHz

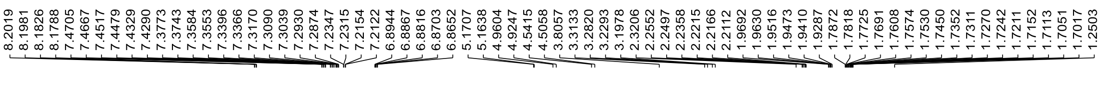


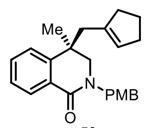


5e

^{13}C NMR, $CDCl_3$, 101 MHz

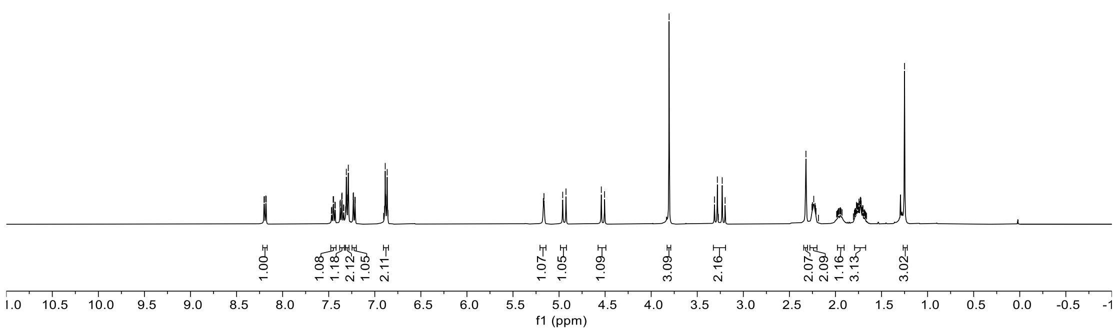


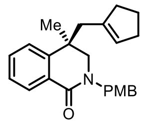




5f

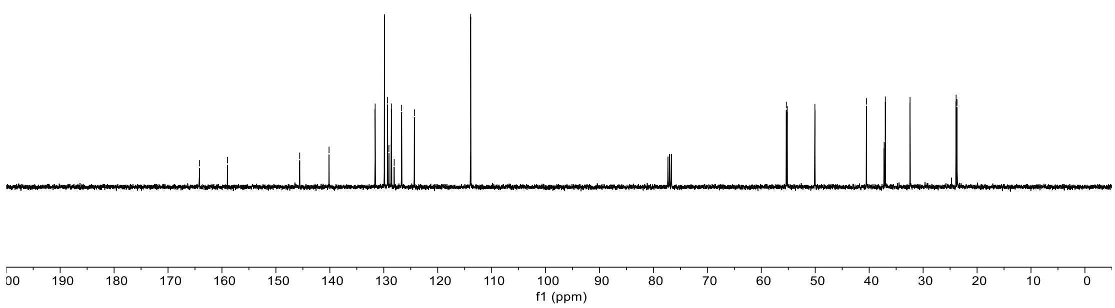
^{1}H NMR, $CDCl_3$, 400 MHz

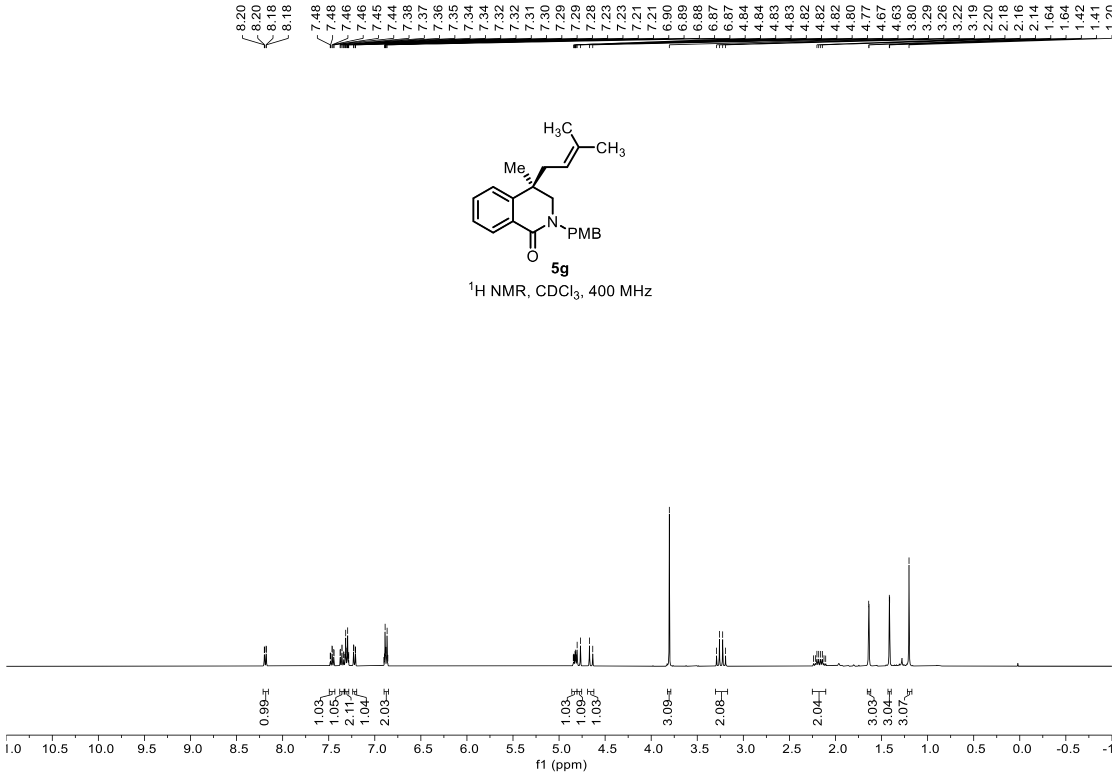


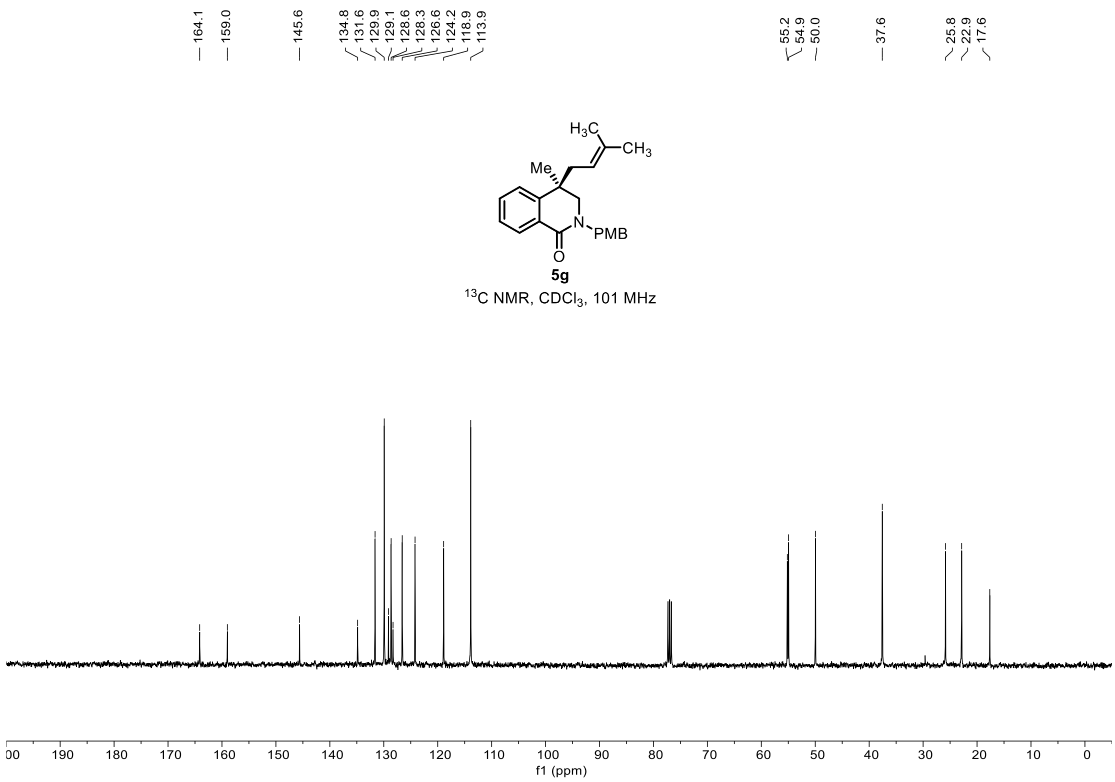


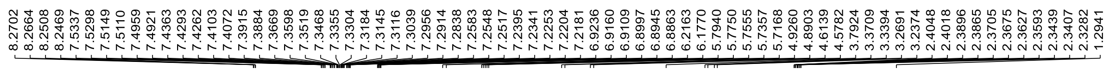
5f

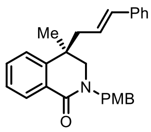
^{13}C NMR, $CDCl_3$, 101 MHz




8.20 8.20 8.18 8.18 7.48 7.48 7.46 7.46 7.45 7.44 7.44 7.38 7.37 7.36 7.35 7.34 7.34 7.32 7.32 7.31 7.30 7.29 7.29 7.28 7.23 7.23 7.21 7.21 6.90 6.89 6.88 6.87 6.87 4.84 4.84 4.83 4.83 4.82 4.82 4.82 4.80 4.77 4.67 4.63 3.80 3.29 3.26 3.22 3.19 2.20 2.18 2.16 2.14 1.64 1.42 1.41
H_3C
CH_3
Me
N
PMB
O
5g
^1H NMR, $CDCl_3$, 400 MHz
0.99
1.03
1.05
2.11
1.04
2.03
1.03
1.09
1.03
3.09
2.08
2.04
3.03
3.04
3.07
f1 (ppm)

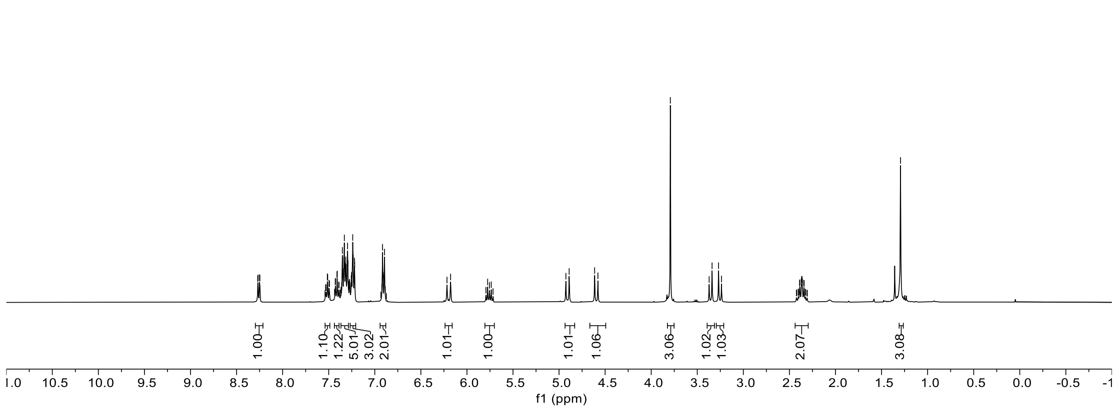

164.1 159.0 145.6 134.8 131.6 129.9 129.1 128.6 128.3 126.6 124.2 118.9 113.9 55.2 54.9 50.0 37.6 25.8 22.9 17.6
H_3C
CH_3
Me
N
PMB
O
5g
^{13}C NMR, $CDCl_3$, 101 MHz
f1 (ppm)

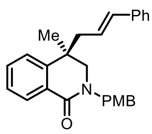




5h

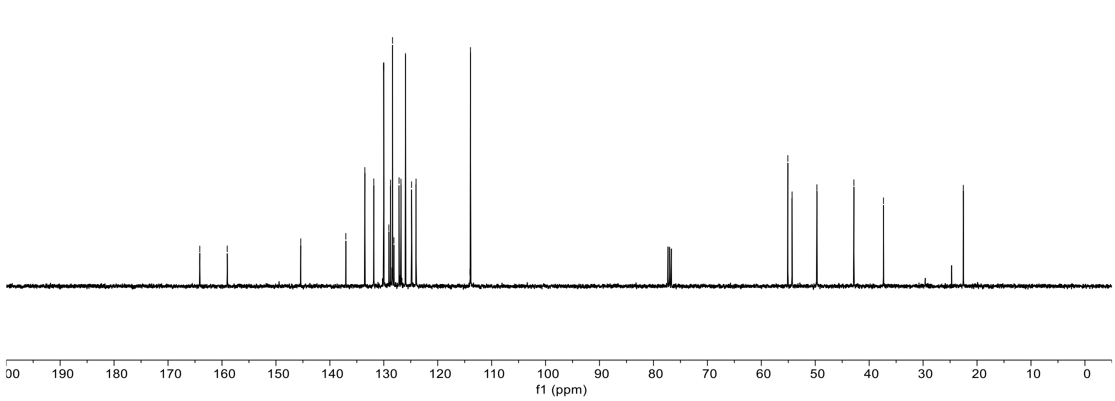
^{1}H NMR, $CDCl_3$, 400 MHz

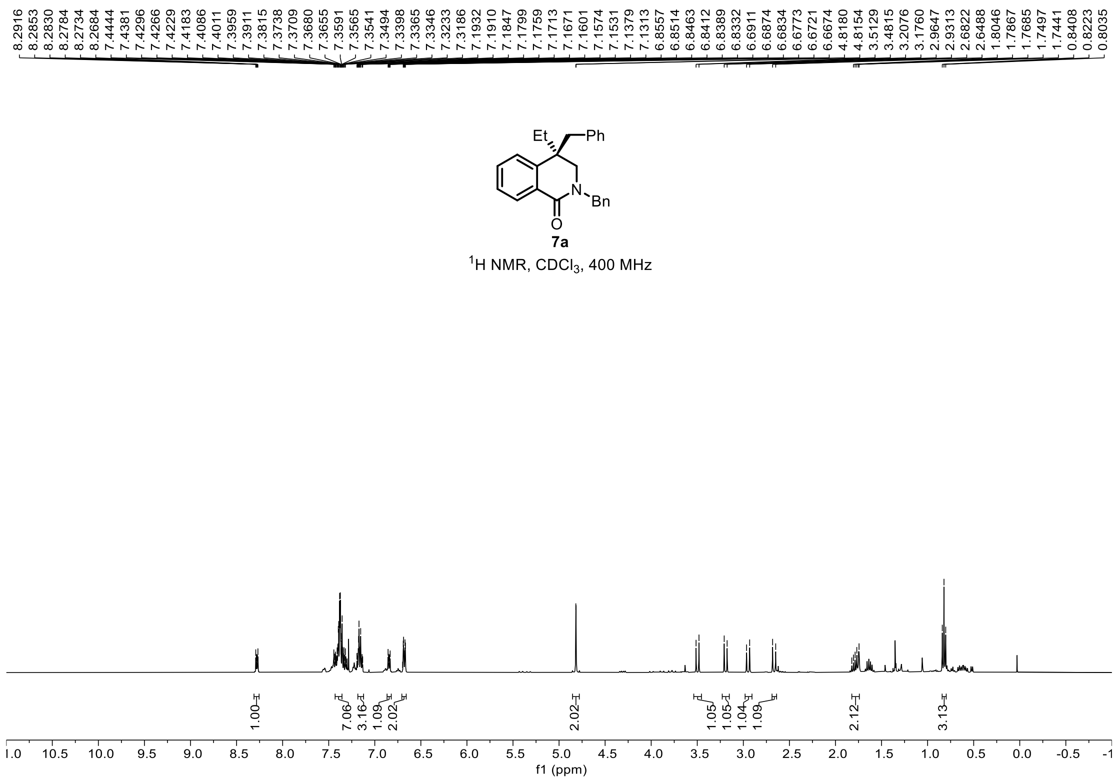




5h

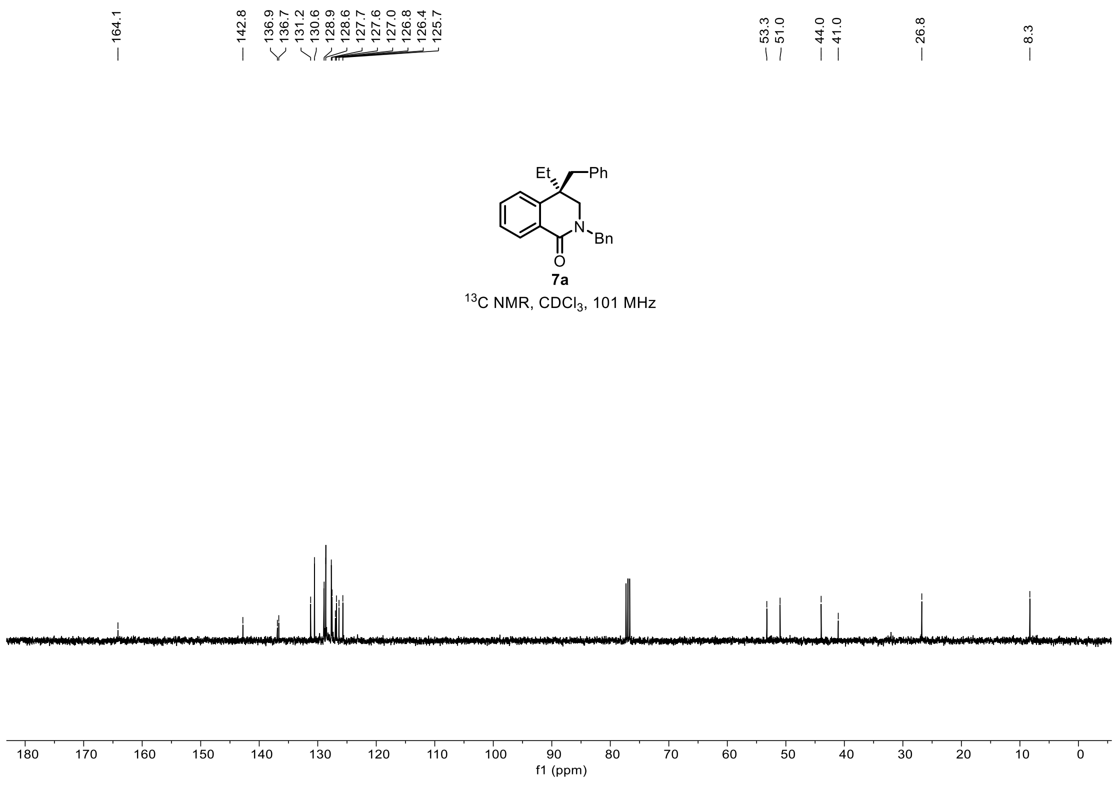
^{13}C NMR, $CDCl_3$, 101 MHz





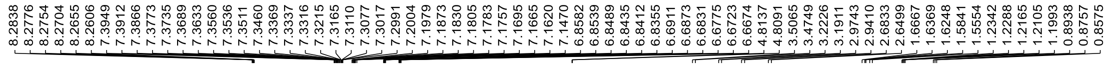
7a

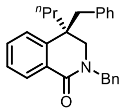
^{1}H NMR, $CDCl_3$, 400 MHz



7a

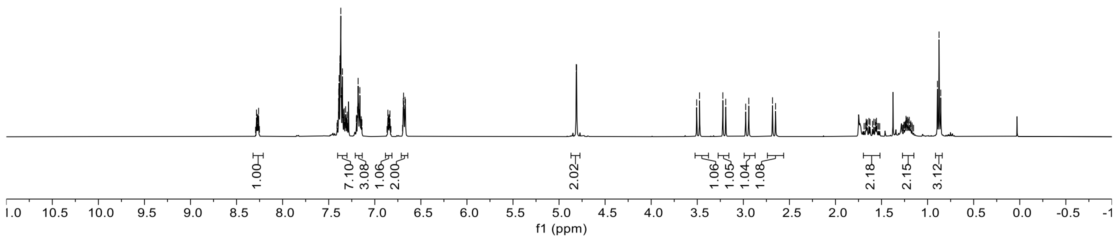
^{13}C NMR, $CDCl_3$, 101 MHz

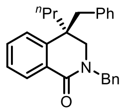




7b

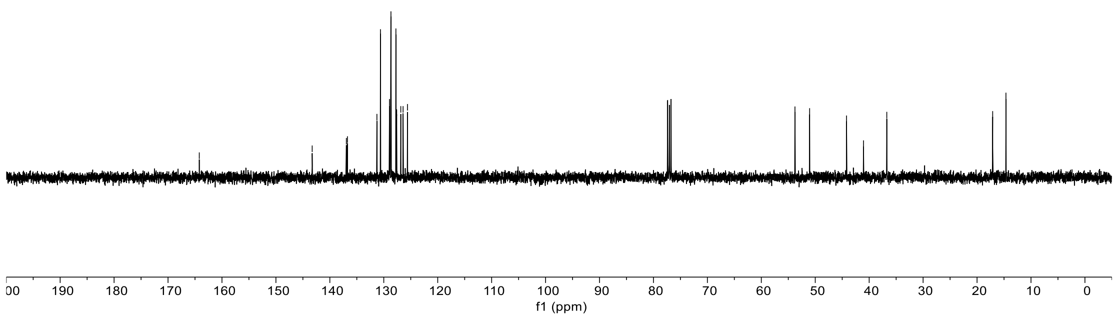
^{1}H NMR, $CDCl_3$, 400 MHz

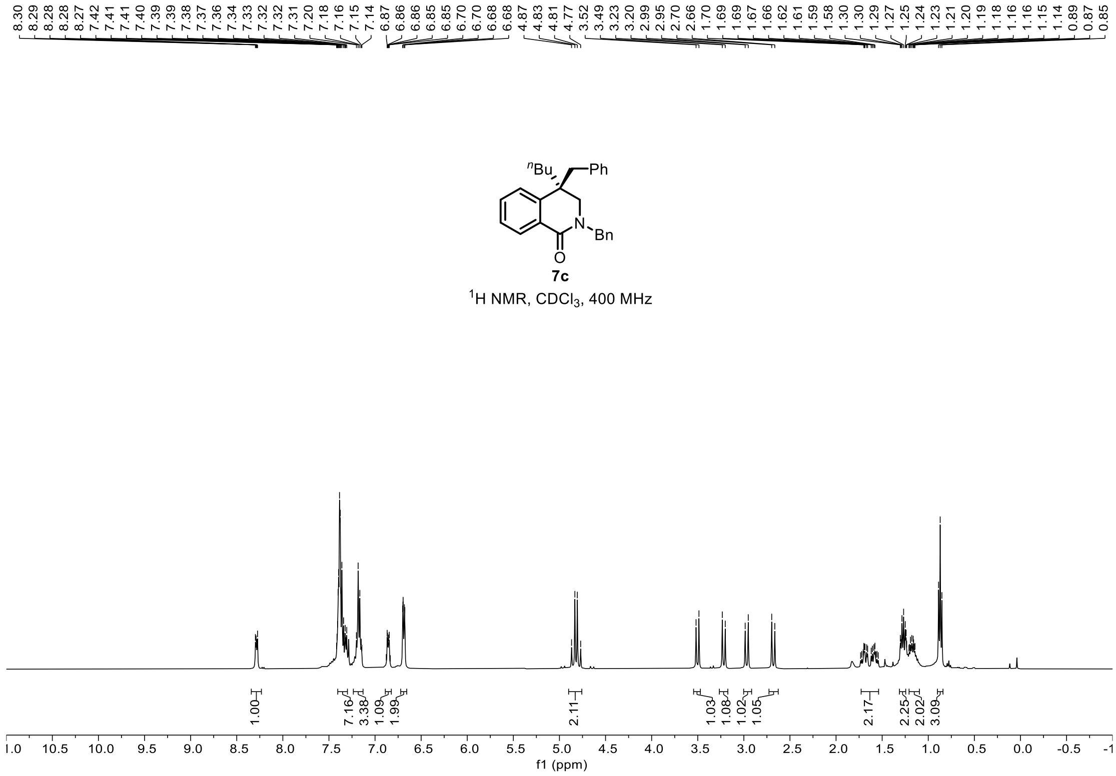




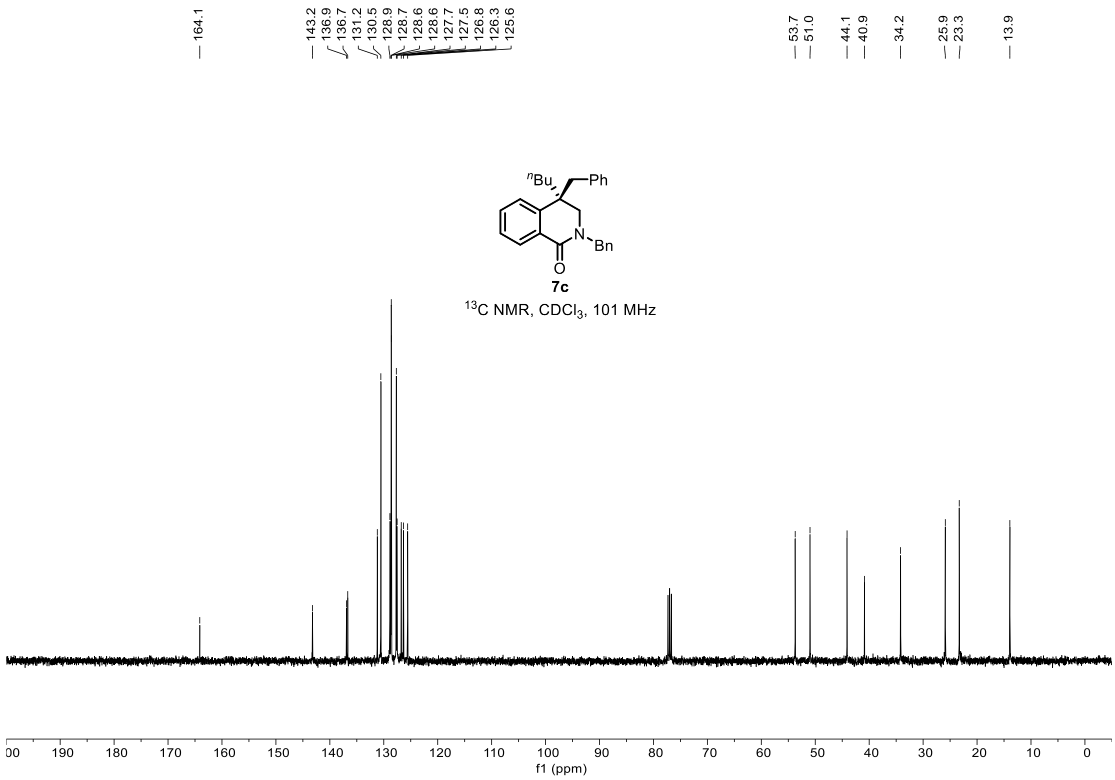
7b

^{13}C NMR, $CDCl_3$, 101 MHz

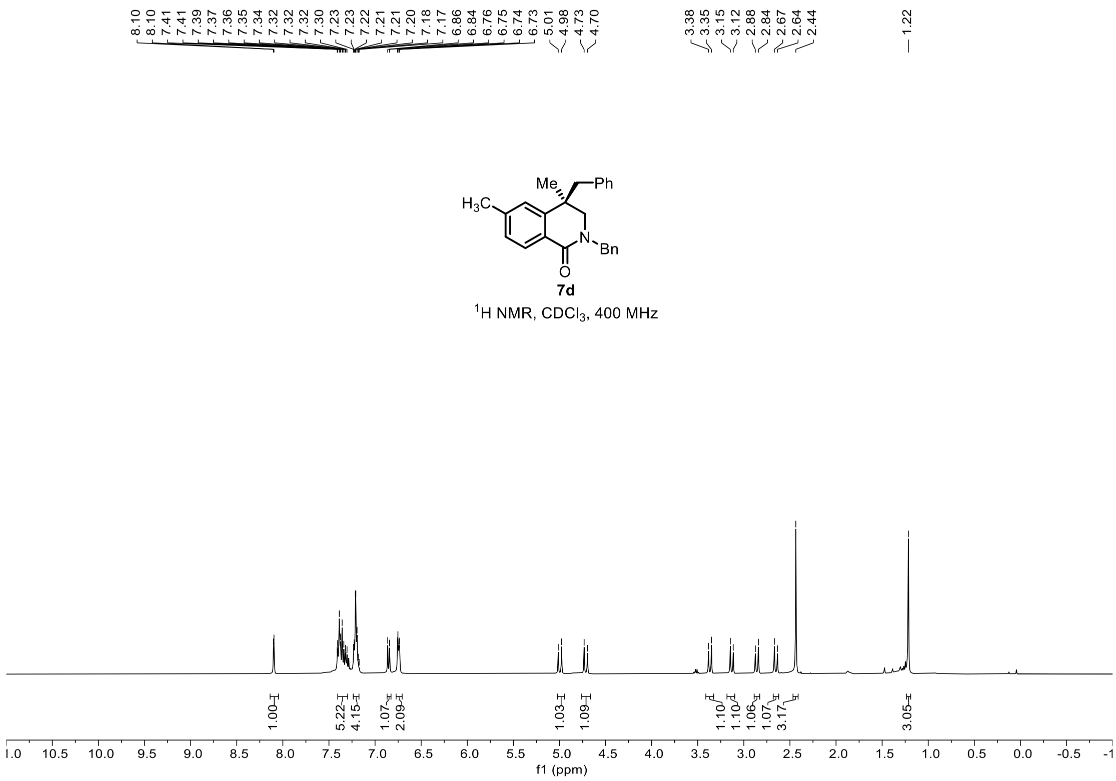


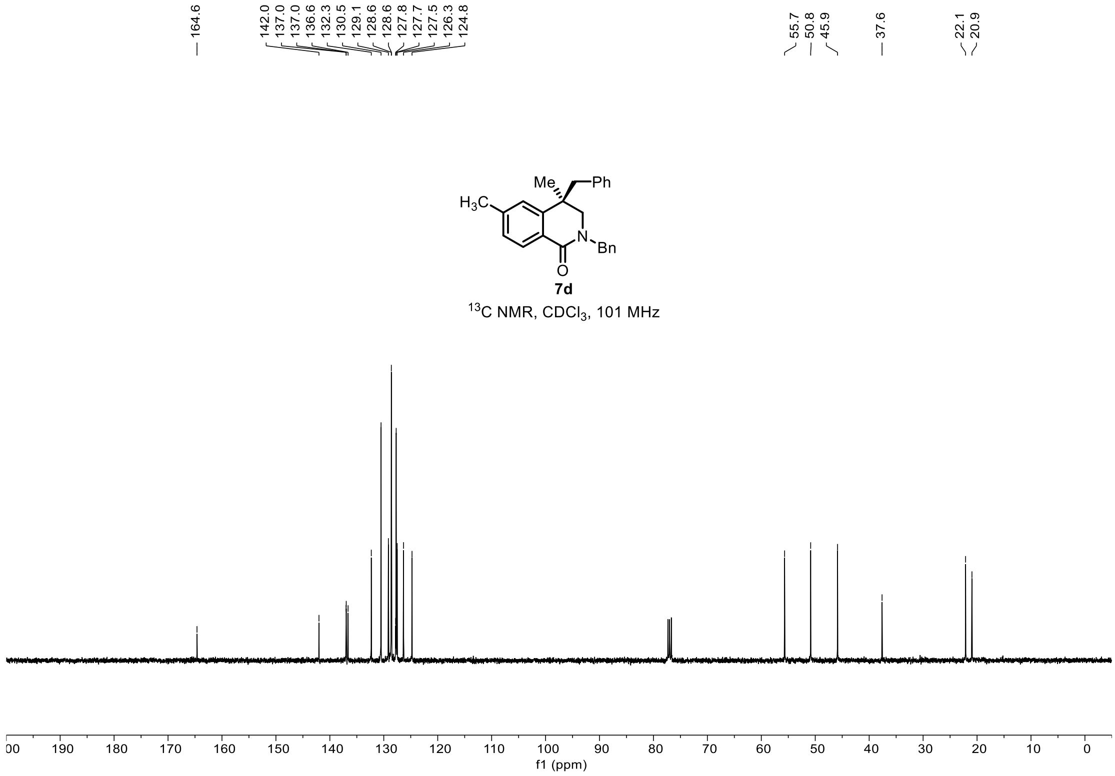


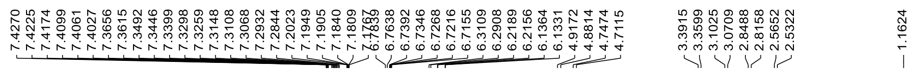
8.30
8.29
8.28
8.27
7.42
7.41
7.40
7.39
7.38
7.37
7.36
7.34
7.33
7.32
7.32
7.31
7.20
7.18
7.16
7.15
7.14
6.87
6.86
6.86
6.85
6.85
6.70
6.70
6.68
6.68
4.87
4.83
4.81
4.77
3.52
3.49
3.23
3.20
2.99
2.95
2.70
2.66
1.70
1.69
1.69
1.67
1.66
1.66
1.62
1.61
1.59
1.58
1.30
1.30
1.29
1.27
1.25
1.24
1.23
1.21
1.20
1.19
1.18
1.16
1.16
1.15
1.14
0.89
0.87
0.85
^{n}Bu
Ph
N
Bn
O
7c
^{1}H NMR, CDCl$_3$, 400 MHz
1.00
7.16
3.38
1.09
1.99
2.11
1.03
1.08
1.02
1.05
2.17
2.25
2.02
3.09
f1 (ppm)

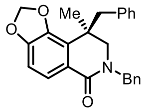


164.1
143.2
136.9
136.7
131.2
130.5
128.9
128.7
128.6
128.6
127.7
127.5
126.8
126.3
125.6
53.7
51.0
44.1
40.9
34.2
25.9
23.3
13.9
^{n}Bu
Ph
N
Bn
O
7c
^{13}C NMR, CDCl$_3$, 101 MHz
f1 (ppm)


8.10
8.10
7.41
7.39
7.37
7.36
7.35
7.34
7.32
7.32
7.32
7.30
7.23
7.23
7.22
7.21
7.21
7.20
7.18
7.17
6.86
6.84
6.76
6.75
6.74
6.73
5.01
4.98
4.73
4.70
3.38
3.35
3.15
3.12
2.88
2.84
2.67
2.64
2.44
1.22
Me
Ph
H3C
N
Bn
O
7d
1H NMR, CDCl3, 400 MHz
1.00
5.22
4.15
1.07
2.09
1.03
1.09
1.10
1.10
1.06
1.07
3.17
3.05
f1 (ppm)

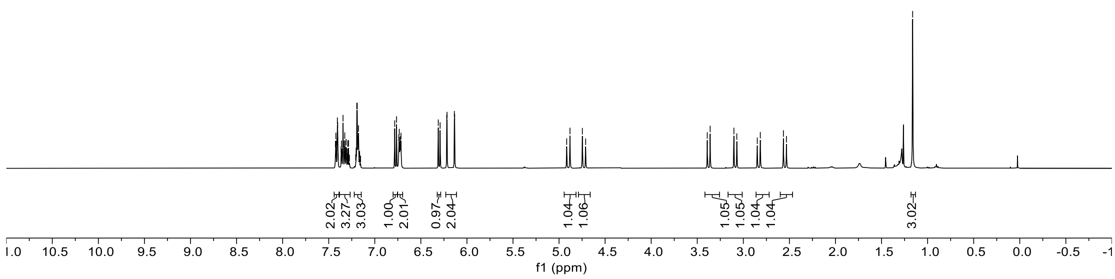

164.6
142.0
137.0
137.0
136.6
132.3
130.5
129.1
128.6
128.6
127.8
127.7
127.5
126.3
124.8
55.7
50.8
45.9
37.6
22.1
20.9
Me
Ph
H3C
N
Bn
O
7d
13C NMR, CDCl3, 101 MHz
f1 (ppm)

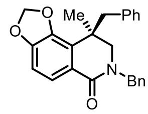




7e

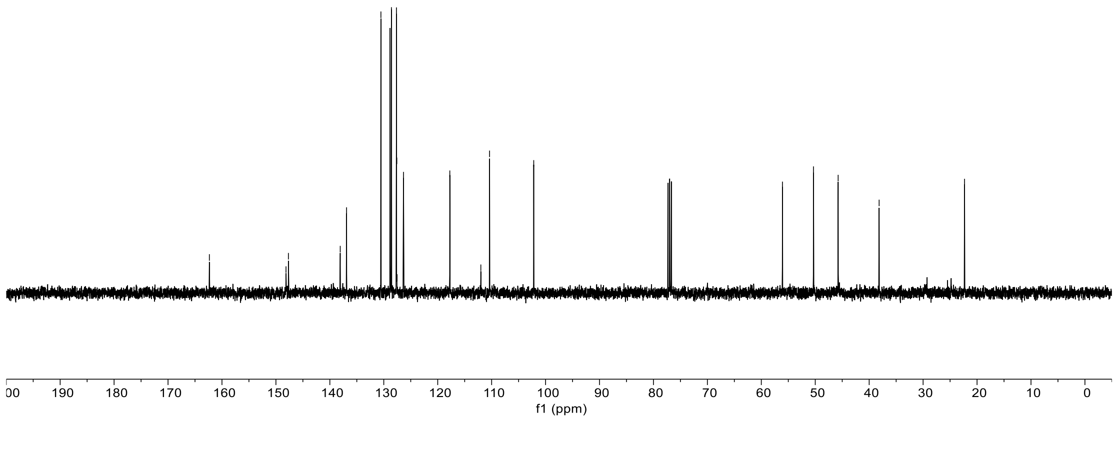
^{1}H NMR, $CDCl_3$, 400 MHz

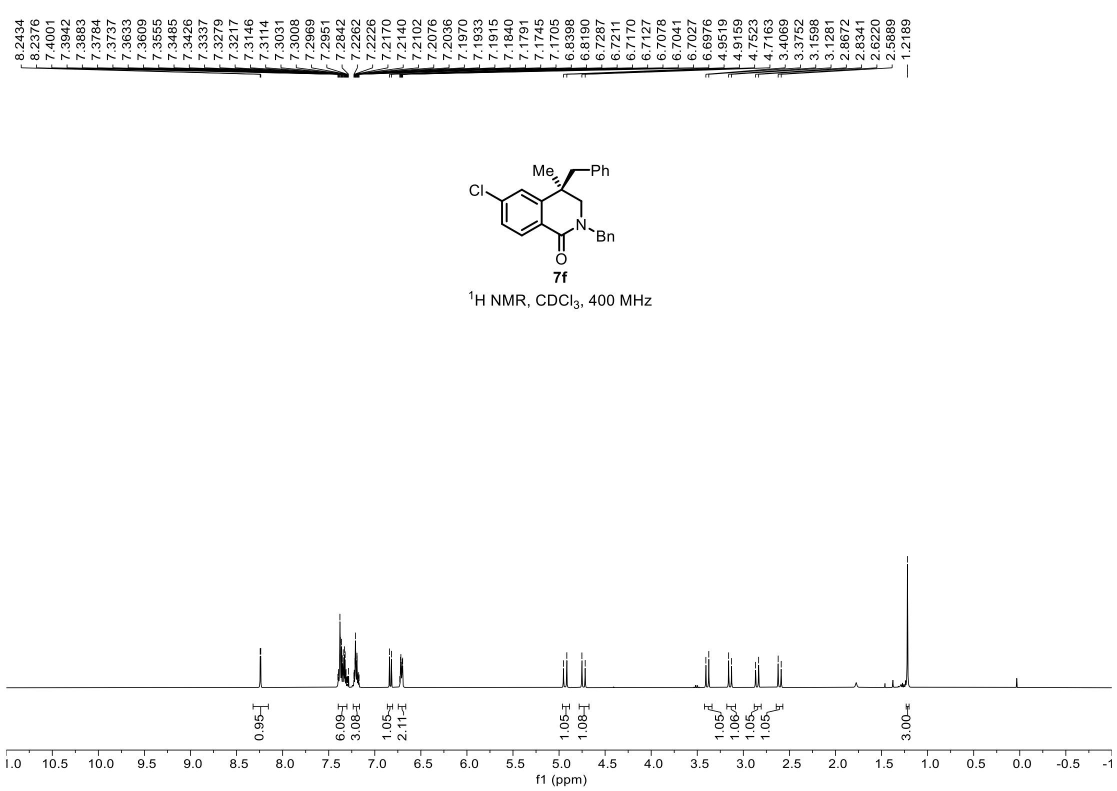


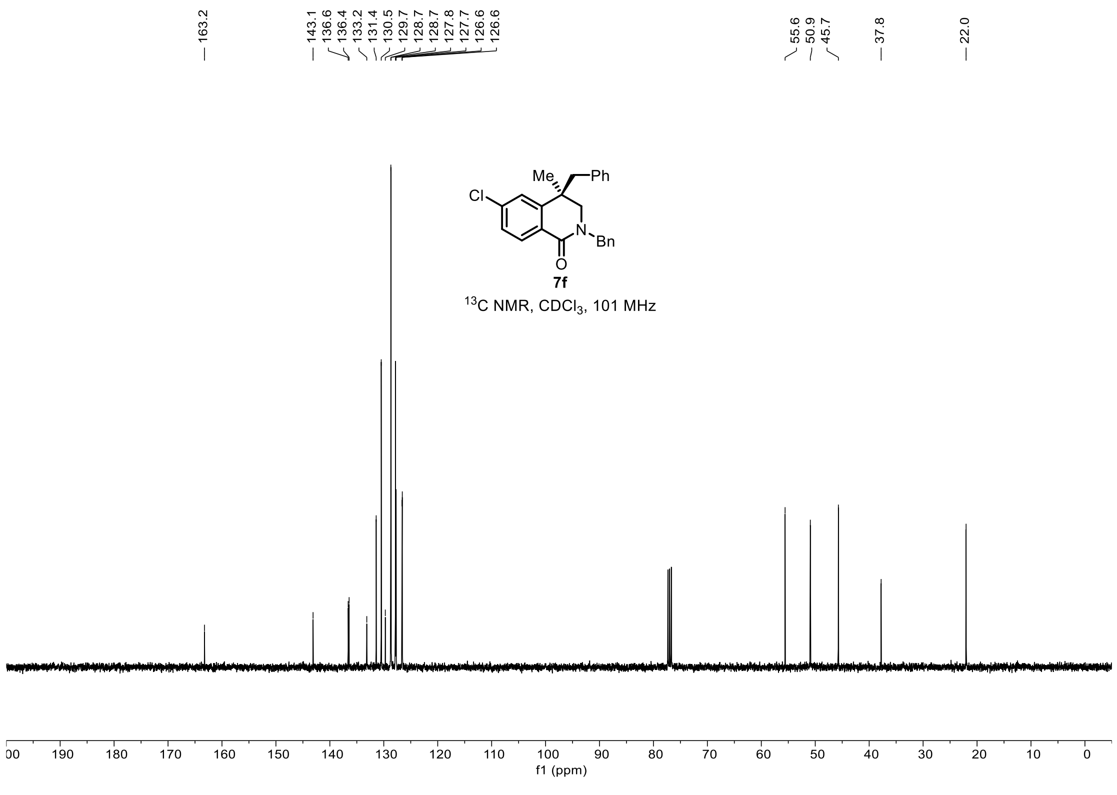


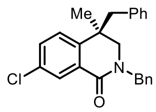
7e

^{13}C NMR, $CDCl_3$, 101 MHz



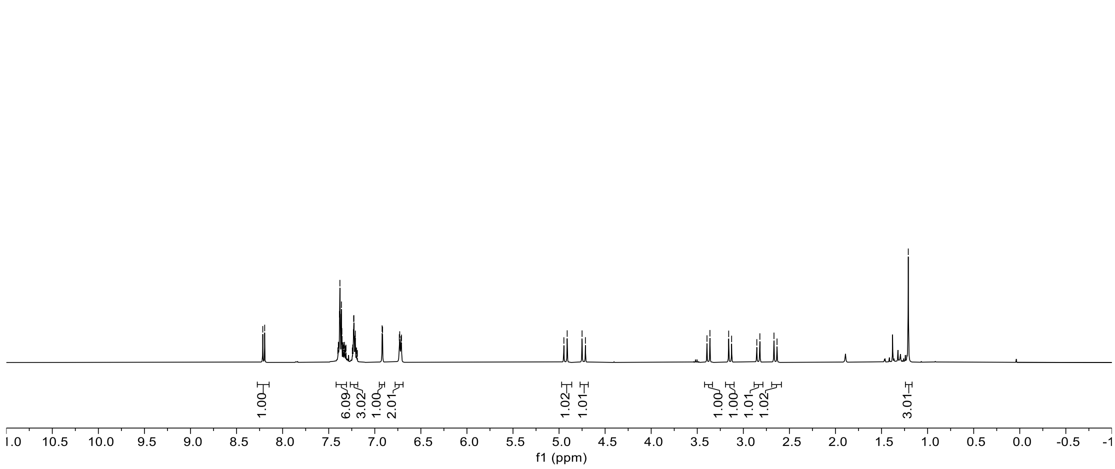


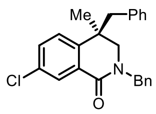




7g

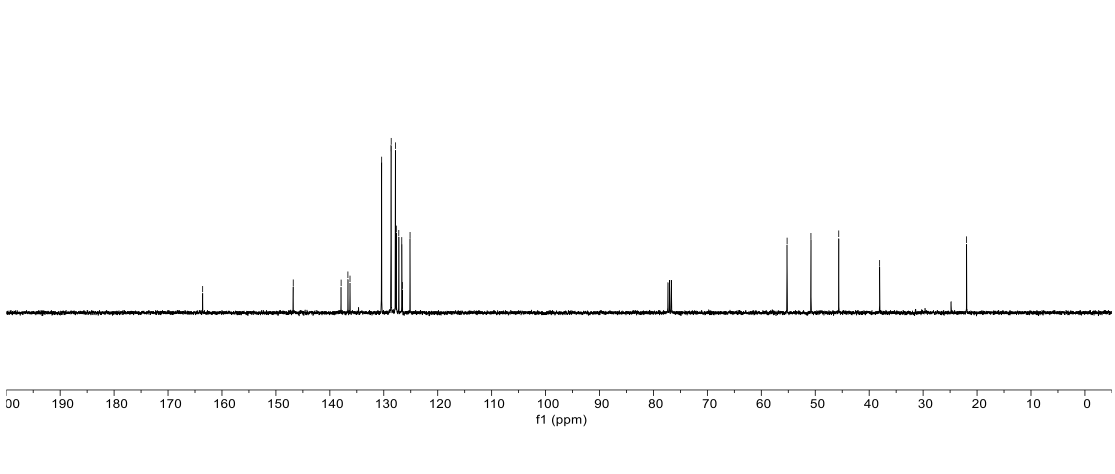
^{1}H NMR, $CDCl_3$, 400 MHz

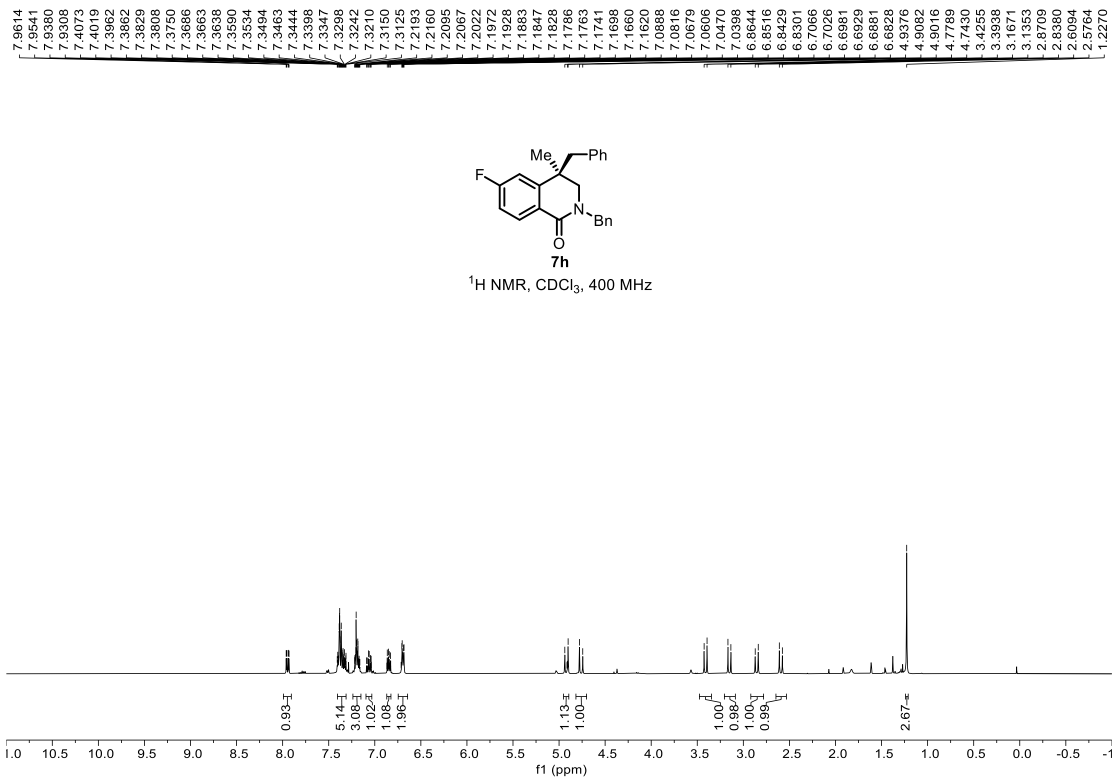




7g

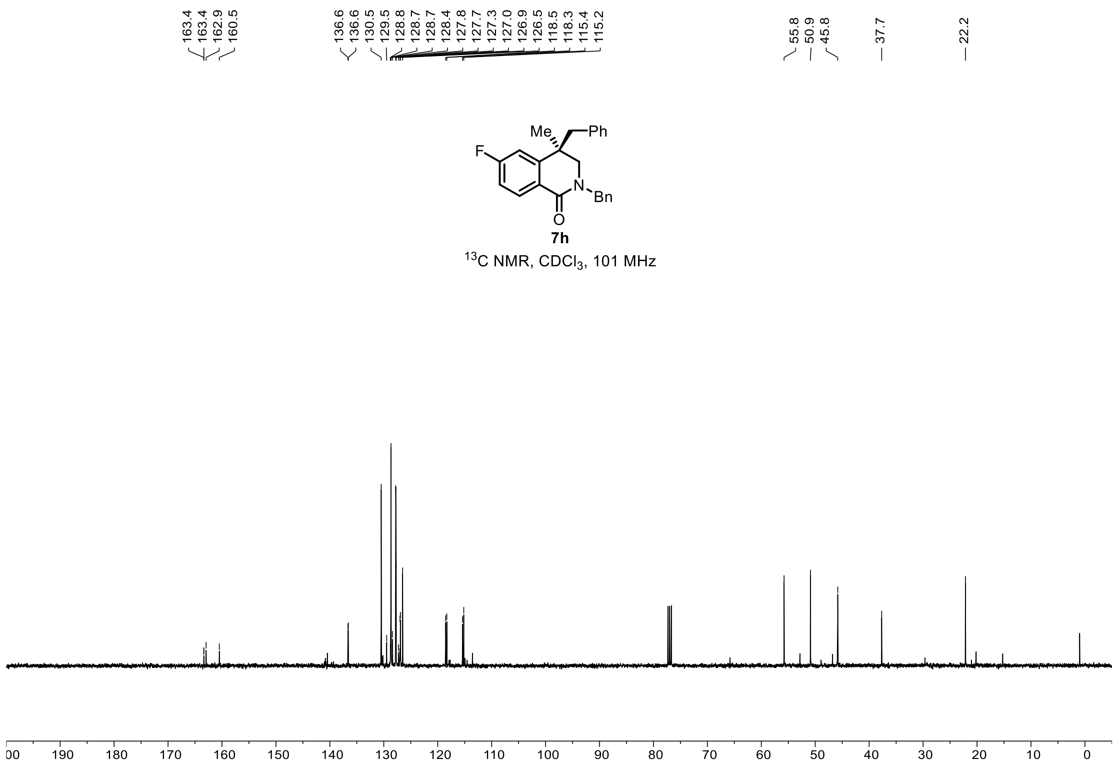
^{13}C NMR, $CDCl_3$, 101 MHz





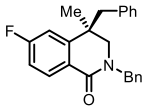
7h

^{1}H NMR, $CDCl_3$, 400 MHz



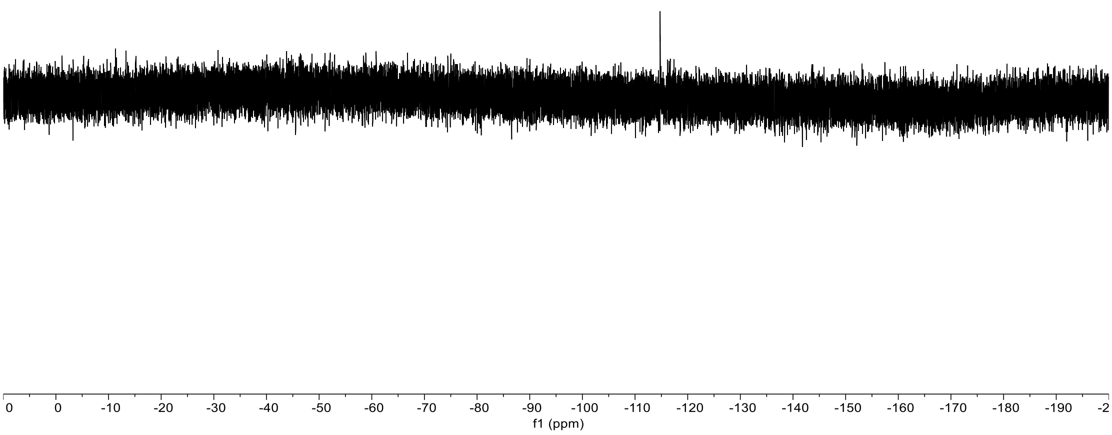
7h

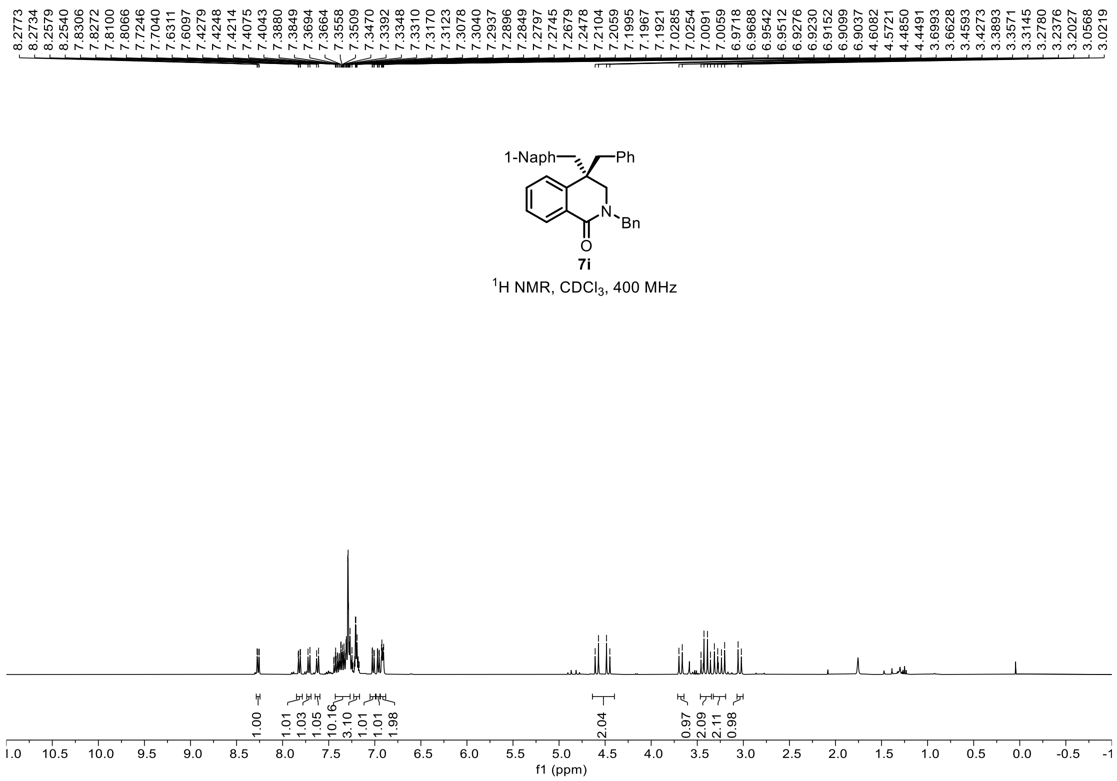
^{13}C NMR, $CDCl_3$, 101 MHz



7h

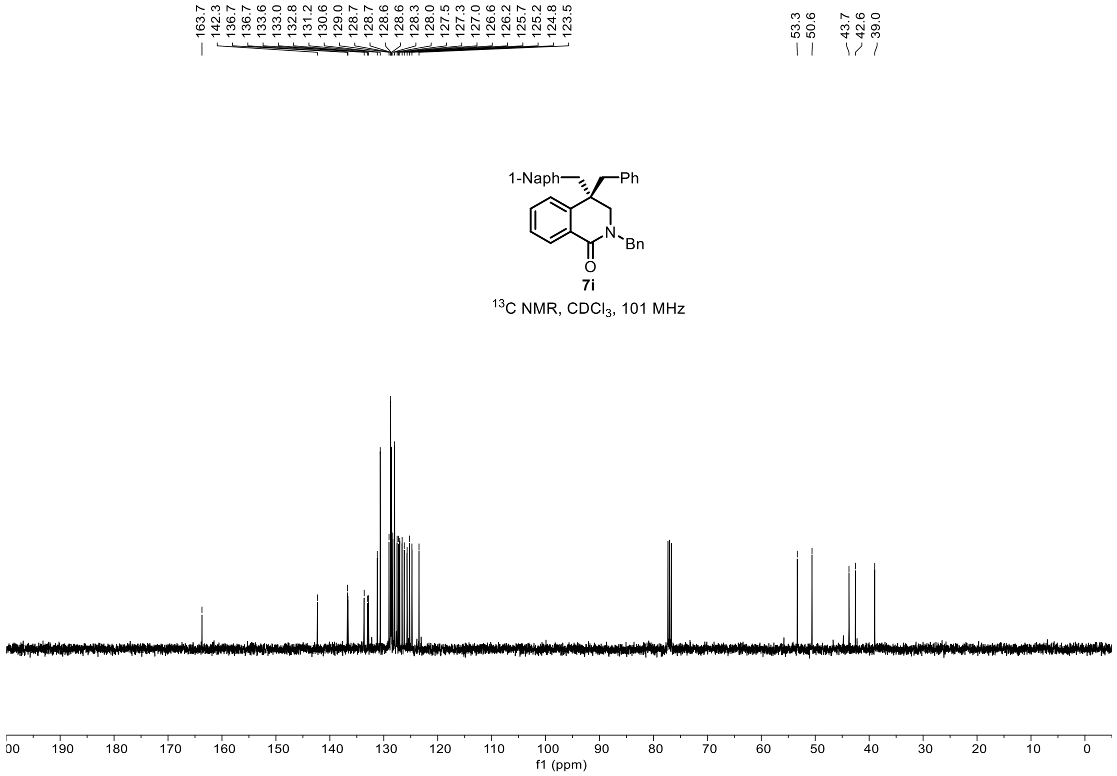
^{19}F NMR, $CDCl_3$, 376 MHz





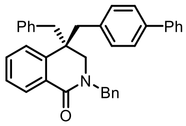
7i

^{1}H NMR, $CDCl_3$, 400 MHz

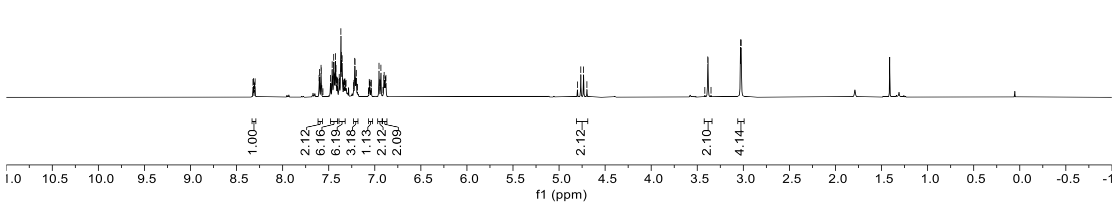


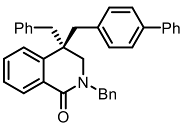
7i

^{13}C NMR, $CDCl_3$, 101 MHz

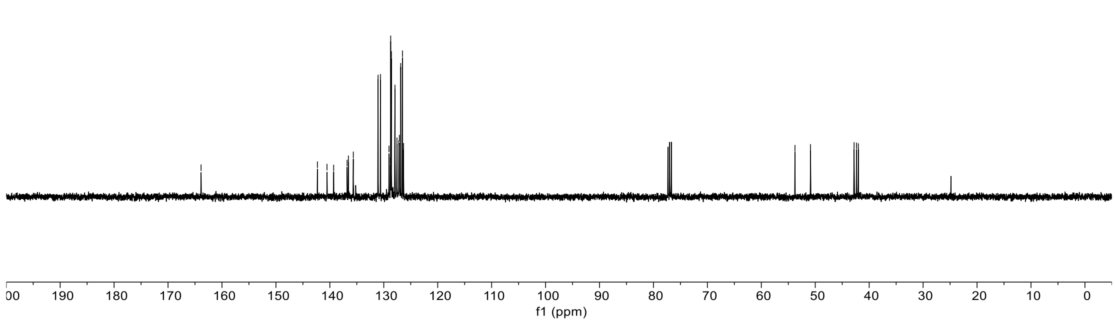


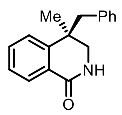
7j
^{1}H NMR, $CDCl_3$, 400 MHz





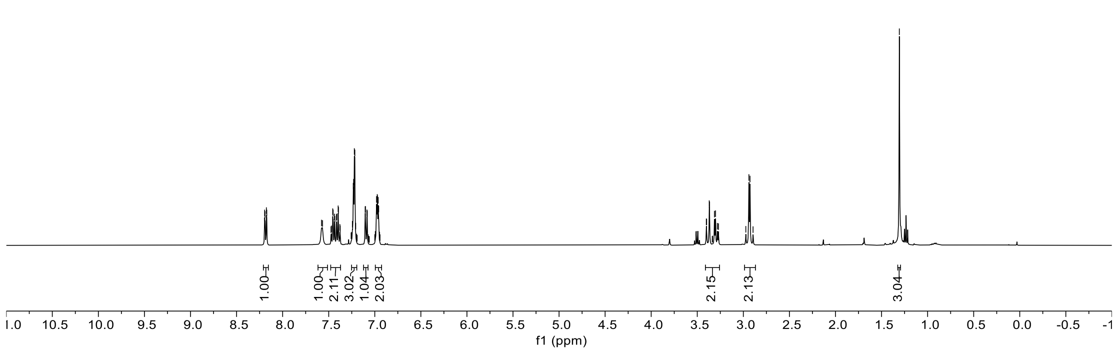
7j
^{13}C NMR, $CDCl_3$, 101 MHz

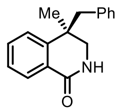




8

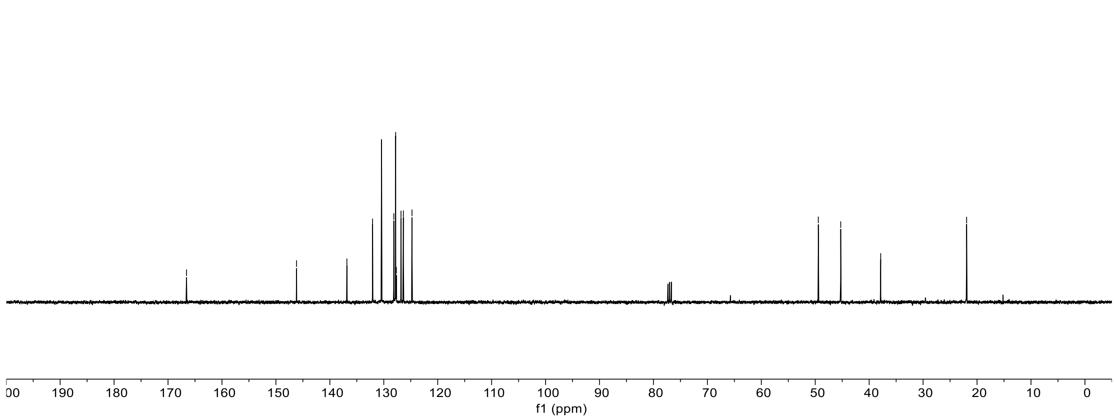
^{1}H NMR, $CDCl_3$, 400 MHz

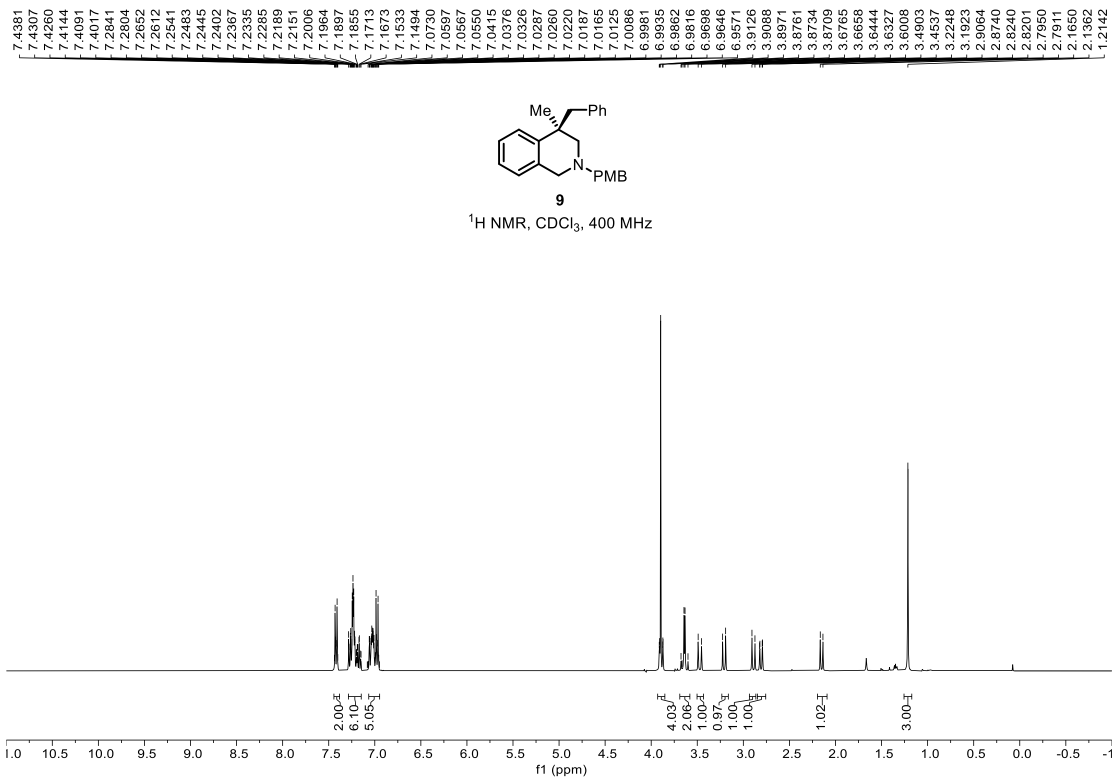


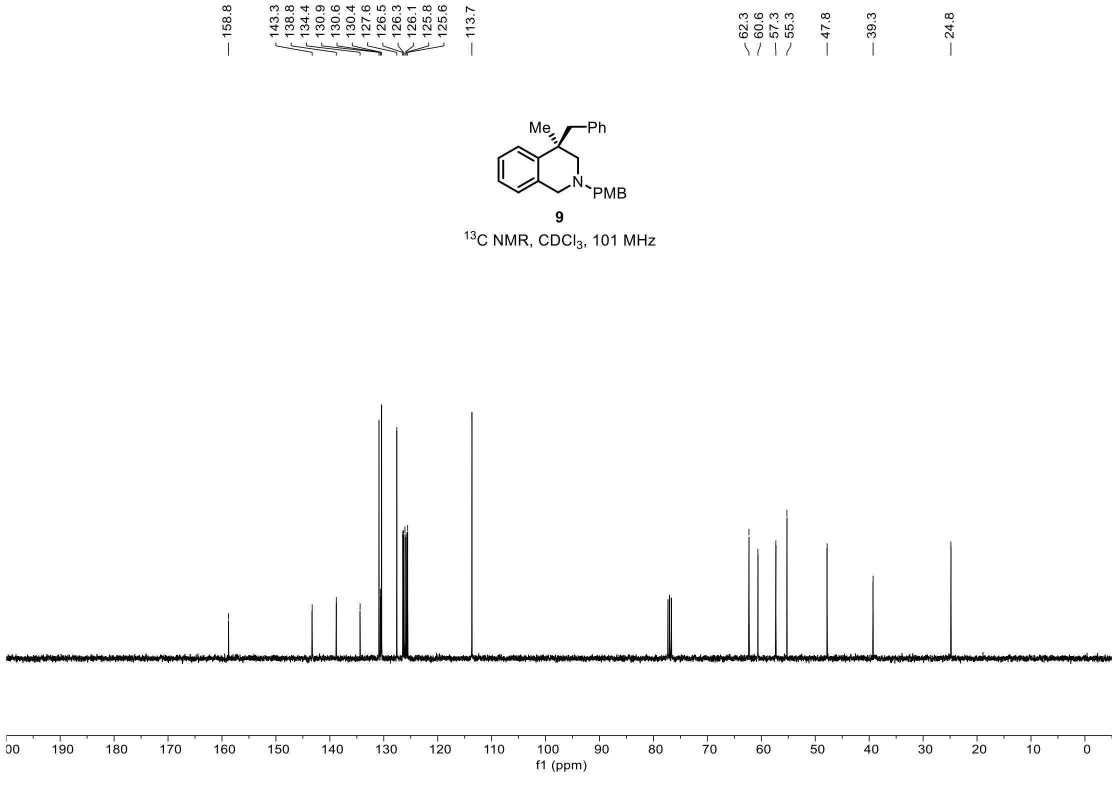


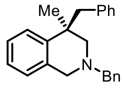
8

^{13}C NMR, $CDCl_3$, 101 MHz



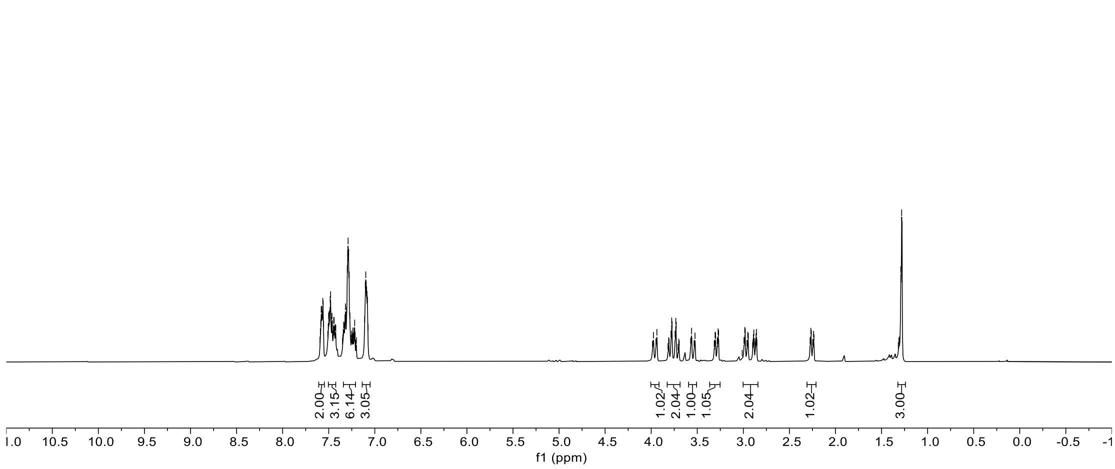

7.4381 7.4307 7.4260 7.4144 7.4091 7.4017 7.2841 7.2804 7.2652 7.2612 7.2541 7.2483 7.2445 7.2402 7.2367 7.2335 7.2285 7.2189 7.2151 7.2006 7.1964 7.1897 7.1855 7.1713 7.1673 7.1533 7.1494 7.0730 7.0597 7.0567 7.0550 7.0415 7.0376 7.0326 7.0287 7.0260 7.0220 7.0187 7.0165 7.0125 7.0086 6.9981 6.9935 6.9862 6.9816 6.9698 6.9646 6.9571 3.9126 3.9088 3.8971 3.8761 3.8734 3.8709 3.6765 3.6658 3.6444 3.6327 3.6008 3.4903 3.4537 3.2248 3.1923 2.9064 2.8740 2.8201 2.7950 2.7911 2.1650 2.1362 1.2142
Me
Ph
N
PMB
9
^{1}H NMR, $CDCl_3$, 400 MHz
2.00
6.10
5.05
4.03
2.06
1.00
0.97
1.00
1.00
1.02
3.00
f1 (ppm)

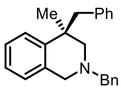

158.8
143.3 138.8 134.4 130.9 130.6 130.4 127.6 126.5 126.3 126.1 125.8 125.6
113.7
62.3 60.6 57.3 55.3
47.8
39.3
24.8
Me
Ph
N
PMB
9
^{13}C NMR, $CDCl_3$, 101 MHz
f1 (ppm)



10

^{1}H NMR, $CDCl_3$, 400 MHz





10

^{13}C NMR, $CDCl_3$, 101 MHz