Electronic Supplementary Material (ESI) for ChemComm.

Supplementary Information

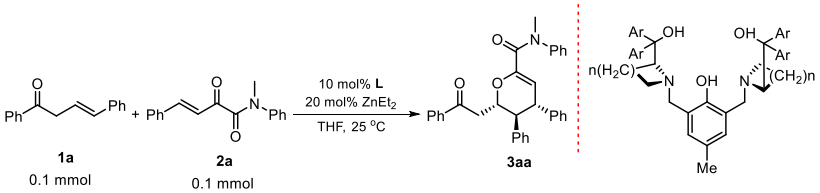
Contents

1. General Information.

All reactions were carried out in oven-dried glassware with magnetic stirring. Reagents were obtained from commercial supplier and used without further purification unless otherwise noted. Solvents were dried with standard methods and freshly distilled prior to use if needed. All reactions sensitive to air or moisture were carried out under nitrogen using standard Schlenk and vacuum line techniques. NMR spectra were recorded on a 400 MHz NMR spectrometer with $CDCl_3$ as the solvent and TMS as an internal standard (400 MHz for ^{1}H and 100 MHz for ^{13}C). HRMS were determined on a Q-TOF Micro LC/MS System ESI spectrometer. Enantiomeric excesses values were determined with HPLC (chiral column; mobile phase hexane/i-PrOH). Phenyl allyl ketone **1**[1] and β,γ-unsaturated α-ketoamide **2**[2] were either employed directly from commercial sources or prepared according to the literature.

2. Reaction optimization

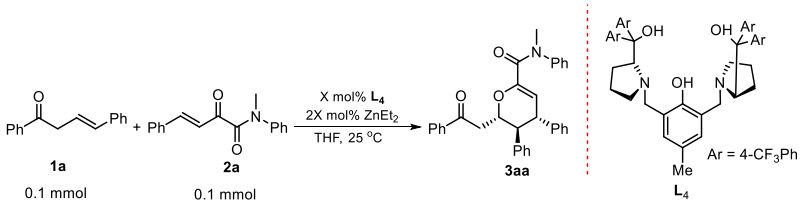
1) Effect of Ligands[a]



Entry	Ligand	Time (h)	Yield (%)[b]	Dr[c]	Ee (%)[d]
1	**L1** (Ar = Ph, n = 2)	24	80	>20:1	85
2	**L2** (Ar = 4-$CH_3C_6H_4$, n = 2)	24	82	>20:1	85
3	**L3** (Ar = 4-ClC_6H_4, n = 2)	24	81	>20:1	85
4	**L4** (Ar = 4-$CF_3C_6H_4$, n = 2)	24	92	>20:1	95
5	**L5** (Ar = 2-thienyl, n = 2)	24	85	>20:1	70
6	**L6** (Ar = 2-naphthyl, n = 2)	24	70	>20:1	82
7	**L7** (Ar = Ph, n = 1)	24	84	>20:1	30
8	**L8** (Ar = 4-$CH_3C_6H_4$, n = 1)	24	81	>20:1	27
9	**L9** (Ar = 4-$CF_3C_6H_4$, n = 1)	24	83	>20:1	33

[a]Reaction conditions: **1a** (0.1 mmol), **2a** (0.1 mmol), ligand (10 mol%), $ZnEt_2$ (20 mol%) in THF (1 mL) under N_2 at 25 °C for 24 h. [b]Isolated yields. [c]Determined by ^1H NMR. [d]Determined by chiral HPLC analysis.

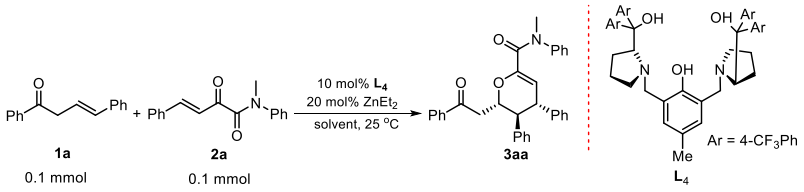
2) Effect of the loading of Ligands[a]



Entry	X	Time (h)	Yield (%)[b]	Dr[c]	Ee (%)[d]
1	1	72	78	>20:1	94
2	2	48	80	>20:1	94
3	5	48	80	>20:1	95
4	10	24	92	>20:1	95
5	15	24	91	>20:1	94
6	20	24	92	>20:1	95

[a]Reaction conditions: **1a** (0.1 mmol), **2a** (0.1 mmol), ligand **L4** (X mol%), $ZnEt_2$ (2X mol%) in THF (1 mL) under N_2 at 25 °C for 24 h. [b]Isolated yields. [c]Determined by ^1H NMR. [d]Determined by chiral HPLC analysis.

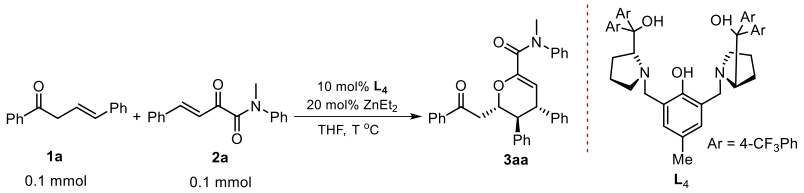
3) Effect of Solvents[a]



Entry	Solvent	Time (h)	Yield (%)[b]	Dr[c]	Ee (%)[d]
1	CH_2Cl_2	24	82	>20:1	94
2	$CHCl_3$	48	68	>20:1	84
3	CH_3CN	48	72	>20:1	72
4	THF	24	92	>20:1	95
5	Toluene	30	85	>20:1	83
6	1,4-dioxane	48	77	>20:1	85

[a]Reaction conditions: **1a** (0.1 mmol), **2a** (0.1 mmol), ligand **L4** (10 mol%), $ZnEt_2$ (20 mol%) in a specified solvent (1 mL) under N_2 at 25 °C for 24 h. [b]Isolated yields. [c]Determined by ^1H NMR. [d]Determined by chiral HPLC analysis.

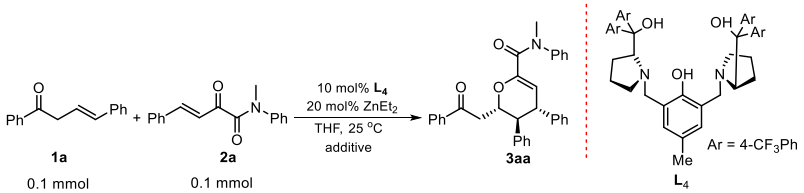
4) Effect of more Temperatures[a]



Entry	T (°C)	Time (h)	Yield (%)[b]	Dr[c]	Ee (%)[d]
1	-10	96	69	>20:1	85
2	0	72	80	>20:1	90
3	10	48	78	>20:1	90
5	20	24	86	>20:1	92
6	25	24	92	>20:1	95
7	30	24	92	>20:1	94

[a]Reaction conditions: **1a** (0.1 mmol), **2a** (0.1 mmol), ligand **L4** (10 mol%), $ZnEt_2$ (20 mol%) in THF (1 mL) under N_2 for 24 h. [b]Isolated yields. [c]Determined by ^1H NMR. [d]Determined by chiral HPLC analysis.

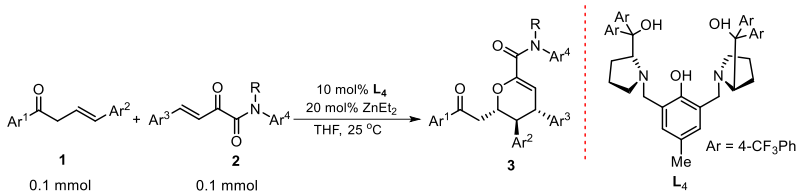
5) Effect of Additives[a]



Entry	Additive (20 mol%)	Time (h)	Yield (%)[b]	Dr[c]	Ee (%)[d]
1	None	24	92	>20:1	95
2	4A MS	24	85	>20:1	88
3	DABCO	24	80	>20:1	43
4	K_2CO_3	24	83	>20:1	30
5	PPh_3	24	86	>20:1	95
6	PPh_3S	24	84	>20:1	95
7	Et_3N	24	78	>20:1	46

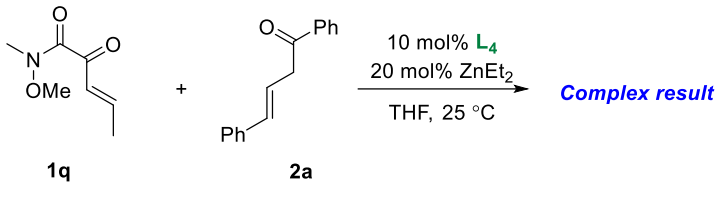
[a]Reaction conditions: **1a** (0.1 mmol), **2a** (0.1 mmol), ligand **L4** (10 mol%), $ZnEt_2$ (20 mol%) in THF (1 mL) under N_2 at 25 °C for 24 h. [b]Isolated yields. [c]Determined by ^1H NMR. [d]Determined by chiral HPLC analysis.

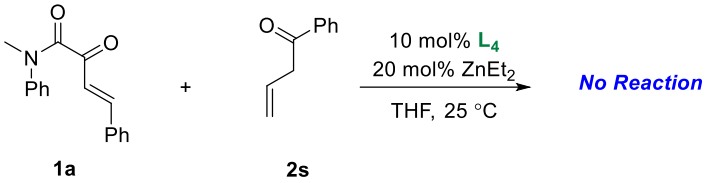
3. General Procedures for the Synthesis of 3.



In a flame-dried Schlenk tube, a solution of diethylzinc (0.04 mL, 1.0 mol L^{-1} in hexane, 0.02 mmol) was added to a solution of the chiral ligand **L_4** (9.1 mg, 0.01 mmol) in dry THF (1.0 mL) under nitrogen at 25 °C. The mixture was stirred at 25 °C for 30 min. Then, phenyl allyl ketone **1** and *β,γ*-unsaturated *α*-ketoamide **2** was added under an argon atmosphere. The solution was stirred at 25 °C for the necessary reaction time, and then quenched with aqueous NH_4Cl (5 mL), and extracted three times with EA (3×10 mL). The combined organics was washed with brine before being dried by $MgSO_4$, filtered and concentrated in vacuo. The crude product was separated by flash column chromatography on silica gel (petroleum ether/ethyl acetate 5:1).

Failed examples:

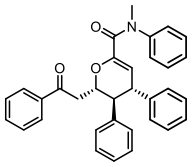




*Possible reasons: aliphatic variant **1q** is more active than aryl one. Under standard conditions, the reaction was messy and **1q** decomposed. On the other hand, without the aryl group, **1s** is less active to generate the dienolate, so we got the no reaction result.*

4. Characterization of Compounds.

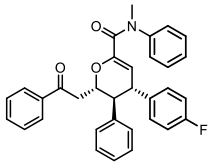
(2*S*,3*S*,4*R*)-*N*-methyl-2-(2-oxo-2-phenylethyl)-*N*,3,4-triphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3aa**:



3aa

White solid, M.p.: 173-174 °C; yield: 92%; $[\alpha]^{25}_{D}$ = +92 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IF, *i*-propanol/hexane = 30/70, flow rate 1.0 mL/min, λ = 254 nm), t_1= 13.29 min (minor), t_2 = 15.52 min (major), *ee* = 95%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.70-7.54 (m, 2H), 7.46-7.42 (m, 1H), 7.33-7.30 (m, 2H), 7.17-7.13 (m, 3H), 7.07-6.99 (m, 8H), 6.76-6.75 (m, 2H), 6.62-6.60 (m, 2H), 5.48 (d, *J* = 2.0 Hz, 1H), 4.61-4.56 (m, 1H), 3.53-3.50 (m, 1H), 3.23 (s, 3H), 2.60-2.54 (m, 1H), 2.49-2.42 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.5, 165.1, 148.2, 144.9, 142.1, 139.6, 137.1, 133.0, 129.1, 128.6, 128.3, 128.1, 127.8, 127.1, 126.7, 126.6, 126.0, 110.3, 75.8, 52.0, 46.7, 41.4, 38.0; HRMS (ESI) Calcd. For $C_{33}H_{29}NO_3Na^+$ $[M+Na]^+$ 510.2040, found 510.2047.

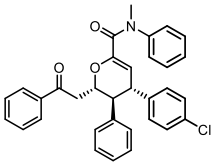
(2*S*,3*S*,4*R*)-4-(4-fluorophenyl)-*N*-methyl-2-(2-oxo-2-phenylethyl)-*N*,3-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3ba**:



3ba

White solid, M.p.: 162-163 °C; yield: 88%; $[\alpha]^{25}_{D}$ = +76 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 20/80, flow rate 1.0 mL/min, λ = 254 nm), t_1= 12.44 min (major), t_2 = 25.92 min (minor), *ee* = 93%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.75-7.70 (m, 2H), 7.60-7.52 (m, 1H), 7.44-7.40 (m, 2H), 7.29-7.23 (m, 3H), 7.18-7.12 (m, 5H), 6.86-6.74 (m, 4H), 6.68-6.59 (m, 2H), 5.53 (d, *J* = 2.2 Hz, 1H), 4.70-4.60 (m, 1H), 3.62-3.59 (m, 1H), 3.34 (s, 3H), 2.71-2.65 (m, 1H), 2.59-2.51 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.4, 165.0, 161.5 (*J* = 243.3 Hz), 148.4, 144.8, 139.3, 137.8, 137.8, 137.0, 133.0, 129.2 (*J* = 7.8 Hz), 128.7, 128.4, 128.3, 128.1, 127.3, 126.7, 125.9, 114.9 (*J* = 21.1 Hz), 110.0, 75.9, 52.1, 46.0, 41.3, 38.0; HRMS (ESI) Calcd. For $C_{33}H_{28}FNO_3Na^+$ $[M+Na]^+$ 528.1945, found 528.1953.

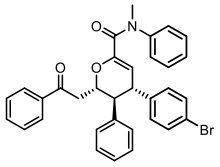
(2*S*,3*S*,4*R*)-4-(4-chlorophenyl)-*N*-methyl-2-(2-oxo-2-phenylethyl)-*N*,3-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3ca**:



3ca

White solid, M.p.: 135-136 °C; yield: 82%; $[\alpha]^{25}_D$ = +83 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 20/80, flow rate 1.0 mL/min, λ = 254 nm), t_1= 13.24 min (major), t_2 = 27.69 min (minor), *ee* = 92%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.61-7.59 (m, 2H), 7.45-7.42 (m, 1H), 7.33-7.29 (m, 2H), 7.19-7.13 (m, 3H), 7.07-7.01 (m, 5H), 6.95-6.93 (m, 2H), 6.75-6.74 (m, 2H), 6.50 (d, *J* = 8.4 Hz, 2H), 5.39 (d, *J* = 2.1 Hz, 1H), 4.59-4.54 (m, 1H), 3.51-3.48 (m, 1H), 3.23 (s, 3H), 2.61-2.55 (m, 1H), 2.49-2.40 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.4, 165.0, 148.6, 144.8, 140.7, 139.2, 137.0, 133.0, 132.3, 129.1, 129.1, 128.7, 128.4, 128.3, 128.1, 127.3, 126.8, 125.9, 109.6, 75.9, 51.9, 46.1, 41.3, 38.0; HRMS (ESI) Calcd. For $C_{33}H_{28}ClNO_3Na^+$ $[M+Na]^+$ 544.1650, found 544.1656.

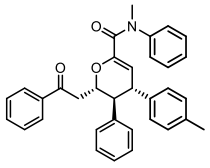
(2*S*,3*S*,4*R*)-4-(4-bromophenyl)-*N*-methyl-2-(2-oxo-2-phenylethyl)-*N*,3-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3da**:



3da

White solid, M.p.: 153-154 °C; yield: 83%; $[\alpha]^{25}_D$ = +105 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 20/80, flow rate 1.0 mL/min, λ = 254 nm), t_1= 14.00 min (major), t_2 = 29.54 min (minor), *ee* = 93%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.73-7.71 (m, 2H), 7.56-7.52 (m, 1H), 7.45-7.41 (m, 2H), 7.31-7.29 (m, 2H), 7.17-7.09 (m, 6H), 7.01-6.99 (m, 2H), 6.88-6.86 (m, 2H), 6.73-6.70 (m, 2H), 5.64 (d, *J* = 2.2 Hz, 1H), 4.75-4.69 (m, 1H), 3.65-3.62 (m, 1H), 3.28 (s, 3H), 2.72-2.66 (m, 1H), 2.61-2.49 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.4, 164.8, 147.8, 144.0, 141.9, 139.5, 136.9, 133.1, 132.2, 128.7, 128.5, 128.3, 128.2, 128.1, 127.8, 127.4, 127.2, 126.7, 120.2, 111.1, 75.8, 51.8, 46.8, 41.2, 38.0; HRMS (ESI) Calcd. For $C_{33}H_{28}BrNO_3Na^+$ $[M+Na]^+$ 588.1145, found 588.1151.

(2*S*,3*S*,4*R*)-*N*-methyl-2-(2-oxo-2-phenylethyl)-*N*,3-diphenyl-4-(*p*-tolyl)-3,4-dihydro-2*H*-pyran-6-carboxamide **3ea**:

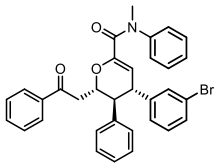


3ea

White solid, M.p.: 122-123 °C; yield: 82%; $[\alpha]^{25}_D$ = +57 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 20/80, flow rate 1.0 mL/min, λ = 254 nm), t_1= 12.14 min (major), t_2 = 21.64 min (minor), *ee* = 94%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.62-7.60 (m, 2H), 7.46-7.42 (m, 1H), 7.34-7.30 (m, 2H), 7.18-7.13 (m, 3H), 7.07-7.01 (m, 5H), 6.81-6.76 (m, 4H), 6.50 (d, *J* = 8.0 Hz, 2H), 5.46 (d, *J* = 2.2 Hz, 1H), 4.59-4.54 (m, 1H), 3.51-3.47 (m, 1H), 3.23 (s, 3H), 2.60-2.54 (m, 1H), 2.48-2.42 (m, 2H), 2.14 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.6, 165.2, 148.1, 144.9, 139.7, 139.0, 137.1, 136.0, 133.0, 129.1, 128.8, 128.6, 128.4, 128.3, 128.1, 127.6, 127.1, 126.7, 126.0,

110.6, 75.9, 51.9, 46.2, 41.4, 37.9, 21.0; HRMS (ESI) Calcd. For $C_{34}H_{31}NO_3Na^+$ $[M+Na]^+$ 524.2196, found 524.2207.

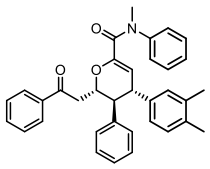
(2*S*,3*S*,4*R*)-4-(3-bromophenyl)-*N*-methyl-2-(2-oxo-2-phenylethyl)-*N*,3-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3fa**:



3fa

White solid, M.p.: 112-113 °C; yield: 89%; $[\alpha]^{25}_D$ = +88 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 20/80, flow rate 1.0 mL/min, λ = 254 nm), t_1= 14.82 min (major), t_2 = 30.70 min (minor), *ee* = 93%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.72-7.68 (m, 2H), 7.58-7.51 (m, 1H), 7.44-7.38 (m, 2H), 7.31-7.23 (m, 4H), 7.19-7.09 (m, 5H), 6.96-6.92 (m, 2H), 6.86-6.85 (m, 2H), 6.59 (d, *J* = 7.7 Hz, 1H), 5.52 (d, *J* = 2.2 Hz, 1H), 4.70-4.65 (m, 1H), 3.60-3.57 (m, 1H), 3.33 (s, 3H), 2.71-2.64 (m, 1H), 2.58-2.51 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.4, 164.9, 148.7, 144.7, 144.5, 139.0, 137.0, 133.0, 130.6, 129.8, 129.6, 129.1, 128.8, 128.4, 128.3, 128.1, 127.4, 127.0, 126.7, 126.0, 122.2, 109.2, 75.8, 51.7, 46.5, 41.3, 37.9; HRMS (ESI) Calcd. For $C_{33}H_{28}BrNO_3Na^+$ $[M+Na]^+$ 588.1145, found 588.1153.

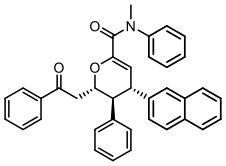
(2*S*,3*S*,4*R*)-4-(3,4-dimethylphenyl)-*N*-methyl-2-(2-oxo-2-phenylethyl)-*N*,3-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3ga**:



3ga

White solid, M.p.: 127-128 °C; yield: 90%; $[\alpha]^{25}_D$ = +121 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 11.32 min (major), t_2 = 13.18 min (minor), *ee* = 90%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.62-7.60 (m, 2H), 7.46-7.42 (m, 1H), 7.34-7.30 (m, 2H), 7.18-7.10 (m, 3H), 7.07-6.99 (m, 5H), 6.79-6.73 (m, 3H), 6.49-6.45 (m, 1H), 6.34-6.32 (m, 1H), 5.47 (d, *J* = 2.2 Hz, 1H), 4.58-4.52 (m, 1H), 3.48-3.45 (m, 1H), 3.23 (s, 3H), 2.61-2.54 (m, 1H), 2.50-2.41 (m, 2H), 2.05 (s, 3H), 2.00 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.6, 165.2, 148.0, 144.9, 139.8, 139.4, 137.1, 136.2, 134.6, 133.0, 129.3, 129.0, 128.9, 128.6, 128.4, 128.3, 128.1, 127.0, 126.7, 126.0, 125.2, 110.8, 75.9, 51.8, 46.2, 41.5, 37.9, 19.7, 19.3; HRMS (ESI) Calcd. For $C_{35}H_{33}NO_3Na^+$ $[M+Na]^+$ 538.2353, found 538.2355.

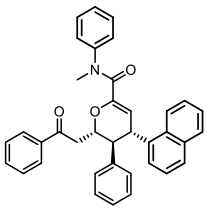
(2*S*,3*S*,4*R*)-*N*-methyl-4-(naphthalen-2-yl)-2-(2-oxo-2-phenylethyl)-*N*,3-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3ha**:



3ha

White solid, M.p.: 137-138 °C; yield: 94%; $[\alpha]^{25}_D$ = +90 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 8.81 min (major), t_2 = 16.56 min (minor), *ee* = 92%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.65-7.62 (m, 3H), 7.56-7.52 (m, 1H), 7.50-7.43 (m, 2H), 7.35-7.28 (m, 3H), 7.22-7.14 (m, 4H), 7.12-7.09 (m, 3H), 7.04-6.97 (m, 3H), 6.78-6.73 (m, 3H), 5.55 (d, *J* = 2.1 Hz, 1H), 4.66-4.61 (m, 1H), 3.71-3.68 (m, 1H), 3.26 (s, 3H), 2.65-2.58 (m, 2H), 2.50-2.45 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.6, 165.2, 148.3, 144.9, 139.6, 139.5, 137.0, 133.3, 133.0, 132.4, 129.1, 128.7, 128.4, 128.1, 127.7, 127.6, 127.5, 127.2, 126.8, 126.4, 126.1, 126.0, 125.8, 125.4, 110.2, 75.9, 51.8, 46.8, 41.4, 38.0; HRMS (ESI) Calcd. For $C_{37}H_{31}NO_3Na^+$ $[M+Na]^+$ 560.2196, found 560.2202.

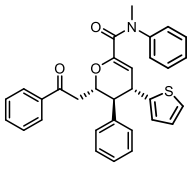
(2*S*,3*S*,4*R*)-*N*-methyl-4-(naphthalen-1-yl)-2-(2-oxo-2-phenylethyl)-*N*,3-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3ia**:



3ia

White solid, M.p.: 67-68 °C; yield: 87%; $[\alpha]^{25}_D$ = +136 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IF, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 10.16 min (minor), t_2 = 12.94 min (major), *ee* = 92%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.65-7.61 (m, 3H), 7.55-7.43 (m, 3H), 7.35-7.29 (m, 4H), 7.22-7.16 (m, 3H), 7.12-7.09 (m, 3H), 7.04-6.99 (m, 3H), 6.78-6.73 (m, 3H), 5.55 (d, *J* = 2.1 Hz, 1H), 4.66-4.60 (m, 1H), 3.71-3.68 (m, 1H), 3.26 (s, 3H), 2.65-2.58 (m, 2H), 2.50-2.45 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.5, 165.1, 148.4, 144.9, 139.6, 139.5, 137.1, 133.3, 133.0, 132.4, 129.1, 128.7, 128.4, 128.1, 127.7, 127.6, 127.5, 127.2, 126.8, 126.4, 126.1, 126.0, 125.8, 125.4, 110.2, 75.9, 51.8, 46.8, 41.4, 38.0; HRMS (ESI) Calcd. For $C_{37}H_{31}NO_3Na^+$ $[M+Na]^+$ 560.2196, found 560.2199.

(2*S*,3*S*,4*R*)-*N*-methyl-2-(2-oxo-2-phenylethyl)-*N*,3-diphenyl-4-(thiophen-2-yl)-3,4-dihydro-2*H*-pyran-6-carboxamide **3ja**:

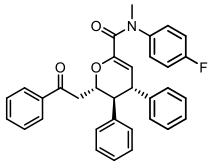


3ja

White solid, M.p.: 148-149 °C; yield: 68%; $[\alpha]^{25}_D$ = +48 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 20/80, flow rate 1.0 mL/min, λ = 254 nm), t_1= 14.57

min (major), t_2 = 30.27 min (minor), *ee* = 91%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.72-7.70 (m, 2H), 7.55-7.52 (m, 1H), 7.43-7.39 (m, 2H), 7.28-7.24 (m, 3H), 7.17-7.11 (m, 4H), 7.05-7.03 (m, 2H), 6.86-6.75 (m, 2H), 6.61-6.49 (m, 2H), 5.50 (d, *J* = 2.0 Hz, 1H), 4.70-4.65 (m, 1H), 3.61-3.58 (m, 1H), 3.33 (s, 3H), 2.71-2.65 (m, 1H), 2.59-2.50 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.4, 165.0, 148.5, 144.8, 140.7, 139.2, 137.0, 133.1, 132.2, 129.2, 129.1, 128.8, 128.4, 128.3, 128.2, 128.1, 127.3, 126.8, 125.9, 109.6, 75.8, 51.9, 46.1, 41.2, 38.0; HRMS (ESI) Calcd. For $C_{31}H_{27}NO_3SNa^+$ $[M+Na]^+$ 516.1604, found 516.1606.

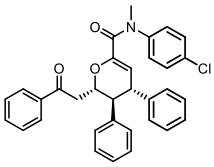
(2*S*,3*S*,4*R*)-*N*-(4-fluorophenyl)-*N*-methyl-2-(2-oxo-2-phenylethyl)-3,4-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3ka**:



3ka

White solid, M.p.: 148-149 °C; yield: 87%; $[\alpha]^{25}_D$ = +79 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 8.92 min (major), t_2 = 14.27 min (minor), *ee* = 92%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.62-7.60 (m, 2H), 7.46-7.43 (m, 1H), 7.34-7.30 (m, 2H), 7.19-7.13 (m, 3H), 7.07-7.02 (m, 5H), 6.75-6.74 (m, 2H), 6.69-6.65 (m, 2H), 6.56-6.52 (m, 2H), 5.42 (d, *J* = 2.1 Hz, 1H), 4.60-4.55 (m, 1H), 3.52-3.48 (m, 1H), 3.24 (s, 3H), 2.61-2.55 (m, 1H), 2.49-2.40 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.4, 165.0, 161.5 (*J* = 243.1 Hz), 148.4, 144.8, 139.3, 137.8, 137.0, 133.0, 129.2 (*J* = 8.0 Hz), 129.1, 128.7, 128.4, 128.3, 127.3, 126.7, 125.9, 114.9 (*J* = 21.1 Hz), 110.0, 75.9, 52.1, 46.0, 41.3, 38.0; HRMS (ESI) Calcd. For $C_{33}H_{28}FNO_3Na^+$ $[M+Na]^+$ 528.1945, found 528.1957.

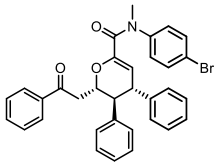
(2*S*,3*S*,4*R*)-*N*-(4-chlorophenyl)-*N*-methyl-2-(2-oxo-2-phenylethyl)-3,4-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3la**:



3la

White solid, M.p.: 148-149 °C; yield: 88%; $[\alpha]^{25}_D$ = +28 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 8.97 min (major), t_2 = 14.23 min (minor), *ee* = 92%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.76-7.74 (m, 2H), 7.58-7.55 (m, 1H), 7.47-7.43 (m, 2H), 7.19-7.07 (m, 10H), 6.90-6.89 (m, 2H), 6.76-6.74 (m, 2H), 5.68 (d, *J* = 2.2 Hz, 1H), 4.77-4.72 (m, 1H), 3.68-3.65 (m, 1H), 3.31 (s, 3H), 2.76-2.70 (m, 1H), 2.64-2.52 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.4, 164.8, 147.9, 143.5, 141.9, 139.5, 136.9, 133.1, 132.3, 129.2, 128.7, 128.5, 128.3, 128.2, 128.1, 127.8, 127.2, 127.1, 126.7, 111.1, 75.8, 51.8, 46.8, 41.3, 38.1; HRMS (ESI) Calcd. For $C_{33}H_{28}ClNO_3Na^+$ $[M+Na]^+$ 544.1650, found 544.1661.

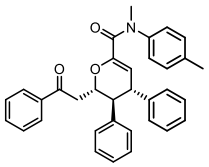
(2*S*,3*S*,4*R*)-*N*-(4-bromophenyl)-*N*-methyl-2-(2-oxo-2-phenylethyl)-3,4-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3ma**:



3ma

White solid, M.p.: 161-162 °C; yield: 88%; $[\alpha]^{25}_{D}$ = +107 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 9.28 min (major), t_2 = 14.71 min (minor), *ee* = 92%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.72-7.70 (m, 2H), 7.61-7.52 (m, 1H), 7.44-7.40 (m, 2H), 7.30-7.24 (m, 3H), 7.23-7.20 (m, 1H), 7.19-7.11 (m, 6H), 6.85 (d, *J* = 6.5 Hz, 2H), 6.54 (d, *J* = 8.3 Hz, 2H), 5.49 (d, *J* = 2.1 Hz, 1H), 4.70-4.65 (m, 1H), 3.60-3.57 (m, 1H), 3.34 (s, 3H), 2.72-2.66 (m, 1H), 2.60-2.50 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.4, 165.0, 148.5, 144.8, 141.2, 139.1, 137.0, 133.1, 131.2, 129.5, 129.1, 128.8, 128.4, 128.3, 128.1, 127.3, 126.8, 125.9, 120.4, 109.5, 75.9, 51.8, 46.1, 41.2, 38.0; HRMS (ESI) Calcd. For $C_{33}H_{28}BrNO_3Na^+$ $[M+Na]^+$ 588.1145, found 588.1156.

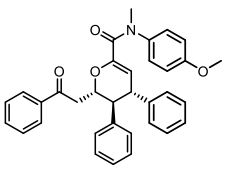
(2*S*,3*S*,4*R*)-*N*-methyl-2-(2-oxo-2-phenylethyl)-3,4-diphenyl-*N*-(p-tolyl)-3,4-dihydro-2*H*-pyran-6-carboxamide **3na**:



3na

White solid, M.p.: 126-127 °C; yield: 85%; $[\alpha]^{25}_{D}$ = +76 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 30/70, flow rate 1.0 mL/min, λ = 254 nm), t_1= 11.27 min (major), t_2 = 21.59 min (minor), *ee* = 92%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.63-7.61 (m, 2H), 7.47-7.43 (m, 1H), 7.35-7.31 (m, 2H), 7.07-6.94 (m, 10H), 6.78-6.76 (m, 2H), 6.61-6.59 (m, 2H), 5.46 (d, *J* = 2.1 Hz, 1H), 4.63-4.59 (m, 1H), 3.54-3.51 (m, 1H), 3.21 (s, 3H), 2.62-2.56 (m, 1H), 2.51-2.45(m, 2H), 2.23 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.5, 165.1, 148.2, 142.3, 139.6, 137.1, 136.5, 133.0, 129.7, 128.6, 128.4, 128.1, 128.1, 127.8, 127.1, 126.6, 125.7, 110.2, 75.7, 52.0, 46.7, 41.5, 38.1, 21.1; HRMS (ESI) Calcd. For $C_{34}H_{31}NO_3Na^+$ $[M+Na]^+$ 524.2196, found 524.2208.

(2*S*,3*S*,4*R*)-*N*-(4-methoxyphenyl)-*N*-methyl-2-(2-oxo-2-phenylethyl)-3,4-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3oa**:

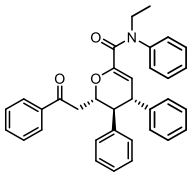


3oa

White solid, M.p.: 161-162 °C; yield: 91%; $[\alpha]^{25}_{D}$ = +82 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 20/80, flow rate 1.0 mL/min, λ = 254 nm), t_1= 11.92

min (major), t_2 = 26.68 min (minor), *ee* = 90%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.83-7.73 (m, 2H), 7.66-7.53 (m, 1H), 7.44-7.41 (m, 2H), 7.17-7.07 (m, 8H), 6.88-6.86 (m, 2H), 6.80-6.65 (m, 4H), 5.55 (s, 1H), 4.71-4.51 (m, 1H), 3.79 (s, 3H), 3.70-3.61 (m, 1H), 3.30 (s, 3H), 2.74-2.58(m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.5, 165.2, 158.2, 148.3, 142.2, 139.6, 137.8, 137.1, 132.9, 128.6, 128.4, 128.4, 128.1, 127.8, 127.1, 126.6, 114.2, 110.1, 75.8, 55.5, 52.1, 46.7, 41.6, 38.2; HRMS (ESI) Calcd. For $C_{34}H_{31}NO_4Na^+$ $[M+Na]^+$ 540.2145, found 540.2155.

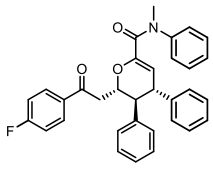
(2*S*,3*S*,4*R*)-*N*-ethyl-2-(2-oxo-2-phenylethyl)-*N*,3,4-triphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3pa:**



3pa

White solid, M.p.: 144-145 °C; yield: 80%; $[\alpha]^{25}_D$ = +26 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IF, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 10.77 min (minor), t_2 = 13.72 min (major), *ee* = 60%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.64-7.61 (m, 2H), 7.47-7.43 (m, 1H), 7.35-7.31 (m, 2H), 7.18-7.16 (m, 3H), 7.04-6.99 (m, 8H), 6.81-6.68 (m, 2H), 6.61-6.59 (m, 2H), 5.45 (d, *J* = 2.1 Hz, 1H), 4.64-4.55 (m, 1H), 3.83-3.74 (m, 1H), 3.68-3.60 (m, 1H),3.52-3.49 (m, 1H), 2.59-2.53 (m, 1H), 2.48-2.38 (m, 2H), 1.04 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.6, 164.7, 148.4, 143.1, 142.2, 139.6, 137.1, 133.0, 129.0, 128.6, 128.4, 128.1, 128.1, 127.8, 127.1, 126.8, 126.6, 110.2, 75.7, 51.9, 46.7, 45.0, 41.5, 12.8; HRMS (ESI) Calcd. For $C_{34}H_{31}NO_3Na^+$ $[M+Na]^+$ 524.2196, found 524.2208.

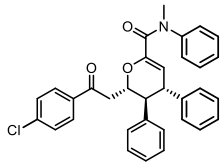
(2*S*,3*S*,4*R*)-2-(2-(4-fluorophenyl)-2-oxoethyl)-*N*-methyl-*N*,3,4-triphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3ab**:



3ab

White solid, M.p.: 133-134 °C; yield: 88%; $[\alpha]^{25}_D$ = +90 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 30/70, flow rate 1.0 mL/min, λ = 254 nm), t_1= 13.75 min (major), t_2 = 20.33 min (minor), *ee* = 94%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.76-7.72 (m, 2H), 7.33-7.23 (m, 3H), 7.19-7.11 (m, 5H), 7.10-7.07 (m, 5H), 6.86 (d, *J* = 6.5 Hz, 2H), 6.72-6.70 (m, 2H), 5.58 (d, *J* = 2.2 Hz, 1H), 4.70-4.64 (m, 1H), 3.64-3.61 (m, 1H), 3.34 (s, 3H), 2.69-2.61 (m, 1H), 2.58-2.51 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 194.9, 165.7 (*J* = 253.1 Hz), 165.1, 148.2, 144.9, 142.0, 139.5, 133.5, 133.5, 130.8 (*J* = 9.3 Hz), 129.1, 128.6, 128.3, 128.1, 127.8, 127.2, 126.7, 126.6, 125.9, 115.4 (*J* = 21.6 Hz), 110.4, 75.9, 52.0, 46.7, 41.3, 37.9; HRMS (ESI) Calcd. For $C_{33}H_{28}FNO_3Na^+$ $[M+Na]^+$ 528.1945, found 528.1955.

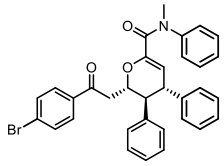
(2*S*,3*S*,4*R*)-2-(2-(4-chlorophenyl)-2-oxoethyl)-*N*-methyl-*N*,3,4-triphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3ac**:



3ac

White solid, M.p.: 136-137 °C; yield: 85%; $[\alpha]^{25}_D$ = +79 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 8.94 min (major), t_2 = 13.35 min (minor), *ee* = 90%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.66-7.64 (m, 2H), 7.40-7.38 (m, 2H), 7.31-7.25 (m, 3H), 7.19-7.09 (m, 8H), 6.86-6.81 (m, 2H), 6.71-6.69 (m, 2H), 5.58 (d, *J* = 2.1 Hz, 1H), 4.69-4.63 (m, 1H), 3.64-3.60 (m, 1H), 3.34 (s, 3H), 2.68-2.60 (m, 1H), 2.58-2.51 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 195.4, 165.1, 148.1, 144.9, 142.0, 139.4, 139.4, 135.4, 129.6, 129.1, 128.7, 128.3, 128.1, 127.8, 127.2, 126.7, 126.6, 125.9, 110.5, 75.9, 52.0, 46.7, 41.4, 38.0; HRMS (ESI) Calcd. For $C_{33}H_{28}ClNO_3Na^+$ $[M+Na]^+$ 544.1650, found 544.1649.

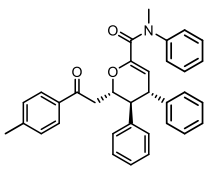
(2*S*,3*S*,4*R*)-2-(2-(4-bromophenyl)-2-oxoethyl)-N-methyl-N,3,4-triphenyl-3,4-dihydro-2H-pyran-6-carboxamide **3ad**:



3ad

White solid, M.p.: 171-172 °C; yield: 91%; $[\alpha]^{25}_D$ = +80 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 30/70, flow rate 1.0 mL/min, λ = 254 nm), t_1= 12.01 min (major), t_2 = 19.95 min (minor), *ee* = 95%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.66-7.64 (m, 2H), 7.43-7.38 (m, 2H), 7.31-7.25 (m, 3H), 7.19-7.09 (m, 8H), 6.86-6.84 (m, 2H), 6.71-6.69 (m, 2H), 5.57 (d, *J* = 2.1 Hz, 1H), 4.68-4.63 (m, 1H), 3.63-3.60 (m, 1H), 3.34 (s, 3H), 2.68-2.60 (m, 1H), 2.58-2.51 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 195.4, 165.1, 148.1, 144.9, 142.0, 139.4, 135.4, 129.6, 129.1, 128.7, 128.3, 128.1, 127.8, 127.2, 126.7, 126.6, 125.9, 110.5, 75.9, 52.0, 46.7, 41.4, 38.0; HRMS (ESI) Calcd. For $C_{33}H_{28}BrNO_3Na^+$ $[M+Na]^+$ 588.1145, found 588.1143.

(2*S*,3*S*,4*R*)-*N*-methyl-2-(2-oxo-2-(*p*-tolyl)ethyl)-*N*,3,4-triphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3ae**:

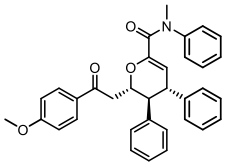


3ae

White solid, M.p.: 122-123 °C; yield: 65%; $[\alpha]^{25}_D$ = +88 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 11.14 min (major), t_2 = 13.55 min (minor), *ee* = 94%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.57-

7.46 (m, 2H), 7.20-7.14 (m, 3H), 7.12-7.08 (m, 2H), 7.07-7.02 (m, 3H), 7.00-6.96 (m, 5H), 6.75 (d, *J* = 6.5 Hz, 2H), 6.62-6.59 (m, 2H), 5.46 (d, *J* = 2.1 Hz, 1H), 4.61-4.56 (m, 1H), 3.53-3.49 (m, 1H), 3.23 (s, 3H), 2.58-2.52 (m, 1H), 2.49-2.37 (m, 2H), 2.31 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.2, 165.2, 148.2, 144.9, 143.8, 142.1, 139.6, 134.6, 129.1, 129.0, 128.6, 128.3, 128.3, 128.1, 127.8, 127.1, 126.7, 126.6, 126.0, 110.3, 75.8, 51.9, 46.7, 41.2, 38.0, 21.7; HRMS (ESI) Calcd. For $C_{34}H_{31}NO_3Na^+$ $[M+Na]^+$ 524.2196, found 524.2205.

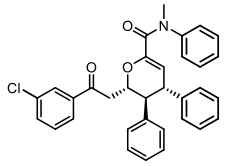
(2*S*,3*S*,4*R*)-2-(2-(4-methoxyphenyl)-2-oxoethyl)-*N*-methyl-*N*,3,4-triphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3af**:



3af

White solid, M.p.: 148-149 °C; yield: 76%; $[\alpha]^{25}_D$ = +98 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 20/80, flow rate 1.0 mL/min, λ = 254 nm), t_1= 10.85 min (major), t_2 = 33.53 min (minor), *ee* = 95%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.72-7.69 (m, 2H), 7.31-7.25 (m, 3H), 7.19-7.09 (m, 8H), 6.91-6.85 (m, 4H), 6.72-6.70 (m, 2H), 5.56 (d, *J* = 2.2 Hz, 1H), 4.71-4.65 (m, 1H), 3.88 (s, 3H), 3.63-3.59 (m, 1H), 3.34 (s, 3H), 2.67-2.59 (m, 1H), 2.57-2.47 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 195.0, 165.2, 163.4, 148.2, 144.9, 142.2, 139.7, 130.4, 130.2, 129.1, 128.6, 128.4, 128.1, 127.8, 127.1, 126.7, 126.5, 126.0, 113.5, 110.3, 75.9, 55.5, 52.0, 46.7, 41.0, 37.9; HRMS (ESI) Calcd. For $C_{34}H_{31}NO_4Na^+$ $[M+Na]^+$ 540.2145, found 540.2158.

(2*S*,3*S*,4*R*)-2-(2-(3-chlorophenyl)-2-oxoethyl)-*N*-methyl-*N*,3,4-triphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3ag**:

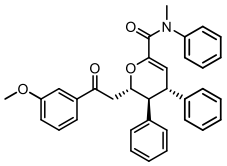


3ag

White solid, M.p.: 171-172 °C; yield: 88%; $[\alpha]^{25}_D$ = +92 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 20/80, flow rate 1.0 mL/min, λ = 254 nm), t_1= 14.94 min (major), t_2 = 35.00 min (minor), *ee* = 93%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.60-7.58 (m, 2H), 7.47-7.44 (m, 1H), 7.35-7.31 (m, 2H), 7.18-7.04 (m, 9H), 6.95-6.94 (m, 1H), 6.85-6.84 (m, 2H), 6.66-6.64 (m, 1H), 6.29-6.28 (m, 1H), 5.62 (d, *J* = 2.2 Hz, 1H), 4.60-4.54 (m, 1H), 3.90-3.87 (m, 1H), 3.23 (s, 3H), 2.51-2.43 (m, 2H), 2.38-2.33 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.4, 164.9, 148.0, 145.3, 144.7, 139.5, 136.9, 133.1, 129.0, 128.8, 128.4, 128.1, 127.4, 126.7, 126.4, 126.0, 124.7, 123.6, 109.7, 75.7, 52.0, 41.8, 41.4, 37.9; HRMS (ESI) Calcd. For $C_{33}H_{28}ClNO_3Na^+$ $[M+Na]^+$ 544.1650, found 544.1654.

(2*S*,3*S*,4*R*)-2-(2-(3-methoxyphenyl)-2-oxoethyl)-*N*-methyl-*N*,3,4-triphenyl-3,4-

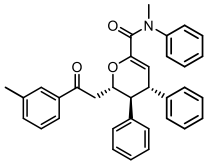
dihydro-2*H*-pyran-6-carboxamide **3ah**:



3ah

White solid, M.p.: 151-152 °C; yield: 80%; $[\alpha]^{25}_{D}$ = +53 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 7.54 min (major), t_2 = 13.42 min (minor), *ee* = 94%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.24-7.14 (m, 6H), 7.09-6.97 (m, 9H), 6.76-6.74 (m, 2H), 6.61-6.59 (m, 2H), 5.47 (d, *J* = 2.2 Hz, 1H), 4.60-4.55 (m, 1H), 3.76 (s, 3H), 3.53-3.50 (m, 1H), 3.24 (s, 3H), 2.58-2.52 (m, 1H), 2.49-2.42 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.3, 165.2, 159.6, 148.2, 144.9, 142.1, 139.5, 138.4, 129.3, 129.1, 128.6, 128.3, 128.1, 127.8, 127.1, 126.7, 126.6, 126.0, 120.8, 119.3, 112.5, 110.3, 75.9, 55.5, 51.9, 46.7, 41.5, 37.9; HRMS (ESI) Calcd. For $C_{33}H_{31}NO_3Na^+$ $[M+Na]^+$ 540.2145, found 540.2154.

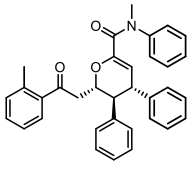
(2*S*,3*S*,4*R*)-*N*-methyl-2-(2-oxo-2-(m-tolyl)ethyl)-*N*,3,4-triphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3ai**:



3ai

White solid, M.p.: 162-163 °C; yield: 85%; $[\alpha]^{25}_{D}$ = +95 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 20/80, flow rate 1.0 mL/min, λ = 254 nm), t_1= 15.05 min (major), t_2 = 33.53 min (minor), *ee* = 90%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.80-7.67 (m, 2H), 7.62-7.53 (m, 1H), 7.45-7.41 (m, 2H), 7.33-7.21 (m, 3H), 7.18-7.09 (m, 5H), 7.00-6.86 (m, 4H), 6.59-6.49 (m, 2H), 5.59 (d, *J* = 2.0 Hz, 1H), 4.70-4.65 (m, 1H), 3.60-3.57 (m, 1H), 3.34 (s, 3H), 2.72-2.66 (m, 1H), 2.61-2.53 (m, 2H), 2.21 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.6, 165.2, 148.1, 144.8, 142.0, 139.7, 137.6, 137.1, 129.0, 128.6, 128.4, 128.3, 128.1, 127.9, 127.3, 127.1, 126.7, 126.0, 124.9, 110.5, 75.9, 51.8, 46.6, 41.4, 38.0, 21.3; HRMS (ESI) Calcd. For $C_{34}H_{31}NO_3Na^+$ $[M+Na]^+$ 524.2196, found 524.2206.

(2*S*,3*S*,4*R*)-*N*-methyl-2-(2-oxo-2-(o-tolyl)ethyl)-*N*,3,4-triphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3aj**:

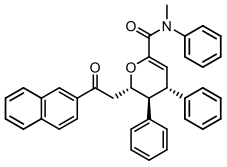


3aj

White solid, M.p.: 104-105 °C; yield: 65%; $[\alpha]^{25}_{D}$ = +32 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 20/80, flow rate 1.0 mL/min, λ = 254 nm), t_1= 15.43 min (major), t_2 = 27.55 min (minor), *ee* = 92%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.62-

7.61 (m, 2H), 7.46-7.42 (m, 1H), 7.34-7.30 (m, 2H), 7.05-6.94 (m, 10H), 6.78-6.76 (m, 2H), 6.61-6.59 (m, 2H), 5.47 (d, *J* = 2.1 Hz, 1H), 4.62-4.58 (m, 1H), 3.54-3.51 (m, 1H), 3.21 (s, 3H), 2.62-2.45 (m, 3H), 2.22 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.7, 165.3, 148.5, 142.6, 142.4, 139.8, 137.3, 136.7, 133.2, 129.9, 128.8, 128.3, 128.0, 127.3, 126.8, 125.9, 110.4, 75.9, 52.2, 46.9, 41.7, 38.3, 21.3; HRMS (ESI) Calcd. For $C_{34}H_{31}NO_3Na^+$ $[M+Na]^+$ 524.2196, found 524.2203.

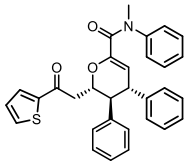
(2*S*,3*S*,4*R*)-*N*-methyl-2-(2-(naphthalen-2-yl)-2-oxoethyl)-*N*,3,4-triphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3ak**:



3ak

White solid, M.p.: 162-163 °C; yield: 93%; $[\alpha]^{25}_D$ = +88 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 20/80, flow rate 1.0 mL/min, λ = 254 nm), t_1= 10.70 min (major), t_2 = 32.63 min (minor), *ee* = 94%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.65-7.62 (m, 3H), 7.55-7.43 (m, 3H), 7.35-7.29 (m, 3H), 7.21-7.16 (m, 4H), 7.12-7.09 (m, 3H), 7.04-6.99 (m, 3H), 6.78-6.73 (m, 3H), 5.55 (d, *J* = 2.1 Hz, 1H), 4.66-4.61 (m, 1H), 3.71-3.68 (m, 1H), 3.26 (s, 3H), 2.65-2.45 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.5, 165.1, 148.2, 144.7, 139.5, 139.4, 136.9, 133.1, 132.9, 132.3, 129.0, 128.6, 128.3, 128.0, 127.6, 127.5, 127.4, 127.1, 126.7, 126.3, 126.0, 125.9, 125.7, 125.3, 110.1, 75.8, 51.7, 46.7, 41.3, 37.9; HRMS (ESI) Calcd. For $C_{37}H_{31}NO_3Na^+$ $[M+Na]^+$ 560.2196, found 560.2205.

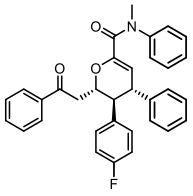
(2*S*,3*S*,4*R*)-*N*-methyl-2-(2-oxo-2-(thiophen-2-yl)ethyl)-*N*,3,4-triphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3al**:



3al

White solid, M.p.: 134-135 °C; yield: 58%; $[\alpha]^{25}_D$ = +97 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 5.44 min (major), t_2 = 13.38 min (minor), *ee* = 93%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.61-7.59 (m, 2H), 7.44-7.28 (m, 3H), 7.18-7.11 (m, 3H), 7.06-6.98 (m, 4H), 6.93-6.92 (m, 2H), 6.75-6.73 (m, 2H), 6.50-6.48 (m, 2H), 5.39 (d, *J* = 2.0 Hz, 1H), 4.59-4.54 (m, 1H), 3.50-3.47 (m, 1H), 3.22 (s, 3H), 2.60-2.54 (m, 1H), 2.48-2.32 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.6, 165.2, 148.7, 145.0, 140.9, 139.4, 137.2, 133.3, 132.5, 129.4, 129.3, 129.0, 128.6, 128.5, 128.5, 128.3, 127.5, 127.0, 126.1, 109.8, 76.1, 52.1, 46.3, 41.5, 38.2; HRMS (ESI) Calcd. For $C_{31}H_{27}NO_3SNa^+$ $[M+Na]^+$ 516.1604, found 516.1613.

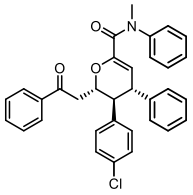
(2*S*,3*S*,4*R*)-3-(4-fluorophenyl)-*N*-methyl-2-(2-oxo-2-phenylethyl)-*N*,4-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3am**:



3am

White solid, M.p.: 128-129 °C; yield: 90%; $[\alpha]^{25}_{D}$ = +71 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 30/70, flow rate 1.0 mL/min, λ = 254 nm), t_1= 13.41 min (major), t_2 = 20.31 min (minor), *ee* = 90%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.62-7.60 (m, 2H), 7.52-7.44 (m, 1H), 7.35-7.31 (m, 2H), 7.20-7.14 (m, 3H), 7.07-7.05 (m, 2H), 7.02-6.99 (m, 3H), 6.75-6.71 (m, 4H), 6.61-6.58 (m, 2H), 5.47 (d, *J* = 2.1 Hz, 1H), 4.56-4.51 (m, 1H), 3.47-3.44 (m, 1H), 3.24 (s, 3H), 2.59-2.53 (m, 1H), 2.51-2.45 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.4, 165.0, 161.8 (*J* = 244.3 Hz), 148.2, 144.8, 141.8, 139.6, 135.3, 135.2, 133.1, 129.8, 129.1, 128.4, 128.2 (*J* = 8.9 Hz), 127.8, 126.8, 126.7, 126.2, 125.9, 115.5 (*J* = 21.2 Hz), 110.3, 75.8, 51.3, 46.9, 41.3, 38.0; HRMS (ESI) Calcd. For $C_{33}H_{28}FNO_3Na^+$ $[M+Na]^+$ 528.1945, found 528.1953.

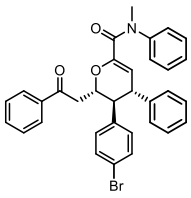
(2*S*,3*S*,4*R*)-3-(4-chlorophenyl)-*N*-methyl-2-(2-oxo-2-phenylethyl)-*N*,4-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3an**:



3an

White solid, M.p.: 161-162 °C; yield: 87%; $[\alpha]^{25}_{D}$ = +90 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 20/80, flow rate 1.0 mL/min, λ = 254 nm), t_1= 18.61 min (major), t_2 = 27.62 min (minor), *ee* = 90%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.62-7.60 (m, 2H), 7.48-7.44 (m, 1H), 7.35-7.31 (m, 2H), 7.18-7.15 (m, 3H), 7.07-7.00 (m, 7H), 6.70-6.68 (m, 2H), 6.61-6.59 (m, 2H), 5.47 (d, *J* = 2.2 Hz, 1H), 4.56-4.50 (m, 1H), 3.47-3.44 (m, 1H), 3.24 (s, 3H), 2.59-2.52 (m, 1H), 2.49-2.44 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.3, 165.0, 148.2, 144.8, 141.7, 138.1, 136.9, 133.1, 132.9, 129.7, 129.1, 128.8, 128.4, 128.2, 128.1, 127.7, 126.8, 125.9, 110.2, 75.7, 51.4, 46.8, 41.2, 38.0; HRMS (ESI) Calcd. For $C_{33}H_{28}ClNO_3Na^+$ $[M+Na]^+$ 544.1650, found 544.1652.

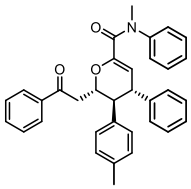
(2*S*,3*S*,4*R*)-3-(4-bromophenyl)-*N*-methyl-2-(2-oxo-2-phenylethyl)-*N*,4-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3ao**:



3ao

White solid, M.p.: 175-176 °C; yield: 92%; $[\alpha]^{25}_{D}$ = +123 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 20/80, flow rate 1.0 mL/min, λ = 254 nm), t_1= 15.80 min (major), t_2 = 23.15 min (minor), *ee* = 90%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.62-7.60 (m, 2H), 7.48-7.44 (m, 1H), 7.35-7.32 (m, 2H), 7.18-7.14 (m, 5H), 7.07-7.01 (m, 5H), 6.64-6.59 (m, 4H), 5.46 (d, *J* = 2.2 Hz, 1H), 4.55-4.50 (m, 1H), 3.47-3.44 (m, 1H), 3.24 (s, 3H), 2.59-2.51 (m, 1H), 2.49-2.44 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.3, 165.0, 148.2, 144.8, 141.7, 138.6, 136.9, 133.1, 131.7, 130.0, 129.1, 128.4, 128.3, 128.1, 127.7, 126.8, 125.9, 121.1, 110.2, 75.6, 51.5, 46.7, 41.2, 38.0; HRMS (ESI) Calcd. For $C_{33}H_{28}BrNO_3Na^+$ $[M+Na]^+$ 588.1145, found 588.1156.

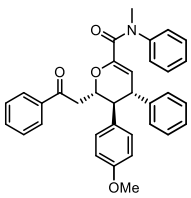
(2*S*,3*S*,4*R*)-*N*-methyl-2-(2-oxo-2-phenylethyl)-*N*,4-diphenyl-3-(*p*-tolyl)-3,4-dihydro-2*H*-pyran-6-carboxamide **3ap**:



3ap

White solid, M.p.: 123-124 °C; yield: 89%; $[\alpha]^{25}_{D}$ = +49 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 11.16 min (major), t_2 = 14.89 min (minor), *ee* = 90%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.69-7.67 (m, 2H), 7.54-7.50 (m, 1H), 7.41-7.37 (m, 2H), 7.26-7.20 (m, 3H), 7.15-7.13 (m, 2H), 7.09-7.07 (m, 3H), 6.97-6.85 (m, 2H), 6.72-6.70 (m, 4H), 5.55 (d, *J* = 2.2 Hz, 1H), 4.65-4.60 (m, 1H), 3.59-3.56 (m, 1H), 3.31 (s, 3H), 2.65-2.59 (m, 1H), 2.56-2.47 (m, 2H), 2.19 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.7, 165.3, 148.5, 142.6, 142.4, 139.9, 137.3, 136.7, 133.2, 129.9, 128.8, 128.6, 128.3, 128.3, 128.1, 127.3, 126.8, 125.9, 110.4, 76.0, 52.2, 47.0, 41.7, 38.3, 21.3; HRMS (ESI) Calcd. For $C_{34}H_{31}NO_3Na^+$ $[M+Na]^+$ 524.2196, found 524.2208.

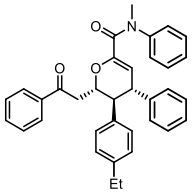
(2*S*,3*S*,4*R*)-3-(4-methoxyphenyl)-*N*-methyl-2-(2-oxo-2-phenylethyl)-*N*,4-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3aq**:



3aq

White solid, M.p.: 144-145 °C; yield: 90%; $[\alpha]^{25}_D$ = +107 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 10.30 min (major), t_2 = 18.51 min (minor), *ee* = 92%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.72-7.68 (m, 2H), 7.56-7.53 (m, 1H), 7.44-7.40 (m, 2H), 7.30-7.21 (m, 3H), 7.19-7.09 (m, 5H), 6.87-6.85 (m, 2H), 6.65-6.61 (m, 4H), 5.56 (d, *J* = 2.2 Hz, 1H), 4.69-4.64 (m, 1H), 3.73 (s, 3H), 3.59-3.56 (m, 1H), 3.34 (s, 3H), 2.70-2.64 (m, 1H), 2.57-2.51 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.6, 165.2, 158.2, 148.1, 144.9, 139.7, 137.1, 134.2, 133.0, 129.1, 128.7, 128.6, 128.3, 128.1, 127.1, 126.7, 125.9, 113.4, 110.7, 75.9, 55.1, 52.1, 45.9, 41.4, 38.0; HRMS (ESI) Calcd. For $C_{34}H_{31}NO_4Na^+$ $[M+Na]^+$ 540.2145, found 540.2153.

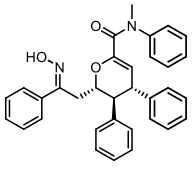
(2*S*,3*S*,4*R*)-3-(4-ethylphenyl)-*N*-methyl-2-(2-oxo-2-phenylethyl)-*N*,4-diphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **3ar**:



3ar

White solid, M.p.: 146-147 °C; yield: 90%; $[\alpha]^{25}_D$ = +152 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 11.15 min (major), t_2 = 15.43 min (minor), *ee* = 92%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.69-7.67 (m, 2H), 7.54-7.49 (m, 1H), 7.41-7.37 (m, 2H), 7.25-7.20 (m, 3H), 7.15-7.13 (m, 2H), 7.08-7.06 (m, 3H), 6.99-6.92 (m, 2H), 6.75-6.69 (m, 4H), 5.56 (d, *J* = 2.2 Hz, 1H), 4.66-4.61 (m, 1H), 3.60-3.57 (m, 1H), 3.31 (s, 3H), 2.65-2.46 (m, 5H), 1.11 (t, *J* = 7.6 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 196.6, 165.2, 148.2, 144.9, 143.0, 142.3, 137.1, 136.6, 132.9, 129.1, 128.3, 128.2, 128.1, 128.1, 127.8, 126.7, 126.5, 125.9, 110.5, 76.1, 51.6, 46.6, 41.5, 38.0, 28.3, 15.3; HRMS (ESI) Calcd. For $C_{35}H_{33}NO_3Na^+$ $[M+Na]^+$ 538.2353, found 538.2351.

(2*S*,3*S*,4*R*)-2-((*Z*)-2-(hydroxyimino)-2-phenylethyl)-*N*-methyl-*N*,3,4-triphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **4**:

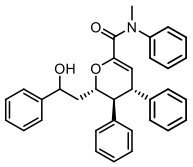


4

White solid, M.p.: 157-158 °C; yield: 87%; $[\alpha]^{25}_D$ = +86 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 10.91 min (major), t_2 = 13.46 min (minor), *ee* = 95%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.48-7.46 (m, 2H), 7.39-7.36 (m, 3H), 7.27-7.23 (m, 4H), 7.15-7.14 (m, 3H), 7.07-6.99 (m, 5H), 6.82-6.81 (m, 2H), 6.62-6.61 (m, 2H), 5.34 (s, 1H), 4.43-4.32 (m, 1H), 3.58-3.42 (m, 1H), 3.27 (s, 3H), 2.70-2.61 (m, 2H), 2.47-2.42 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 165.7, 156.4, 148.6, 144.2, 142.1, 139.8, 136.3, 129.1, 129.0, 128.4,

128.2, 128.0, 127.8, 127.1, 127.0, 126.8, 126.5, 125.9, 109.5, 77.0, 53.4, 46.9, 37.7, 31.4; HRMS (ESI) Calcd. For $C_{33}H_{30}N_2O_3Na^+$ $[M+Na]^+$ 525.2149, found 525.2151.

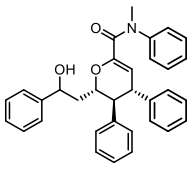
(2*S*,3*S*,4*R*)-2-(2-hydroxy-2-phenylethyl)-*N*-methyl-*N*,3,4-triphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **5**:



5

White solid, M.p.: 121-122 °C; yield: 42%; $[\alpha]^{25}_D$ = +78 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 40/60, flow rate 1.0 mL/min, λ = 254 nm), t_1= 10.92 min (major), t_2 = 13.88 min (minor), *ee* = 95%;^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.39-7.35 (m, 2H), 7.30-7.22 (m, 3H), 7.18-7.14 (m, 2H), 7.11-7.93 (m, 9H), 6.66-6.64 (m, 2H), 6.50-6.48 (m, 2H), 5.49 (d, *J* = 1.7 Hz, 1H), 4.48 (d, *J* = 9.1 Hz, 1H), 4.18-4.13 (m, 1H), 3.47-3.43 (m, 1H), 3.34 (s, 3H), 2.46-2.30 (m, 2H), 1.38-1.23 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 165.6, 148.0, 145.3, 144.8, 142.1, 139.8, 129.5, 128.5, 128.2, 128.0, 127.8, 127.0, 126.9, 126.6, 126.5, 125.8, 125.5, 111.7, 77.1, 69.2, 52.6, 47.2, 42.0, 38.2; HRMS (ESI) Calcd. For $C_{33}H_{31}NO_3Na^+$ $[M+Na]^+$ 512.2196, found 512.2208.

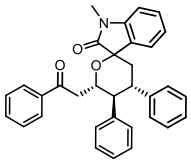
(2*S*,3*S*,4*R*)-2-(2-hydroxy-2-phenylethyl)-*N*-methyl-*N*,3,4-triphenyl-3,4-dihydro-2*H*-pyran-6-carboxamide **5'**:



5'

White solid, M.p.: 133-134 °C; yield: 43%; $[\alpha]^{25}_D$ = +18 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 10/90, flow rate 1.0 mL/min, λ = 254 nm), t_1= 15.51 min (major), t_2 = 20.02 min (minor), *ee* = 94%;^{1}H NMR (400 M, $CDCl_3$) δ ppm: 7.47-7.43 (m, 2H), 7.36-7.30 (m, 3H), 7.26-7.22 (m, 2H), 7.19-7.00 (m, 9H), 6.74-6.72 (m, 2H), 6.63-6.56 (m, 2H), 5.55 (d, *J* = 1.7 Hz, 1H), 4.57 (d, *J* = 9.1 Hz, 1H), 4.25-4.20 (m, 1H), 3.54-3.51 (m, 1H), 3.41 (s, 3H), 2.55-2.40 (m, 2H), 1.46-1.31 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 165.5, 148.0, 145.3, 144.8, 142.1, 139.8, 129.5, 128.5, 128.2, 128.0, 127.8, 127.0, 126.9, 126.6, 126.5, 125.8, 125.5, 111.7, 77.1, 69.2, 52.6, 47.2, 42.0, 38.2; HRMS (ESI) Calcd. For $C_{33}H_{31}NO_3Na^+$ $[M+Na]^+$ 512.2196, found 512.2208.

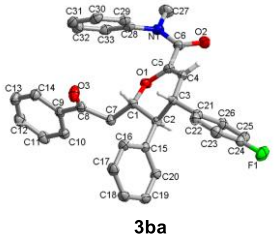
(4'*S*,5'*S*,6'*S*)-1-methyl-6'-(2-oxo-2-phenylethyl)-4',5'-diphenyl-3',4',5',6'-tetrahydrospiro[indoline-3,2'-pyran]-2-one **6**:



6

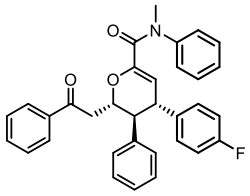
White solid, M.p.: 175-176 °C; yield: 89%; $[\alpha]^{25}_{D}$ = +83 (*c* 0.1, CH_2Cl_2); HPLC (Chiralpak IA, *i*-propanol/hexane = 30/70, flow rate 1.0 mL/min, λ = 254 nm), t_1= 8.29 min (major), t_2 = 13.53 min (minor), *ee* = 95%; ^{1}H NMR (400 M, $CDCl_3$) δ ppm: 8.13-8.11 (m, 1H), 7.77-7.64 (m, 2H), 7.42-7.35 (m, 2H), 7.30-7.21 (m, 3H), 7.15-7.00 (m, 10H), 6.83-6.82 (m, 1H), 5.34-5.29 (m, 1H), 3.76-3.69 (m, 1H), 3.44-3.78 (m, 1H), 3.22-3.17 (m, 1H), 3.09 (s, 1H), 2.69-2.59 (m, 2H), 1.88-1.84 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm: 197.5, 174.8, 143.5, 142.5, 139.6, 137.2, 132.8, 129.9, 129.7, 128.6, 128.3, 128.3, 128.1, 127.7, 127.0, 126.5, 125.6, 122.7, 109.1, 77.9, 73.5, 53.7, 44.0, 43.0, 39.0, 26.4.

5. Crystallographic data collection for compound 3ba.



3ba

CCDC: 2040020



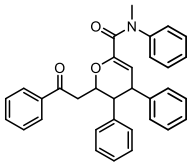
3ba

Table 1 Crystal data and structure refinement for 202010220.

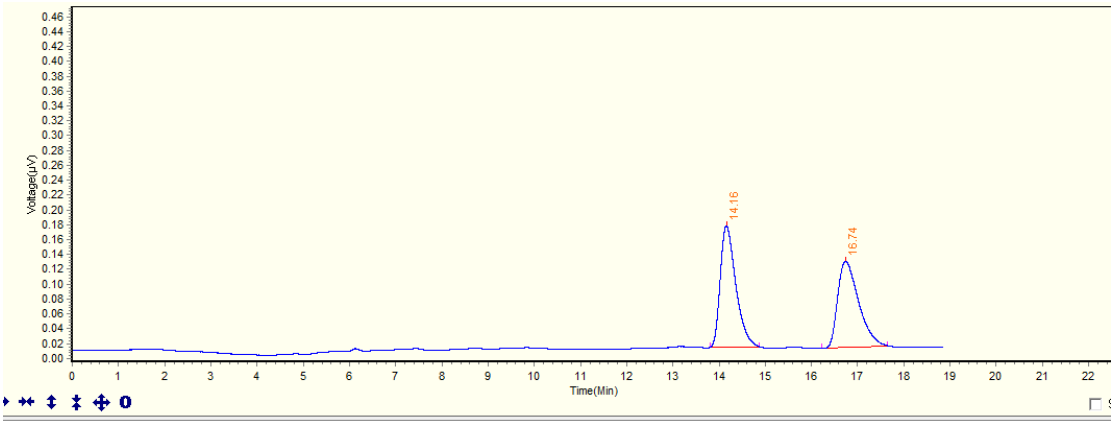
Identification code	202010220
Empirical formula	$C_{33}H_{28}FNO_3$
Formula weight	505.56
Temperature/K	293(2)
Crystal system	monoclinic
Space group	$P2_1$
a/Å	9.5394(8)
b/Å	11.1681(9)
c/Å	12.3498(12)
α/	90
β/	101.756(10)
γ/	90
Volume/Å^3	1288.1(2)
Z	2
ρ_{calc}g/cm^3	1.303
μ/mm^{-1}	0.709
F(000)	532.0
Crystal size/mm^3	0.2 × 0.13 × 0.1
Radiation	CuKα (λ = 1.54184)
2Θ range for data collection/	7.312 to 143.35
Index ranges	-11 ≤ h ≤ 11, -13 ≤ k ≤ 13, -15 ≤ l ≤ 14
Reflections collected	10480
Independent reflections	4794 [R_{int} = 0.0277, R_{sigma} = 0.0386]
Data/restraints/parameters	4794/1/344
Goodness-of-fit on F^2	1.080
Final R indexes [I>=2σ (I)]	R_1 = 0.0396, wR_2 = 0.0911
Final R indexes [all data]	R_1 = 0.0468, wR_2 = 0.0978
Largest diff. peak/hole / e Å^{-3}	0.11/-0.18

Flack parameter	-0.02(13)

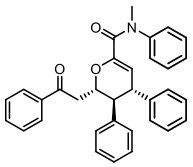
6. HPLC chromatograms of compounds.



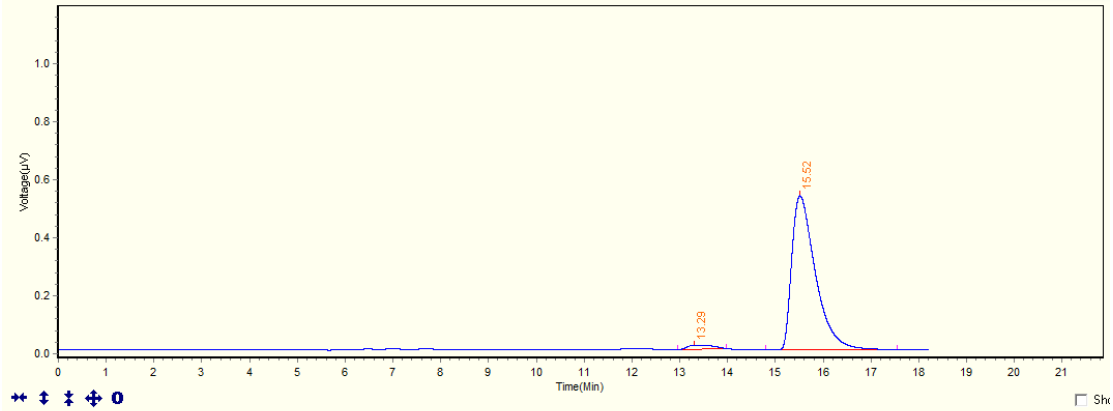
3aa



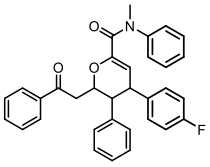
No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	14.16	1907123	81859	51.18%	1.06	BB
2	16.74	1819199	58197	48.82%	1.421	BB
otal		3,726,322	140,056	100.00%		



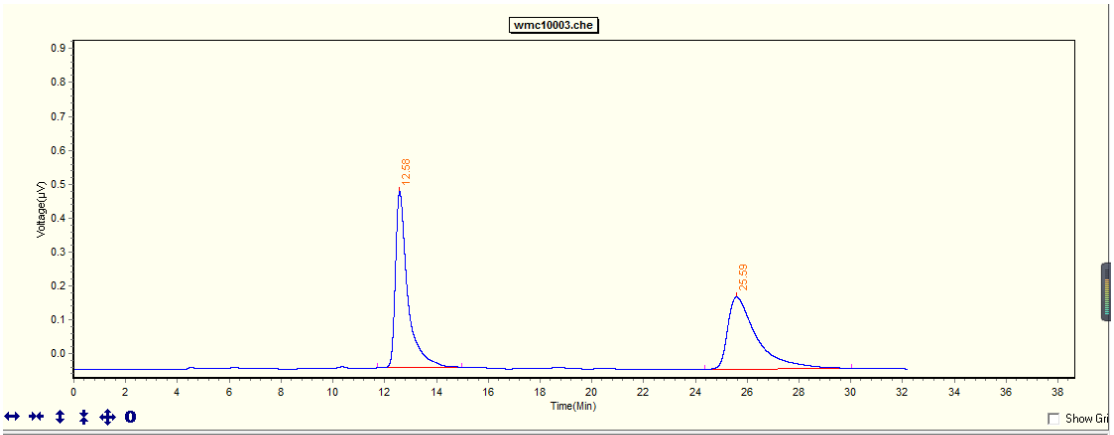
3aa



No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	13.29	243353	6845	2.61%	1.018	BB
2	15.52	9088884	265189	97.39%	2.751	BB
tal		9,332,237	272,034	100.00%		

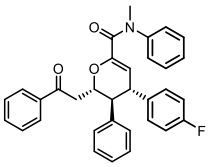


3ba

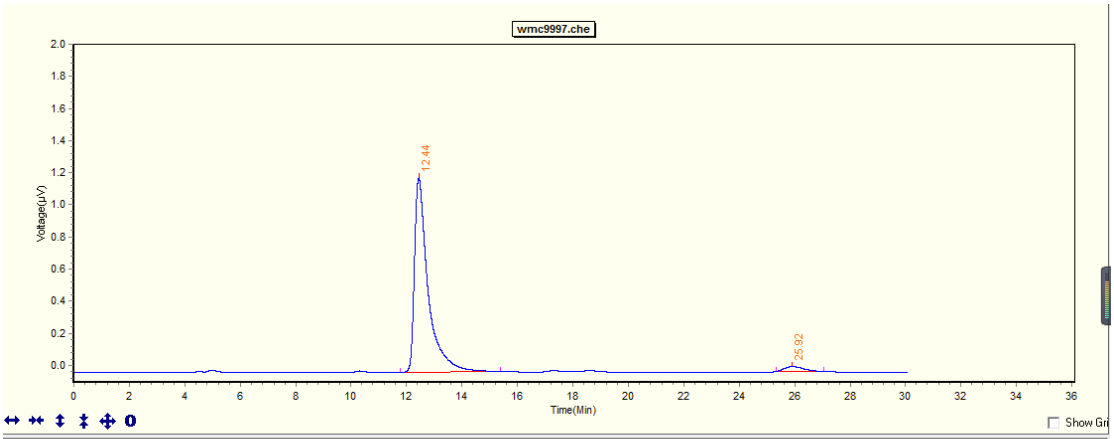


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	12.58	8526014	259176	50.93%	3.255	BB
2	25.59	8215583	105888	49.07%	5.669	BB
Total		16,741,597	365,064	100.00%		

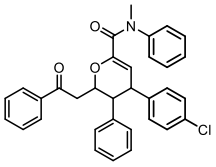


3ba

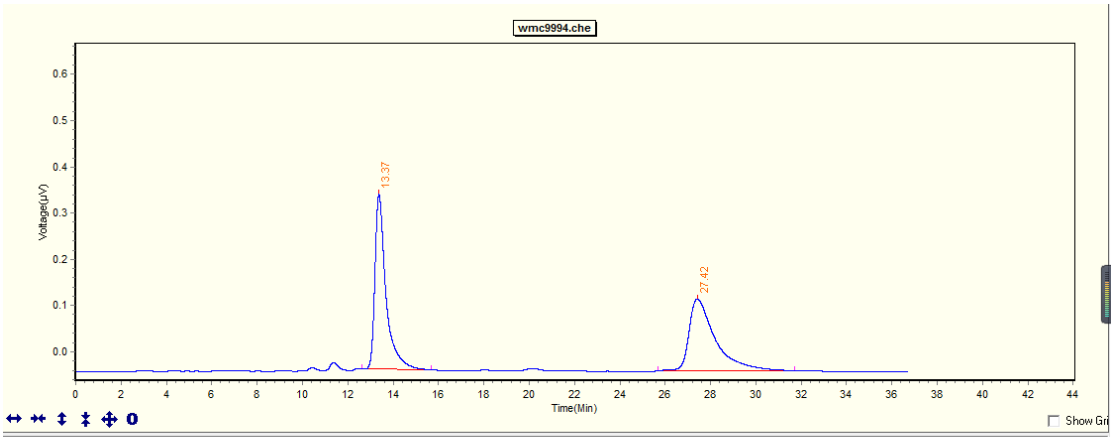


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	12.44	20582653	603952	96.54%	3.613	BB
2	25.92	737721	15219	3.46%	1.717	BB
Total		21,320,374	619,171	100.00%		

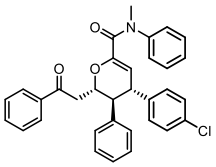


3ca

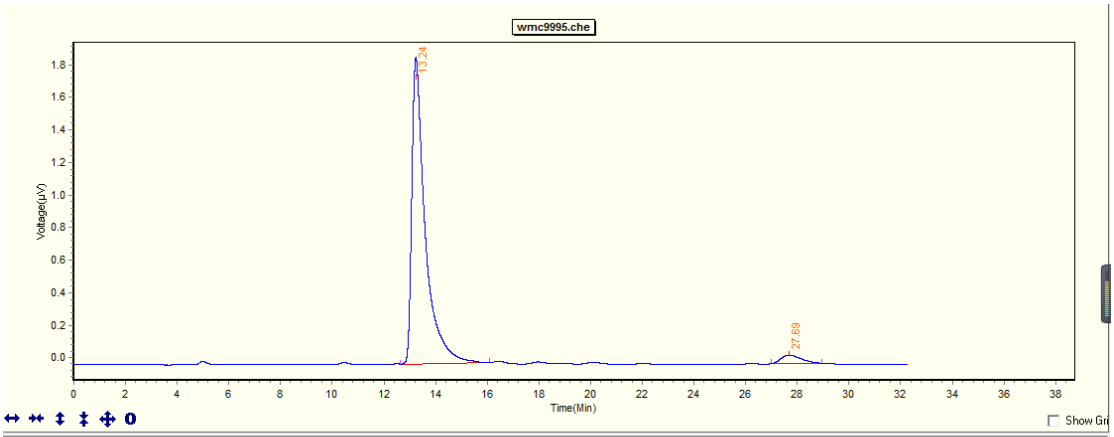


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	13.37	6382361	189002	50.58%	3.058	BB
2	27.42	6236152	77695	49.42%	6.028	BB
Total		12,618,513	266,697	100.00%		

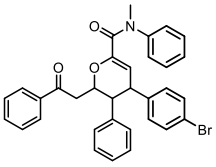


3ca

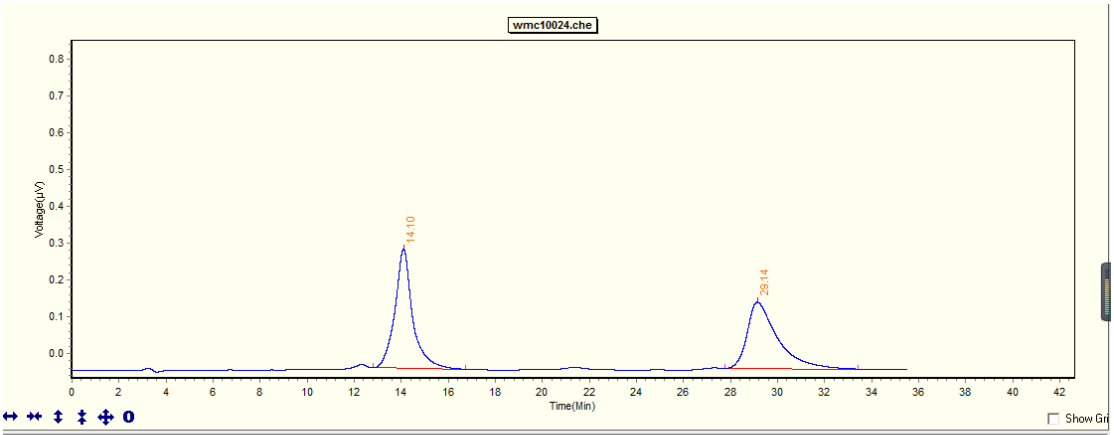


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	13.24	33839707	940308	96.05%	3.455	BB
2	27.69	1391282	25543	3.95%	1.958	BB
Total		35,230,989	965,851	100.00%		

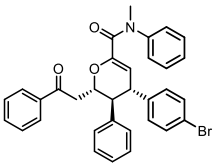


3da



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	14.10	8519313	162714	51.37%	3.93	BB
2	29.14	8066457	90246	48.63%	5.663	BB
Total		16,585,770	252,960	100.00%		



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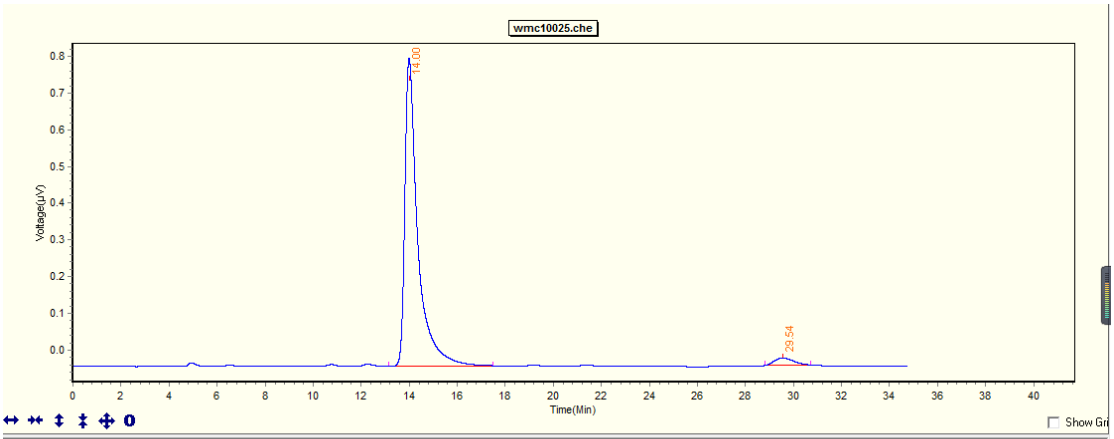
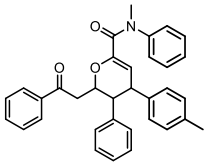
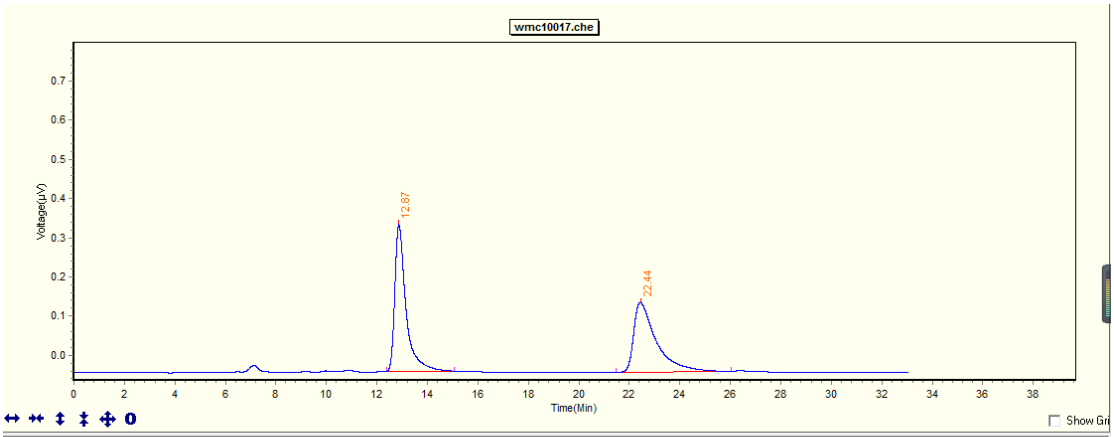


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	14.00	15622783	418338	96.55%	4.34	BB
2	29.54	557904	10083	3.45%	1.902	BB
Total		16,180,687	428,421	100.00%		

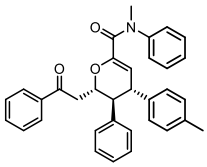


3ea

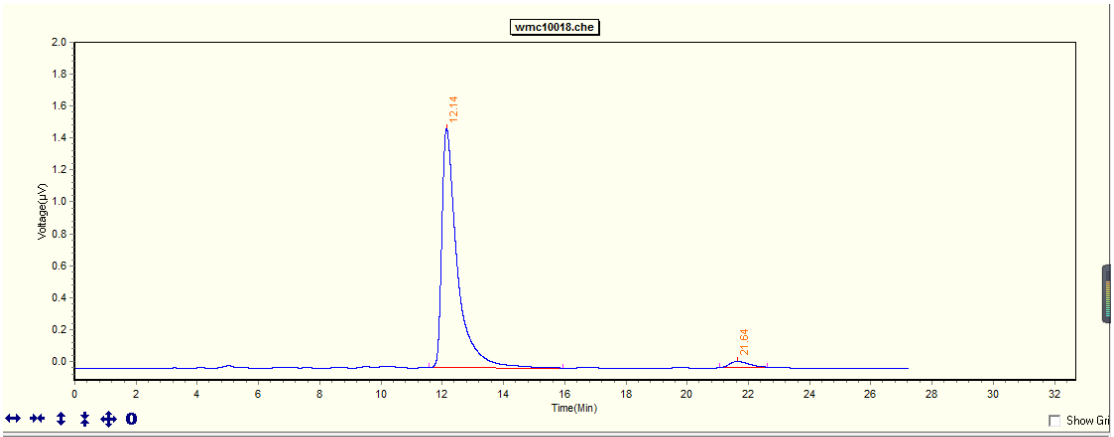


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	12.87	5739224	186655	51.35%	2.673	BB
2	22.44	5438094	88521	48.65%	4.562	BB
Total		11,177,318	275,176	100.00%		

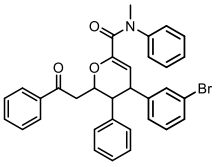


3ea



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	12.14	26230083	748656	97.00%	4.374	BB
2	21.64	812421	18936	3.00%	1.555	BB
Total		27,042,504	767,592	100.00%		



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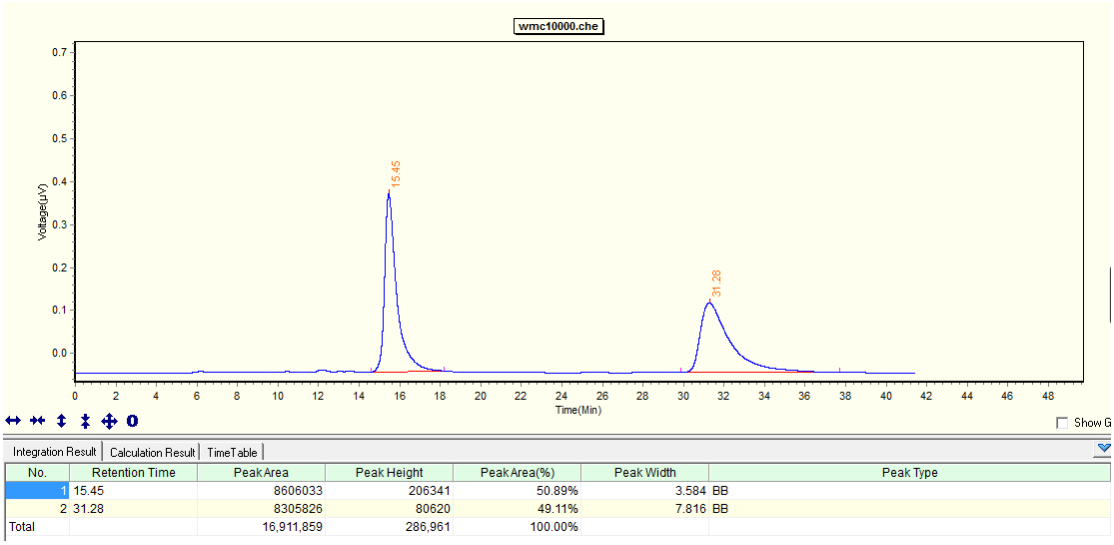
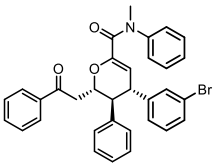


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	15.45	8606033	206341	50.89%	3.584	BB
2	31.28	8305826	80620	49.11%	7.816	BB
Total		16,911,859	286,961	100.00%		



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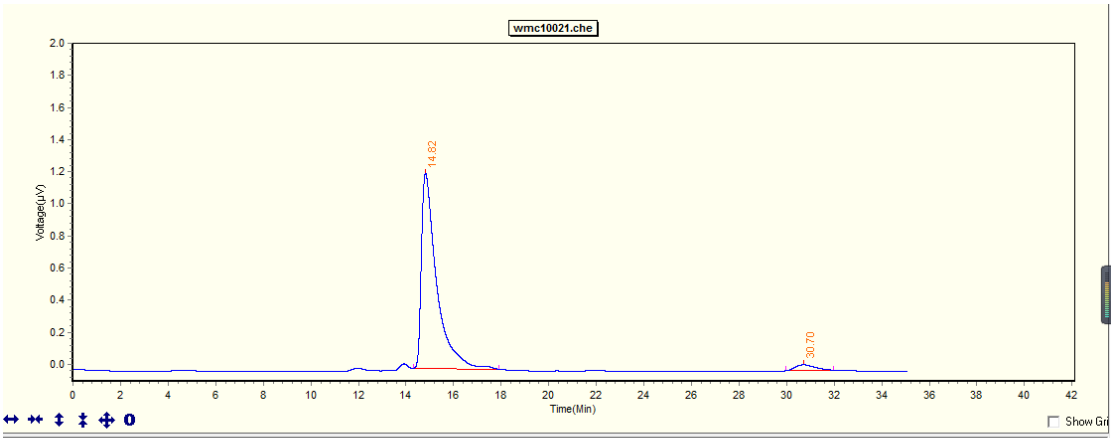
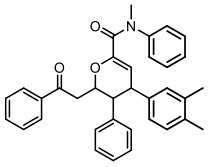


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	14.82	27059929	607994	96.41%	3.589	BB
2	30.70	1007598	17716	3.59%	2.003	BB
Total		28,067,527	625,710	100.00%		



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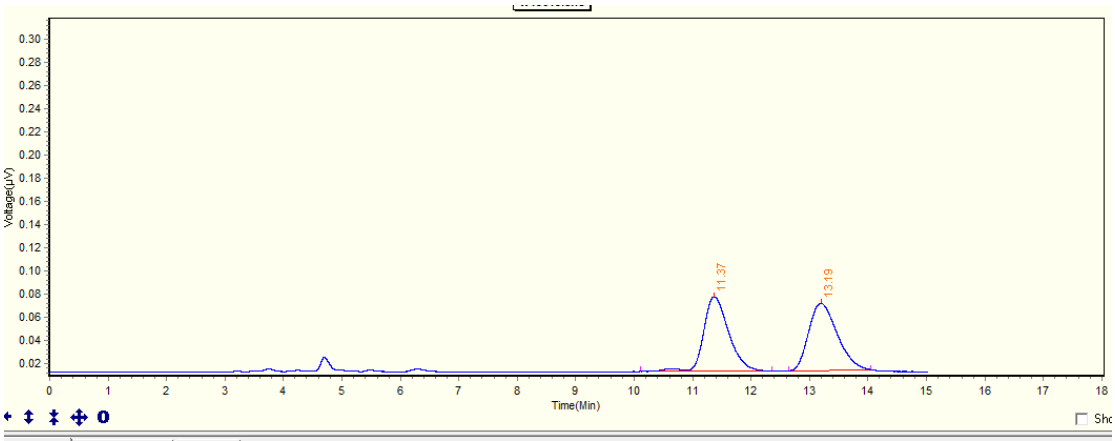
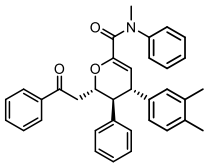


ration Result | Calculation Result | TimeTable

o.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	11.37	959132	32179	49.35%	2.248	BB
2	13.19	984211	29105	50.65%	1.403	BB
		1,943,343	61,284	100.00%		



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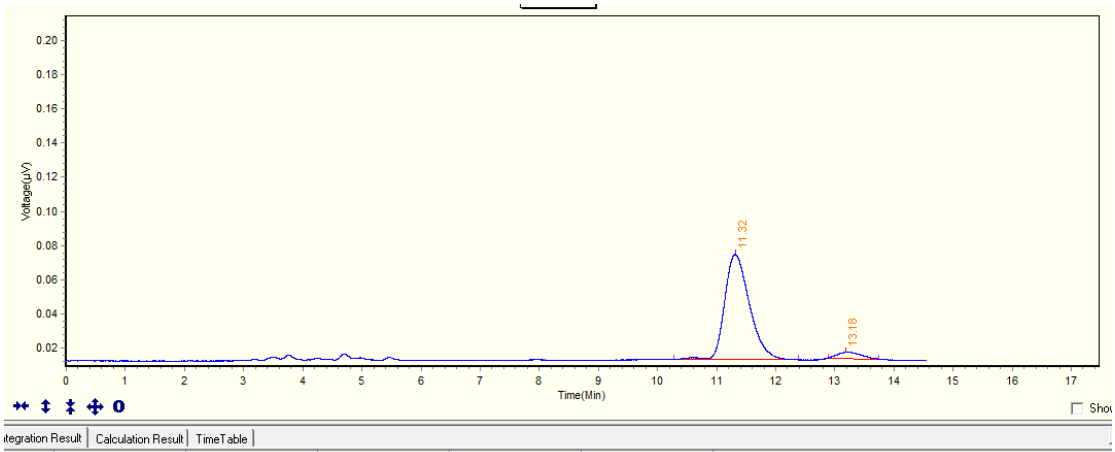
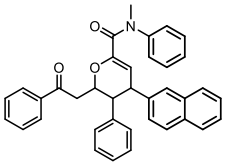


tegration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	11.32	897152	30736	94.80%	2.108	BB
2	13.18	49217	1761	5.20%	0.853	BB
tal		946,369	32,497	100.00%		



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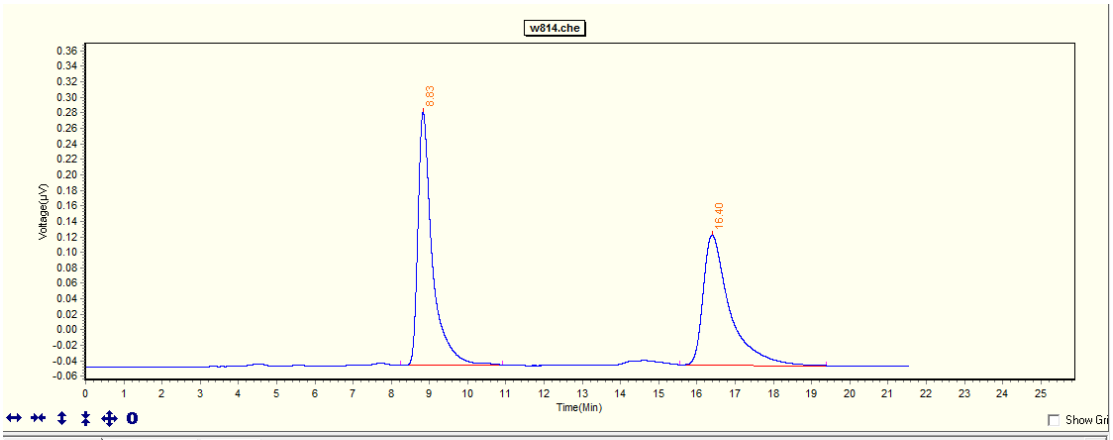
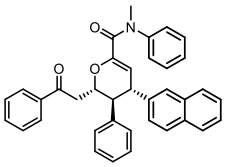


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	8.83	4241777	163156	51.32%	2.669	BB
2	16.40	4024094	83595	48.68%	3.835	BB
Total		8,265,871	246,751	100.00%		



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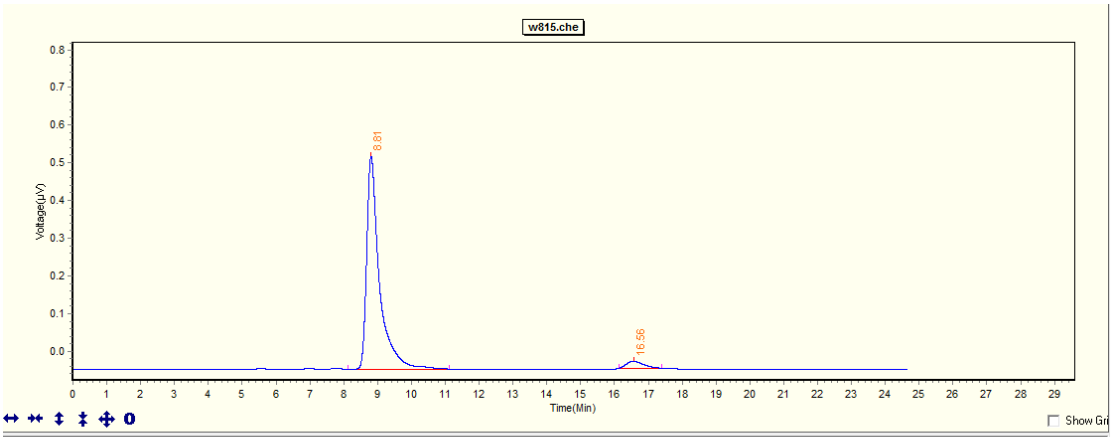
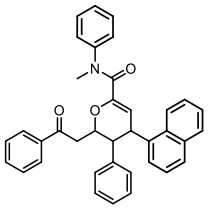


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	8.81	7394517	282196	95.85%	2.993	BB
2	16.56	319813	9181	4.15%	1.261	BB
Total		7,714,330	291,377	100.00%		



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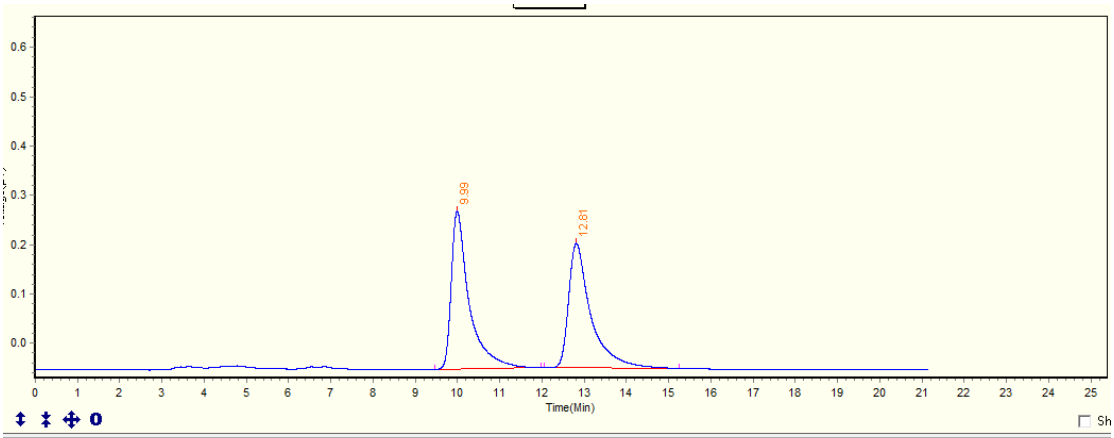
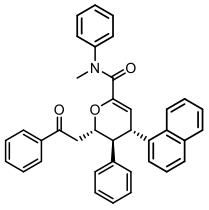


	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	9.99	4718477	159864	50.59%	2.515	BB
2	12.81	4608865	126343	49.41%	3.202	BB
		9,327,342	286,207	100.00%		



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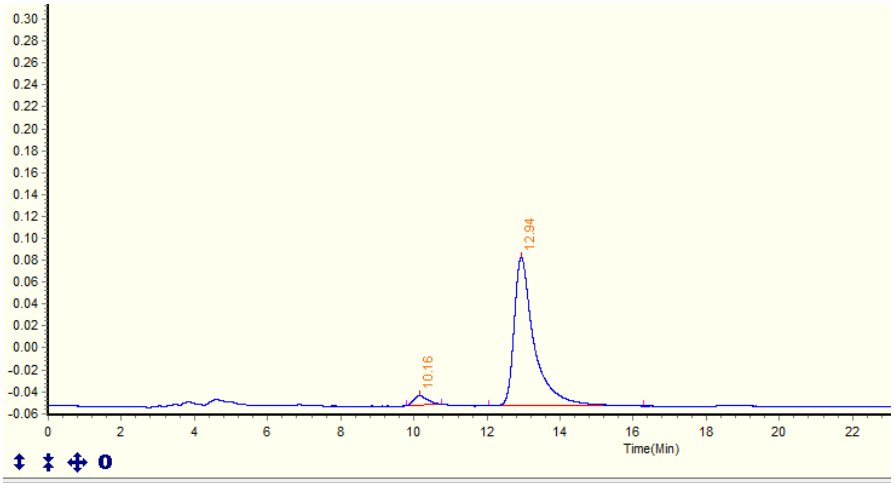
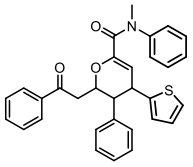


	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	10.16	110072	4328	4.07%	0.96	BB
2	12.94	2594293	67812	95.93%	4.238	BB
		2,704,365	72,140	100.00%		



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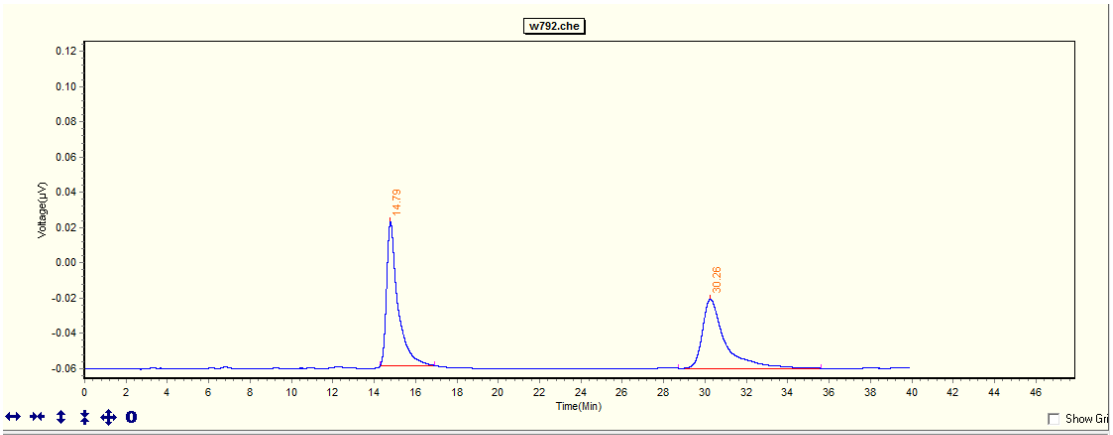
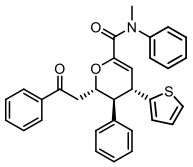


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	14.79	1547314	40940	50.98%	2.637	BB
2	30.26	1487616	19613	49.02%	6.903	BB
Total		3,034,930	60,553	100.00%		



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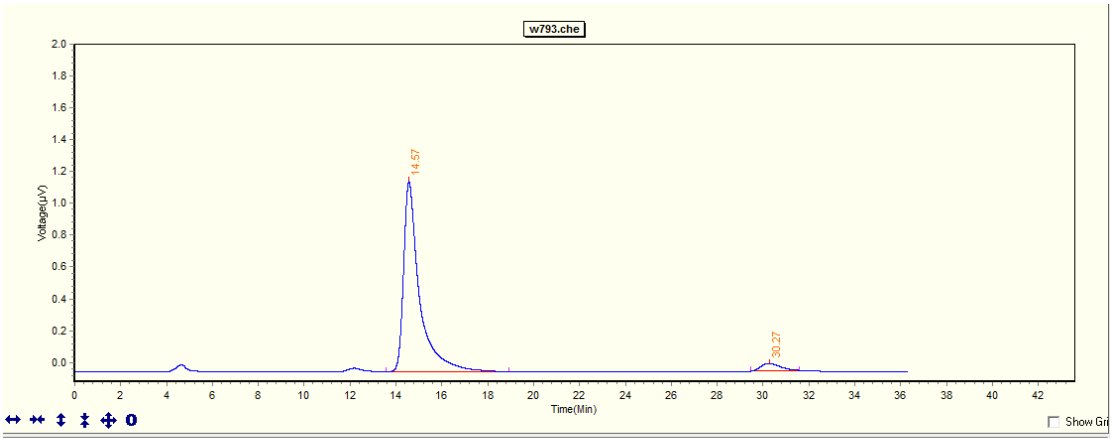
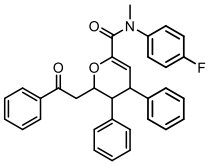


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	14.57	28836006	597795	95.46%	5.358	BB
2	30.27	1372460	23492	4.54%	2.117	BB
Total		30,208,466	621,287	100.00%		



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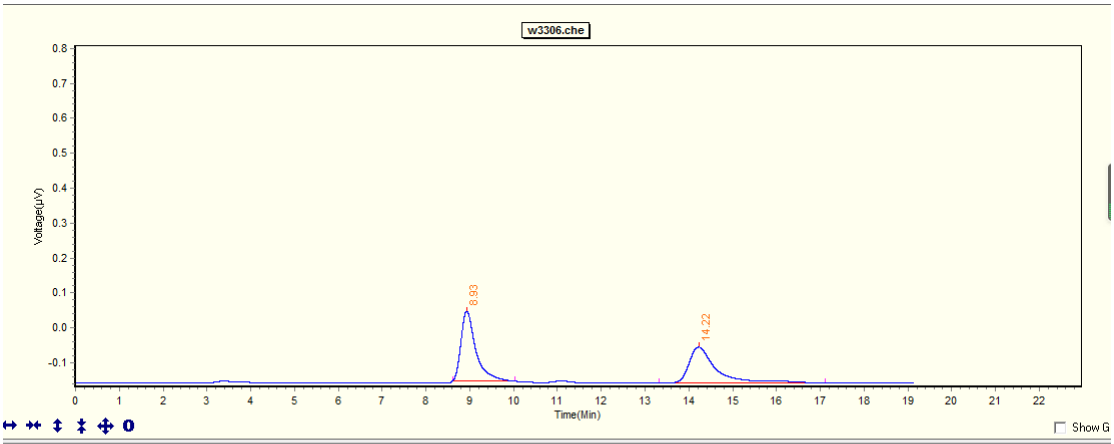
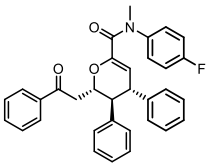


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	8.93	2337824	99659	51.38%	1.421	BB
2	14.22	2212380	51545	48.62%	3.793	BB
Total		4,550,204	151,204	100.00%		



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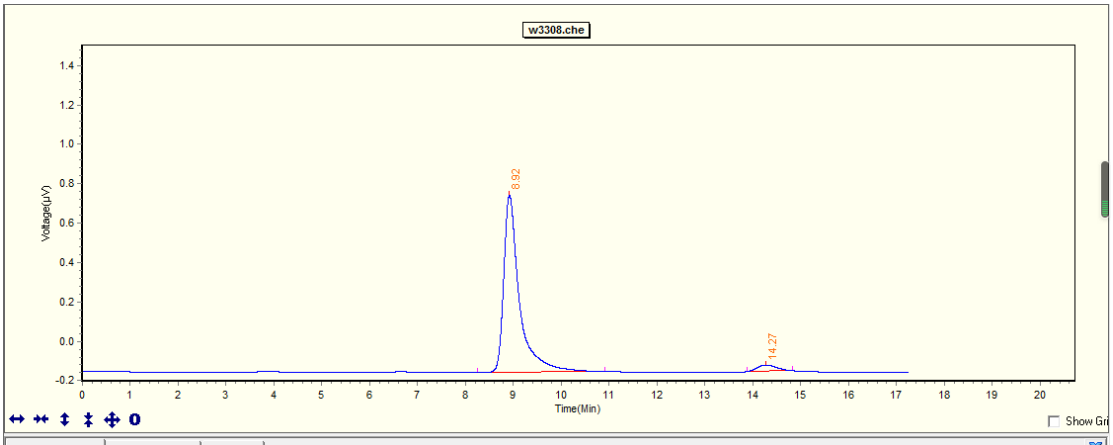
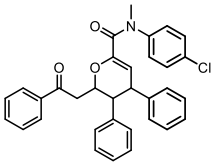


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	8.92	10238160	448650	95.87%	2.66	BB
2	14.27	440497	15513	4.13%	0.948	BB
Total		10,678,657	464,163	100.00%		



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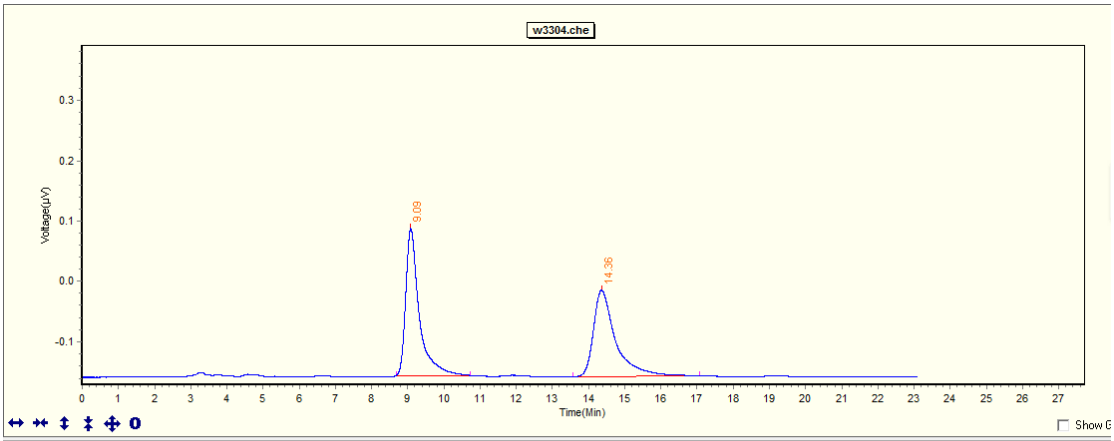
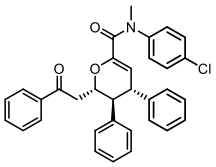


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	9.09	3166801	122181	51.03%	2.053	BB
2	14.36	3039060	71768	48.97%	3.495	BB
Total		6,205,861	193,949	100.00%		



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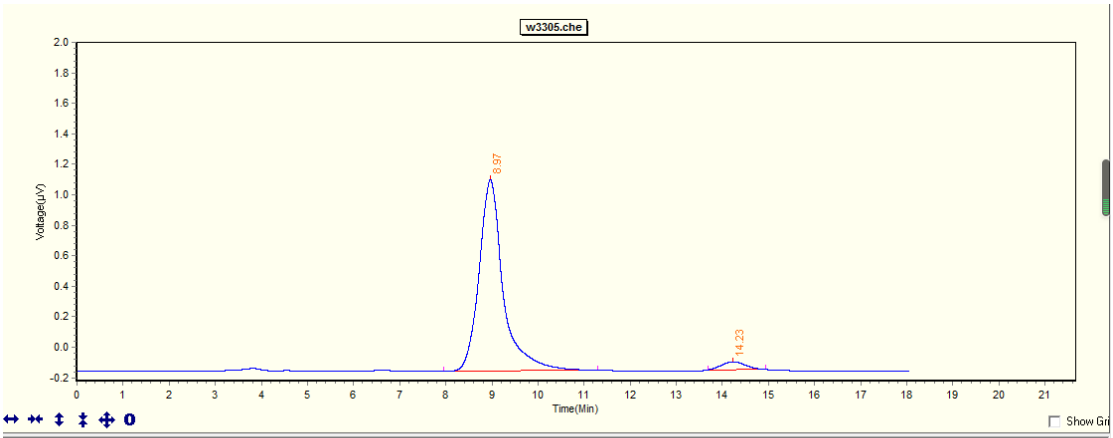
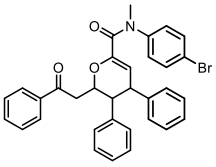


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	8.97	22842335	628310	96.06%	3.342	BB
2	14.23	937729	25941	3.94%	1.25	BB
Total		23,780,064	654,251	100.00%		



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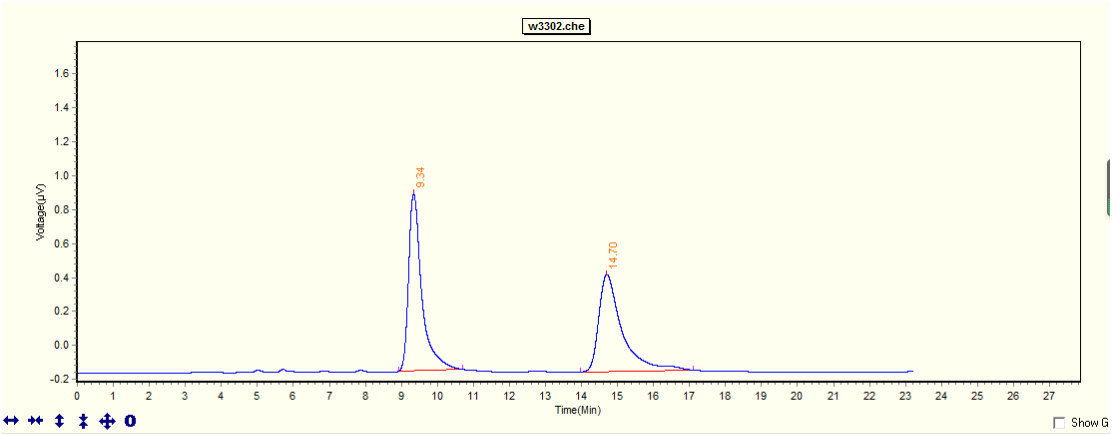
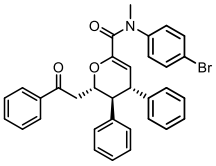


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	9.34	13403432	521387	51.09%	1.774	BB
2	14.70	12833962	285857	48.91%	3.133	BB
Total		26,237,394	807,244	100.00%		



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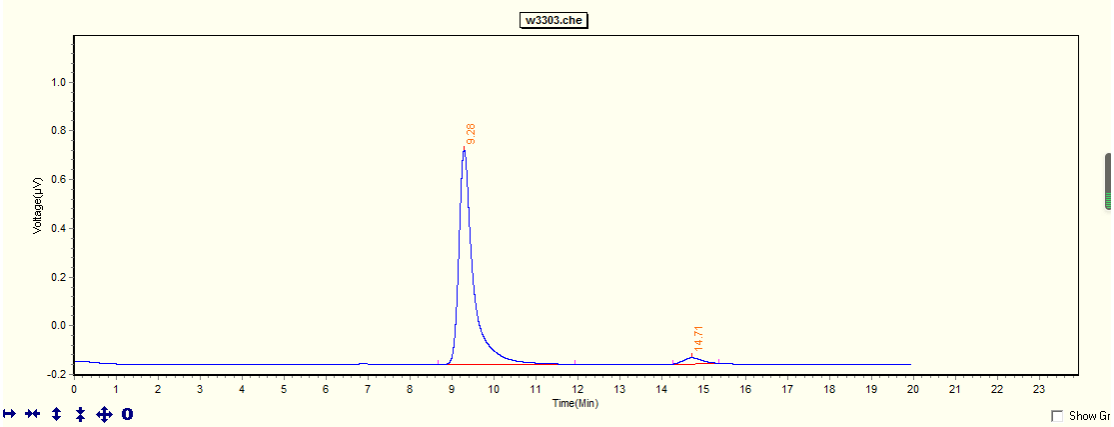
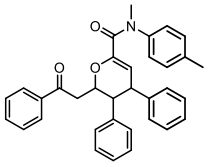


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	9.28	10592177	440552	96.58%	3.261	BB
2	14.71	375022	12224	3.42%	1.094	BB
Total		10,967,199	452,776	100.00%		



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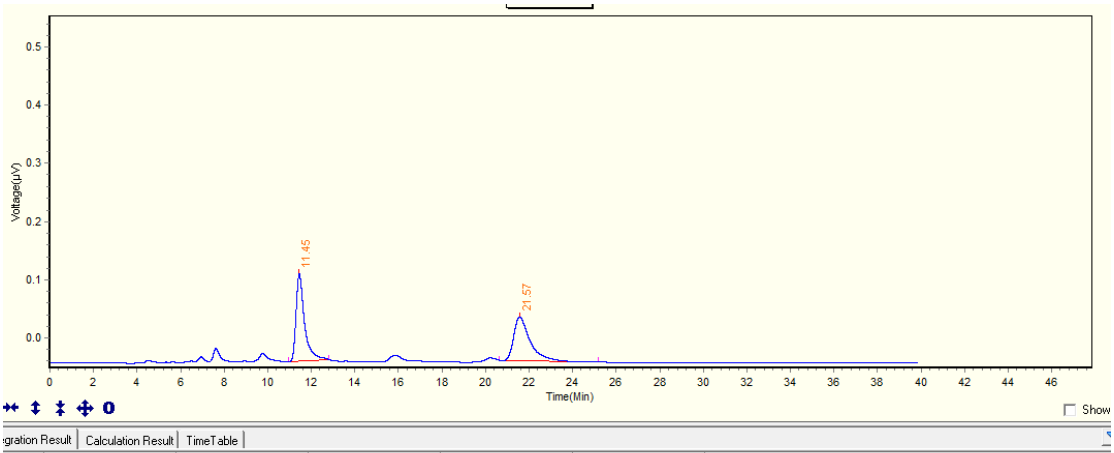
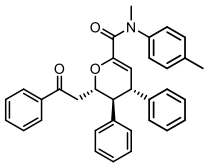


gration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	11.45	2028706	74794	51.10%	1.849	BB
2	21.57	1941463	37633	48.90%	4.55	BB
		3,970,169	112,427	100.00%		



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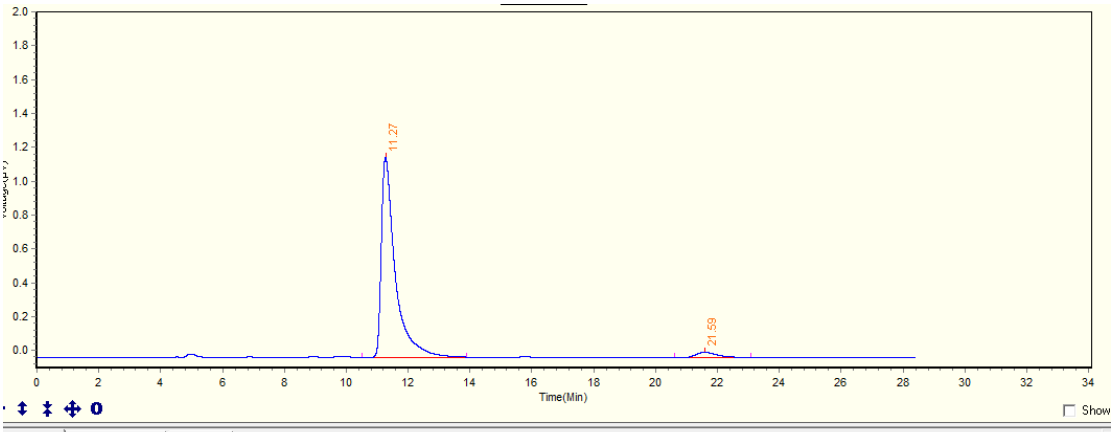
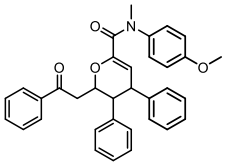


ation Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	11.27	18431385	590074	96.08%	3.38	BB
2	21.59	752819	16039	3.92%	2.467	BB
		19,184,204	606,113	100.00%		



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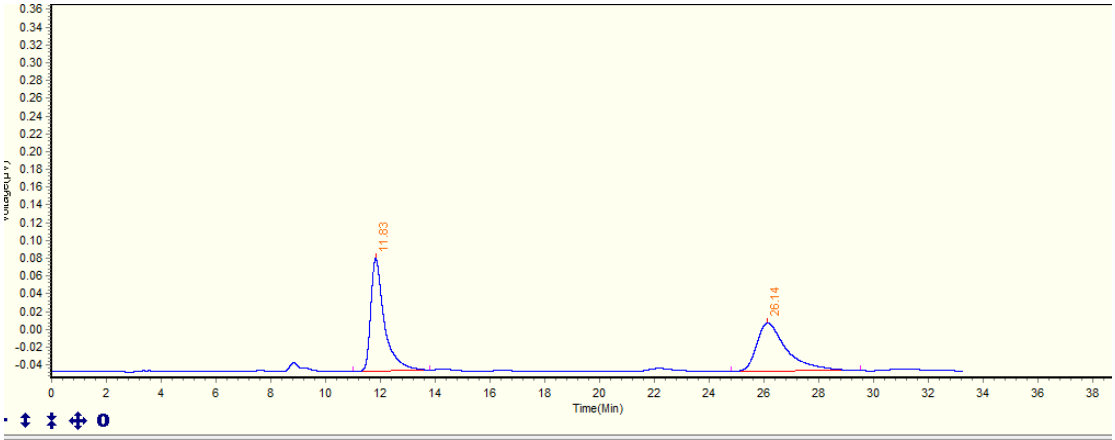
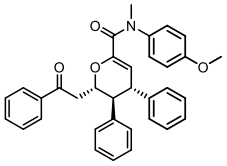


ation Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	11.83	2162401	63085	50.97%	2.8	BB
2	26.14	2080192	27242	49.03%	4.721	BB
		4,242,593	90,327	100.00%		



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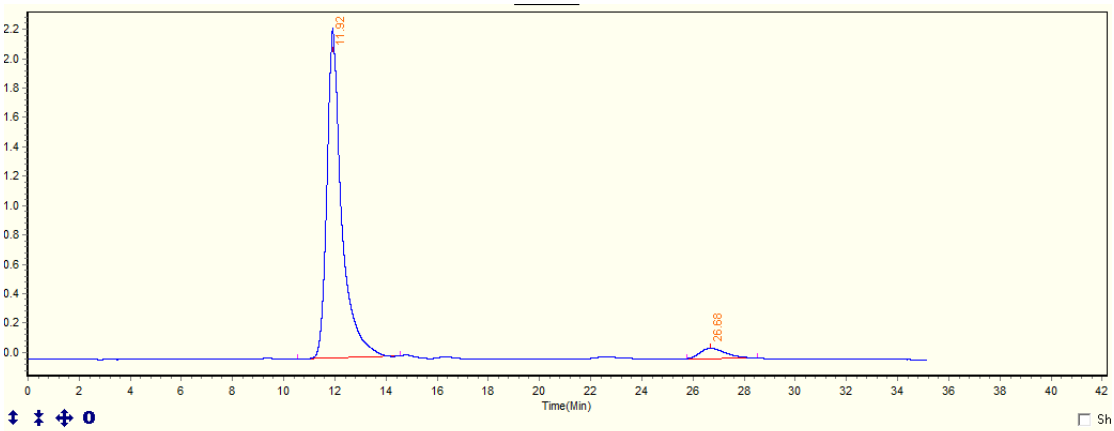
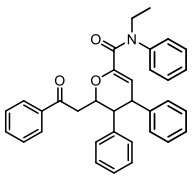


on Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	11.92	44915650	1122197	94.80%	4.014	BB
2	26.68	2462738	34981	5.20%	2.76	BB
		47,378,388	1,157,178	100.00%		



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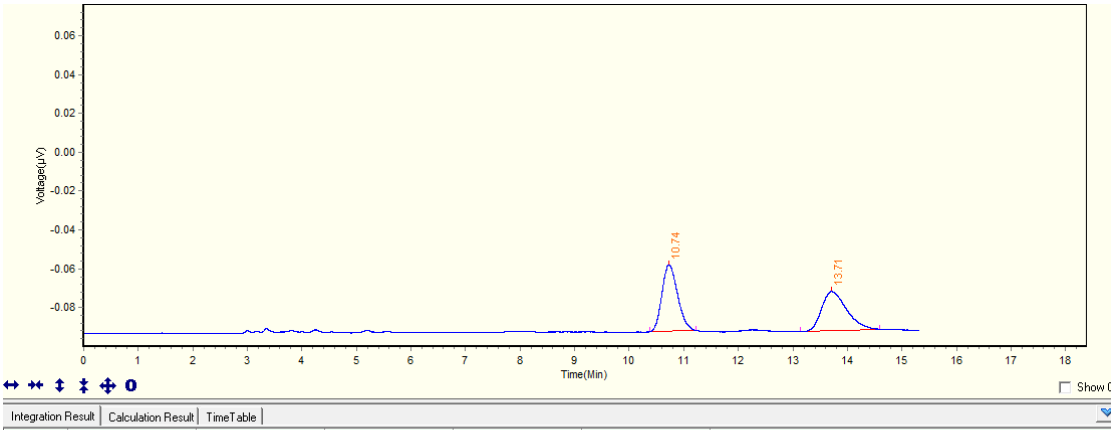
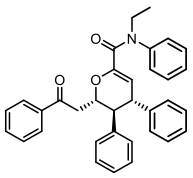


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	10.74	340563	17106	51.75%	0.847	BB
2	13.71	317519	10049	48.25%	1.454	BB
Total		658,082	27,155	100.00%		



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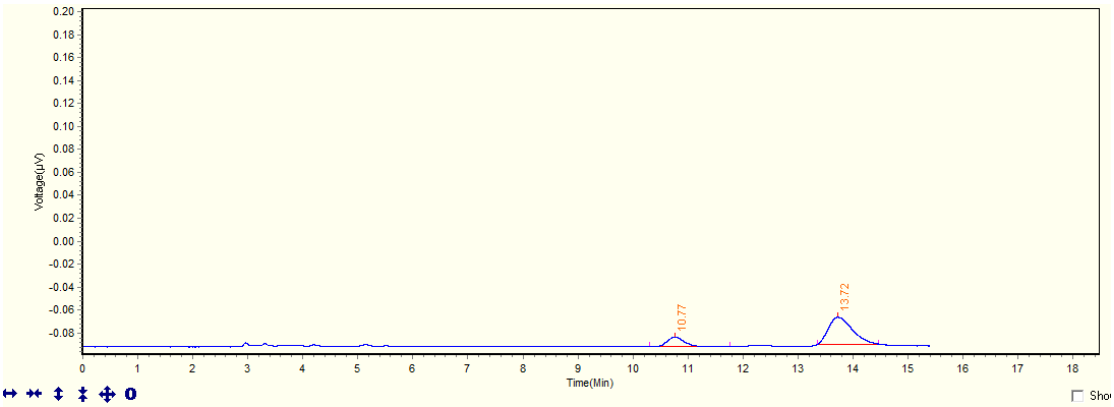
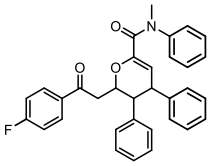


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	10.77	87240	4160	19.91%	1.461	BB
2	13.72	350987	11691	80.09%	1.109	BB
Total		438,227	15,851	100.00%		



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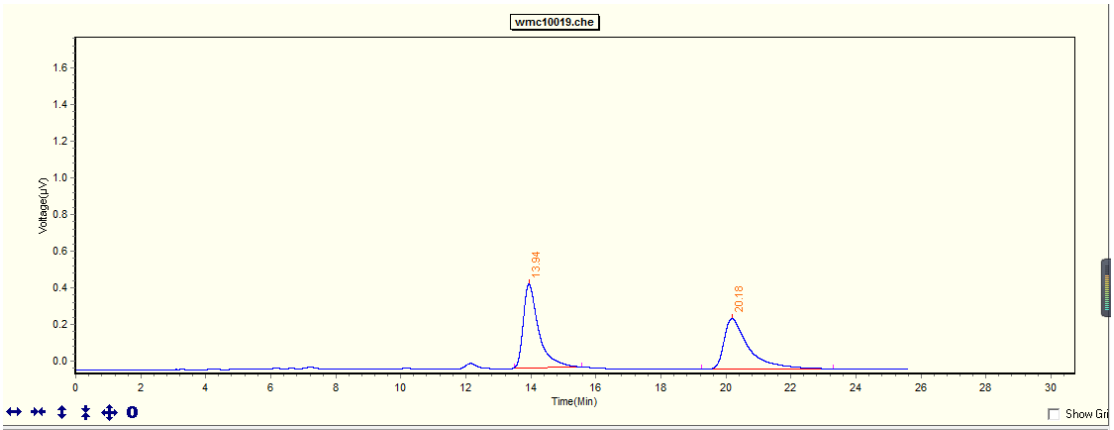
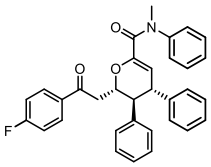


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	13.94	7435196	227107	50.52%	2.072	BB
2	20.18	7283168	137730	49.48%	4.051	BB
Total		14,718,364	364,837	100.00%		



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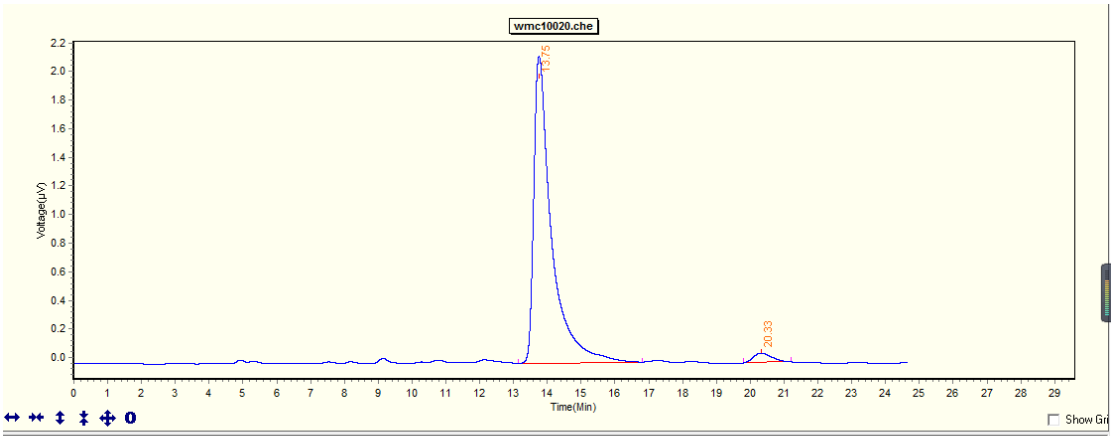
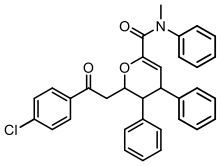


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	13.75	39038849	1070159	96.89%	3.667	BB
2	20.33	1252236	32603	3.11%	1.408	BB
Total		40,291,085	1,102,762	100.00%		



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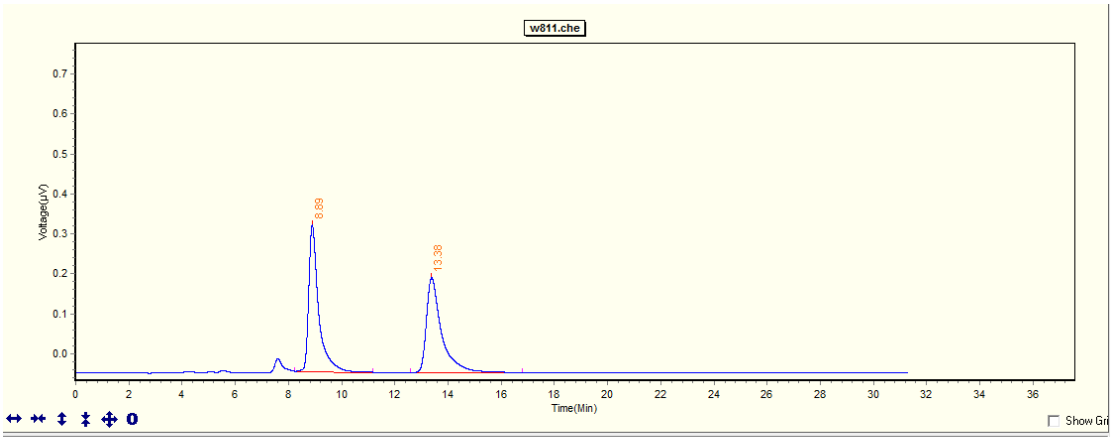
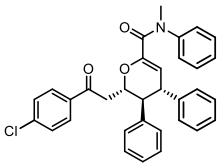


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	8.89	4729646	182742	50.03%	2.933	BB
2	13.38	4723532	118591	49.97%	4.212	BB
Total		9,453,178	301,333	100.00%		



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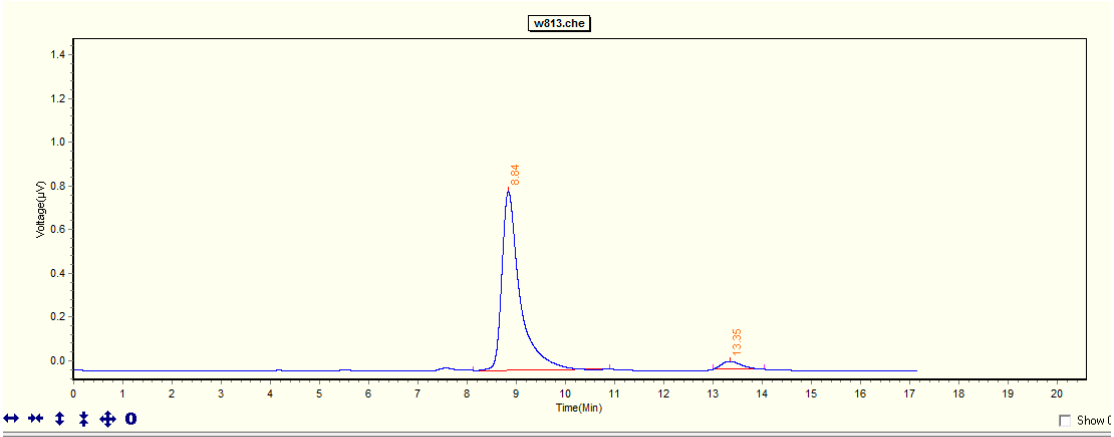
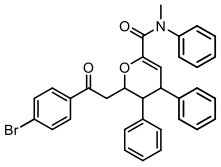


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	8.84	10382172	409172	95.25%	2.776	BB
2	13.35	517609	18335	4.75%	1.041	BB
Total		10,899,781	427,507	100.00%		



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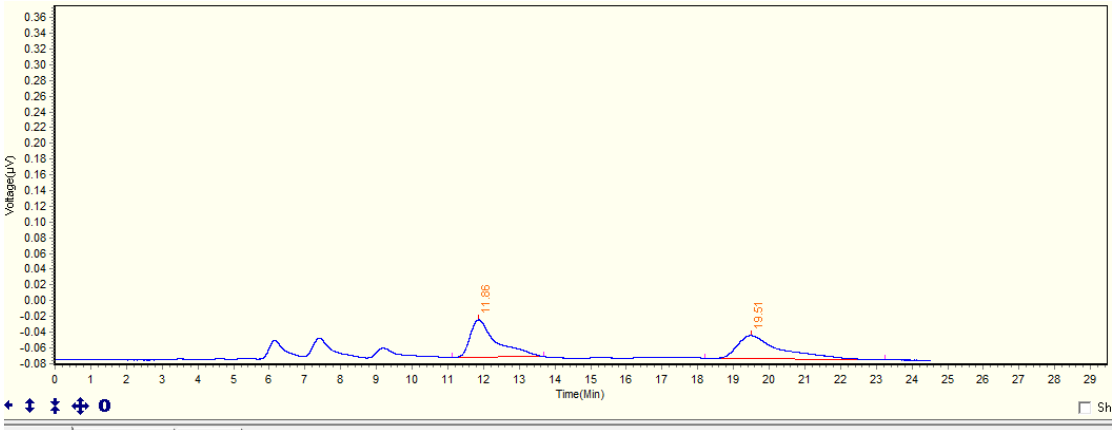
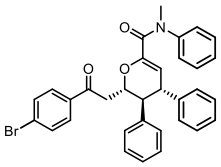


ration Result | Calculation Result | TimeTable

o.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	11.86	1236462	23953	50.11%	2.566	BB
2	19.51	1231086	14634	49.89%	5.044	BB
		2,467,548	38,587	100.00%		



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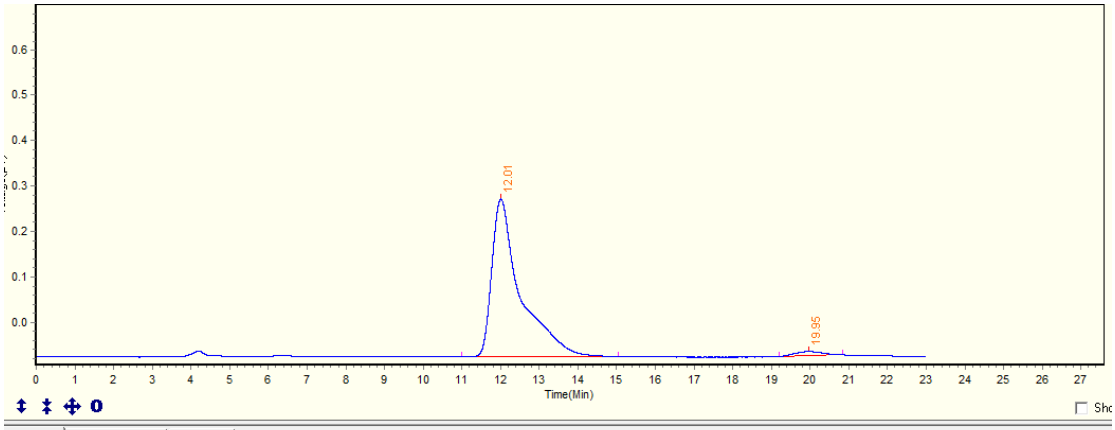
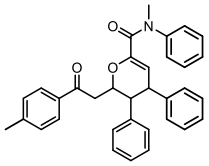


tion Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	12.01	8910939	173324	97.51%	4.061	BB
2	19.95	227873	4589	2.49%	1.63	BB
		9,138,812	177,913	100.00%		



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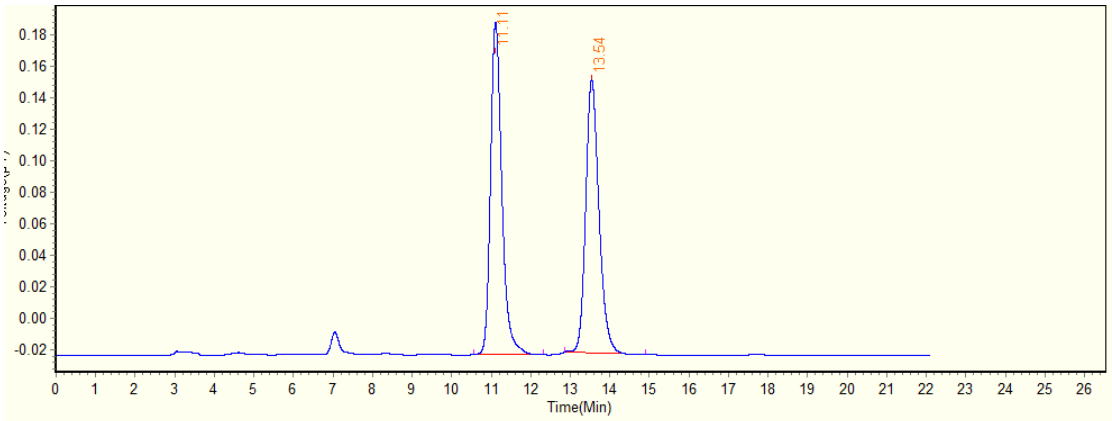
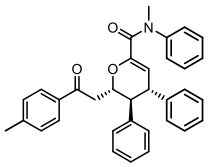


ation Result | Calculation Result | TimeTable

lo.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	11.11	2095945	105359	50.96%	1.76	BB
2	13.54	2017068	86703	49.04%	2.043	BB
		4,113,013	192,062	100.00%		



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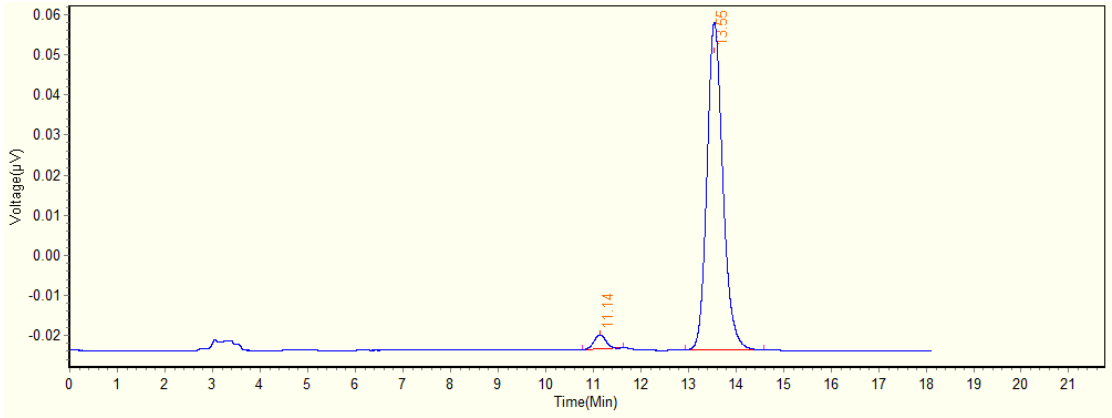
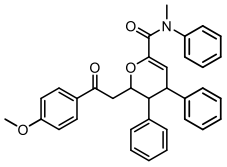


gration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	11.14	30086	1753	3.07%	0.861	BB
2	13.55	948477	40817	96.93%	1.653	BB
al		978,563	42,570	100.00%		



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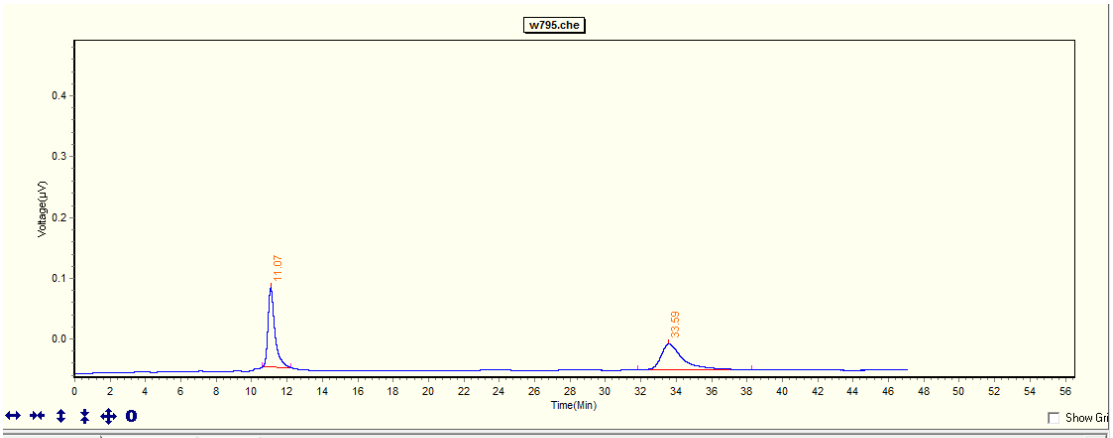
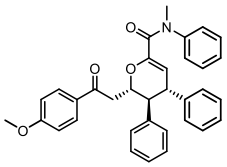


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	11.07	1759247	65261	51.04%	1.642	BB
2	33.59	1687516	20840	48.96%	6.451	BB
Total		3,446,763	86,101	100.00%		



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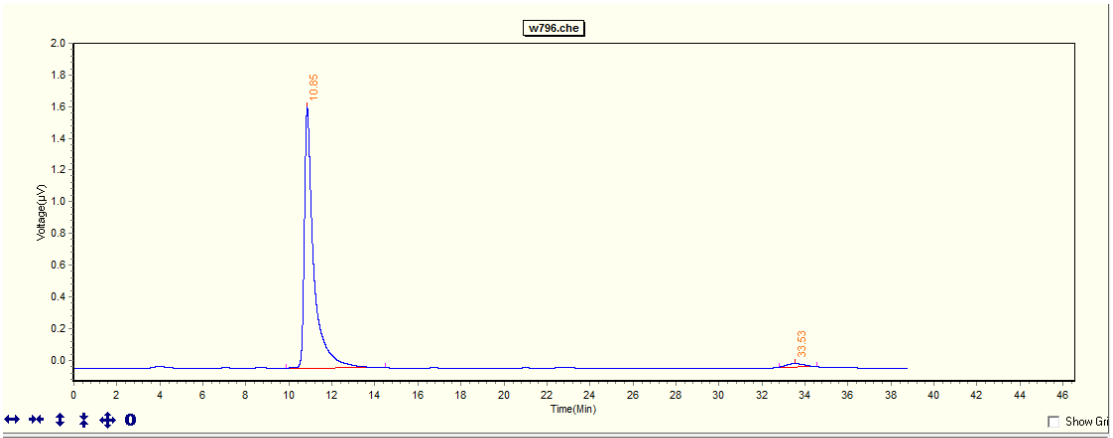
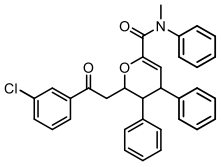


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	10.85	24964055	822943	97.62%	4.613	BB
2	33.53	608214	10730	2.38%	1.753	BB
Total		25,572,269	833,673	100.00%		



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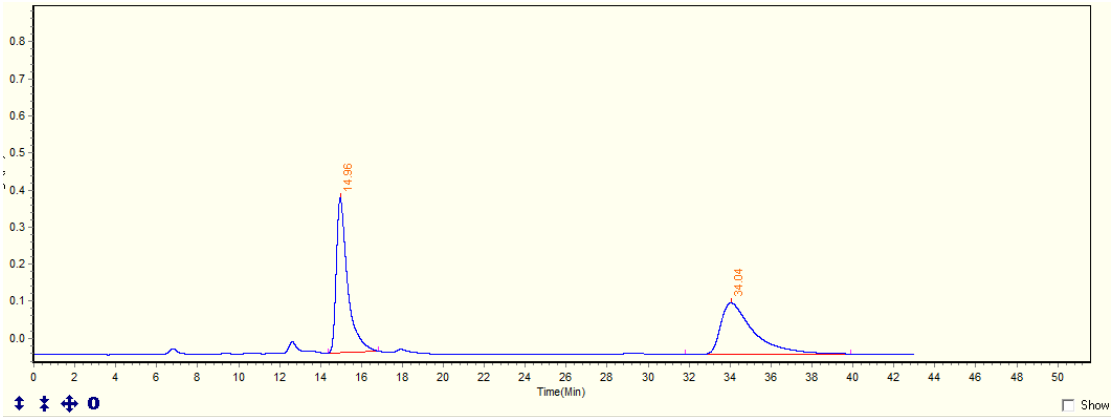
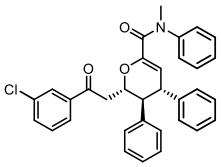


tion Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	14.96	8025308	208663	51.31%	2.479	BB
2	34.04	7614785	69253	48.69%	8.058	BB
		15,640,093	277,916	100.00%		



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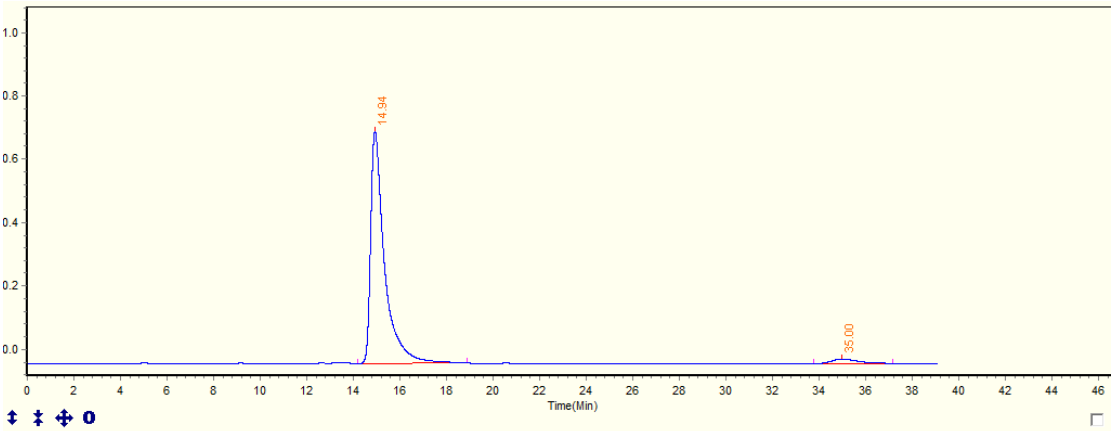
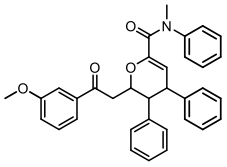


on Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	14.94	15209580	366128	96.45%	4.699	BB
2	35.00	559734	7195	3.55%	3.396	BB
		15,769,314	373,323	100.00%		



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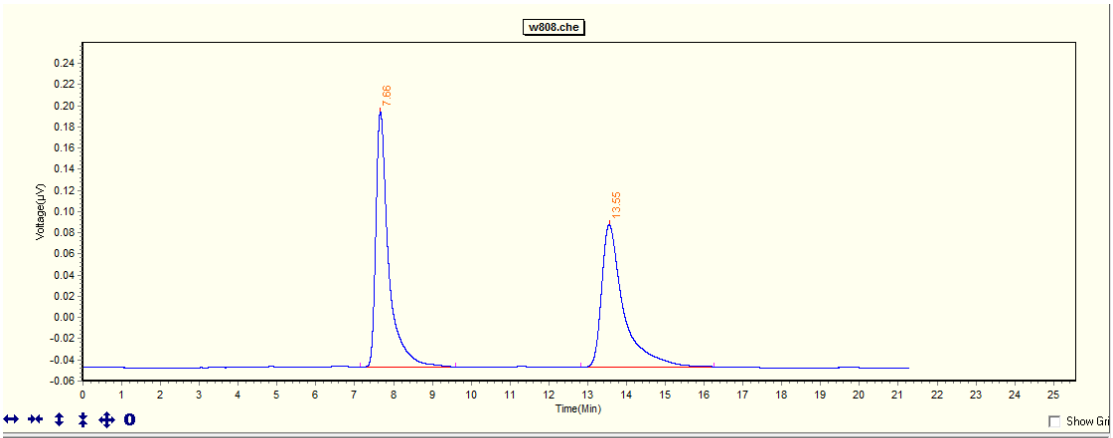
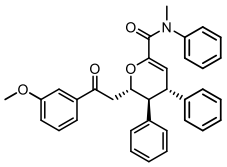


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	7.66	2747051	120592	50.88%	2.458	BB
2	13.55	2651911	67390	49.12%	3.43	BB
Total		5,398,962	187,982	100.00%		



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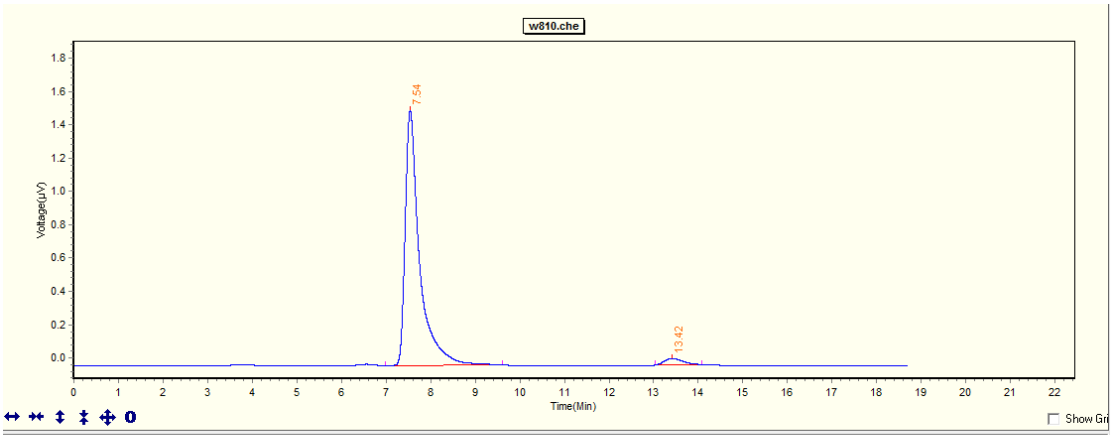
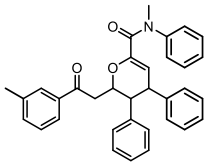


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	7.54	17152132	765921	96.89%	2.625	BB
2	13.42	549902	19143	3.11%	1.046	BB
Total		17,702,034	785,064	100.00%		



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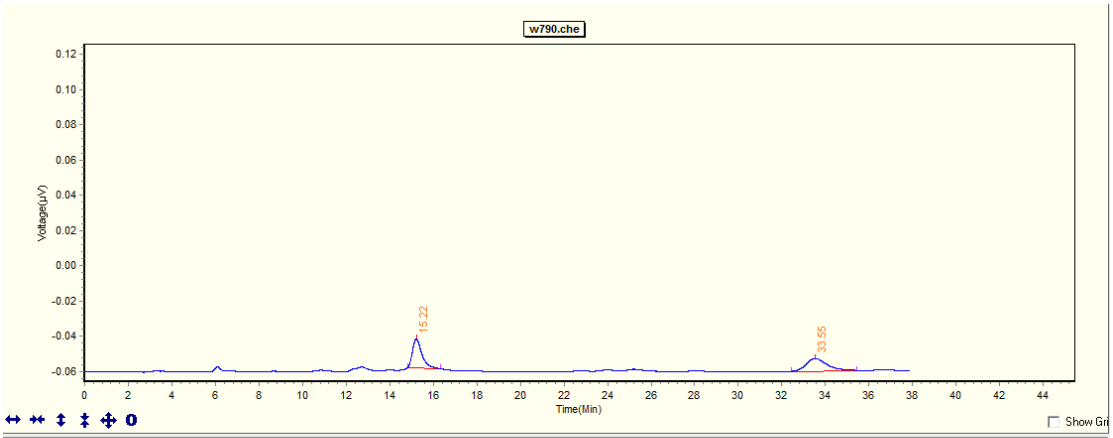
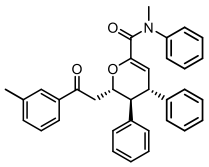


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	15.22	244425	8066	51.18%	1.501	BB
2	33.55	233151	3533	48.82%	3.002	BB
Total		477,576	11,599	100.00%		



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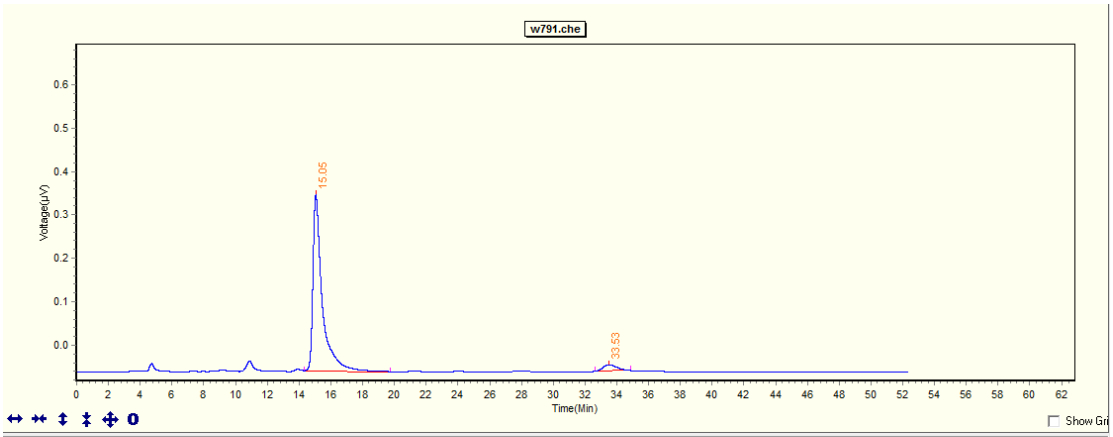
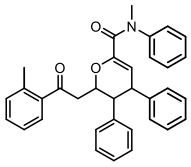


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	15.05	8237021	201960	94.96%	5.409	BB
2	33.53	437327	7324	5.04%	2.202	BB
Total		8,674,348	209,284	100.00%		



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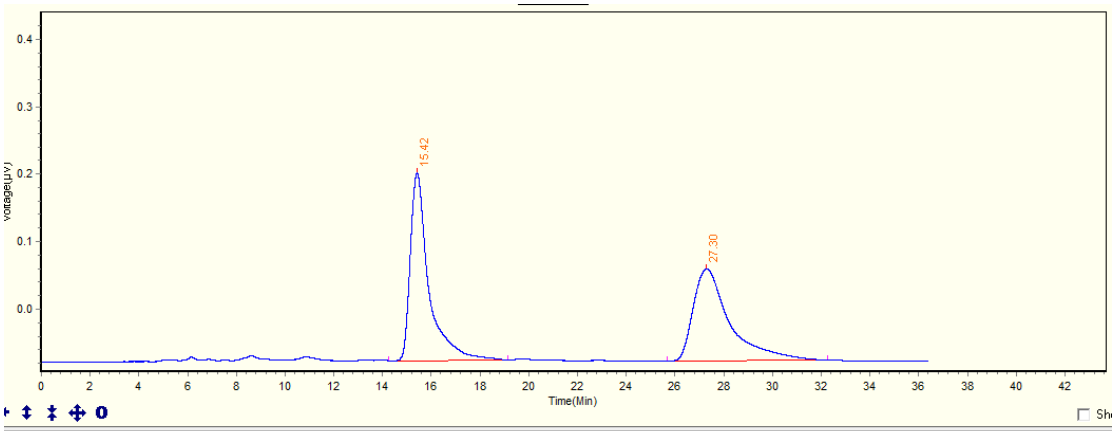
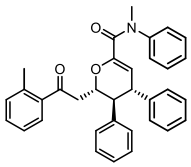


ation Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	15.42	7335507	139111	50.82%	4.899	BB
2	27.30	7098940	68219	49.18%	6.604	BB
		14,434,447	207,330	100.00%		



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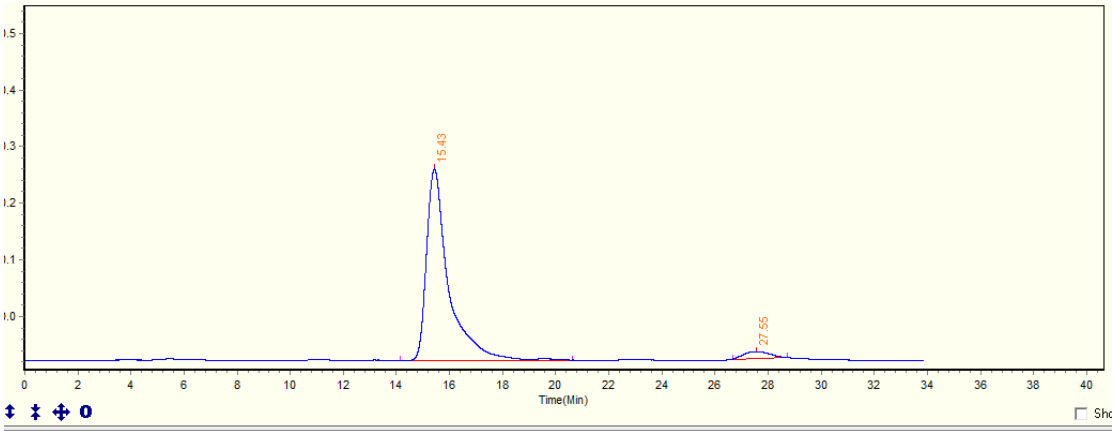
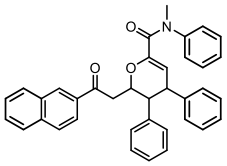


n Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	15.43	9661526	168843	95.92%	6.47	BB
2	27.55	411420	6093	4.08%	2.075	BB
		10,072,946	174,936	100.00%		



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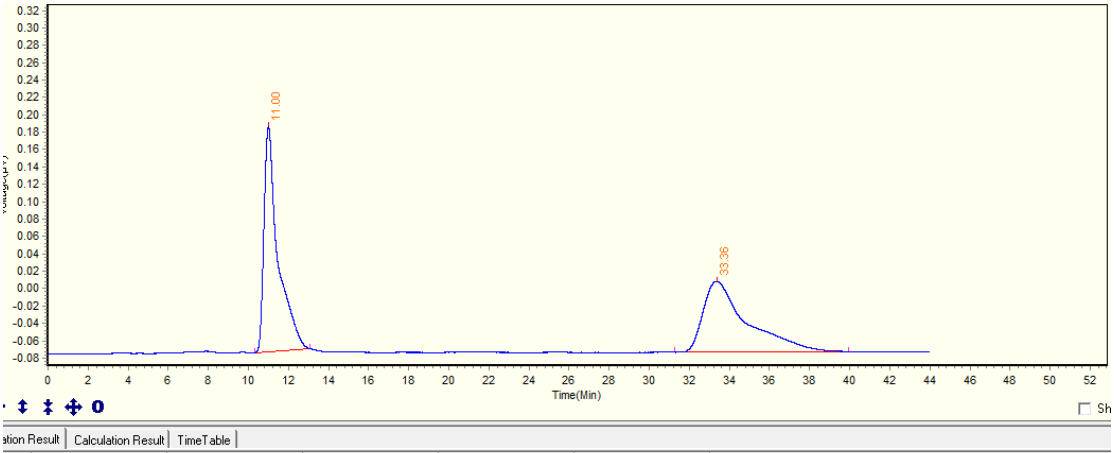
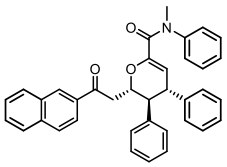
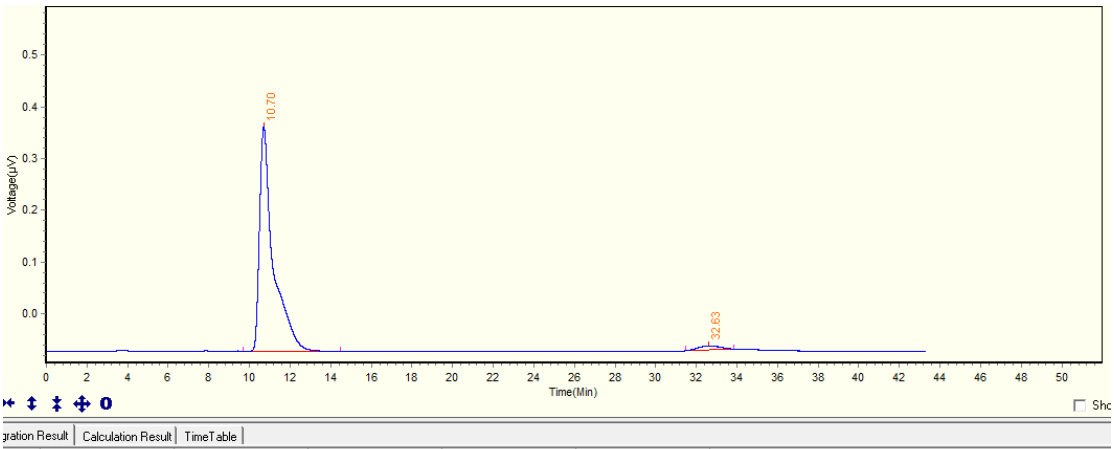


ation Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	11.00	6269004	129627	50.45%	2.751	BB
2	33.36	6157619	40740	49.55%	8.677	BB
		12,426,623	170,367	100.00%		

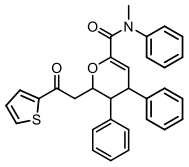


3ak



gration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	10.70	10138621	216611	97.24%	4.787	BB
2	32.63	287925	3797	2.76%	2.342	BB
		10,426,546	220,408	100.00%		



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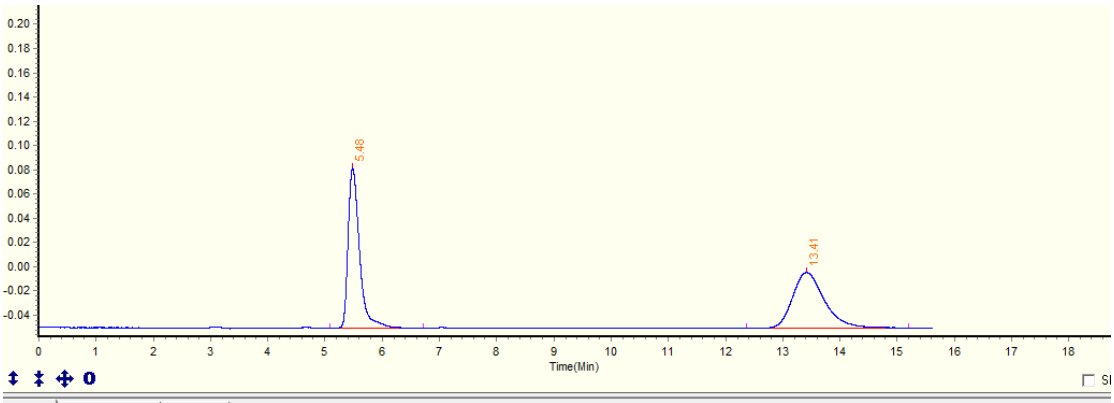
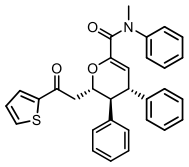


on Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	5.48	912460	65819	50.90%	1.633	BB
2	13.41	880179	22908	49.10%	2.833	BB
		1,792,639	88,727	100.00%		



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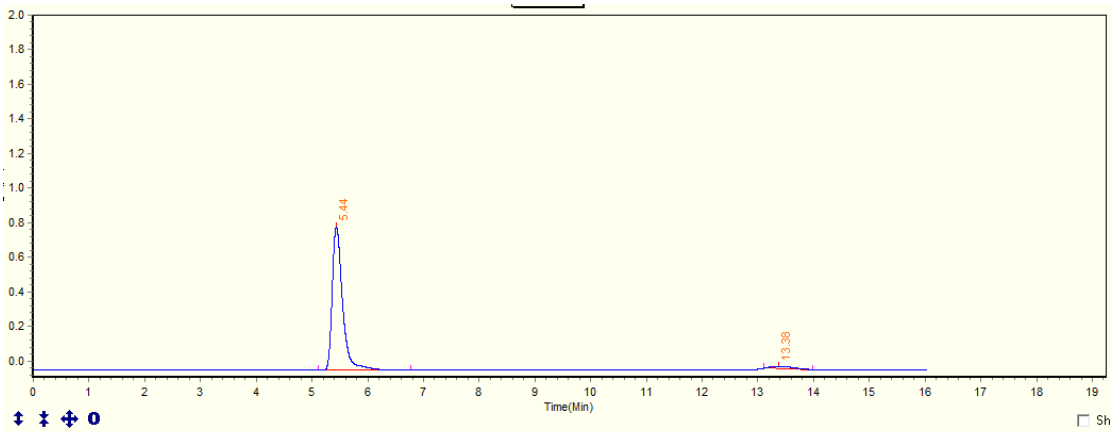
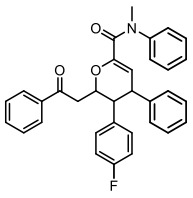


tion Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	5.44	5347366	412889	96.50%	1.66	BB
2	13.38	193964	7210	3.50%	0.878	BB
		5,541,330	420,099	100.00%		



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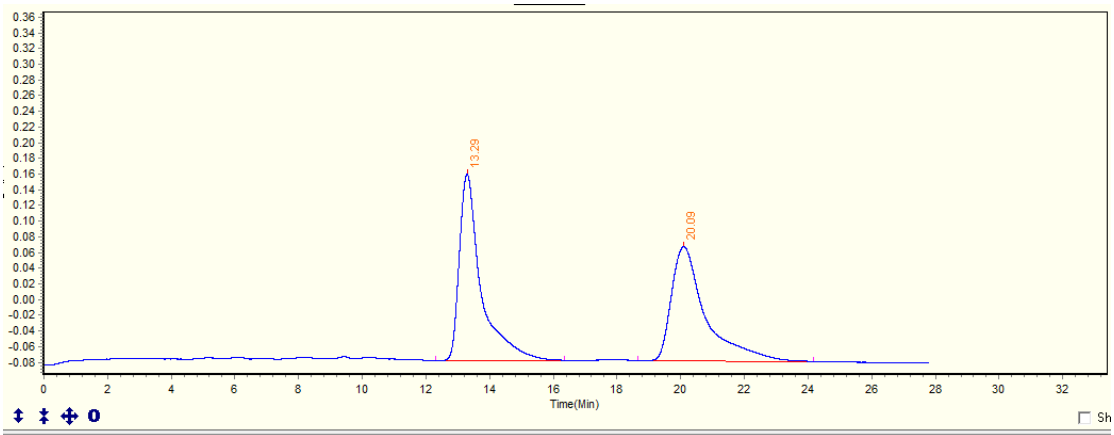
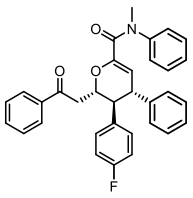


tion Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	13.29	5605804	118580	50.97%	4.046	BB
2	20.09	5392368	72660	49.03%	5.516	BB
		10,998,172	191,240	100.00%		



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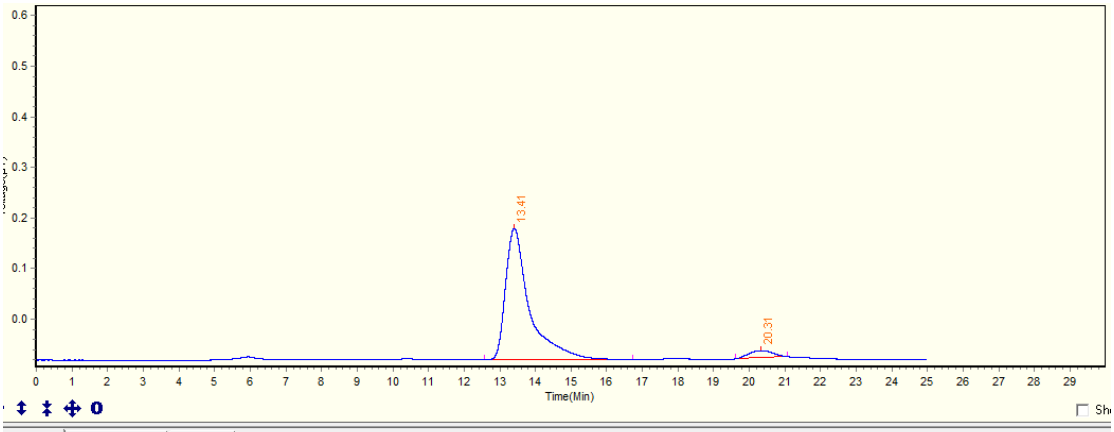
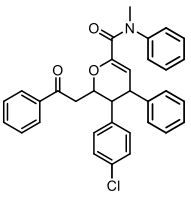


tion Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	13.41	6068585	129903	94.79%	4.141	BB
2	20.31	333482	7115	5.21%	1.456	BB
		6,402,067	137,018	100.00%		



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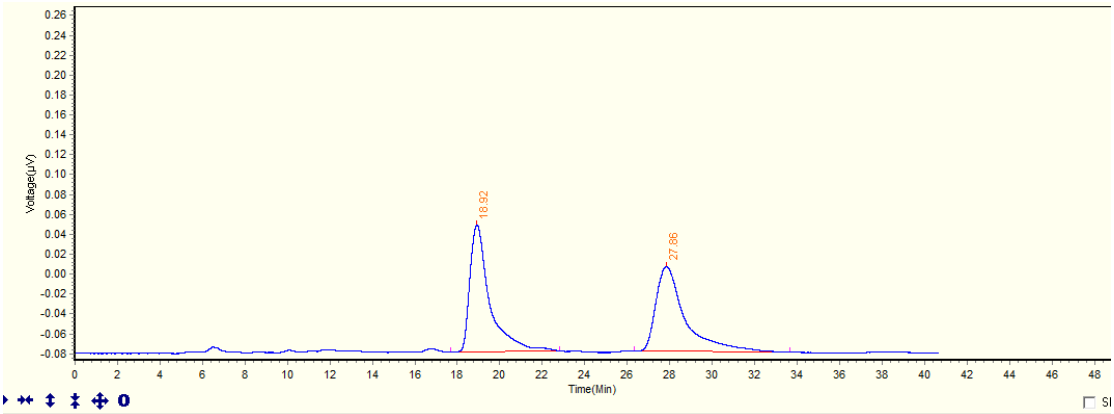
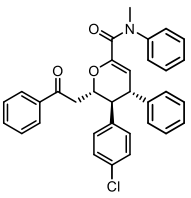


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	18.92	4135785	63839	50.51%	5.129	BB
2	27.86	4052598	42430	49.49%	7.328	BB
otal		8,188,383	106,269	100.00%		



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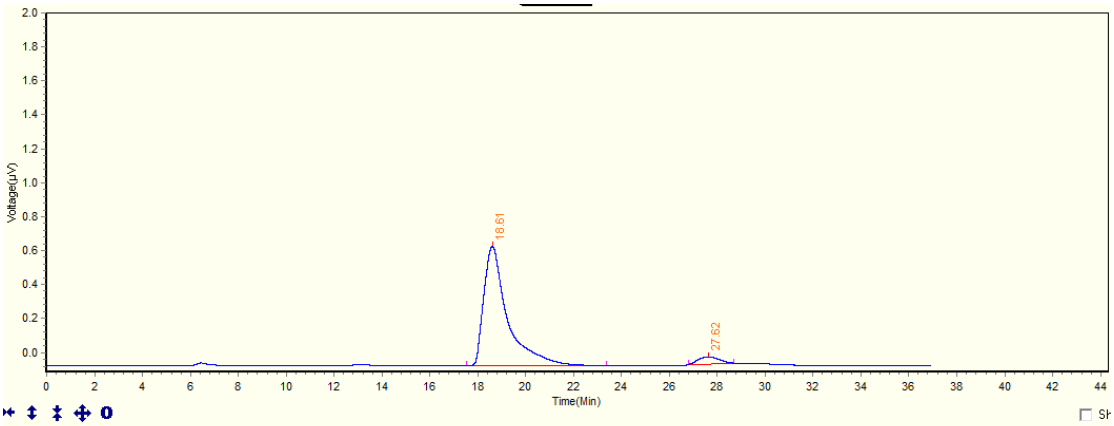
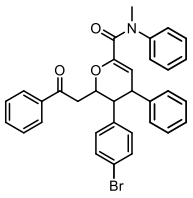


gration Result | Calculation Result | TimeTable

lo.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	18.61	24072898	351722	95.05%	5.867	BB
2	27.62	1254796	20435	4.95%	1.889	BB
		25,327,694	372,157	100.00%		



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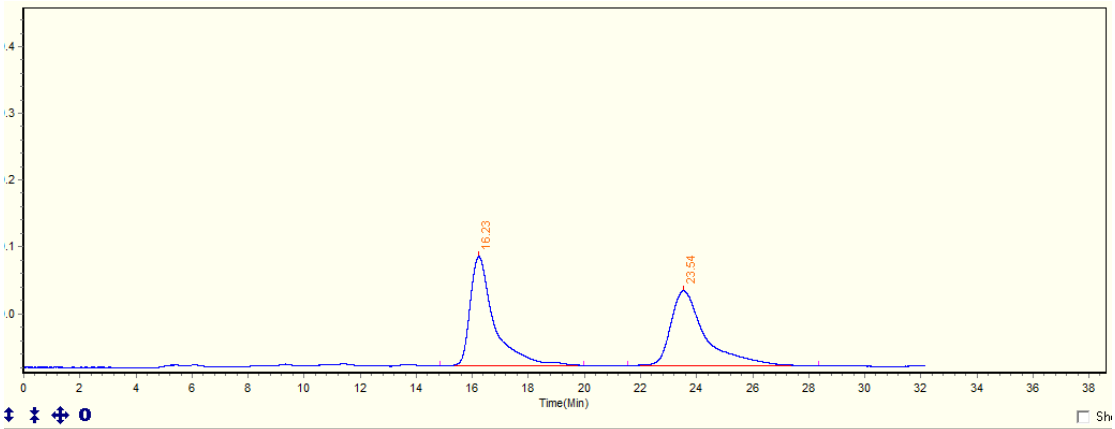
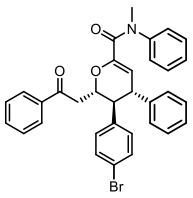


n Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	16.23	4910906	81981	50.47%	5.14	BB
2	23.54	4819530	56035	49.53%	6.84	BB
		9,730,436	138,016	100.00%		



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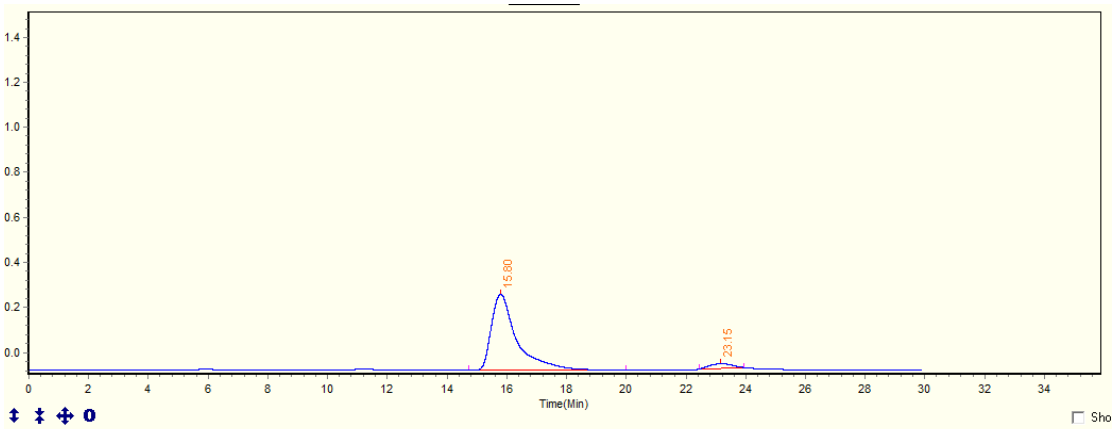
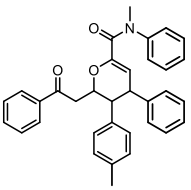


on Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	15.80	10008457	169464	94.96%	5.245	BB
2	23.15	531739	10323	5.04%	1.494	BB
		10,540,196	179,787	100.00%		



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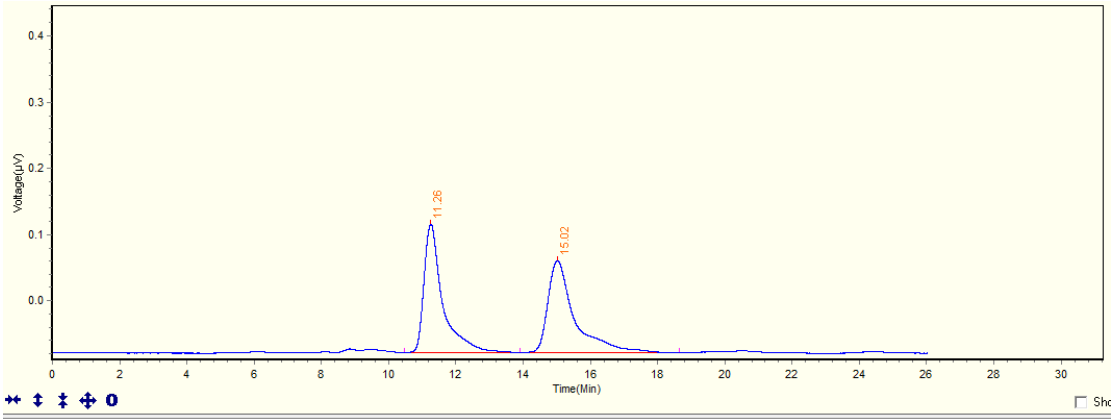
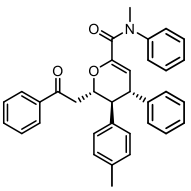


gration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	15.02	3799480	69210	50.44%	4.724	BB
2	11.26	3732760	97110	49.56%	3.442	BB
al		7,532,240	166,320	100.00%		



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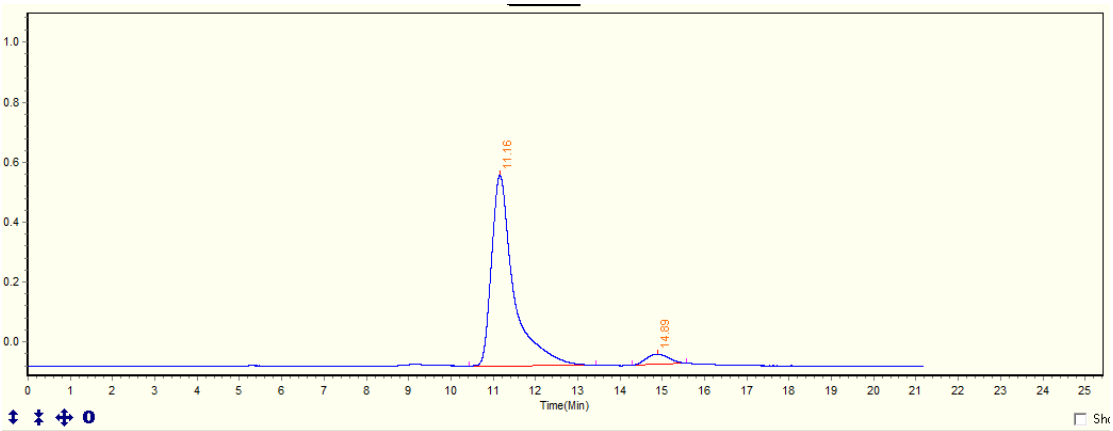
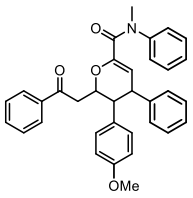


on Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	11.16	11542389	317108	94.79%	3.01	BB
2	14.89	634512	17095	5.21%	1.29	BB
		12,176,901	334,203	100.00%		



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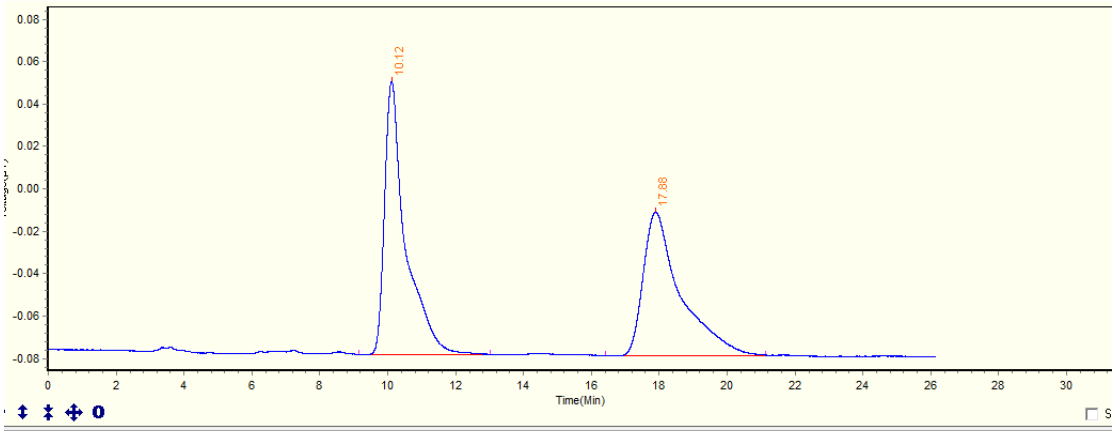
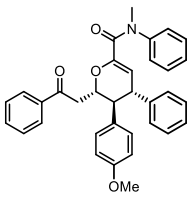


tion Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	10.12	2751187	64391	51.86%	3.868	BB
2	17.88	2553346	33740	48.14%	4.717	BB
		5,304,533	98,131	100.00%		



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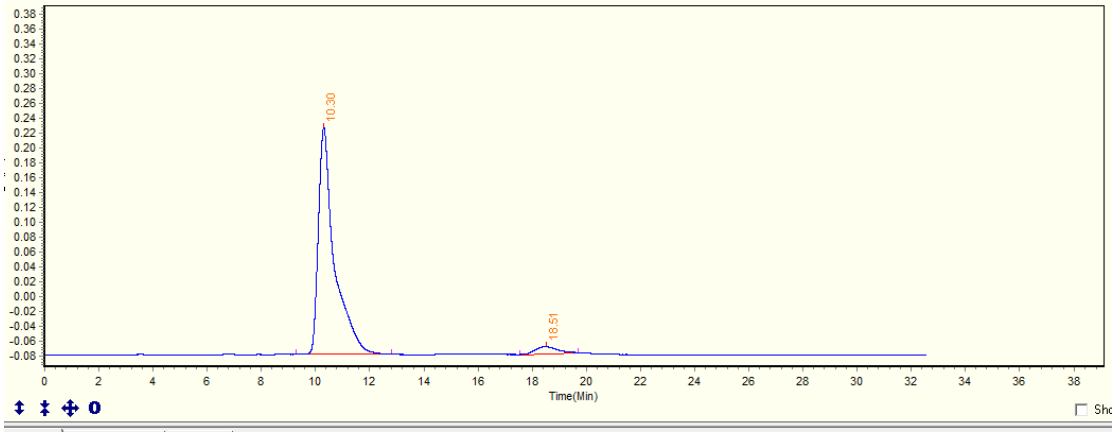
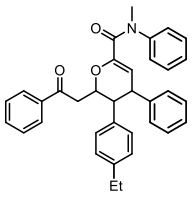


tion Result | Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	10.30	6278363	152981	96.01%	3.524	BB
2	18.51	261071	4732	3.99%	2.153	BB
		6,539,434	157,713	100.00%		



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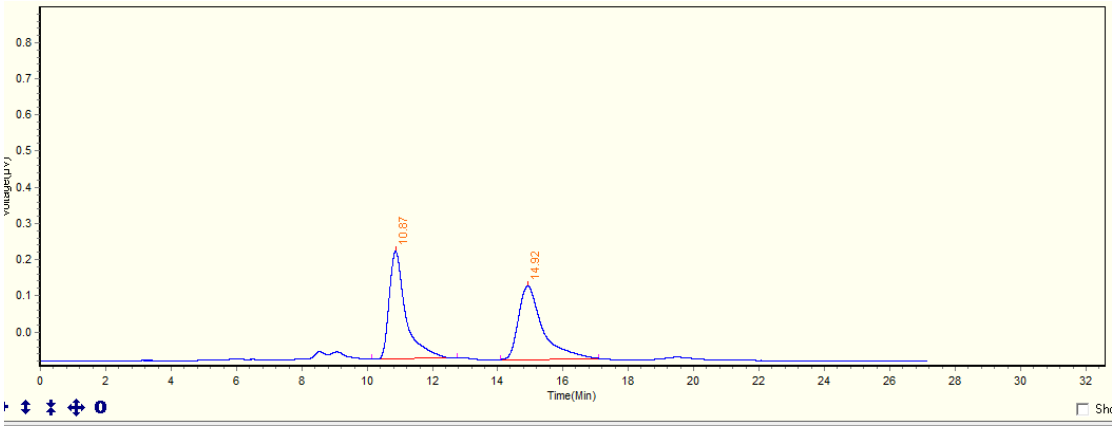
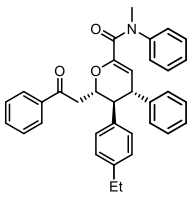


Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	10.87	5239881	148447	50.25%	2.61	BB
2	14.92	5187329	101047	49.75%	3.004	BB
		10,427,210	249,494	100.00%		



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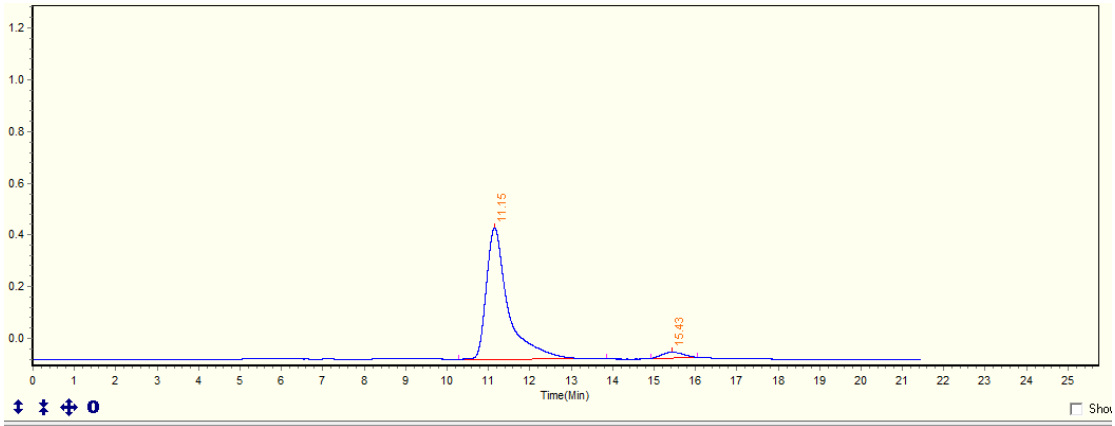
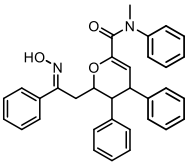


Calculation Result | TimeTable

	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	11.15	9292198	253272	96.04%	3.586	BB
2	15.43	382755	10849	3.96%	1.123	BB
		9,674,953	264,121	100.00%		



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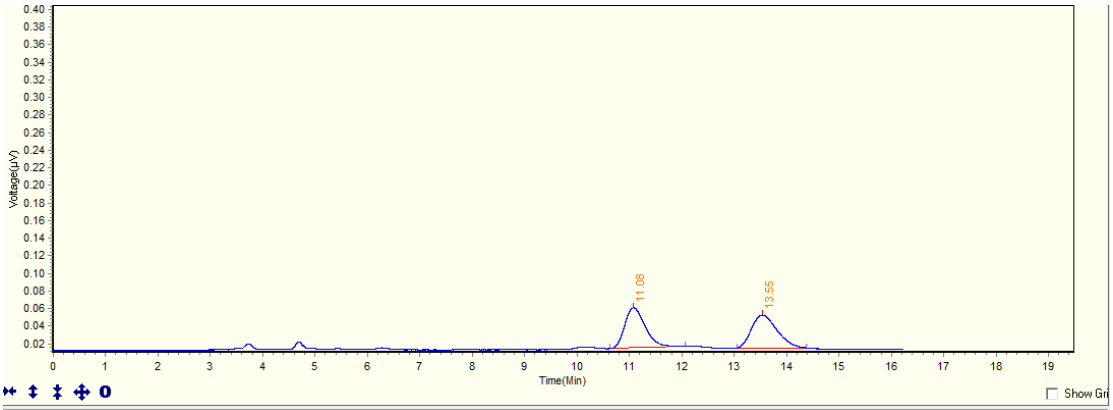
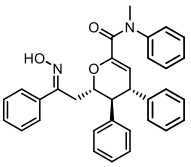


gration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	11.08	619627	22808	49.73%	1.438	BB
2	13.55	626345	18662	50.27%	1.321	BB
l		1,245,972	41,470	100.00%		



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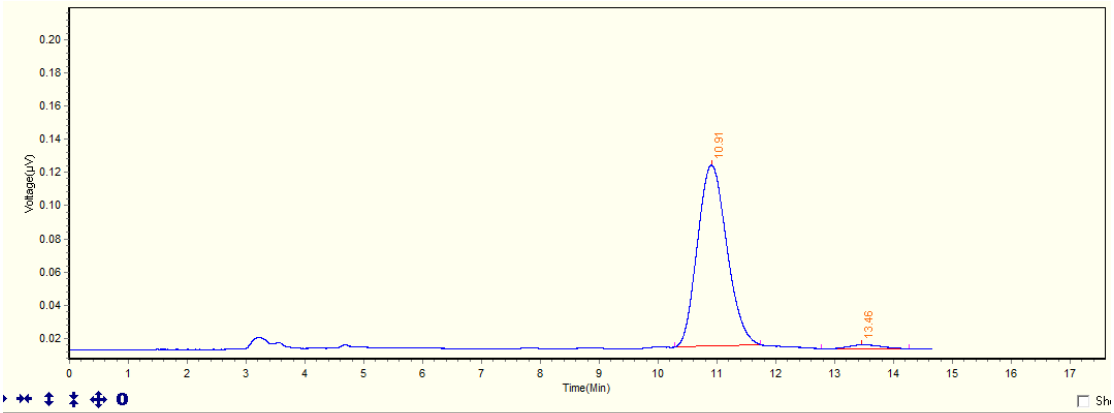
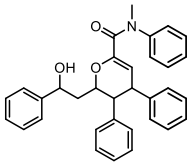


ntegration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	10.91	1885569	54445	97.68%	1.457	BB
2	13.46	44701	1212	2.32%	1.491	BB
otal		1,930,270	55,657	100.00%		



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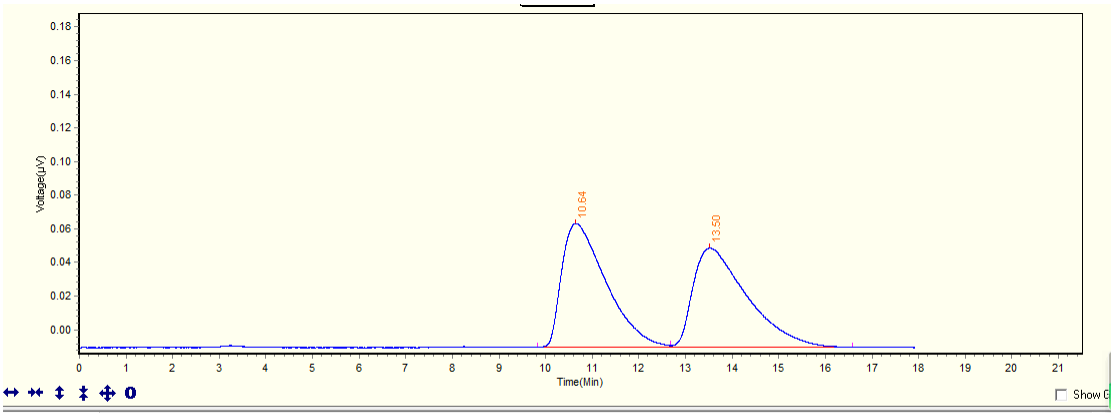
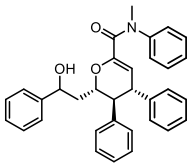


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	10.64	2418235	36717	50.59%	2.864	BV
2	13.50	2361706	29423	49.41%	3.897	VB
Total		4,779,941	66,140	100.00%		



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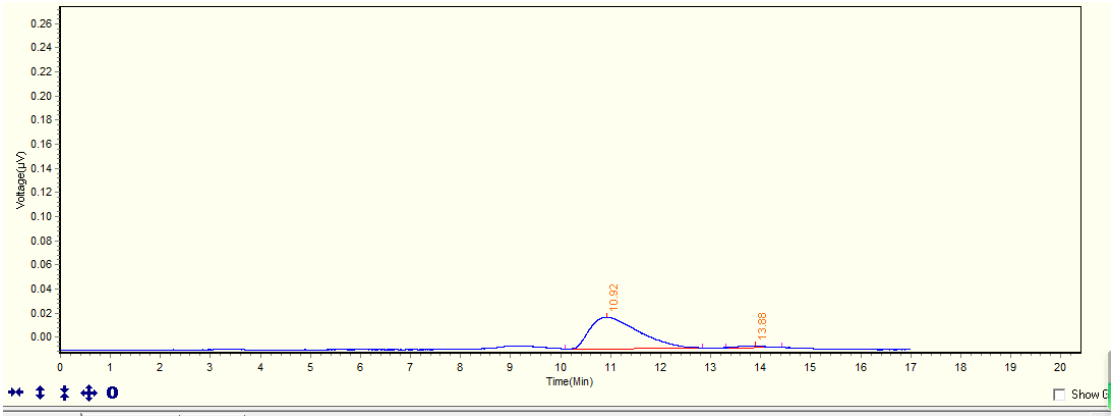
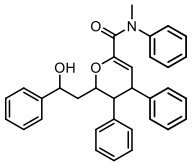


egration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	10.92	872313	13045	97.36%	2.746	BB
2	13.88	23659	599	2.64%	1.119	BB
al		895,972	13,644	100.00%		



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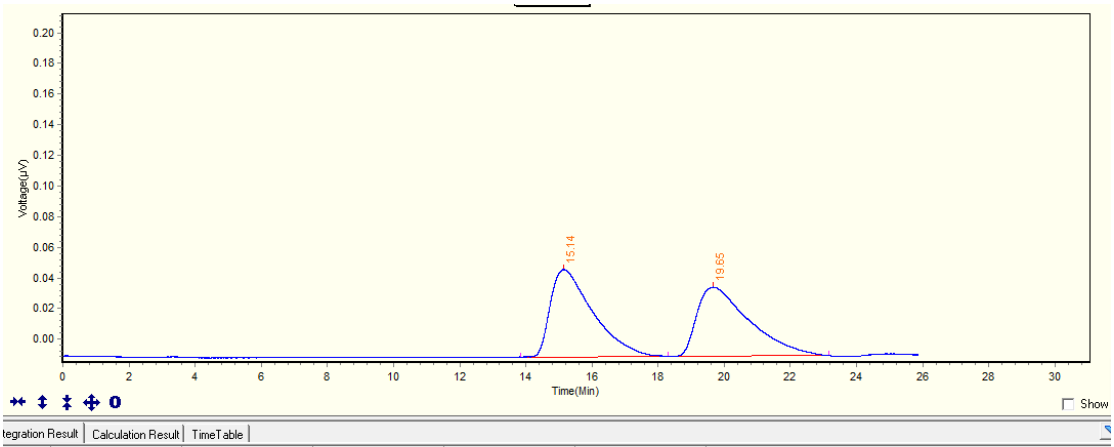
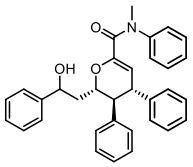
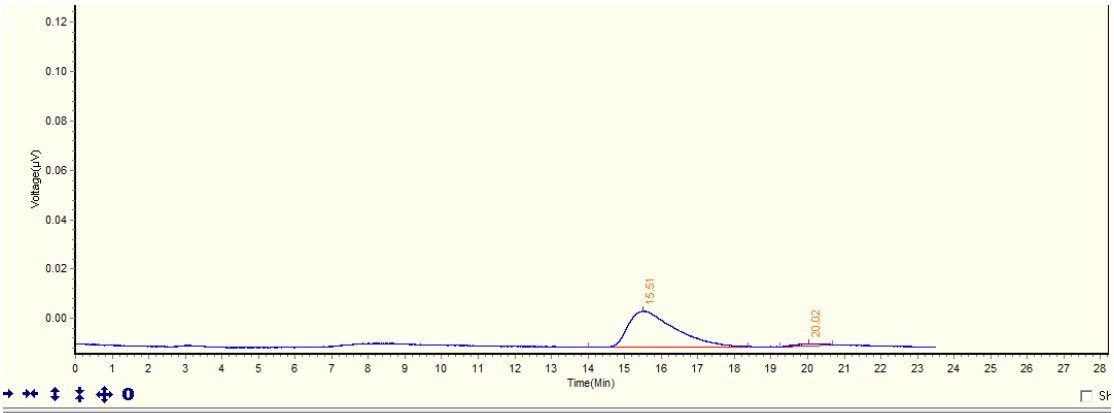


tegration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	15.14	2537601	28453	50.62%	4.458	BV
2	19.65	2475518	22542	49.38%	4.861	VB
tal		5,013,119	50,995	100.00%		

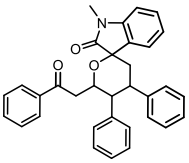


5'

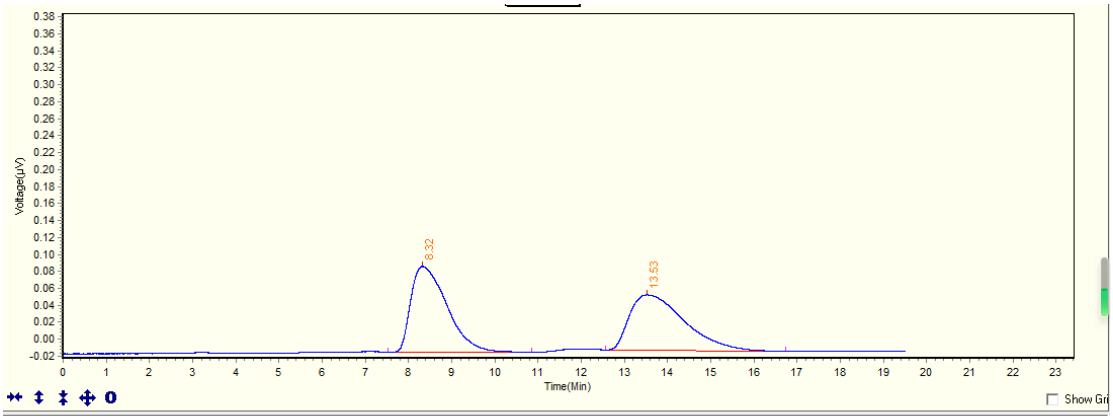


Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	15.51	637762	7256	97.22%	4.349	BB
2	20.02	18223	399	2.78%	1.44	BB
Total		655,985	7,655	100.00%		

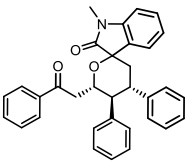


6



egration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	8.32	2912720	50363	50.26%	3.328	BB
2	13.53	2882989	32674	49.74%	4.171	BB
al		5,795,709	83,037	100.00%		



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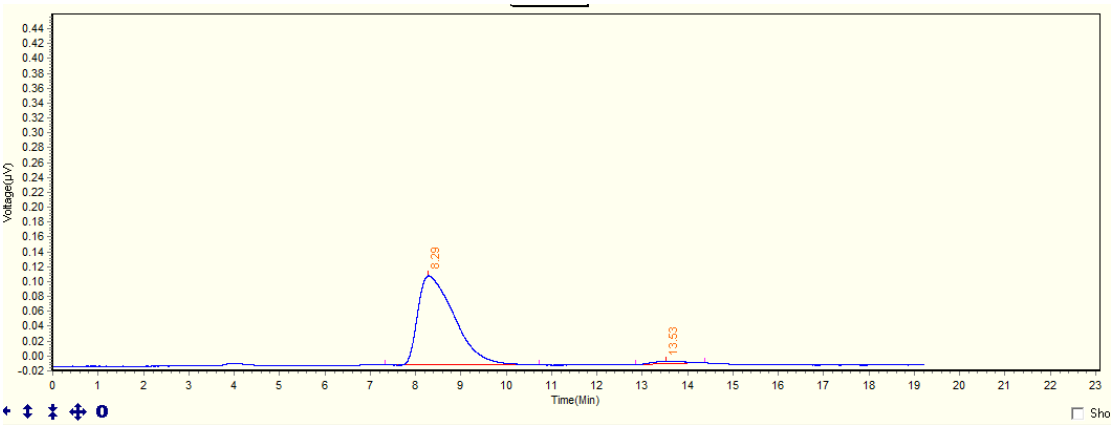
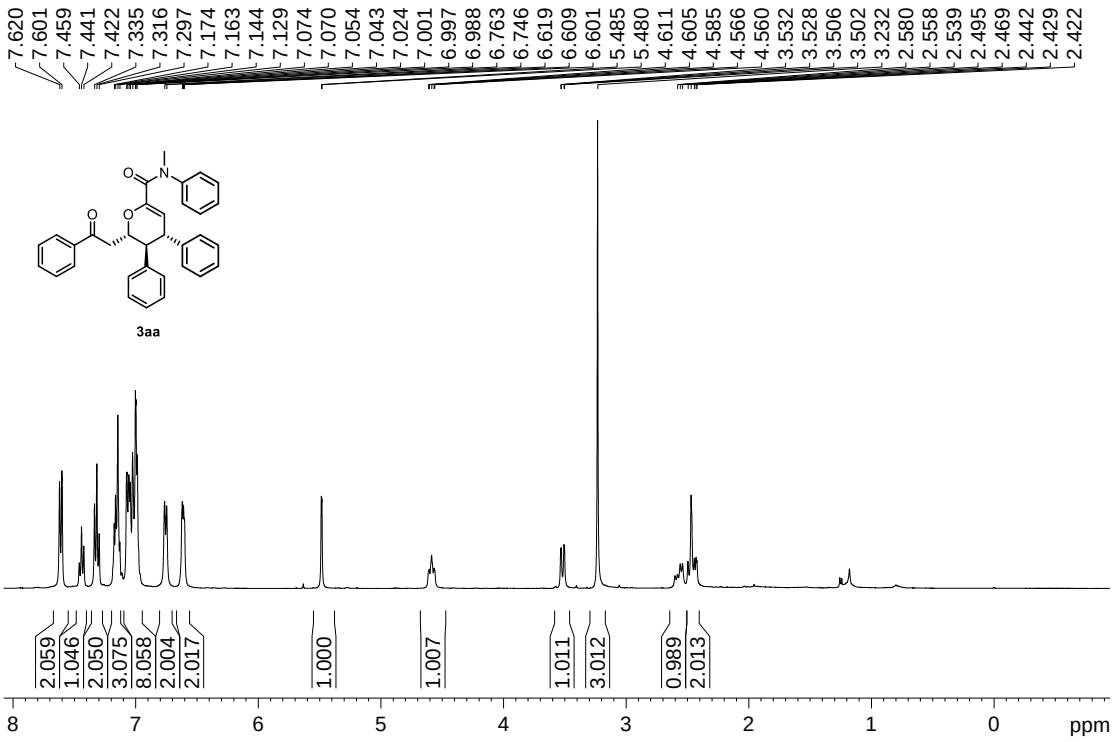


ration Result | Calculation Result | TimeTable

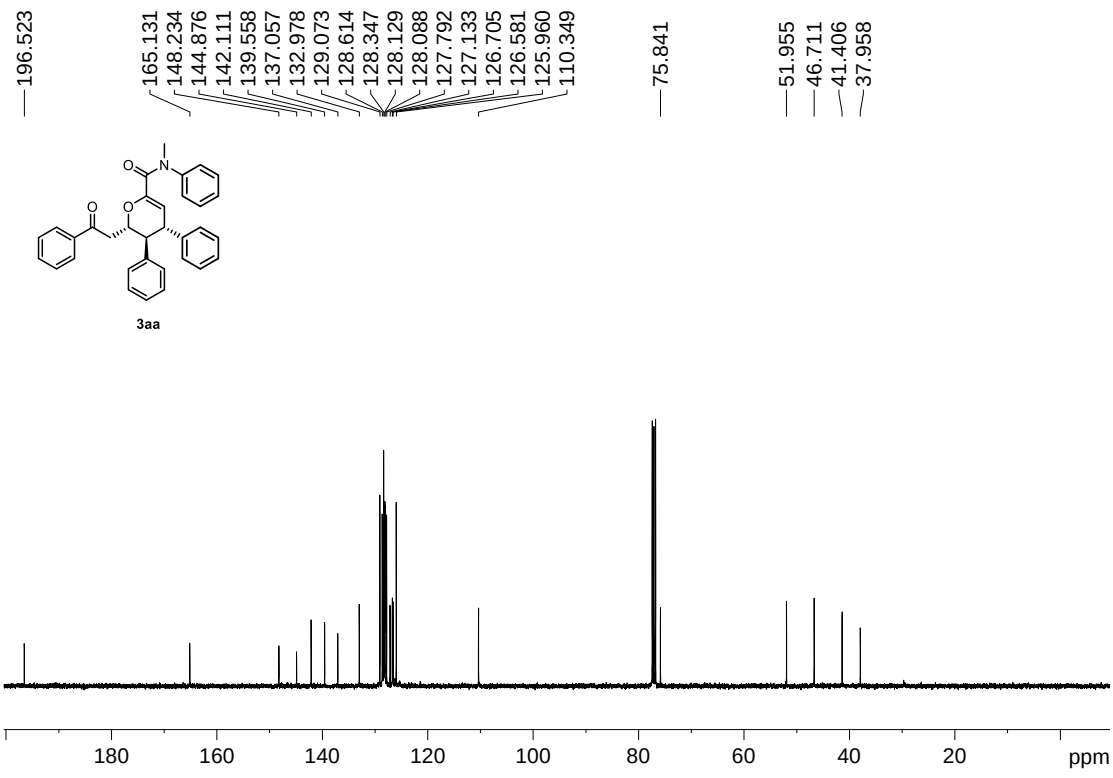
o.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	Peak Type
1	8.29	3334486	59929	97.49%	3.398	BB
2	13.53	85776	1747	2.51%	1.526	BB
		3,420,262	61,676	100.00%		

7. NMR Spectra of compounds.

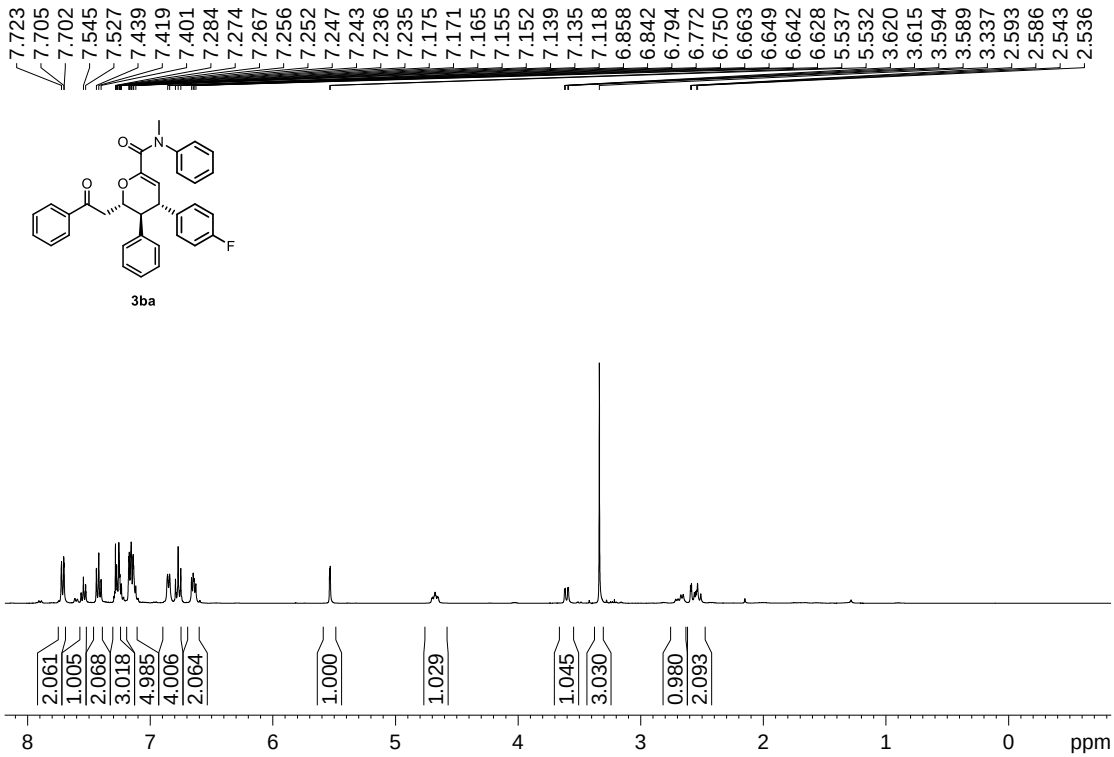
^{1}H NMR spectrum of compound **3aa** ($CDCl_3$, 400 MHz)



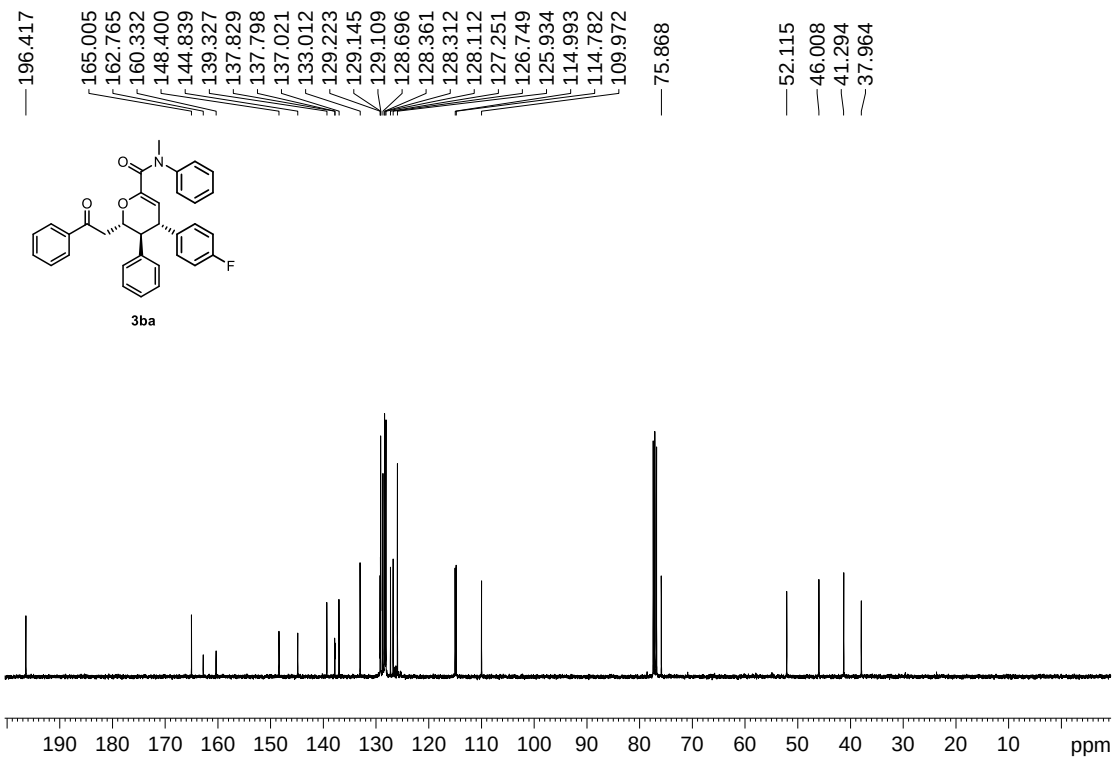
^{13}C NMR spectrum of compound **3aa** ($CDCl_3$, 100 MHz)



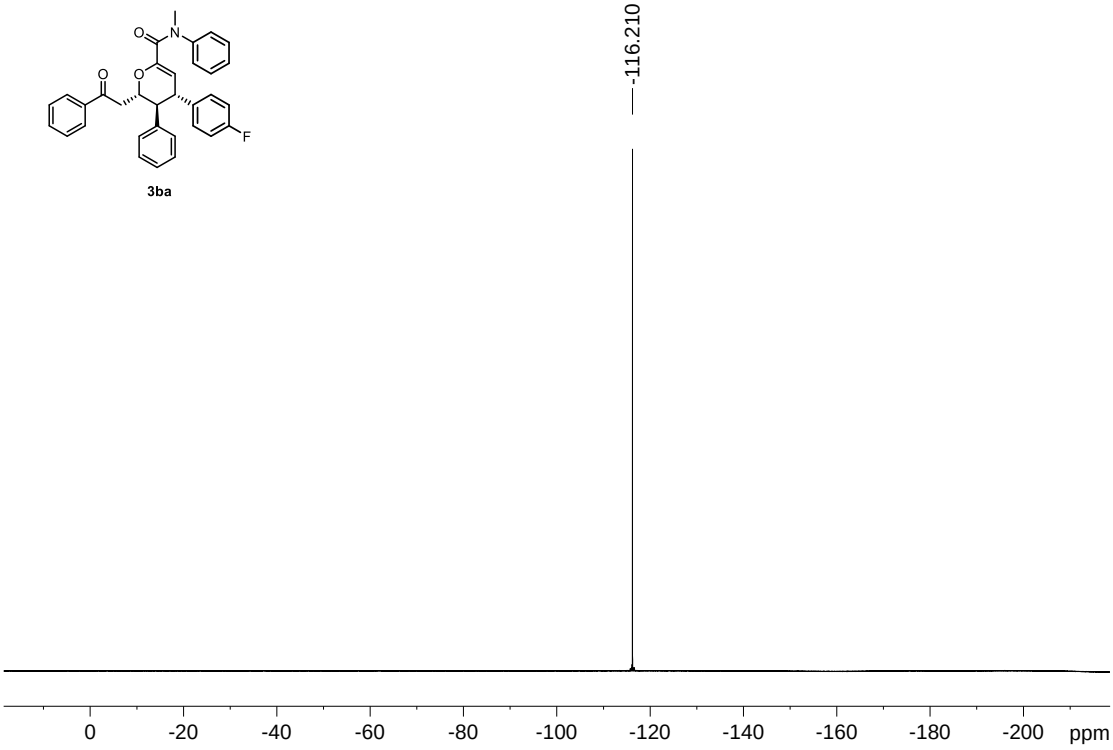
^{1}H NMR spectrum of compound **3ba** ($CDCl_3$, 400 MHz)



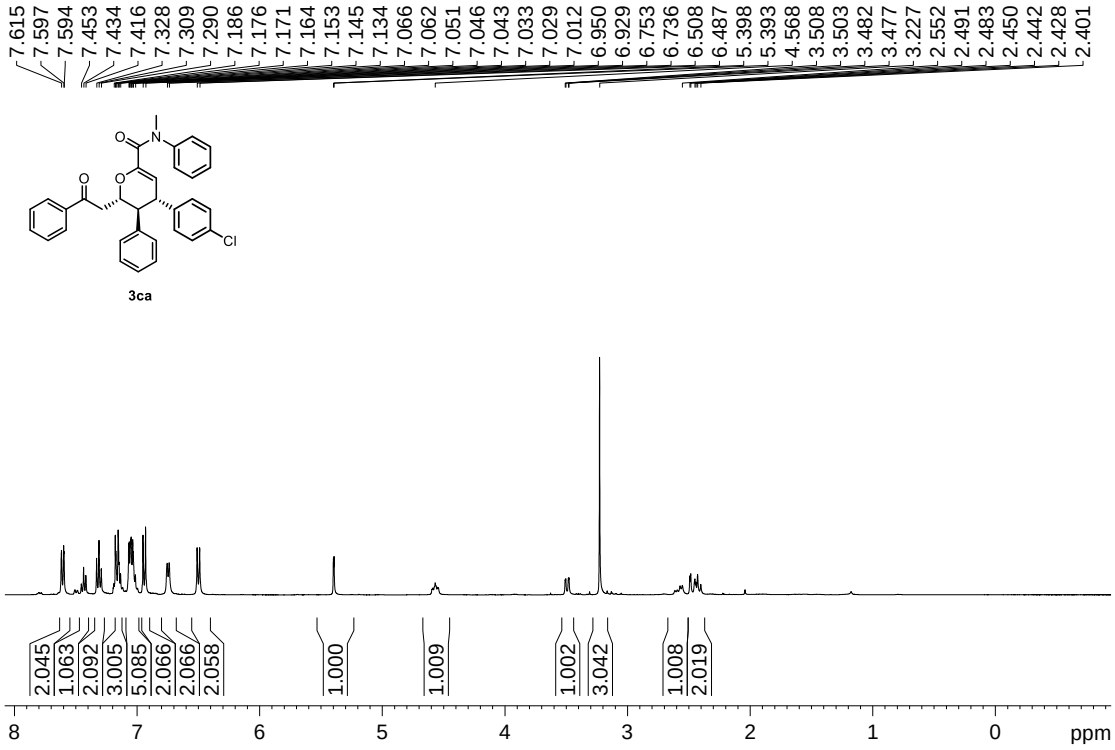
^{13}C NMR spectrum of compound **3ba** ($CDCl_3$, 100 MHz)



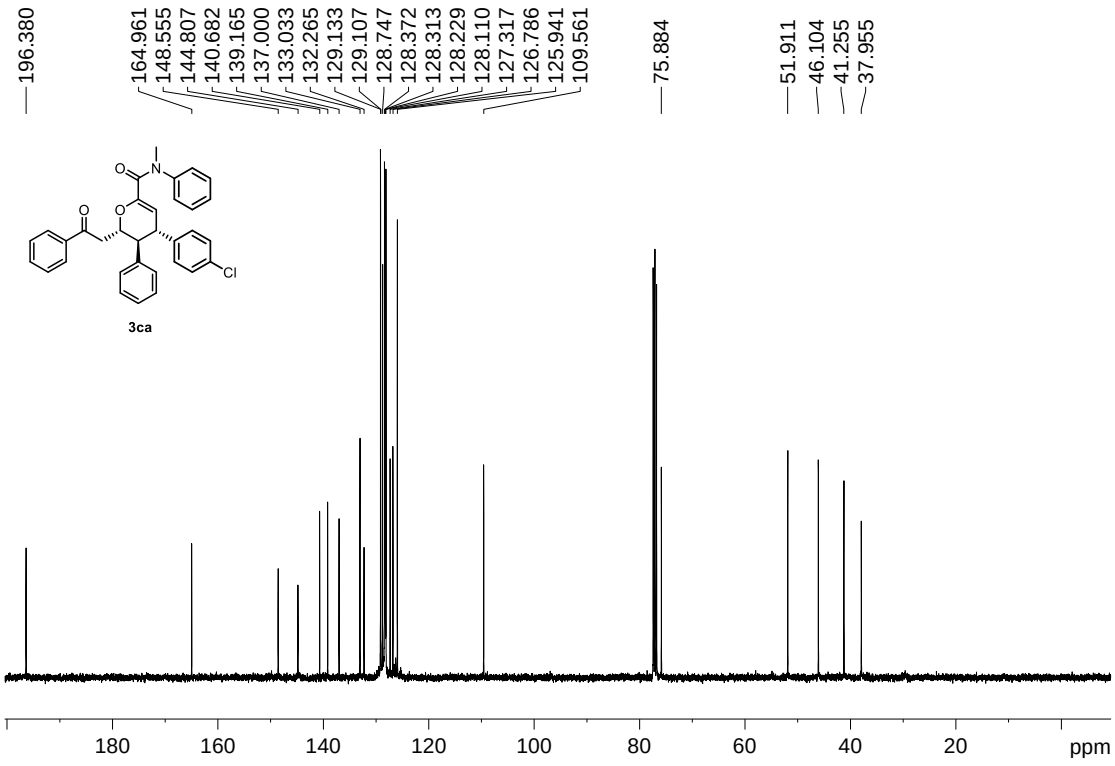
^{19}F NMR spectrum of compound **3ba** ($CDCl_3$, 376 MHz)



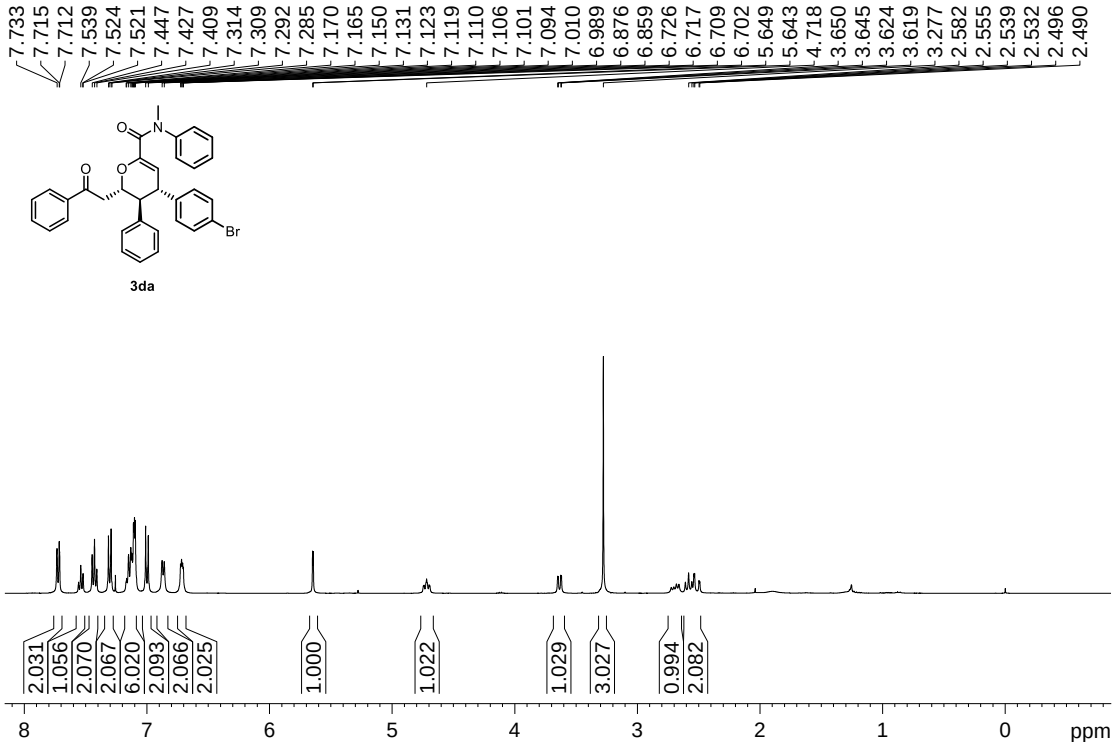
^{1}H NMR spectrum of compound **3ca** ($CDCl_3$, 400 MHz)



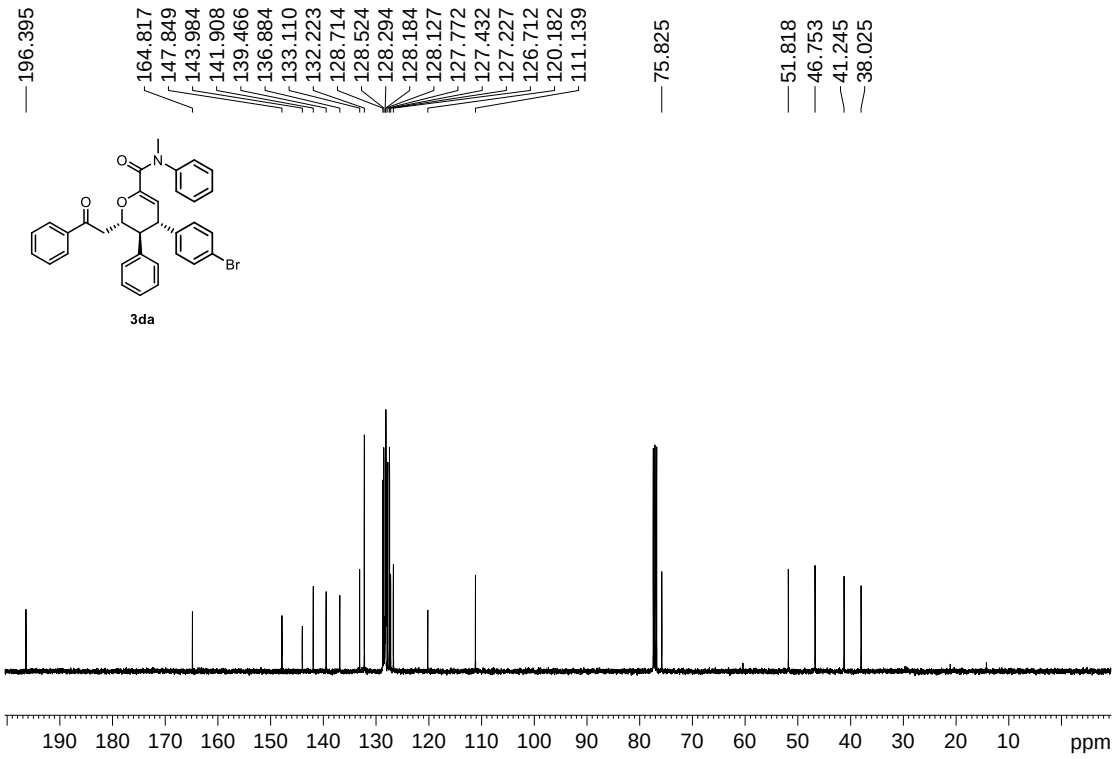
^{13}C NMR spectrum of compound **3ca** ($CDCl_3$, 100 MHz)



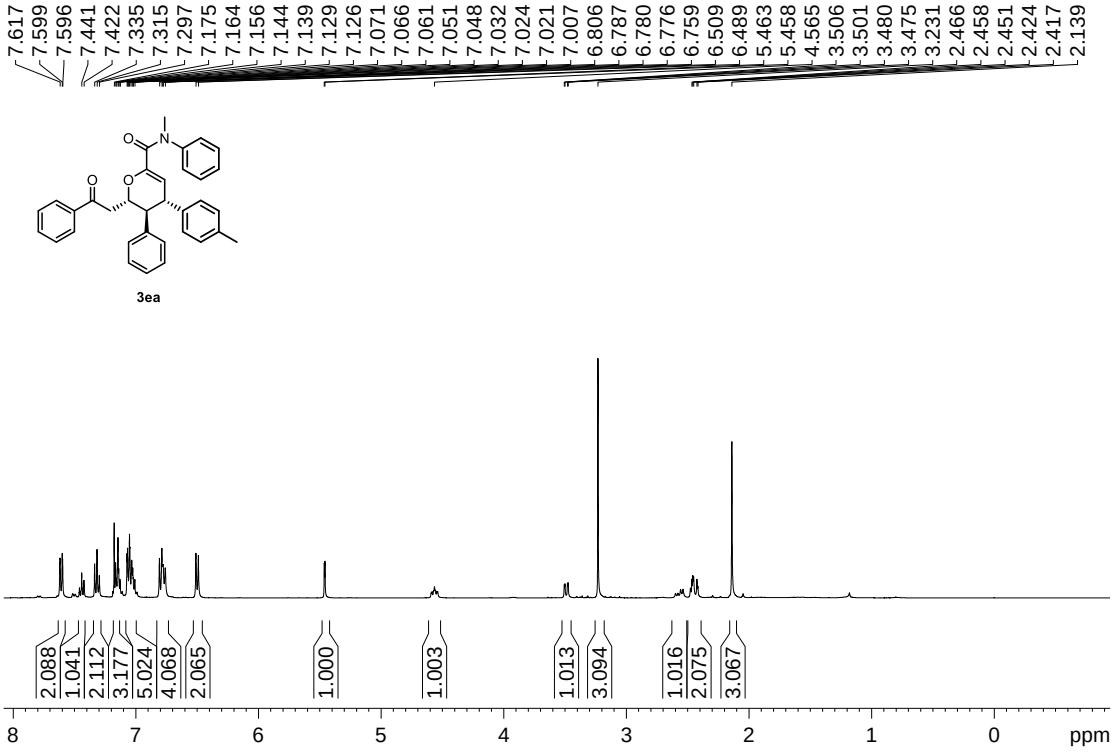
^{1}H NMR spectrum of compound **3da** ($CDCl_3$, 400 MHz)



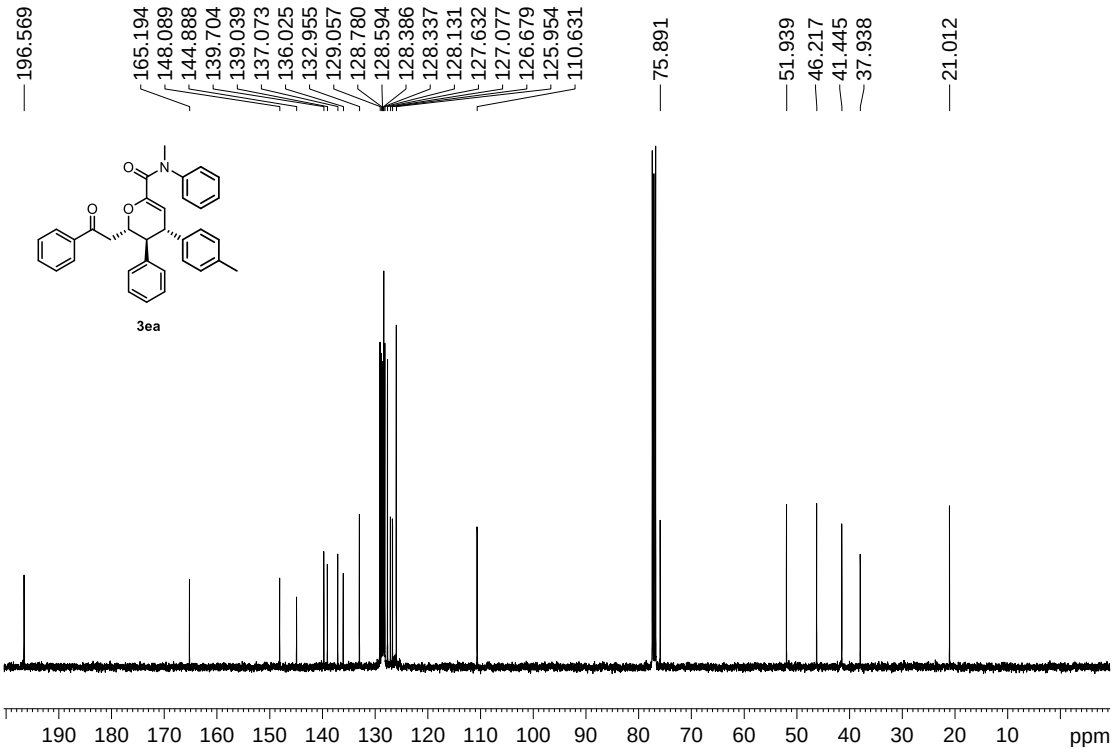
^{13}C NMR spectrum of compound **3da** ($CDCl_3$, 100 MHz)



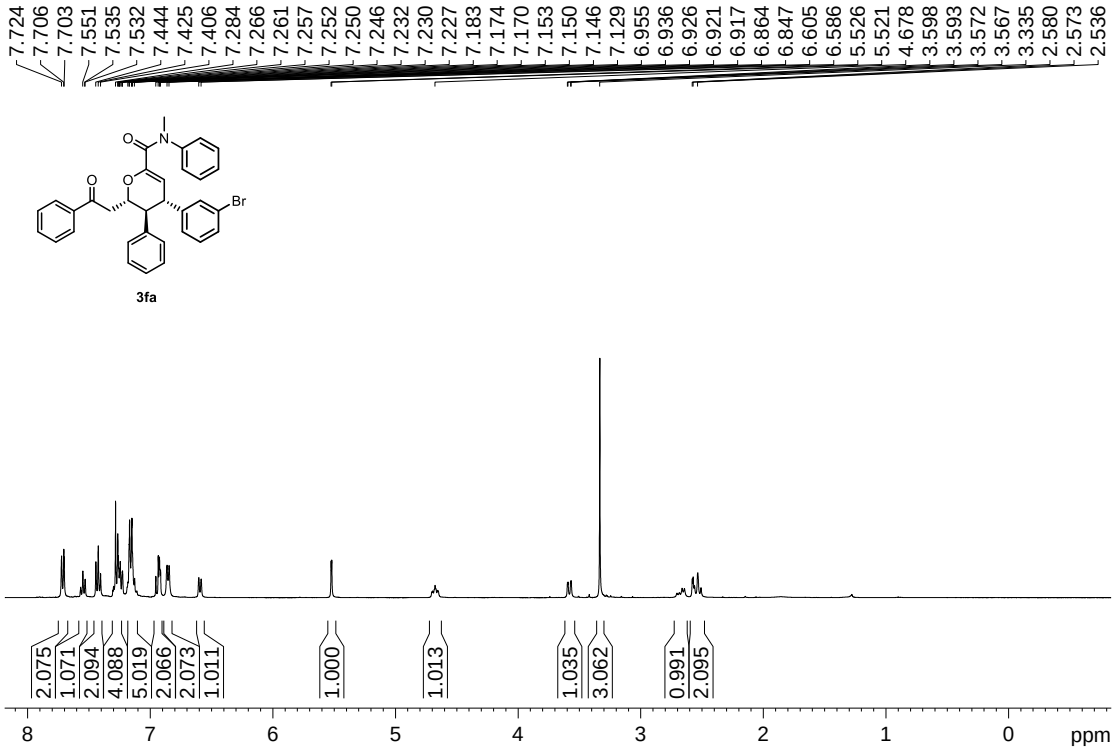
^{1}H NMR spectrum of compound **3ea** ($CDCl_3$, 400 MHz)



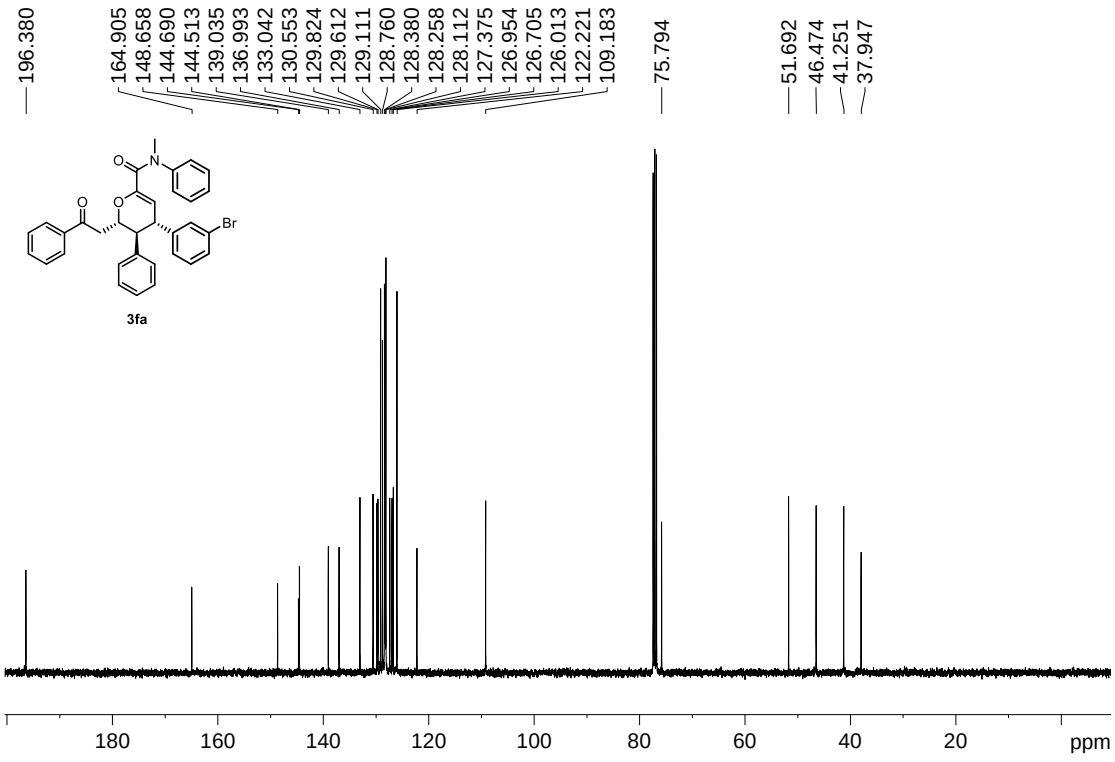
^{13}C NMR spectrum of compound **3ea** ($CDCl_3$, 100 MHz)



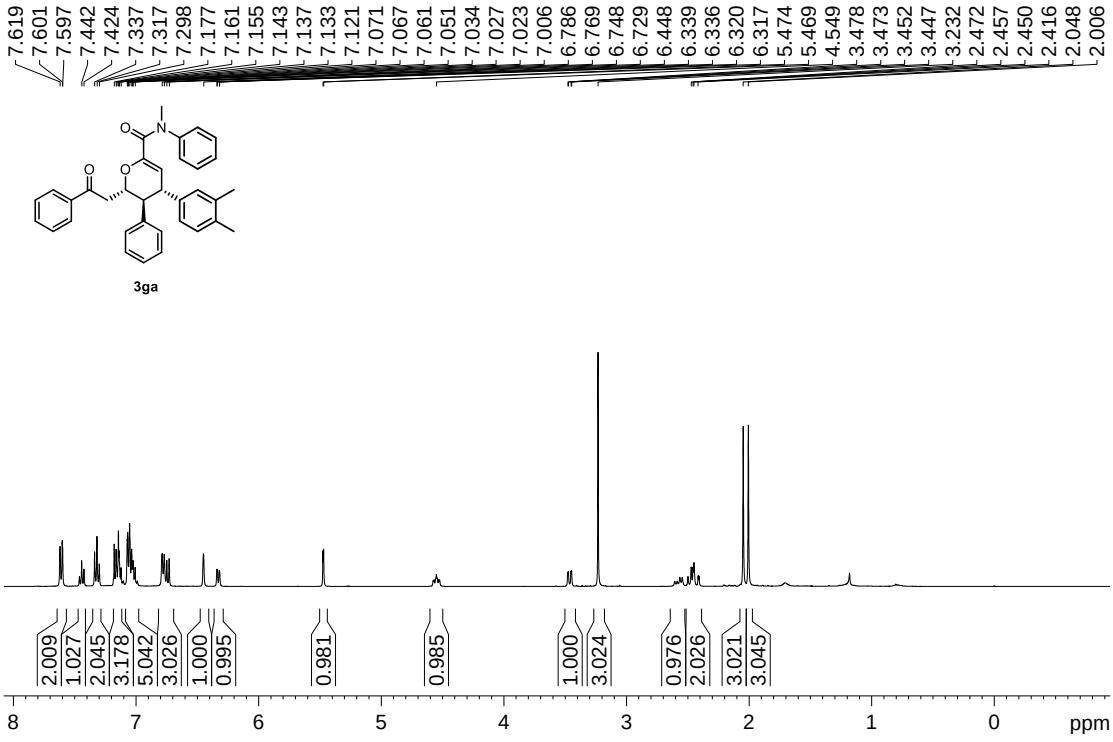
^{1}H NMR spectrum of compound **3fa** ($CDCl_3$, 400 MHz)



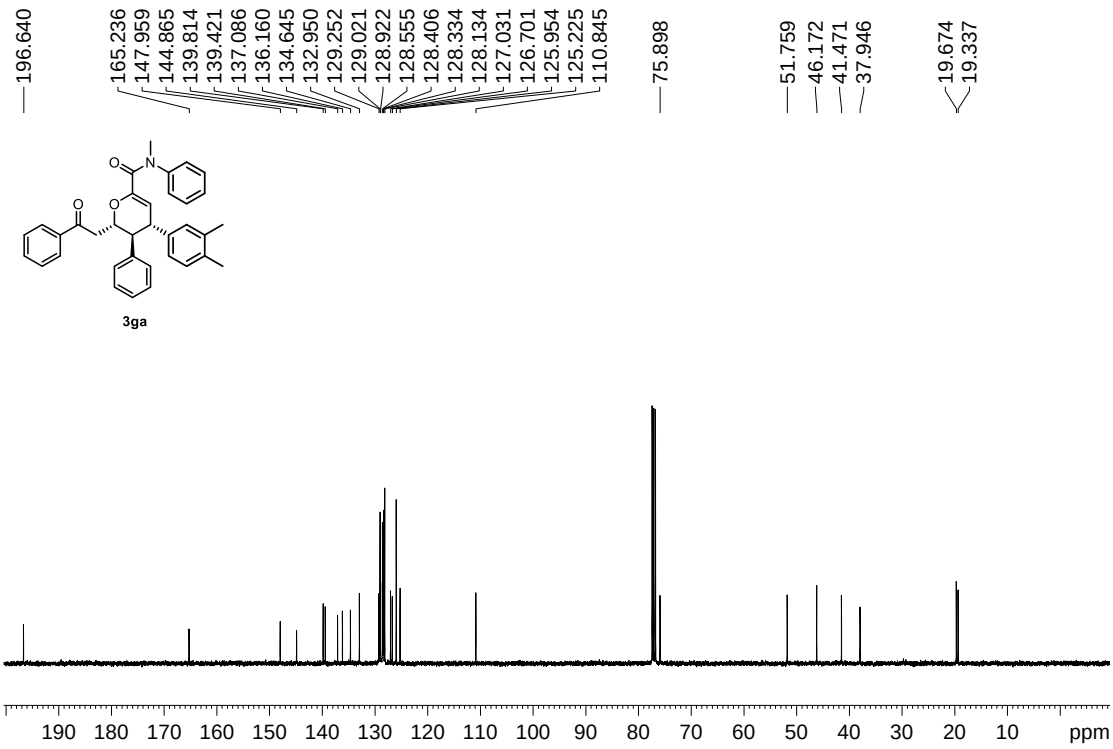
^{13}C NMR spectrum of compound **3fa** ($CDCl_3$, 100 MHz)



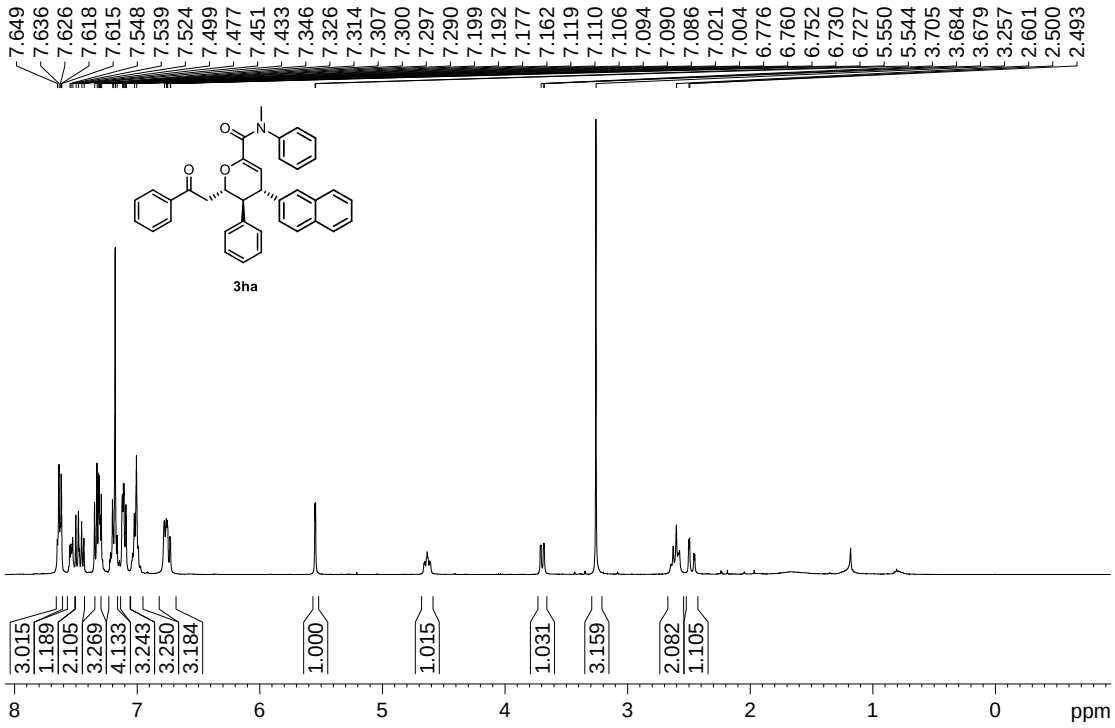
^{1}H NMR spectrum of compound **3ga** ($CDCl_3$, 400 MHz)



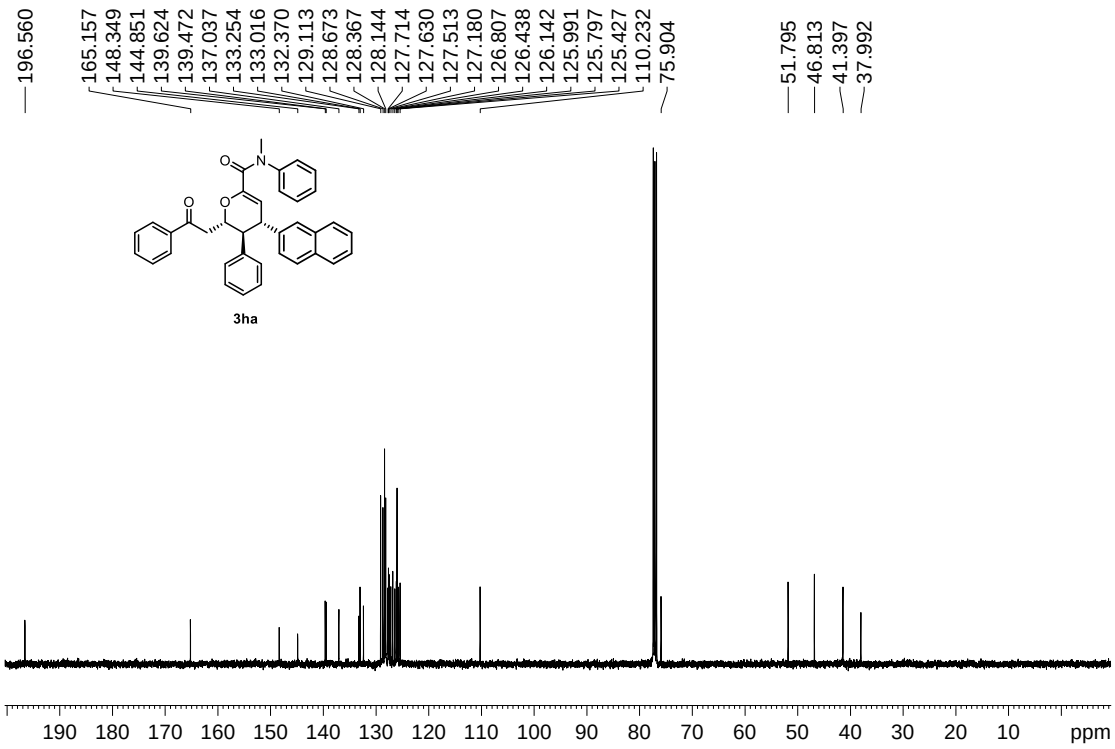
^{13}C NMR spectrum of compound **3ga** ($CDCl_3$, 100 MHz)



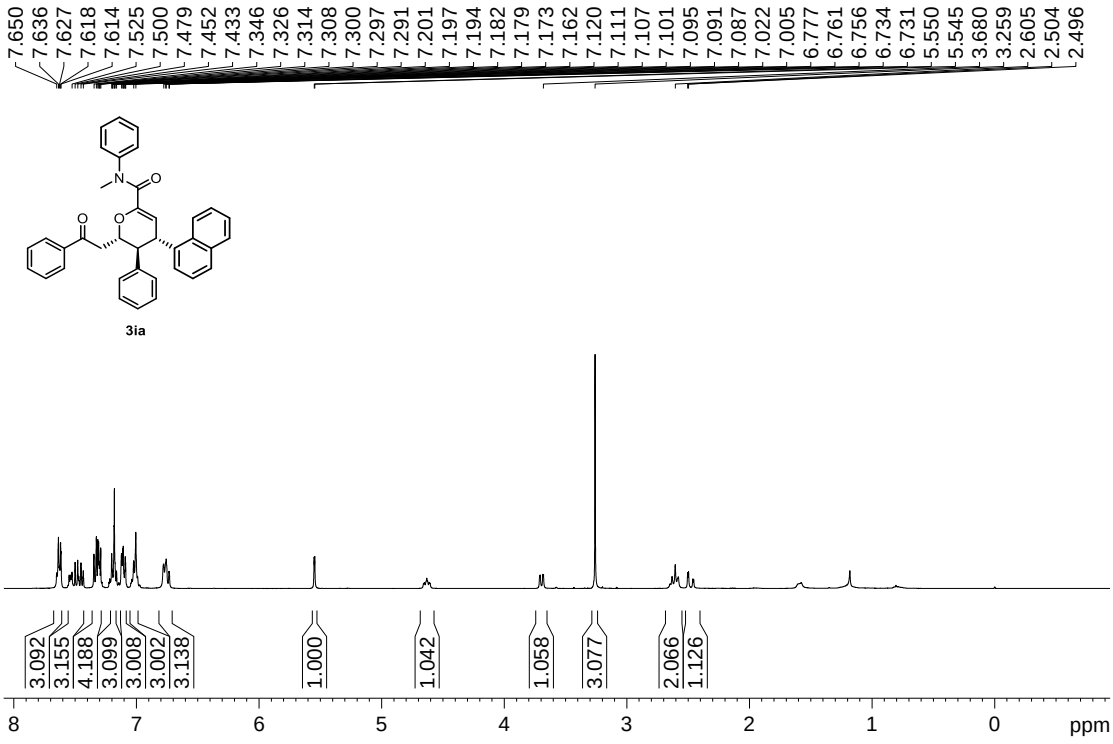
^{1}H NMR spectrum of compound **3ha** ($CDCl_3$, 400 MHz)



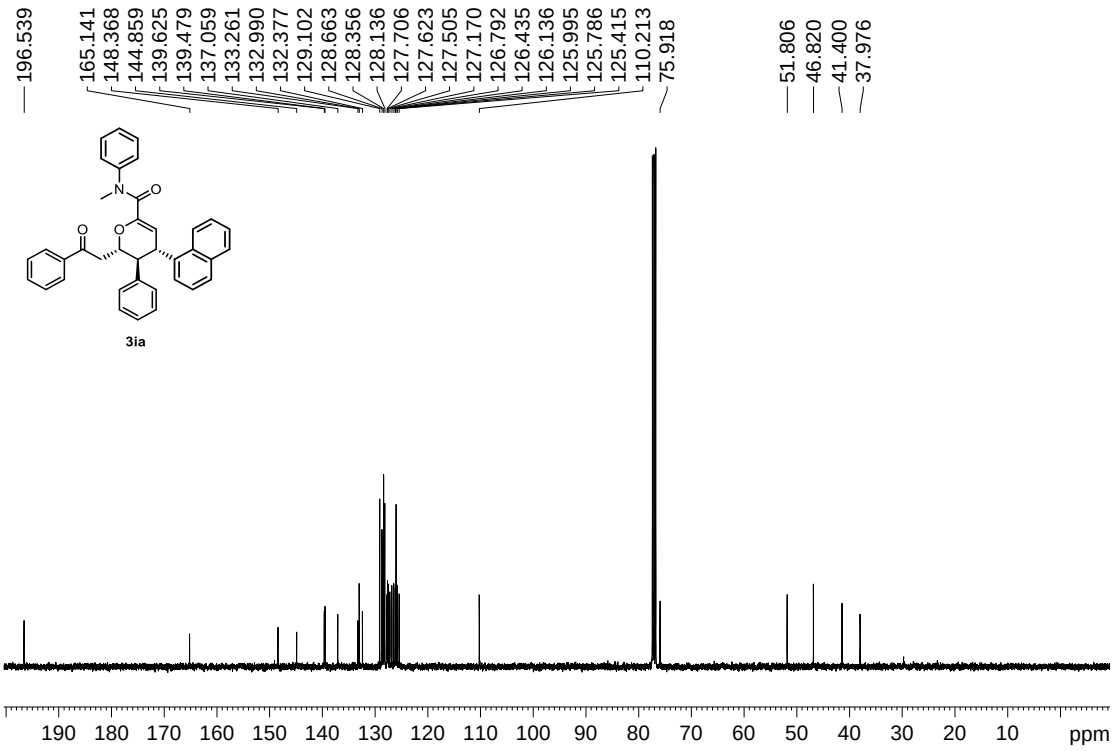
^{13}C NMR spectrum of compound **3ha** ($CDCl_3$, 100 MHz)



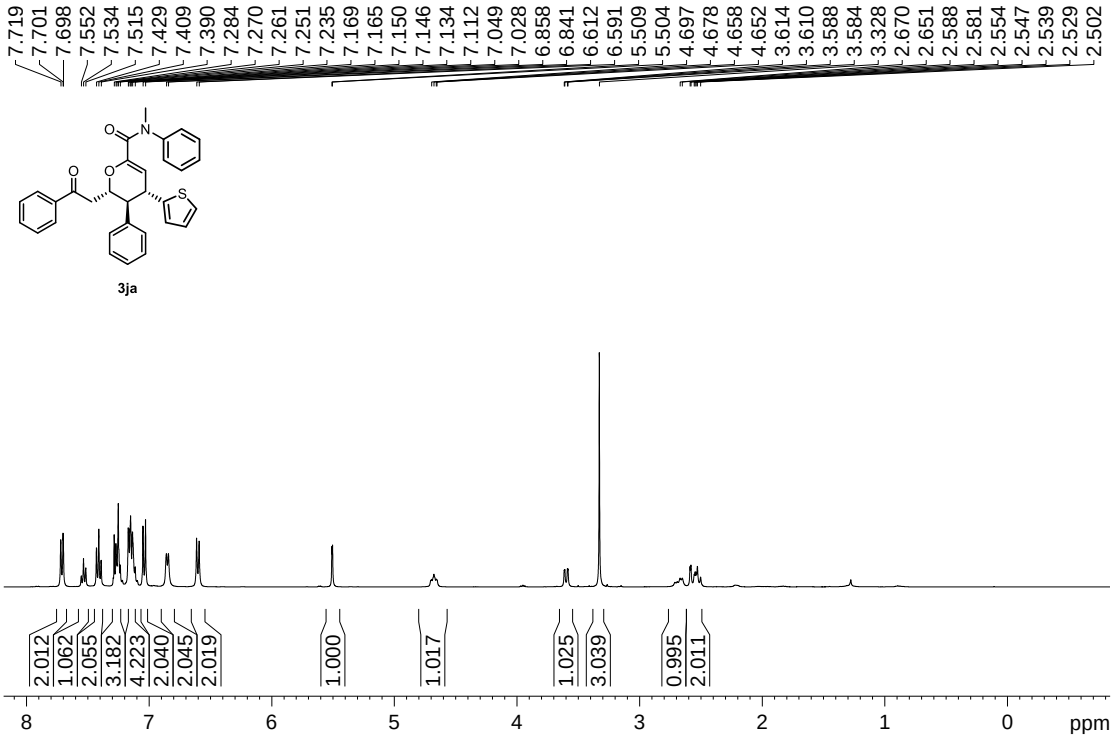
^{1}H NMR spectrum of compound **3ia** ($CDCl_3$, 400 MHz)



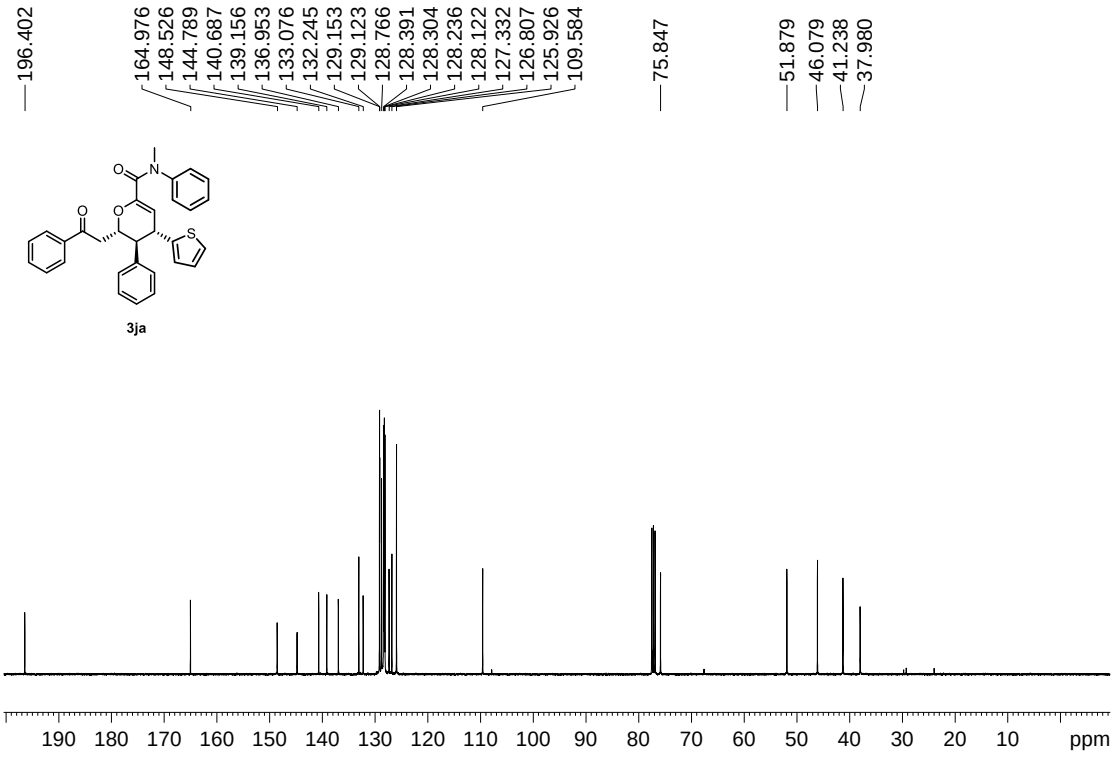
^{13}C NMR spectrum of compound **3ia** ($CDCl_3$, 100 MHz)



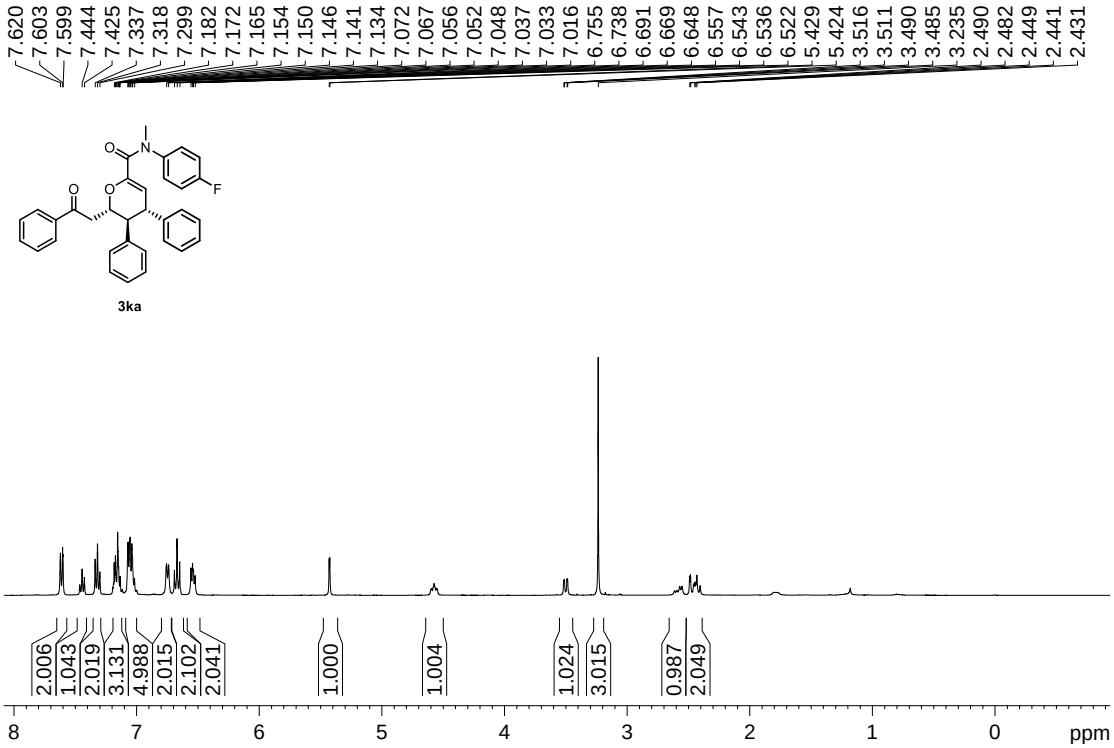
^{1}H NMR spectrum of compound **3ja** ($CDCl_3$, 400 MHz)



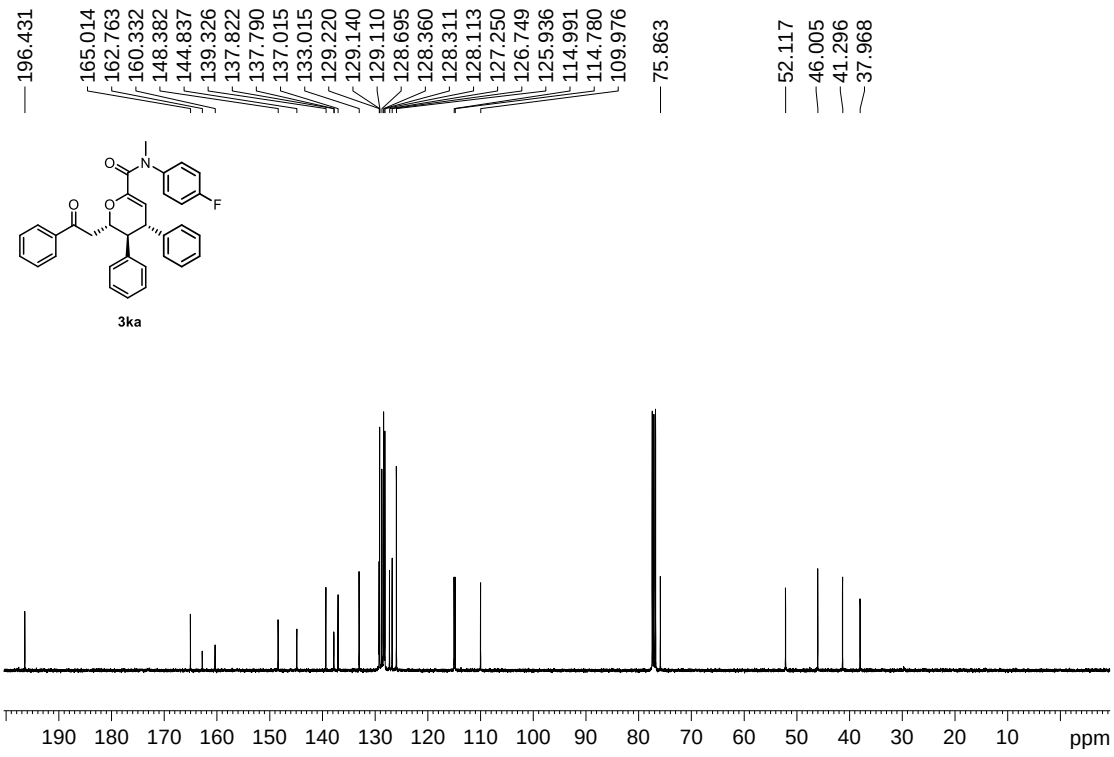
^{13}C NMR spectrum of compound **3ja** ($CDCl_3$, 100 MHz)



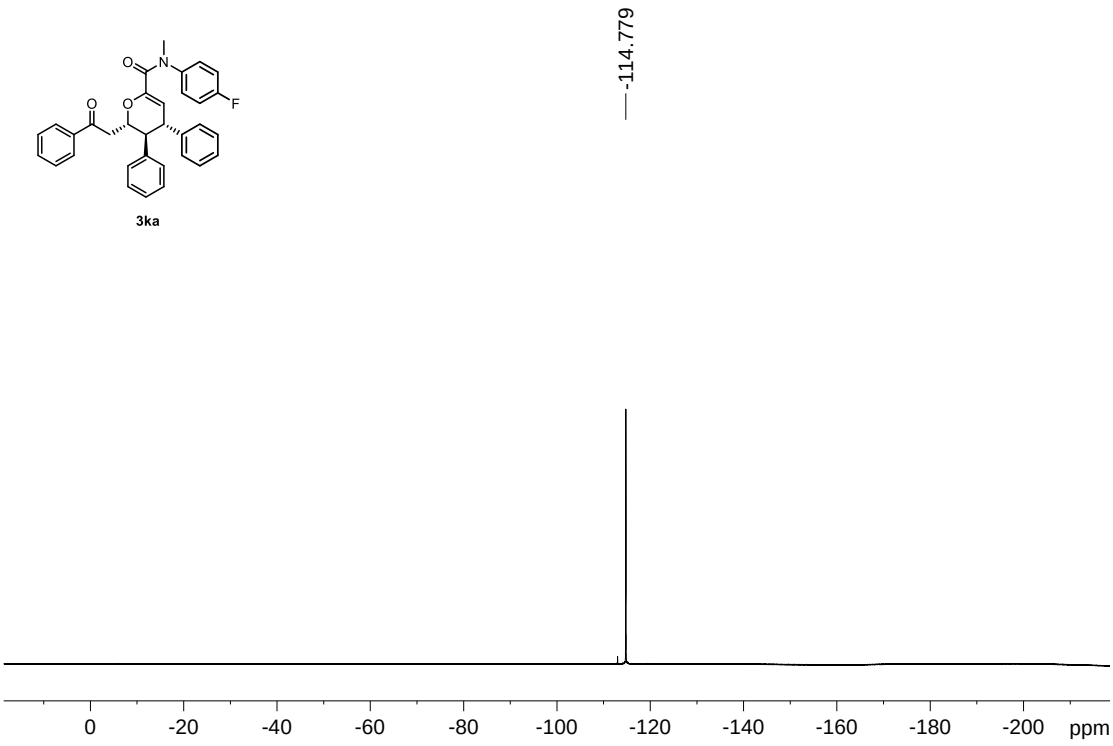
^{1}H NMR spectrum of compound **3ka** ($CDCl_3$, 400 MHz)



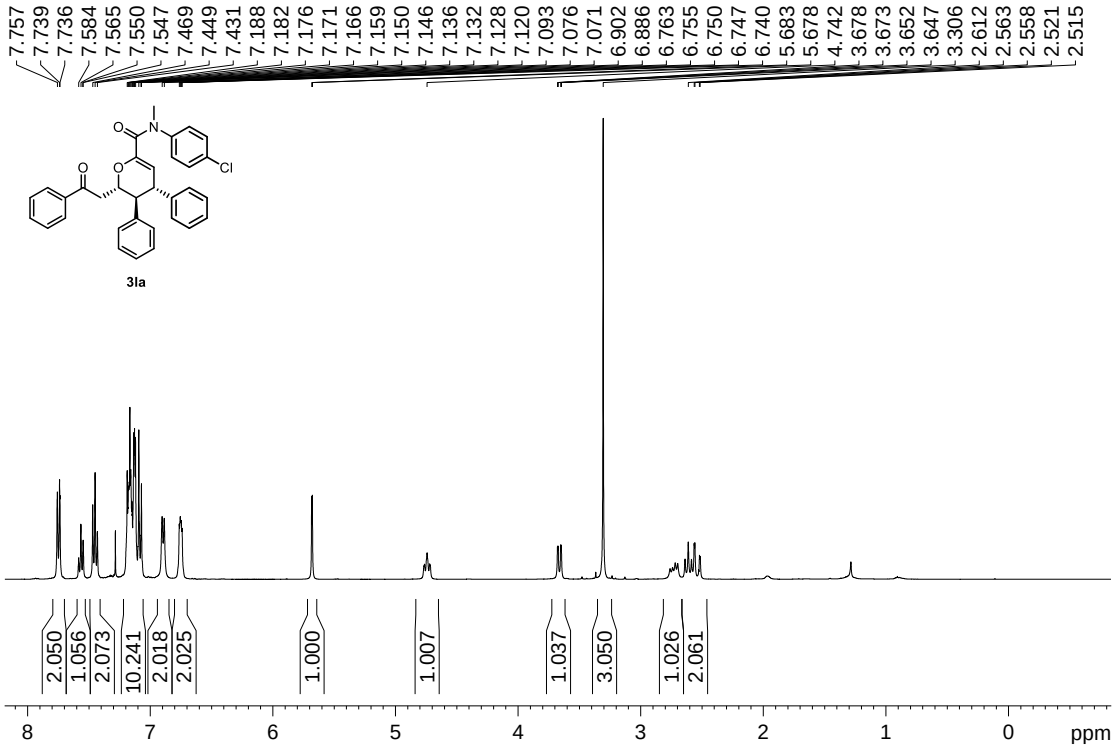
^{13}C NMR spectrum of compound **3ka** ($CDCl_3$, 100 MHz)



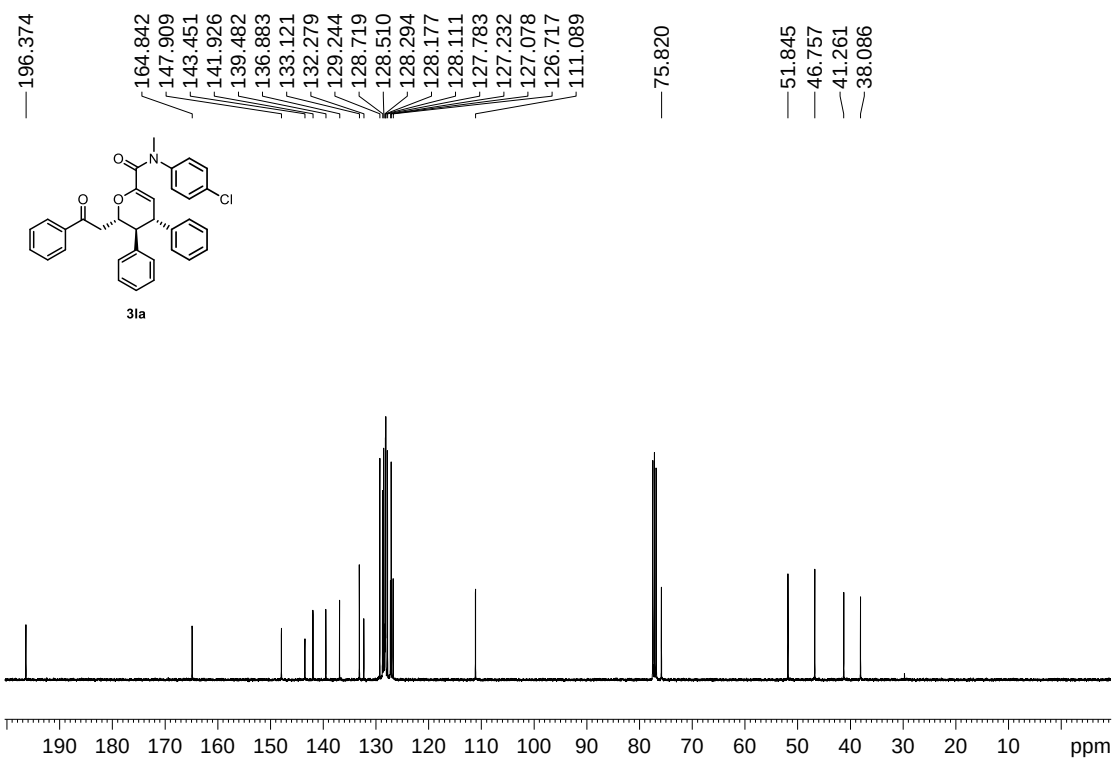
^{19}F NMR spectrum of compound **3ka** ($CDCl_3$, 376 MHz)



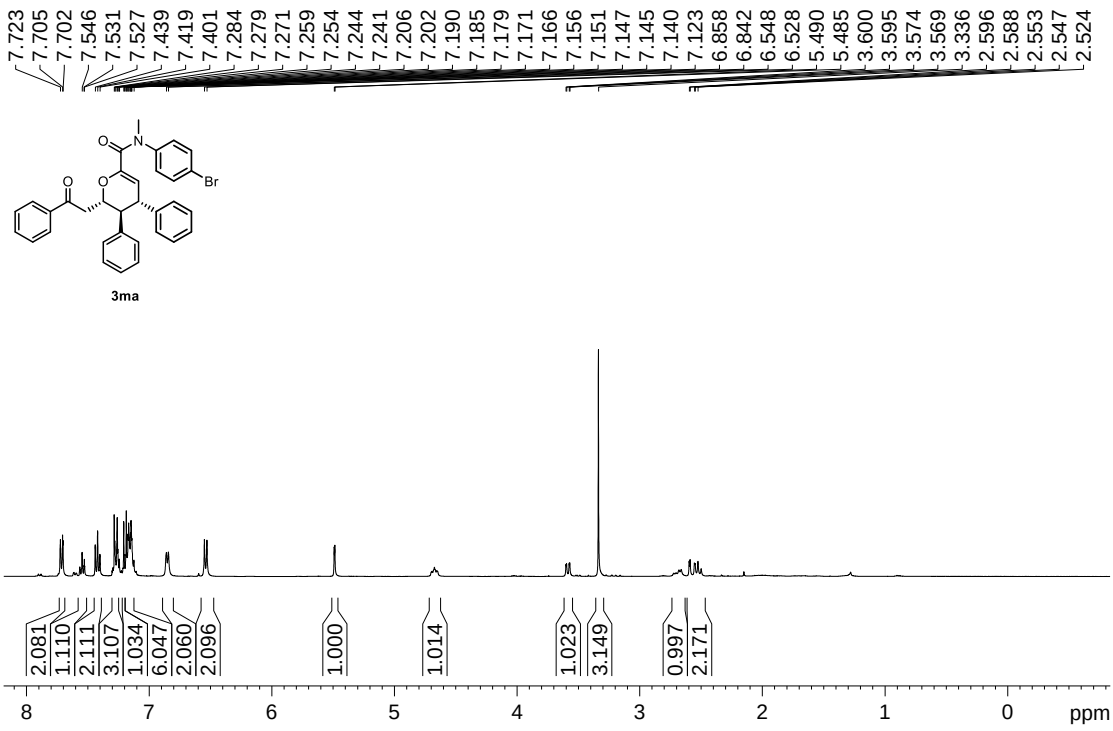
^{1}H NMR spectrum of compound **3la** ($CDCl_3$, 400 MHz)



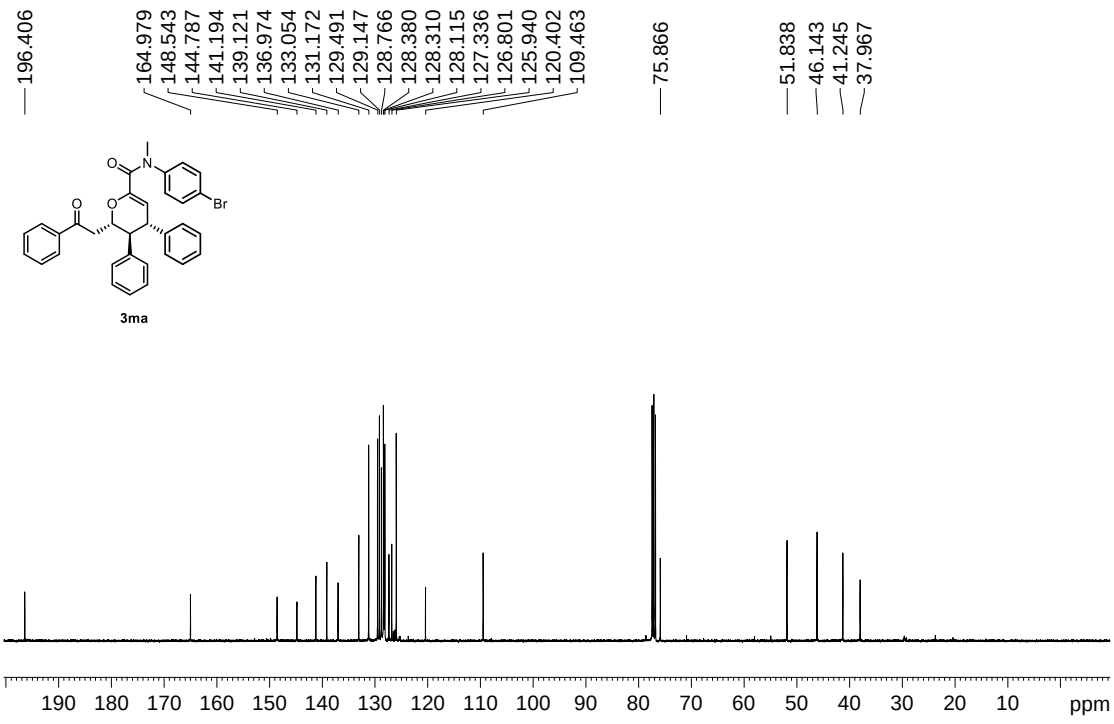
^{13}C NMR spectrum of compound **3la** ($CDCl_3$, 100 MHz)



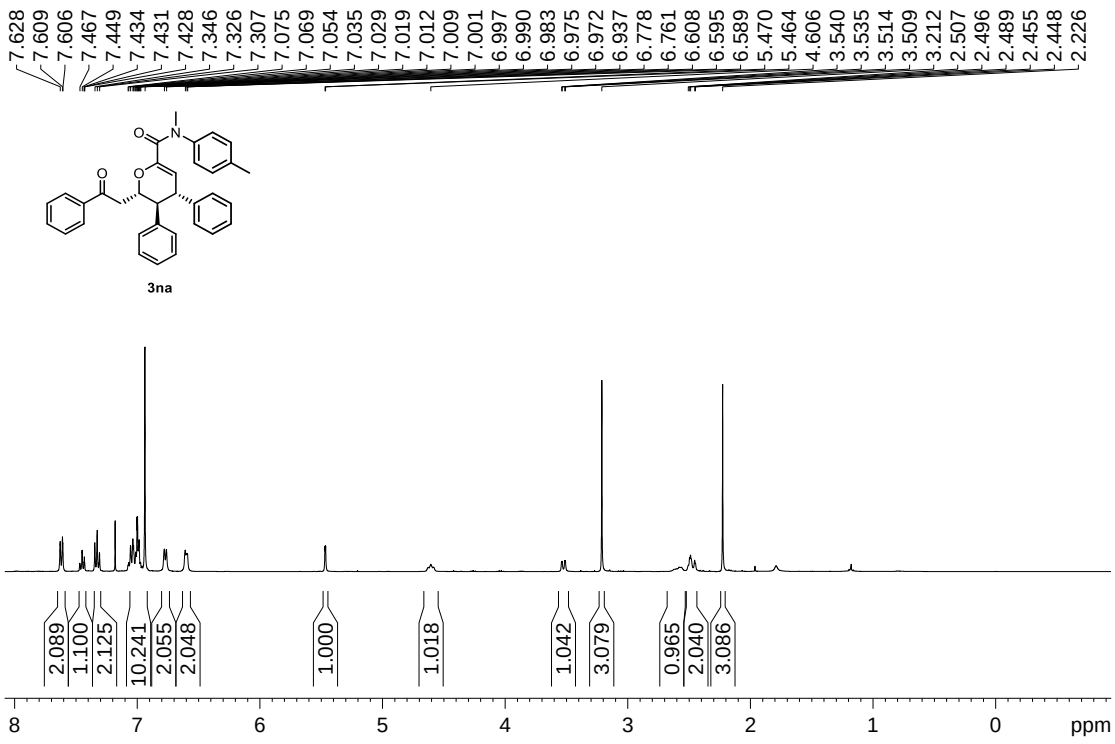
^{1}H NMR spectrum of compound **3ma** ($CDCl_3$, 400 MHz)



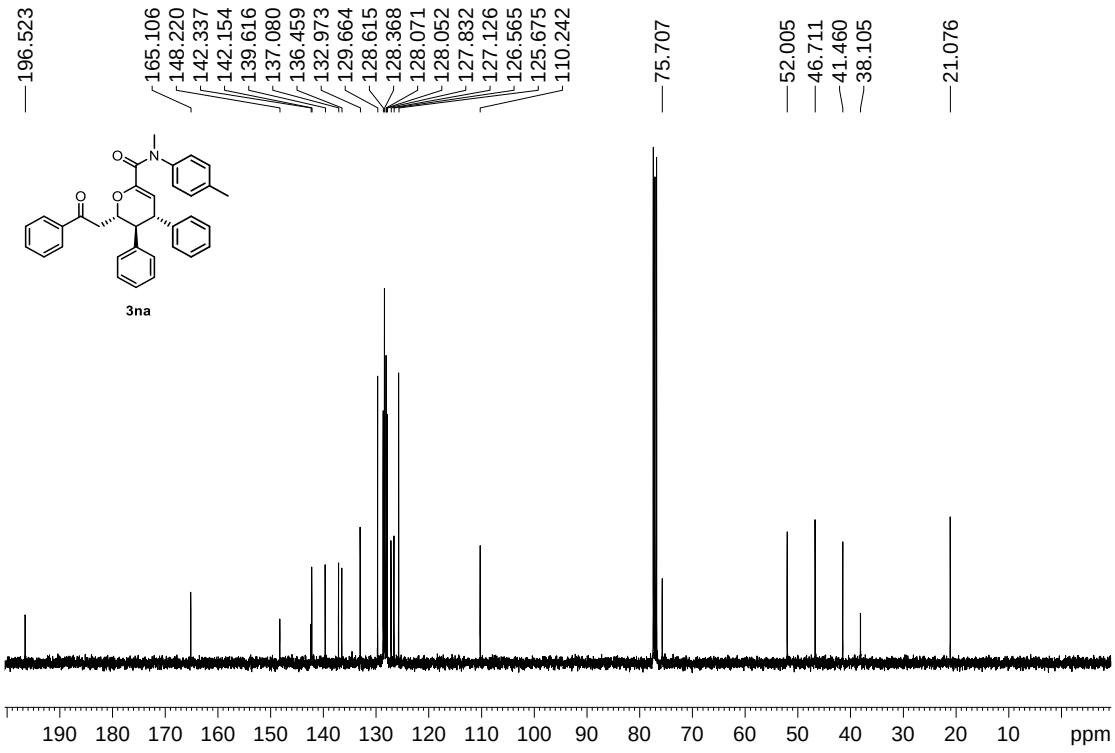
^{13}C NMR spectrum of compound **3ma** ($CDCl_3$, 100 MHz)



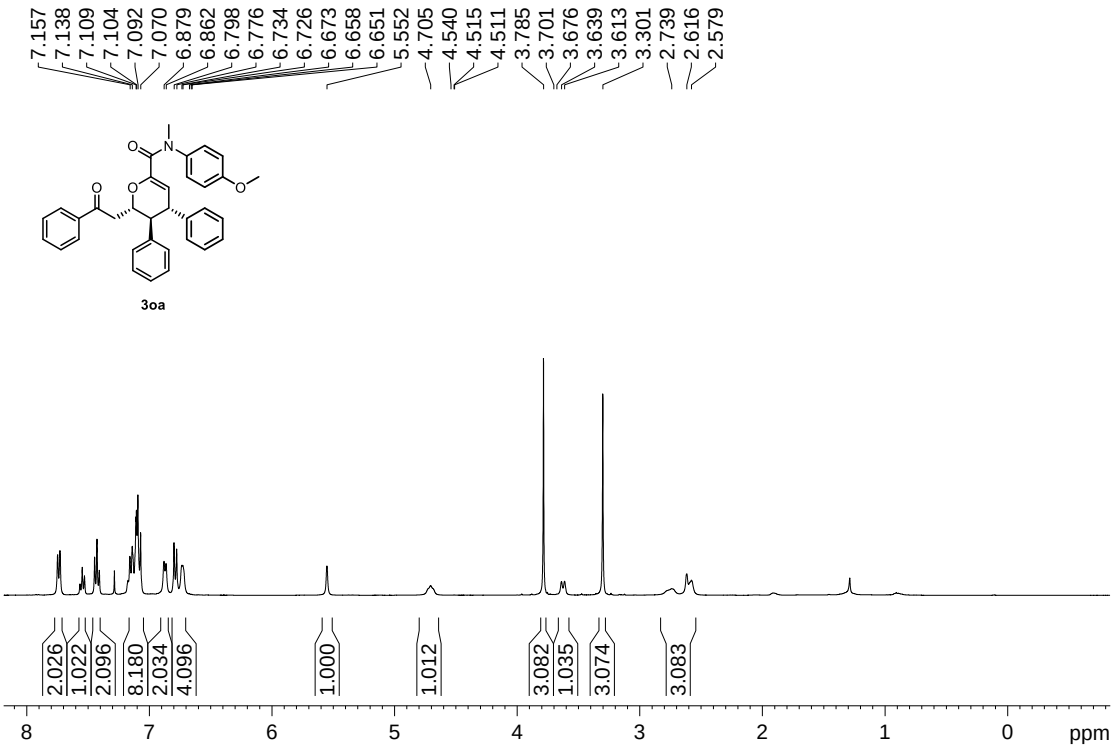
^{1}H NMR spectrum of compound **3na** ($CDCl_3$, 400 MHz)



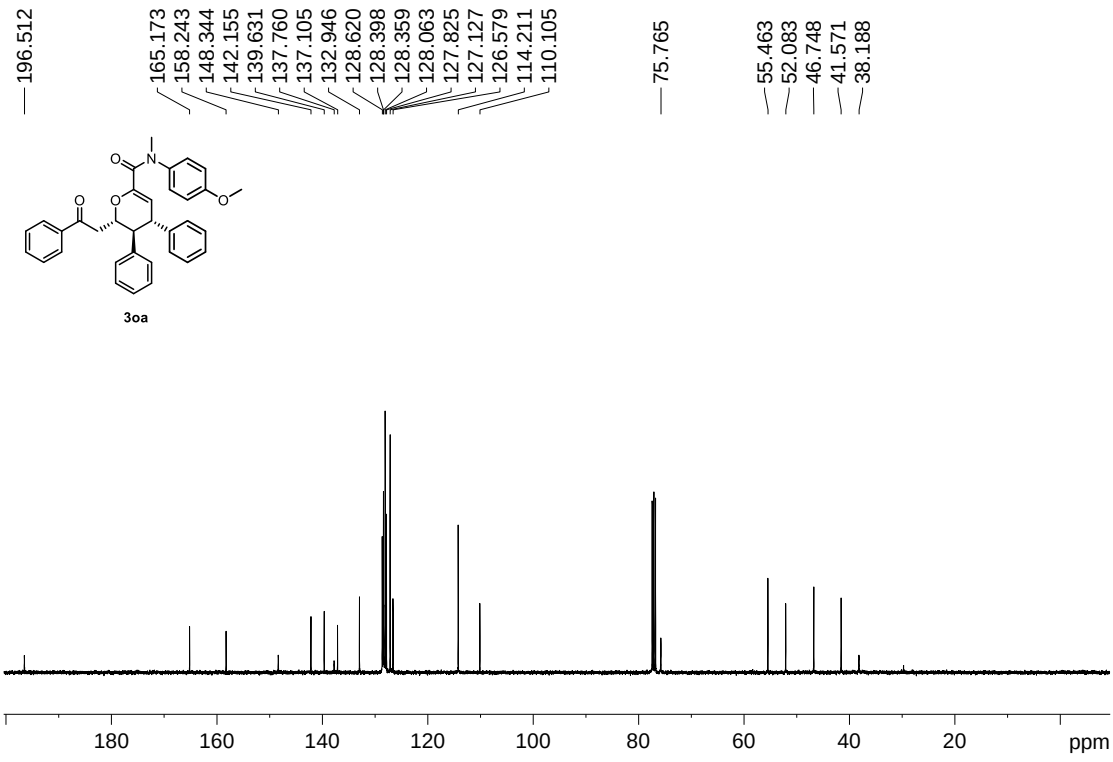
^{13}C NMR spectrum of compound **3na** ($CDCl_3$, 100 MHz)



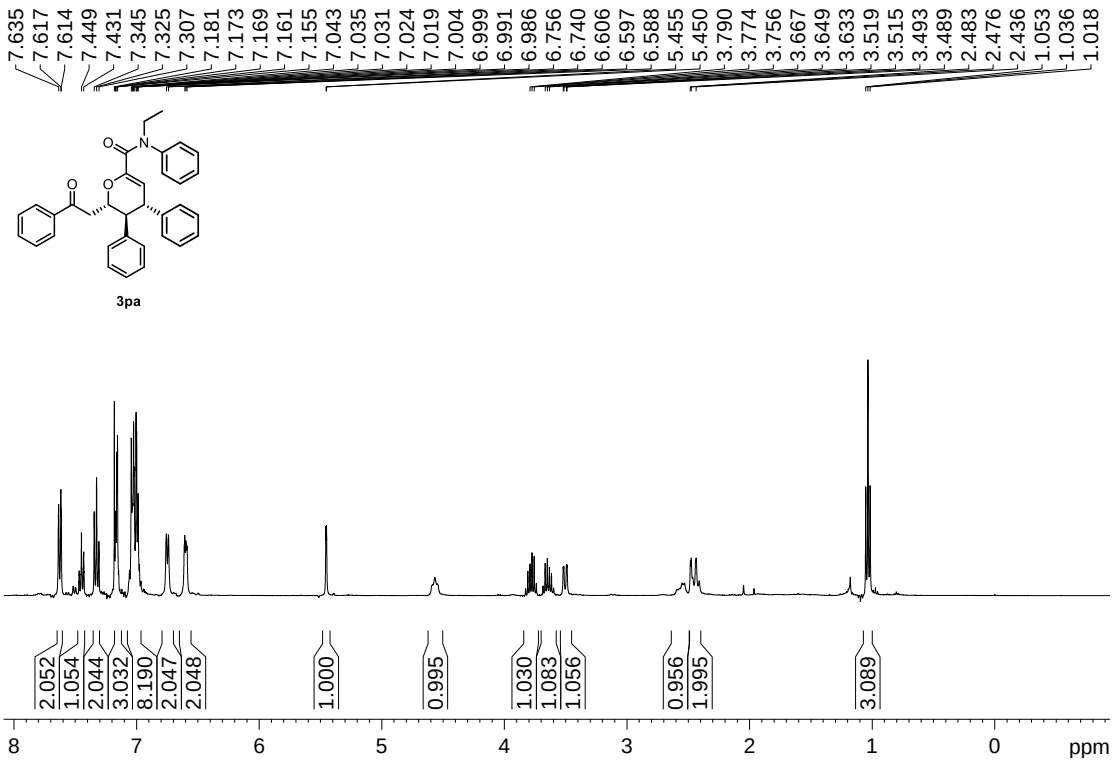
^{1}H NMR spectrum of compound **3oa** ($CDCl_3$, 400 MHz)



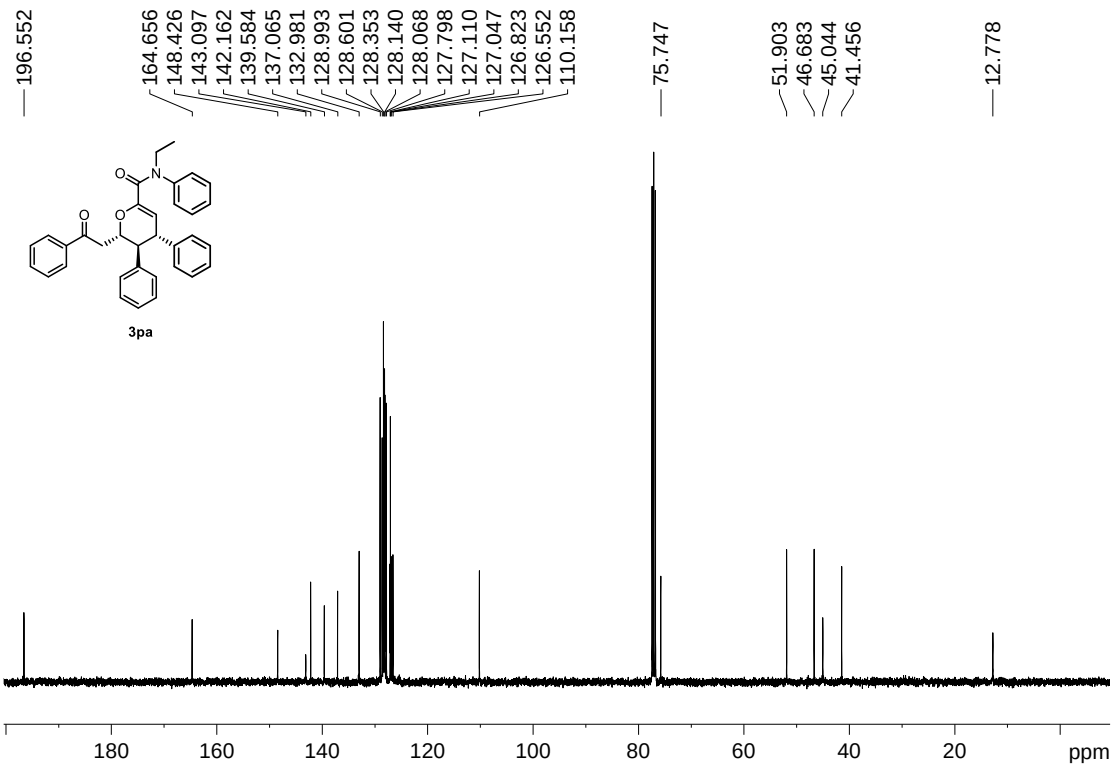
^{13}C NMR spectrum of compound **3oa** ($CDCl_3$, 100 MHz)



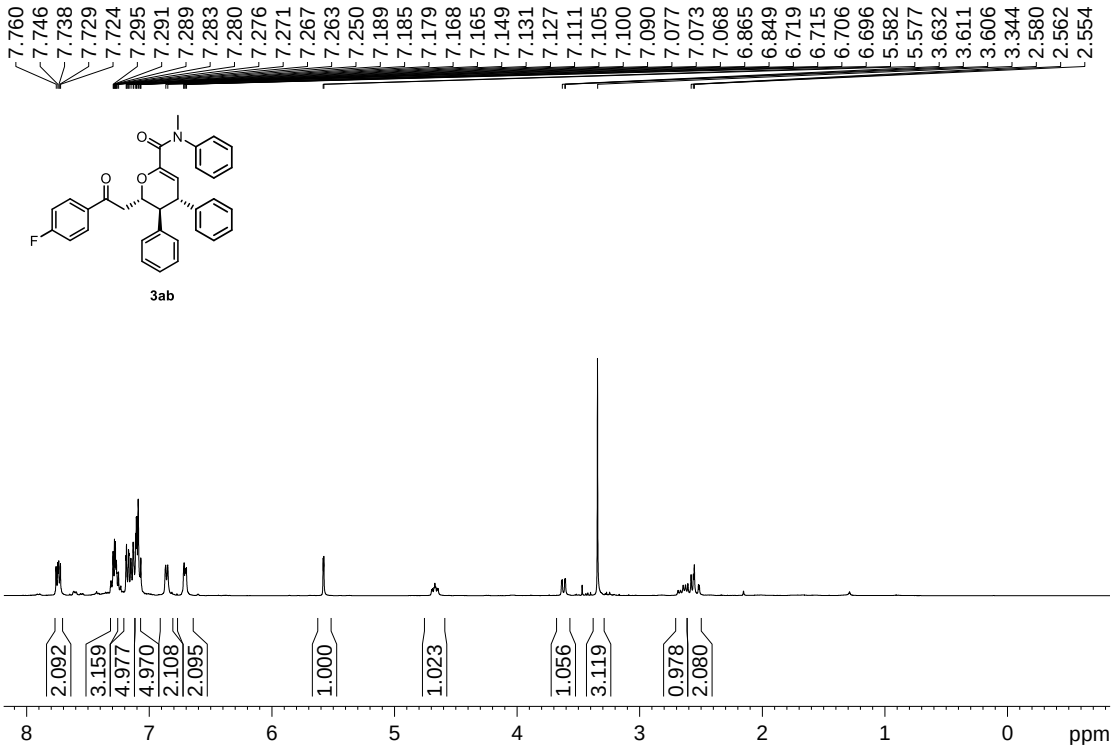
^{1}H NMR spectrum of compound **3pa** ($CDCl_3$, 400 MHz)



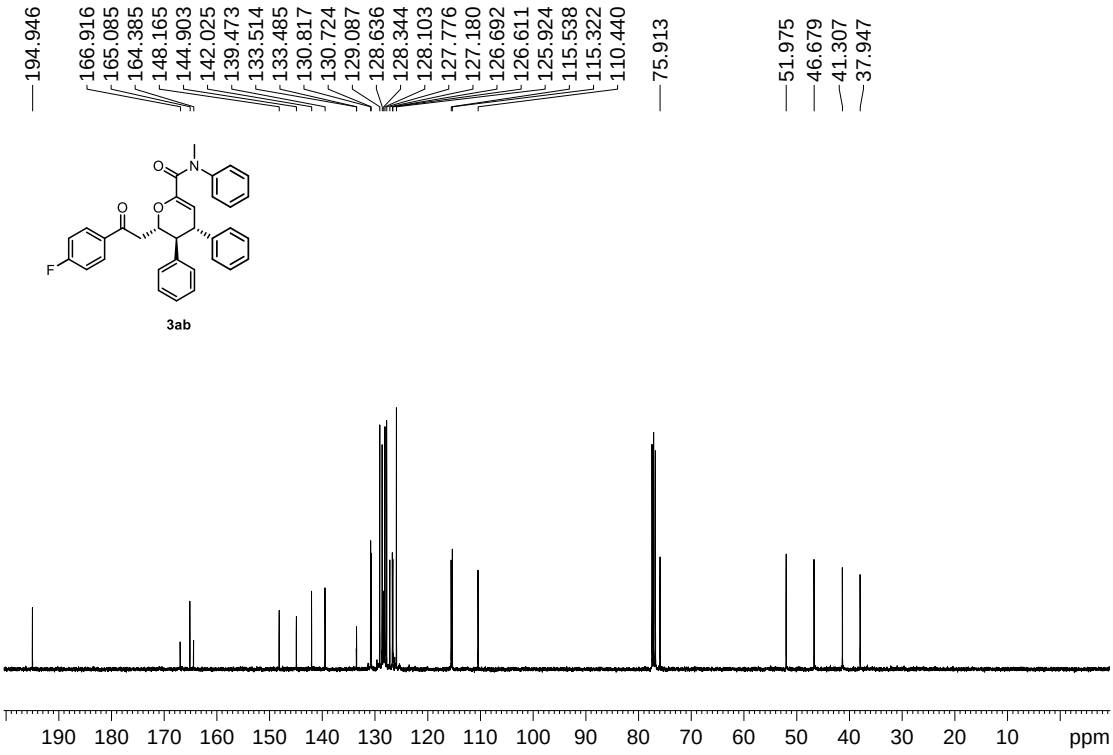
^{13}C NMR spectrum of compound **3pa** ($CDCl_3$, 100 MHz)



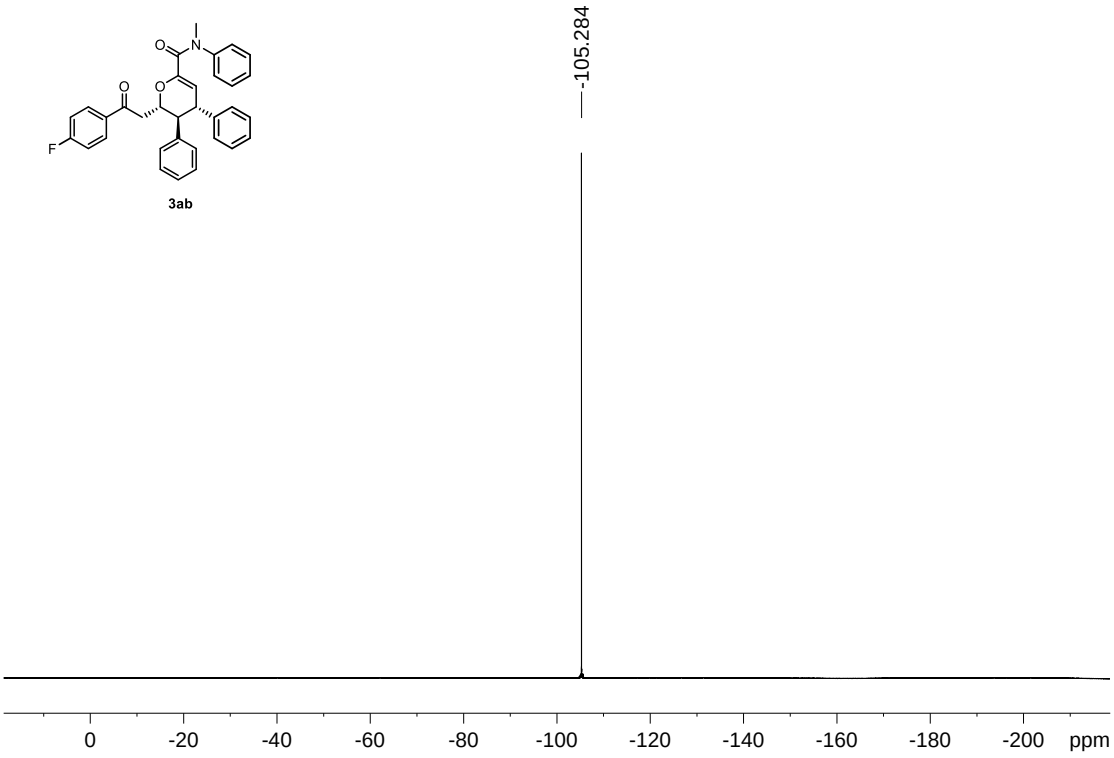
^{1}H NMR spectrum of compound **3ab** ($CDCl_3$, 400 MHz)



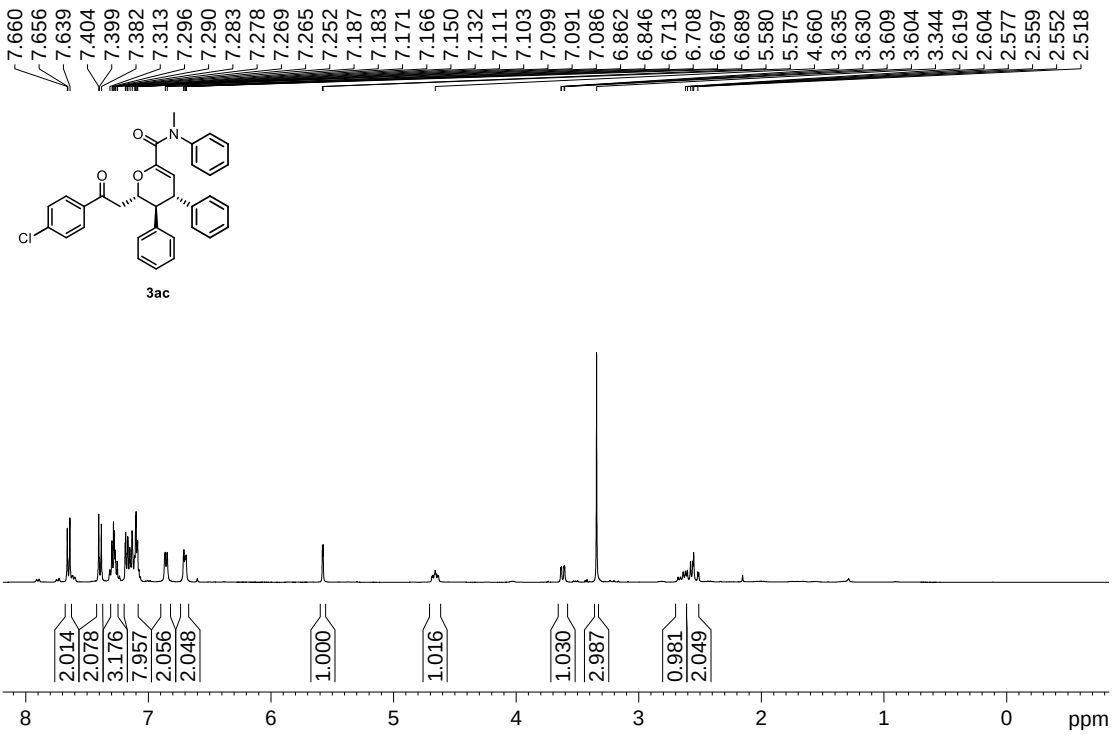
^{13}C NMR spectrum of compound **3ab** ($CDCl_3$, 100 MHz)



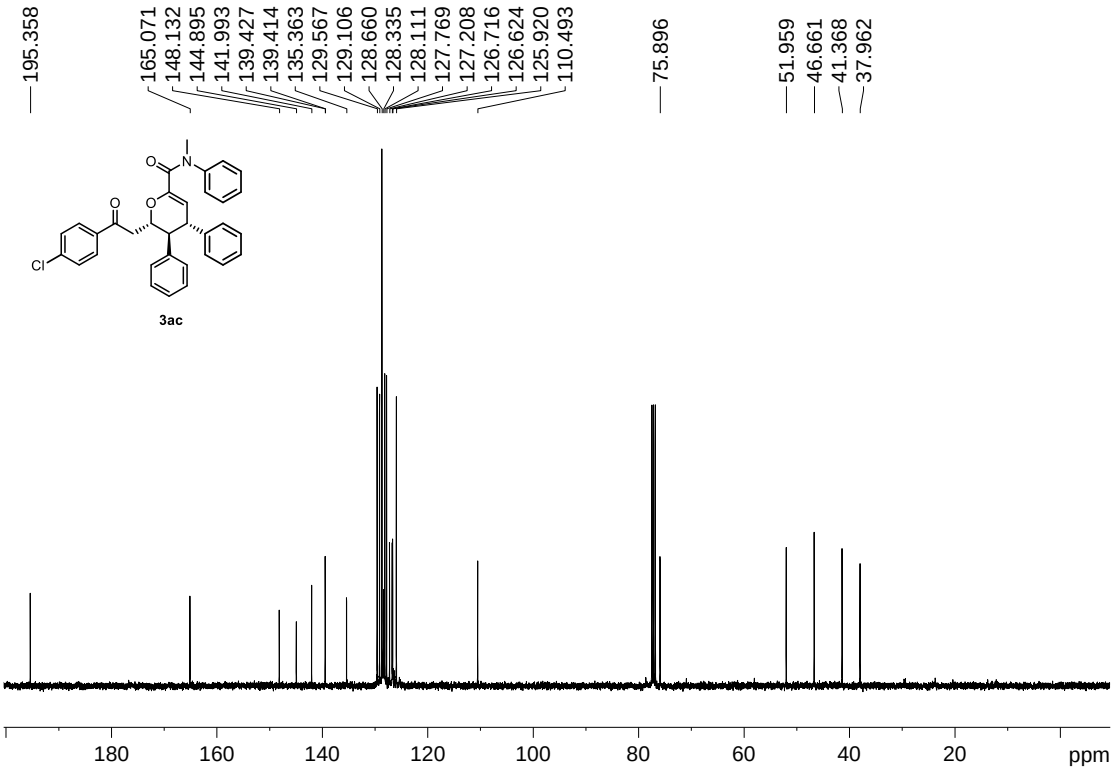
^{19}F NMR spectrum of compound **3ab** ($CDCl_3$, 376 MHz)



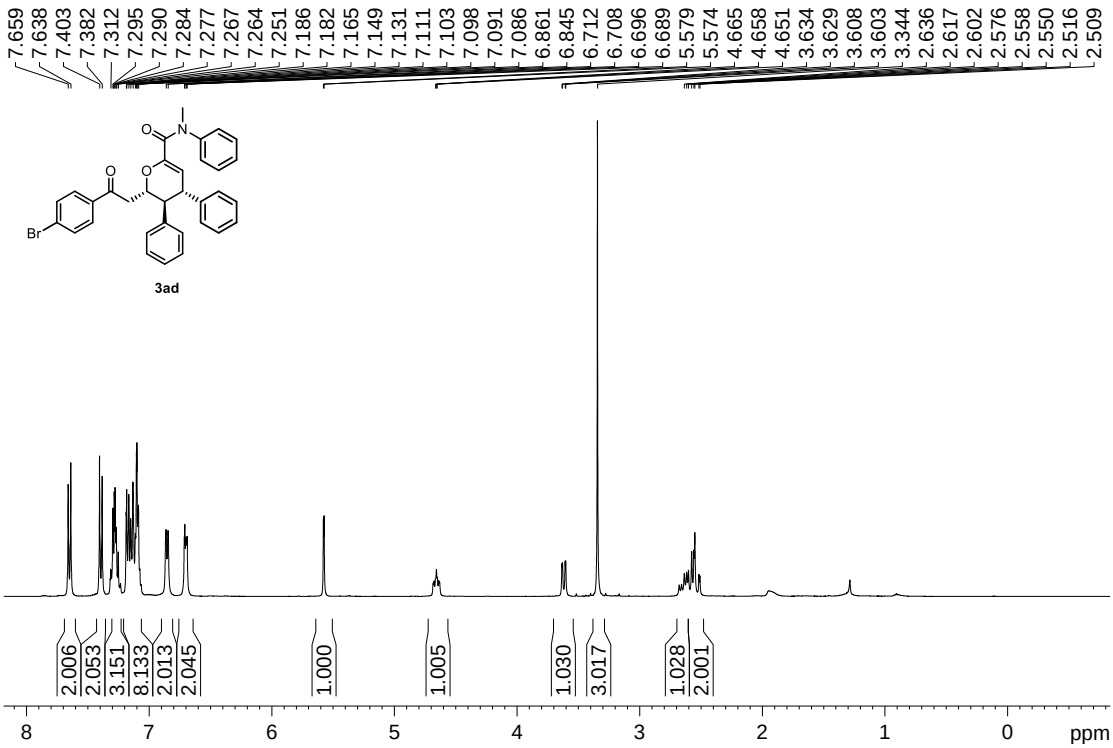
^{1}H NMR spectrum of compound **3ac** ($CDCl_3$, 400 MHz)



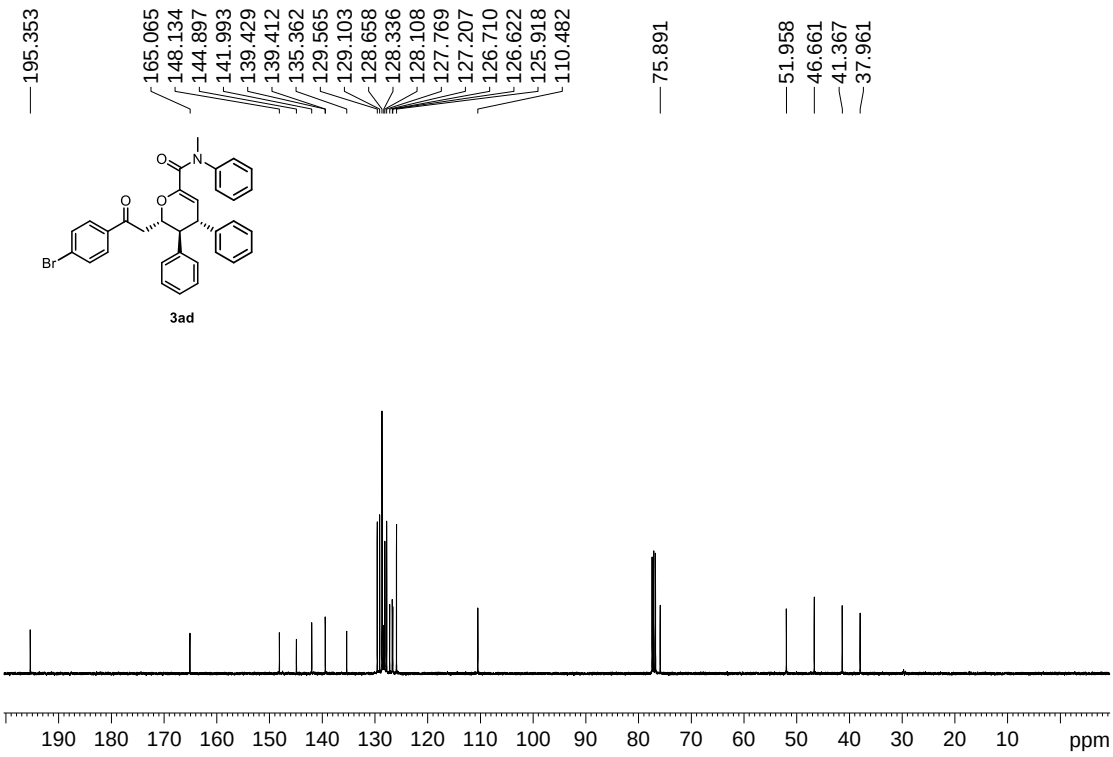
^{13}C NMR spectrum of compound **3ac** ($CDCl_3$, 100 MHz)



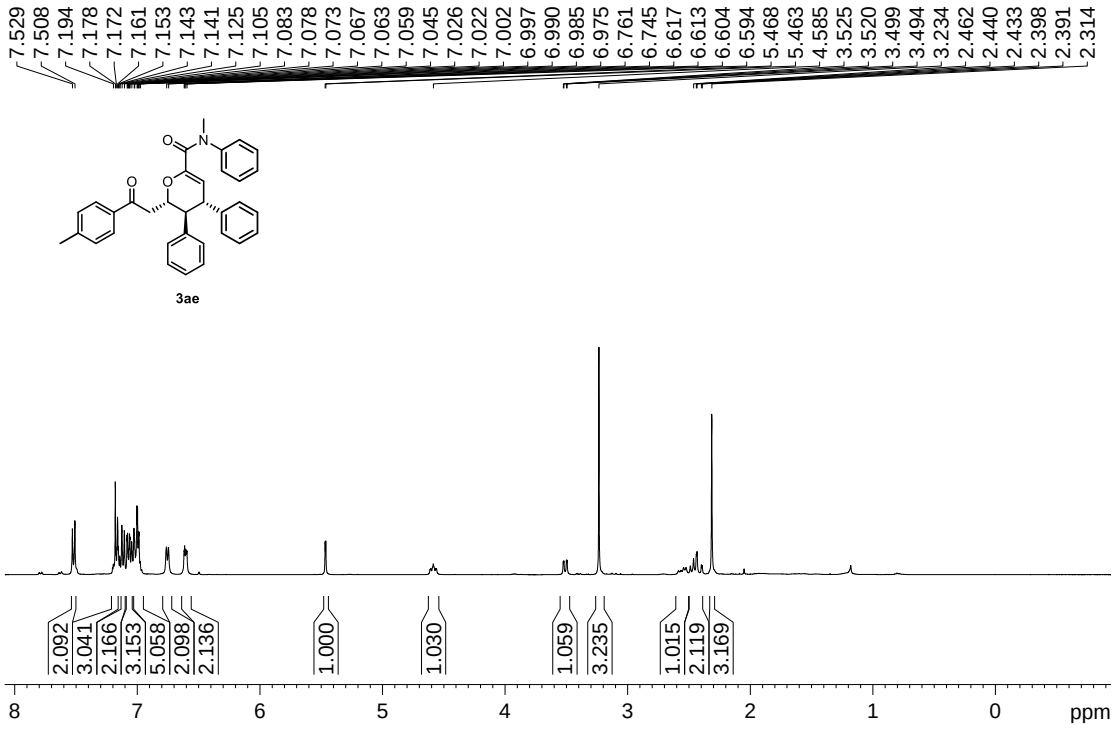
^{1}H NMR spectrum of compound **3ad** ($CDCl_3$, 400 MHz)



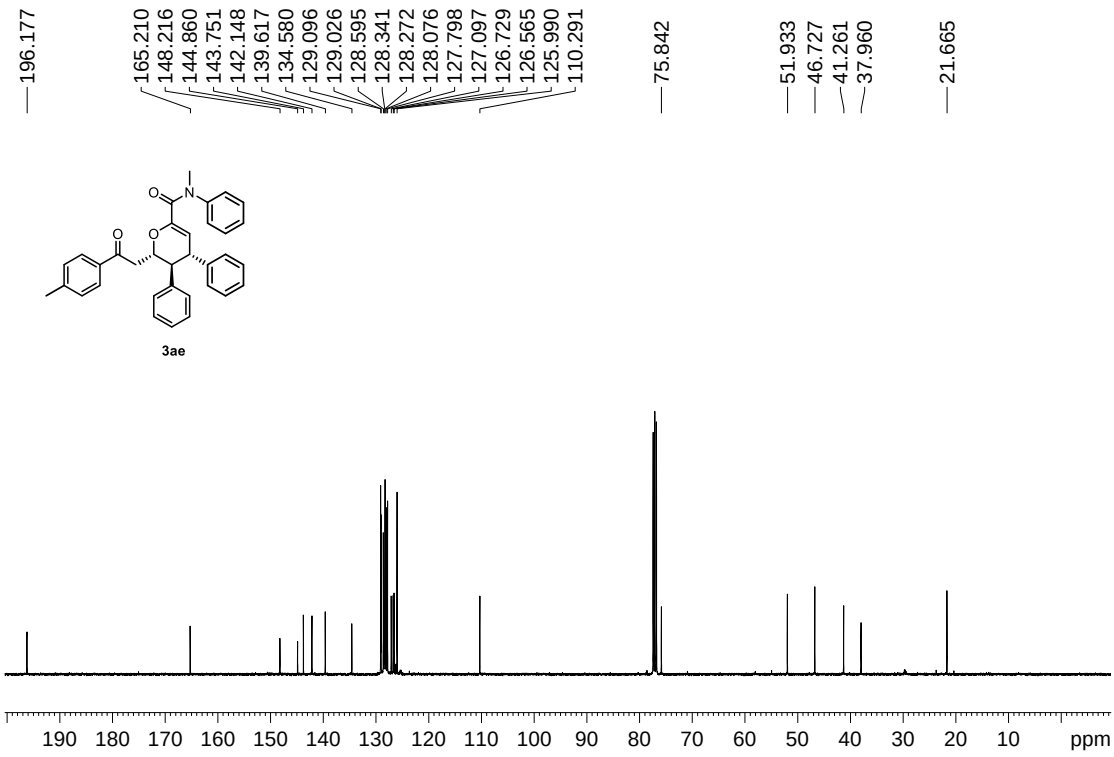
^{13}C NMR spectrum of compound **3ad** ($CDCl_3$, 100 MHz)



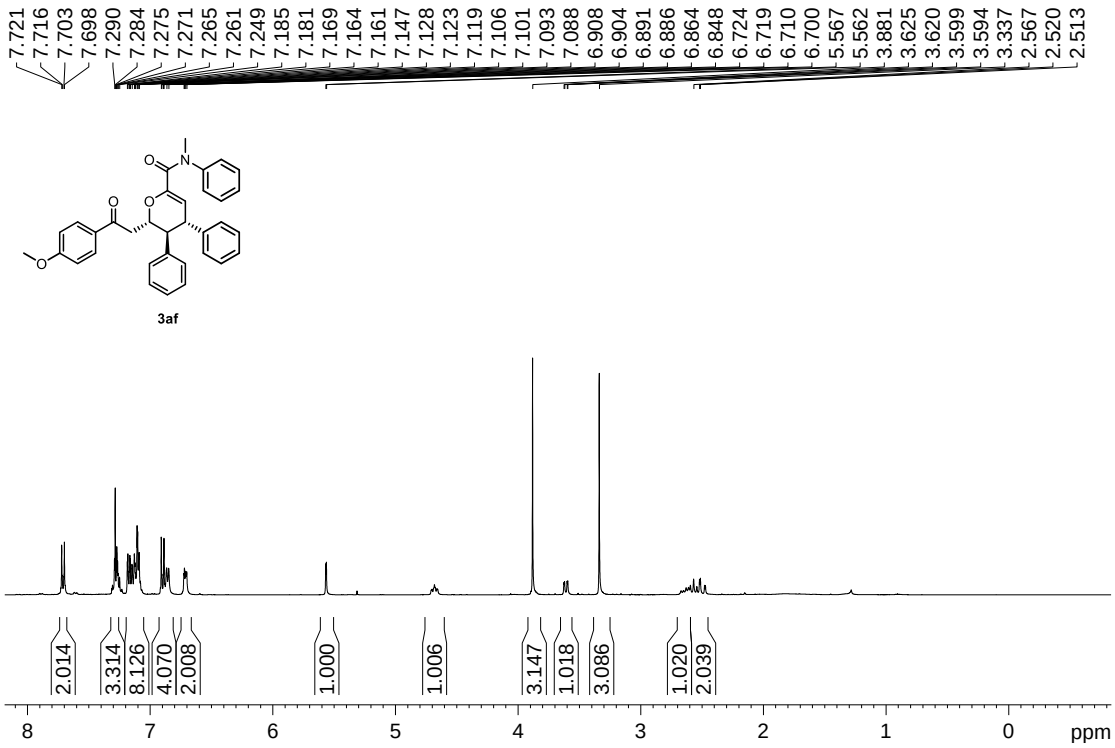
^{1}H NMR spectrum of compound **3ae** ($CDCl_3$, 400 MHz)



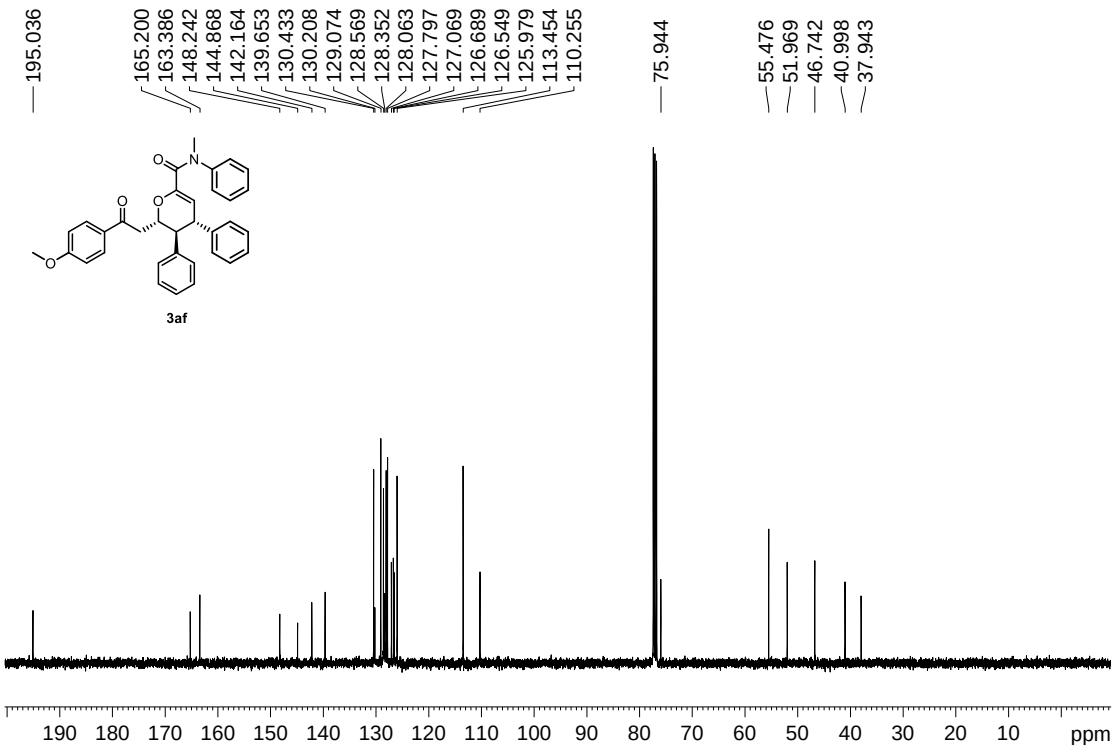
^{13}C NMR spectrum of compound **3ae** ($CDCl_3$, 100 MHz)



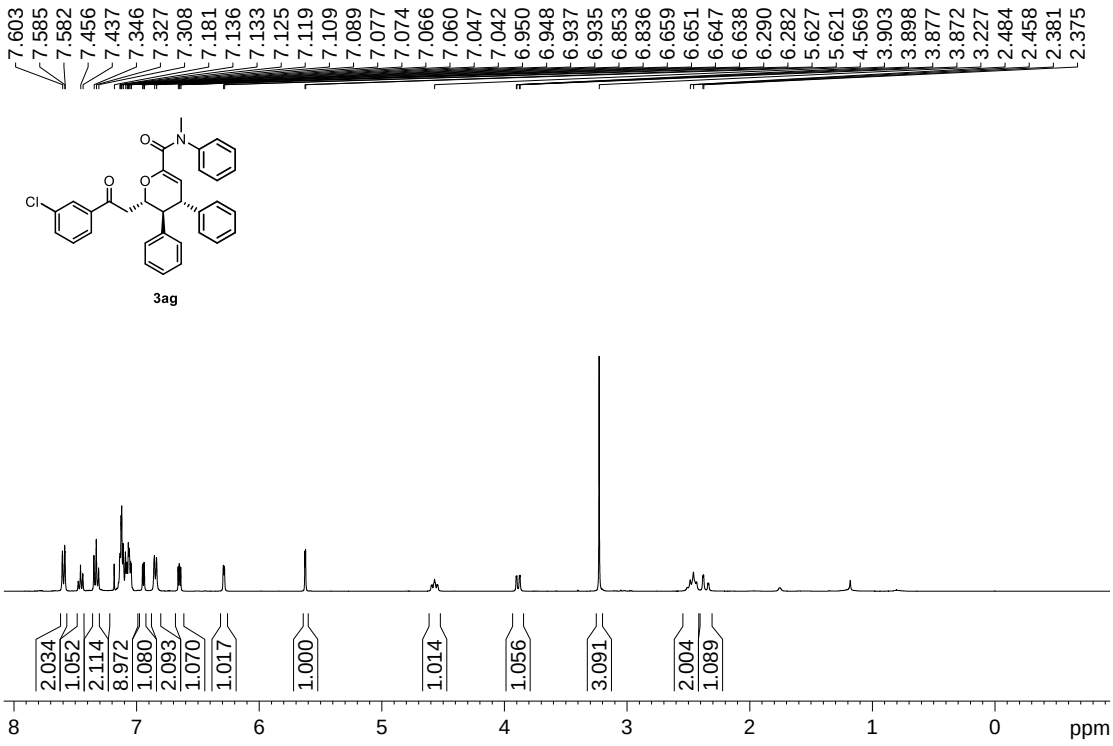
^{1}H NMR spectrum of compound **3af** ($CDCl_3$, 400 MHz)



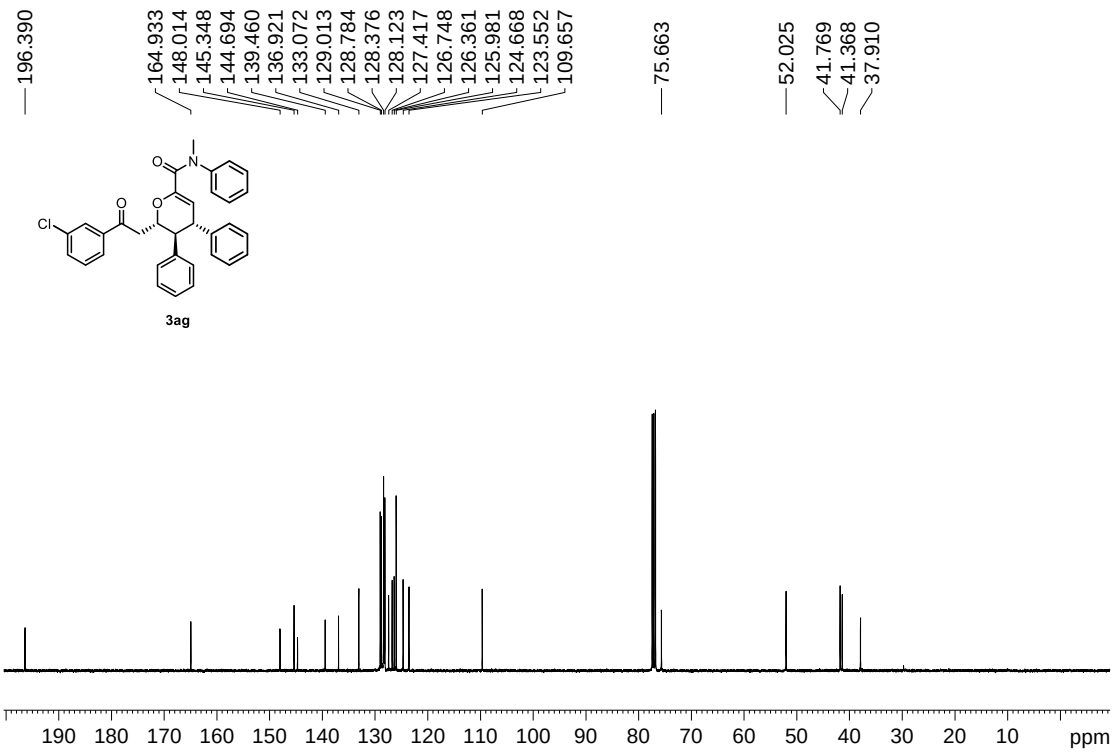
^{13}C NMR spectrum of compound **3af** ($CDCl_3$, 100 MHz)



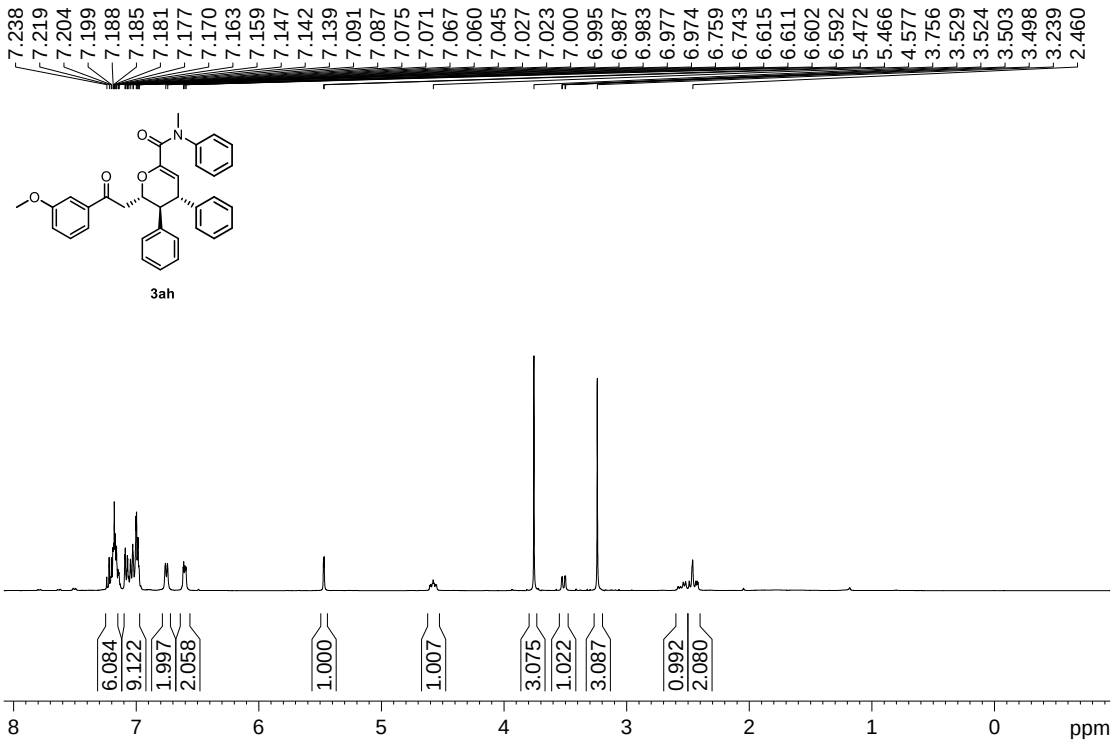
^{1}H NMR spectrum of compound **3ag** ($CDCl_3$, 400 MHz)



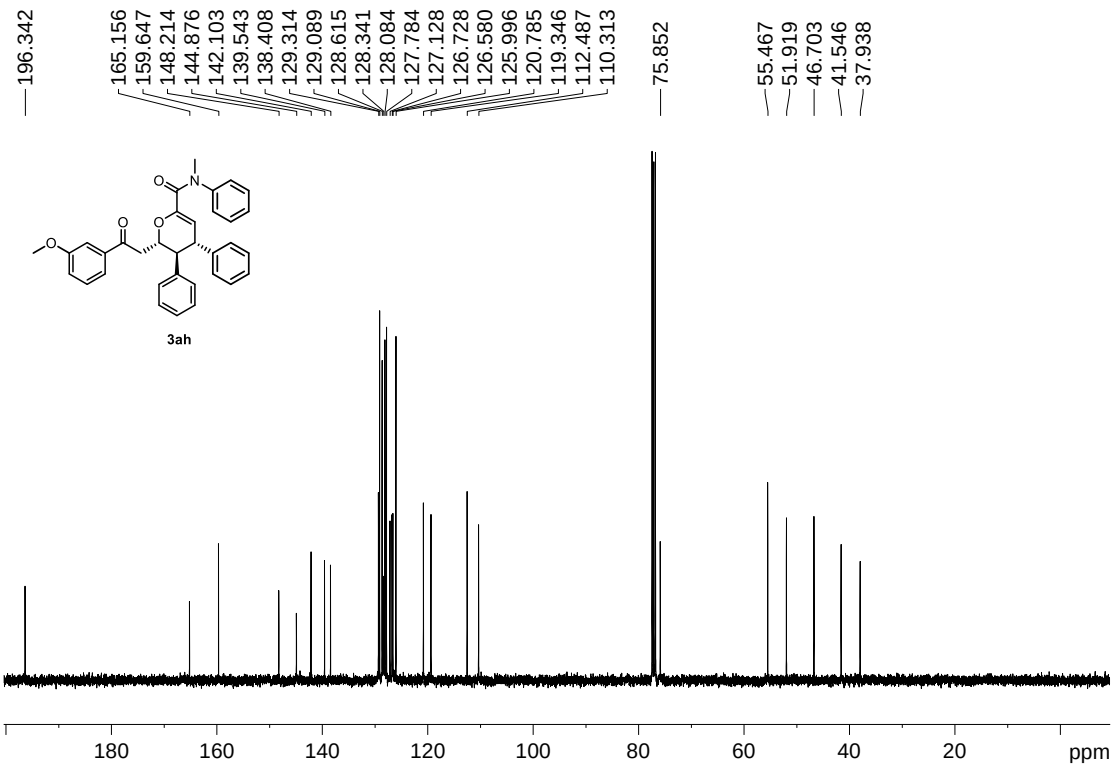
^{13}C NMR spectrum of compound **3ag** ($CDCl_3$, 100 MHz)



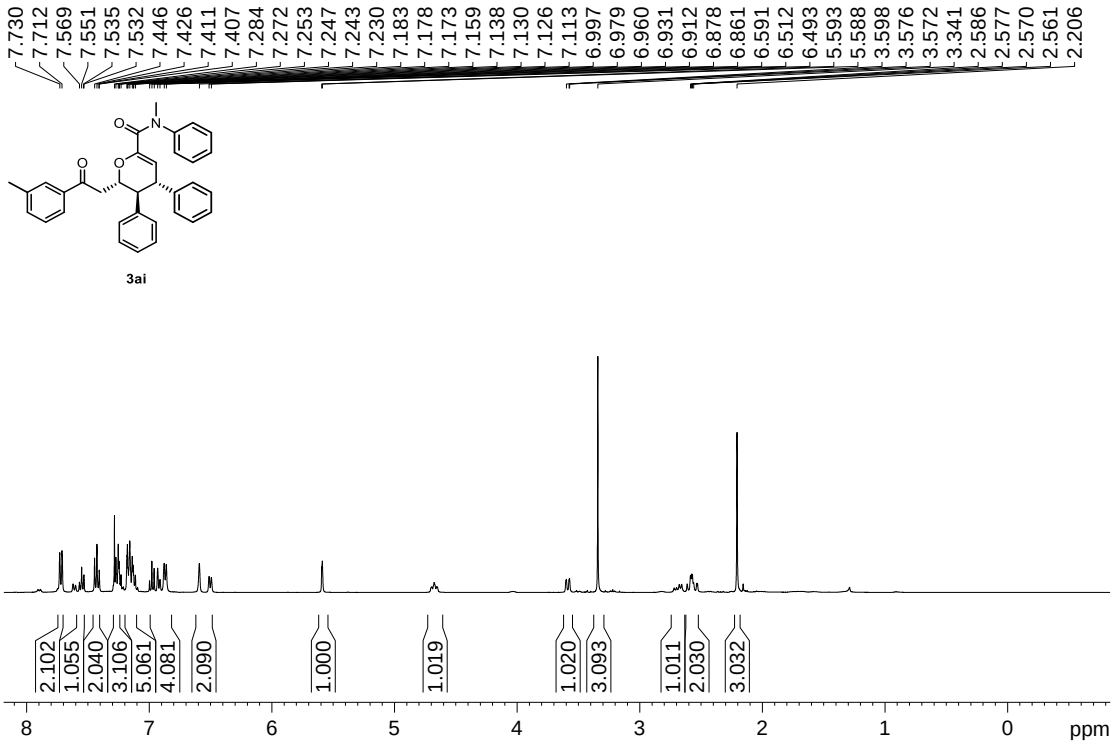
^{1}H NMR spectrum of compound **3ah** ($CDCl_3$, 400 MHz)



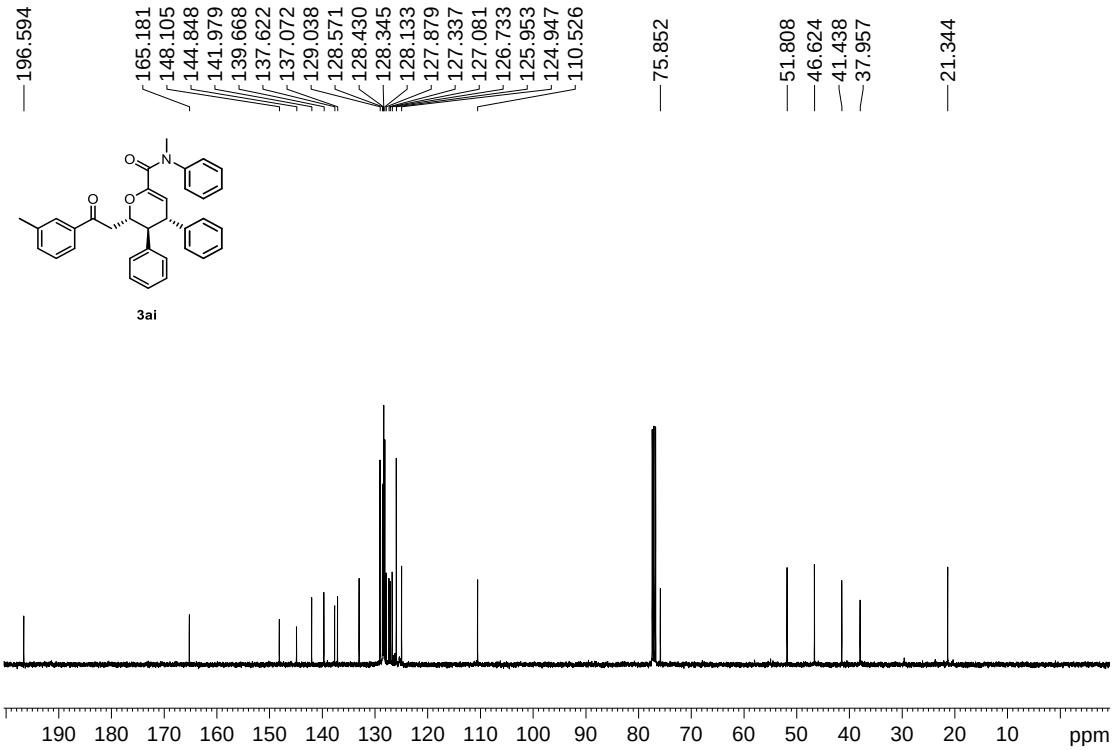
^{13}C NMR spectrum of compound **3ah** ($CDCl_3$, 100 MHz)



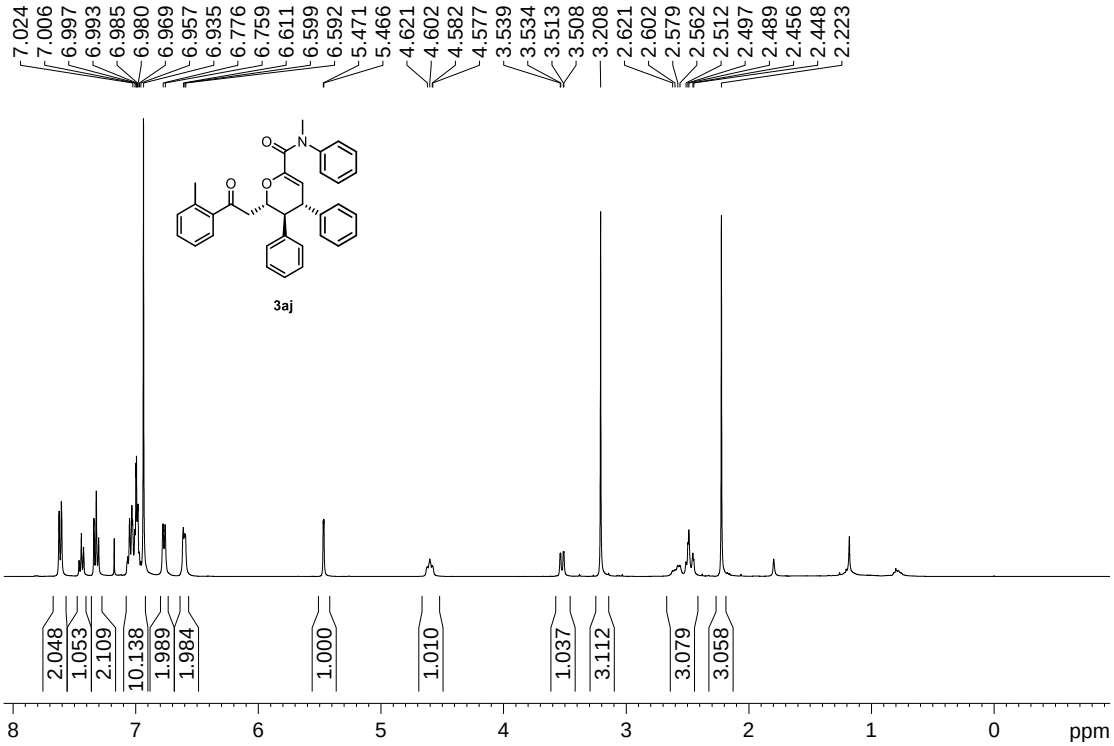
^{1}H NMR spectrum of compound **3ai** ($CDCl_3$, 400 MHz)



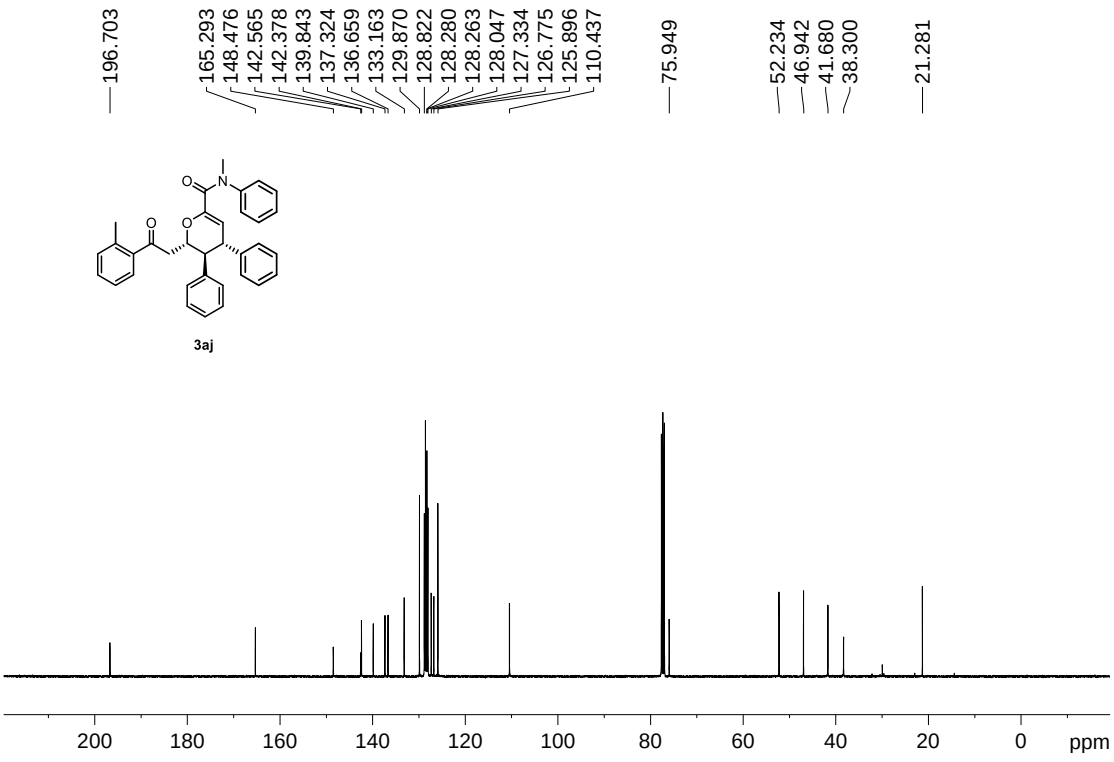
^{13}C NMR spectrum of compound **3ai** ($CDCl_3$, 100 MHz)



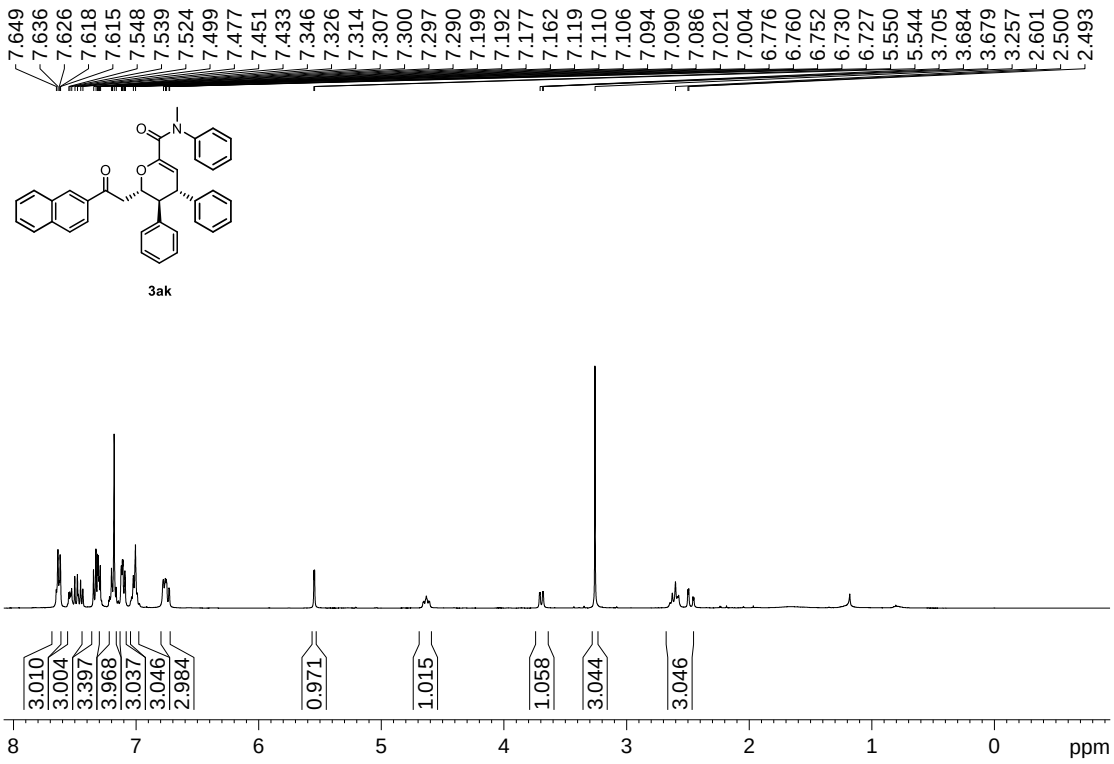
^{1}H NMR spectrum of compound **3aj** ($CDCl_3$, 400 MHz)



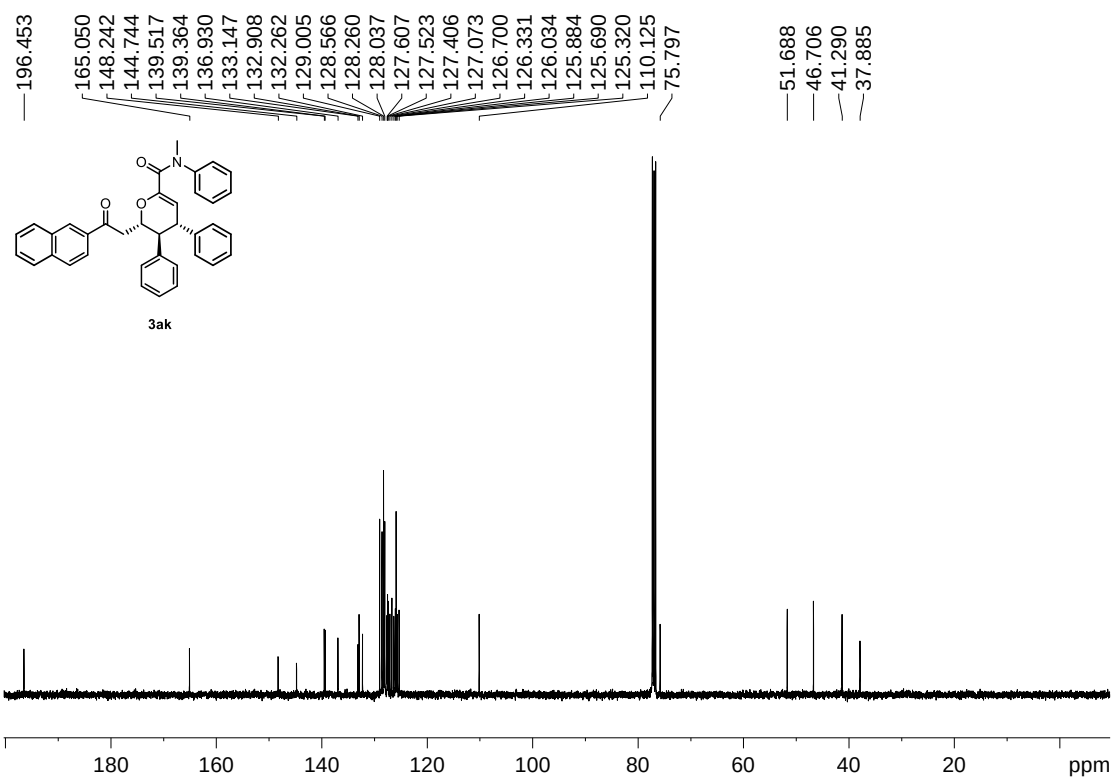
^{13}C NMR spectrum of compound **3aj** ($CDCl_3$, 100 MHz)



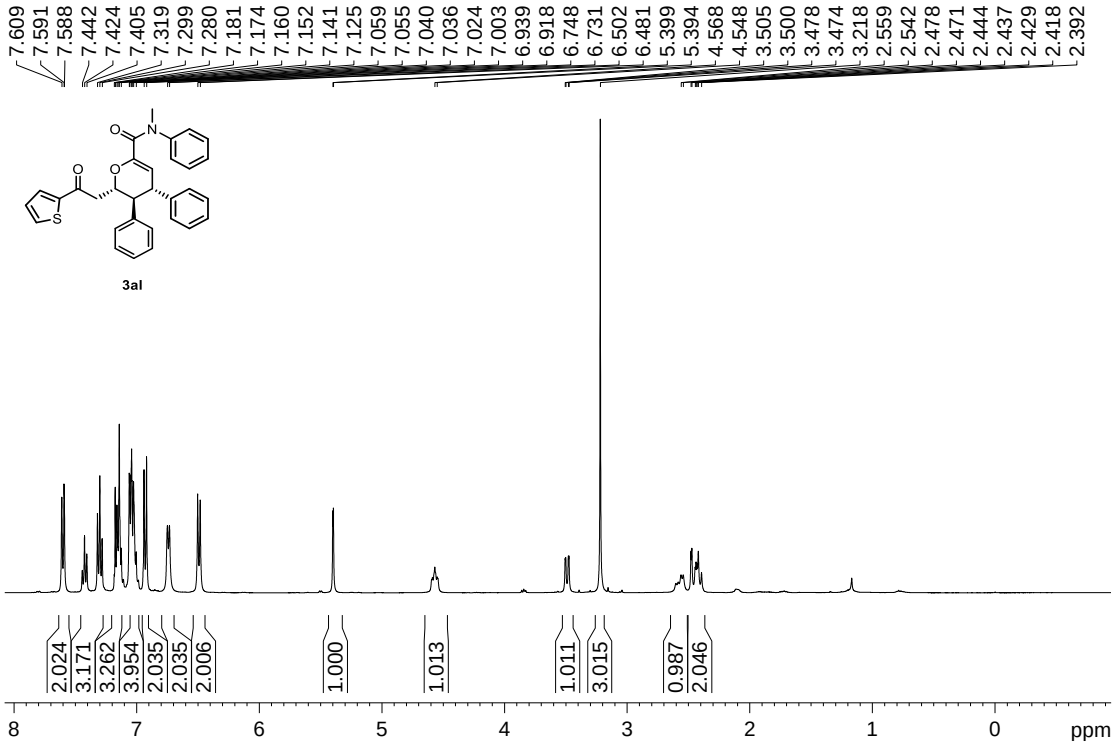
^{1}H NMR spectrum of compound **3ak** ($CDCl_3$, 400 MHz)



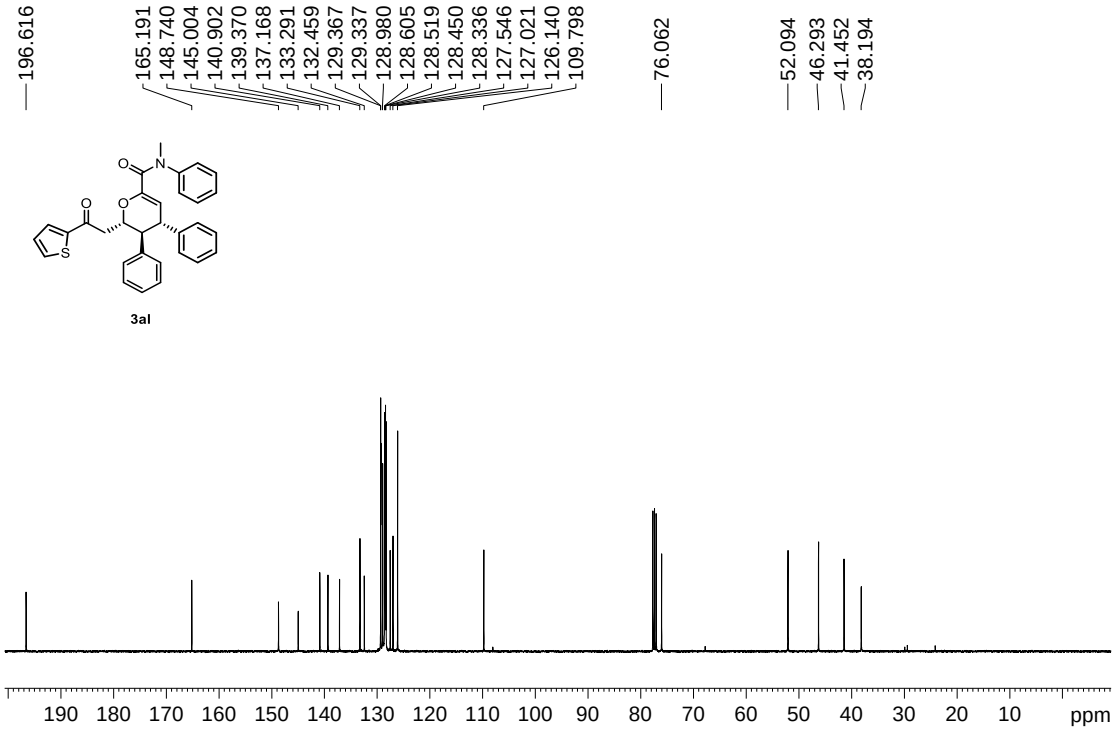
^{13}C NMR spectrum of compound **3ak** ($CDCl_3$, 100 MHz)



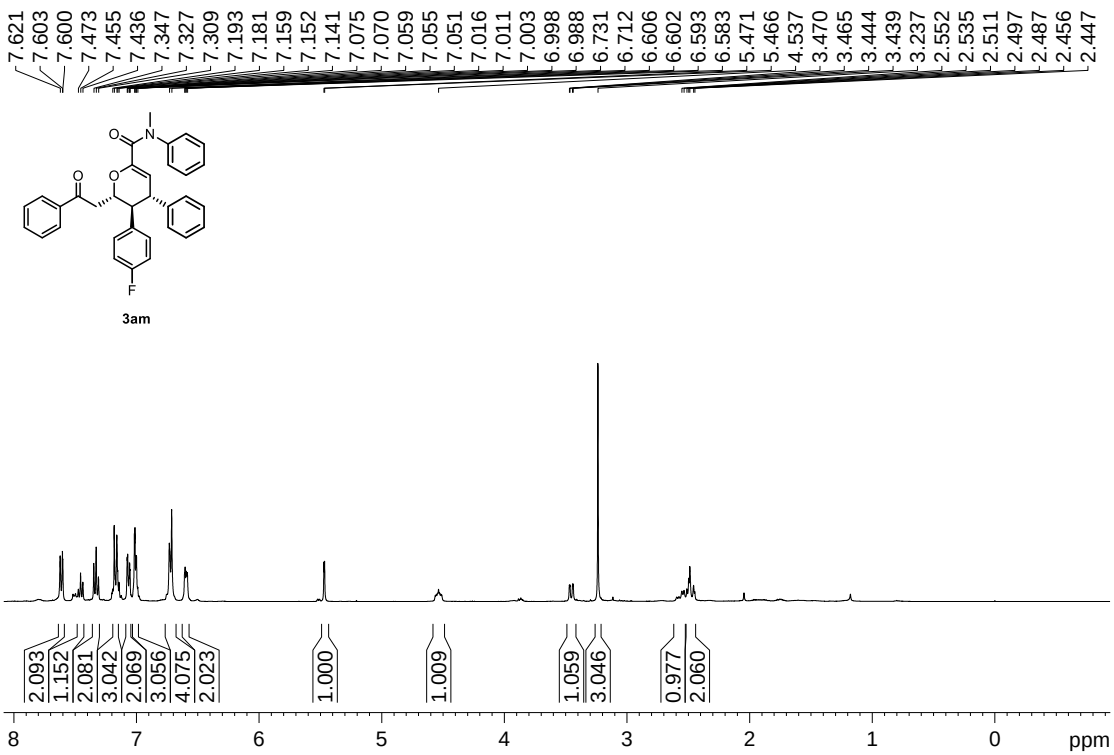
^{1}H NMR spectrum of compound **3al** ($CDCl_3$, 400 MHz)



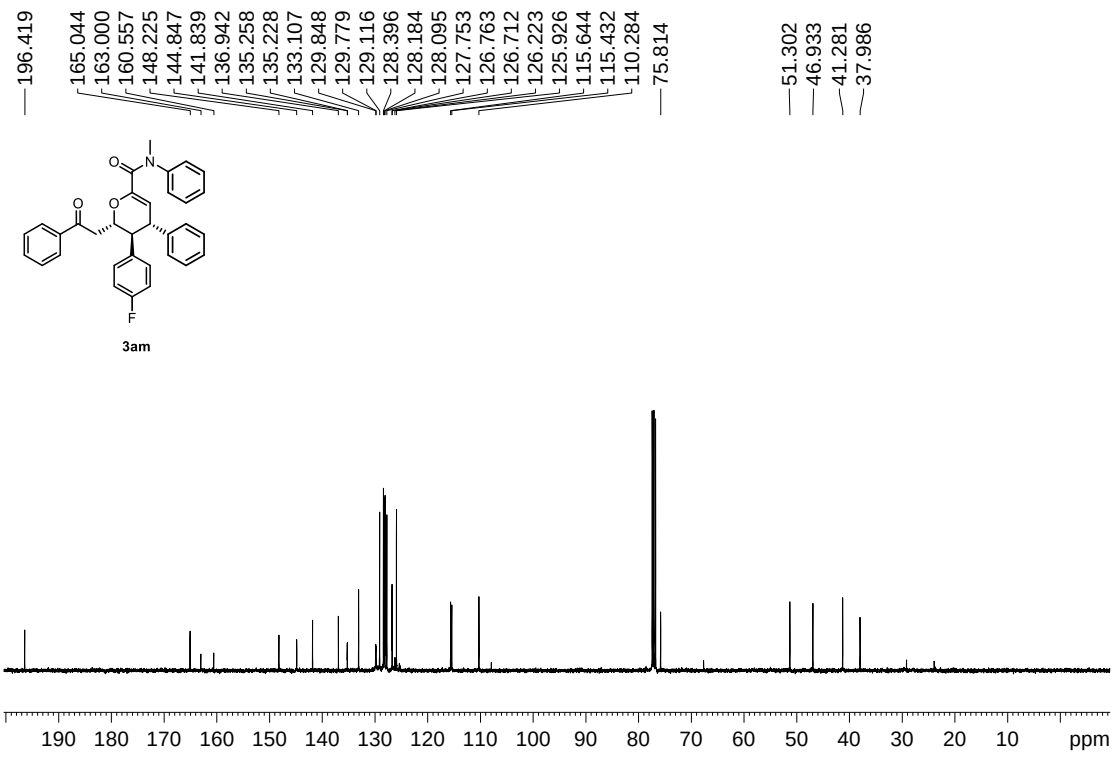
^{13}C NMR spectrum of compound **3al** ($CDCl_3$, 100 MHz)



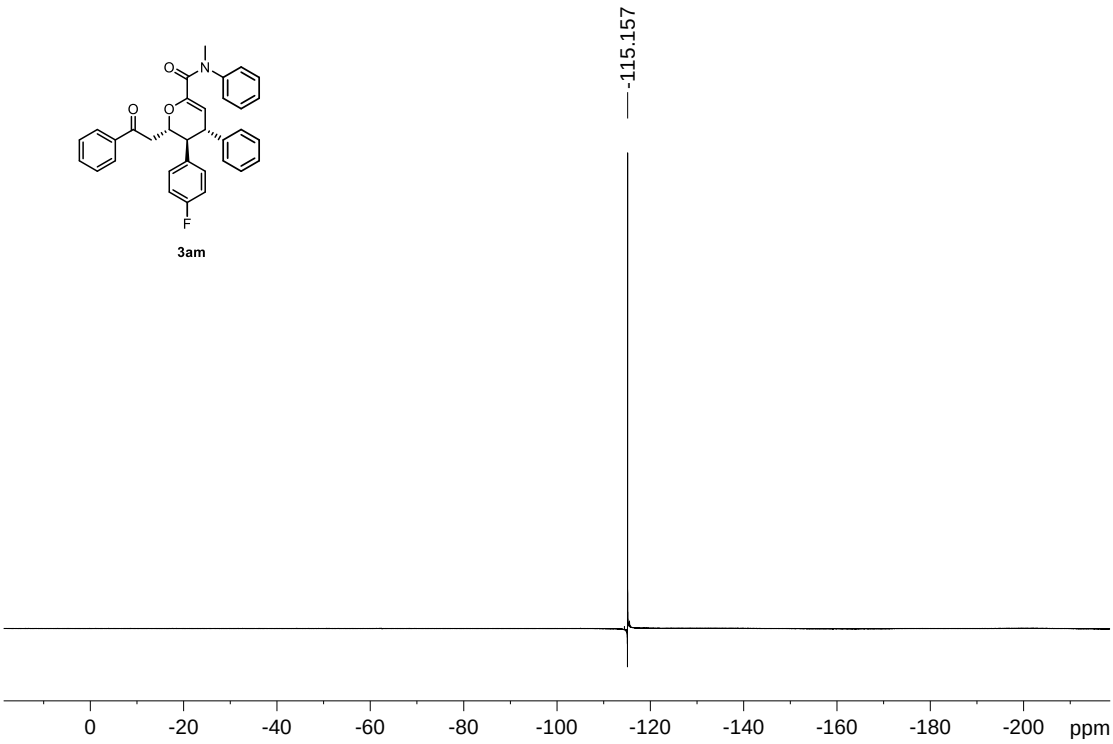
^{1}H NMR spectrum of compound **3am** ($CDCl_3$, 400 MHz)



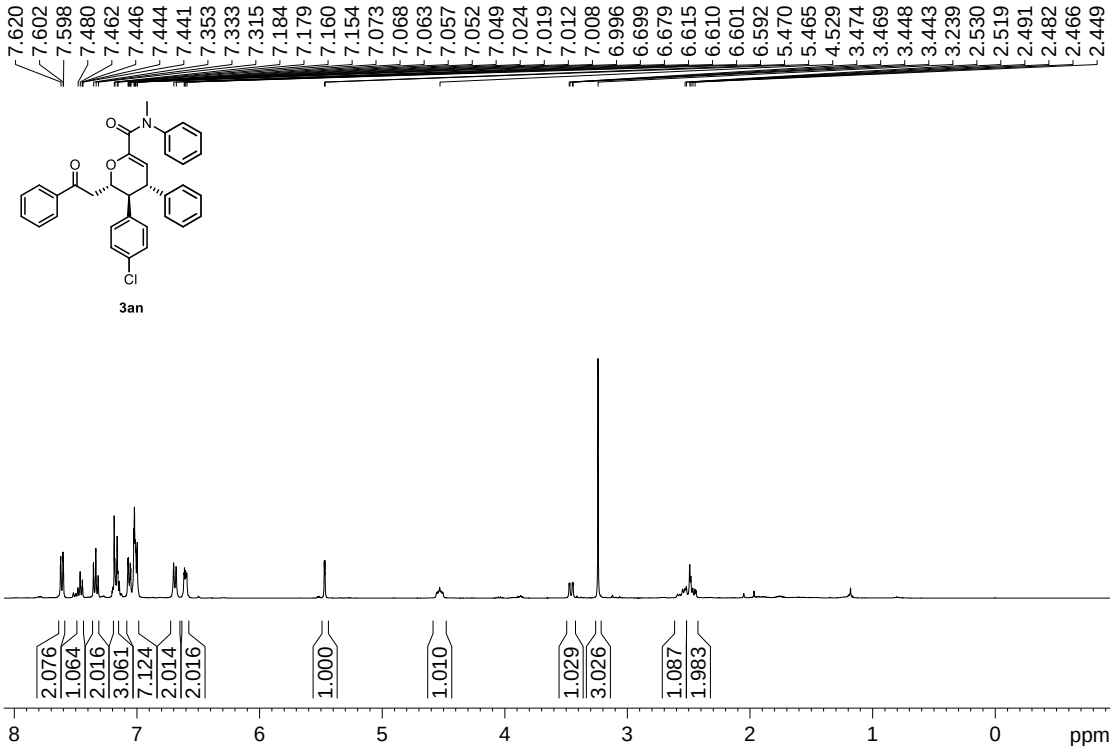
^{13}C NMR spectrum of compound **3am** ($CDCl_3$, 100 MHz)



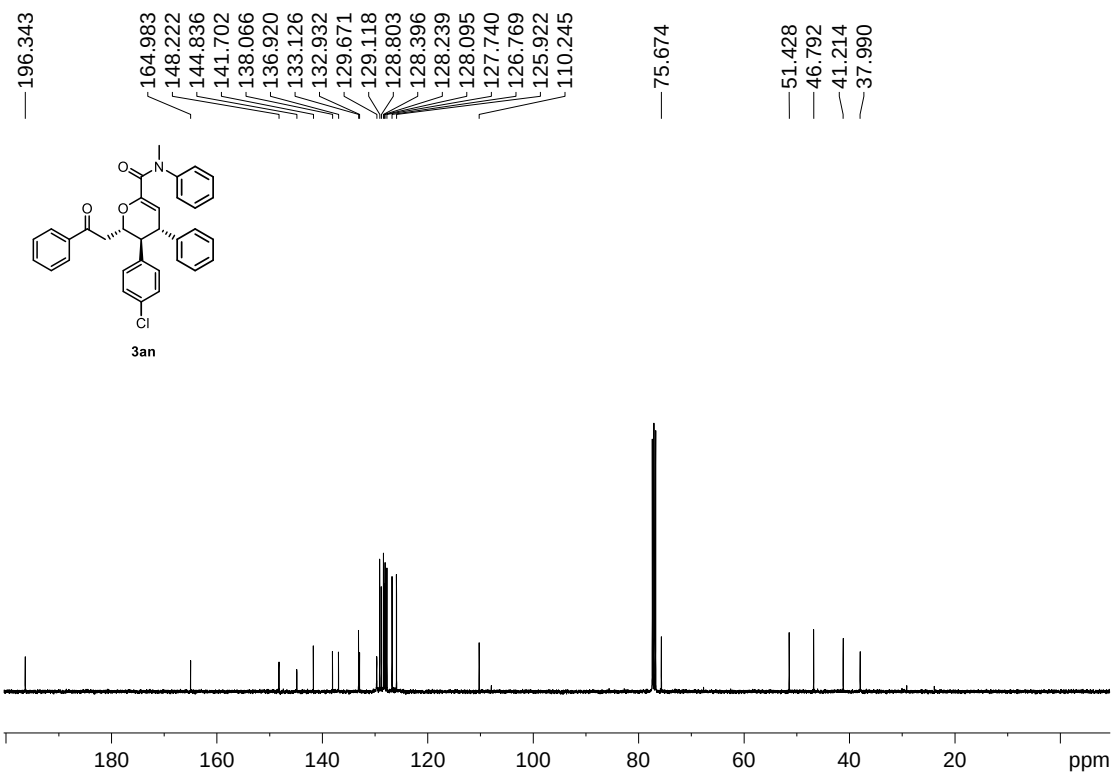
^{19}F NMR spectrum of compound **3am** ($CDCl_3$, 376 MHz)



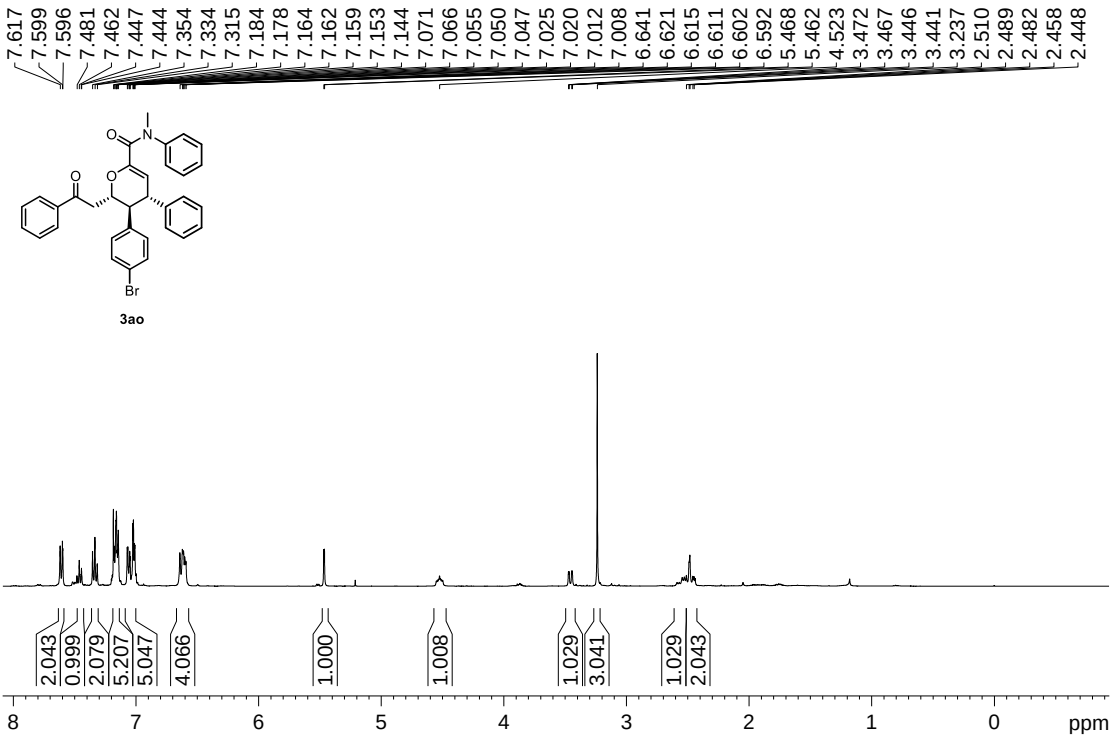
^{1}H NMR spectrum of compound **3an** ($CDCl_3$, 400 MHz)



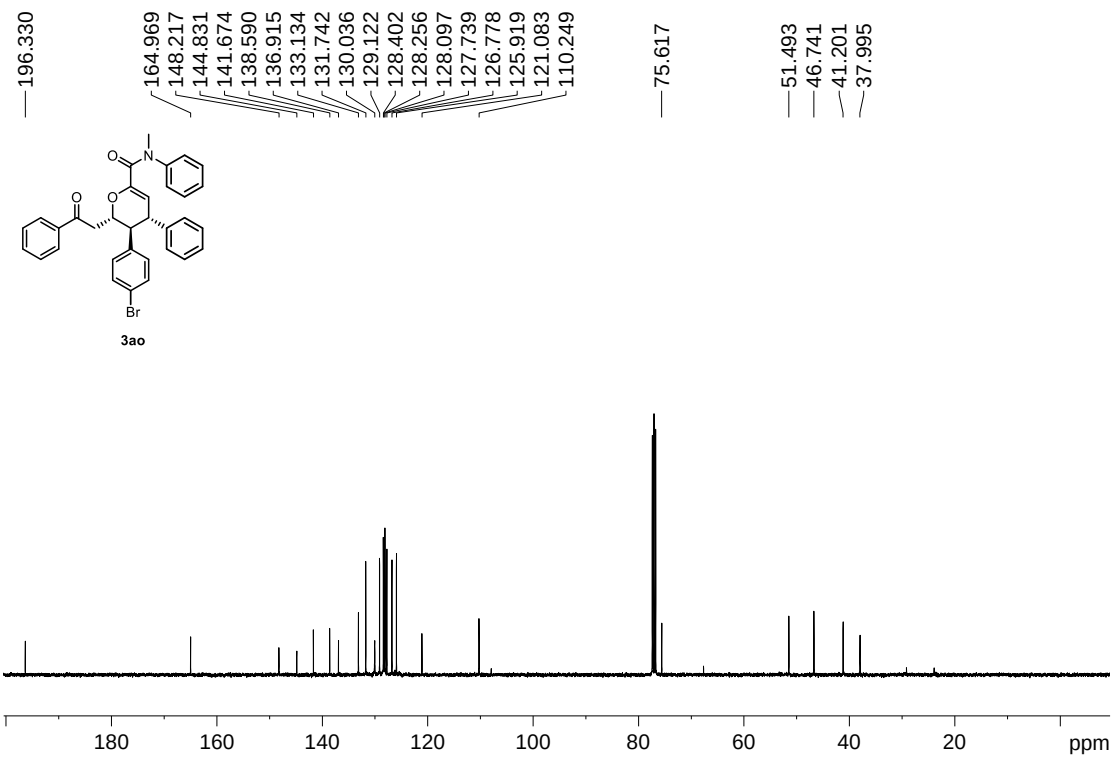
^{13}C NMR spectrum of compound **3an** ($CDCl_3$, 100 MHz)



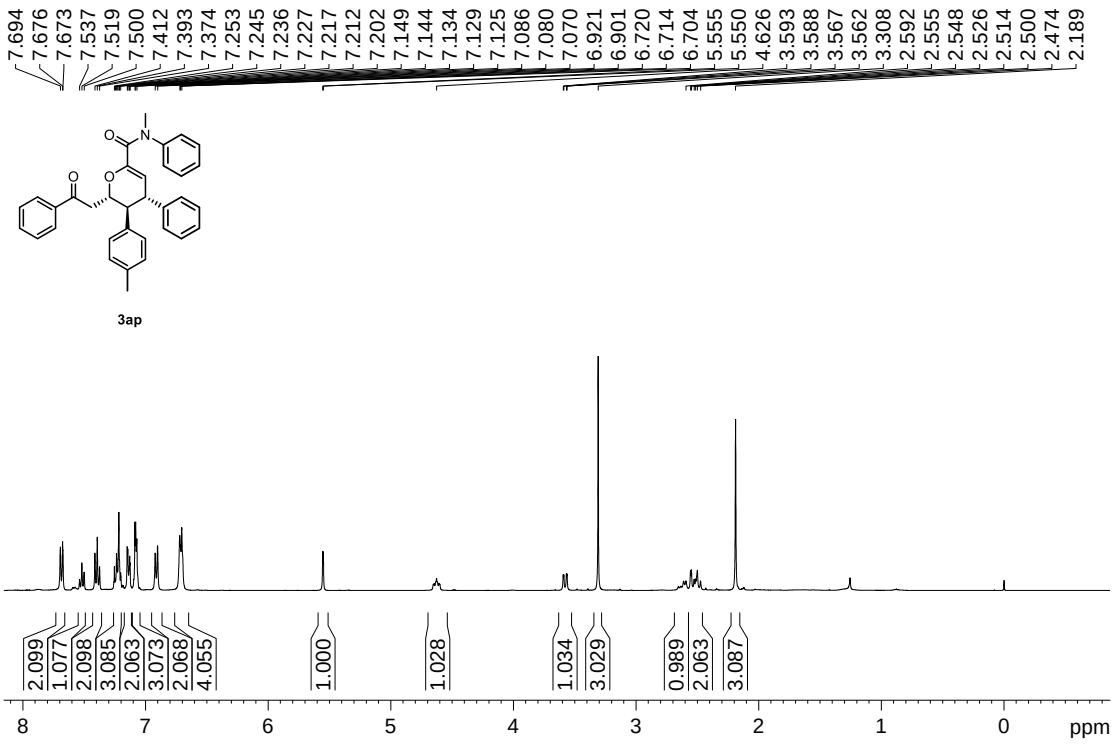
^{1}H NMR spectrum of compound **3ao** ($CDCl_3$, 400 MHz)



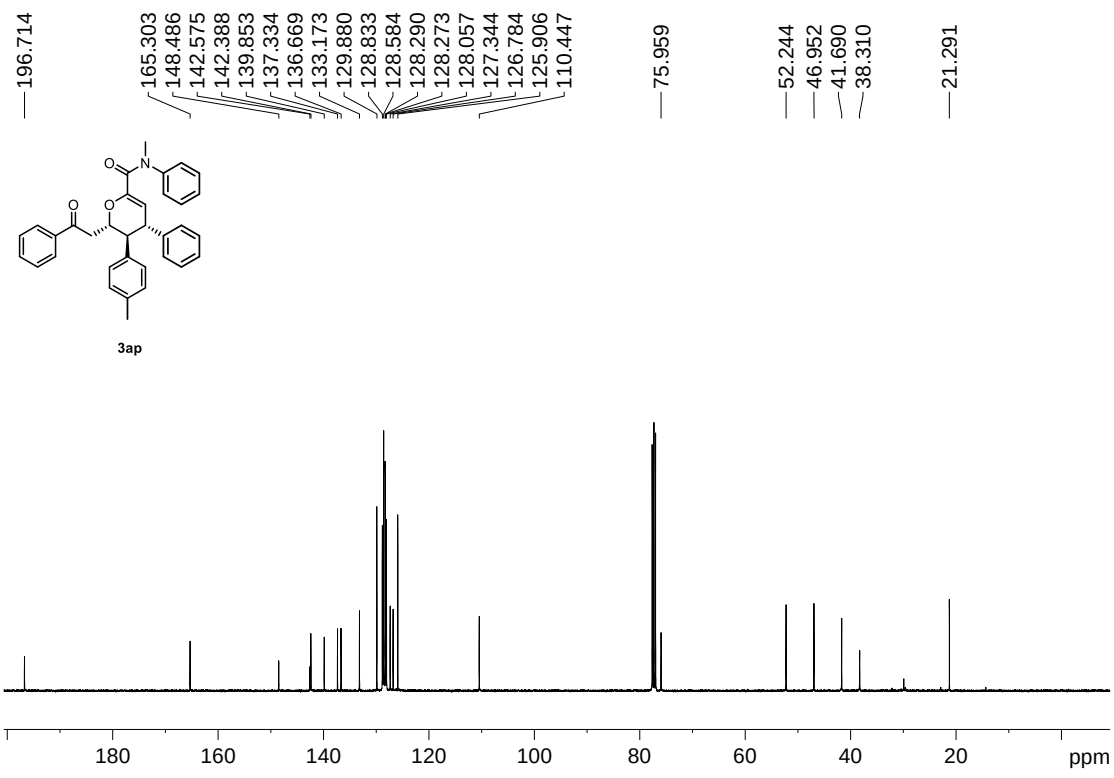
^{13}C NMR spectrum of compound **3ao** ($CDCl_3$, 100 MHz)



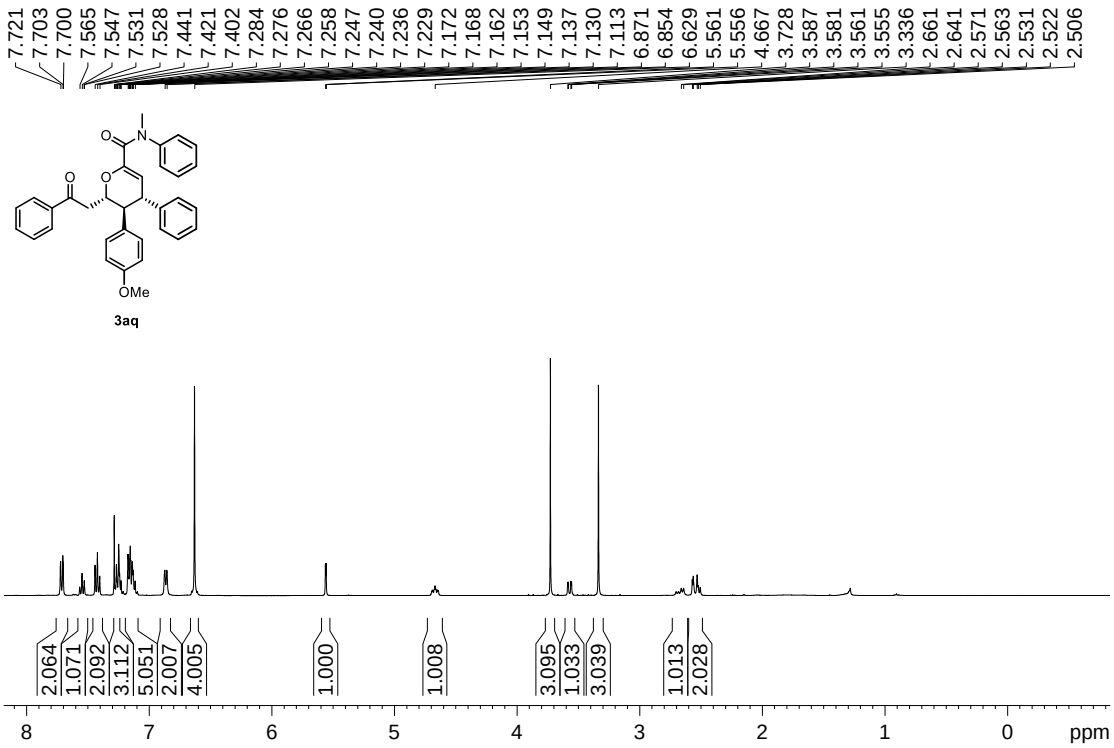
^{1}H NMR spectrum of compound **3ap** ($CDCl_3$, 400 MHz)



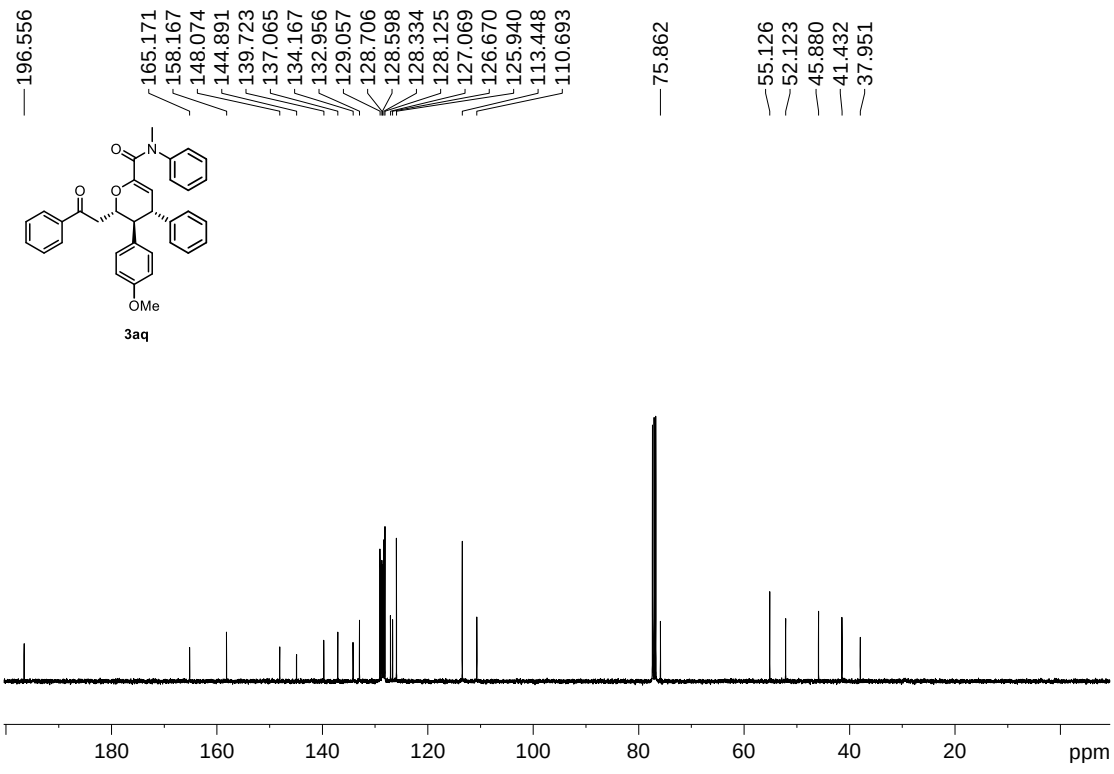
^{13}C NMR spectrum of compound **3ap** ($CDCl_3$, 100 MHz)



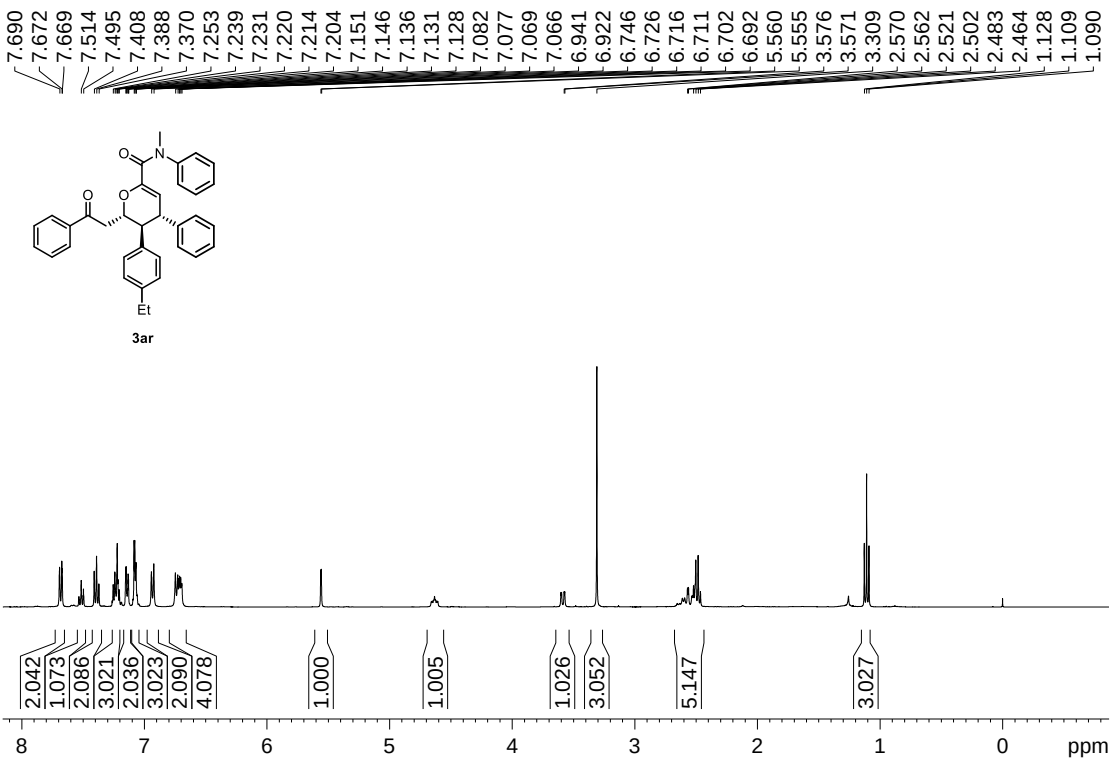
^{1}H NMR spectrum of compound **3aq** ($CDCl_3$, 400 MHz)



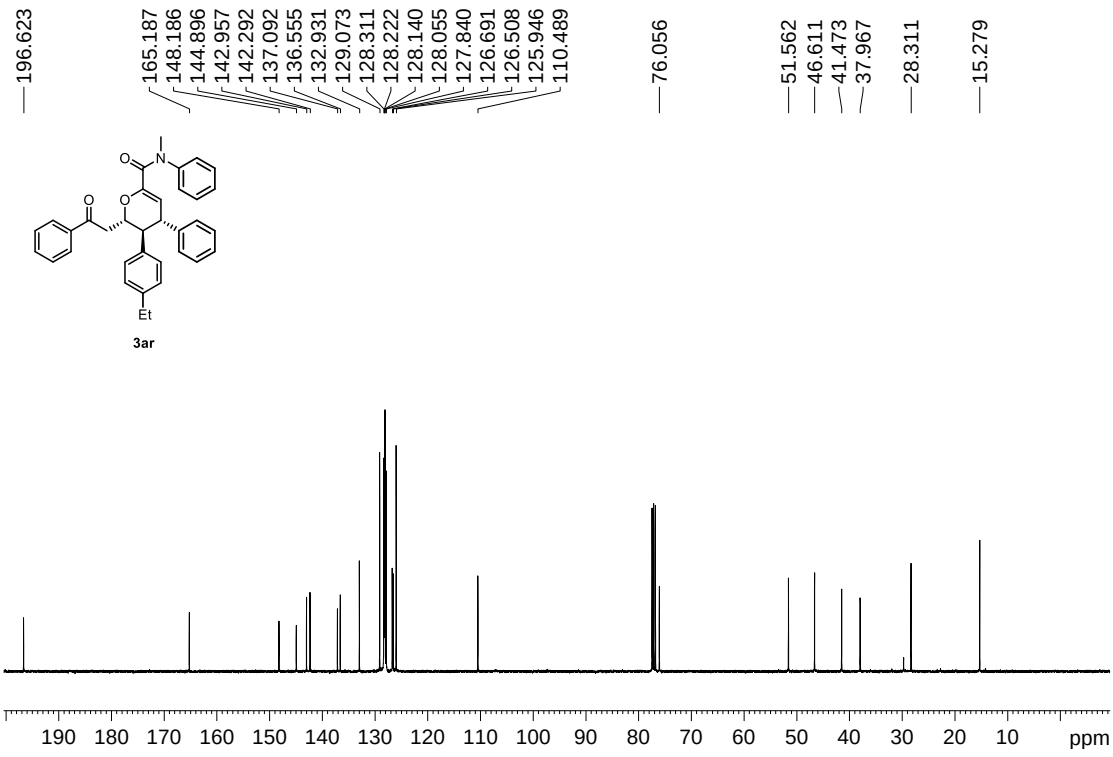
^{13}C NMR spectrum of compound **3aq** ($CDCl_3$, 100 MHz)



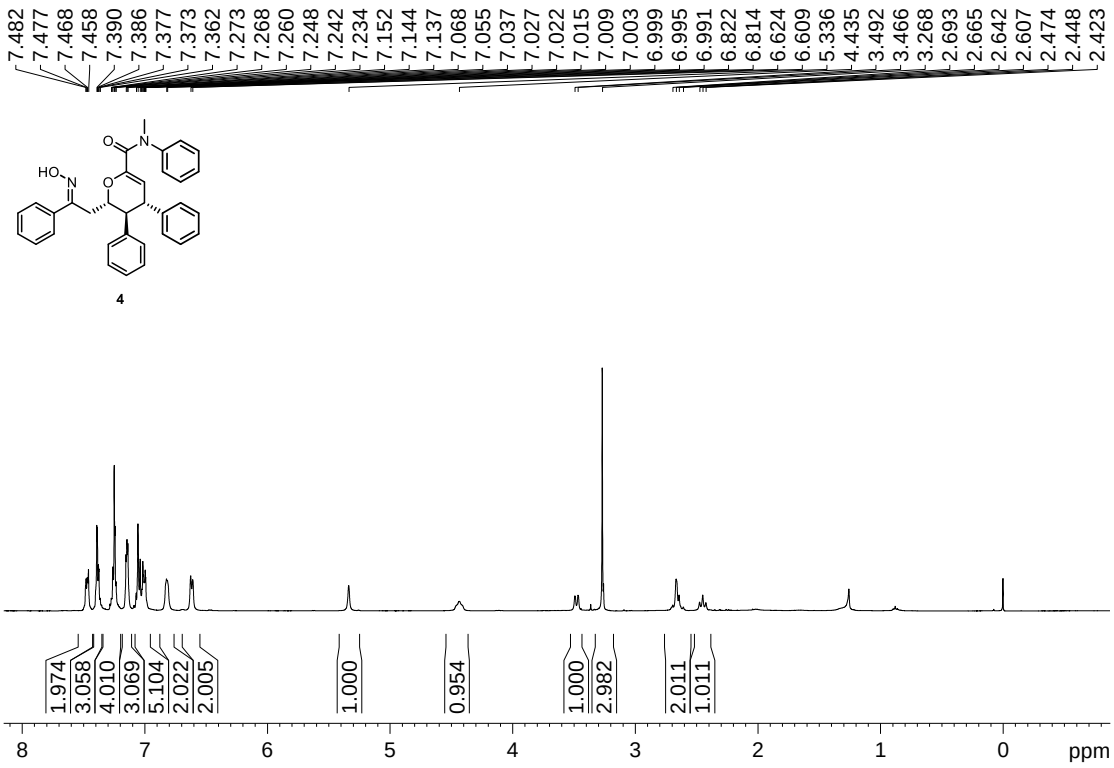
^{1}H NMR spectrum of compound **3ar** ($CDCl_3$, 400 MHz)



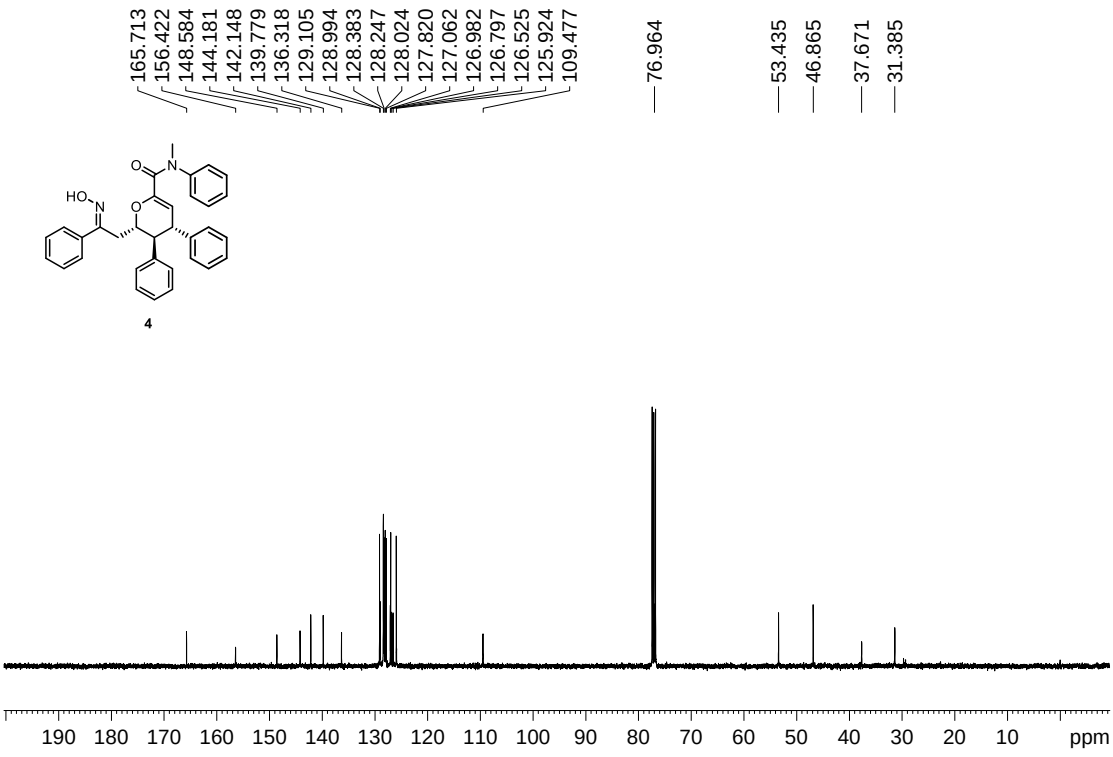
^{13}C NMR spectrum of compound **3ar** ($CDCl_3$, 100 MHz)



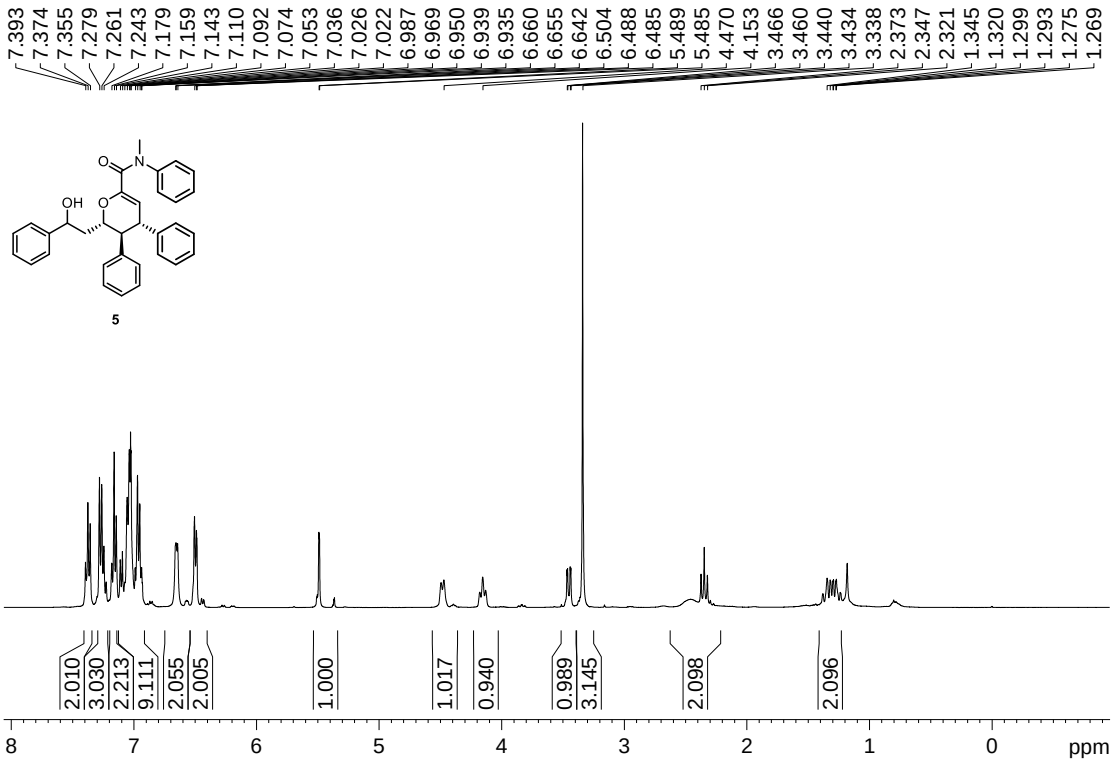
^{1}H NMR spectrum of compound **4** ($CDCl_3$, 400 MHz)



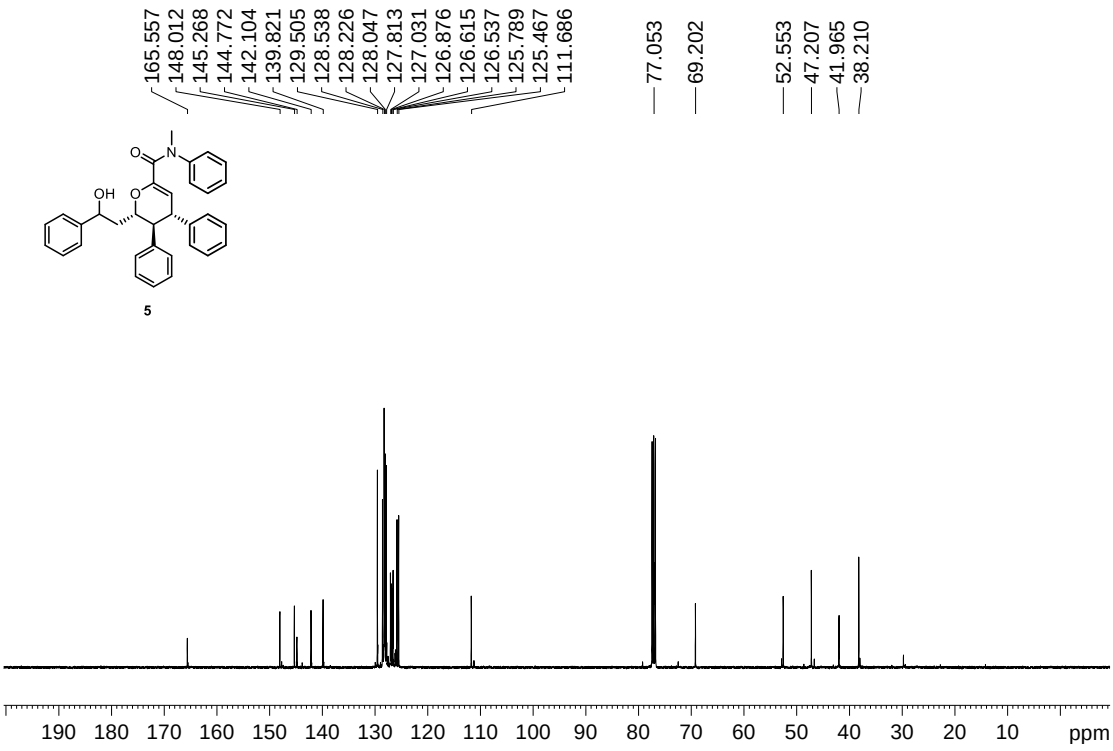
^{13}C NMR spectrum of compound **4** ($CDCl_3$, 100 MHz)



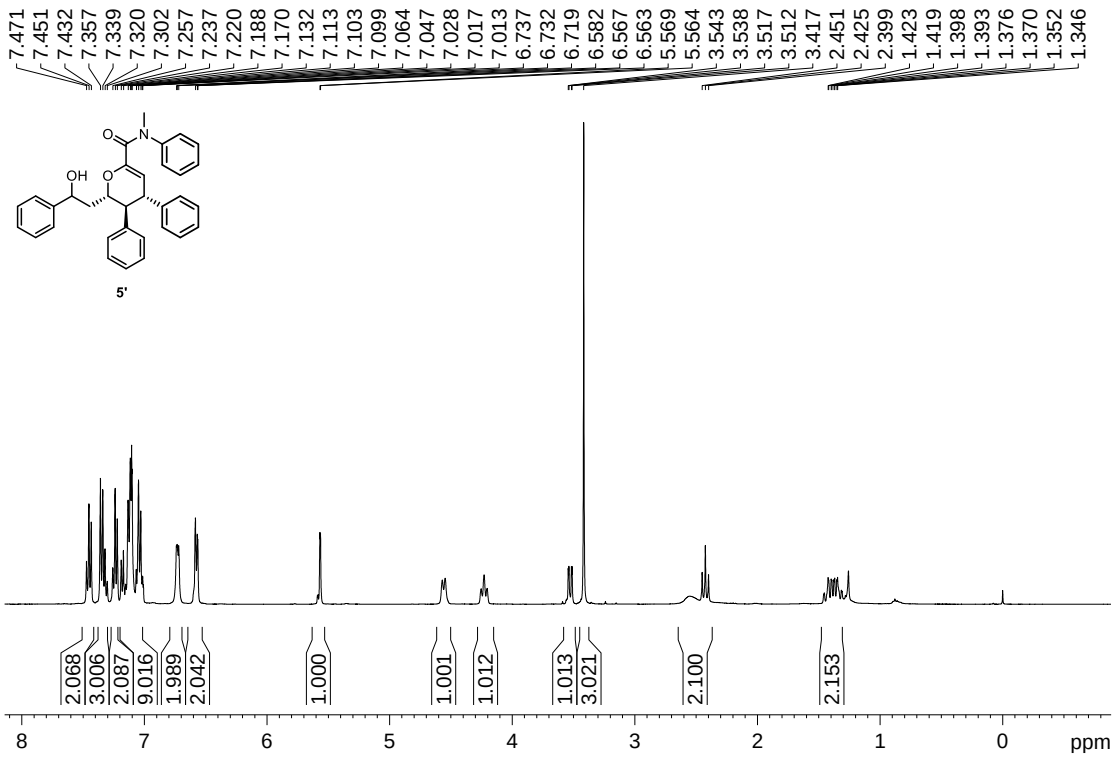
^{1}H NMR spectrum of compound **5** ($CDCl_3$, 400 MHz)



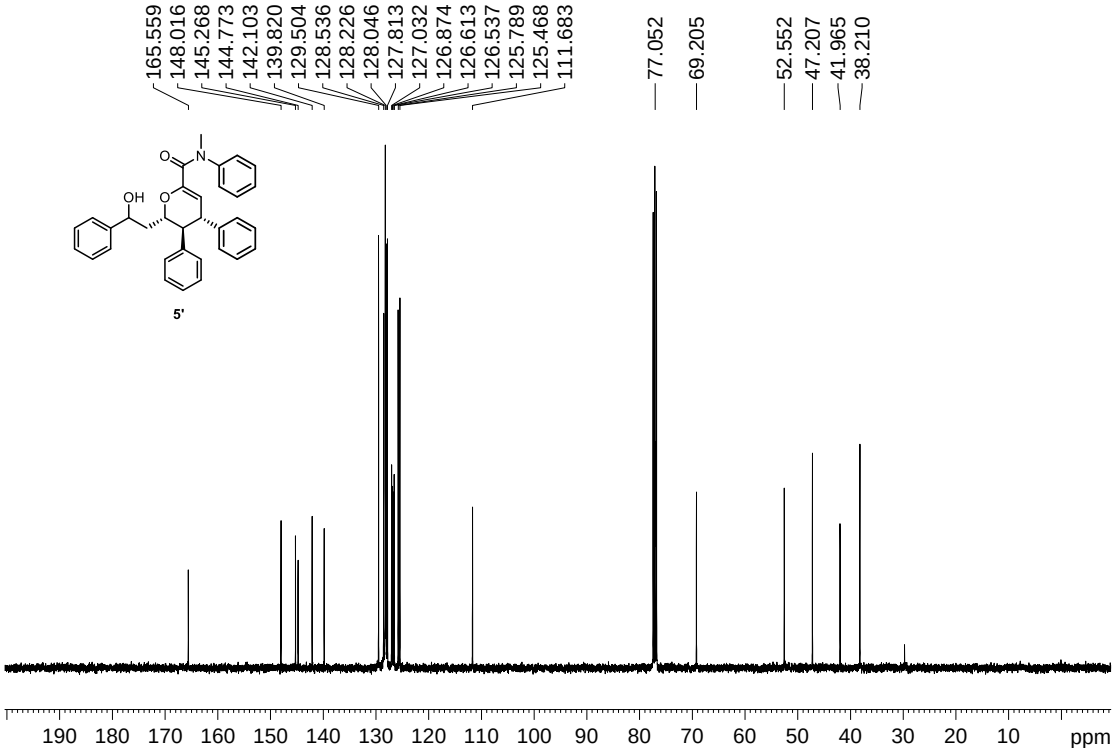
^{13}C NMR spectrum of compound **5** ($CDCl_3$, 100 MHz)



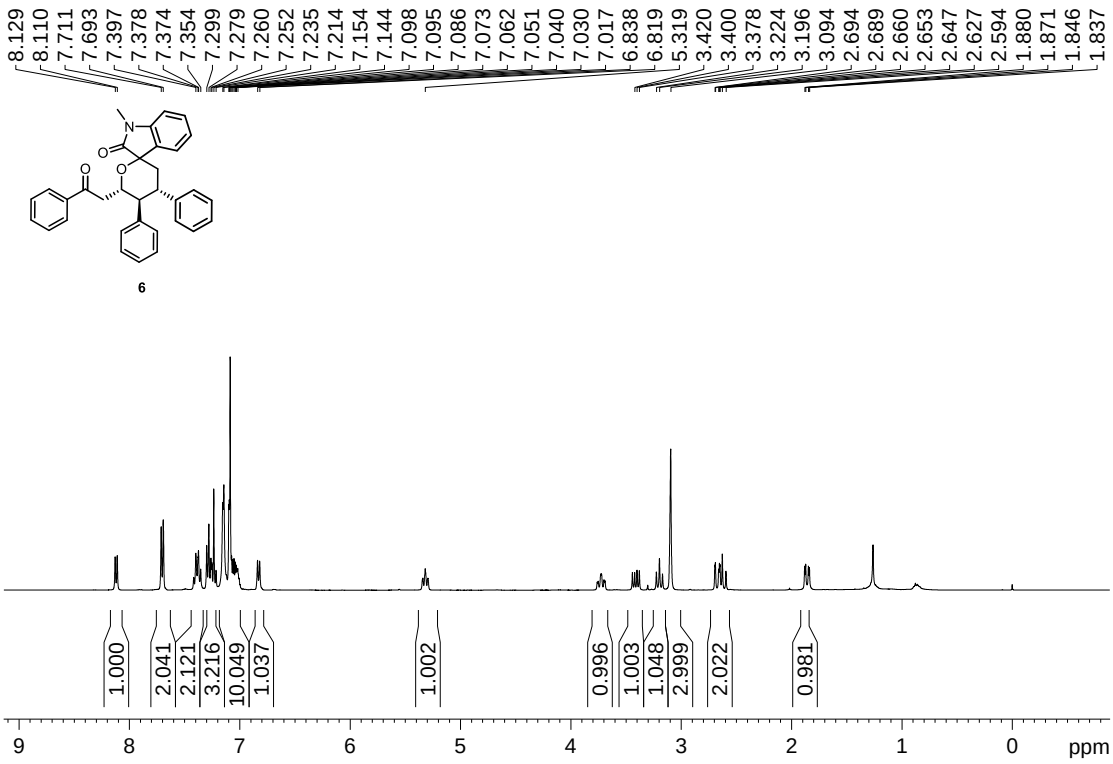
^{1}H NMR spectrum of compound **5'** ($CDCl_3$, 400 MHz)



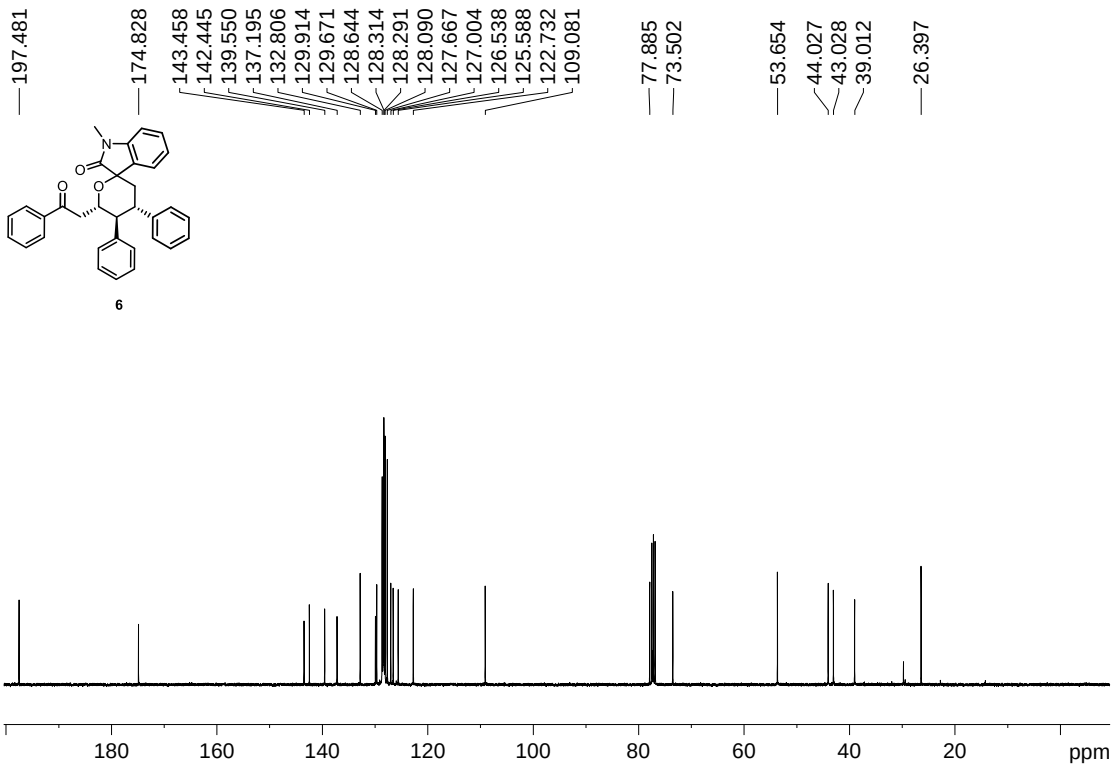
^{13}C NMR spectrum of compound **5'** ($CDCl_3$, 100 MHz)



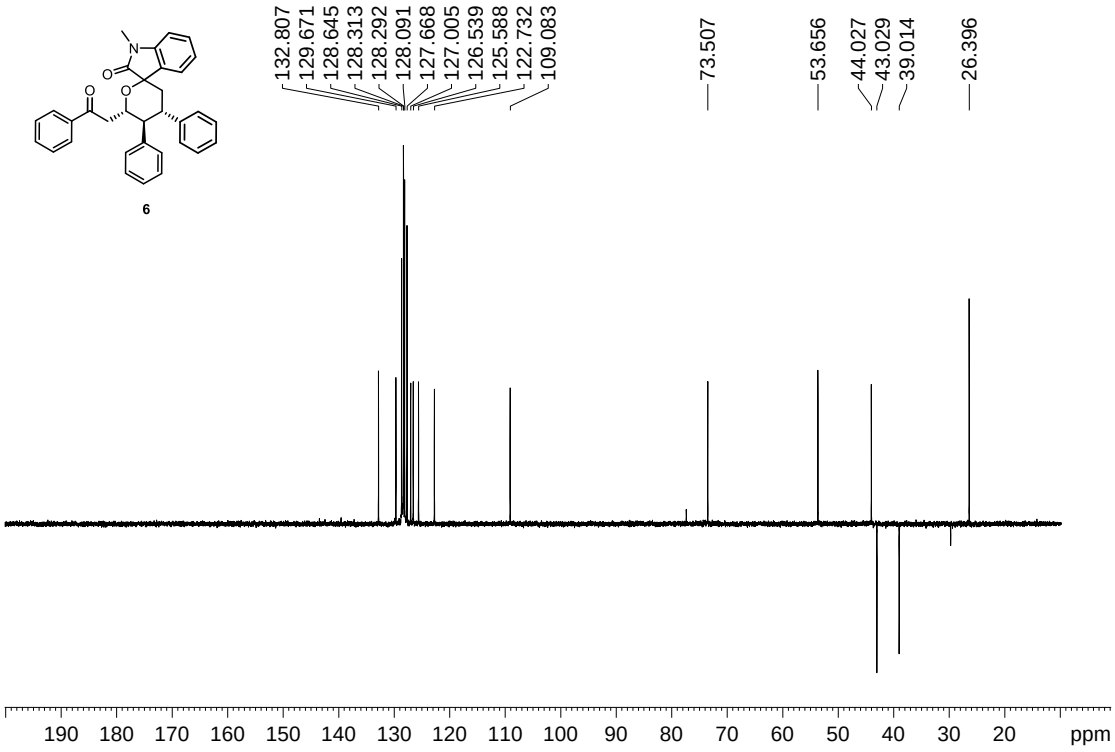
^{1}H NMR spectrum of compound **6** ($CDCl_3$, 400 MHz)



^{13}C NMR spectrum of compound **6** ($CDCl_3$, 100 MHz)



^{13}C dept-135 NMR spectrum of compound **6** ($CDCl_3$, 100 MHz)



8. References

[1] X. Li, X. Kong, S. Yang, M. Meng, X. Zhan, M. Zeng, X. Fang, *Org. Lett.* **2019**, *21*, 1979−1983.
[2] X.-C. Yang, M.-M. Liu, F. Mathey, H. Yang, Y.-Z. Hua, M.-C. Wang, *J. Org. Chem.* **2019**, *84*, 7762–7775.