Supporting Information

Construction of isoquinolinone framework from carboxylic ester directed umpolung ring opening of methylenecyclopropanes

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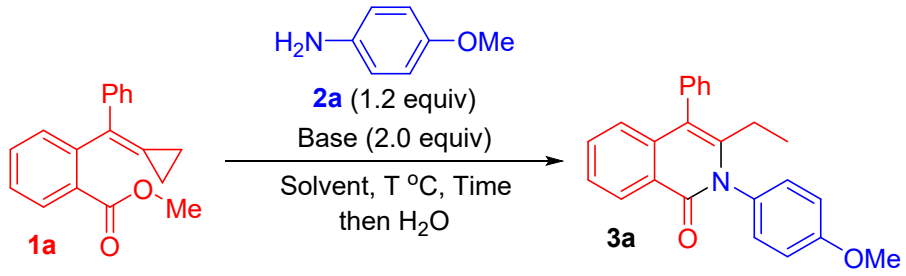
Content

1. General remarks

^{1}H NMR spectra were recorded on Agilent-400, Varian Mercury-400 and Bruker-400 spectrometer for solution in $CDCl_3$ with tetramethylsilane (TMS) as an internal standard; coupling constants J are given in Hz. ^{13}C NMR spectra were recorded on Agilent-400, Varian Mercury-400 and Bruker-400 spectrophotometers with complete proton decoupling spectrophotometers ($CDCl_3$: 77.0 ppm). The reference of ^{19}F NMR (376 MHz) spectra is trichlorofluoromethane (δ ppm 0). Mass and HRMS spectra were recorded by ESI, EI or FI method. Organic solvents used were dried by standard methods when necessary. Infrared spectra were recorded on a Perkin-Elmer PE-983 spectrometer with absorption in cm^{-1}. Melting points were determined on a digital melting point apparatus and temperatures were uncorrected. Commercially obtained reagents were used without further purification. All these reactions were monitored by TLC with silica gel coated plates. Flash column chromatography was carried out using silica gel at increased pressure.

2. Optimization of reaction conditions

Table S1 Optimization of reaction conditions

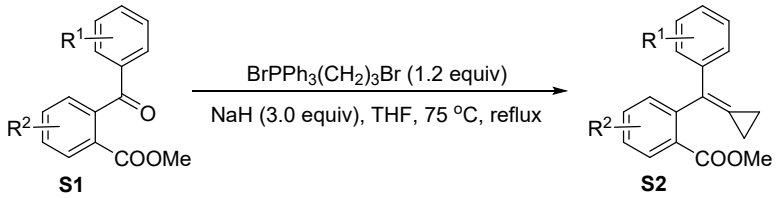


Entry	Base	Solvent[a]	T [°C]	Time	Yield/**3a** [%][b]
1	LiHMDS	Toluene	rt	24 h	54
2	LiHMDS	Toluene	0 - rt	10 h	98
3	LiHMDS	Toluene	0 - 50	1.5 h	86
4	**KHMDS**	**Toluene**	**0 - 50**	**1.5 h**	**96**
5	LDA	Toluene	0 - 50	1.5 h	14
6	^{t}BuOK	Toluene	0 - 50	1.5 h	0
7	DBU	Toluene	0 - 50	1.5 h	0
8	K_2CO_3	Toluene	0 - 50	1.5 h	0
9	K_3PO_4	Toluene	0 - 50	1.5 h	0
10	NaOH	Toluene	0 - 50	1.5 h	0
11	KHMDS	MeCN	0 - 50	1.5 h	0
12	KHMDS	DCE	0 - 50	1.5 h	0
13	KHMDS	THF	0 - 50	1.5 h	94
14	KHMDS	Toluene	0 - 50	1.5 h	95[c]

Reaction conditions: **1a** (0.2 mmol, 1.0 equiv), **2a** (0.24 mmol, 1.2 equiv), base (0.4 mmol, 2.0 equiv), solvent, T °C, time, quenched by water. [a] Except DBU, K_2CO_3, K_3PO_4 and NaOH, bases were dissolved in THF, thus solvents are mixed solvents in these entries in fact. [b] ^{1}H NMR yield using 1,3,5-trimethoxybenzene as an internal standard. [c] Isolated yield.

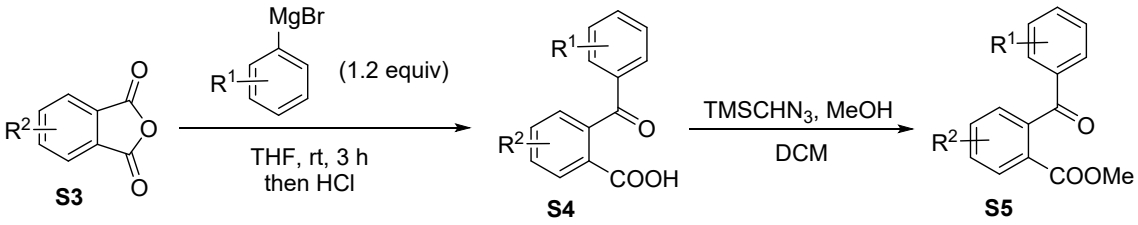
3. Procedures for preparation of reactants

General procedure for preparation of methyl esters tethered MCP groups:



A solution of 3-bromopropyltriphenylphosphonium bromide (2.4 mmol, 1.2 equiv) and NaH (6.0 mmol, 3.0 equiv) in 5 mL THF was stirred at 75 °C in an oil bath under Ar for 30 min. Afterward, a solution of compound **S1** (2.0 mmol, 1.0 equiv) in 3 mL THF was added, and the reaction solution was stirred at 75 °C in the same oil bath for 8 h. Upon completion, the reaction was cooled to room temperature, and the mixture was filtered through a Celite. The filtrate was concentrated under reduced pressure, and the residue was purified by a silica gel chromatography (EtOAc:hexane = 1:100) to afford the corresponding products (**S2**).

General procedure A for preparation of methyl benzoylbenzoate:

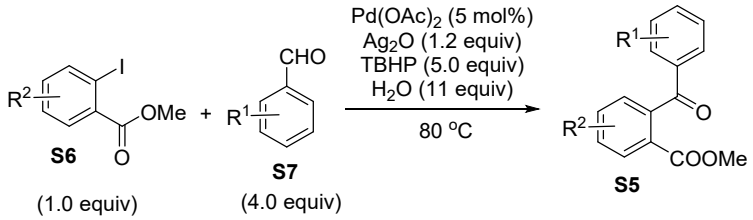


To a solution of phthalic anhydride (**S3**) (10 mmol, 1.0 equiv) in THF (20 mL) was added Grignard reagent (12 mmol, 1.2 equiv) at 0 °C. The reaction solution was stirred at room temperature for 3 h, quenched with 2 M HCl (10 mL), extracted with EtOAc (3 x 8 mL), dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. Purification by chromatography on silica gel (EtOAc:hexane) afforded **S4**.

To a solution of **S4** (5 mmol, 1.0 equiv) in 20 mL DCM was added 1 mL MeOH, then azidotrimethylsilane was added into the reaction solution dropwise until no obvious bubbles could be observed. Purification by chromatography on silica gel (EtOAc:hexane) afforded **S5**.

This method was applied for the synthesis of substrates **1b**, **1c**, **1d**, **1h**, **1i**, and **1j**.

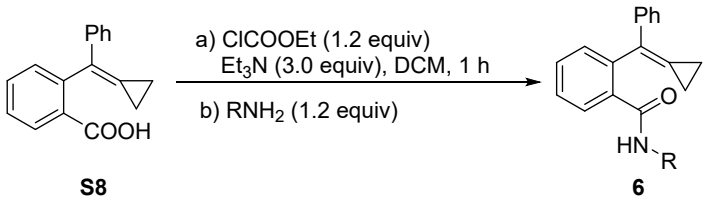
General procedure B for preparation of methyl benzoylbenzoate:



S6 was prepared with the similar procedures according to the previous protocol.[1]

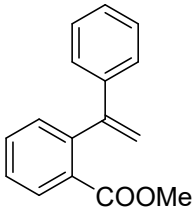
1a, **1b**, **1c**, **1d**, **1g**, **1h**, **1i**, **1j**, **1k**, **1l**, **1m** and **1n** are known compounds that have been synthesized in our previous work.[2]

This method was applied for the synthesis of substrates **1e**, **1f**, **1g**, **1k**, **1l**, **1m**, and **1n**.



The preparation of **S8** was according to the previous literature.[2]

S8 (2 mmol, 1.0 equiv) was added into a dried flask, protected with argon, and then 5 mL dried DCM was injected. Followed by adding Et_3N (6 mmol, 3.0 equiv), ethyl chloroformate (2.4 mmol, 1.4 equiv) was added slowly, and the resulting reaction mixture was stirred for 1 h at room temperature. Afterward, amines were added into the solution dropwise. After 30 min, the reaction solution was quenched with aqueous NH_4Cl, extracted with EtOAc, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure, and then the residue was purified with a silica gel column chromatography using PE:EtOAc (6:1) as the eluent.



8

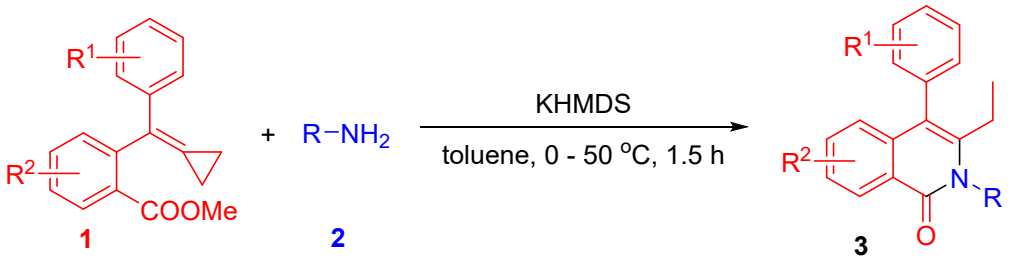
The preparation of **8** was according to the previous literature.[2]

Ref. 1. B. Suchand and G. Satyanarayana, *J. Org. Chem.*, 2016, **81**, 6409-6423.

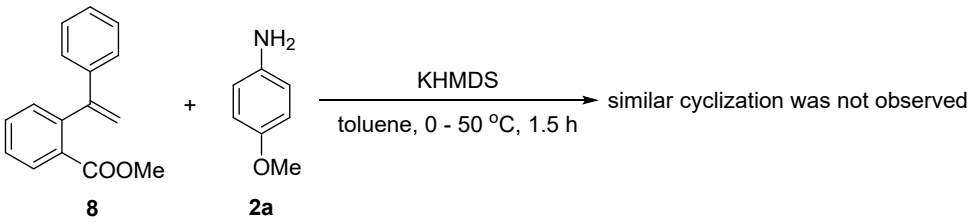
Ref. 2. Wei, H.-Z., Wei, Y. and Shi, M. *Org. Chem. Front*. 2021, **8**, 4527-4532.

Ref. 3. H. Yang, X.-H. Duan, J.-F. Zhao and L.-N. Guo, *Org. Lett.*, 2015, **17**, 1998–2001.

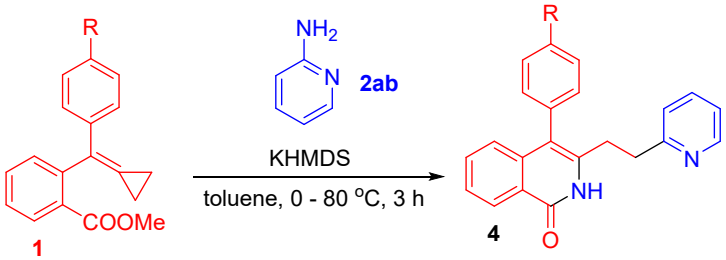
4. General procedure of cyclization reactions



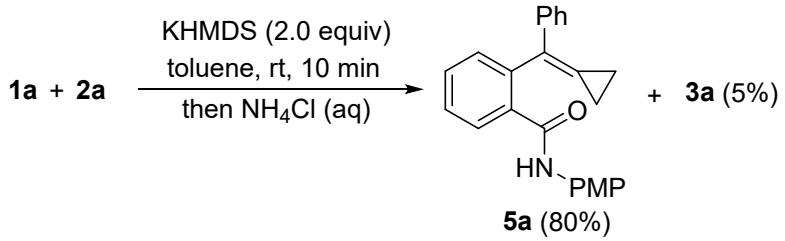
First, the methyl ester **1** (0.2 mmol) and the aromatic amine **2** (0.24 mmol) were added into a dried flask, protected by argon atmosphere. Then 2 mL dried toluene was added, and the reaction solution was cooled to 0 °C in an ice water bath. Afterward, 0.4 mL KHMDS (1 M in THF) was added into the solution slowly. Subsequently, the flask was heated at 50 °C for 1.5 h. Followed by quenching with water, extracted with EtOAc for three times, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure, the residue was purified with a silica gel column chromatography using PE/EtOAc as the eluent (PE:EtOAc = 4:1). The gram scale reaction was carried out according to the same procedure.

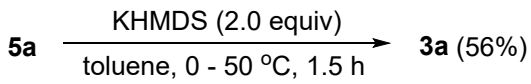


When we applied **8** instead of **1a** for the reaction, the similar cyclization was not observed and only S_N2 reaction product was obtained.



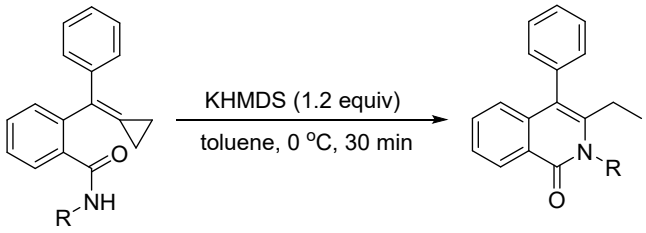
First, the methyl ester **1** (0.2 mmol) and the aromatic amine **2** (0.24 mmol) were added into a dried flask, protected by argon atmosphere. Then 2 mL dried toluene was added, and the reaction solution was cooled to 0 °C in an ice water bath. Afterward, 0.4 mL KHMDS (1 M in THF) was added into the solution slowly. Subsequently, the flask was heated at 80 °C for 3 h. Followed by quenching with water, extracted with EtOAc for three times, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure, the residue was purified with a silica gel column chromatography using EtOAc (a small amount of Et_3N was added) as the eluent.





First, **1a** (0.2 mmol) and **2a** (0.24 mmol) were added into a dried flask, protected by argon atmosphere. Then 2 mL dried toluene was added, and the reaction mixture was stirred at room temperature. Subsequently, 0.4 mL KHMDS (1.0 M in THF) was added into the solution slowly. The reaction was quenched with saturated aqueous NH_4Cl in 10 minutes. The desired product **3a** was observed in 5% yield from the crude ^1H NMR spectroscopic data, and **5a** was isolated in 80% yield.

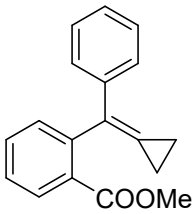
Afterward, compound **5a** (0.1 mmol) was added into a dried flask, protected by argon atmosphere. Then 2.0 mL dried toluene was added, and the reaction solution was cooled to 0 °C in an ice water bath. Then 0.2 mL KHMDS (1.0 M in THF) was added into the solution slowly. Subsequently, the flask was heated at 50 °C for 1.5 h. Followed by quenching with water, extracted with EtOAc for three times, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure, the residue was purified with a silica gel column chromatography using PE/EtOAc as the eluent (PE:EtOAc = 4:1), affording **3a** in 56% yield.



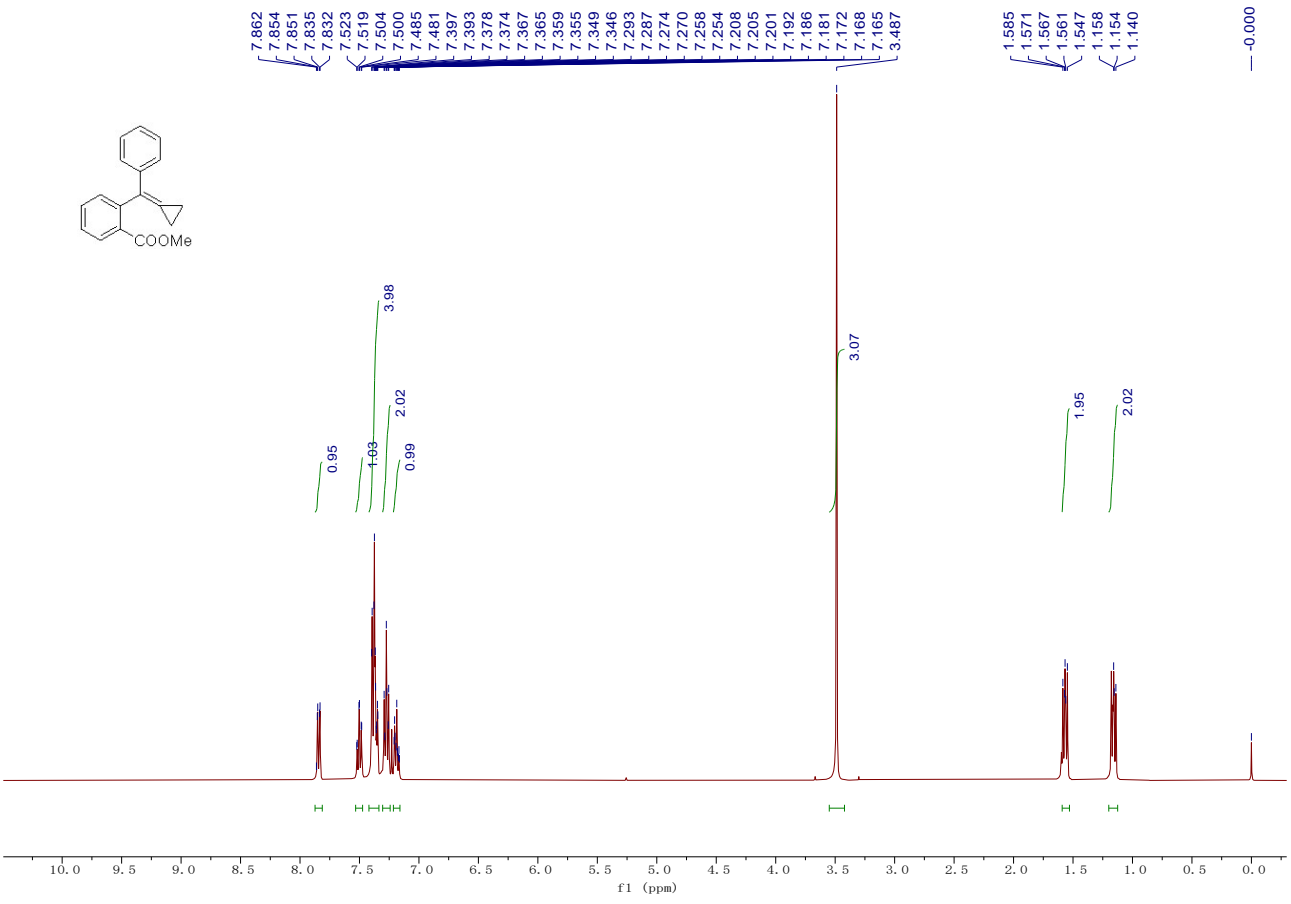
6 **7**

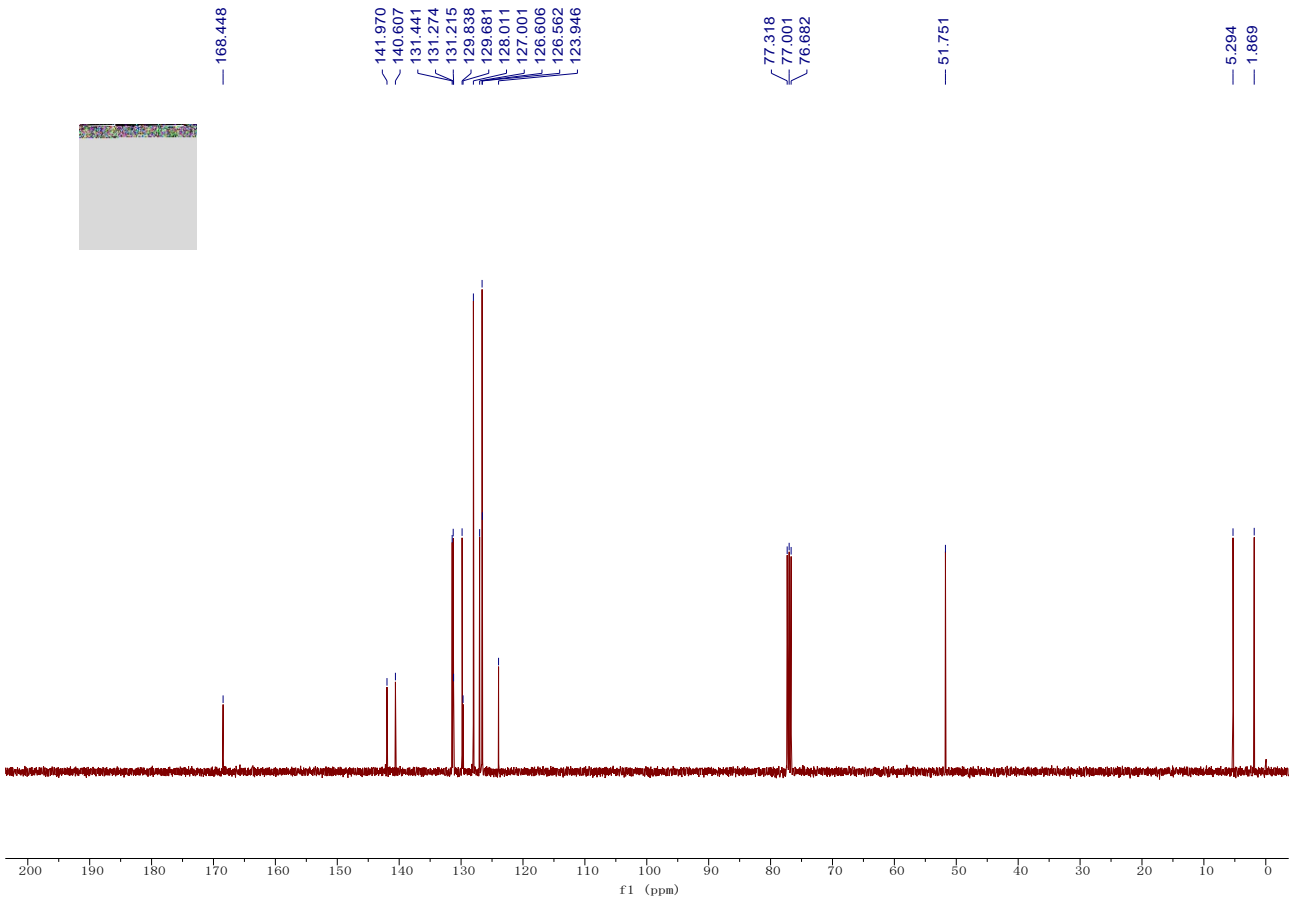
First, compound **6** (0.2 mmol) was added into a dried flask, protected by argon atmosphere. Then 2 mL dried toluene was added, and the reaction solution was cooled to 0 °C in an ice water bath. Afterward, 0.24 mL KHMDS (1.0 M in THF) was added into the solution slowly. Subsequently, the reaction mixture in the flask was stirred at 0 °C for 30 min. Followed by quenching with water, extracted with EtOAc for three times, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure, the residue was purified with a silica gel column chromatography using PE:EtOAc (10:1) as the eluent.

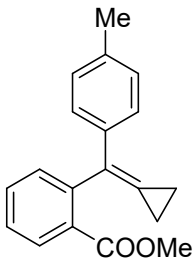
5. Characterization and spectra charts



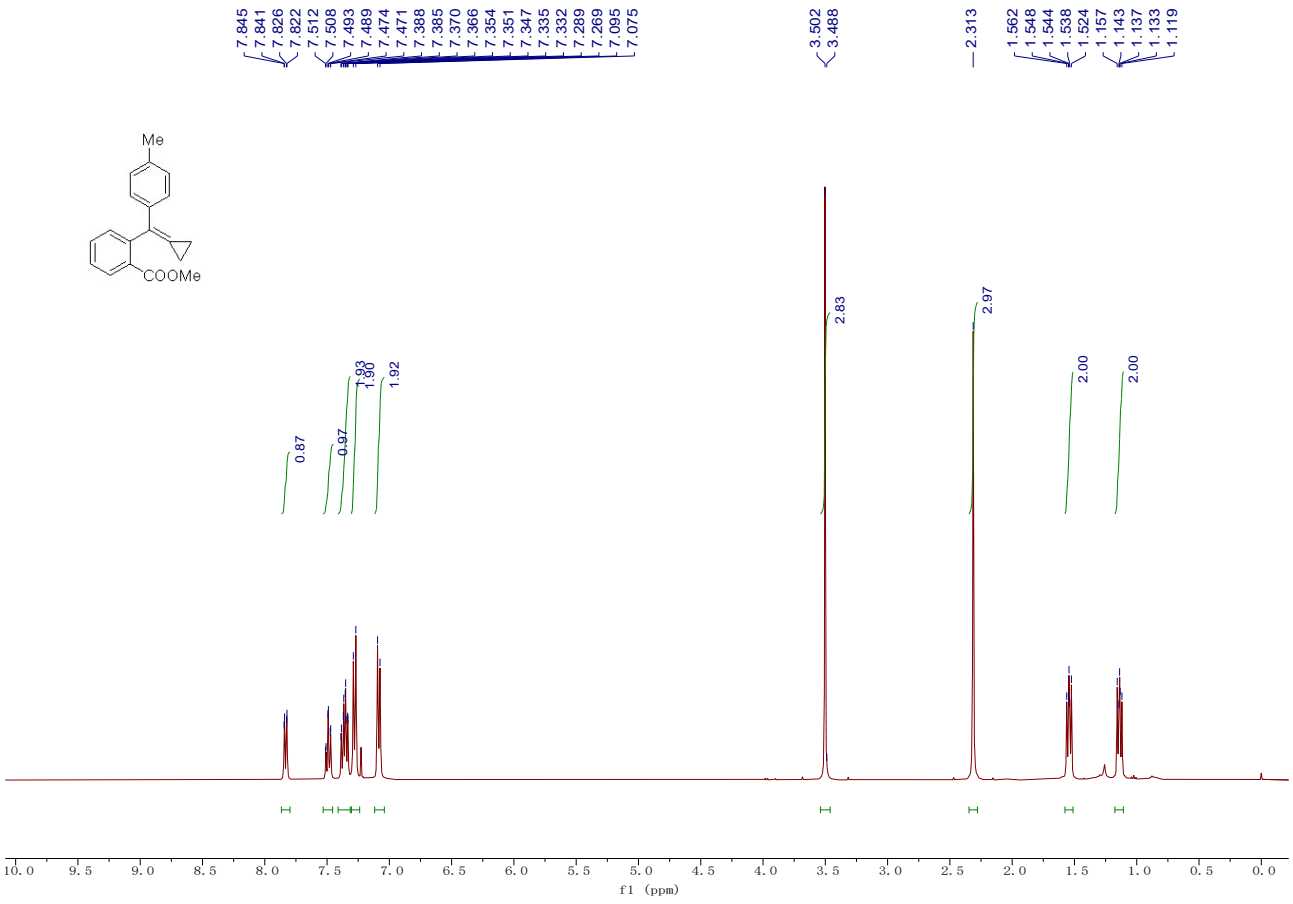
Compound 1a: Yield: 0.43 g, 81%; A white solid; this is a known compound;[2] ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.50 (td, *J* = 7.5, 1.5 Hz, 1H), 7.42 – 7.34 (m, 4H), 7.31 – 7.24 (m, 2H), 7.21 – 7.16 (m, 1H), 3.49 (s, 3H), 1.59 – 1.53 (m, 2H), 1.20 – 1.12 (m, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.4, 142.0, 140.6, 131.4, 131.3, 131.2, 129.8, 129.7, 128.0, 127.0, 126.61, 126.56, 123.9, 51.8, 5.3, 1.9.







Compound 1b: Yield: 0.29 g, 40%; A yellow faint oil; this is a known compound;[2] ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.83 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.49 (td, *J* = 7.5, 1.5 Hz, 1H), 7.41 – 7.31 (m, 2H), 7.28 (d, *J* = 7.9 Hz, 2H), 7.08 (d, *J* = 7.9 Hz, 2H), 3.50 (s, 3H), 2.31 (s, 3H), 1.58 – 1.51 (m, 2H), 1.18 – 1.11 (m, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.6, 142.1, 137.8, 136.2, 131.4, 131.24, 131.22, 129.8, 129.5, 128.7, 126.9, 126.5, 122.9, 51.8, 21.1, 5.2, 1.8.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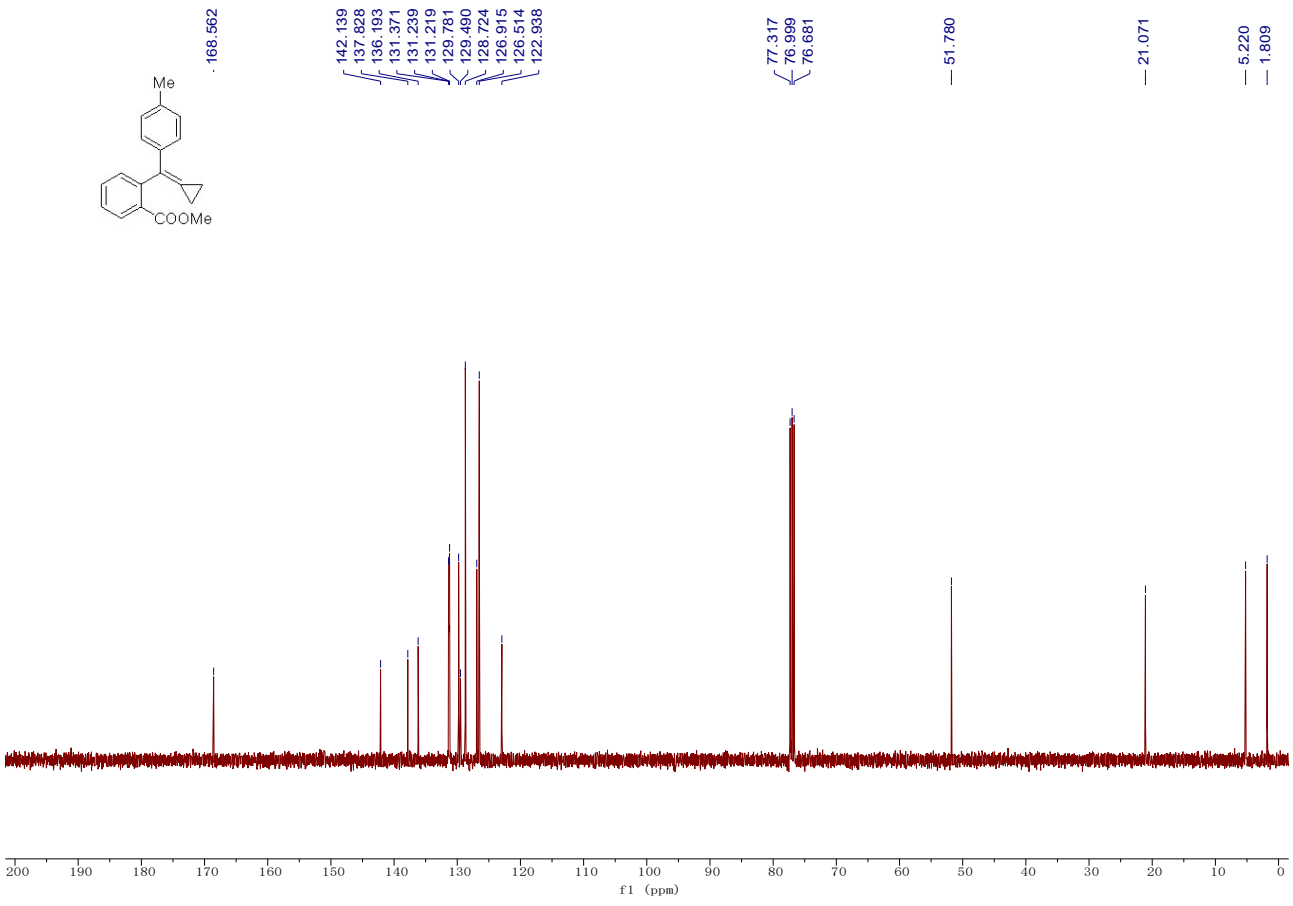
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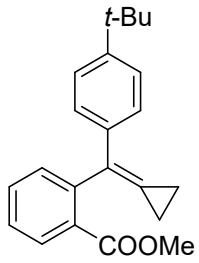
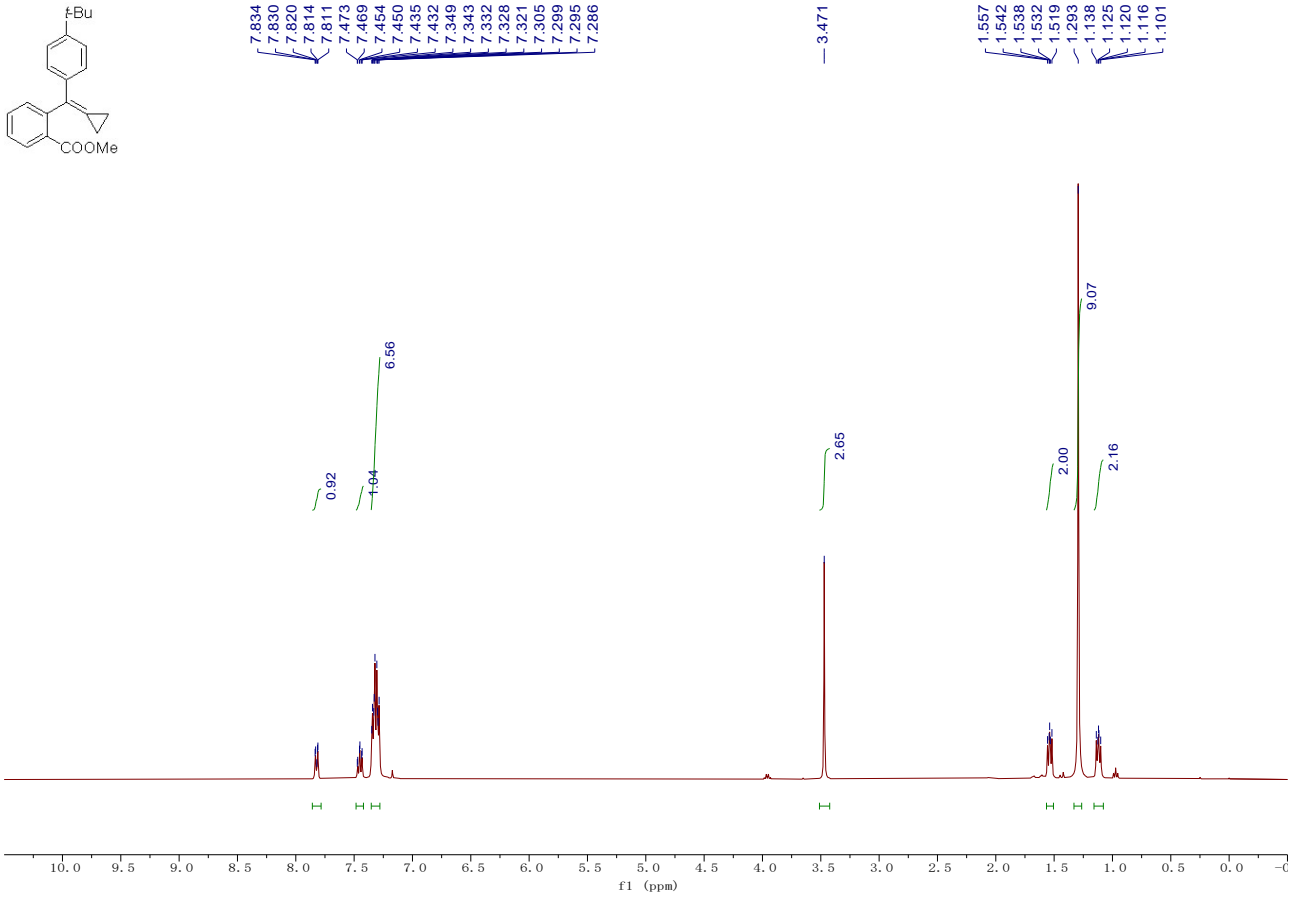
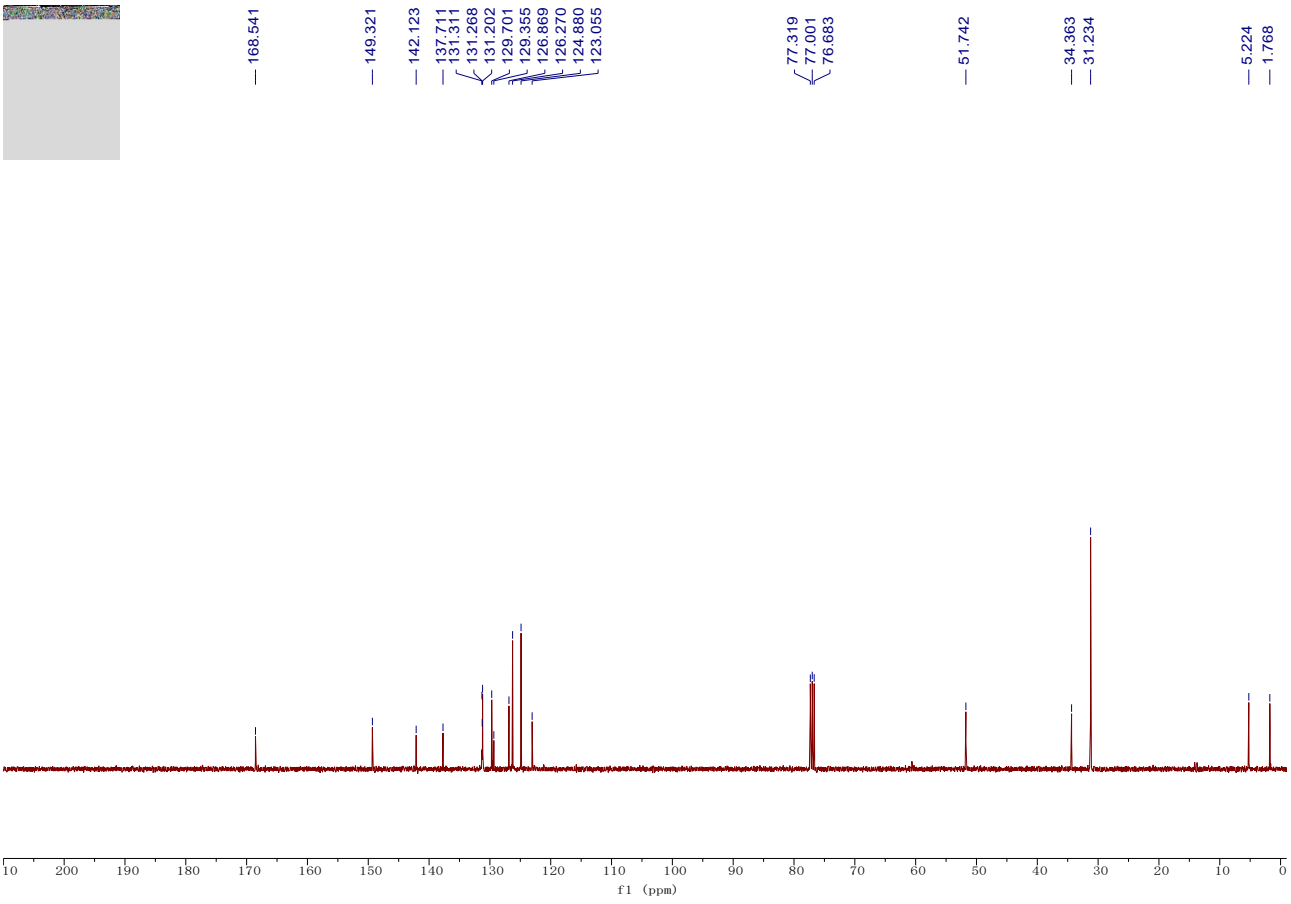
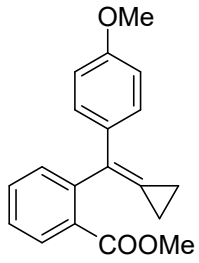


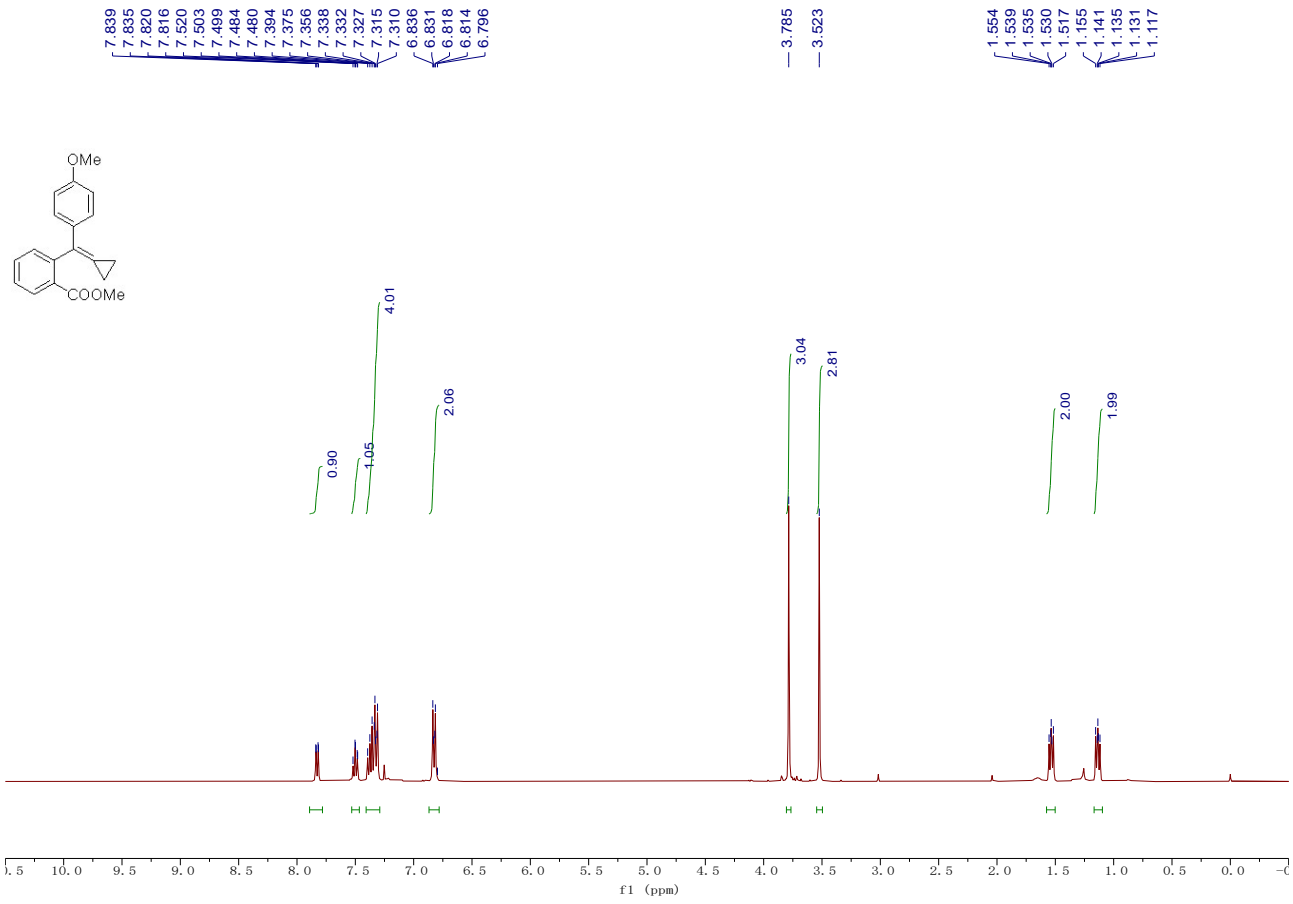
Compound 1c: Yield: 0.35 g, 55%; A yellow faint oil; this is a known compound;[2] ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.86 – 7.78 (m, 1H), 7.45 (t, *J* = 7.4 Hz, 1H), 7.37 – 7.26 (m, 6H), 3.47 (s, 3H), 1.58 – 1.50 (m, 2H), 1.29 (s, 9H), 1.16 – 1.08 (m, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.5, 149.3, 142.1, 137.7, 131.31, 131.27, 131.2, 129.7, 129.4, 126.9, 126.3, 124.9, 123.1, 51.7, 34.4, 31.2, 5.2, 1.8.







Compound 1d: Yield: 0.28 g, 47%; A yellow faint oil; this is a known compound;[2] ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 – 7.81 (m, 1H), 7.53 – 7.47 (m, 1H), 7.41 – 7.29 (m, 4H), 6.82 (d, *J* = 8.8 Hz, 2H), 3.79 (s, 3H), 3.52 (s, 3H), 1.58 – 1.50 (m, 2H), 1.17 – 1.10 (m, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.6, 158.3, 142.2, 133.5, 131.4, 131.3, 131.2, 129.8, 129.1, 127.8, 126.9, 121.9, 113.4, 55.2, 51.8, 5.2, 1.8.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1.135
1.131
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COOMe
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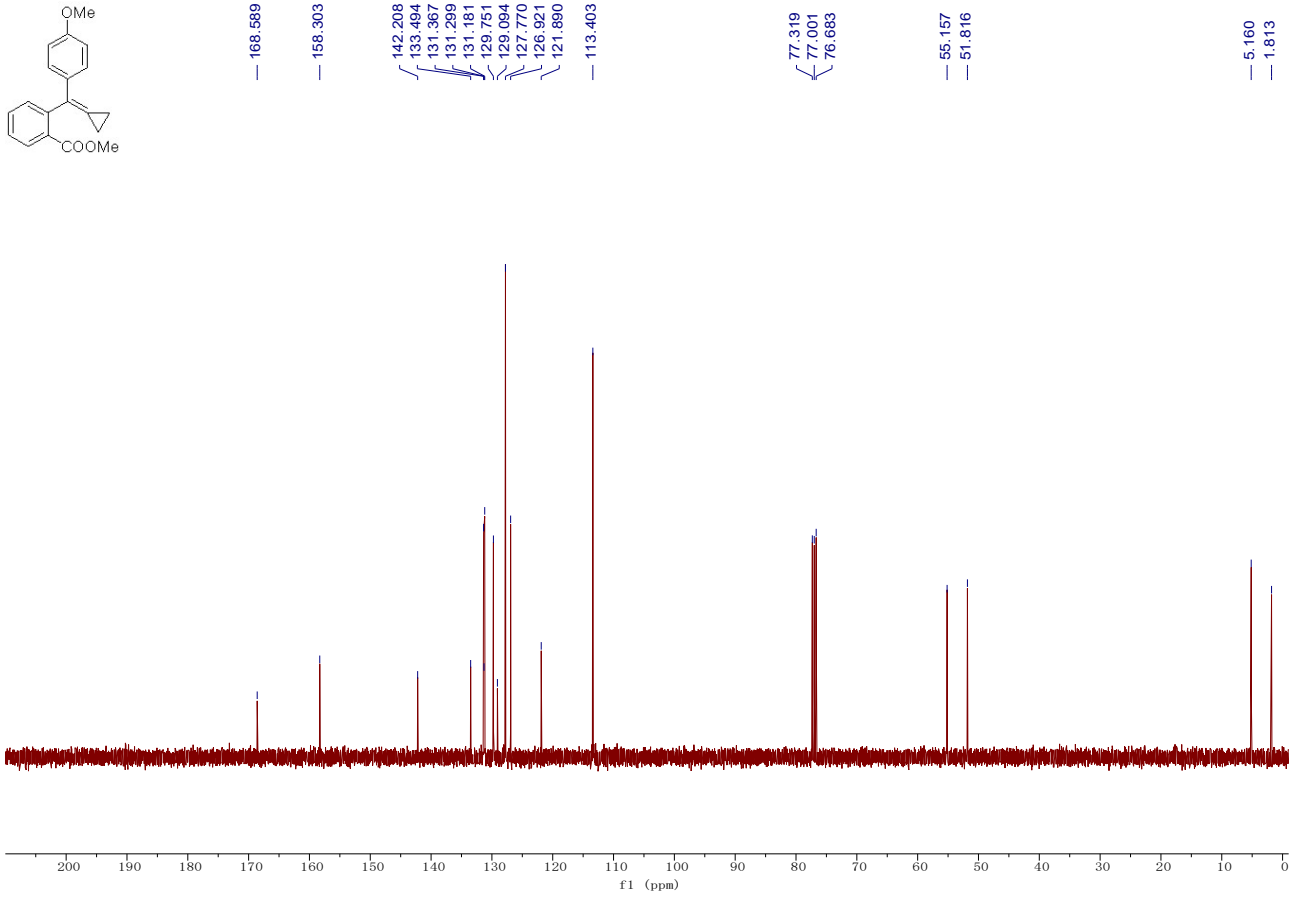
f1 (ppm)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COOMe
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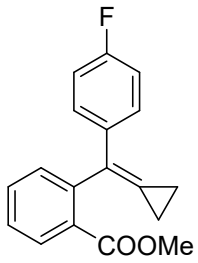
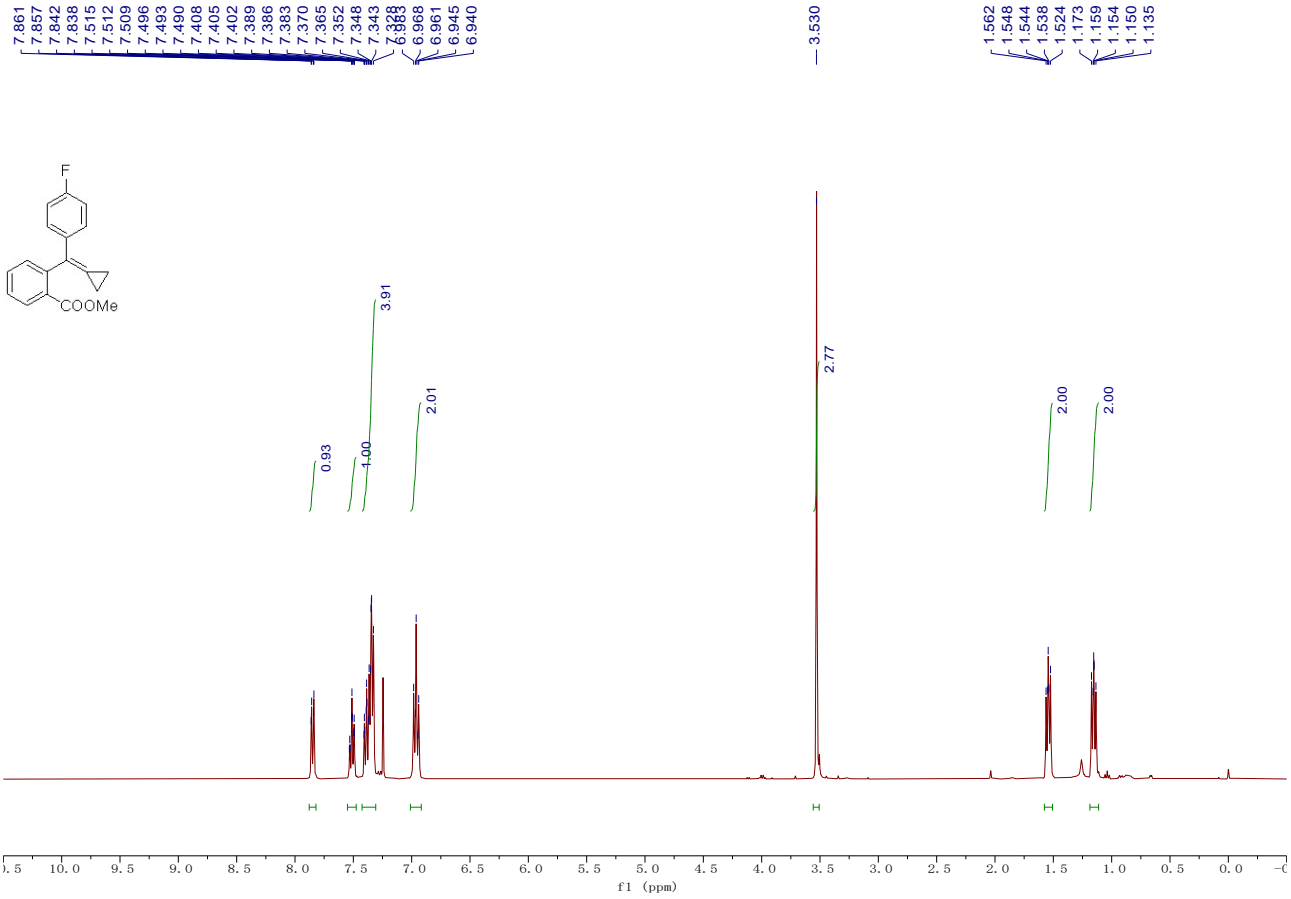
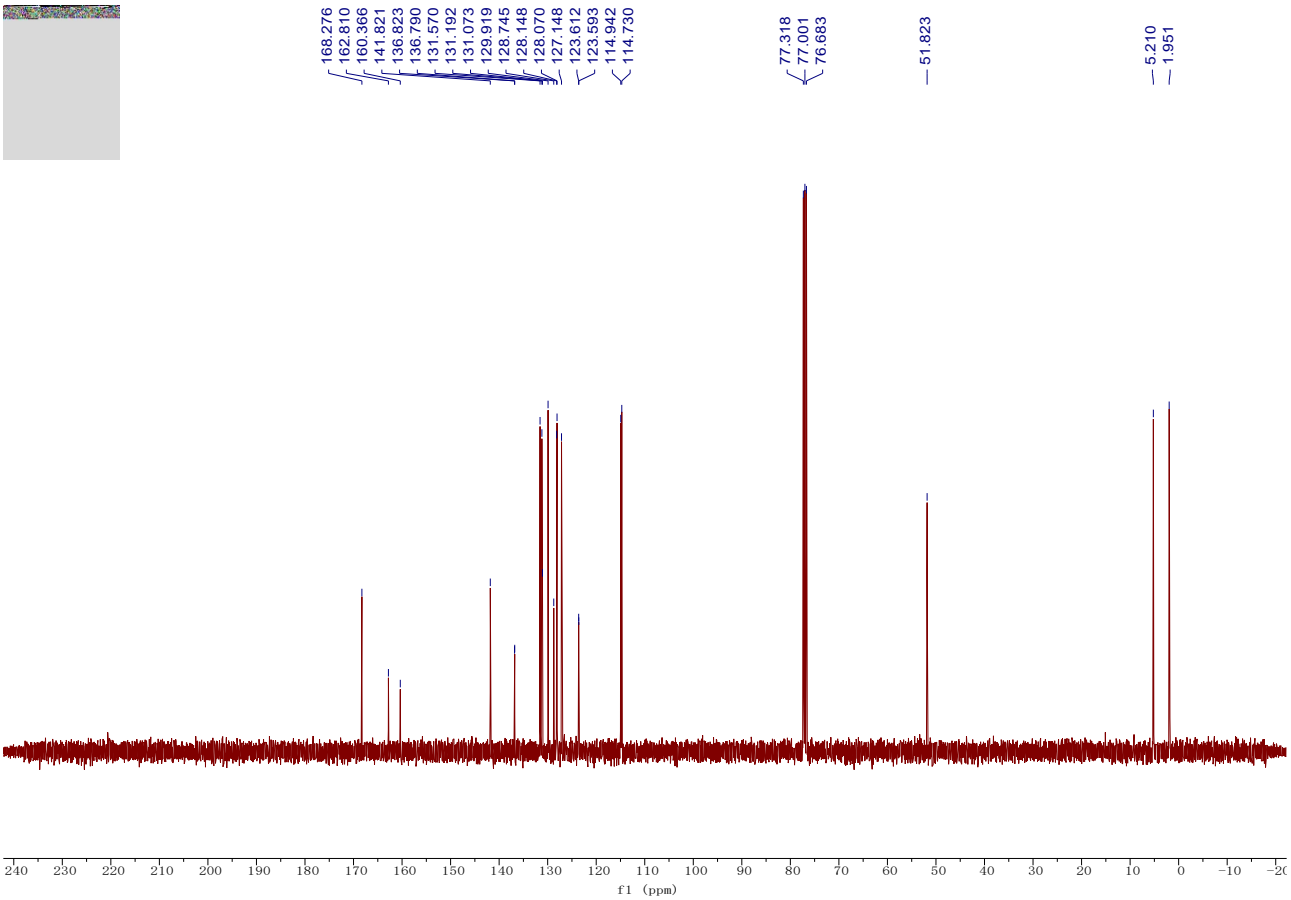
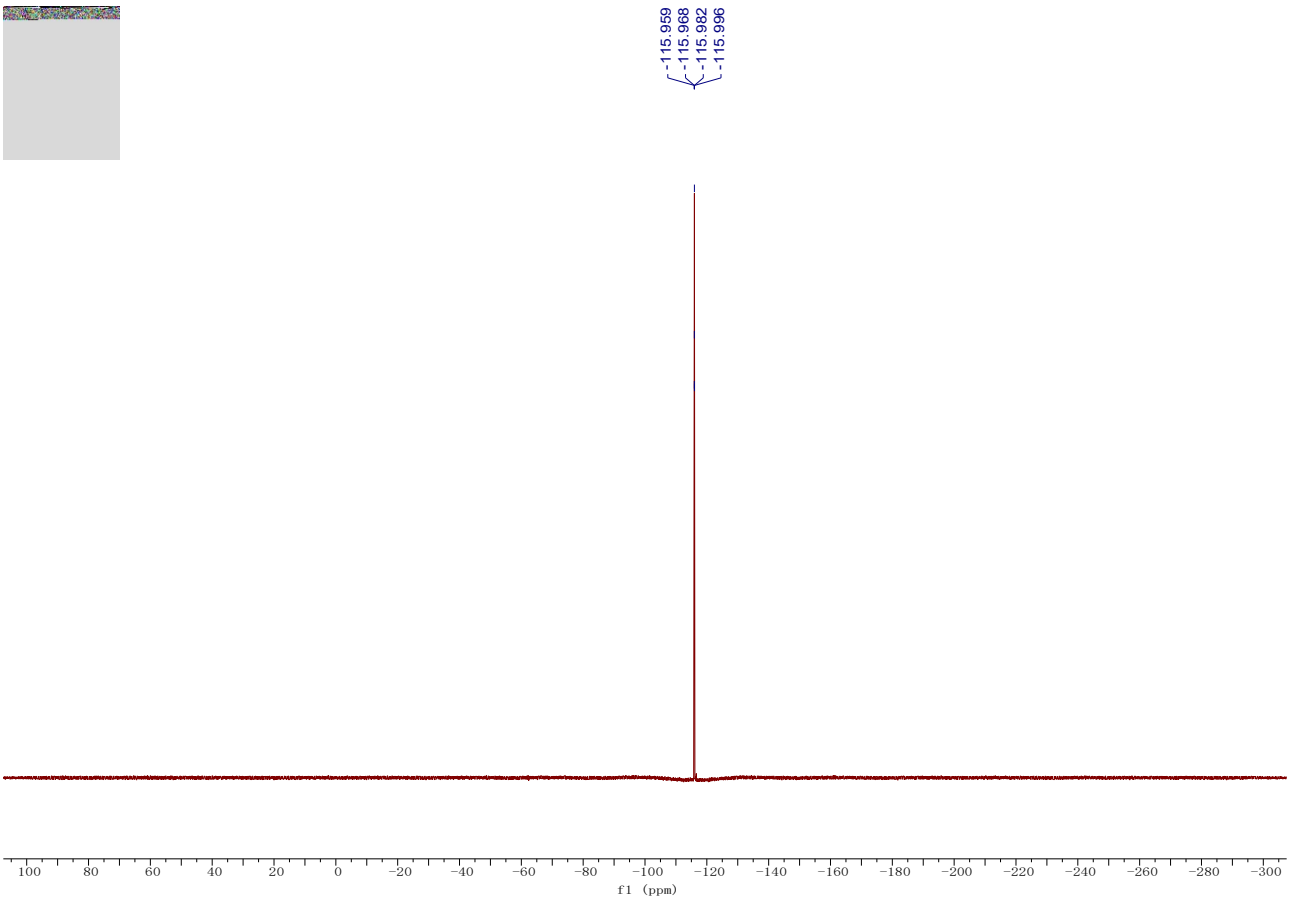
5.160
1.813
f1 (ppm)

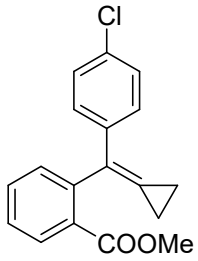


Compound 1e: Yield: 0.32 g, 56%; A yellow faint oil; Isolated by column chromatography on silica gel (PE/EtOAc = 100:1, R_f = 0.2); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.88 – 7.82 (m, 1H), 7.51 (t, *J* = 7.5 Hz, 1H), 7.43 – 7.31 (m, 4H), 6.96 (t, *J* = 8.7 Hz, 2H), 3.53 (s, 3H), 1.58 – 1.50 (m, 2H), 1.20 – 1.11 (m, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.3, 161.6 (d, *J* = 245.8 Hz), 141.8, 136.8 (d, *J* = 3.3 Hz), 131.6, 131.2, 131.1, 129.9, 128.7, 128.1 (d, *J* = 7.9 Hz), 127.1, 123.6 (d, *J* = 1.9 Hz), 114.8 (d, *J* = 21.4 Hz), 51.8, 5.2, 2.0; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -115.93 – -116.03 (m); IR (neat): ν 2943, 1723, 1506, 1288, 1251, 1222, 1086, 833, 722 cm^{-1}; HRMS (EI) Calcd. for $C_{18}H_{14}FO_2$ [M-H]$^-$: 281.0972, found: 281.0975.

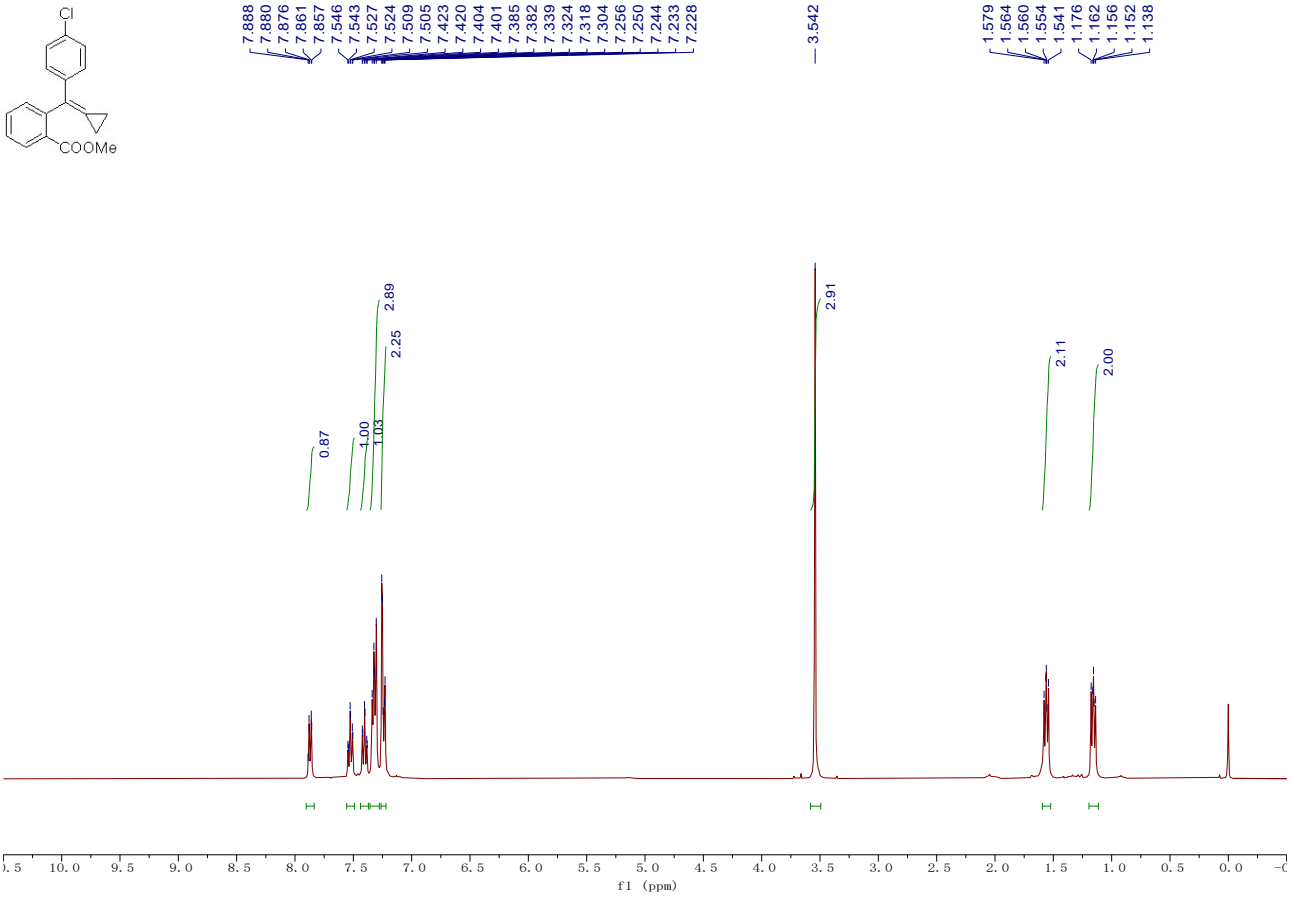


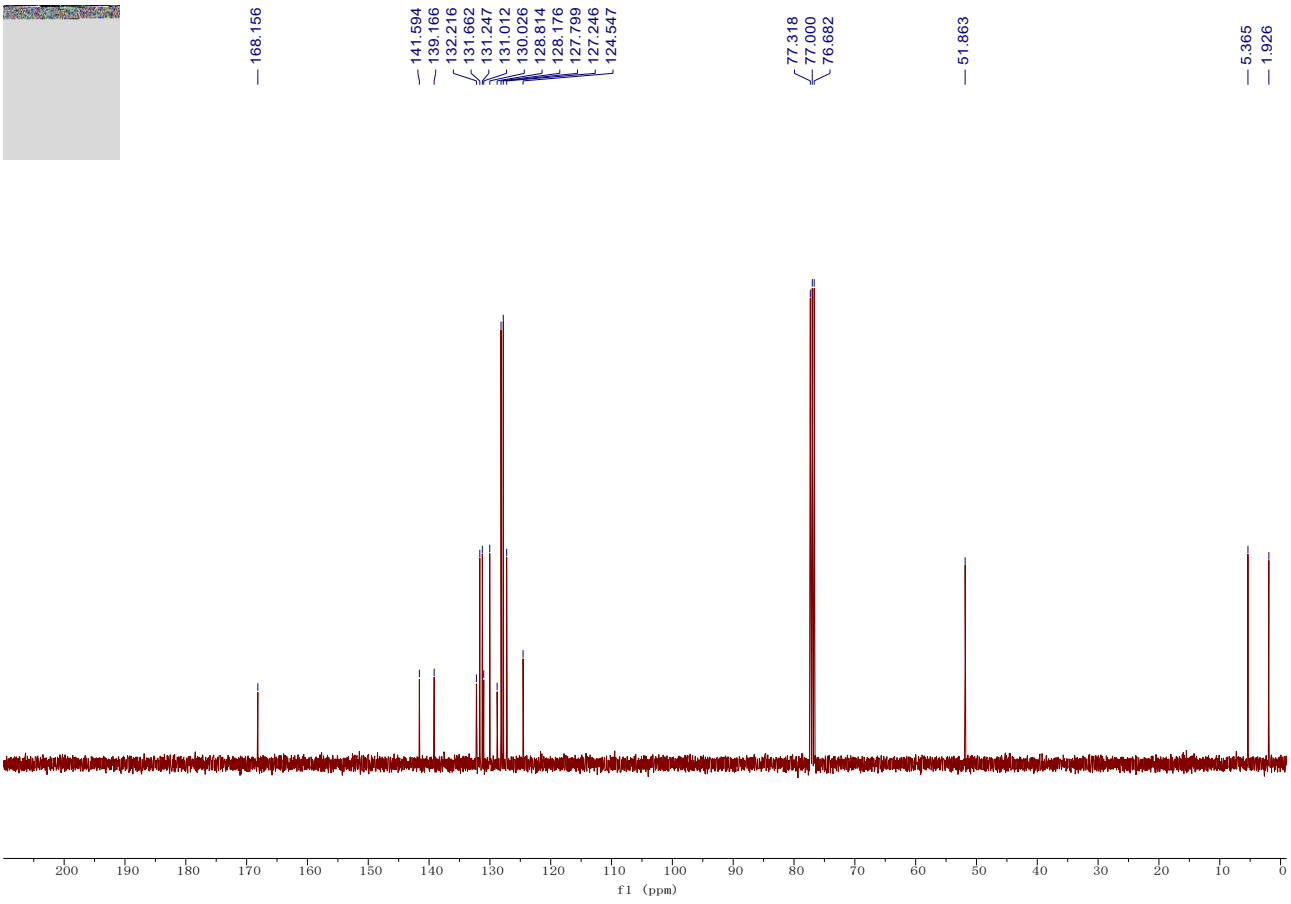

168.276
162.810
160.366
141.821
136.823
136.790
131.570
131.192
131.073
129.919
128.745
128.148
128.070
127.148
123.612
123.593
114.942
114.730
77.318
77.001
76.683
51.823
5.210
1.951
f1 (ppm)

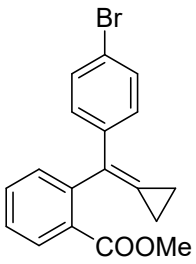

-115.959
-115.968
-115.982
-115.996
f1 (ppm)



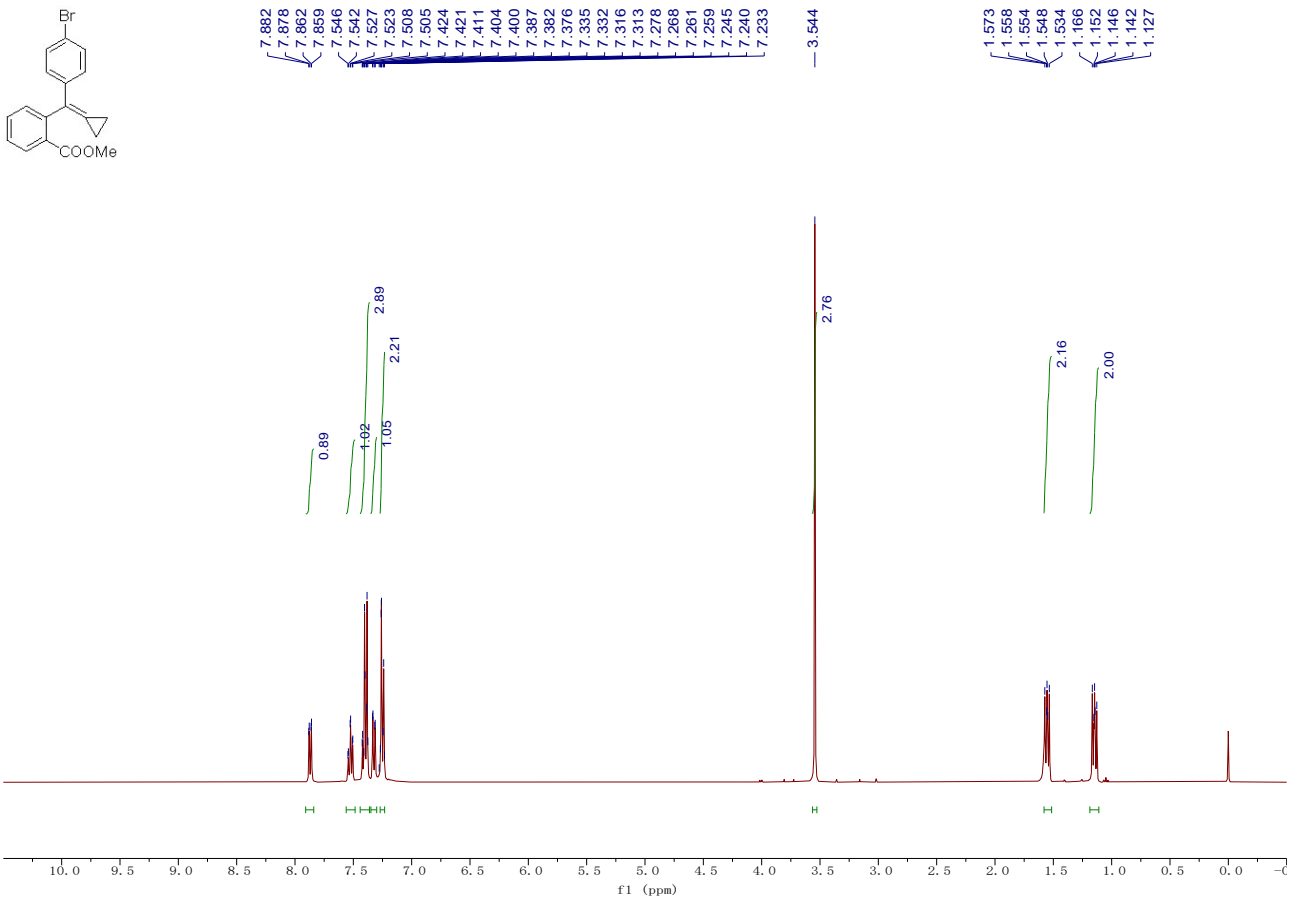
Compound 1f: Yield: 0.29 g, 49%; A white solid; Mp: 116 - 118 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 100:1, R_f = 0.3); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.87 (d, *J* = 7.5 Hz, 1H), 7.53 (t, *J* = 7.5 Hz, 1H), 7.40 (t, *J* = 7.7 Hz, 1H), 7.36 – 7.28 (m, 3H), 7.28 – 7.21 (m, 2H), 3.54 (s, 3H), 1.60 – 1.52 (m, 2H), 1.20 – 1.11 (m, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.2, 141.6, 139.2, 132.2, 131.7, 131.2, 131.0, 130.0, 128.8, 128.2, 127.8, 127.2, 124.5, 51.9, 5.4, 1.9; IR (neat): ν 2943, 1707, 1292, 1122, 1089, 818, 759 cm^{-1}; HRMS (EI) Calcd. for $C_{18}H_{14}ClO_2$ [M-H]$^-$: 297.0677, found: 297.0676.

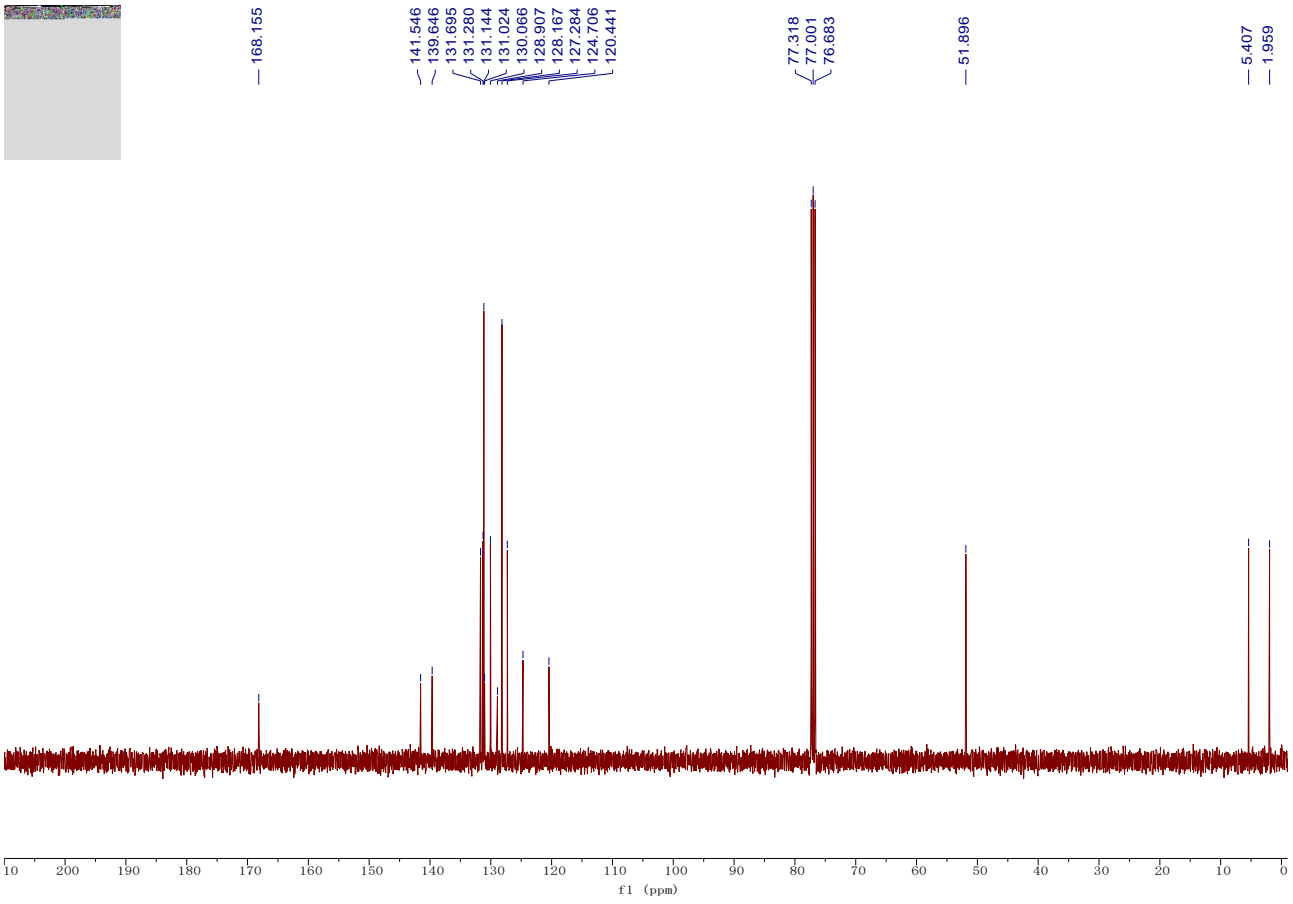


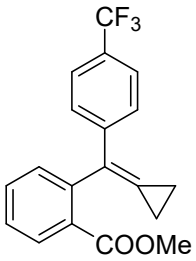




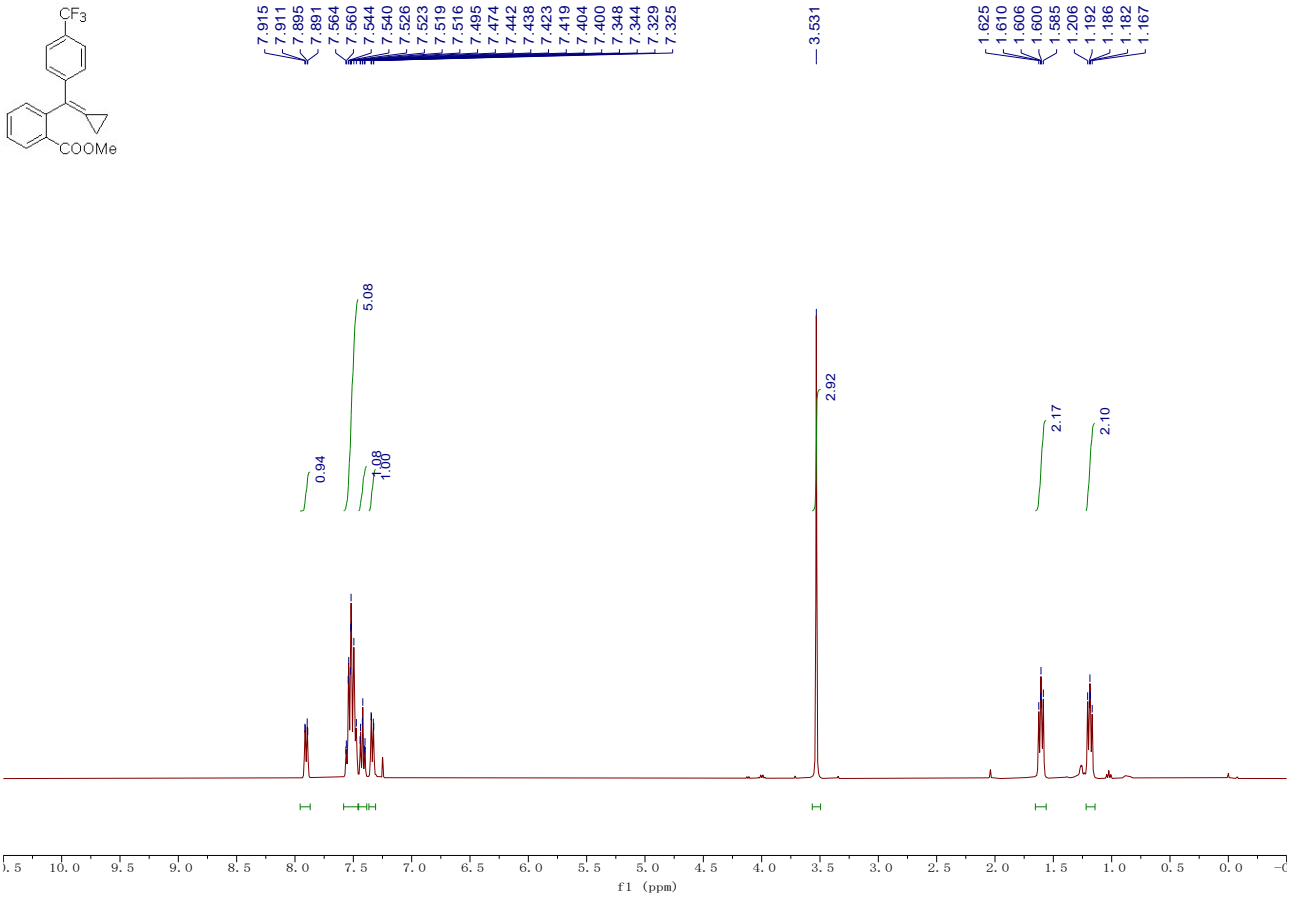
Compound 1g: Yield: 0.52 g, 76%; A white solid; this is a known compound;[2] ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.87 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.53 (td, *J* = 7.5, 1.5 Hz, 1H), 7.44 – 7.36 (m, 3H), 7.32 (dd, *J* = 7.6, 1.3 Hz, 1H), 7.27 – 7.23 (m, 2H), 3.54 (s, 3H), 1.58 – 1.51 (m, 2H), 1.19 – 1.11 (m, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.2, 141.5, 139.6, 131.7, 131.3, 131.1, 131.0, 130.1, 128.9, 128.2, 127.3, 124.7, 120.4, 51.9, 5.4, 2.0.

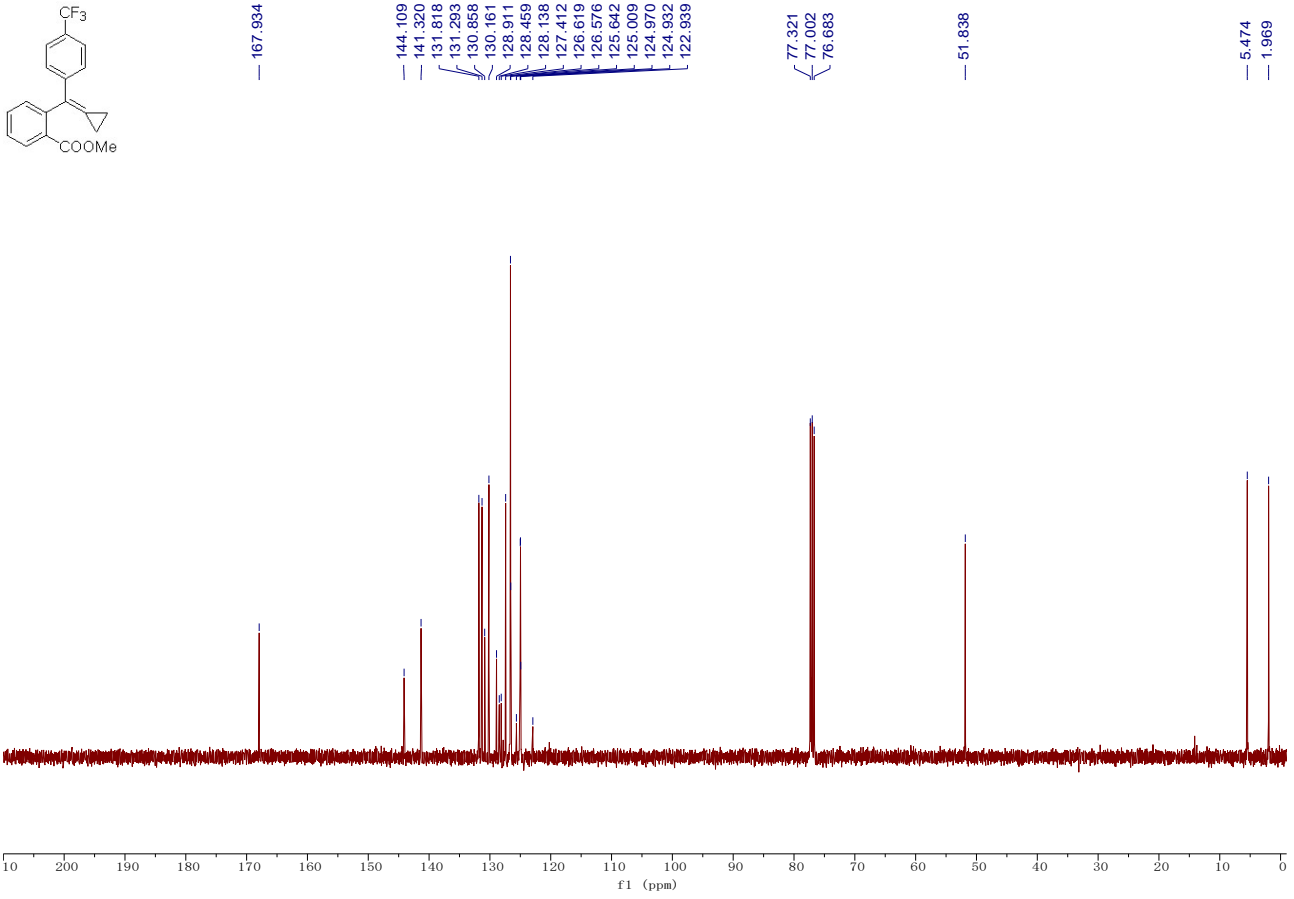

Br
COOMe
7.882
7.878
7.862
7.859
7.546
7.542
7.527
7.523
7.508
7.505
7.424
7.421
7.411
7.404
7.400
7.387
7.382
7.376
7.335
7.332
7.316
7.313
7.278
7.268
7.261
7.259
7.245
7.240
7.233
3.544
1.573
1.558
1.554
1.548
1.534
1.166
1.152
1.146
1.142
1.127
0.89
1.02
2.89
1.05
2.21
2.76
2.16
2.00
f1 (ppm)

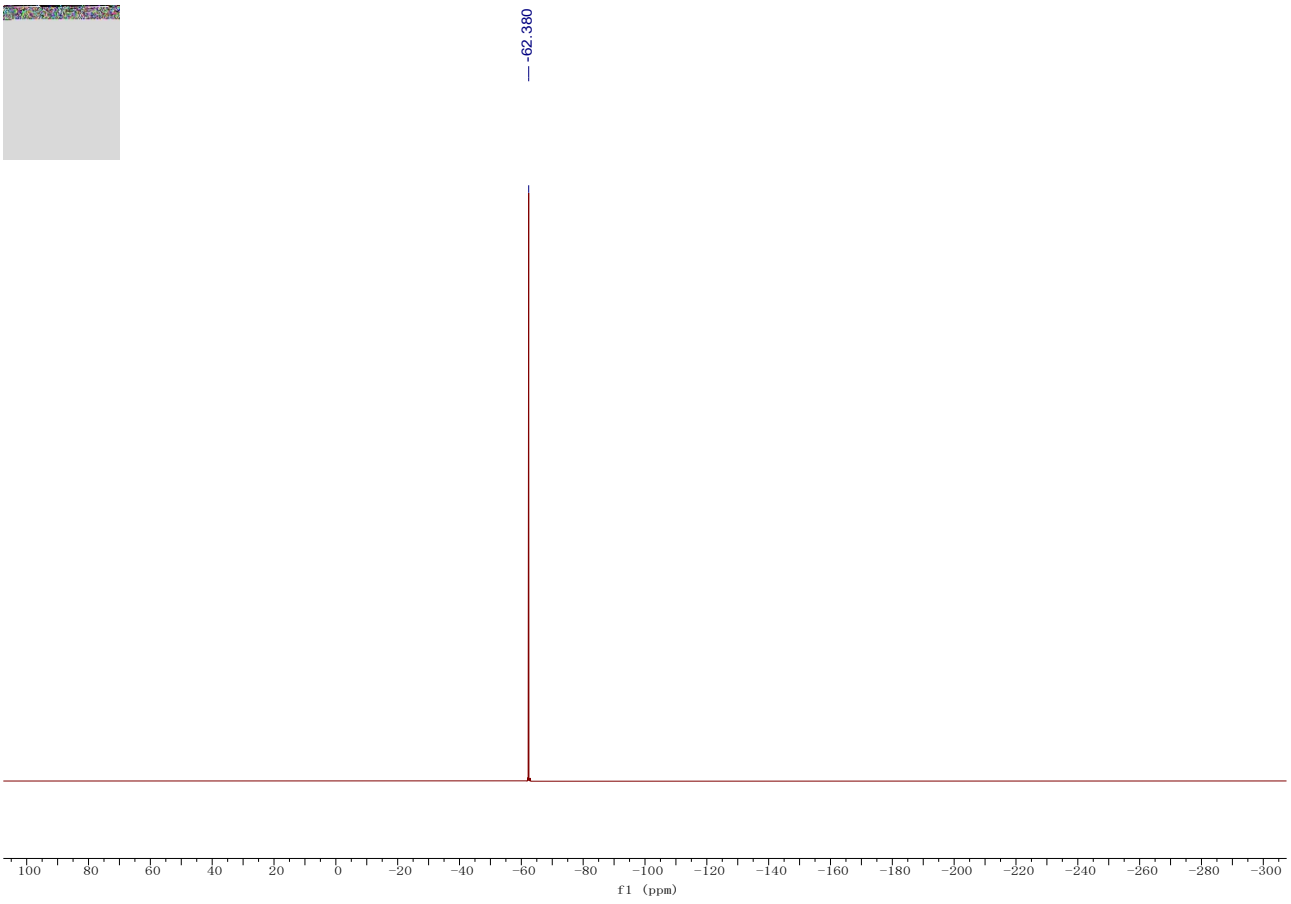


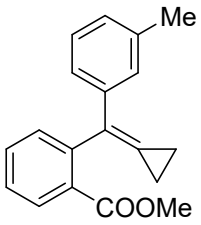


Compound 1h: Yield: 0.27 g, 40%; A yellow faint oil; this is a known compound;[2] ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.96 – 7.87 (m, 1H), 7.58 – 7.46 (m, 5H), 7.42 (td, *J* = 7.6, 1.5 Hz, 1H), 7.37 – 7.31 (m, 1H), 3.53 (s, 3H), 1.65 – 1.56 (m, 2H), 1.22 – 1.14 (m, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.9, 144.1, 141.3, 131.8, 131.3, 130.9, 130.2, 128.9, 128.3 (q, *J* = 32.3 Hz), 127.4, 126.62, 126.58, 125.0 (q, *J* = 3.8 Hz), 124.3 (q, *J* = 271.9 Hz), 51.8, 5.5, 2.0; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -62.38.

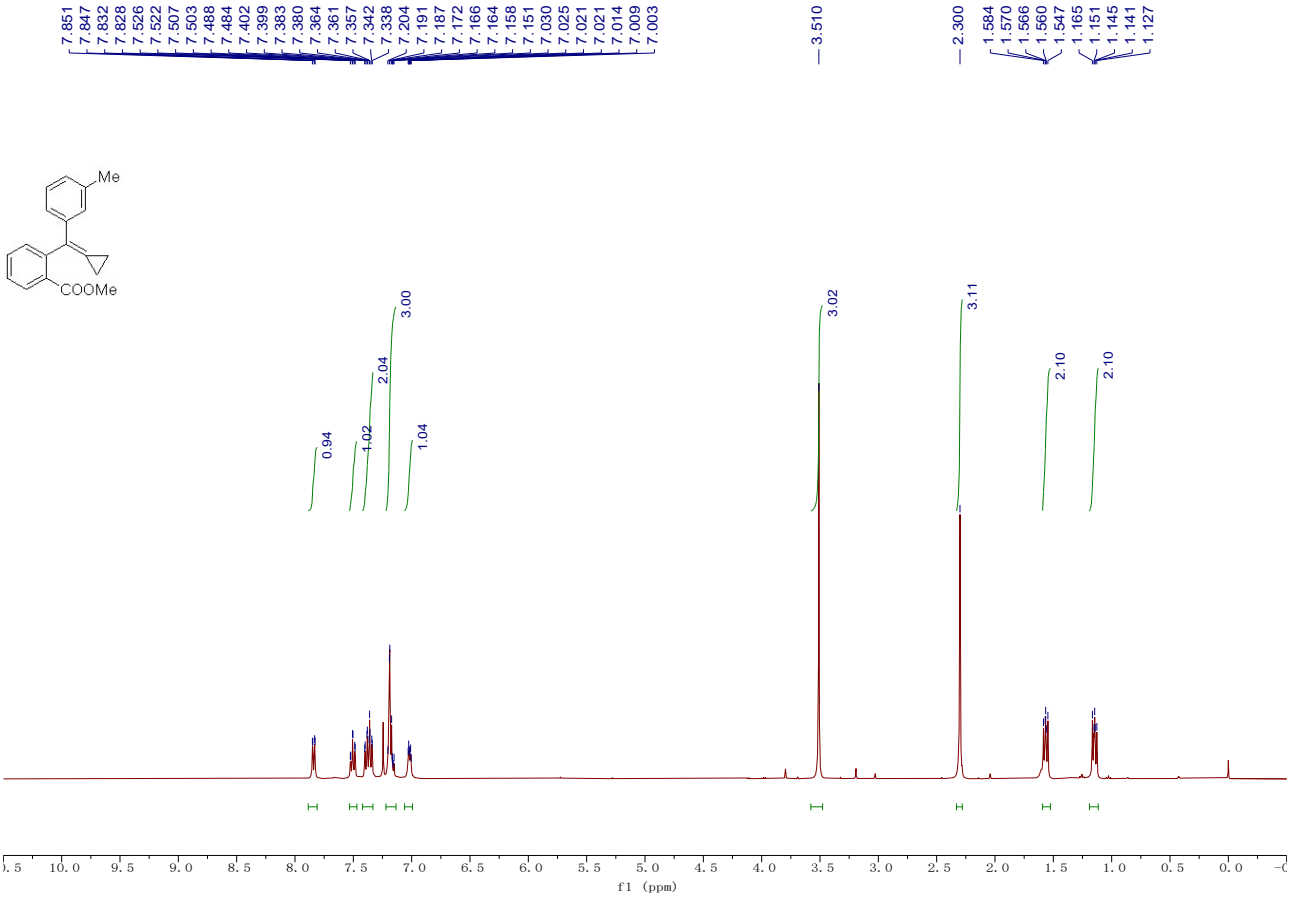

CF3
COOMe
7.915
7.911
7.895
7.891
7.564
7.560
7.544
7.540
7.526
7.523
7.519
7.516
7.495
7.474
7.442
7.438
7.423
7.419
7.404
7.400
7.348
7.344
7.329
7.325
3.531
1.625
1.610
1.606
1.600
1.585
1.206
1.192
1.186
1.182
1.167
5.08
2.92
2.17
2.10
0.94
1.08
1.00
f1 (ppm)

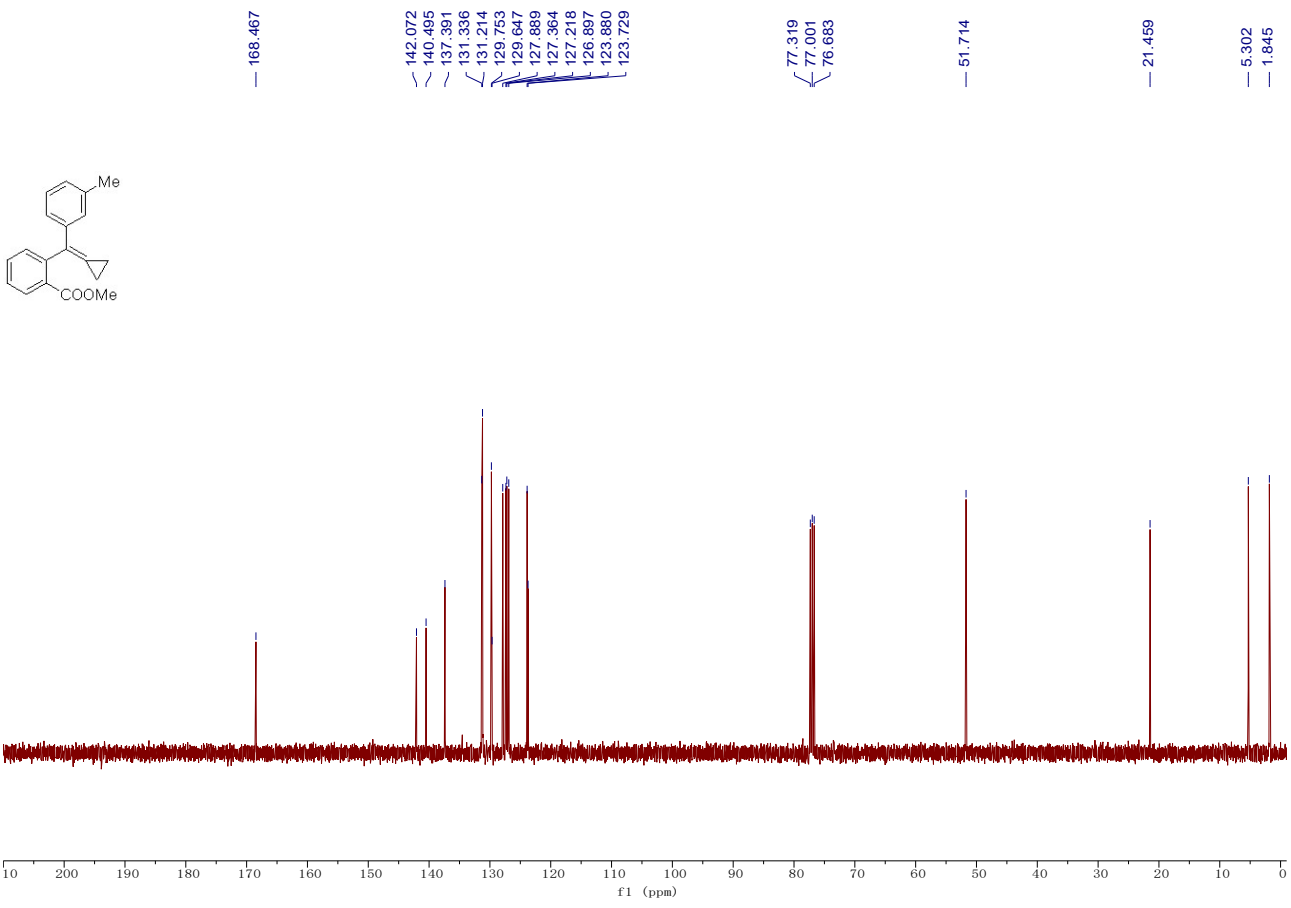

CF3
COOMe
167.934
144.109
141.320
131.818
131.293
130.858
130.161
128.911
128.459
128.138
127.412
126.619
126.576
125.642
125.009
124.970
124.932
122.939
77.321
77.002
76.683
51.838
5.474
1.969
f1 (ppm)

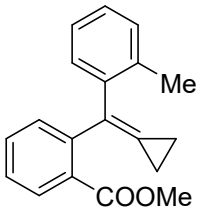




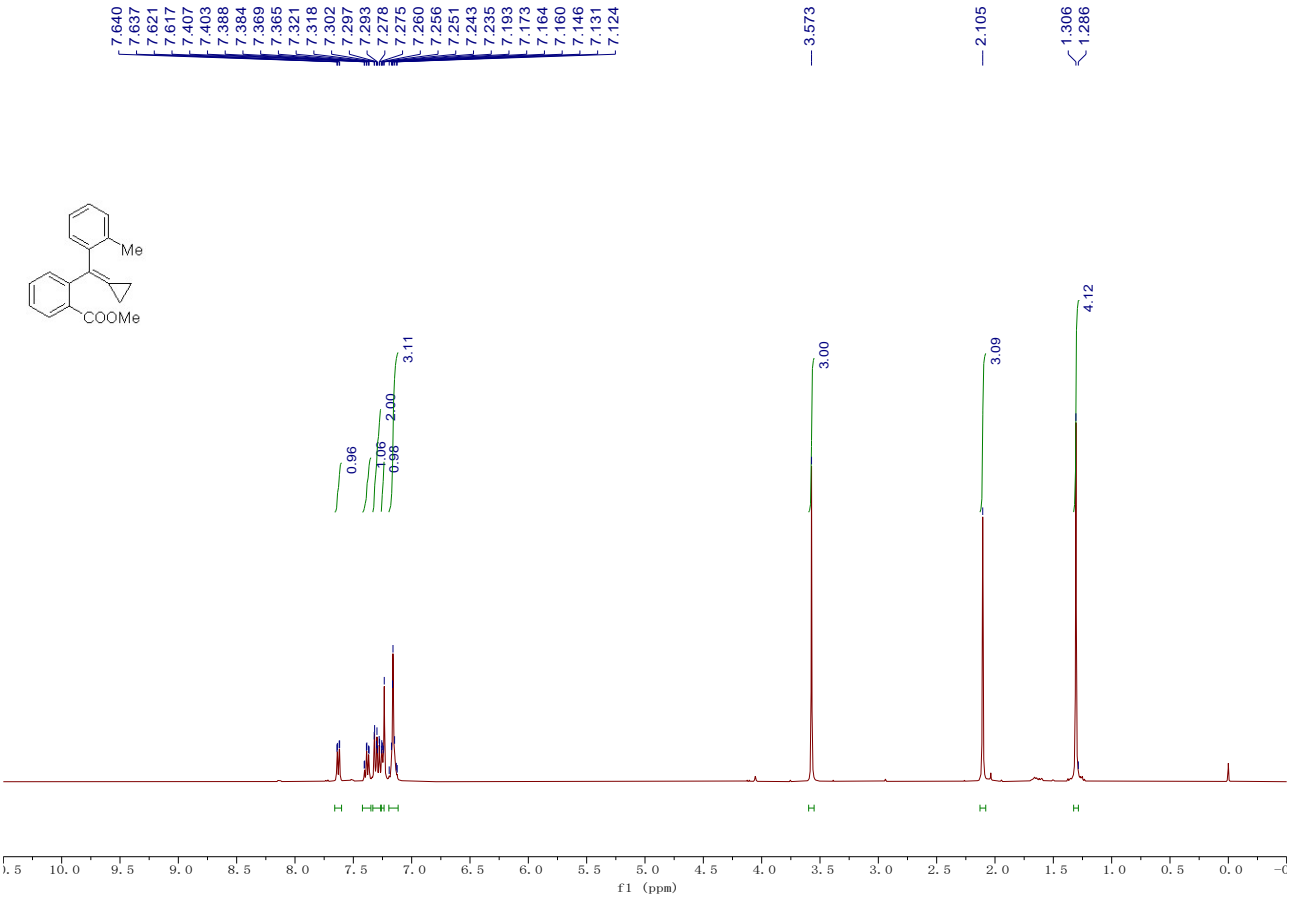
Compound 1i: Yield: 0.33 g, 59%; A yellow faint oil; this is a known compound;[2] ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 (dd, *J* = 7.7, 1.4 Hz, 1H), 7.51 (td, *J* = 7.5, 1.5 Hz, 1H), 7.42 – 7.33 (m, 2H), 7.22 – 7.13 (m, 3H), 7.06 – 6.99 (m, 1H), 3.51 (s, 3H), 2.30 (s, 3H), 1.59 – 1.52 (m, 2H), 1.19 – 1.12 (m, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.5, 142.1, 140.5, 137.4, 131.3, 131.2, 129.8, 129.6, 127.9, 127.4, 127.2, 126.9, 123.9, 123.7, 51.7, 21.5, 5.3, 1.8.

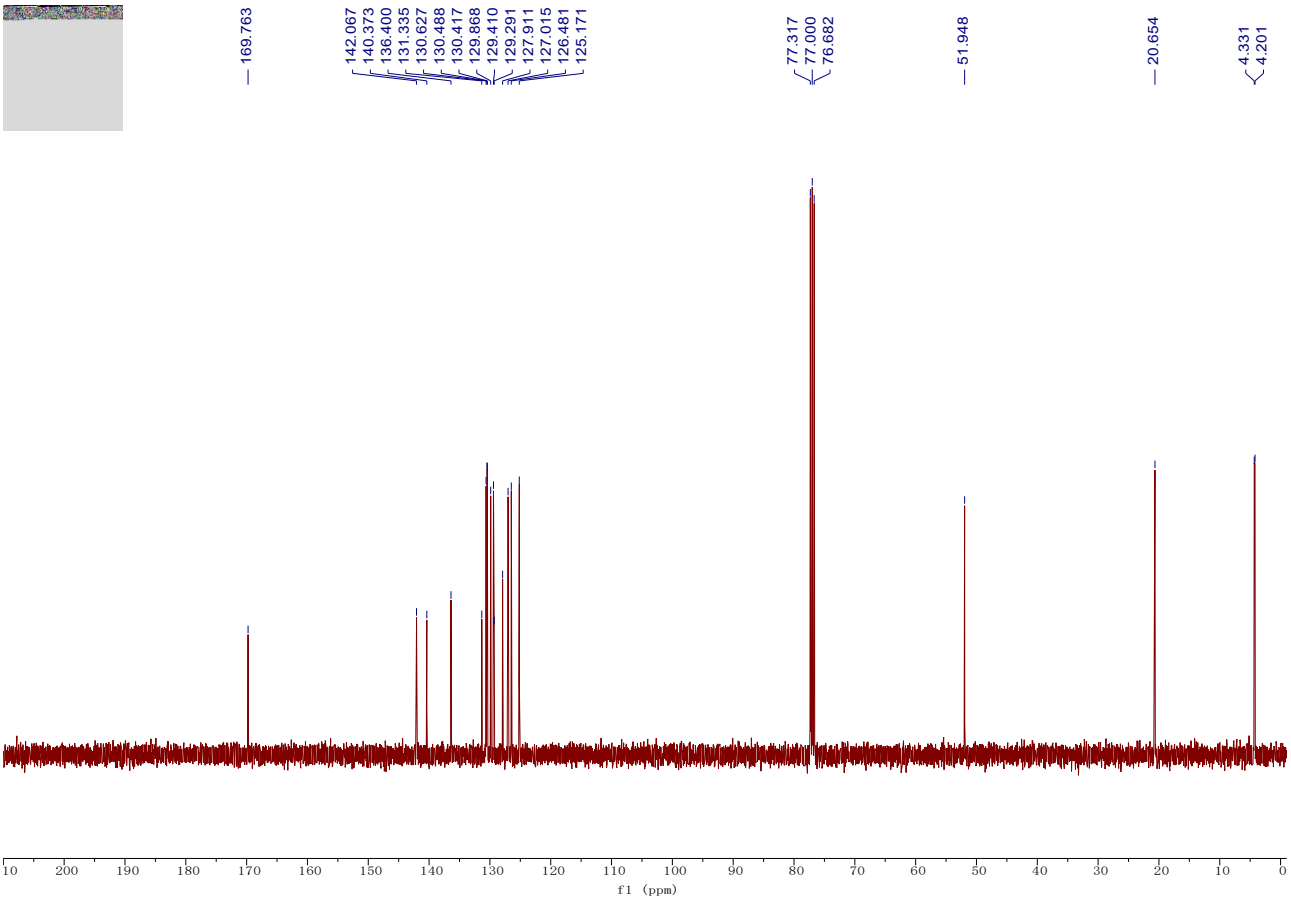

7.851
7.847
7.832
7.828
7.526
7.522
7.507
7.503
7.488
7.484
7.402
7.399
7.383
7.380
7.364
7.361
7.357
7.342
7.338
7.204
7.191
7.187
7.172
7.166
7.164
7.158
7.151
7.030
7.025
7.021
7.021
7.014
7.009
7.003
3.510
2.300
1.584
1.570
1.566
1.560
1.547
1.165
1.151
1.145
1.141
1.127
Me
COOMe
0.94
1.02
2.04
3.00
1.04
3.02
3.11
2.10
2.10
f1 (ppm)

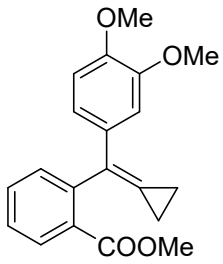

168.467
142.072
140.495
137.391
131.336
131.214
129.753
129.647
127.889
127.364
127.218
126.897
123.880
123.729
77.319
77.001
76.683
51.714
21.459
5.302
1.845
Me
COOMe
f1 (ppm)



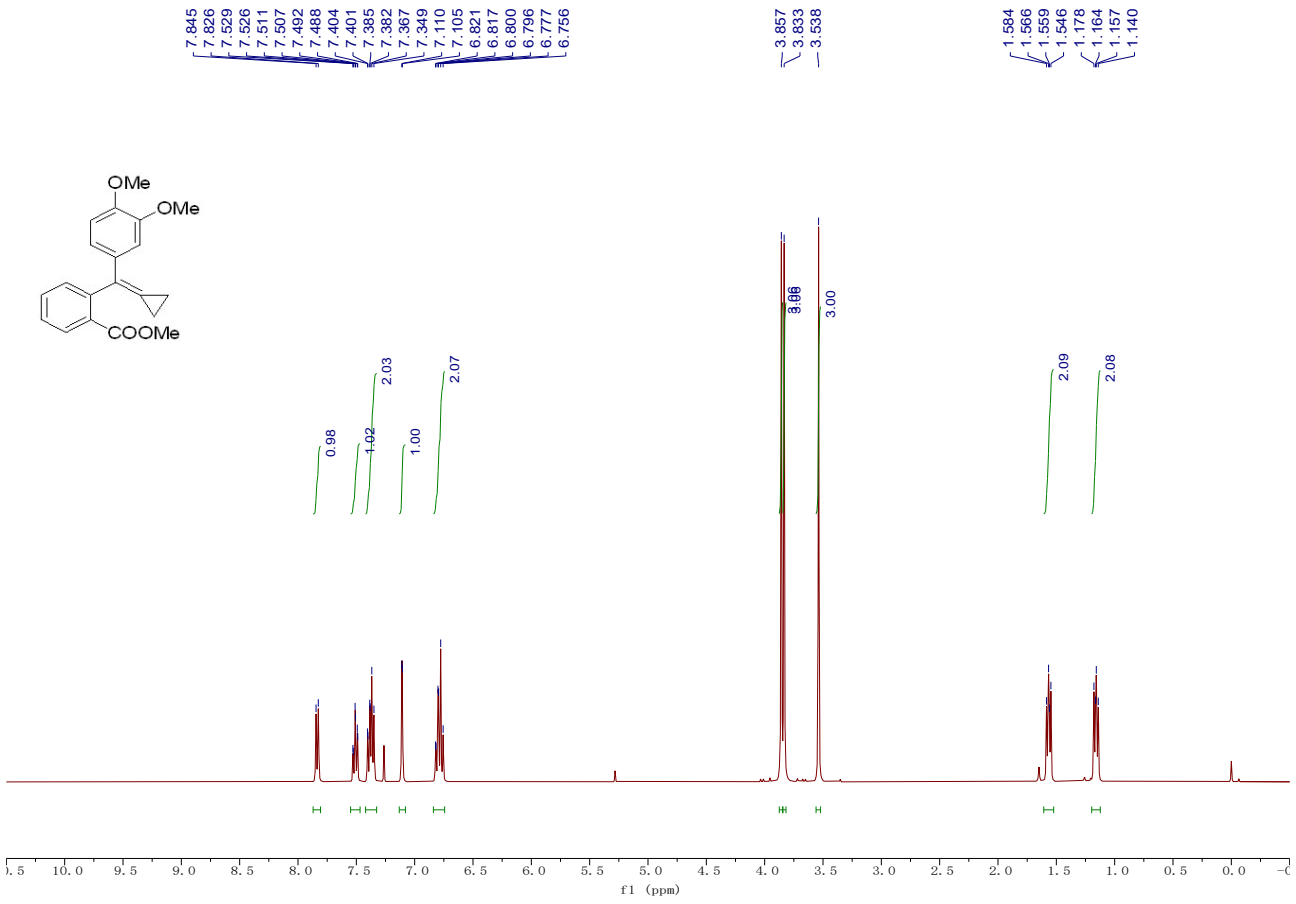
Compound 1j: Yield: 0.36 g, 65%; A yellow faint oil; this is a known compound;[2] ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.63 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.39 (td, *J* = 7.5, 1.5 Hz, 1H), 7.33 – 7.27 (m, 2H), 7.26 – 7.24 (m, 1H), 7.20 – 7.12 (m, 3H), 3.57 (s, 3H), 2.11 (s, 3H), 1.33 – 1.29 (m, 4H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 169.8, 142.1, 140.4, 136.4, 131.3, 130.6, 130.5, 130.4, 129.9, 129.4, 129.3, 127.9, 127.0, 126.5, 125.2, 51.9, 20.7, 4.3, 4.2.

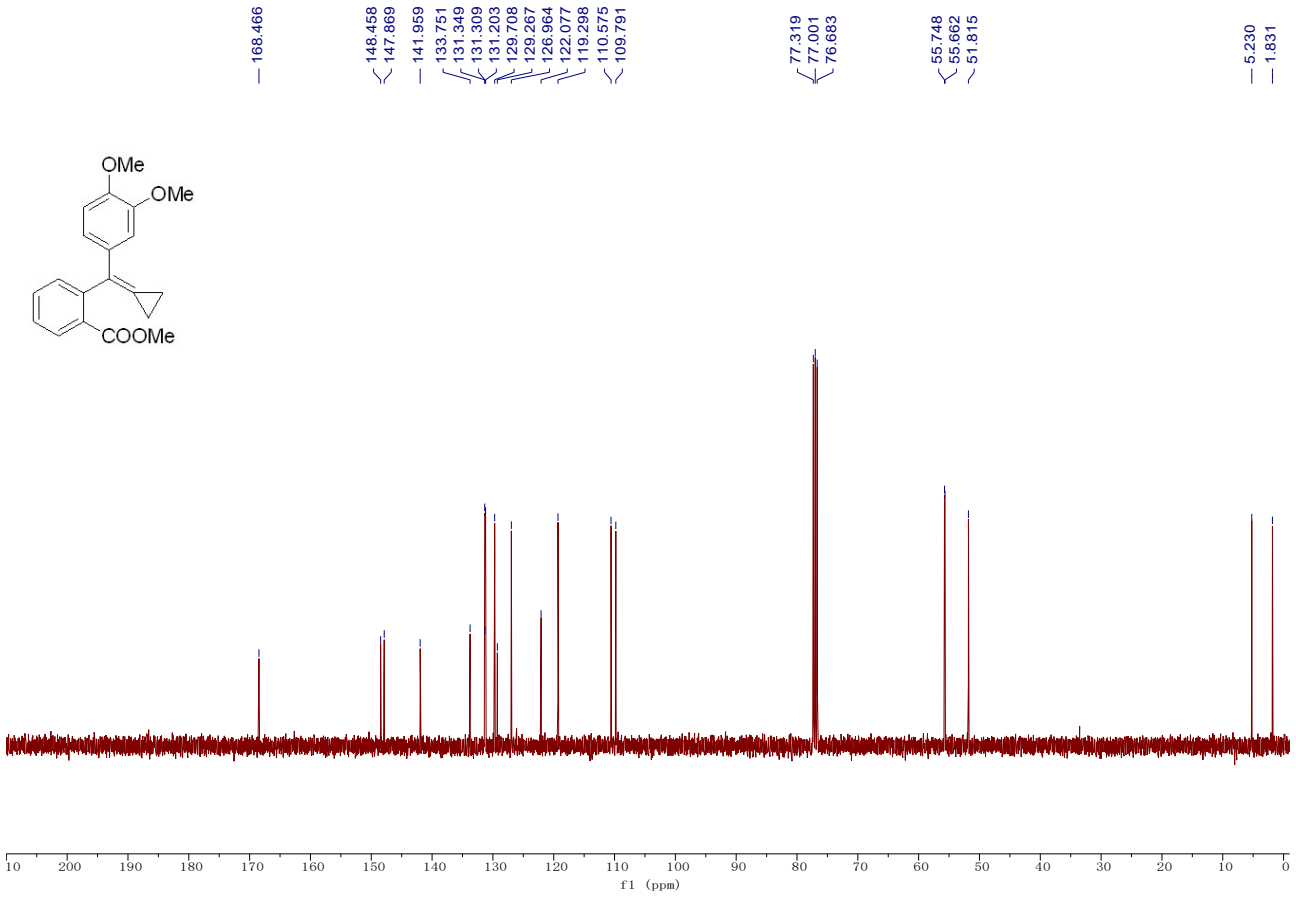






Compound 1k: Yield: 0.43 g, 67%; A white solid; this is a known compound;[2] ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 7.7 Hz, 1H), 7.55 – 7.47 (m, 1H), 7.43 – 7.33 (m, 2H), 7.11 (s, 1H), 6.84 – 6.73 (m, 2H), 3.86 (s, 3H), 3.83 (s, 3H), 3.54 (s, 3H), 1.61 – 1.52 (m, 2H), 1.20 – 1.12 (m, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.5, 148.5, 147.9, 142.0, 133.8, 131.35, 131.31, 131.2, 129.7, 129.3, 127.0, 122.1, 119.3, 110.6, 109.8, 55.75, 55.66, 51.8, 5.2, 1.8.


7.845
7.826
7.529
7.526
7.511
7.507
7.492
7.488
7.404
7.401
7.385
7.382
7.367
7.349
7.110
7.105
6.821
6.817
6.800
6.796
6.777
6.756
3.857
3.833
3.538
1.584
1.566
1.559
1.546
1.178
1.164
1.157
1.140
OMe
OMe
COOMe
0.98
1.02
2.03
1.00
2.07
3.00
2.09
2.08
f1 (ppm)


168.466
148.458
147.869
141.959
133.751
131.349
131.309
131.203
129.708
129.267
126.964
122.077
119.298
110.575
109.791
77.319
77.001
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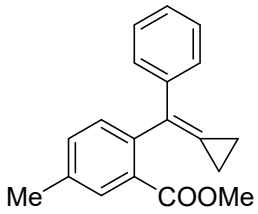
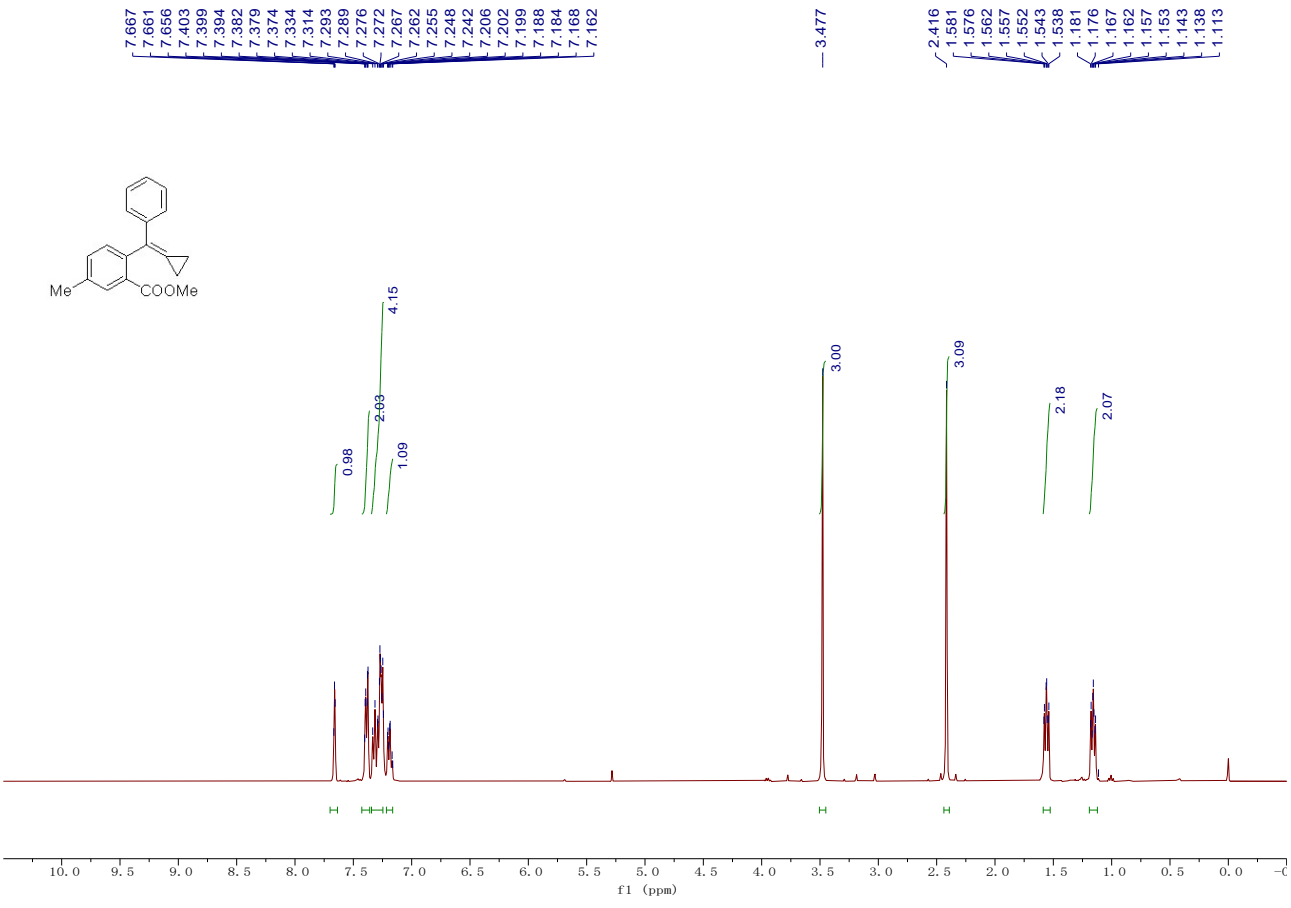
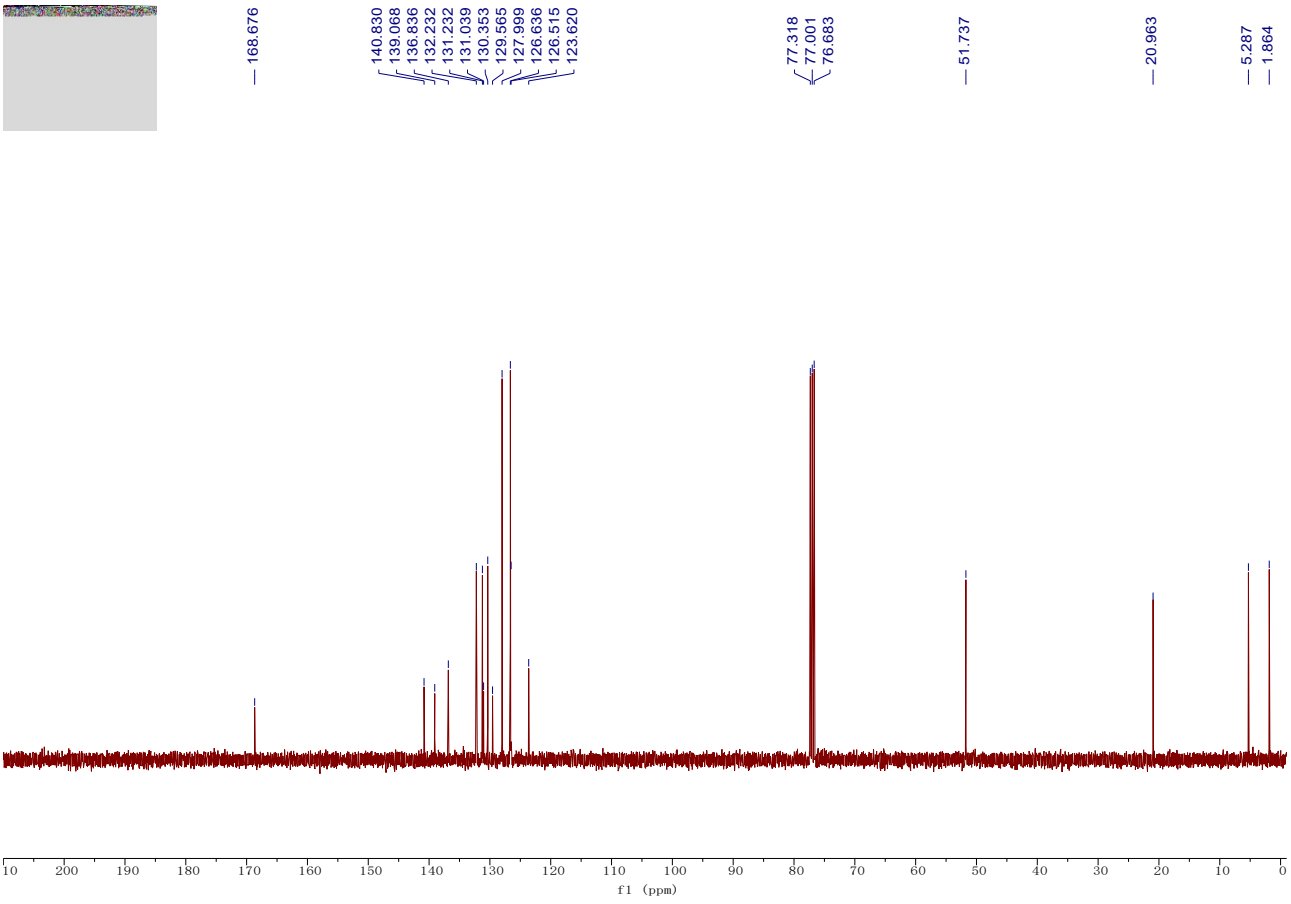
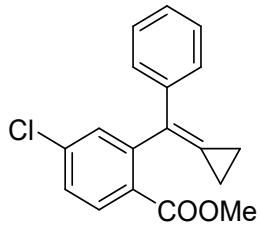
55.748
55.662
51.815
5.230
1.831
OMe
OMe
COOMe
f1 (ppm)



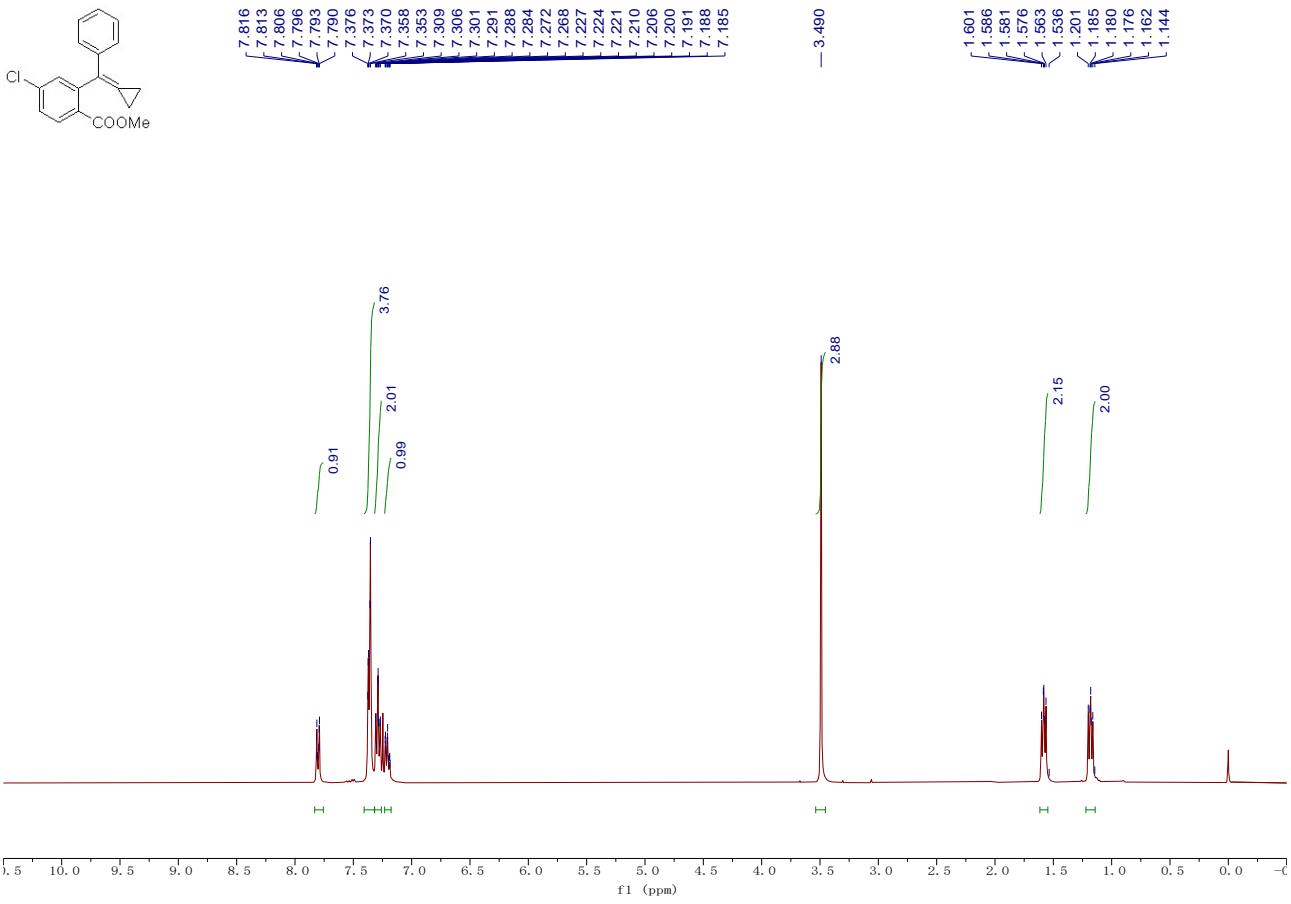
Compound 1l: Yield: 0.43 g, 77%; A white solid; this is a known compound;[2] ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.66 (s, 1H), 7.43 – 7.35 (m, 2H), 7.36 – 7.23 (m, 4H), 7.23 – 7.15 (m, 1H), 3.48 (s, 3H), 2.42 (s, 3H), 1.60 – 1.52 (m, 2H), 1.20 – 1.12 (m, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.7, 140.8, 139.1, 136.8, 132.2, 131.2, 131.0, 130.4, 129.6, 128.0, 126.6, 126.5, 123.6, 51.7, 21.0, 5.3, 1.9.

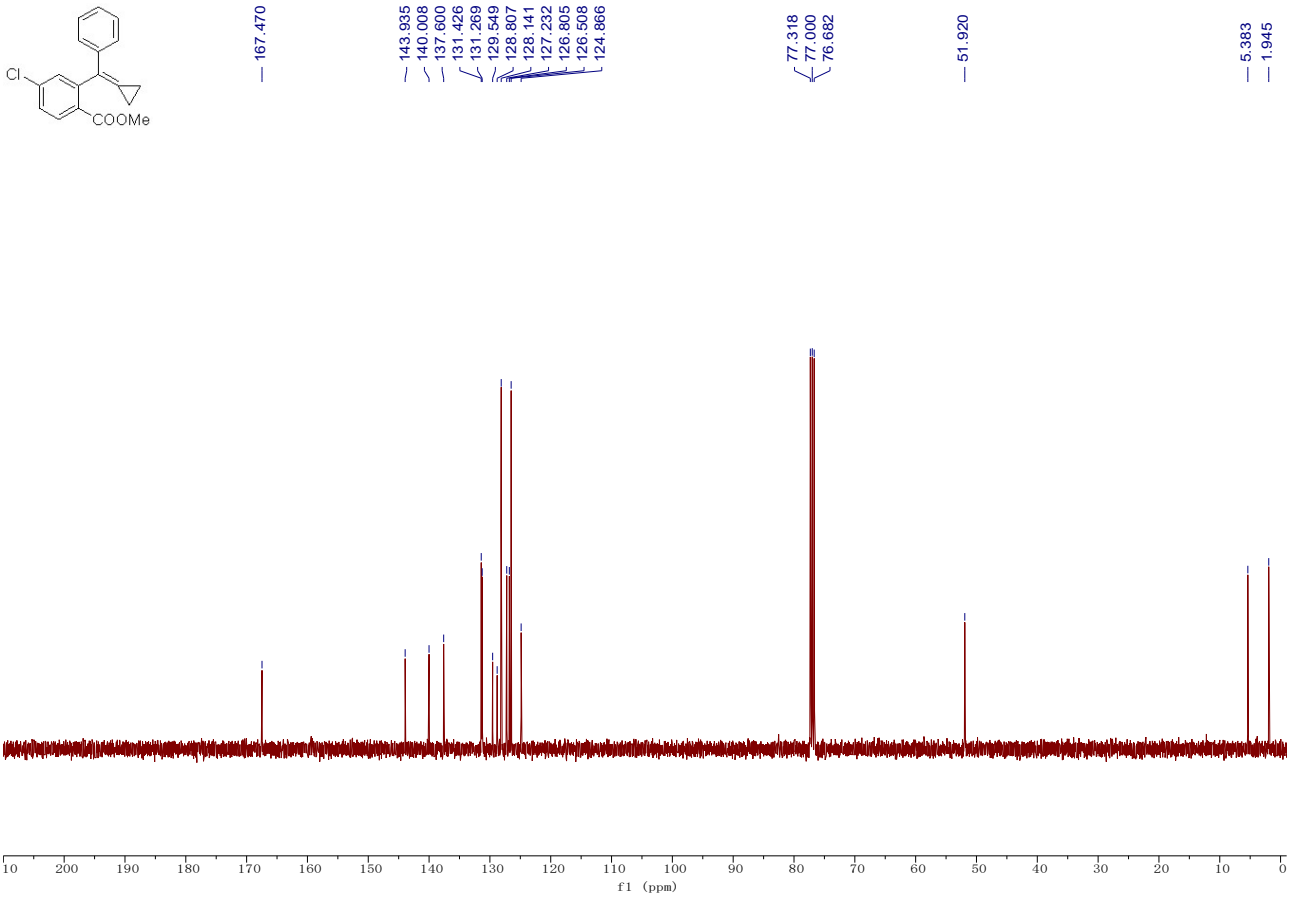






Compound 1m: Yield: 0.27 g, 45%; A yellow faint oil; this is a known compound;[2] ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 – 7.76 (m, 1H), 7.41 – 7.32 (m, 4H), 7.33 – 7.25 (m, 2H), 7.25 – 7.17 (m, 1H), 3.49 (s, 3H), 1.62 – 1.54 (m, 2H), 1.22 – 1.12 (m, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.5, 143.9, 140.0, 137.6, 131.4, 131.3, 129.5, 128.8, 128.1, 127.2, 126.8, 126.5, 124.9, 51.9, 5.4, 1.9.


Cl
COOMe
7.816
7.813
7.806
7.796
7.793
7.790
7.376
7.373
7.370
7.358
7.353
7.309
7.306
7.301
7.291
7.288
7.284
7.272
7.268
7.227
7.224
7.221
7.210
7.206
7.200
7.191
7.188
7.185
3.490
1.601
1.586
1.581
1.576
1.563
1.536
1.201
1.185
1.180
1.176
1.162
1.144
3.76
2.88
2.15
2.01
2.00
0.99
0.91
f1 (ppm)


Cl
COOMe
167.470
143.935
140.008
137.600
131.426
131.269
129.549
128.807
128.141
127.232
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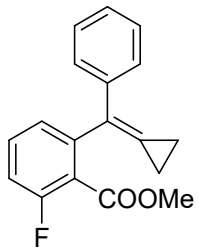
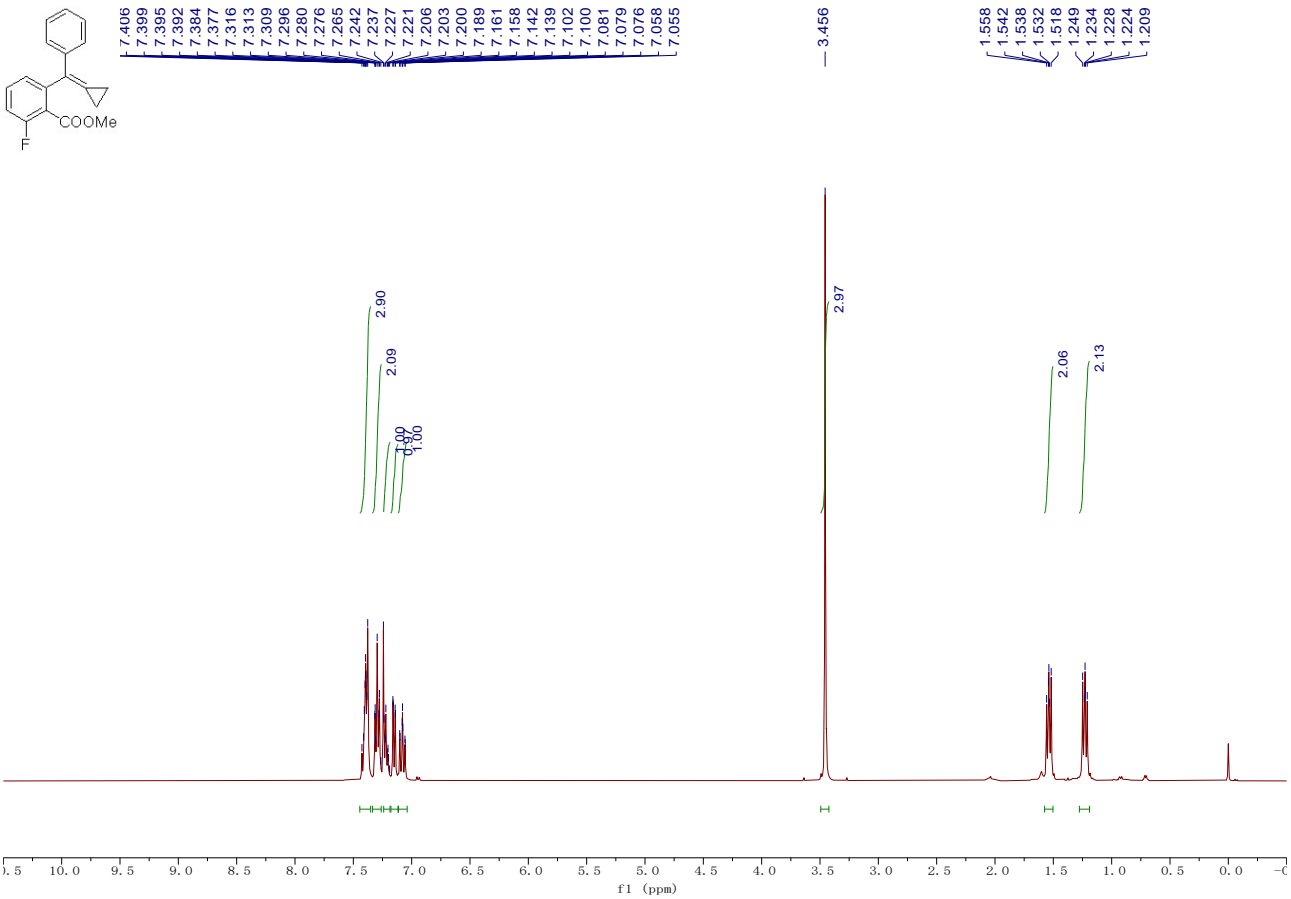
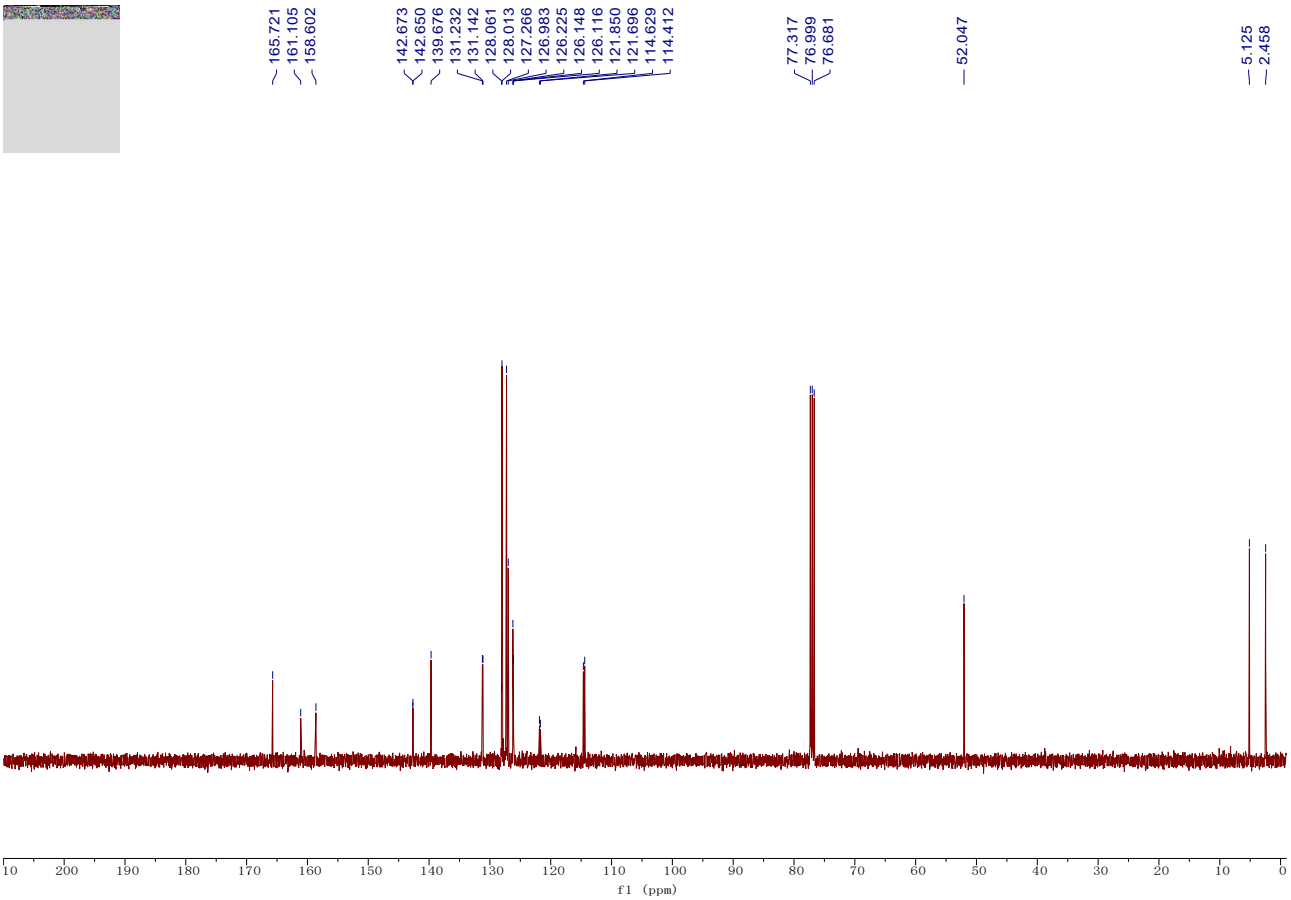
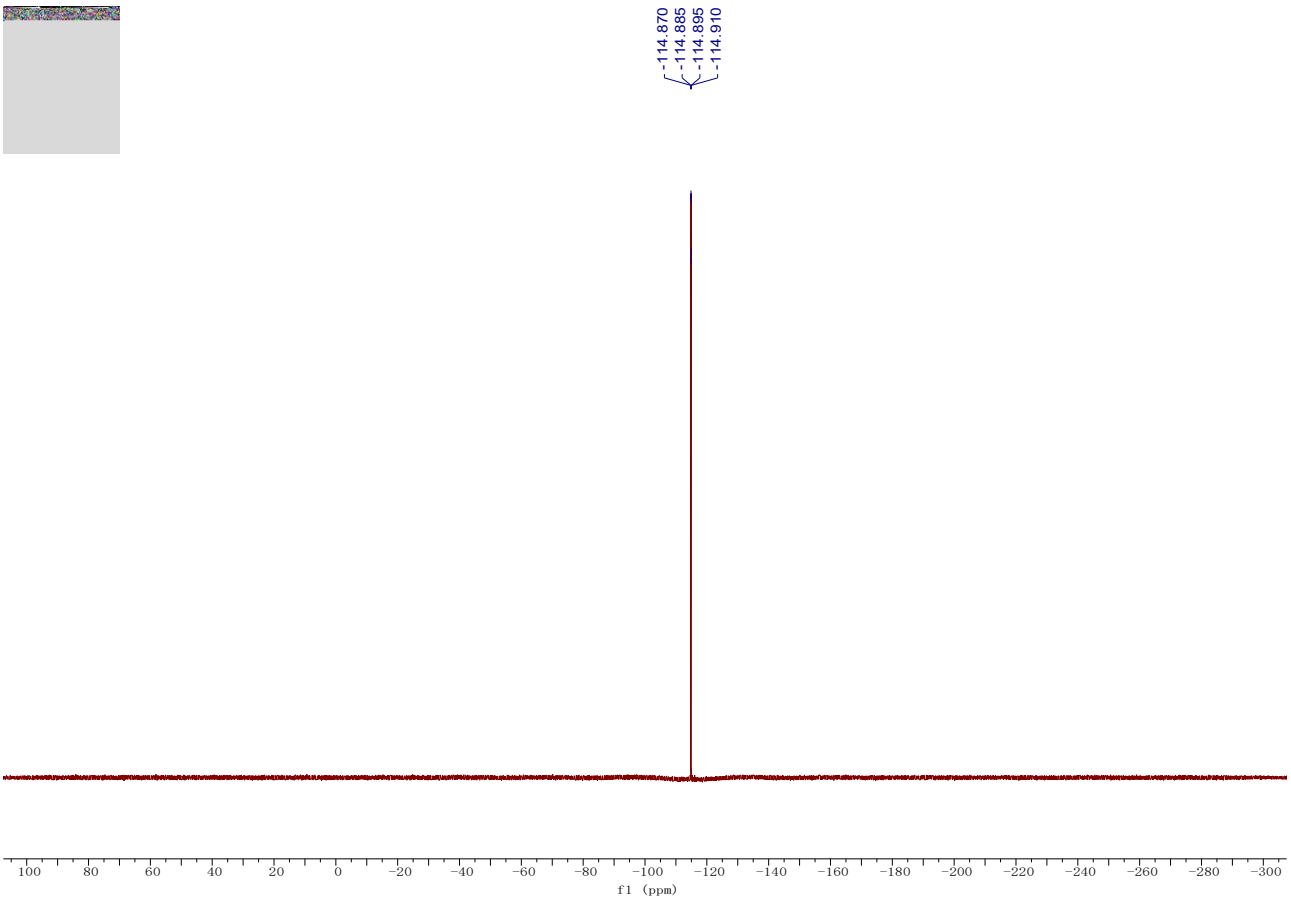
126.508
124.866
77.318
77.000
76.682
51.920
5.383
1.945
f1 (ppm)

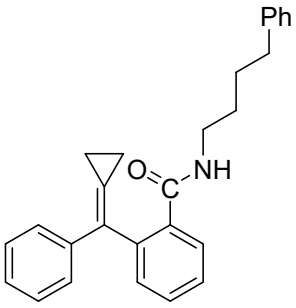


Compound 1n: Yield: 0.32 g, 57%; A white solid; this is a known compound;[2] ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.45 – 7.35 (m, 3H), 7.30 (t, *J* = 7.3 Hz, 2H), 7.26 – 7.17 (m, 1H), 7.18 – 7.12 (m, 1H), 7.08 (t, *J* = 8.9 Hz, 1H), 3.46 (s, 3H), 1.58 – 1.50 (m, 2H), 1.28 – 1.19 (m, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 165.7, 159.9 (d, *J* = 251.7 Hz), 142.7 (d, *J* = 2.4 Hz), 139.7, 131.2 (d, *J* = 9.0 Hz), 128.1, 128.0, 127.3, 127.0, 126.2, 126.1 (d, *J* = 3.2 Hz), 121.8 (d, *J* = 15.4 Hz), 114.5 (d, *J* = 21.8 Hz), 52.0, 5.1, 2.5; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -114.85 – -114.93 (m).

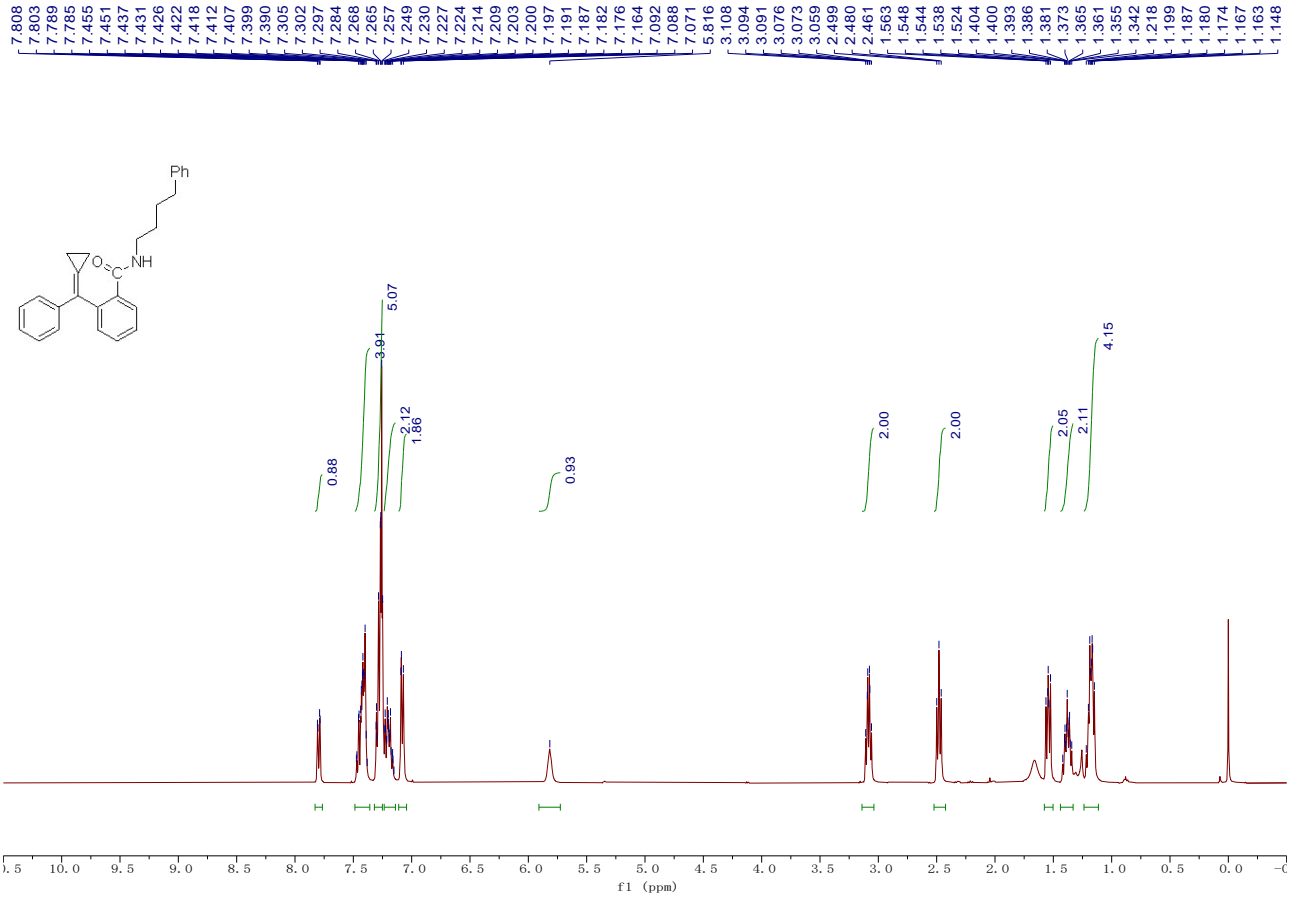


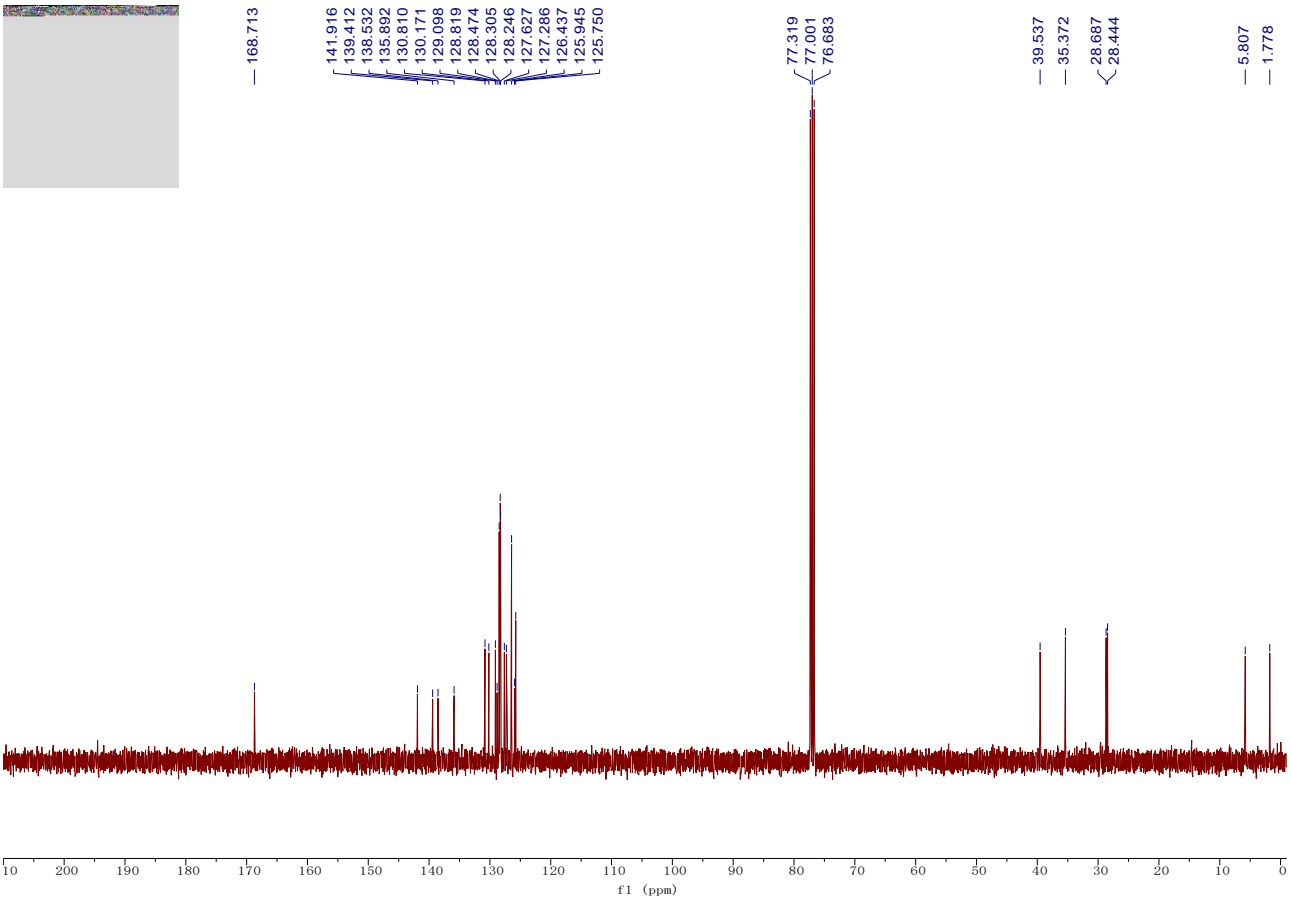

165.721
161.105
158.602
142.673
142.650
139.676
131.232
131.142
128.061
128.013
127.266
126.983
126.225
126.148
126.116
121.850
121.696
114.629
114.412
77.317
76.999
76.681
52.047
5.125
2.458
f1 (ppm)

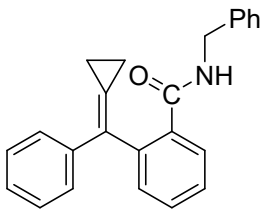

-114.870
-114.885
-114.895
-114.910
f1 (ppm)



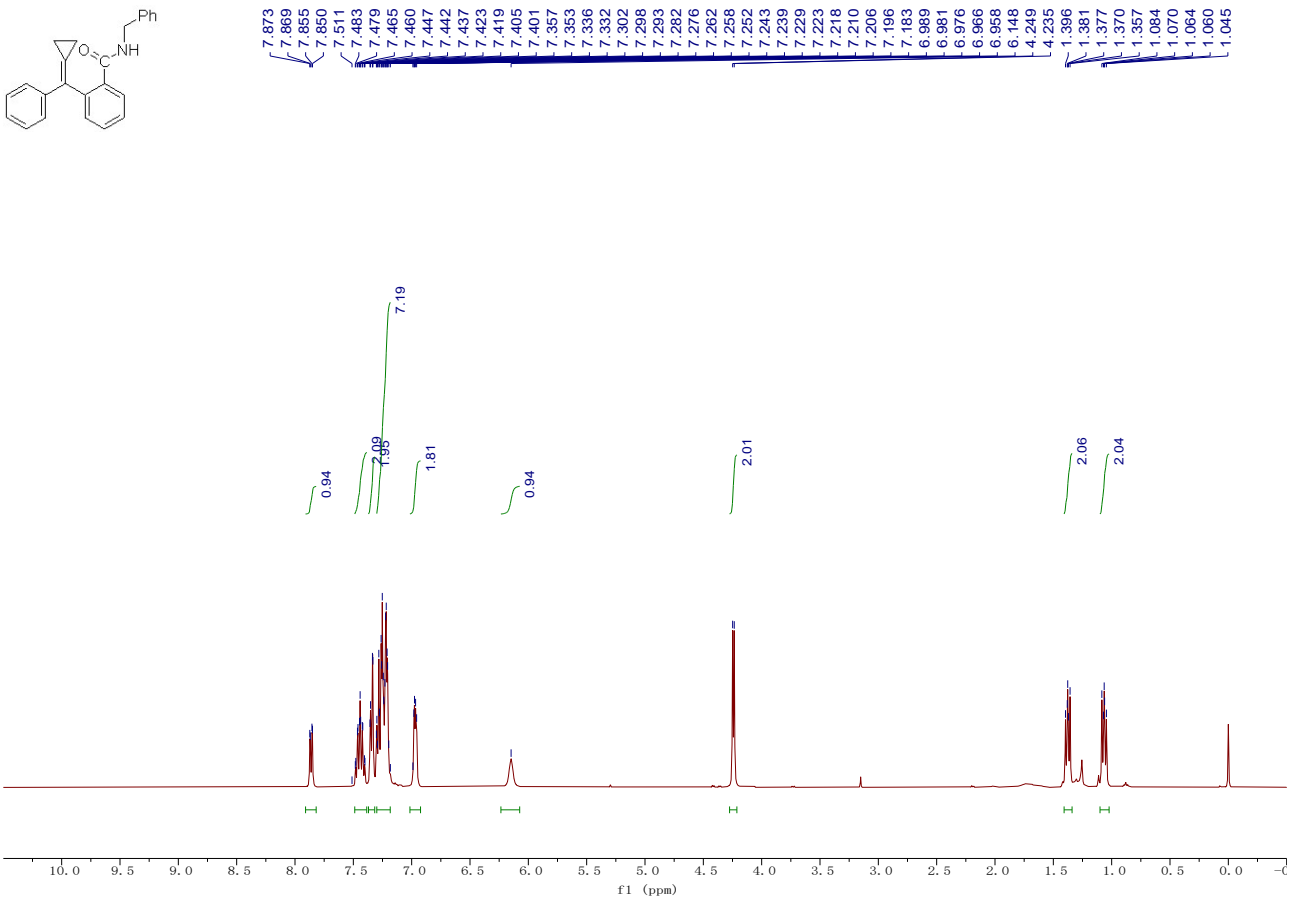
Compound 6a: Yield: 0.46 g, 61%; A yellow faint oil; Isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.80 (dd, *J* = 7.4, 1.8 Hz, 1H), 7.50 – 7.36 (m, 4H), 7.33 – 7.23 (m, 5H), 7.25 – 7.13 (m, 2H), 7.11 – 7.04 (m, 2H), 5.91 – 5.73 (m, 1H), 3.14 – 3.03 (m, 2H), 2.48 (t, *J* = 7.6 Hz, 2H), 1.59 – 1.50 (m, 2H), 1.44 – 1.32 (m, 2H), 1.24 – 1.11 (m, 4H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.7, 141.9, 139.4, 138.5, 135.9, 130.8, 130.2, 129.1, 128.8, 128.5, 128.3, 128.2, 127.6, 127.3, 126.4, 125.9, 125.7, 39.5, 35.4, 28.7, 28.4, 5.8, 1.8; IR (neat): ν 3324, 2927, 2854, 1632, 1454, 697 cm^{-1}; HRMS (ESI+) Calcd. for $C_{27}H_{28}NO$ $[M+H]^+$: 382.2165, found: 382.2173.

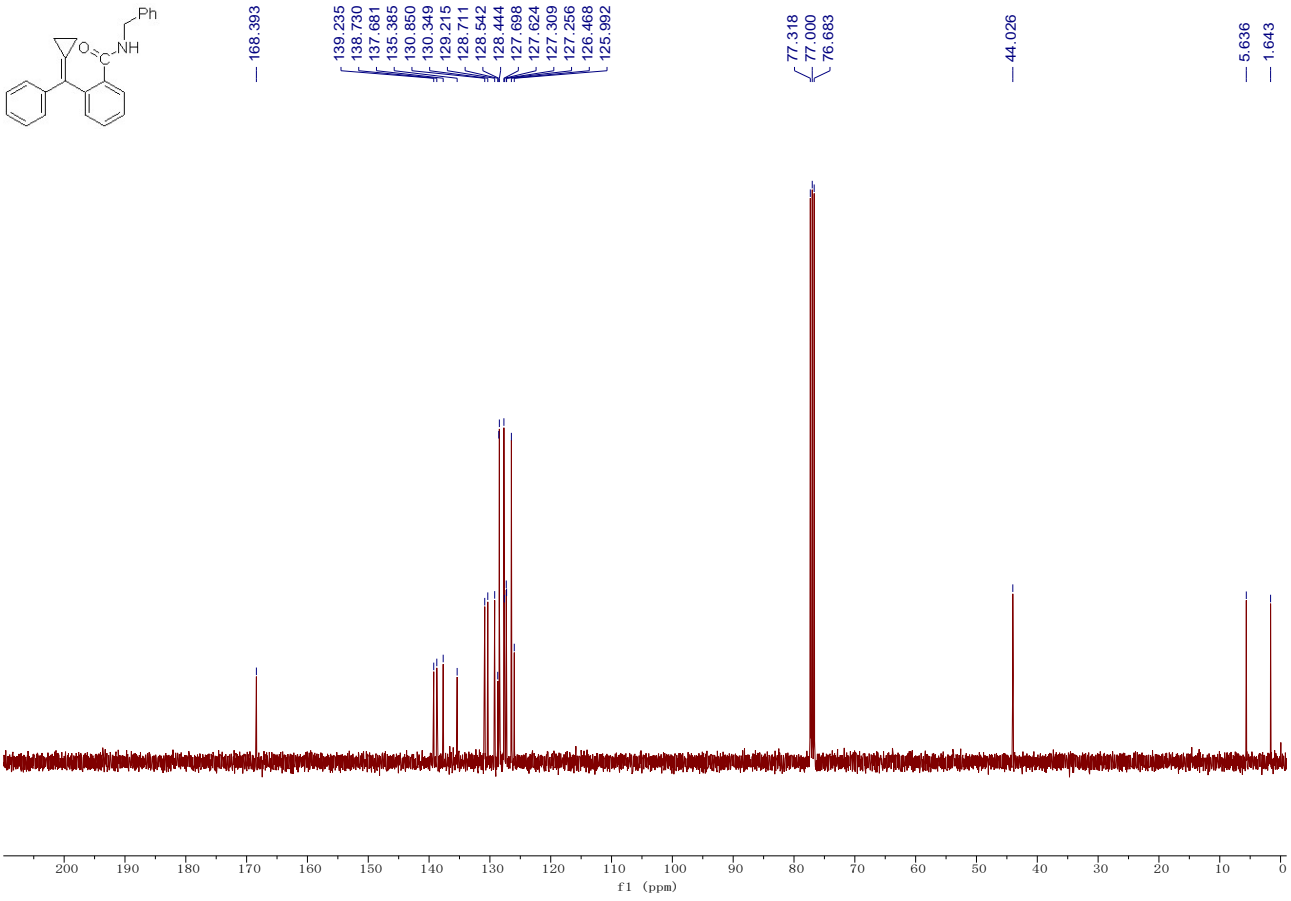


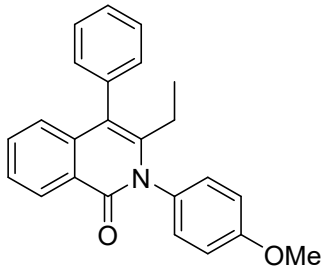




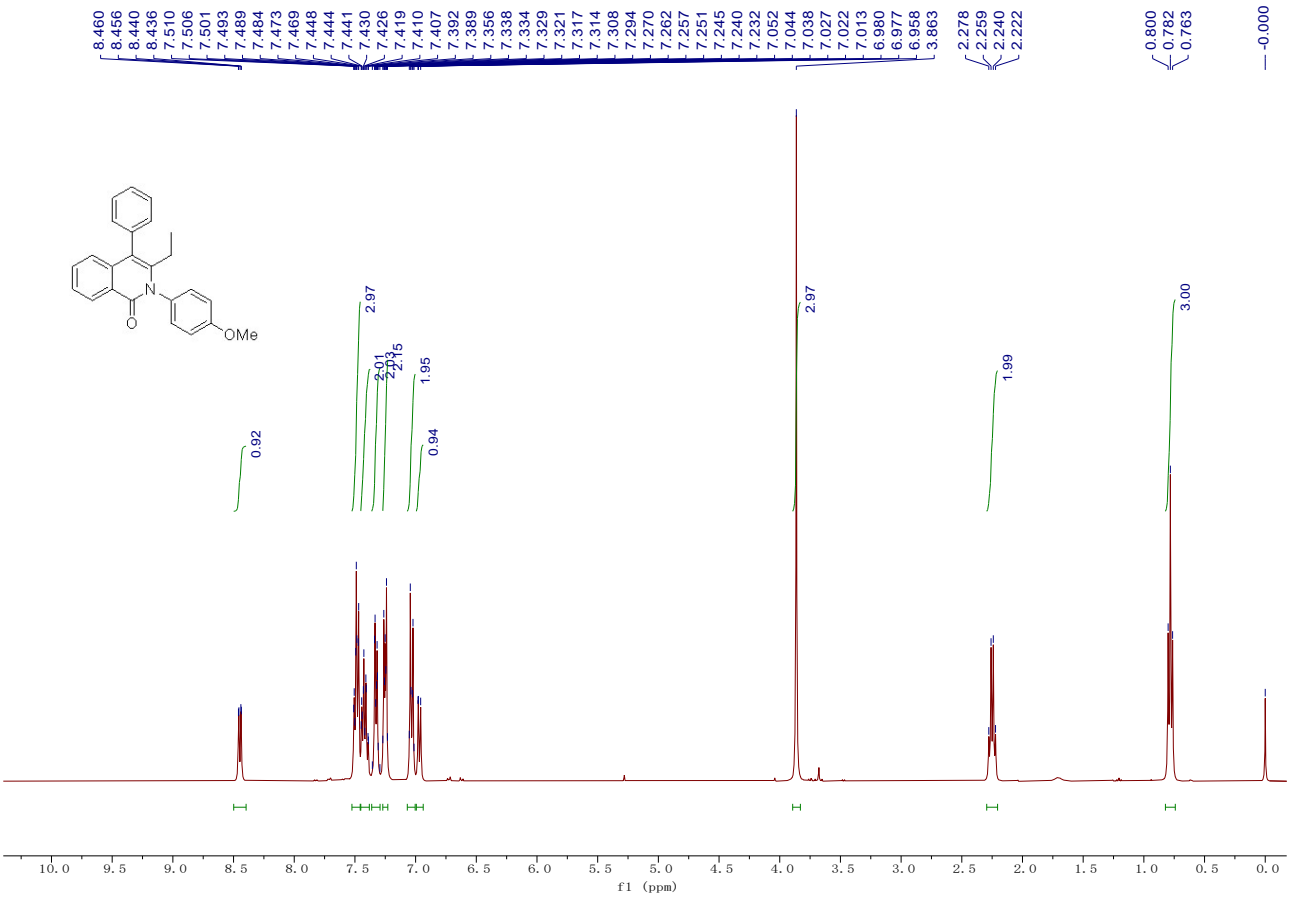
Compound 6b: Yield: 0.54 g, 80%; A white solid; Mp: 153 - 155 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.91 – 7.82 (m, 1H), 7.51 – 7.38 (m, 2H), 7.38 – 7.31 (m, 2H), 7.32 – 7.17 (m, 7H), 7.01 – 6.92 (m, 2H), 6.24 – 6.07 (m, 1H), 4.24 (d, *J* = 5.5 Hz, 2H), 1.42 – 1.33 (m, 2H), 1.11 – 1.02 (m, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.4, 139.2, 138.7, 137.7, 135.4, 130.8, 130.3, 129.2, 128.7, 128.5, 128.4, 127.7, 127.6, 127.31, 127.26, 126.5, 126.0, 44.0, 5.6, 1.6; IR (neat): ν 3274, 1631, 1541, 1494, 1305, 751, 704, 693 cm^{-1}; HRMS (ESI+) Calcd. for $C_{24}H_{21}NONa$ $[M+Na]^+$: 362.1515, found: 362.1518.

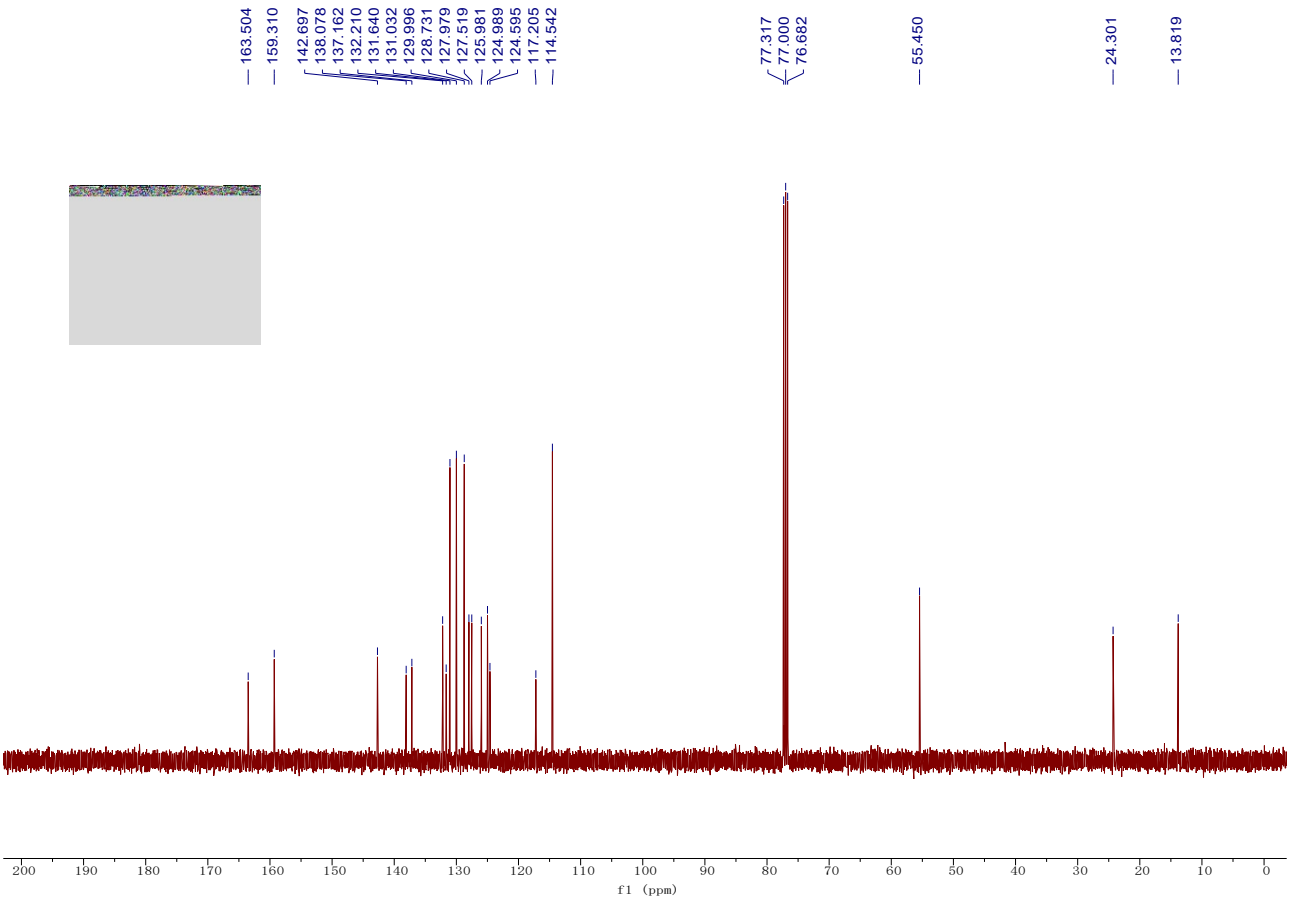

Ph
NH
O
7.873
7.869
7.855
7.850
7.511
7.483
7.479
7.465
7.460
7.447
7.442
7.437
7.423
7.419
7.405
7.401
7.357
7.353
7.336
7.332
7.302
7.298
7.293
7.282
7.276
7.262
7.258
7.252
7.243
7.239
7.229
7.223
7.218
7.210
7.206
7.196
7.183
6.989
6.981
6.976
6.966
6.958
6.148
4.249
4.235
1.396
1.381
1.377
1.370
1.357
1.084
1.070
1.064
1.060
1.045
7.19
0.94
2.09
1.95
1.81
0.94
2.01
2.06
2.04
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

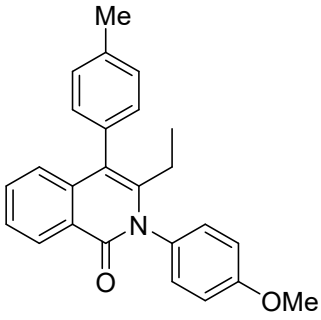

Ph
NH
O
168.393
139.235
138.730
137.681
135.385
130.850
130.349
129.215
128.711
128.542
128.444
127.698
127.624
127.309
127.256
126.468
125.992
77.318
77.000
76.683
44.026
5.636
1.643
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)



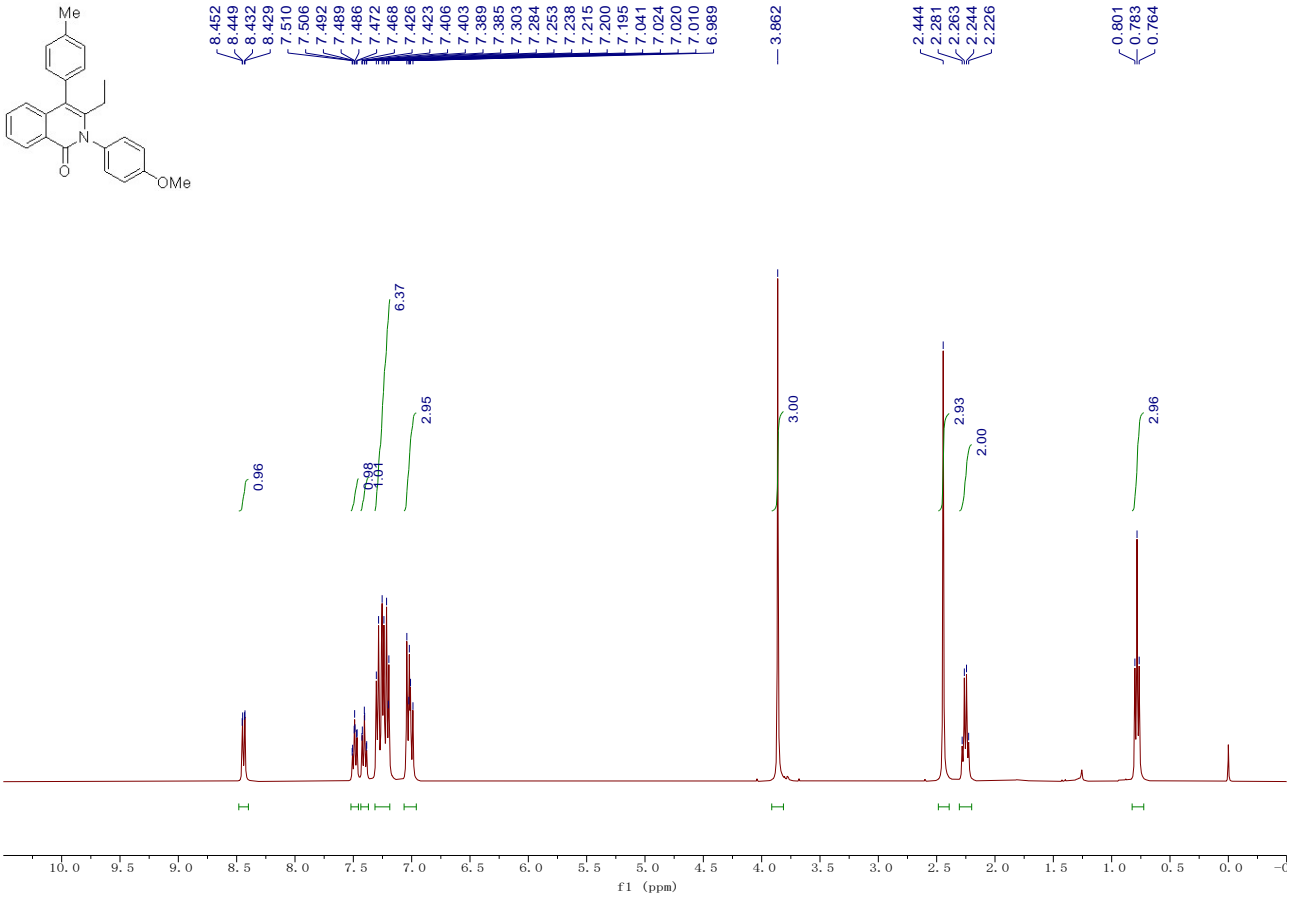
Compound 3a: Yield: 67.5 mg, 95%; A white solid; Mp: 182 - 184 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.45 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.52 – 7.46 (m, 3H), 7.45 – 7.38 (m, 2H), 7.36 – 7.29 (m, 2H), 7.27 – 7.23 (m, 2H), 7.07 – 7.00 (m, 2H), 6.99 – 6.94 (m, 1H), 3.86 (s, 3H), 2.25 (q, *J* = 7.4 Hz, 2H), 0.78 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.5, 159.3, 142.7, 138.1, 137.2, 132.2, 131.6, 131.0, 130.0, 128.7, 128.0, 127.5, 126.0, 125.0, 124.6, 117.2, 114.5, 55.4, 24.3, 13.8; IR (neat): ν 1657, 1586, 1507, 1335, 1243, 815, 702 cm^{-1}; HRMS (ESI+) Calcd. for $C_{24}H_{22}NO_2$ $[M+H]^+$: 356.1645, found: 356.1651.

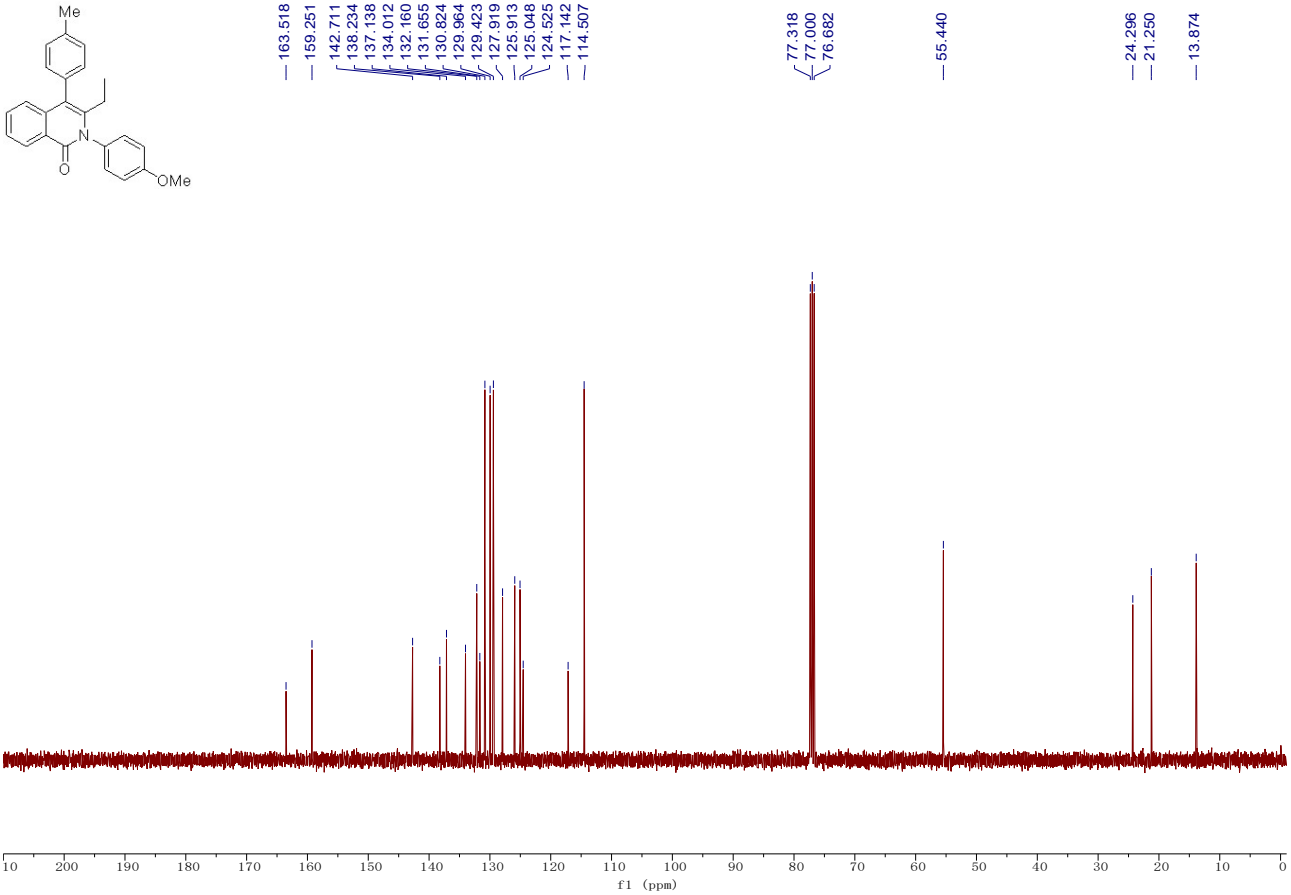


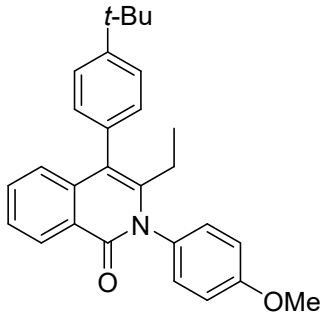




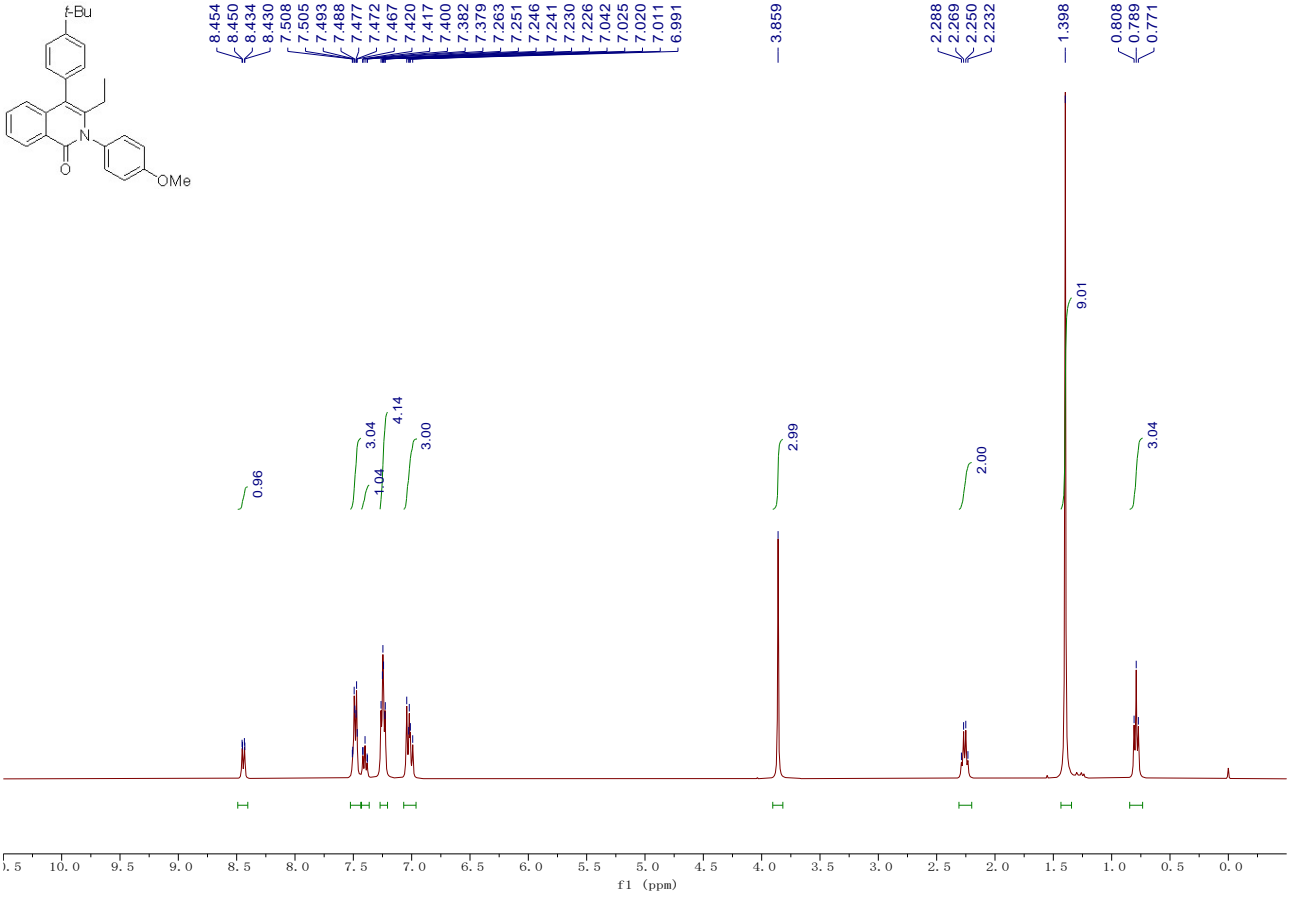
Compound 3b: Yield: 64.2 mg, 87%; A white solid; Mp: 193 - 195 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.52 – 7.46 (m, 1H), 7.41 (td, *J* = 7.6, 7.0, 1.3 Hz, 1H), 7.31 – 7.19 (m, 6H), 7.06 – 6.96 (m, 3H), 3.86 (s, 3H), 2.44 (s, 3H), 2.25 (q, *J* = 7.4 Hz, 2H), 0.78 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.5, 159.3, 142.7, 138.2, 137.1, 134.0, 132.2, 131.7, 130.8, 130.0, 129.4, 127.9, 125.9, 125.0, 124.5, 117.1, 114.5, 55.4, 24.3, 21.3, 13.9; IR (neat): ν 1651, 1593, 1507, 1246, 1033, 814, 779, 707 cm^{-1}; HRMS (ESI+) Calcd. for $C_{25}H_{24}NO_2$ $[M+H]^+$: 370.1802, found: 370.1802.

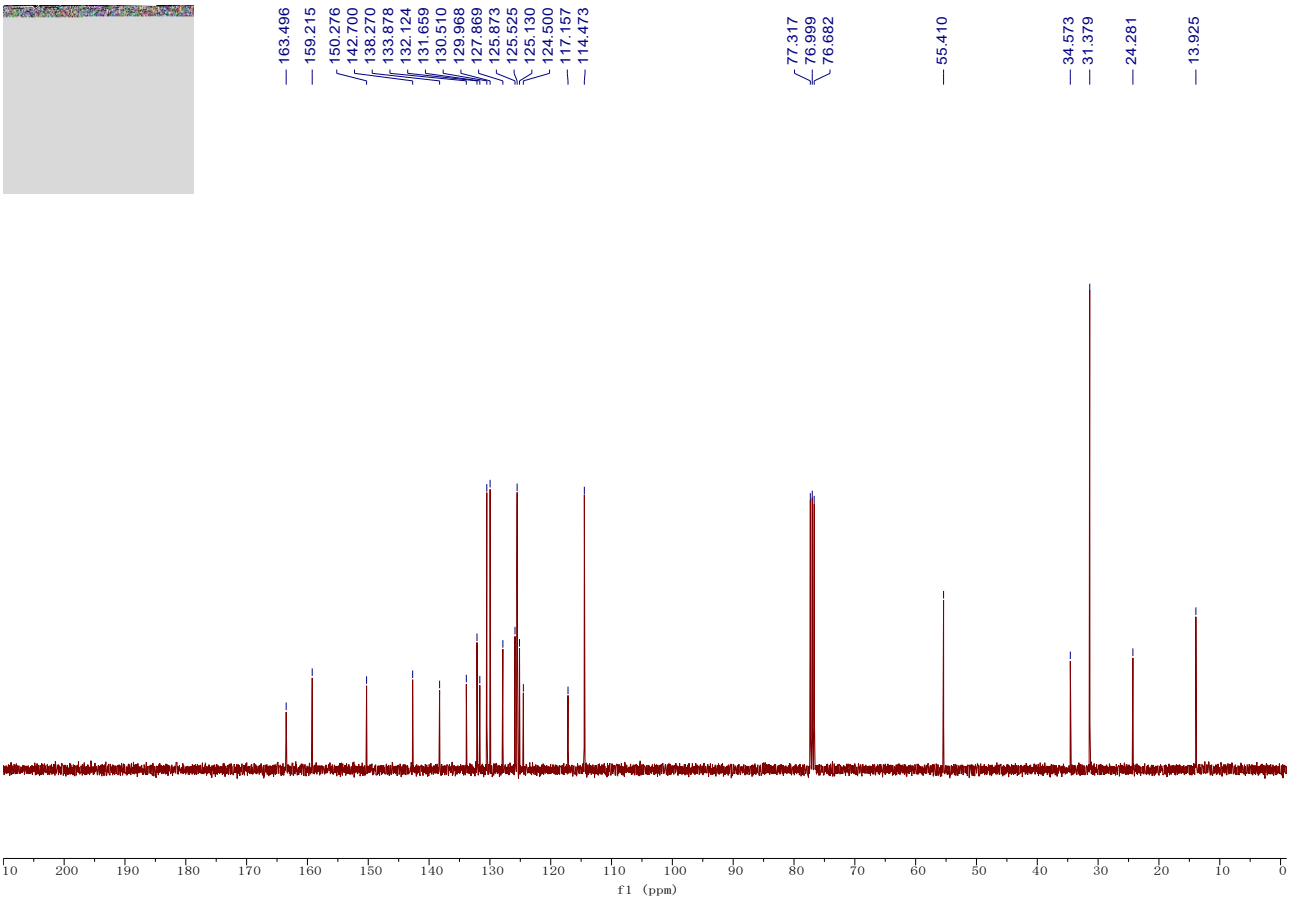

Me
OMe
8.452
8.449
8.432
8.429
7.510
7.506
7.492
7.489
7.486
7.472
7.468
7.426
7.423
7.406
7.403
7.389
7.385
7.303
7.284
7.253
7.238
7.215
7.200
7.195
7.041
7.024
7.020
7.010
6.989
3.862
2.444
2.281
2.263
2.244
2.226
0.801
0.783
0.764
6.37
2.95
0.96
0.98
1.01
3.00
2.93
2.00
2.96
f1 (ppm)

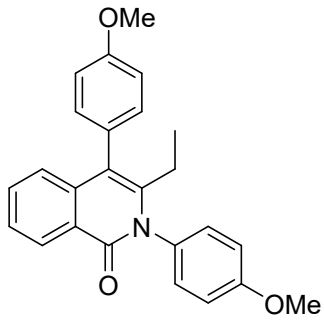

Me
OMe
163.518
159.251
142.711
138.234
137.138
134.012
132.160
131.655
130.824
129.964
129.423
127.919
125.913
125.048
124.525
117.142
114.507
77.318
77.000
76.682
55.440
24.296
21.250
13.874
f1 (ppm)



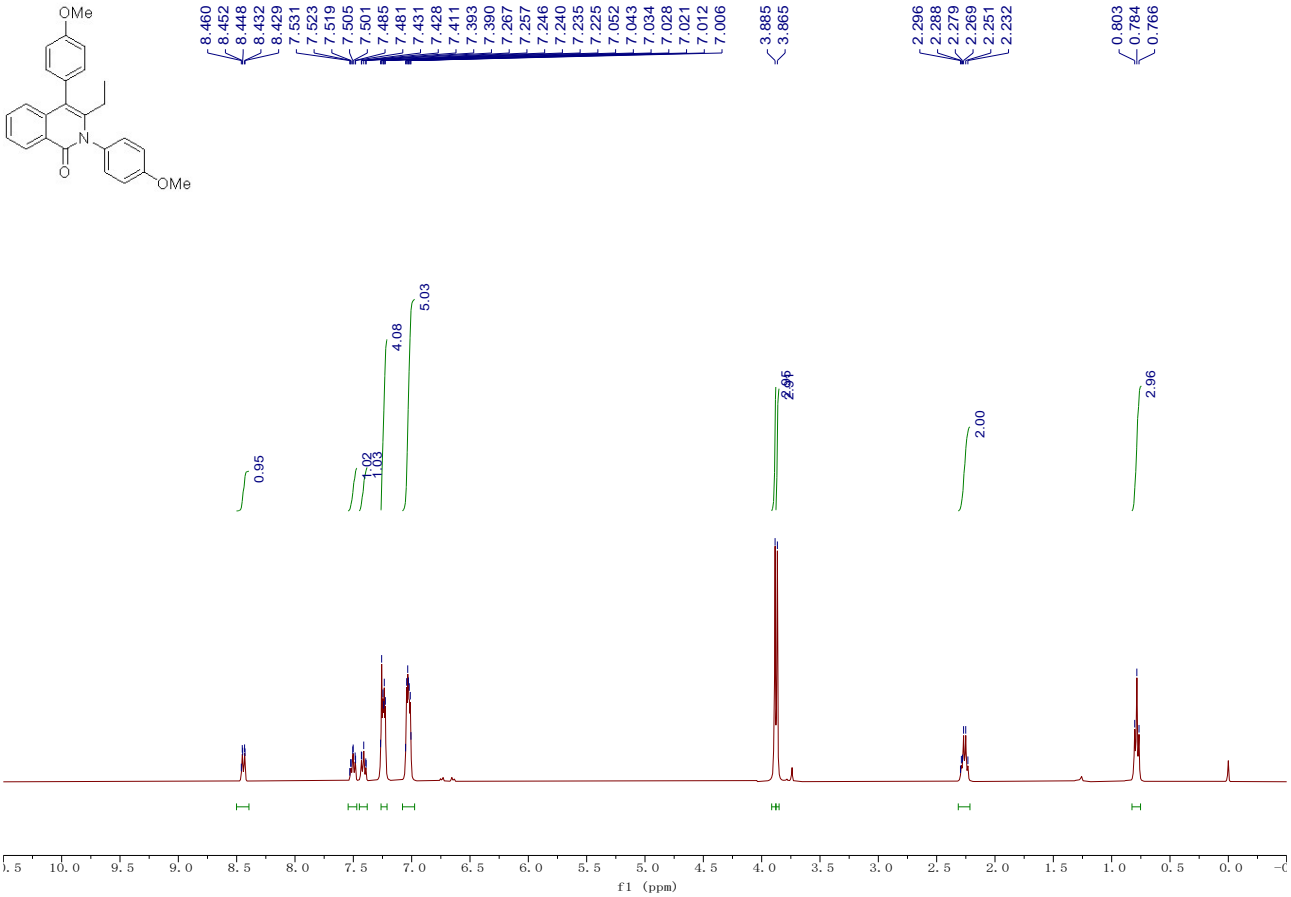
Compound 3c: Yield: 73.2 mg, 89%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.52 – 7.44 (m, 3H), 7.43 – 7.36 (m, 1H), 7.27 – 7.21 (m, 4H), 7.07 – 6.96 (m, 3H), 3.86 (s, 3H), 2.26 (q, *J* = 7.3 Hz, 2H), 1.40 (s, 9H), 0.79 (t, *J* = 7.3 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.5, 159.2, 150.3, 142.7, 138.3, 133.9, 132.1, 131.7, 130.5, 130.0, 127.9, 125.9, 125.5, 125.1, 124.5, 117.2, 114.5, 55.4, 34.6, 31.4, 24.3, 13.9; IR (neat): ν 1654, 1508, 1332, 1248, 1026, 827, 780, 707 cm^{-1}; HRMS (ESI+) Calcd. for $C_{28}H_{30}NO_2$ $[M+H]^+$: 412.2271, found: 412.2269.

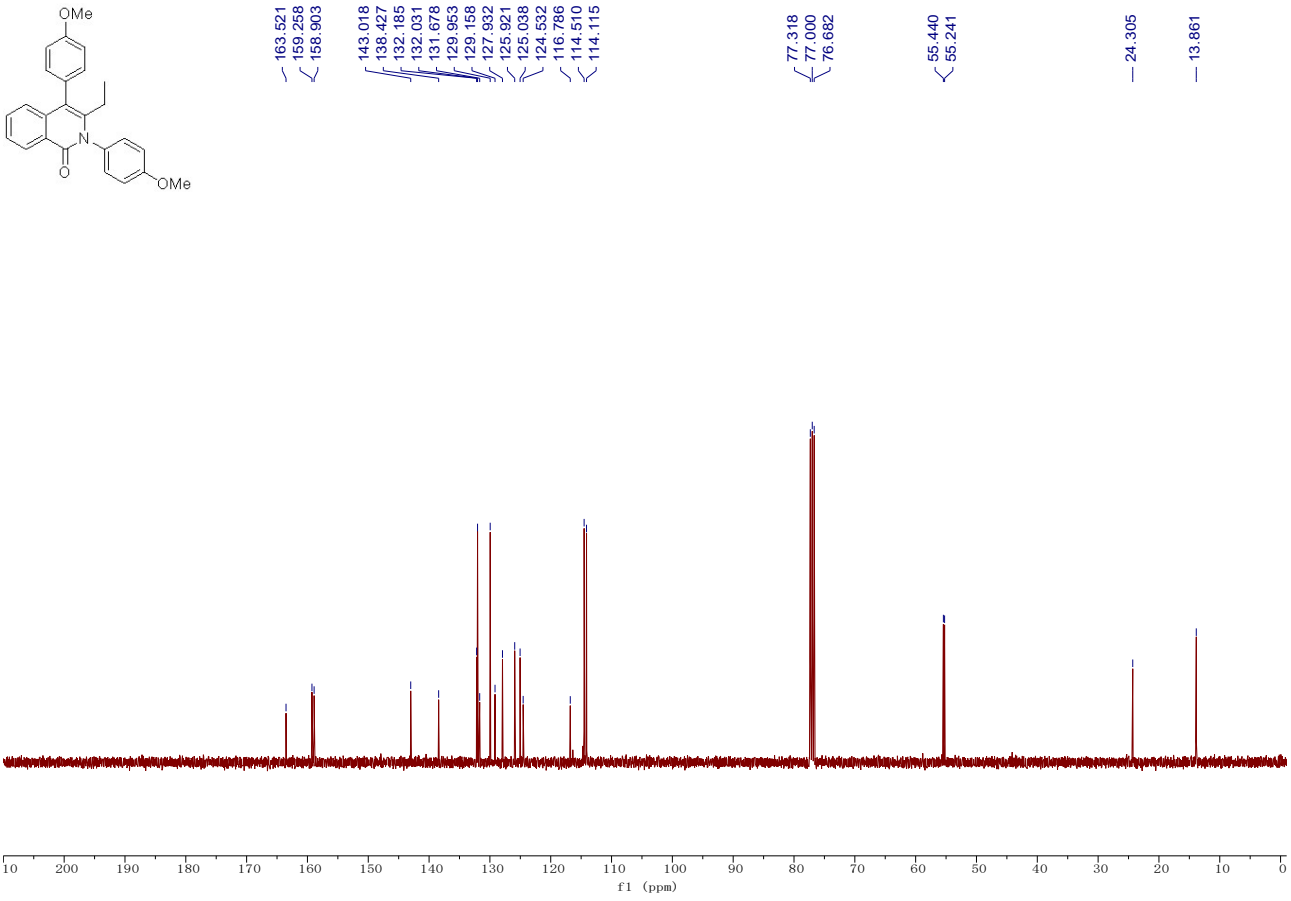


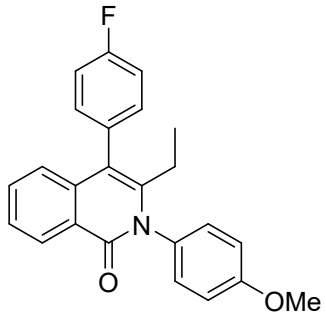




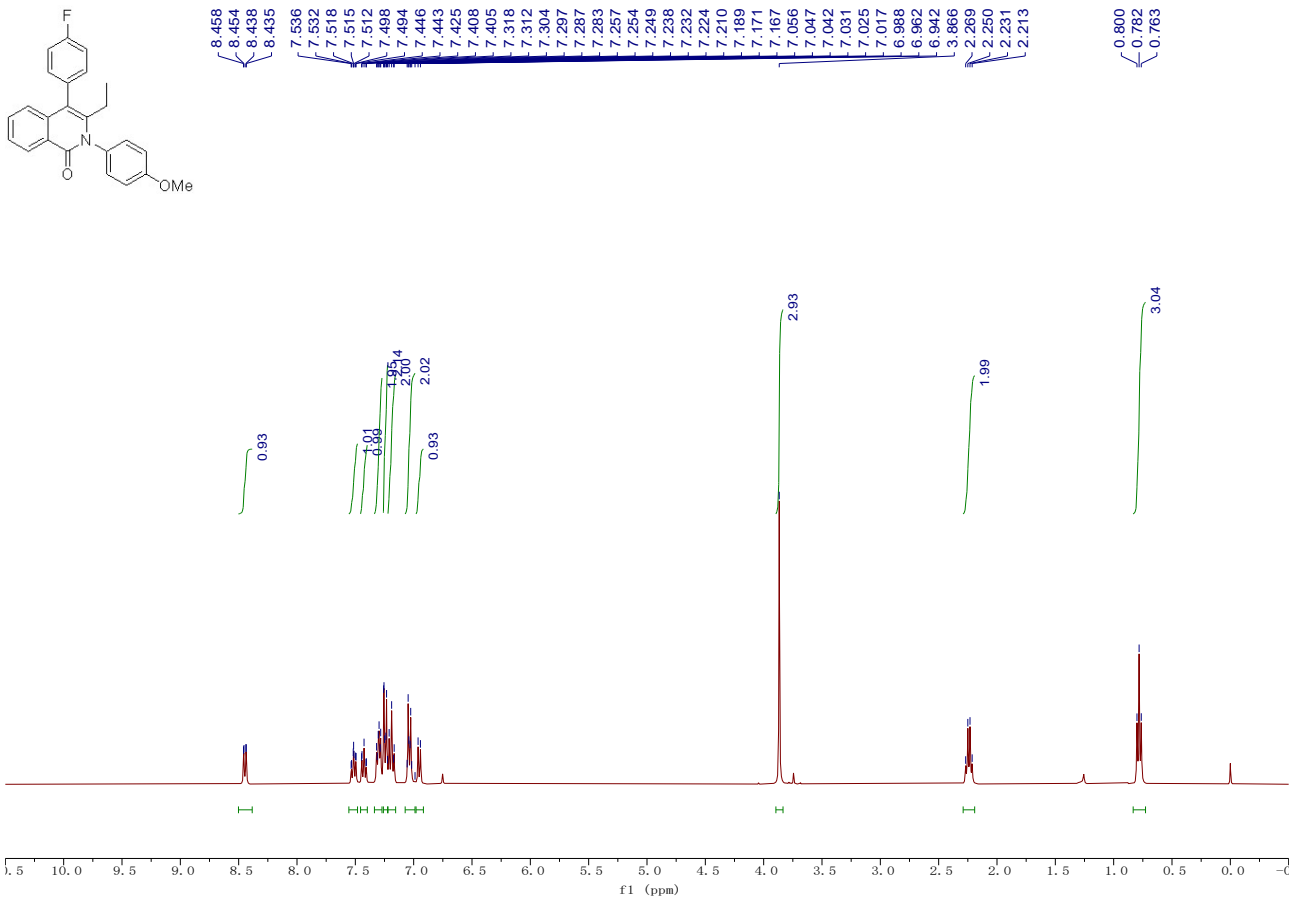
Compound 3d: Yield: 50.1 mg, 65%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.3); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (d, *J* = 7.8 Hz, 1H), 7.55 – 7.46 (m, 1H), 7.45 – 7.37 (m, 1H), 7.28 – 7.20 (m, 4H), 7.08 – 6.97 (m, 5H), 3.89 (s, 3H), 3.87 (s, 3H), 2.26 (q, *J* = 7.4 Hz, 2H), 0.78 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.5, 159.3, 158.9, 143.0, 138.4, 132.2, 132.0, 131.7, 130.0, 129.2, 127.9, 125.9, 125.0, 124.5, 116.8, 114.5, 114.1, 55.4, 55.2, 24.3, 13.9; IR (neat): ν 1651, 1603, 1507, 1241, 1174, 1105, 825 cm^{-1}; HRMS (ESI+) Calcd. for $C_{25}H_{24}NO_3$ $[M+H]^+$: 386.1751, found: 386.1748.

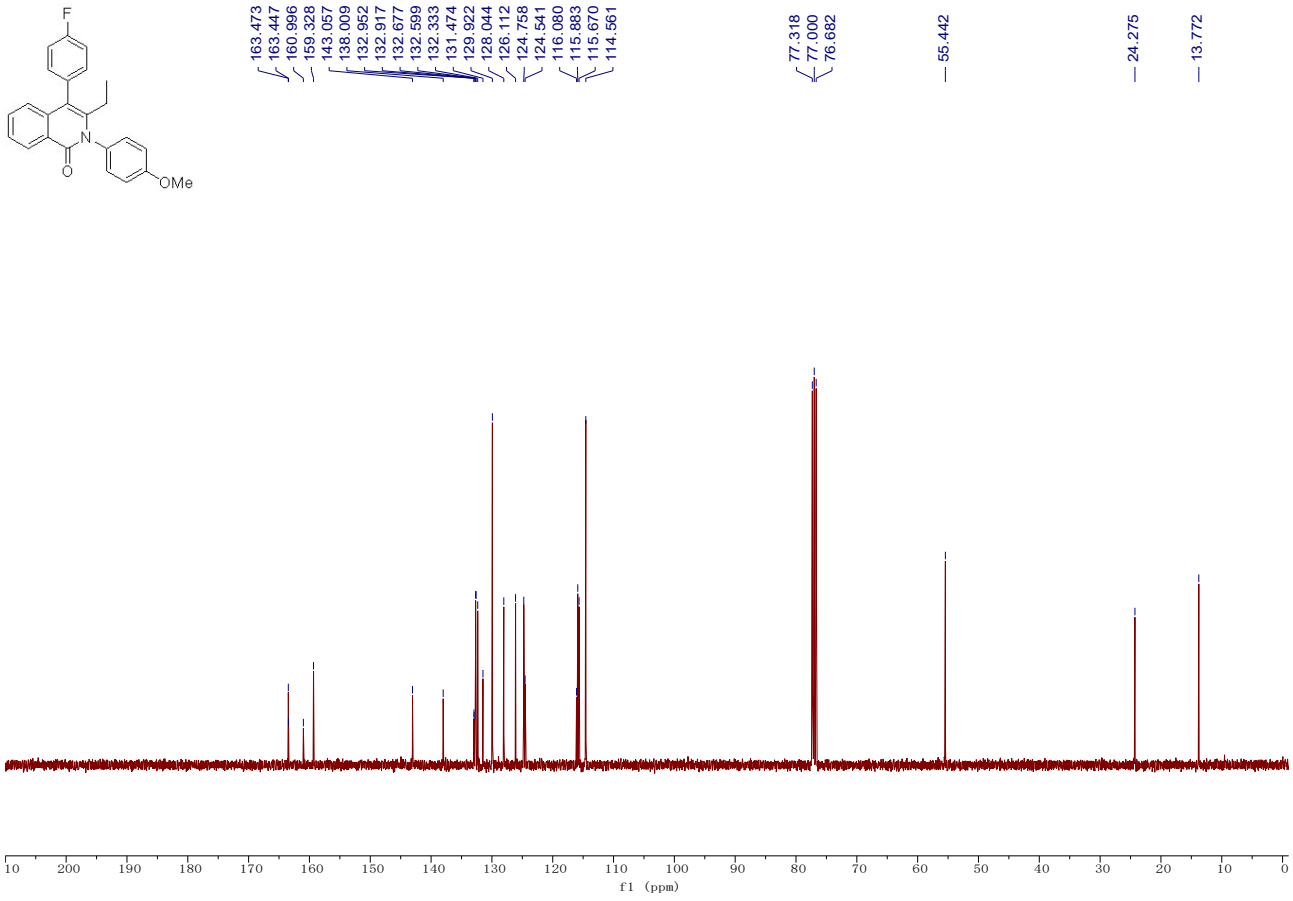

OMe
OMe
8.460
8.452
8.448
8.432
8.429
7.531
7.523
7.519
7.505
7.501
7.485
7.481
7.431
7.428
7.411
7.393
7.390
7.267
7.257
7.246
7.240
7.235
7.225
7.052
7.043
7.034
7.028
7.021
7.012
7.006
3.885
3.865
2.296
2.288
2.279
2.269
2.251
2.232
0.803
0.784
0.766
0.95
1.02
1.03
4.08
5.03
2.00
2.96
f1 (ppm)

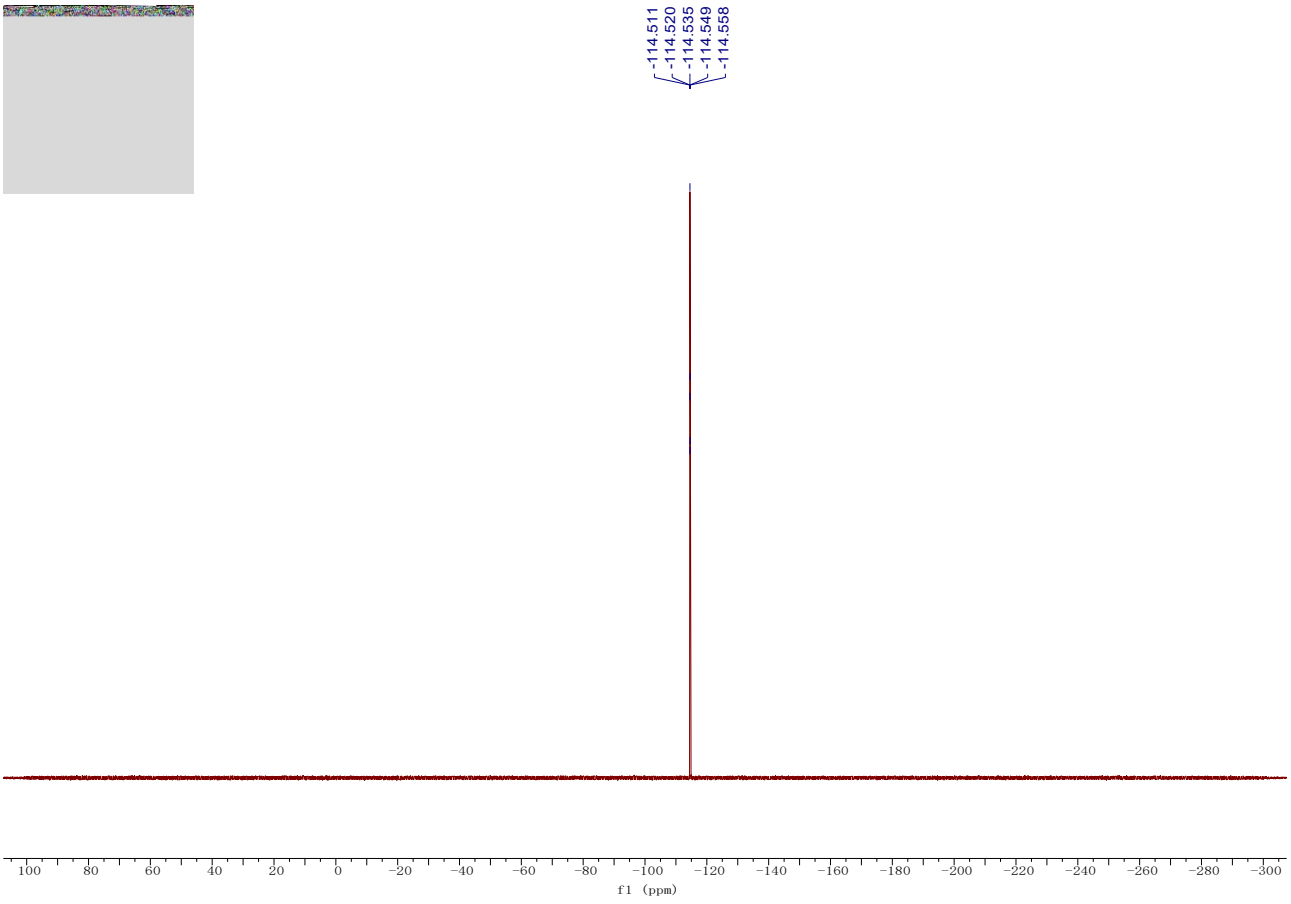

OMe
OMe
163.521
159.258
158.903
143.018
138.427
132.185
132.031
131.678
129.953
129.158
127.932
125.921
125.038
124.532
116.786
114.510
114.115
77.318
77.000
76.682
55.440
55.241
24.305
13.861
f1 (ppm)

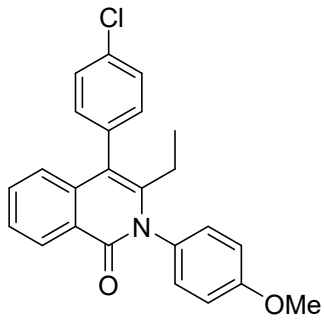


Compound 3e: Yield: 52.2 mg, 70%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.45 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.56 – 7.48 (m, 1H), 7.46 – 7.40 (m, 1H), 7.34 – 7.27 (m, 2H), 7.26 – 7.22 (m, 2H), 7.19 (t, *J* = 8.6 Hz, 2H), 7.07 – 6.99 (m, 2H), 6.95 (d, *J* = 8.1 Hz, 1H), 3.87 (s, 3H), 2.24 (q, *J* = 7.4 Hz, 2H), 0.78 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.5, 162.2 (d, *J* = 246.7 Hz), 159.3, 143.1, 138.0, 132.9 (d, *J* = 3.5 Hz), 132.6 (d, *J* = 7.9 Hz), 132.3, 131.5, 129.9, 128.0, 126.1, 124.8, 124.5, 116.1, 115.8 (d, *J* = 21.4 Hz), 114.6, 55.4, 24.3, 13.8; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -114.49 – -114.58 (m); IR (neat): ν 1651, 1613, 1505, 1247, 1230, 1216, 1029, 821, 781 cm^{-1}; HRMS (ESI+) Calcd. for $C_{24}H_{21}NO_2F$ $[M+H]^+$: 374.1551, found: 374.1551.

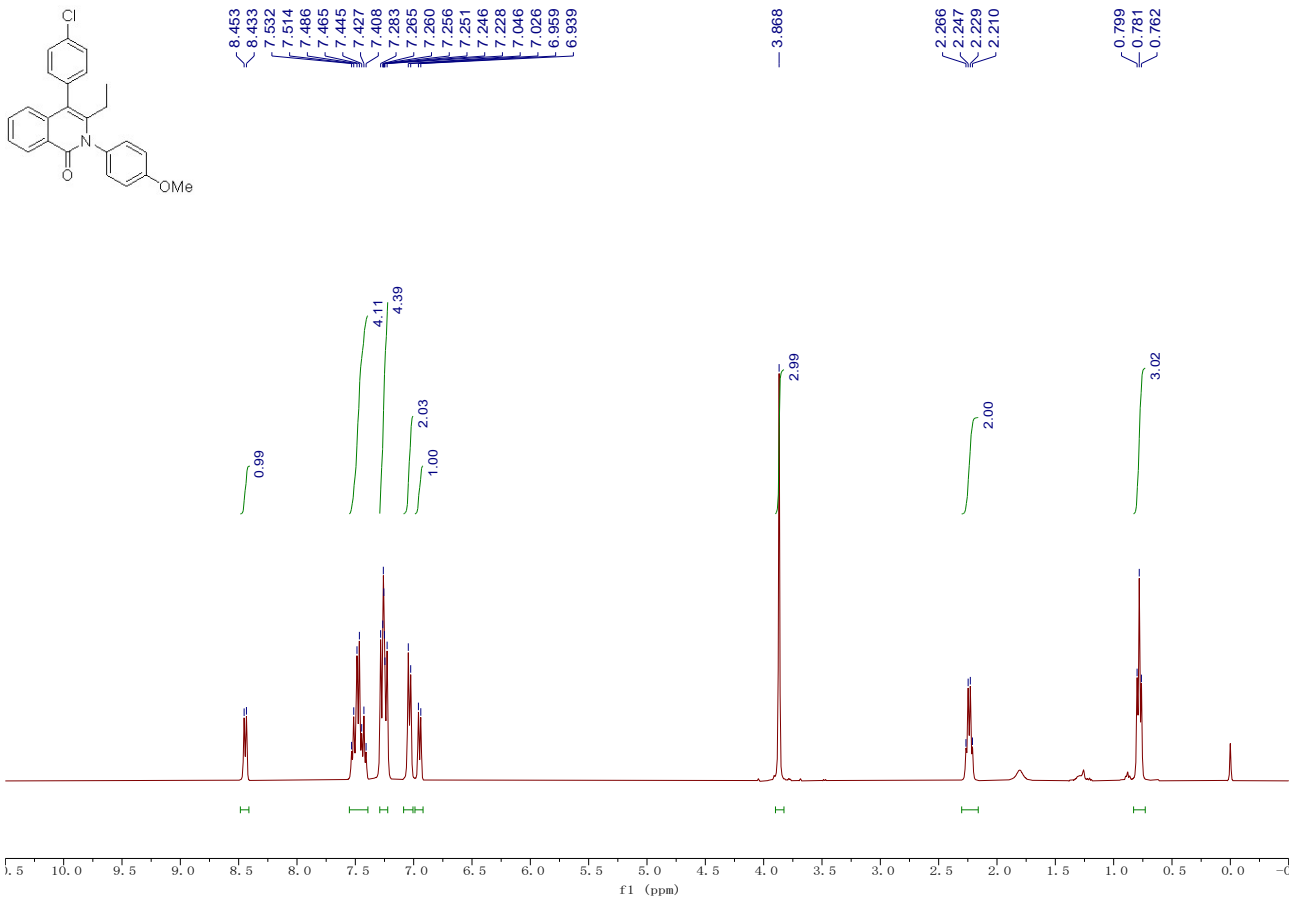

F
N
O
OMe
8.458
8.454
8.438
8.435
7.536
7.532
7.518
7.515
7.512
7.498
7.494
7.446
7.443
7.425
7.408
7.405
7.318
7.312
7.304
7.297
7.287
7.283
7.257
7.254
7.249
7.238
7.232
7.224
7.210
7.189
7.171
7.167
7.056
7.047
7.042
7.031
7.025
7.017
6.988
6.962
6.942
3.866
2.269
2.250
2.231
2.213
0.800
0.782
0.763
0.93
1.01
0.99
1.95
1.94
2.00
2.02
0.93
2.93
1.99
3.04
f1 (ppm)

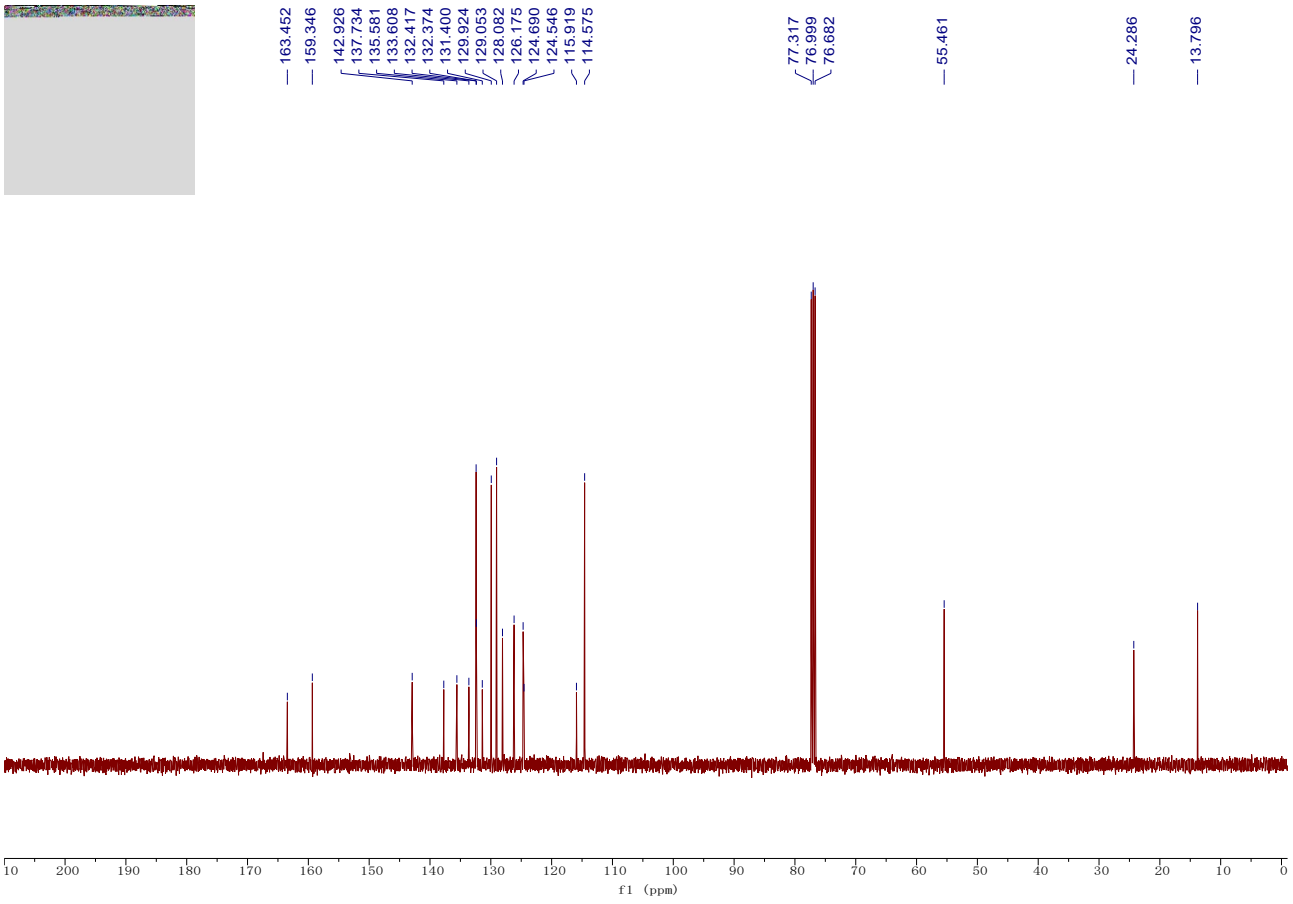

F
N
O
OMe
163.473
163.447
160.996
159.328
143.057
138.009
132.952
132.917
132.677
132.599
132.333
131.474
129.922
128.044
126.112
124.758
124.541
116.080
115.883
115.670
114.561
77.318
77.000
76.682
55.442
24.275
13.772
f1 (ppm)

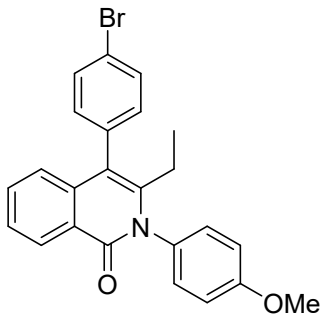




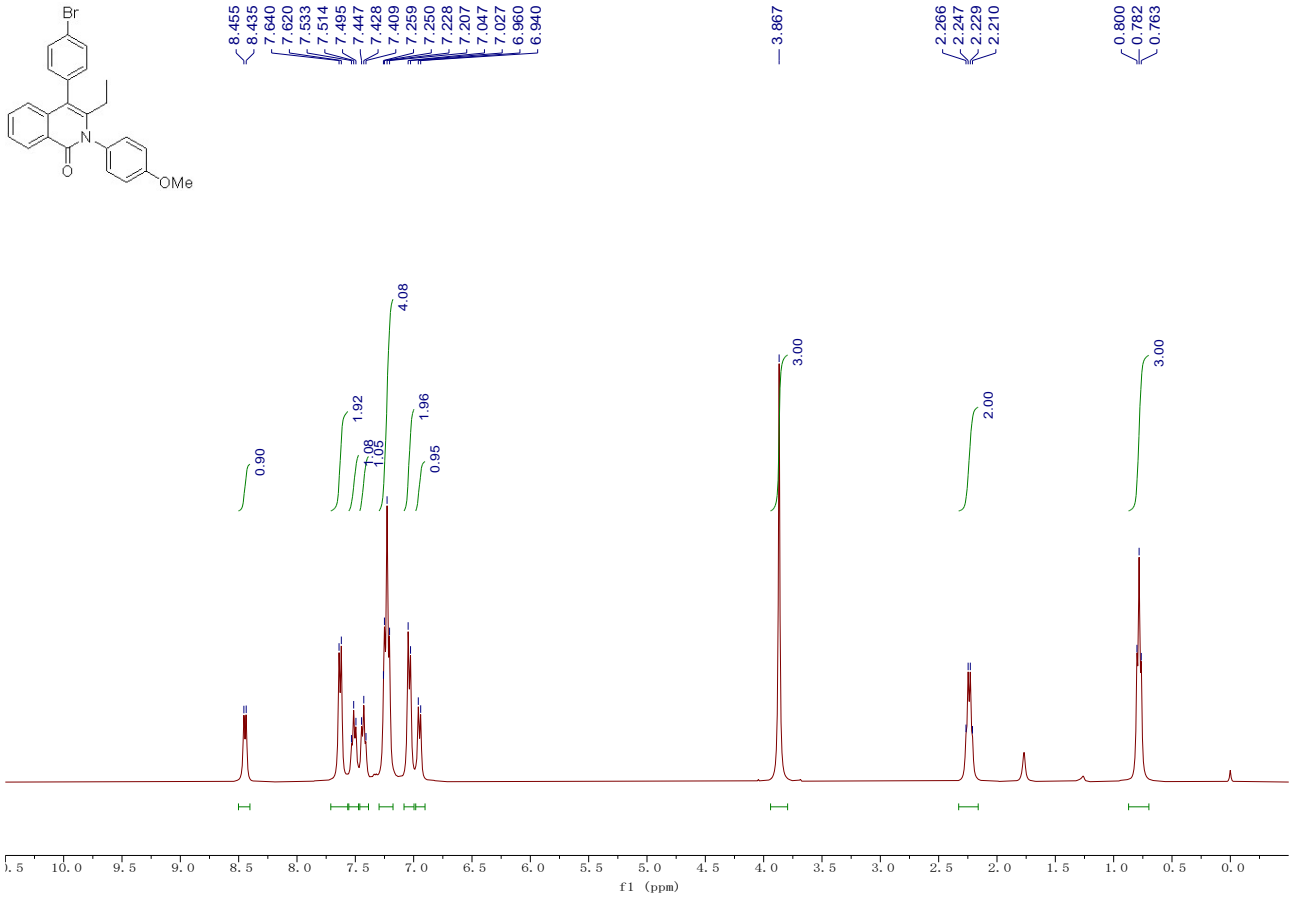
Compound 3f: Yield: 73.9 mg, 95%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (d, *J* = 7.9 Hz, 1H), 7.55 – 7.39 (m, 4H), 7.29 – 7.22 (m, 4H), 7.04 (d, *J* = 8.2 Hz, 2H), 6.95 (d, *J* = 8.2 Hz, 1H), 3.87 (s, 3H), 2.24 (q, *J* = 7.4 Hz, 2H), 0.78 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.5, 159.3, 142.9, 137.7, 135.6, 133.6, 132.42, 132.37, 131.4, 129.9, 129.1, 128.1, 126.2, 124.7, 124.5, 115.9, 114.6, 55.5, 24.3, 13.8; IR (neat): ν 2932, 1651, 1612, 1507, 1246, 1087, 820, 779, 708 cm^{-1}; HRMS (ESI+) Calcd. for $C_{24}H_{21}NO_2Cl$ $[M+H]^+$: 390.1255, found: 390.1259.

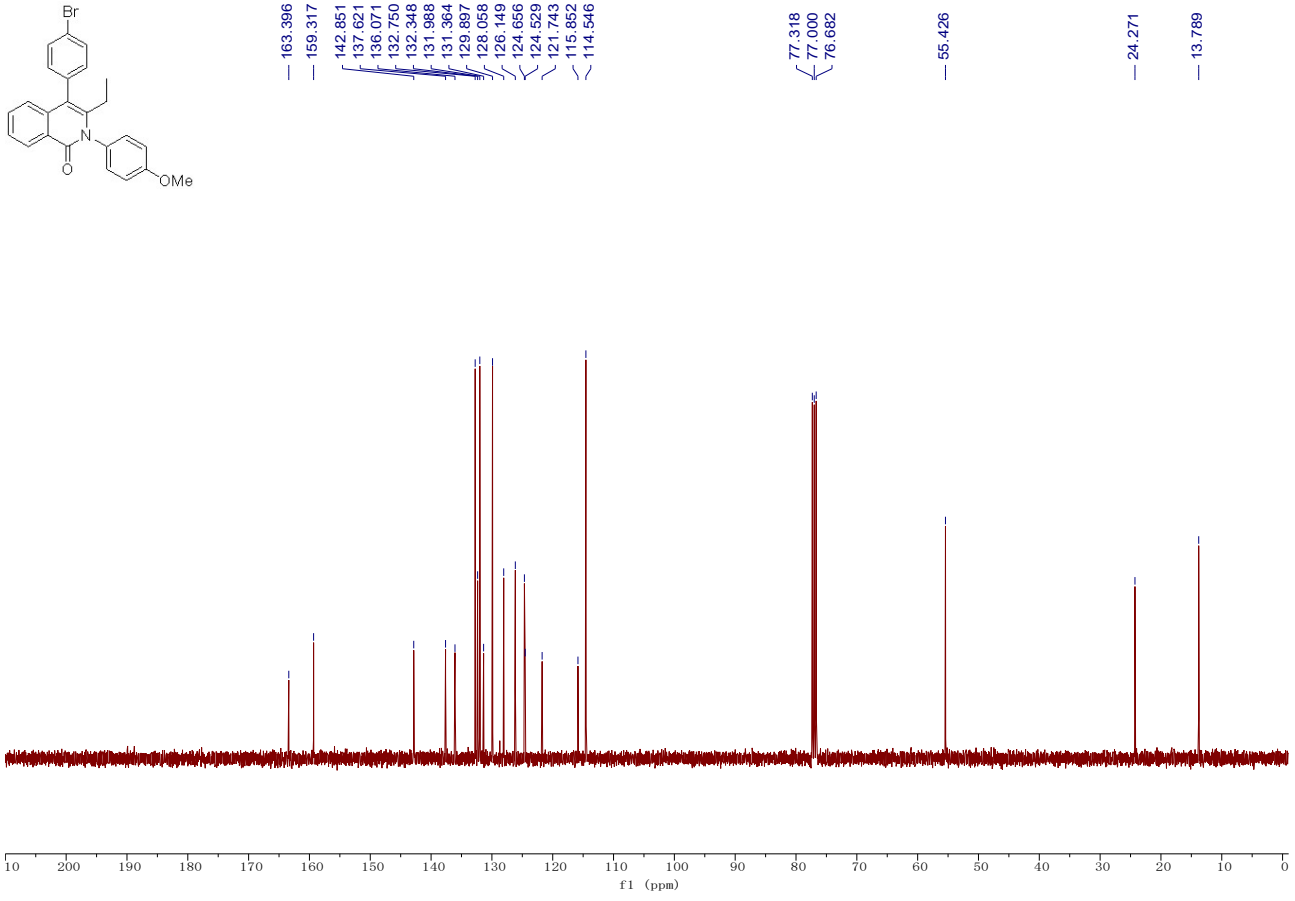

Cl
OMe
8.453
8.433
7.532
7.514
7.486
7.465
7.445
7.427
7.408
7.283
7.265
7.260
7.256
7.251
7.246
7.228
7.046
7.026
6.959
6.939
3.868
2.266
2.247
2.229
2.210
0.799
0.781
0.762
0.99
4.11
4.39
2.03
1.00
2.99
2.00
3.02
f1 (ppm)

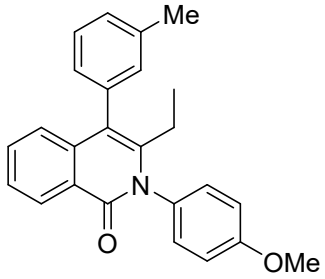




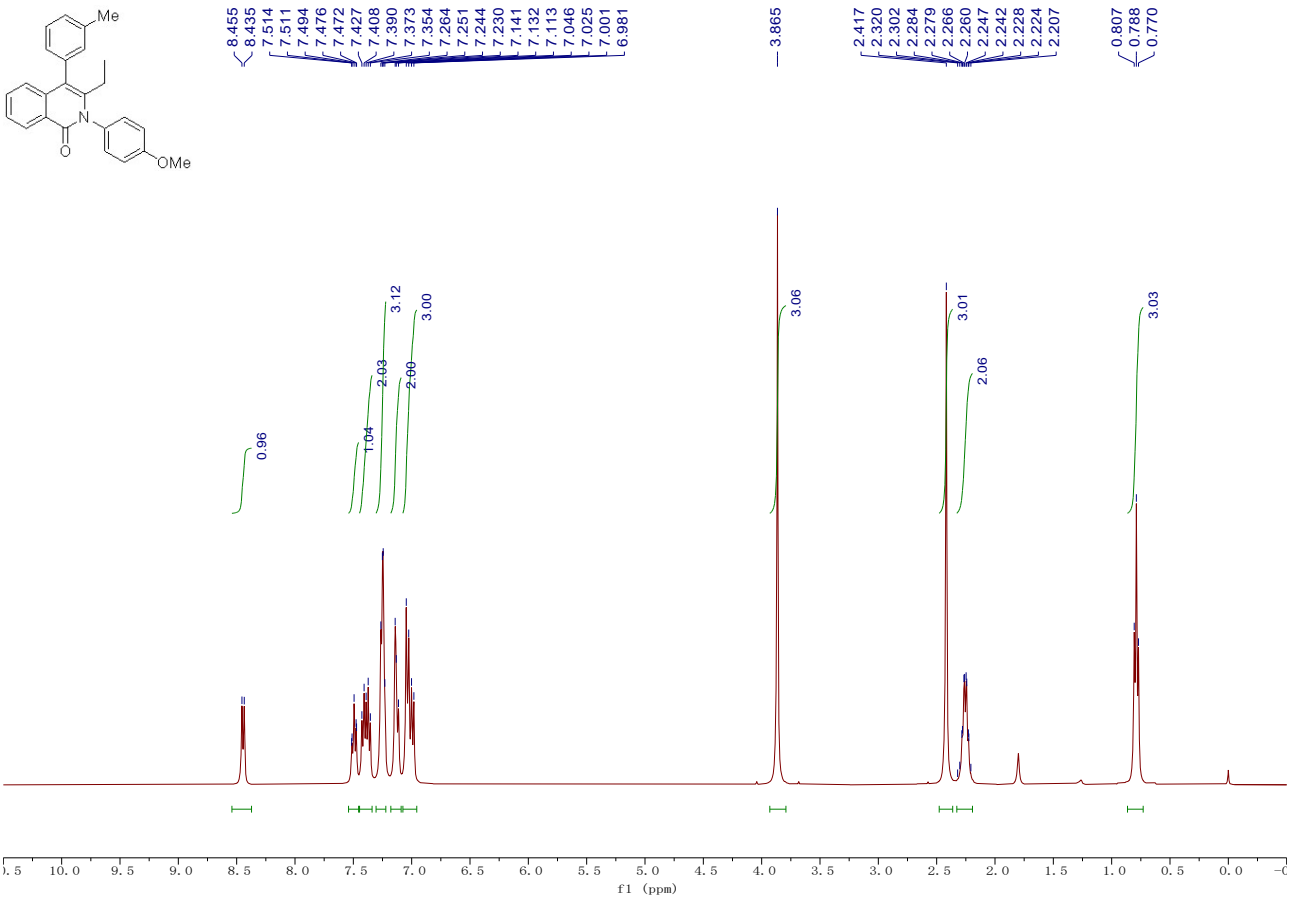
Compound 3g: Yield: 84.9 mg, 98%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.45 (d, *J* = 7.9 Hz, 1H), 7.63 (d, *J* = 7.8 Hz, 2H), 7.51 (t, *J* = 7.7 Hz, 1H), 7.43 (t, *J* = 7.6 Hz, 1H), 7.30 – 7.18 (m, 4H), 7.04 (d, *J* = 8.2 Hz, 2H), 6.95 (d, *J* = 8.2 Hz, 1H), 3.87 (s, 3H), 2.24 (q, *J* = 7.4 Hz, 2H), 0.78 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.4, 159.3, 142.9, 137.6, 136.1, 132.8, 132.3, 132.0, 131.4, 129.9, 128.1, 126.1, 124.7, 124.5, 121.7, 115.9, 114.5, 55.4, 24.3, 13.8; IR (neat): ν 1651, 1594, 1507, 1245, 1009, 820, 779, 708 cm^{-1}; HRMS (ESI+) Calcd. for $C_{24}H_{21}NO_2Br$ $[M+H]^+$: 434.0750, found: 434.0755.

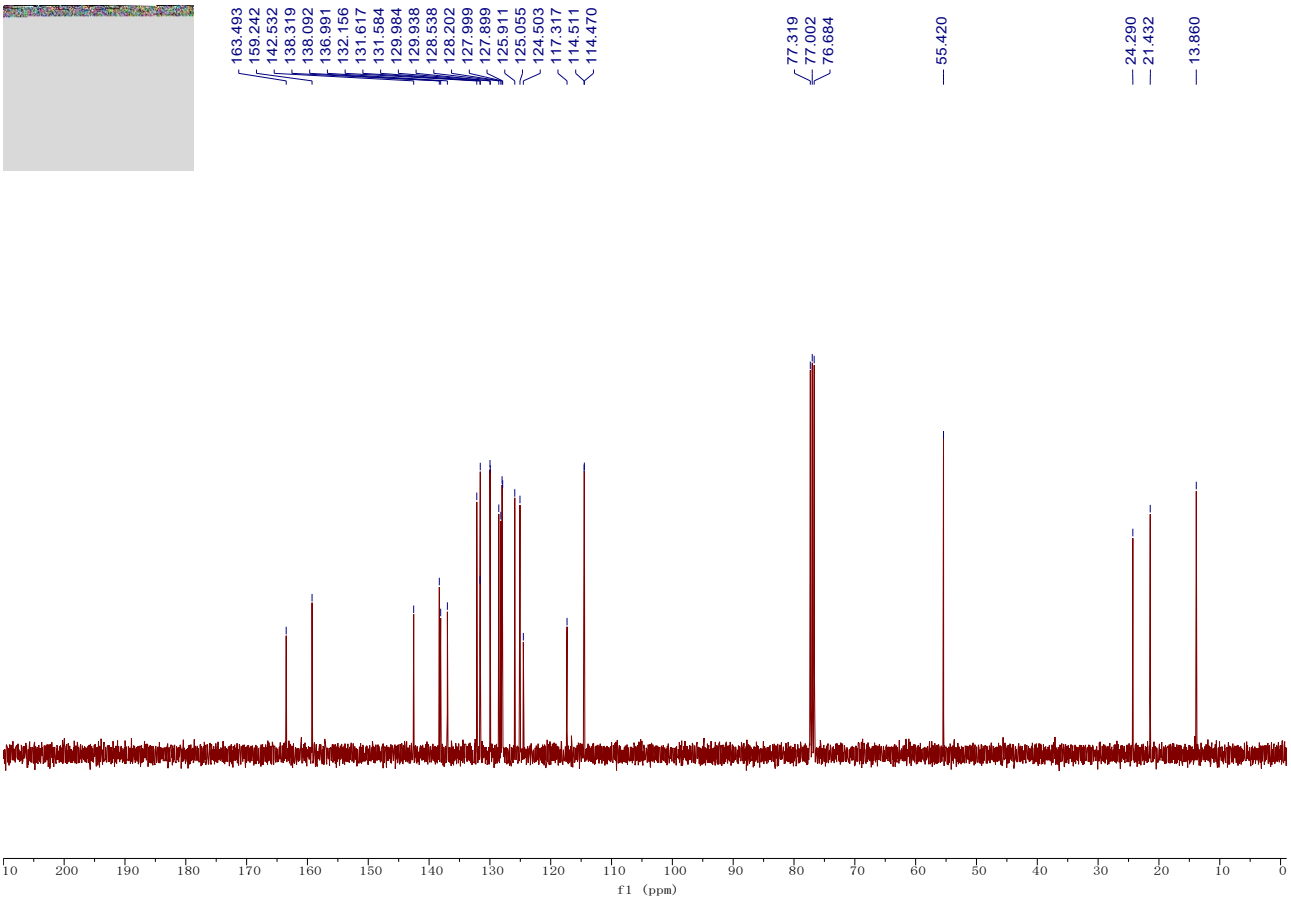

Br
OMe
8.455
8.435
7.640
7.620
7.533
7.514
7.495
7.447
7.428
7.409
7.259
7.250
7.228
7.207
7.047
7.027
6.960
6.940
3.867
2.266
2.247
2.229
2.210
0.800
0.782
0.763
0.90
1.92
1.08
1.05
4.08
1.96
0.95
3.00
2.00
3.00
f1 (ppm)

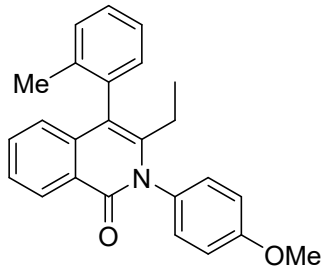

Br
OMe
163.396
159.317
142.851
137.621
136.071
132.750
132.348
131.988
131.364
129.897
128.058
126.149
124.656
124.529
121.743
115.852
114.546
77.318
77.000
76.682
55.426
24.271
13.789
f1 (ppm)



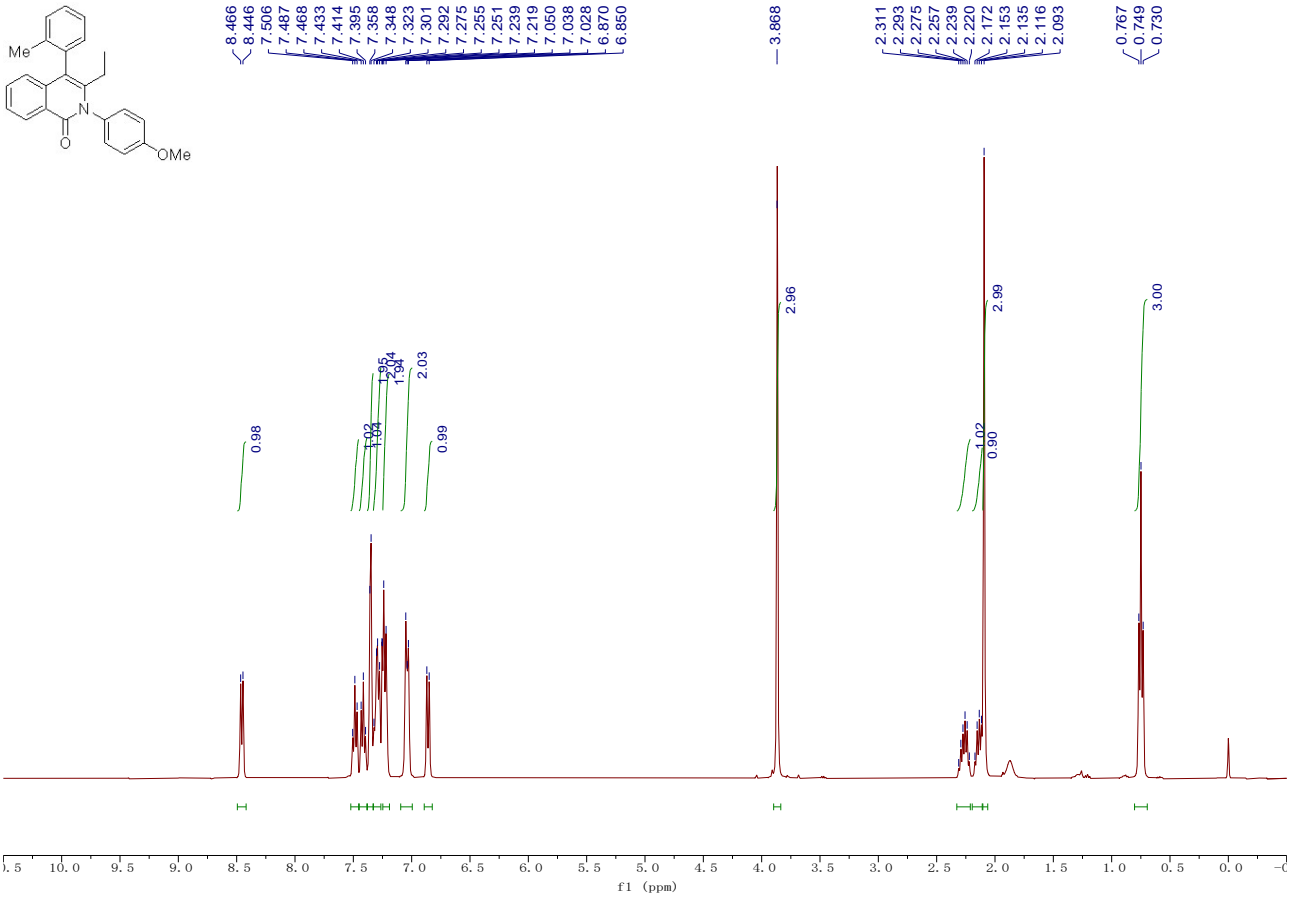
Compound 3i: Yield: 71.6 mg, 97%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (d, *J* = 7.9 Hz, 1H), 7.54 – 7.45 (m, 1H), 7.45 – 7.33 (m, 2H), 7.31 – 7.21 (m, 3H), 7.18 – 7.09 (m, 2H), 7.08 – 6.96 (m, 3H), 3.86 (s, 3H), 2.42 (s, 3H), 2.33 – 2.19 (m, 2H), 0.79 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.5, 159.2, 142.5, 138.3, 138.1, 137.0, 132.2, 131.62, 131.58, 130.0, 129.9, 128.5, 128.2, 128.0, 127.9, 125.9, 125.1, 124.5, 117.3, 114.51, 114.47, 55.4, 24.3, 21.4, 13.9; IR (neat): ν 2961, 1653, 1614, 1589, 1507, 1244, 1027, 791, 705 cm^{-1}; HRMS (ESI+) Calcd. for $C_{25}H_{24}NO_2$ $[M+H]^+$: 370.1802, found: 370.1811.

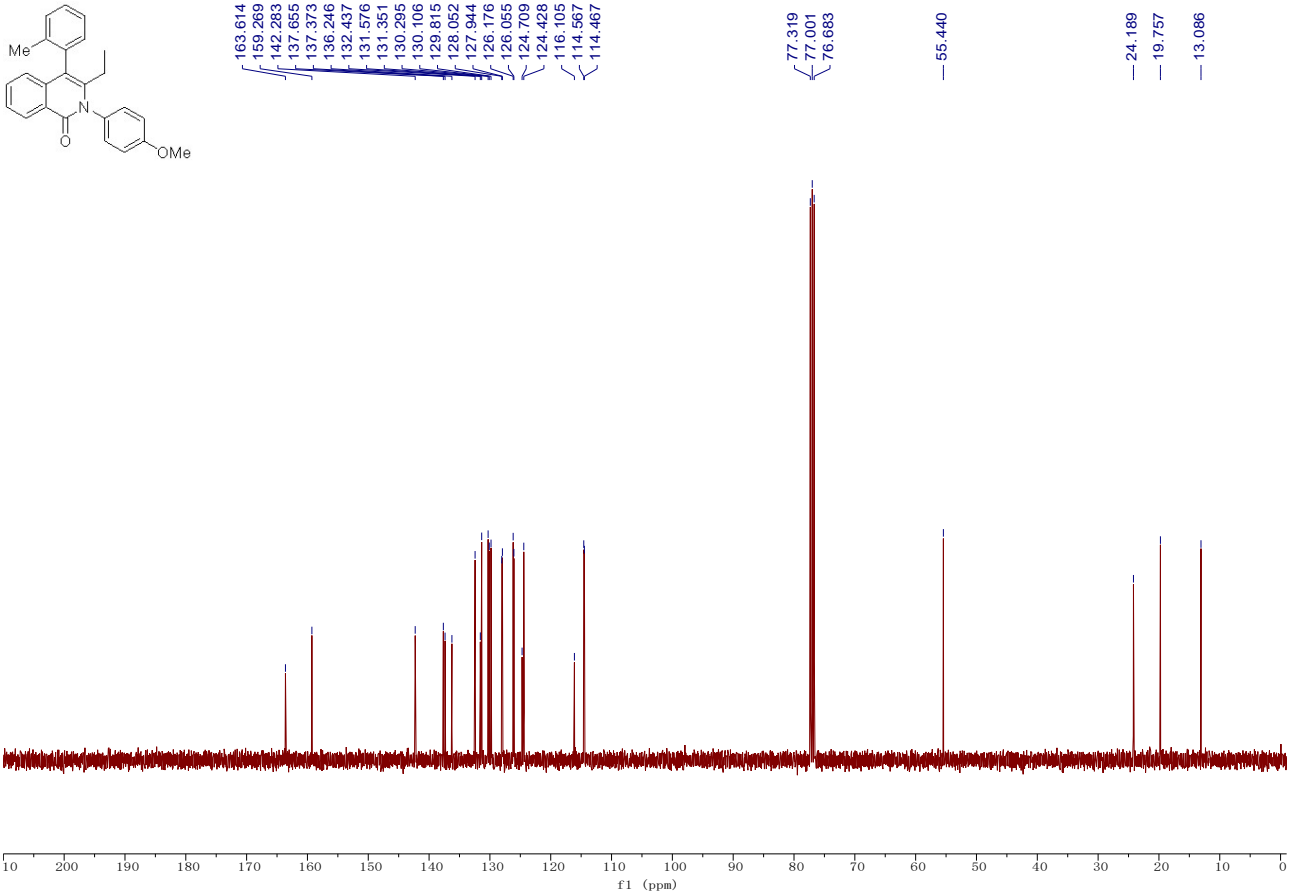


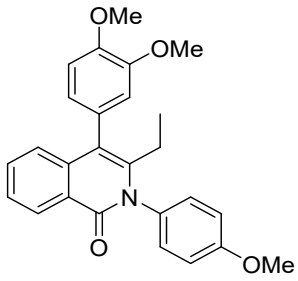




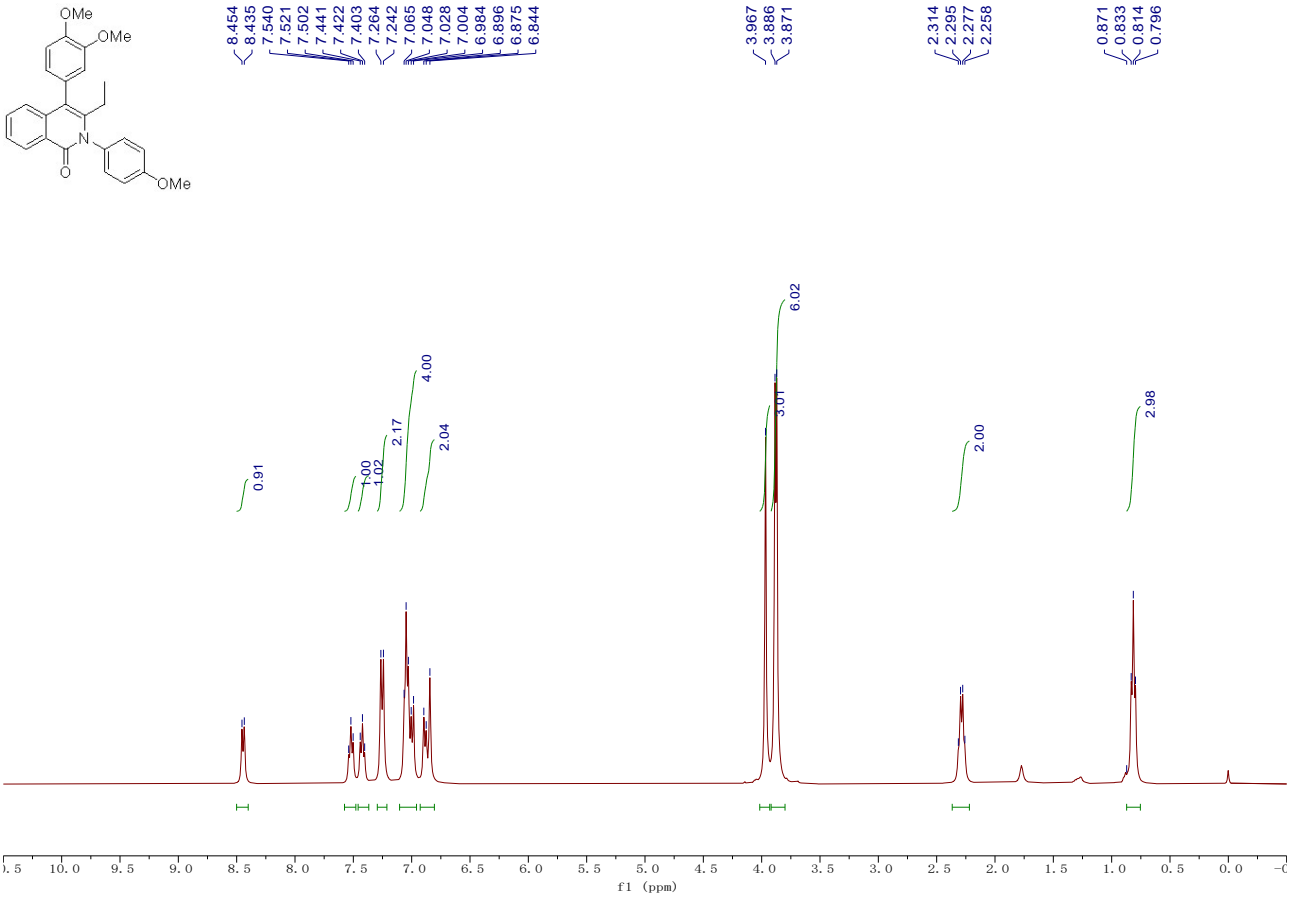
Compound 3j: Yield: 64.2 mg, 87%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.46 (d, *J* = 7.9 Hz, 1H), 7.49 (t, *J* = 7.6 Hz, 1H), 7.41 (t, *J* = 7.6 Hz, 1H), 7.38 – 7.33 (m, 2H), 7.33 – 7.26 (m, 2H), 7.25 – 7.19 (m, 2H), 7.09 – 6.99 (m, 2H), 6.86 (d, *J* = 8.1 Hz, 1H), 3.87 (s, 3H), 2.33 – 2.21 (m, 1H), 2.20 – 2.11 (m, 1H), 2.09 (s, 3H), 0.75 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.6, 159.3, 142.3, 137.7, 137.4, 136.2, 132.4, 131.6, 131.4, 130.3, 130.1, 129.8, 128.1, 127.9, 126.2, 126.1, 124.7, 124.4, 116.1, 114.6, 114.5, 55.4, 24.2, 19.8, 13.1; IR (neat): ν 2974, 1647, 1509, 1331, 1246, 1031, 823, 775, 765, 705 cm^{-1}; HRMS (ESI+) Calcd. for $C_{25}H_{24}NO_2$ $[M+H]^+$: 370.1802, found: 370.1801.

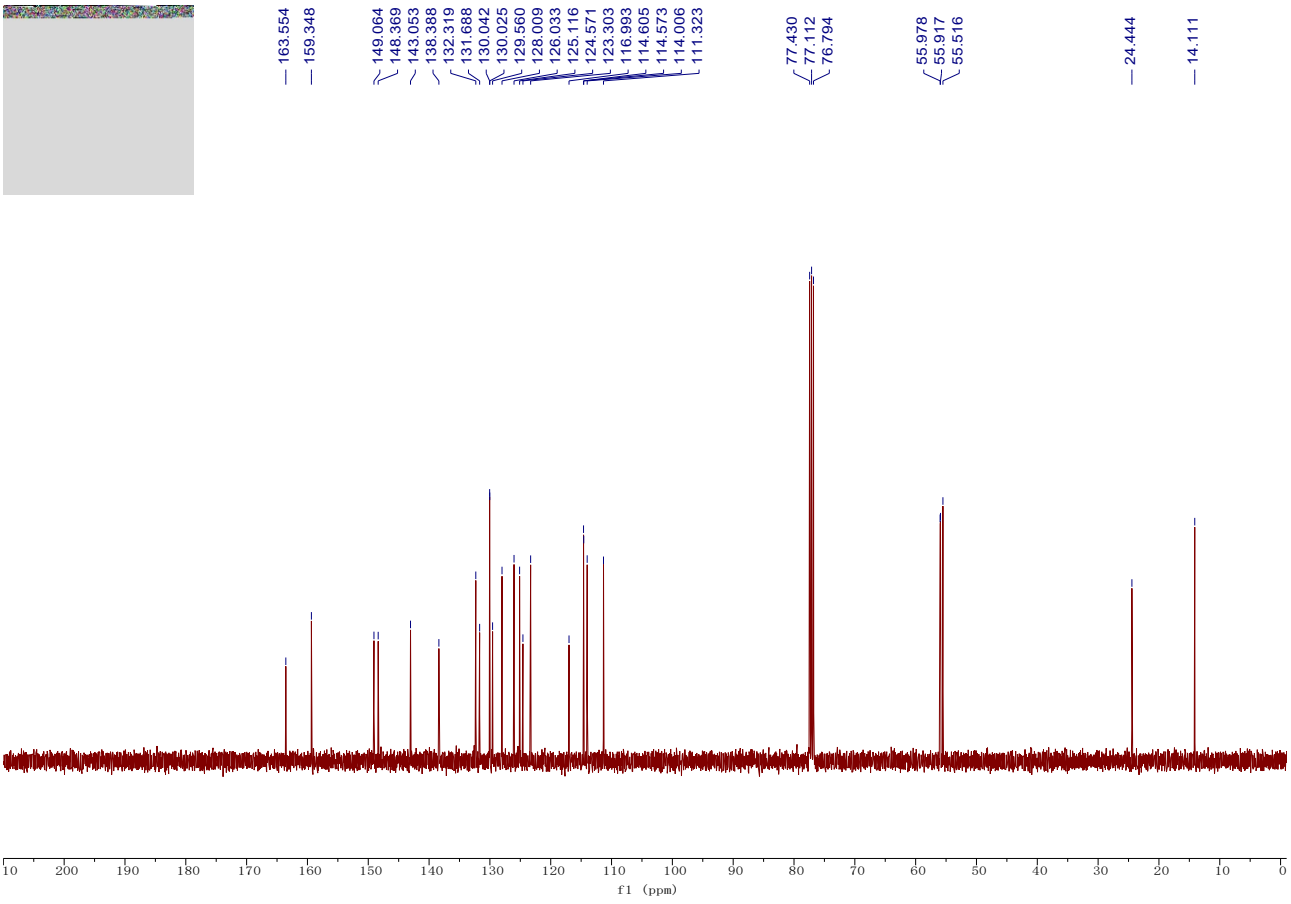

Me
OMe
8.466
8.446
7.506
7.487
7.468
7.433
7.414
7.395
7.358
7.348
7.323
7.301
7.292
7.275
7.255
7.251
7.239
7.219
7.050
7.038
7.028
6.870
6.850
3.868
2.311
2.293
2.275
2.257
2.239
2.220
2.172
2.153
2.135
2.116
2.093
0.767
0.749
0.730
0.98
1.02
1.04
1.95
2.04
1.94
2.03
0.99
2.96
1.02
0.90
2.99
3.00
f1 (ppm)

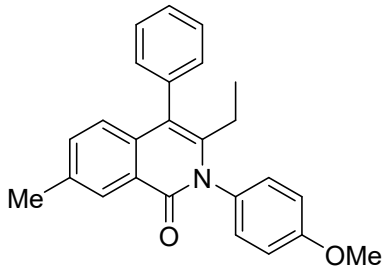

Me
OMe
163.614
159.269
142.283
137.655
137.373
136.246
132.437
131.576
131.351
130.295
130.106
129.815
128.052
127.944
126.176
126.055
124.709
124.428
116.105
114.567
114.467
77.319
77.001
76.683
55.440
24.189
19.757
13.086
f1 (ppm)



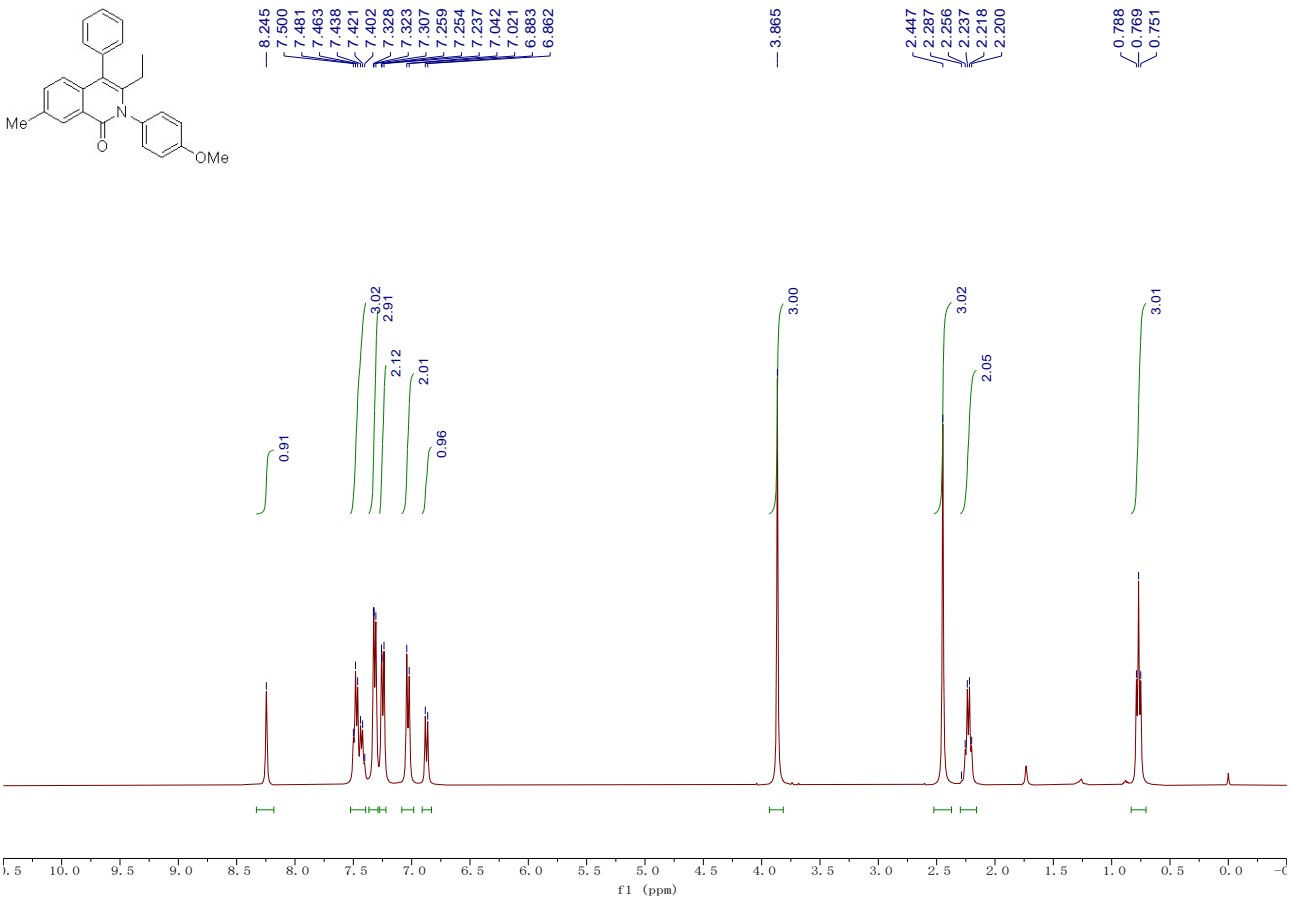
Compound 3k: Yield: 78.8 mg, 95%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 2:1, R_f = 0.3); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (d, *J* = 8.0 Hz, 1H), 7.52 (t, *J* = 7.6 Hz, 1H), 7.42 (t, *J* = 7.6 Hz, 1H), 7.25 (d, *J* = 8.8 Hz, 2H), 7.10 – 6.96 (m, 4H), 6.93 – 6.81 (m, 2H), 3.97 (s, 3H), 3.92 – 3.80 (m, 6H), 2.29 (q, 2H), 0.81 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.6, 159.3, 149.1, 148.4, 143.1, 138.4, 132.3, 131.7, 130.04, 130.03, 129.6, 128.0, 126.0, 125.1, 124.6, 123.3, 117.0, 114.61, 114.57, 114.0, 111.3, 56.0, 55.9, 55.5, 24.4, 14.1; IR (neat): ν 2935, 1650, 1507, 1246, 1234, 1164, 1141, 1029, 817, 781 cm^{-1}; HRMS (ESI+) Calcd. for $C_{26}H_{26}NO_4$ $[M+H]^+$: 416.1856, found: 416.1863.

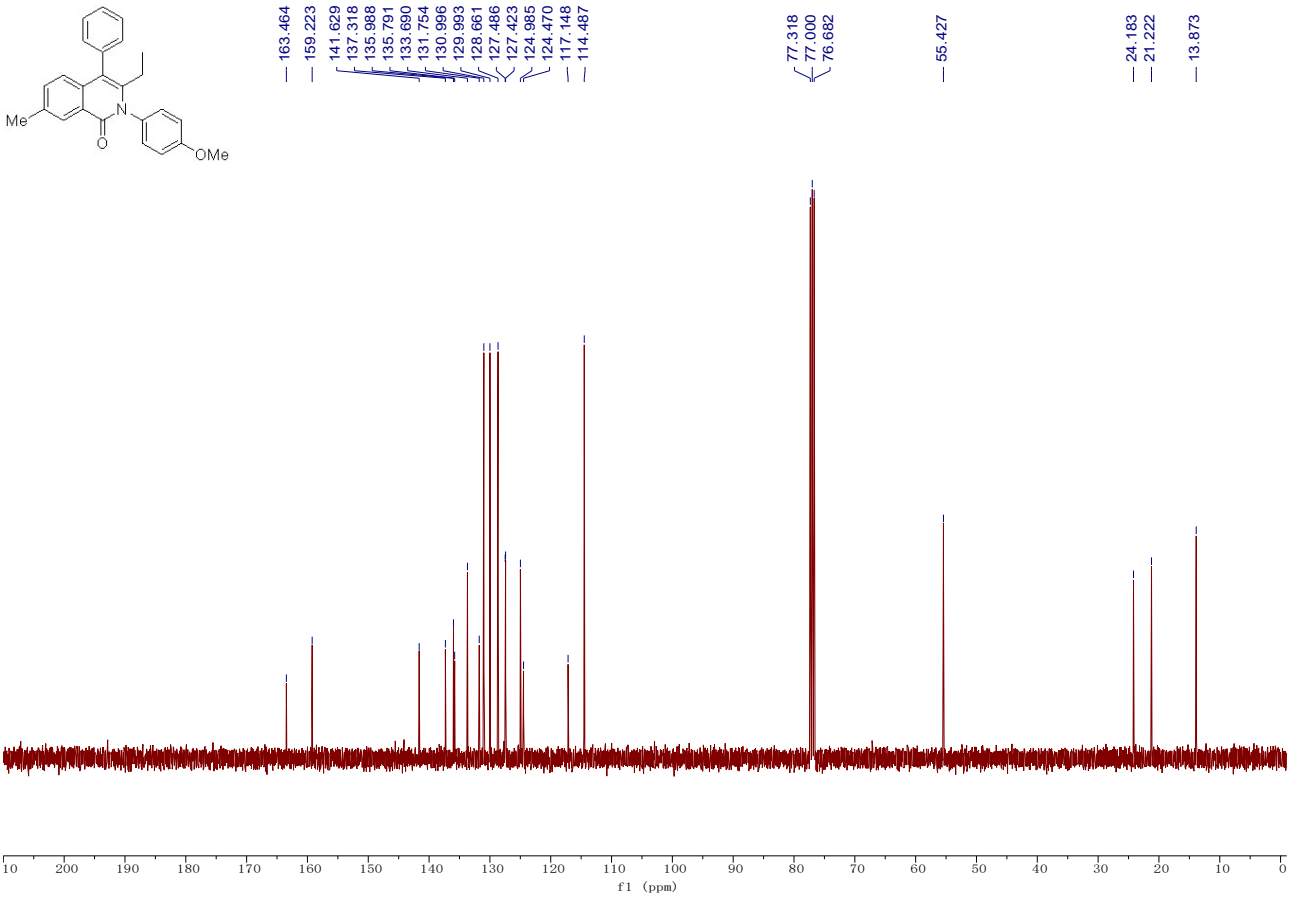


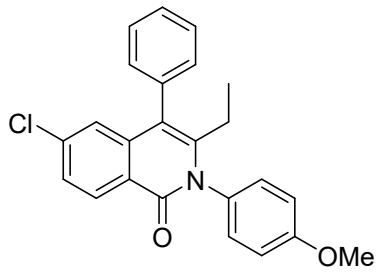




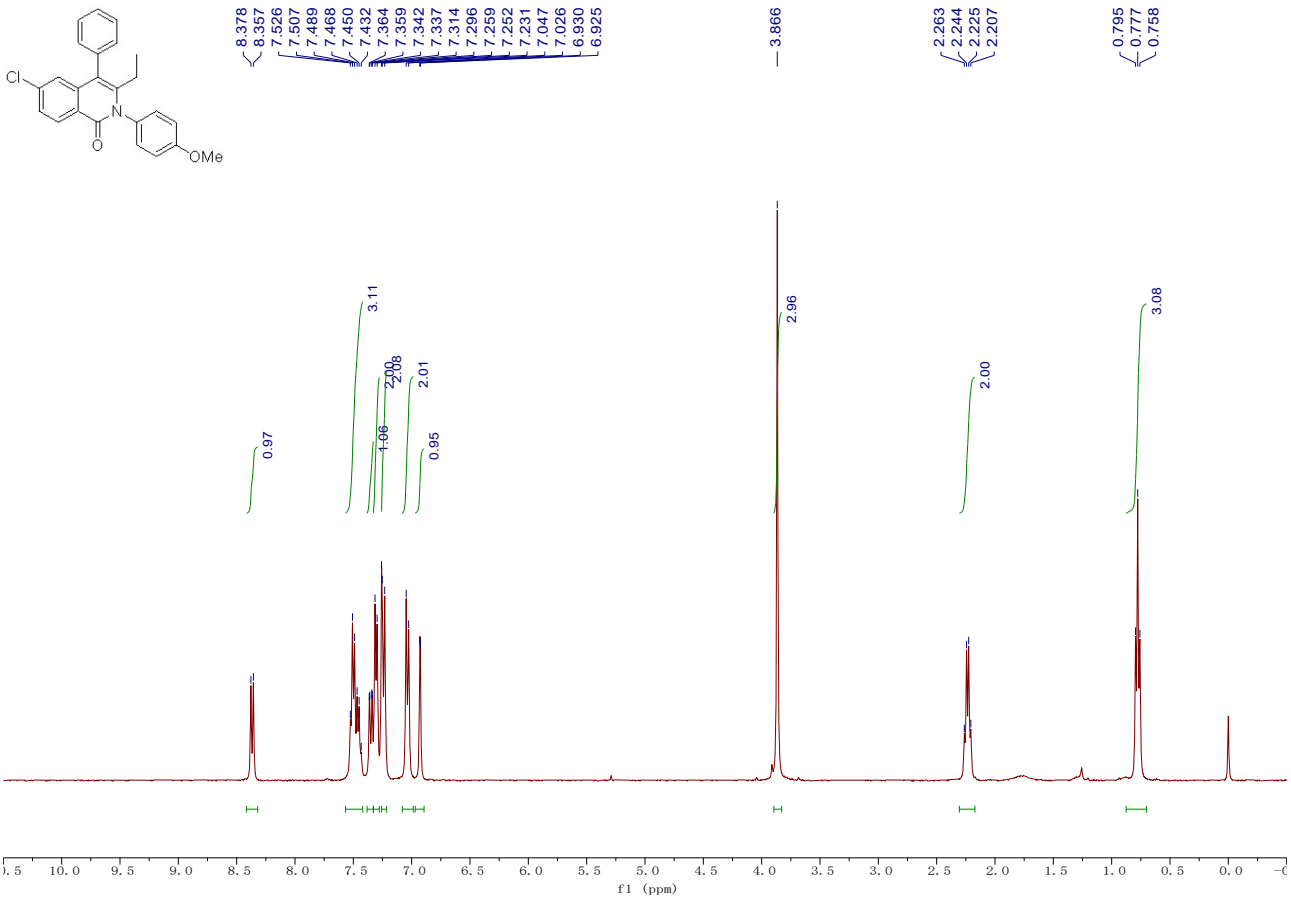
Compound 3l: Yield: 64.2 mg, 87%; A white solid; Mp: 183 - 185 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.25 (s, 1H), 7.52 – 7.38 (m, 3H), 7.37 – 7.28 (m, 3H), 7.25 (d, *J* = 8.5 Hz, 2H), 7.03 (d, *J* = 8.3 Hz, 2H), 6.87 (d, *J* = 8.3 Hz, 1H), 3.87 (s, 3H), 2.45 (s, 3H), 2.23 (q, *J* = 7.4 Hz, 2H), 0.77 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.5, 159.2, 141.6, 137.3, 136.0, 135.8, 133.7, 131.8, 131.0, 130.0, 128.7, 127.5, 127.4, 125.0, 124.5, 117.1, 114.5, 55.4, 24.2, 21.2, 13.9; IR (neat): ν 2956, 1654, 1507, 1333, 1248, 1027, 827, 702 cm^{-1}; HRMS (ESI+) Calcd. for $C_{25}H_{24}NO_2$ $[M+H]^+$: 370.1802, found: 370.1804.

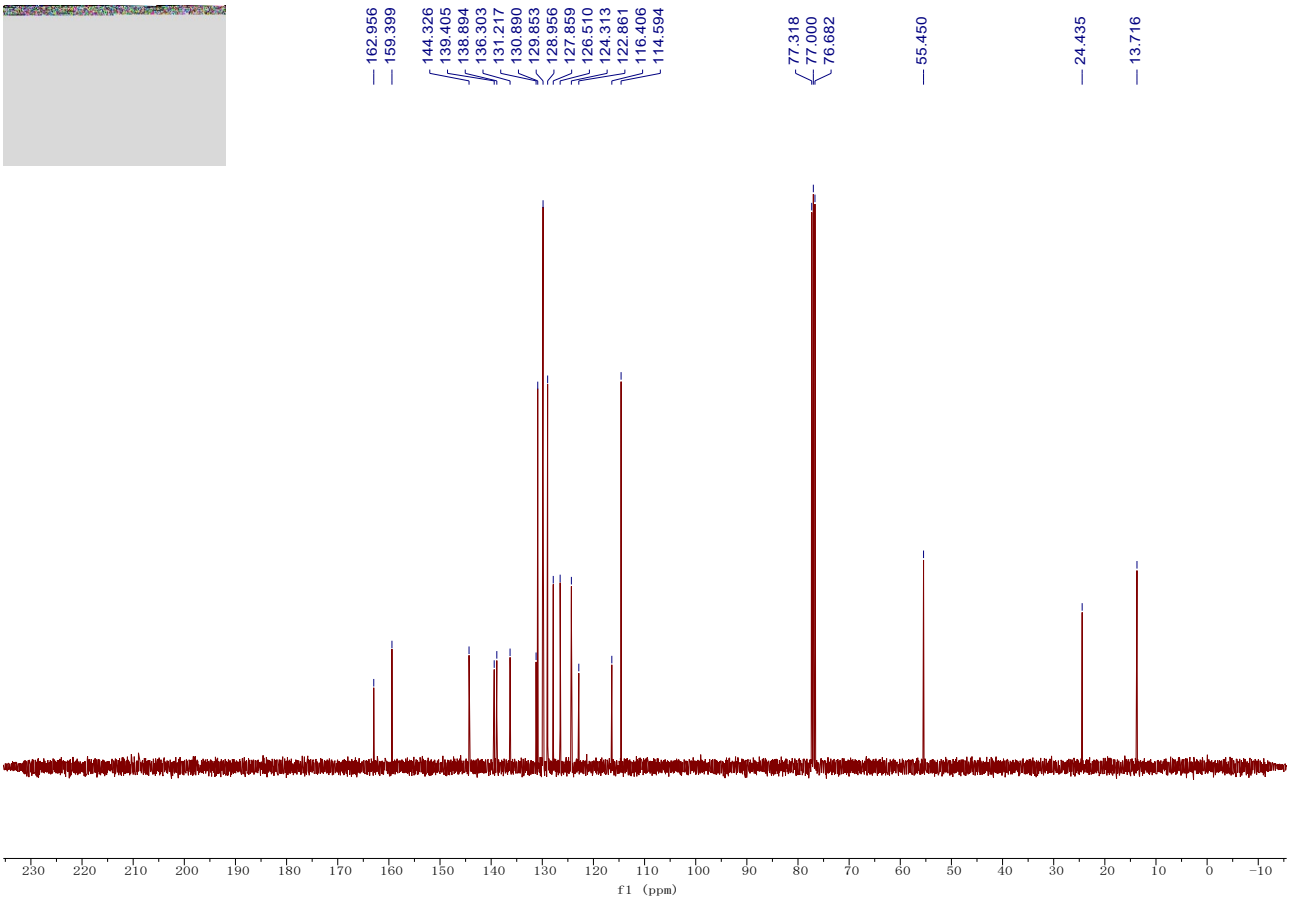

Me
N
O
OMe
8.245
7.500
7.481
7.463
7.438
7.421
7.402
7.328
7.323
7.307
7.259
7.254
7.237
7.042
7.021
6.883
6.862
3.865
2.447
2.287
2.256
2.237
2.218
2.200
0.788
0.769
0.751
0.91
3.02
2.91
2.12
2.01
0.96
3.00
3.02
2.05
3.01
f1 (ppm)

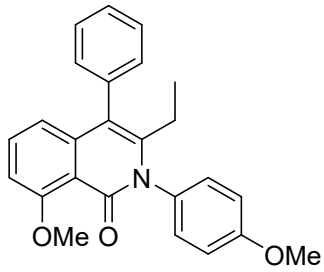

Me
N
O
OMe
163.464
159.223
141.629
137.318
135.988
135.791
133.690
131.754
130.996
129.993
128.661
127.486
127.423
124.985
124.470
117.148
114.487
77.318
77.000
76.682
55.427
24.183
21.222
13.873
f1 (ppm)



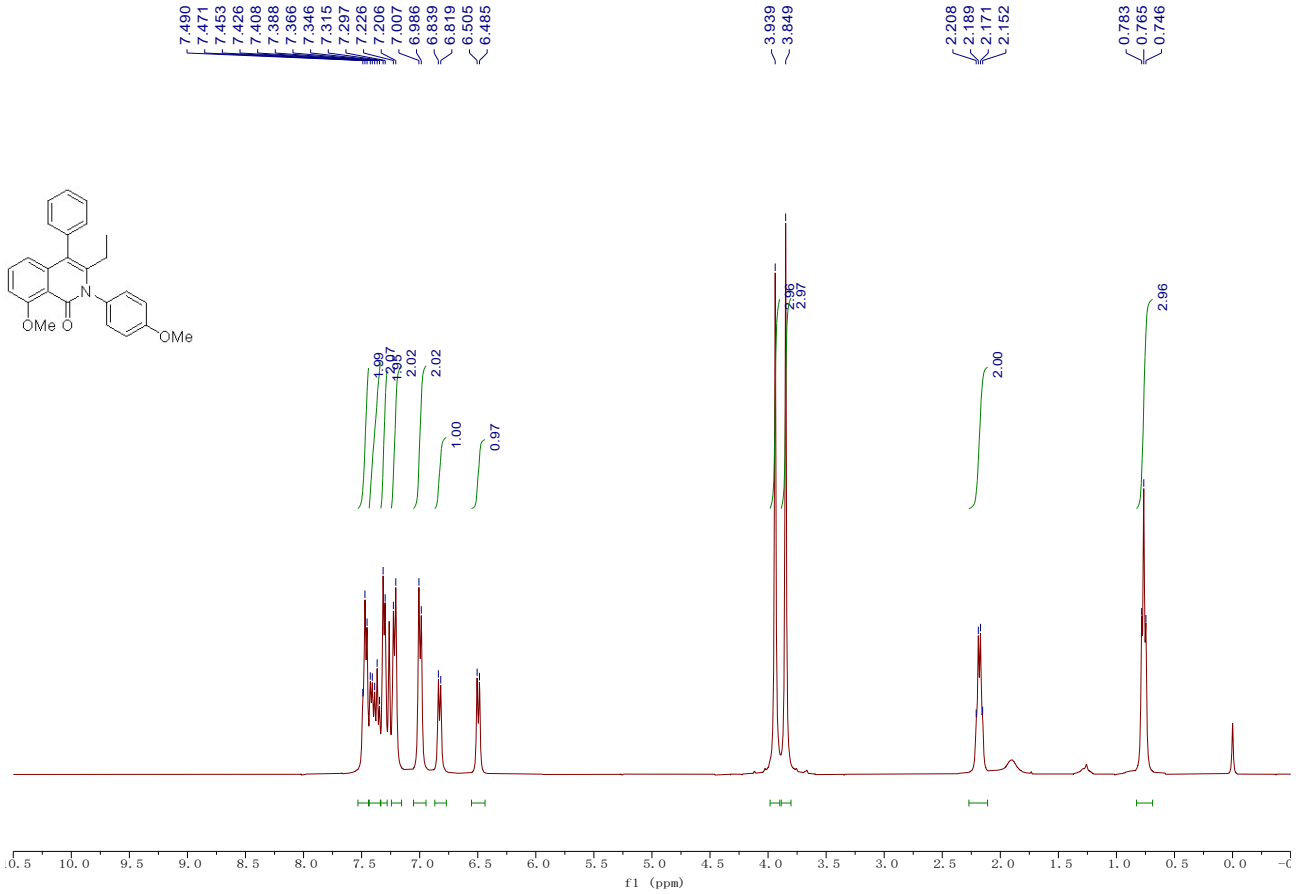
Compound 3m: Yield: 76.2 mg, 98%; A white solid; Mp: 188 - 190 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.37 (d, *J* = 8.6 Hz, 1H), 7.57 – 7.42 (m, 3H), 7.35 (dd, *J* = 8.6, 2.0 Hz, 1H), 7.31 (d, *J* = 7.2 Hz, 2H), 7.26 – 7.21 (m, 2H), 7.04 (d, *J* = 8.3 Hz, 2H), 6.97 – 6.89 (m, 1H), 3.87 (s, 3H), 2.23 (q, *J* = 7.4 Hz, 2H), 0.78 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.0, 159.4, 144.3, 139.4, 138.9, 136.3, 131.2, 130.9, 129.9, 129.0, 127.9, 126.5, 124.3, 122.9, 116.4, 114.6, 55.4, 24.4, 13.7; IR (neat): ν 2969, 1666, 1591, 1510, 1253, 1025, 828, 779, 712 cm^{-1}; HRMS (ESI+) Calcd. for $C_{24}H_{21}NO_2Cl$ $[M+H]^+$: 390.1255, found: 390.1250.

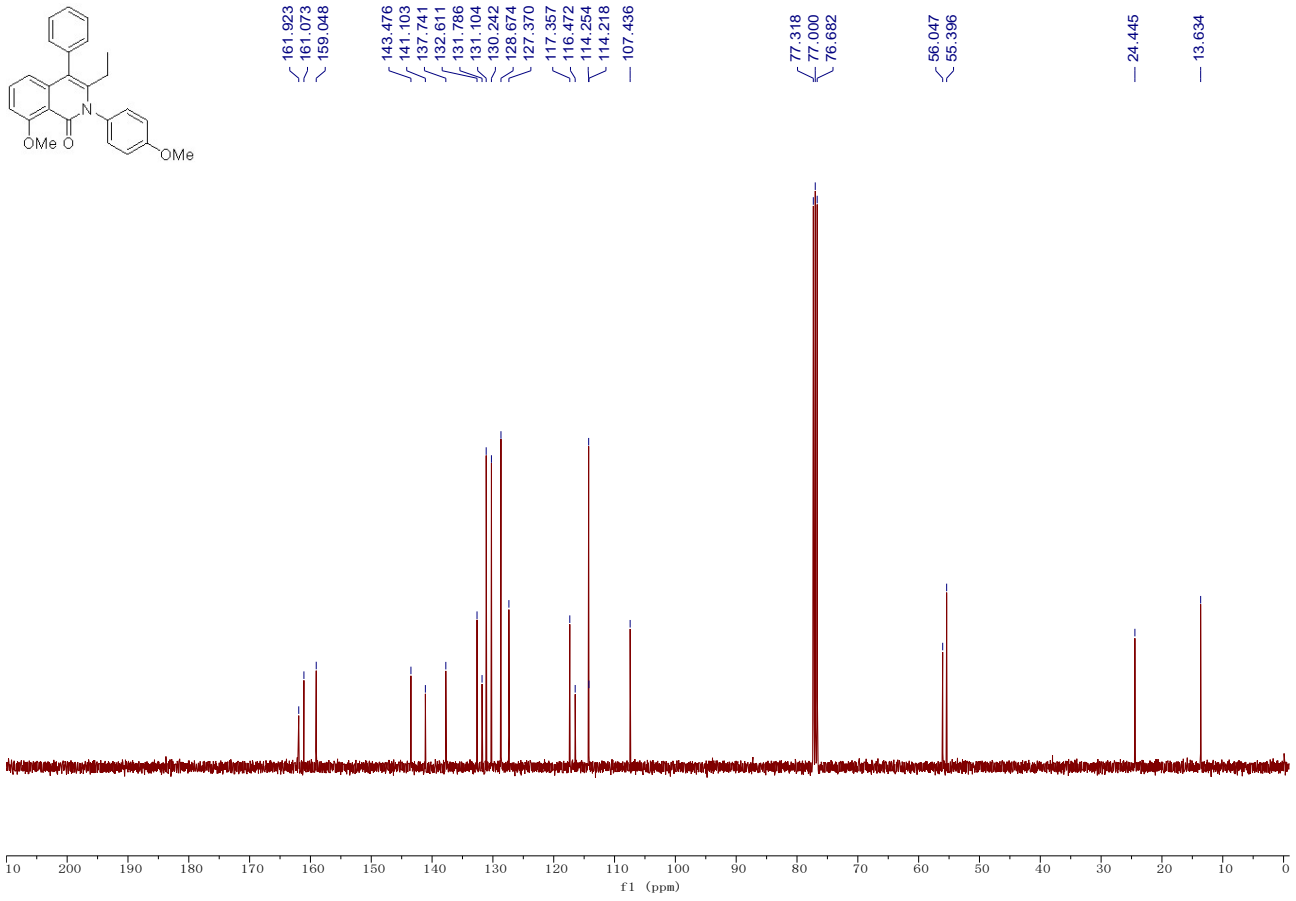


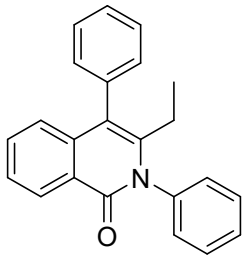




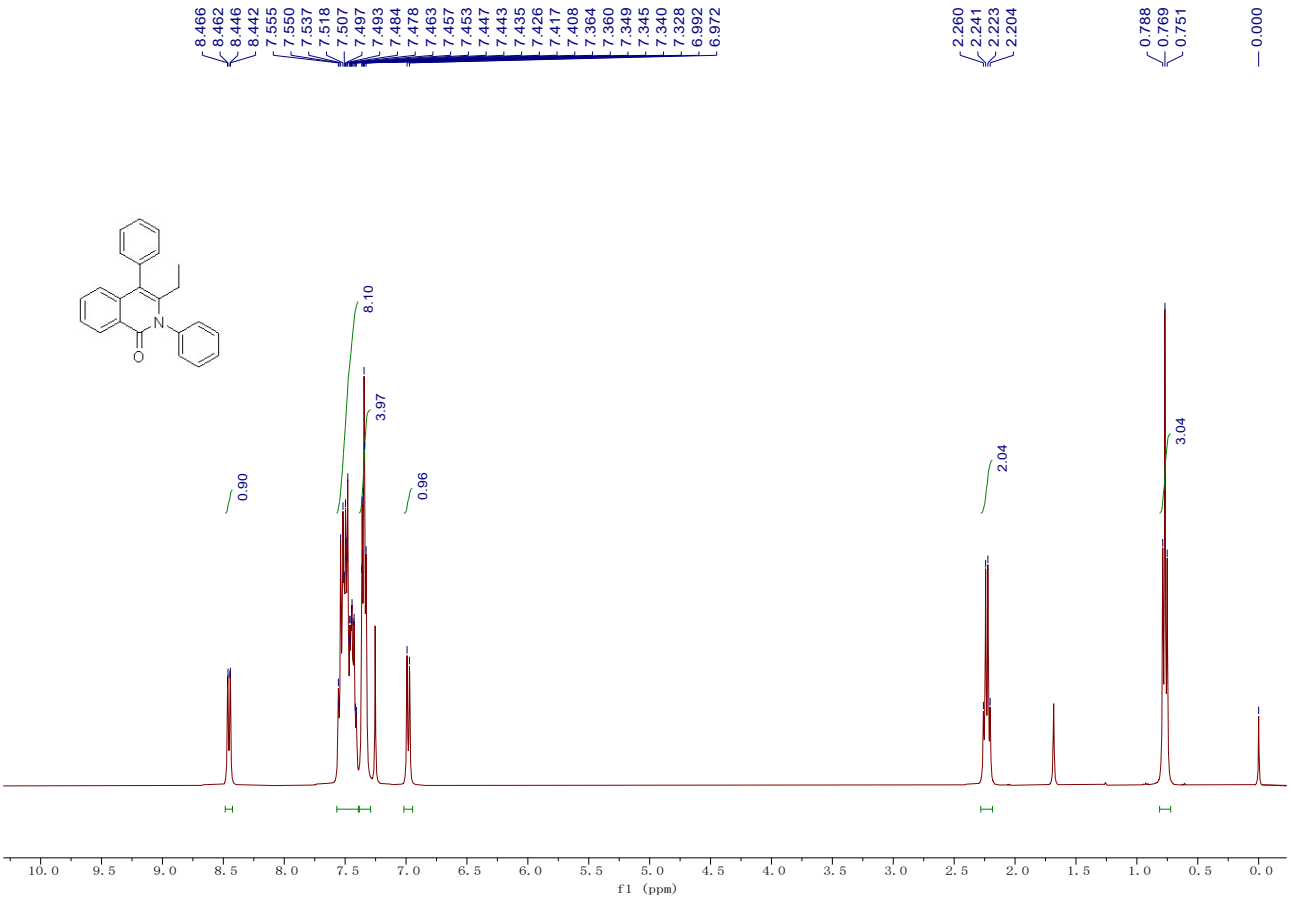
Compound 3n': Yield: 73.1 mg, 95%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 1:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.53 – 7.44 (m, 2H), 7.43 – 7.34 (m, 2H), 7.33 – 7.28 (m, 2H), 7.22 (d, *J* = 8.3 Hz, 2H), 7.00 (d, *J* = 8.1 Hz, 2H), 6.83 (d, *J* = 8.1 Hz, 1H), 6.50 (d, *J* = 8.2 Hz, 1H), 3.94 (s, 3H), 3.85 (s, 3H), 2.18 (q, *J* = 7.4 Hz, 2H), 0.76 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 161.9, 161.1, 159.0, 143.5, 141.1, 137.7, 132.6, 131.8, 131.1, 130.2, 128.7, 127.4, 117.4, 116.5, 114.3, 114.2, 107.4, 56.0, 55.4, 24.4, 13.6; IR (neat): ν 1658, 1599, 1508, 1473, 1260, 1248, 1076, 815, 700 cm^{-1}; HRMS (ESI+) Calcd. for $C_{25}H_{24}NO_3$ $[M+H]^+$: 386.1751, found: 386.1741.

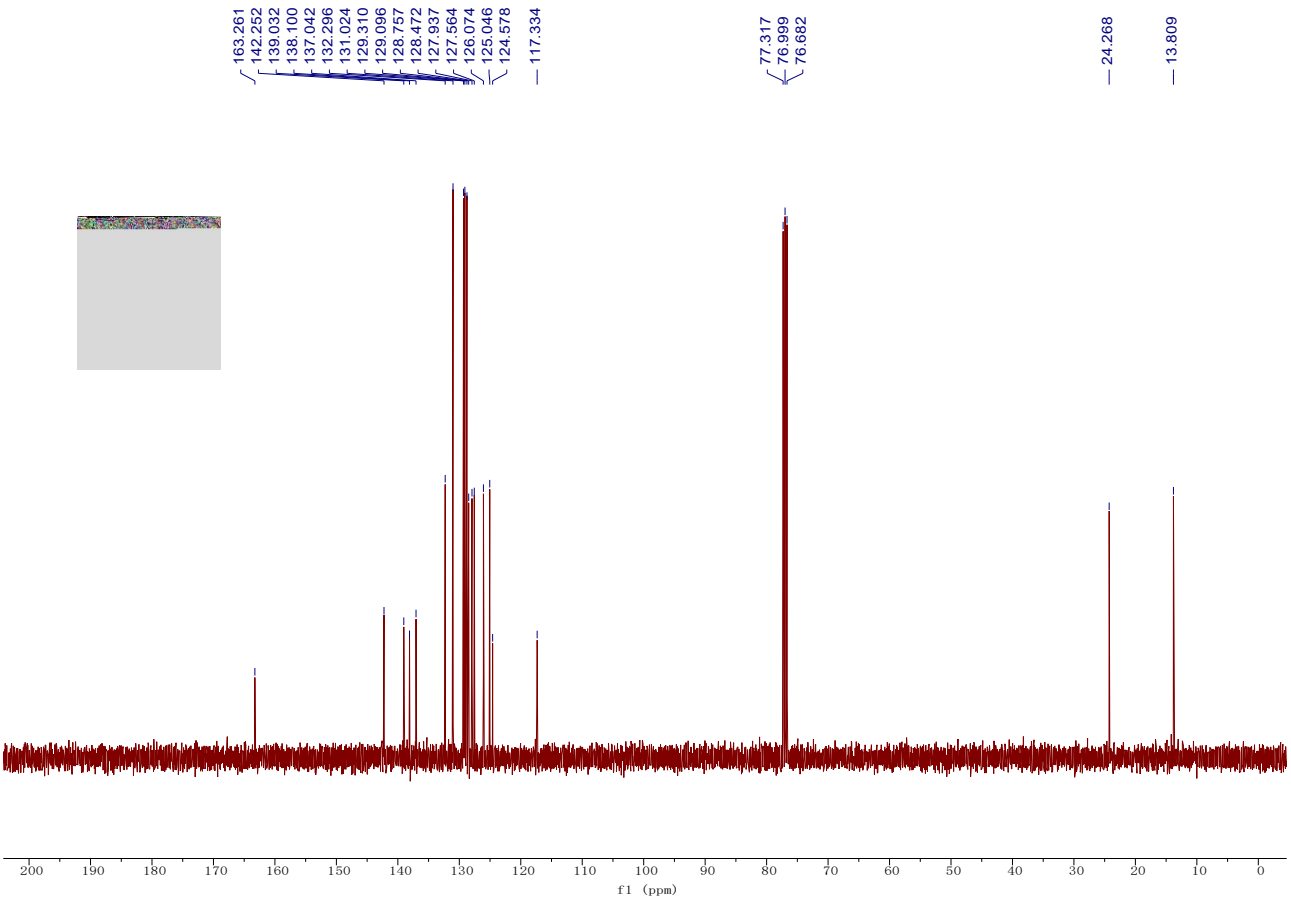

7.490
7.471
7.453
7.426
7.408
7.388
7.366
7.346
7.315
7.297
7.226
7.206
7.007
6.986
6.839
6.819
6.505
6.485
3.939
3.849
2.208
2.189
2.171
2.152
0.783
0.765
0.746
OMe
O
N
OMe
1.99
1.97
1.98
2.02
2.02
1.00
0.97
2.96
2.97
2.00
2.96
f1 (ppm)

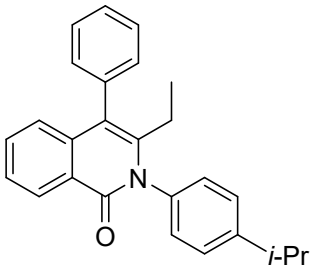

161.923
161.073
159.048
143.476
141.103
137.741
132.611
131.786
131.104
130.242
128.674
127.370
117.357
116.472
114.254
114.218
107.436
77.318
77.000
76.682
56.047
55.396
24.445
13.634
OMe
O
N
OMe
f1 (ppm)



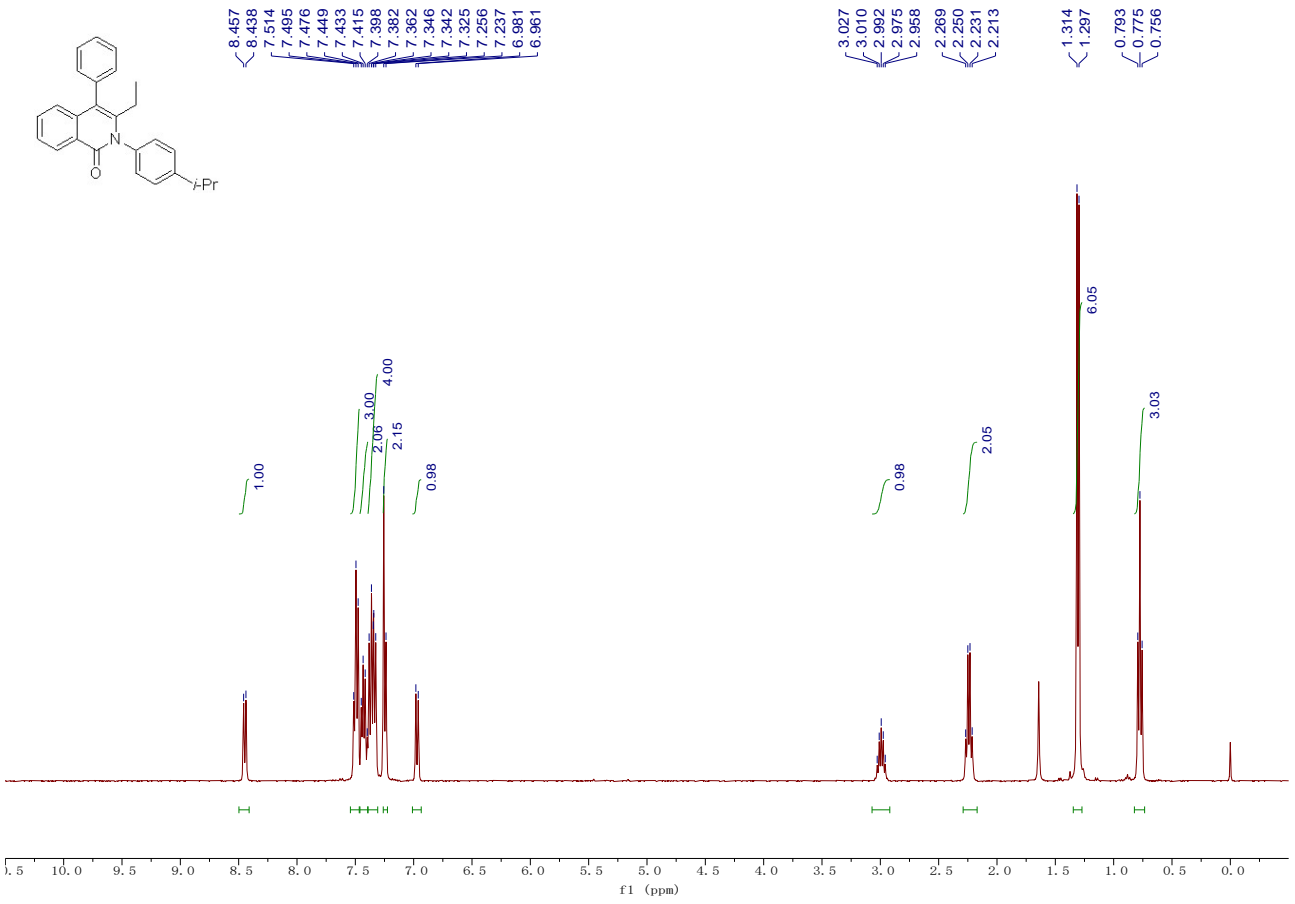
Compound 3o: Yield: 46.8 mg, 72%; A white solid; Mp: 198 - 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.45 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.57 – 7.39 (m, 8H), 7.38 – 7.29 (m, 4H), 6.98 (d, *J* = 8.1 Hz, 1H), 2.23 (q, *J* = 7.4 Hz, 2H), 0.77 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.3, 142.3, 139.0, 138.1, 137.0, 132.3, 131.0, 129.3, 129.1, 128.8, 128.5, 127.9, 127.6, 126.1, 125.0, 124.6, 117.3, 24.3, 13.8; IR (neat): ν 3047, 1655, 1614, 1588, 1484, 1330, 777, 701 cm^{-1}; HRMS (ESI+) Calcd. for $C_{23}H_{20}NO$ $[M+H]^+$: 326.1539, found: 326.1541.

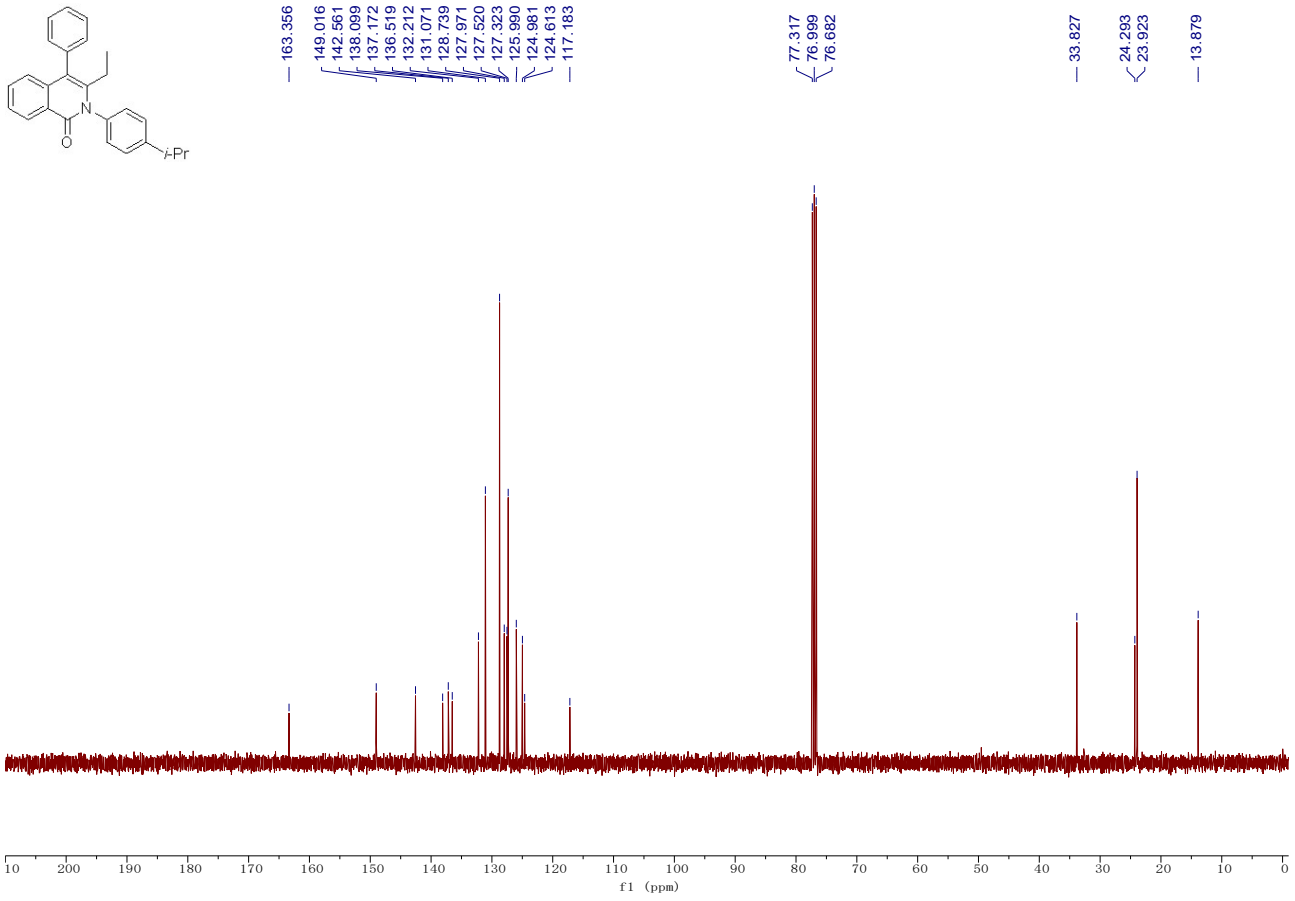


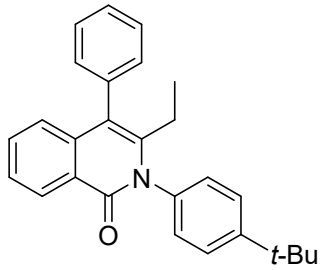




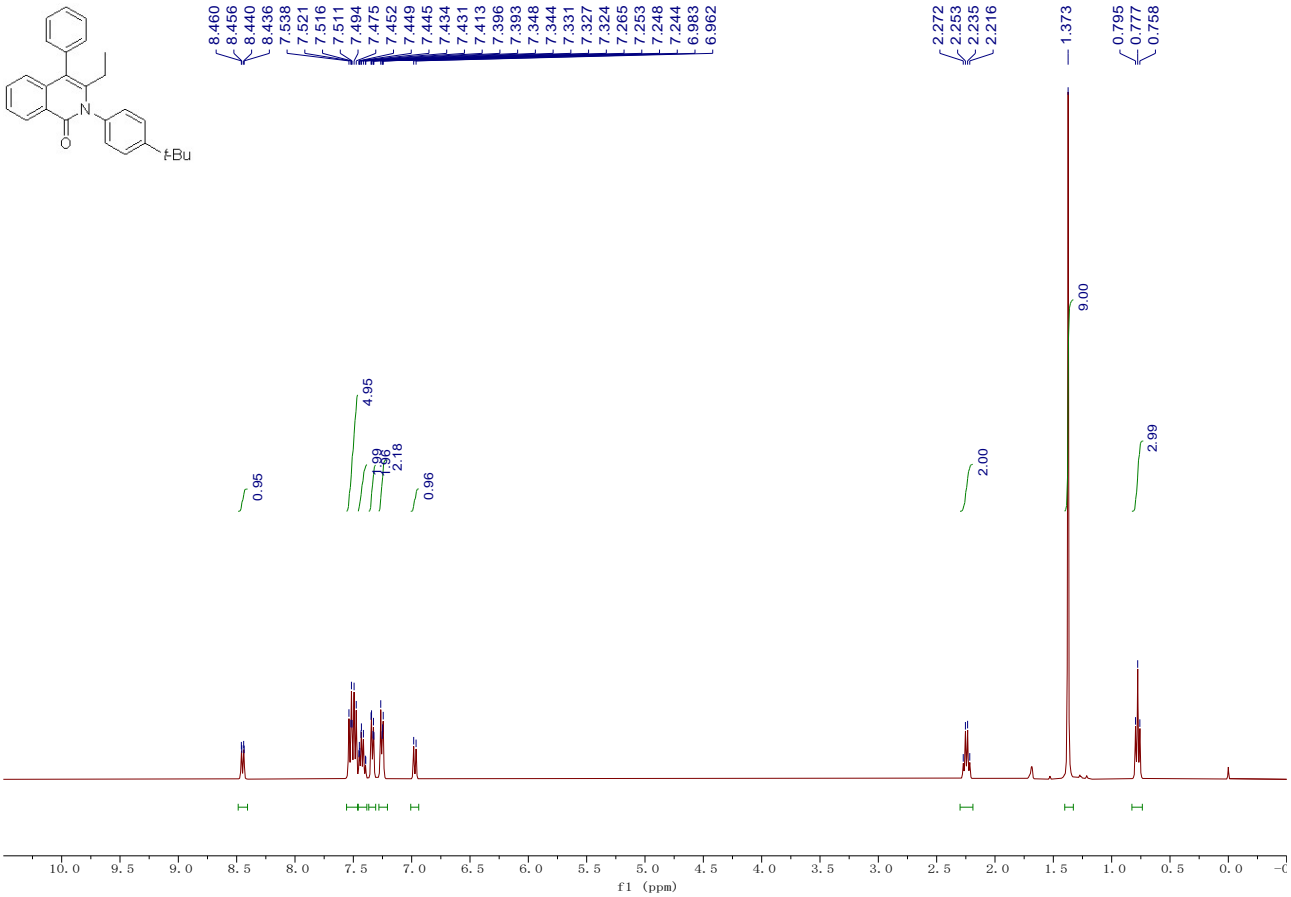
Compound 3p: Yield: 47.7 mg, 65%; A white solid; Mp: 176 - 178 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.45 (d, *J* = 7.9 Hz, 1H), 7.49 (t, *J* = 7.6 Hz, 3H), 7.46 – 7.39 (m, 2H), 7.39 – 7.31 (m, 4H), 7.26 – 7.22 (m, 2H), 6.97 (d, *J* = 8.1 Hz, 1H), 2.99 (hept, *J* = 6.9 Hz, 1H), 2.24 (q, *J* = 7.4 Hz, 2H), 1.31 (d, *J* = 6.9 Hz, 6H), 0.77 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.4, 149.0, 142.6, 138.1, 137.2, 136.5, 132.2, 131.1, 128.7, 128.0, 127.5, 127.3, 126.0, 125.0, 124.6, 117.2, 33.8, 24.3, 23.9, 13.9; IR (neat): ν 2917, 2852, 1653, 1331, 810, 776, 703 cm^{-1}; HRMS (ESI+) Calcd. for $C_{26}H_{26}NO$ $[M+H]^+$: 368.2009, found: 368.2008.

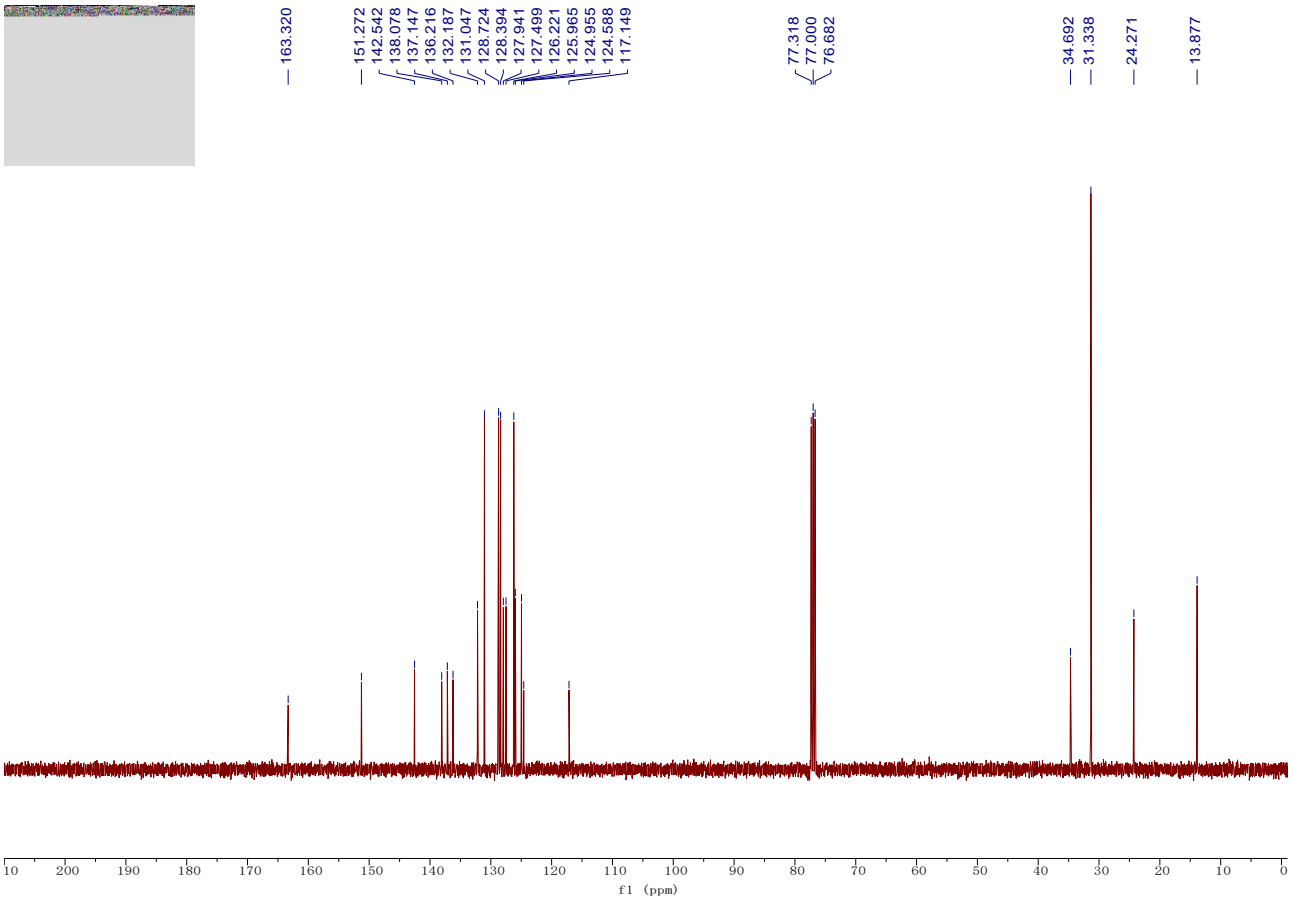

8.457
8.438
7.514
7.495
7.476
7.449
7.433
7.415
7.398
7.382
7.362
7.346
7.342
7.325
7.256
7.237
6.981
6.961
3.027
3.010
2.992
2.975
2.958
2.269
2.250
2.231
2.213
1.314
1.297
0.793
0.775
0.756
1.00
4.00
3.00
2.06
2.15
0.98
0.98
2.05
6.05
3.03
i-Pr
f1 (ppm)

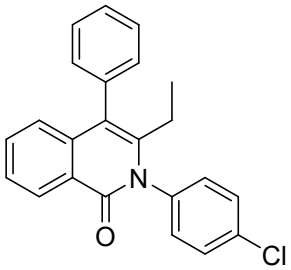

163.356
149.016
142.561
138.099
137.172
136.519
132.212
131.071
128.739
127.971
127.520
127.323
125.990
124.981
124.613
117.183
77.317
76.999
76.682
33.827
24.293
23.923
13.879
i-Pr
f1 (ppm)



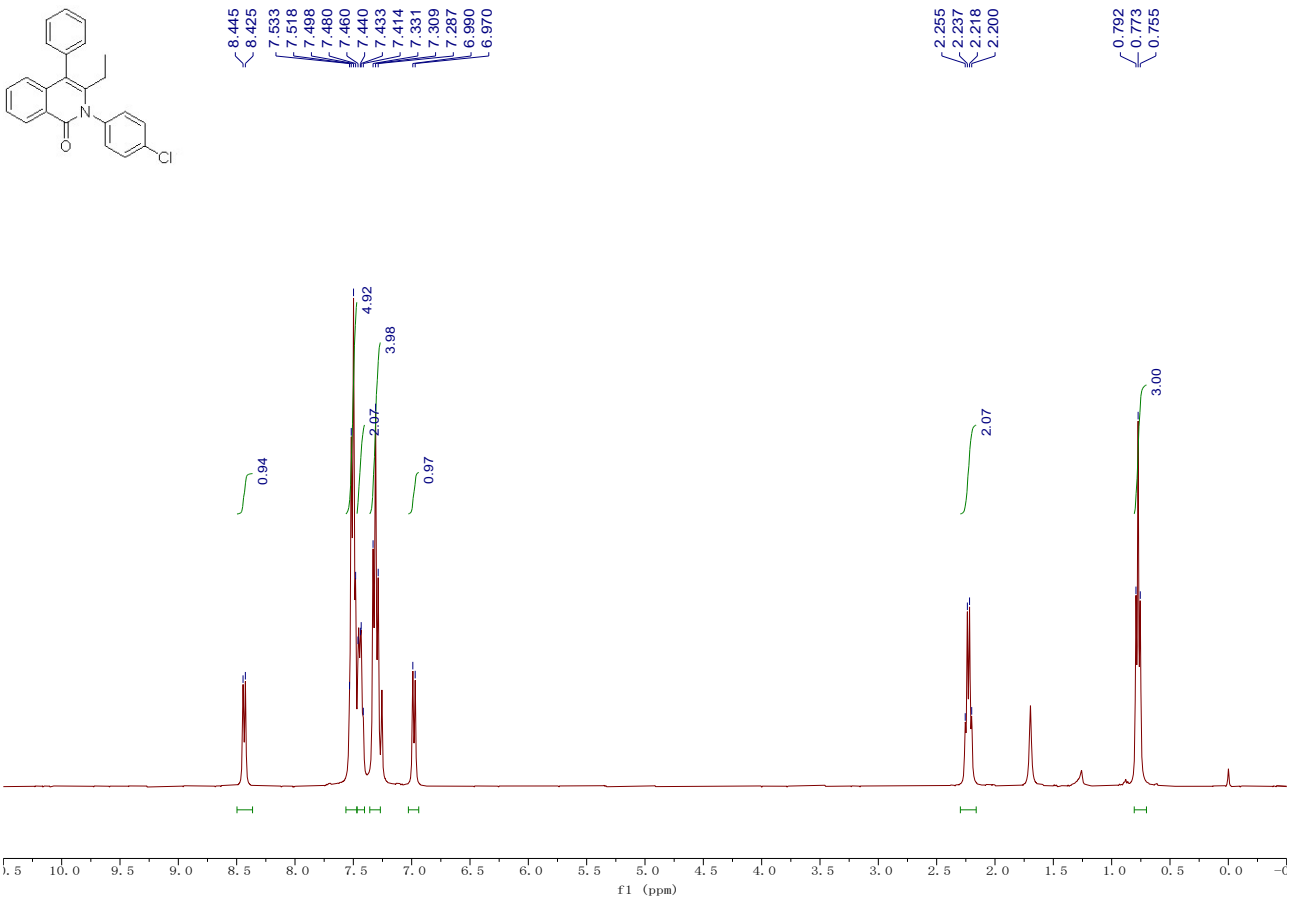
Compound 3q: Yield: 56.4 mg, 74%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.45 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.56 – 7.46 (m, 5H), 7.46 – 7.38 (m, 2H), 7.37 – 7.31 (m, 2H), 7.28 – 7.21 (m, 2H), 6.97 (d, *J* = 8.2 Hz, 1H), 2.24 (q, *J* = 7.4 Hz, 2H), 1.37 (s, 9H), 0.78 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.3, 151.3, 142.5, 138.1, 137.1, 136.2, 132.2, 131.0, 128.7, 128.4, 127.9, 127.5, 126.2, 126.0, 125.0, 124.6, 117.1, 34.7, 31.3, 24.3, 13.9; IR (neat): ν 2959, 1650, 1591, 1329, 784, 704 cm^{-1}; HRMS (ESI+) Calcd. for $C_{27}H_{28}NO$ $[M+H]^+$: 382.2165, found: 382.2159.

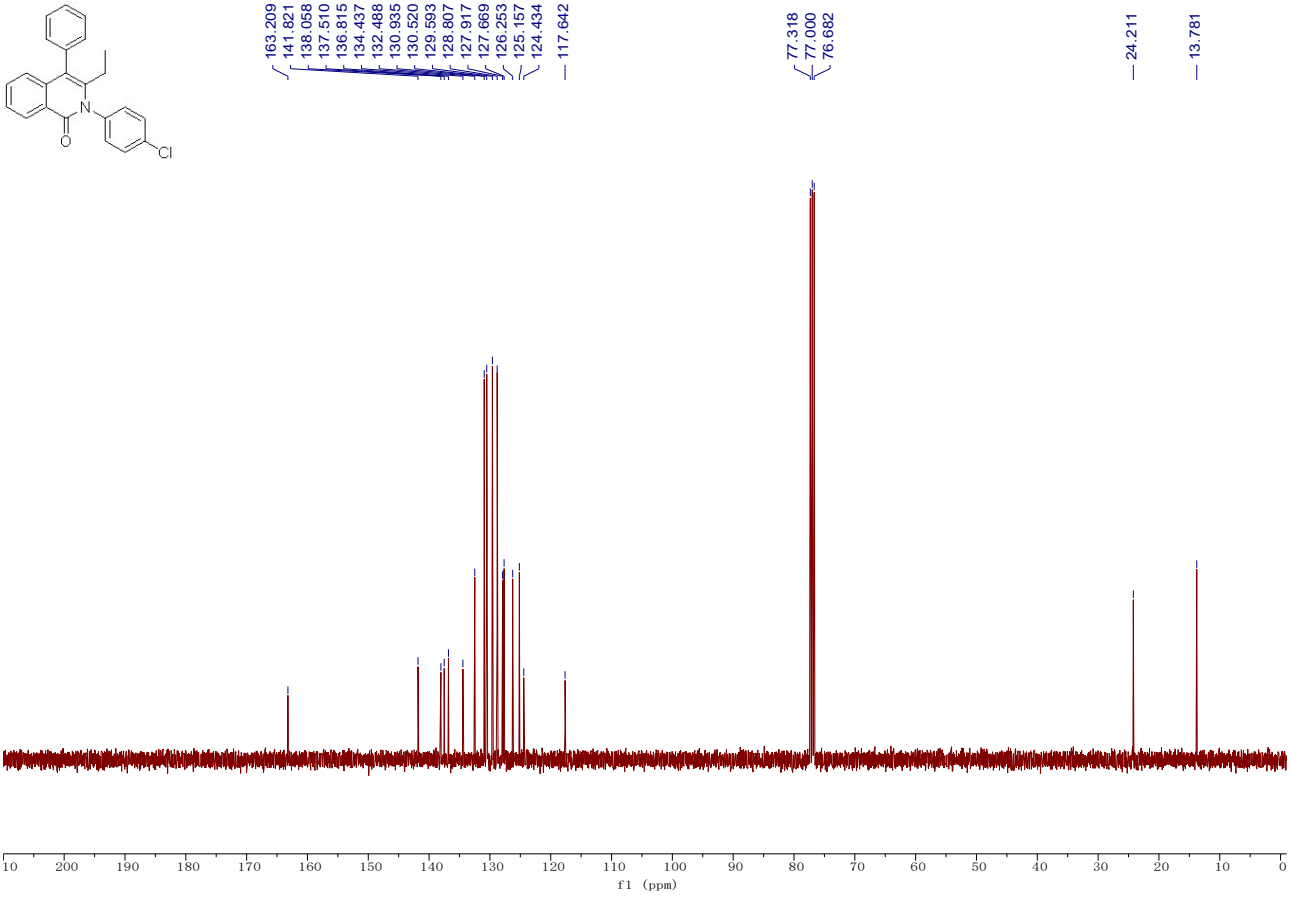


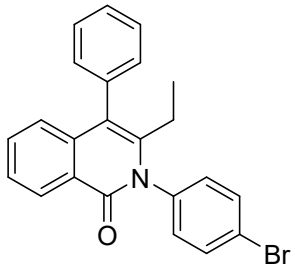




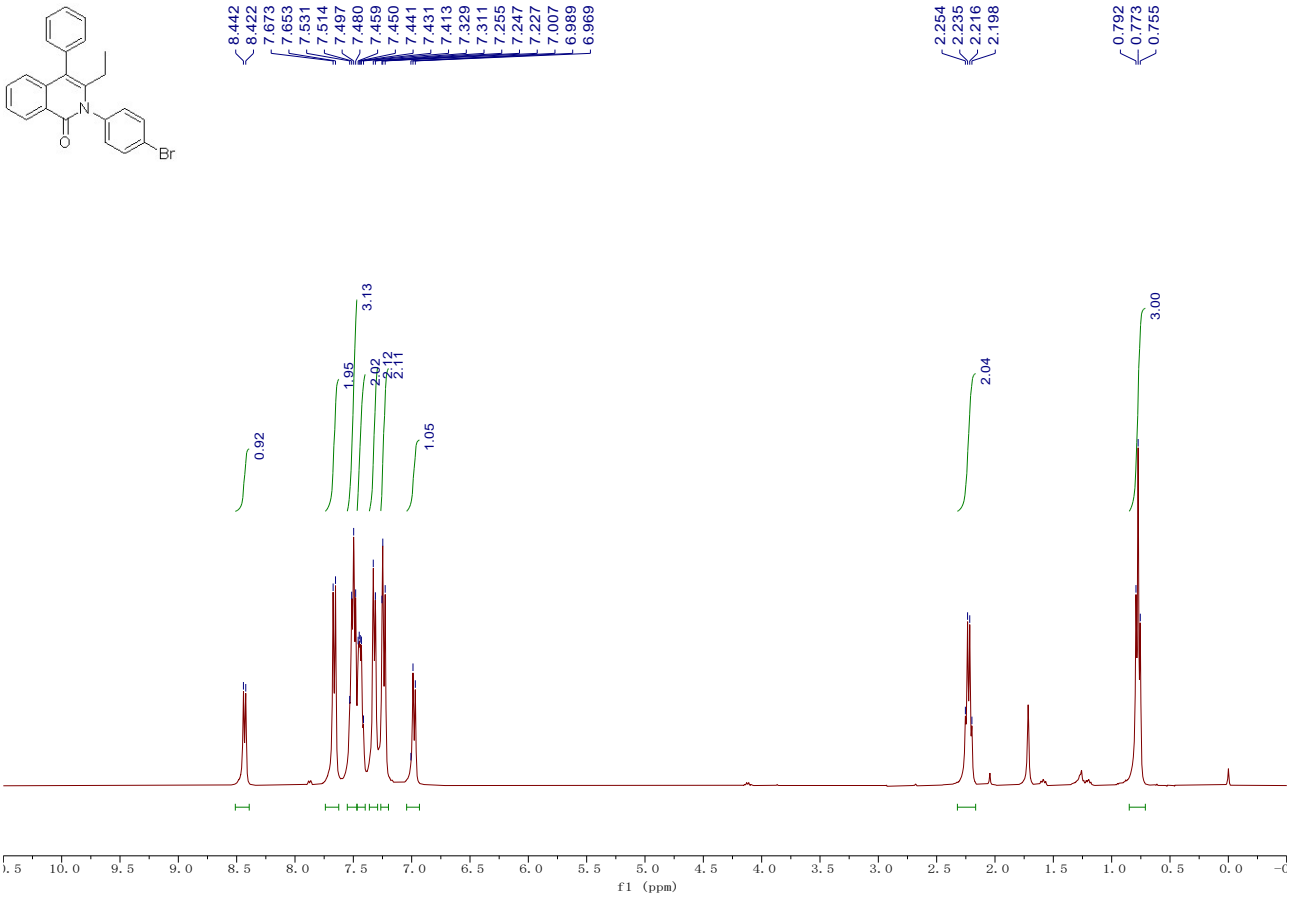
Compound 3r: Yield: 30.2 mg, 42%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 8:1, R_f = 0.3); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (d, *J* = 8.0 Hz, 1H), 7.56 – 7.47 (m, 5H), 7.47 – 7.40 (m, 2H), 7.31 (t, *J* = 8.8 Hz, 4H), 6.98 (d, *J* = 8.1 Hz, 1H), 2.23 (q, *J* = 7.4 Hz, 2H), 0.77 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.2, 141.8, 138.1, 137.5, 136.8, 134.4, 132.5, 130.9, 130.5, 129.6, 128.8, 127.9, 127.7, 126.3, 125.2, 124.4, 117.6, 24.2, 13.8; IR (neat): ν 1654, 1491, 1327, 1089, 776, 764, 702 cm^{-1}; HRMS (ESI+) Calcd. for $C_{23}H_{19}NOCl$ $[M+H]^+$: 360.1150, found: 360.1149.

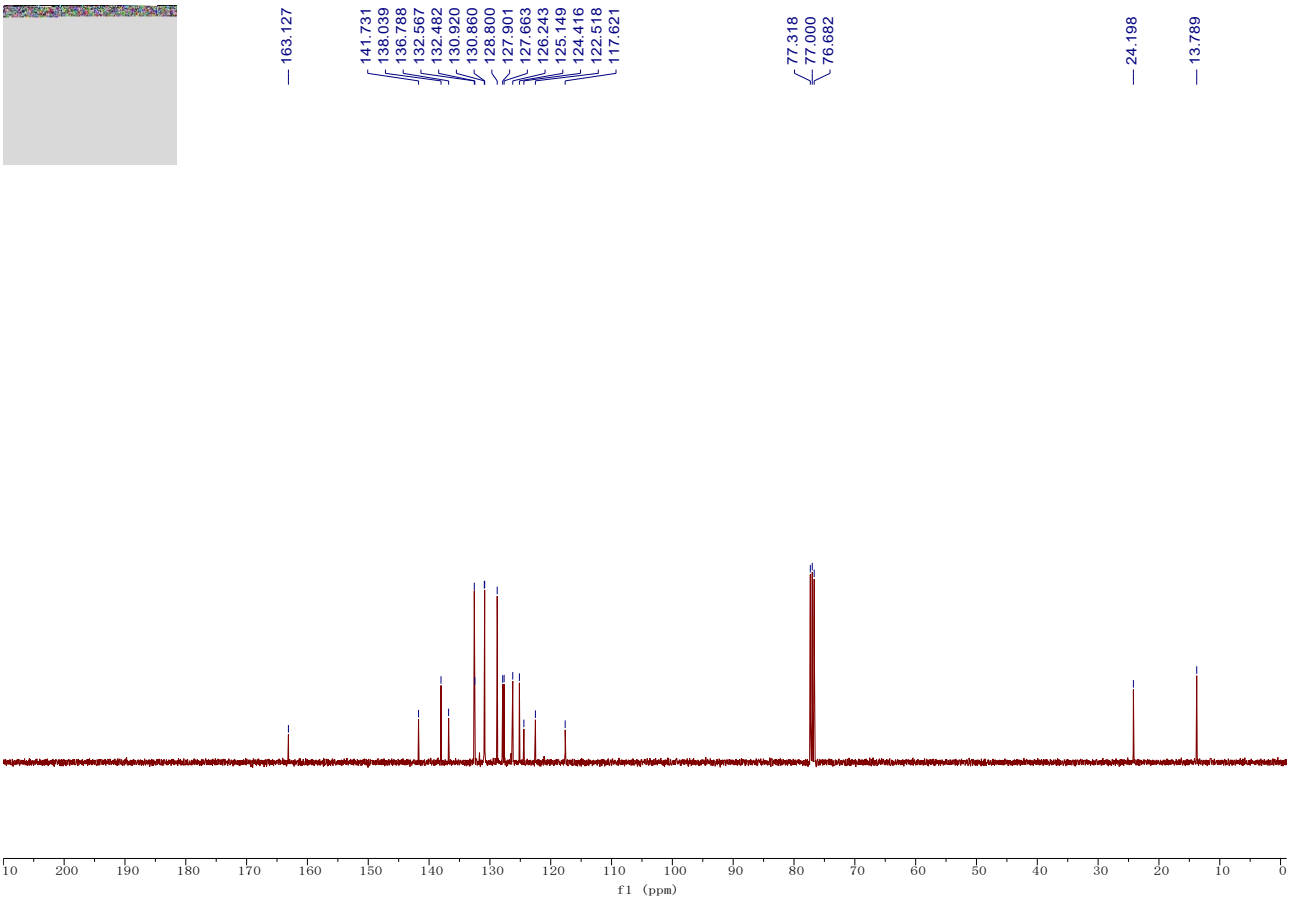

8.445
8.425
7.533
7.518
7.498
7.480
7.460
7.440
7.433
7.414
7.331
7.309
7.287
6.990
6.970
2.255
2.237
2.218
2.200
0.792
0.773
0.755
4.92
3.98
2.07
0.94
0.97
2.07
3.00
f1 (ppm)

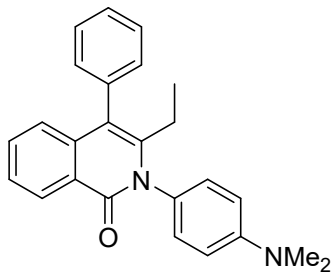

163.209
141.821
138.058
137.510
136.815
134.437
132.488
130.935
130.520
129.593
128.807
127.917
127.669
126.253
125.157
124.434
117.642
77.318
77.000
76.682
24.211
13.781
f1 (ppm)



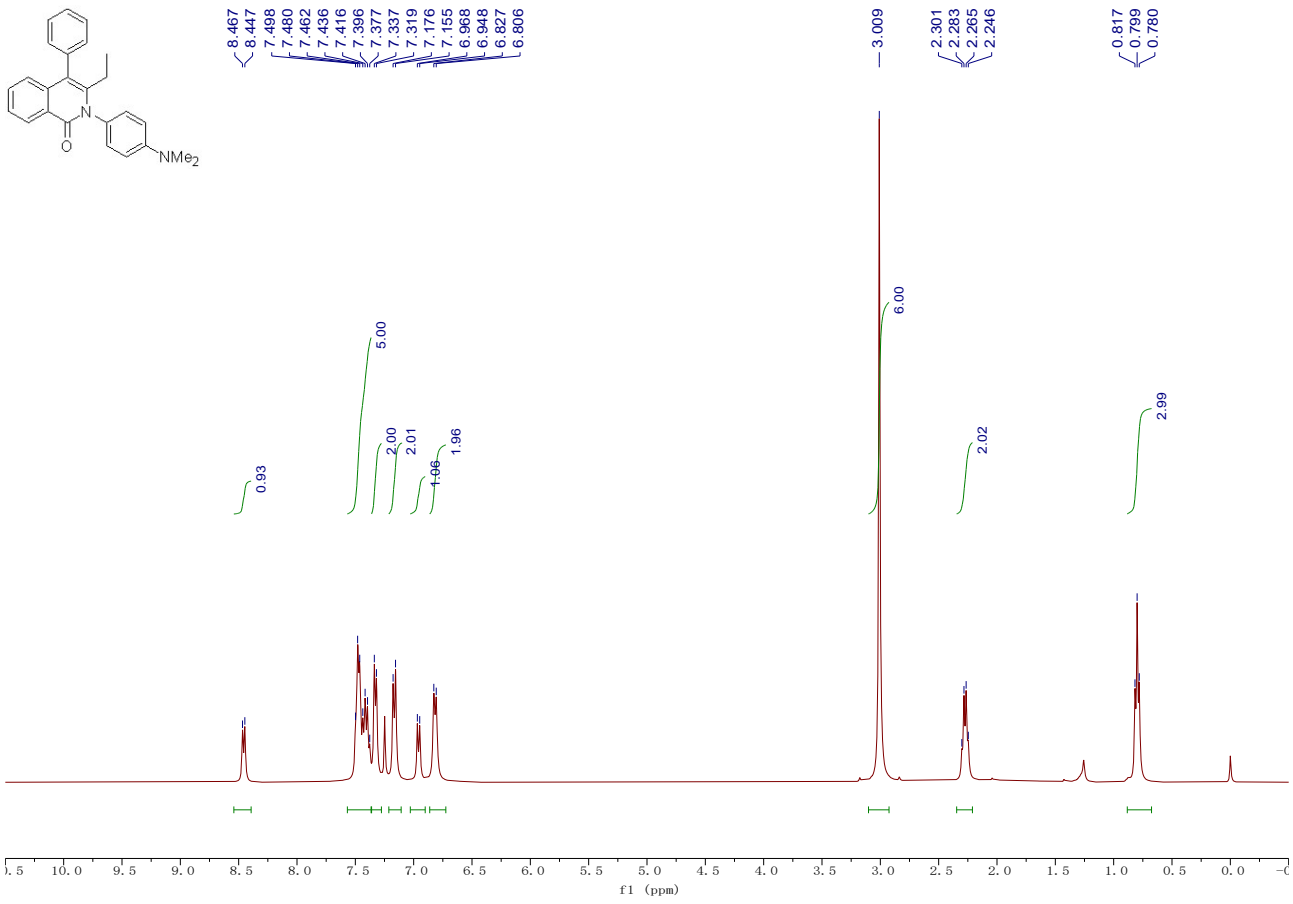
Compound 3s: Yield: 60.6 mg, 75%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.43 (d, *J* = 8.0 Hz, 1H), 7.66 (d, *J* = 8.2 Hz, 2H), 7.55 – 7.47 (m, 3H), 7.47 – 7.40 (m, 2H), 7.36 – 7.29 (m, 2H), 7.26 – 7.20 (m, 2H), 6.98 (d, *J* = 8.0 Hz, 1H), 2.23 (q, *J* = 7.4 Hz, 2H), 0.77 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.1, 141.7, 138.0, 136.8, 132.6, 132.5, 130.92, 130.86, 128.8, 127.9, 127.7, 126.2, 125.1, 124.4, 122.5, 117.6, 24.2, 13.8; IR (neat): ν 1655, 1488, 1013, 776, 765, 702 cm^{-1}; HRMS (ESI+) Calcd. for $C_{23}H_{19}NOBr$ $[M+H]^+$: 404.0645, found: 404.0647.

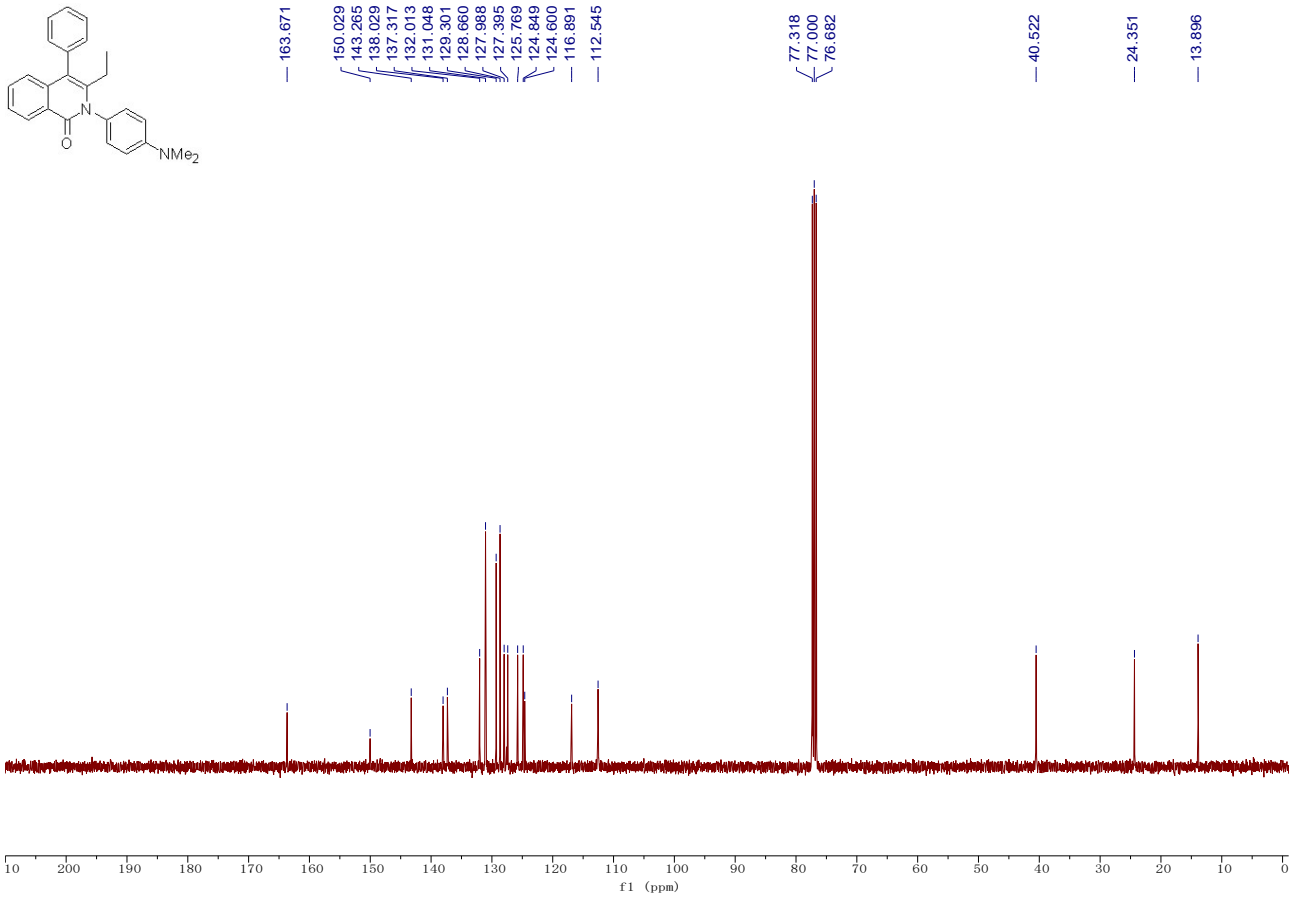






Compound 3t: Yield: 72.9 mg, 99%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.46 (d, *J* = 8.0 Hz, 1H), 7.57 – 7.36 (m, 5H), 7.33 (d, *J* = 7.3 Hz, 2H), 7.17 (d, *J* = 8.3 Hz, 2H), 6.96 (d, *J* = 8.1 Hz, 1H), 6.82 (d, *J* = 8.3 Hz, 2H), 3.01 (s, 6H), 2.27 (q, *J* = 7.4 Hz, 2H), 0.80 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.7, 150.0, 143.3, 138.0, 137.3, 132.0, 131.0, 129.3, 128.7, 128.0, 127.4, 125.8, 124.8, 124.6, 116.9, 112.5, 40.5, 24.4, 13.9; IR (neat): ν 1645, 1611, 1520, 1443, 1330, 1181, 806, 770, 703 cm^{-1}; HRMS (ESI+) Calcd. for $C_{25}H_{25}N_2O$ $[M+H]^+$: 369.1961, found: 369.1967.


8.467
8.447
7.498
7.480
7.462
7.436
7.416
7.396
7.377
7.337
7.319
7.176
7.155
6.968
6.948
6.827
6.806
3.009
2.301
2.283
2.265
2.246
0.817
0.799
0.780
NMe2
0.93
5.00
2.00
2.01
1.96
1.96
6.00
2.02
2.99
f1 (ppm)


163.671
150.029
143.265
138.029
137.317
132.013
131.048
129.301
128.660
127.988
127.395
125.769
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124.600
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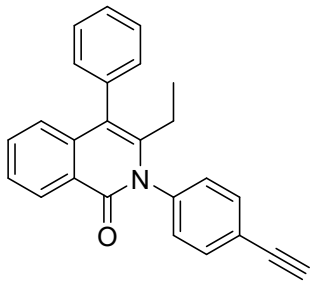
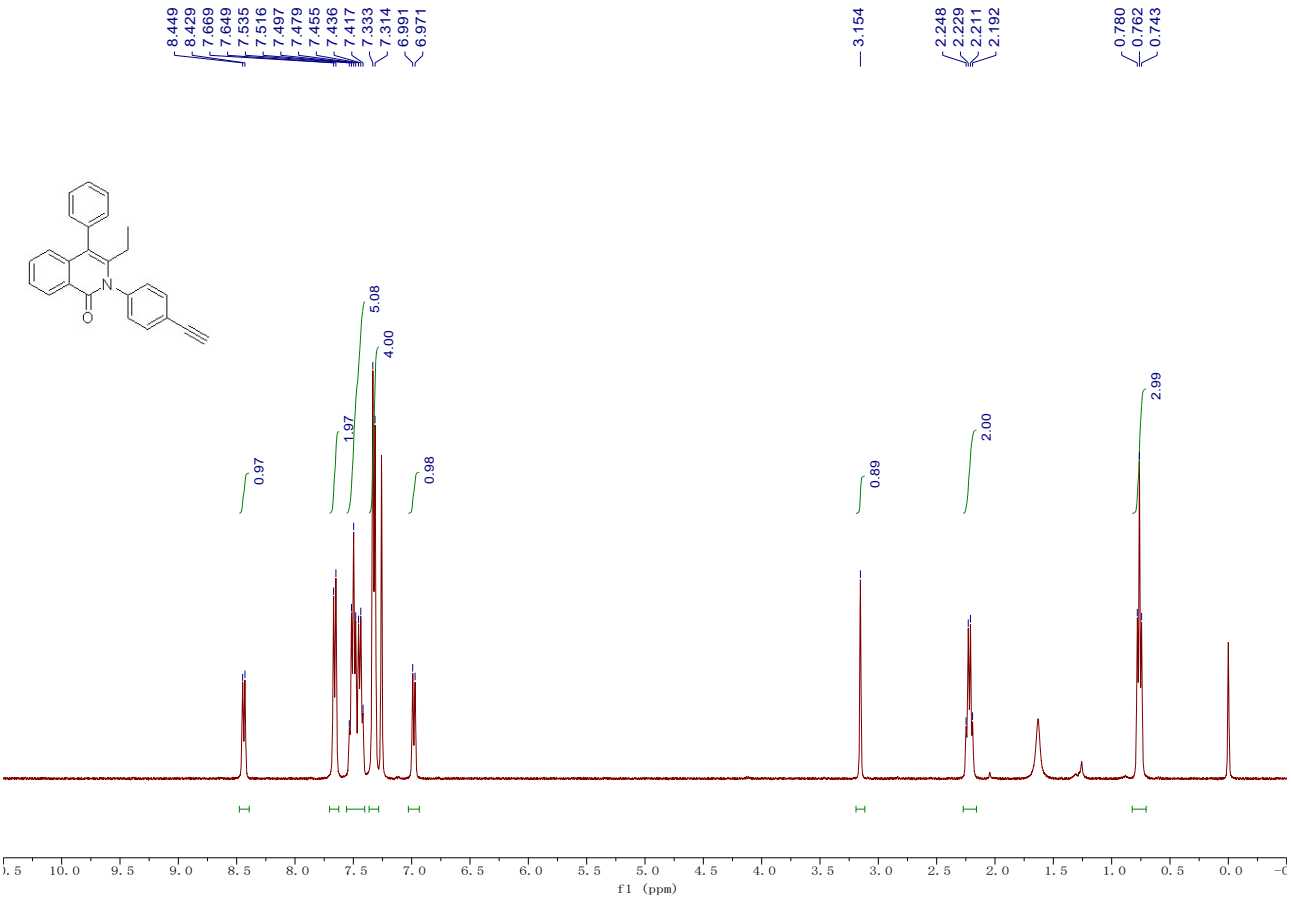
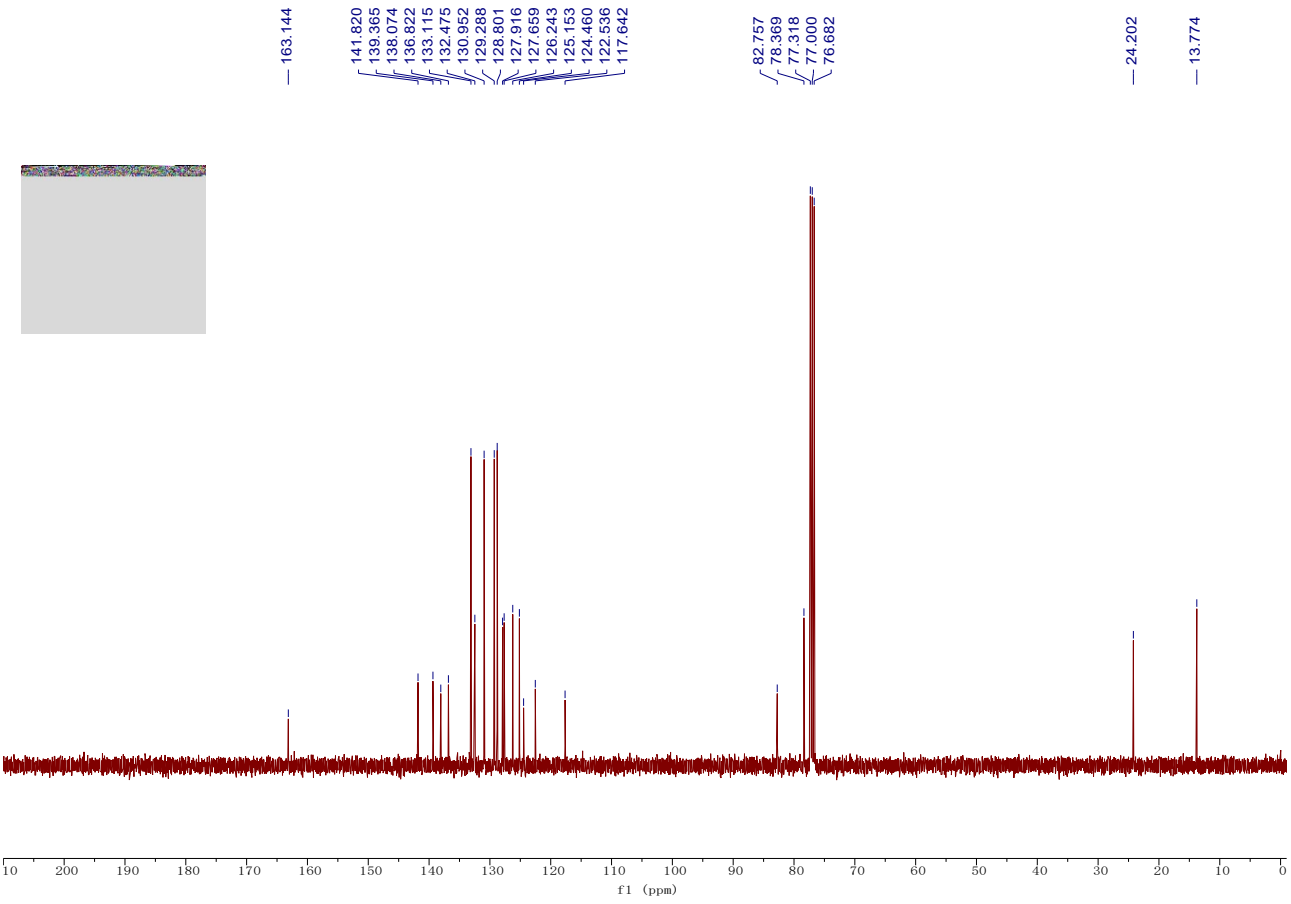
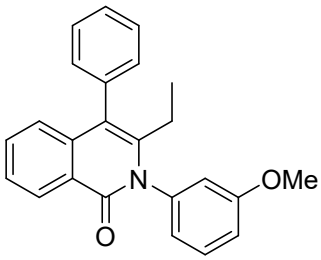
77.000
76.682
40.522
24.351
13.896
NMe2
f1 (ppm)



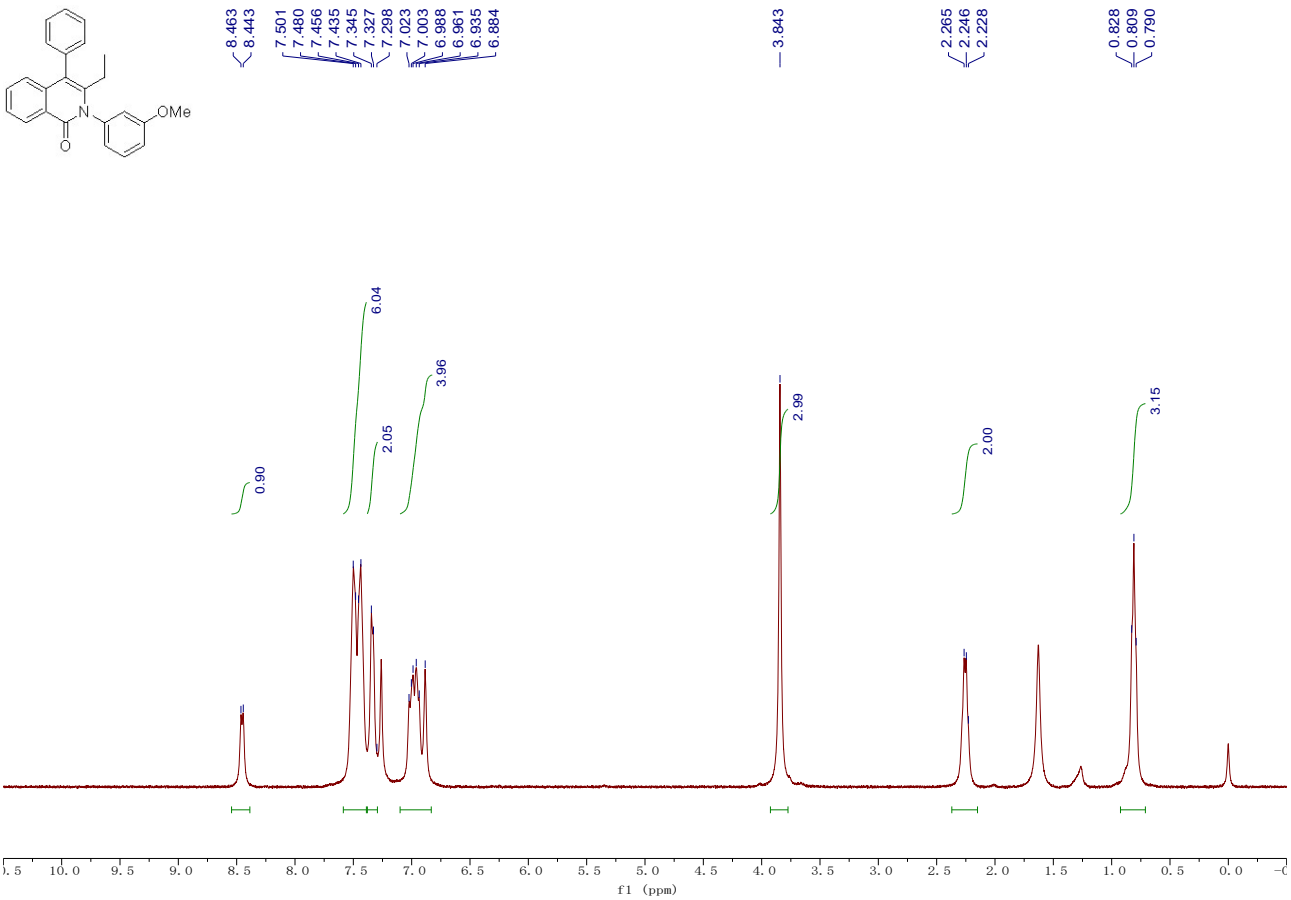
Compound 3v: Yield: 39.8 mg, 57%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (d, *J* = 8.0 Hz, 1H), 7.66 (d, *J* = 7.9 Hz, 2H), 7.56 – 7.40 (m, 5H), 7.36 – 7.28 (m, 4H), 6.98 (d, *J* = 8.2 Hz, 1H), 3.15 (s, 1H), 2.22 (q, *J* = 7.4 Hz, 2H), 0.76 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.1, 141.8, 139.4, 138.1, 136.8, 133.1, 132.5, 131.0, 129.3, 128.8, 127.9, 127.7, 126.2, 125.2, 124.5, 122.5, 117.6, 82.8, 78.4, 24.2, 13.8; IR (neat): ν 3251, 1650, 1612, 1586, 1331, 816, 772, 702 cm^{-1}; HRMS (ESI+) Calcd. for $C_{25}H_{20}NO$ $[M+H]^+$: 350.1539, found: 350.1543.

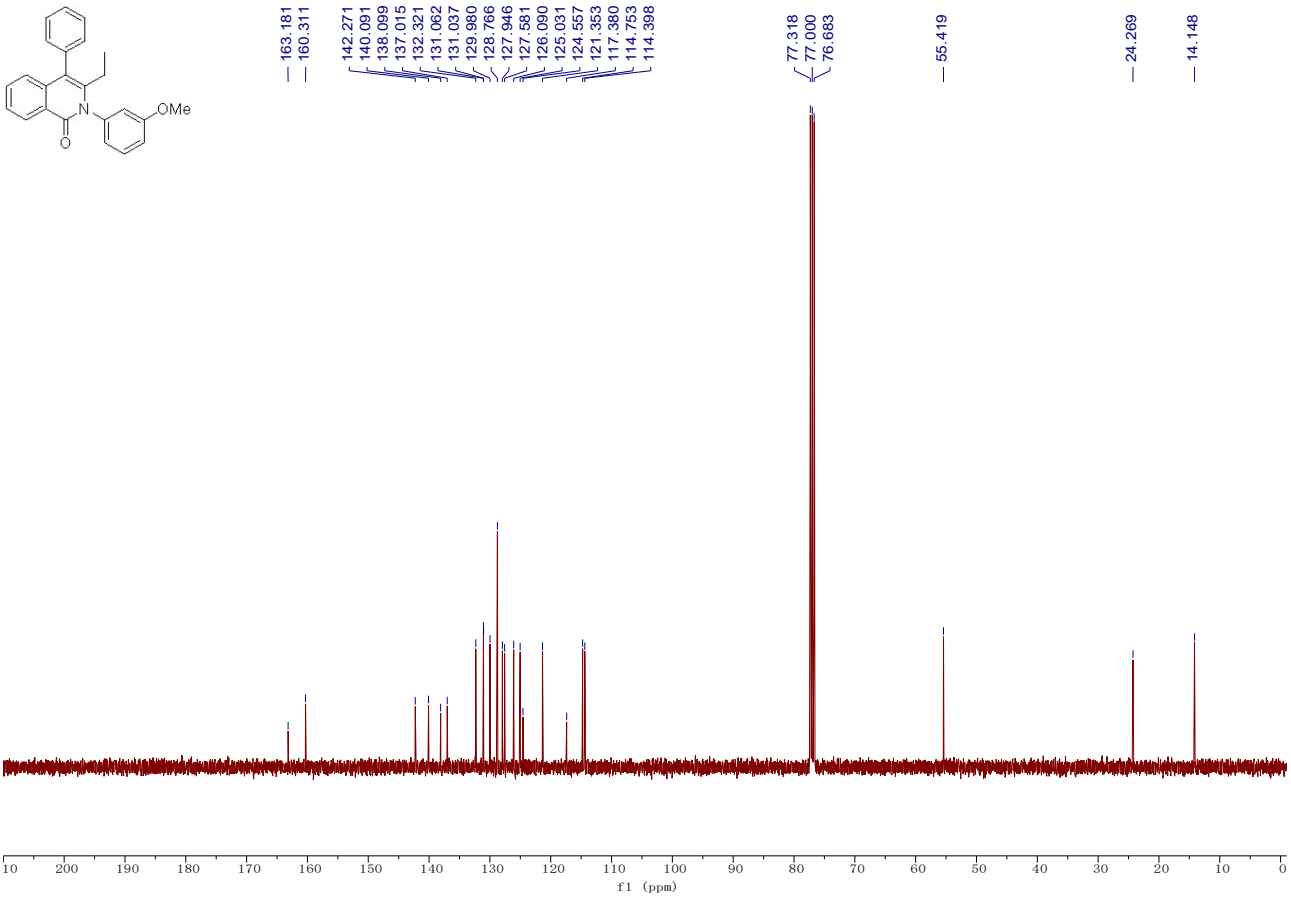


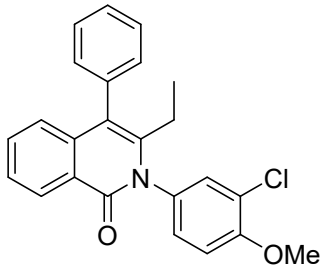




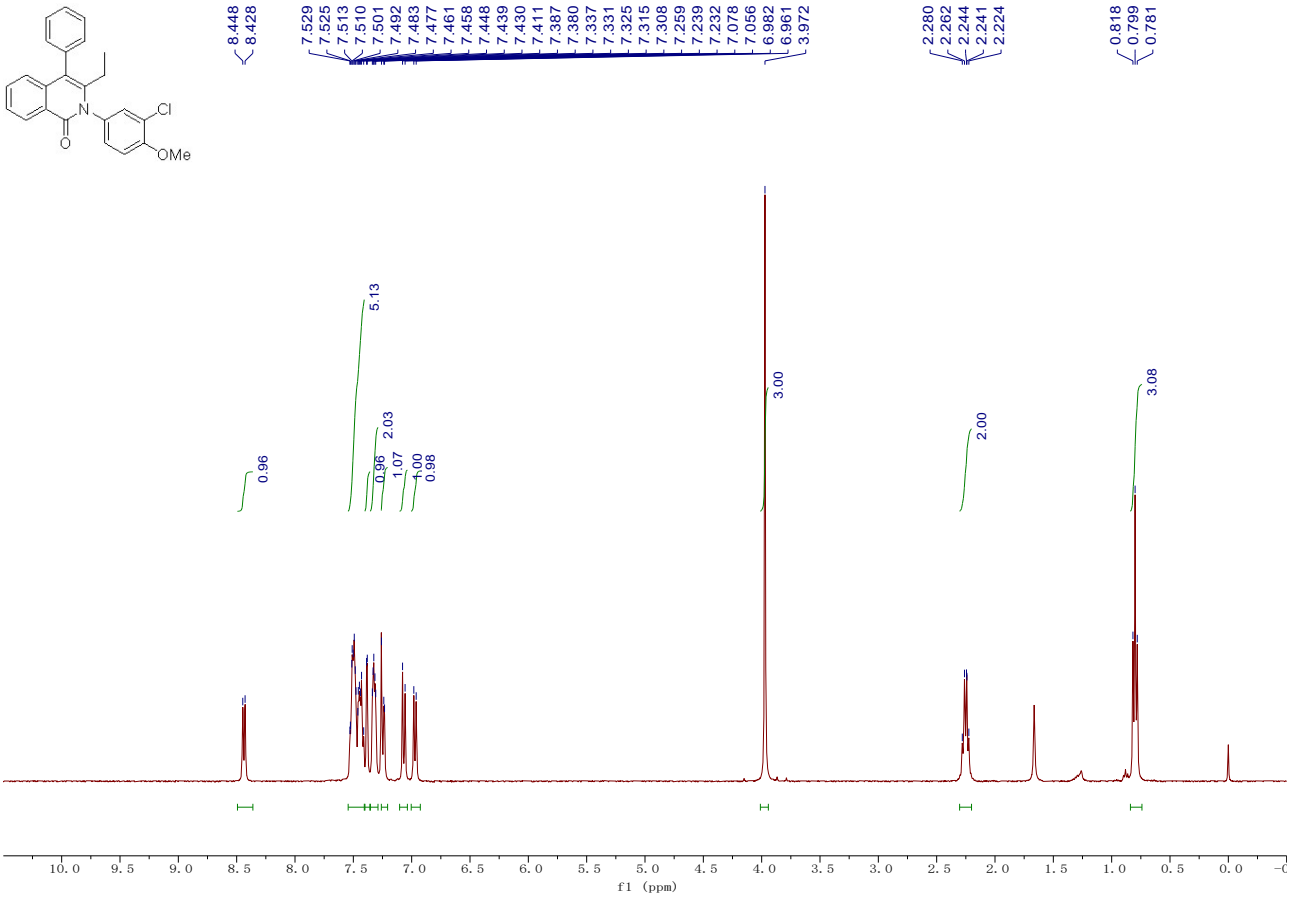
Compound 3w: Yield: 44.0 mg, 62%; A white solid; Mp: 139 - 141 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.45 (d, *J* = 8.0 Hz, 1H), 7.59 – 7.39 (m, 6H), 7.38 – 7.28 (m, 2H), 7.10 – 6.83 (m, 4H), 3.84 (s, 3H), 2.39 – 2.16 (m, 2H), 0.82 (t, *J* = 7.6 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.2, 160.3, 142.3, 140.1, 138.1, 137.0, 132.3, 131.1, 131.0, 130.0, 128.8, 127.9, 127.6, 126.1, 125.0, 124.6, 121.4, 117.4, 114.8, 114.4, 55.4, 24.3, 14.1; IR (neat): ν 1651, 1602, 1488, 1328, 1287, 1040, 773, 763, 697 cm^{-1}; HRMS (ESI+) Calcd. for $C_{24}H_{22}NO_2$ $[M+H]^+$: 356.1645, found: 356.1641.

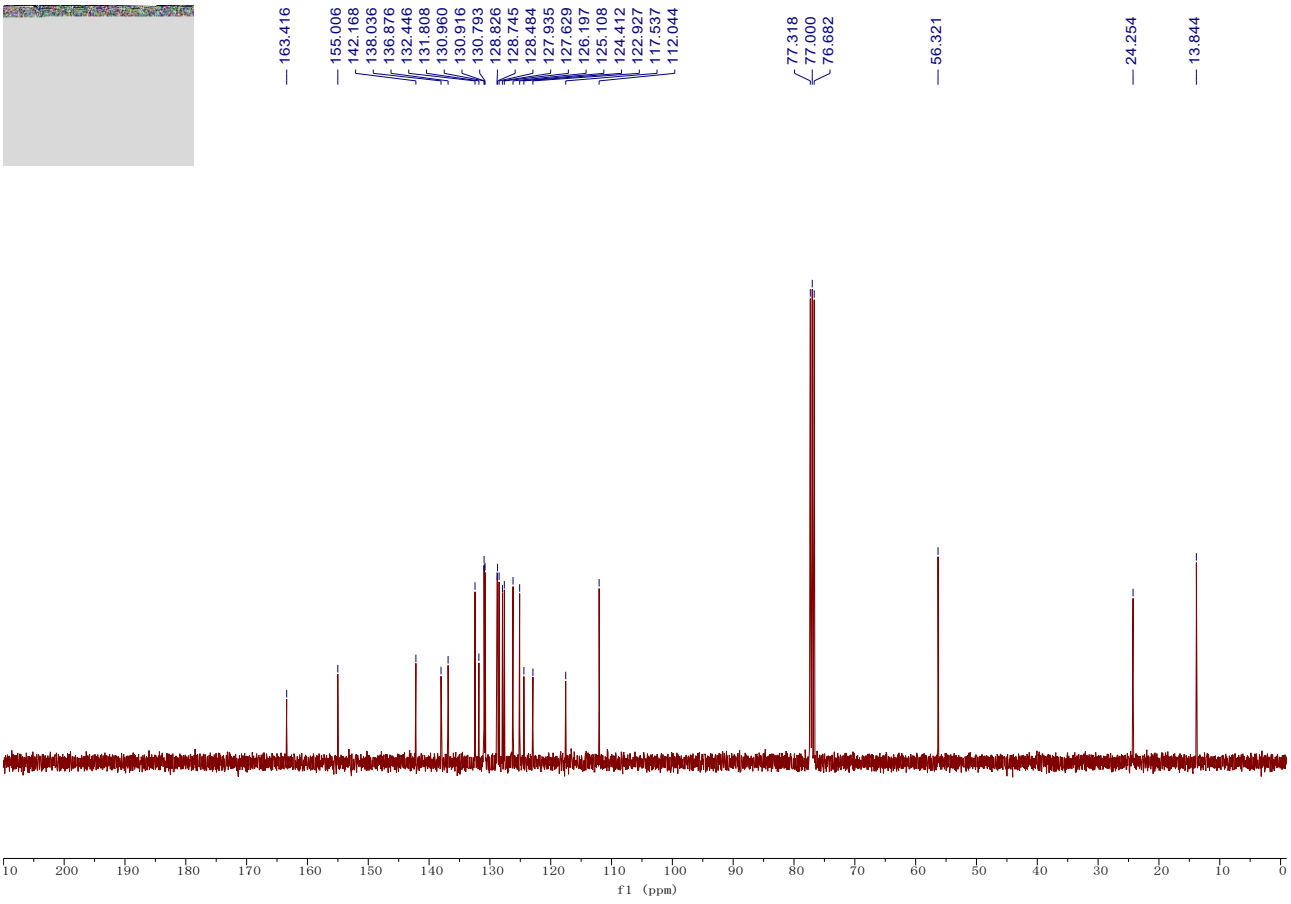

8.463
8.443
7.501
7.480
7.456
7.435
7.345
7.327
7.298
7.023
7.003
6.988
6.961
6.935
6.884
3.843
2.265
2.246
2.228
0.828
0.809
0.790
OMe
O
N
6.04
3.96
2.05
2.99
2.00
3.15
0.90
f1 (ppm)

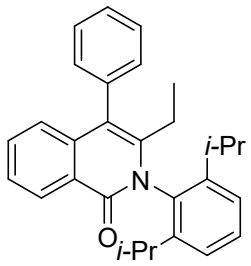

163.181
160.311
142.271
140.091
138.099
137.015
132.321
131.062
131.037
129.980
128.766
127.946
127.581
126.090
125.031
124.557
121.353
117.380
114.753
114.398
77.318
77.000
76.683
55.419
24.269
14.148
OMe
O
N
f1 (ppm)



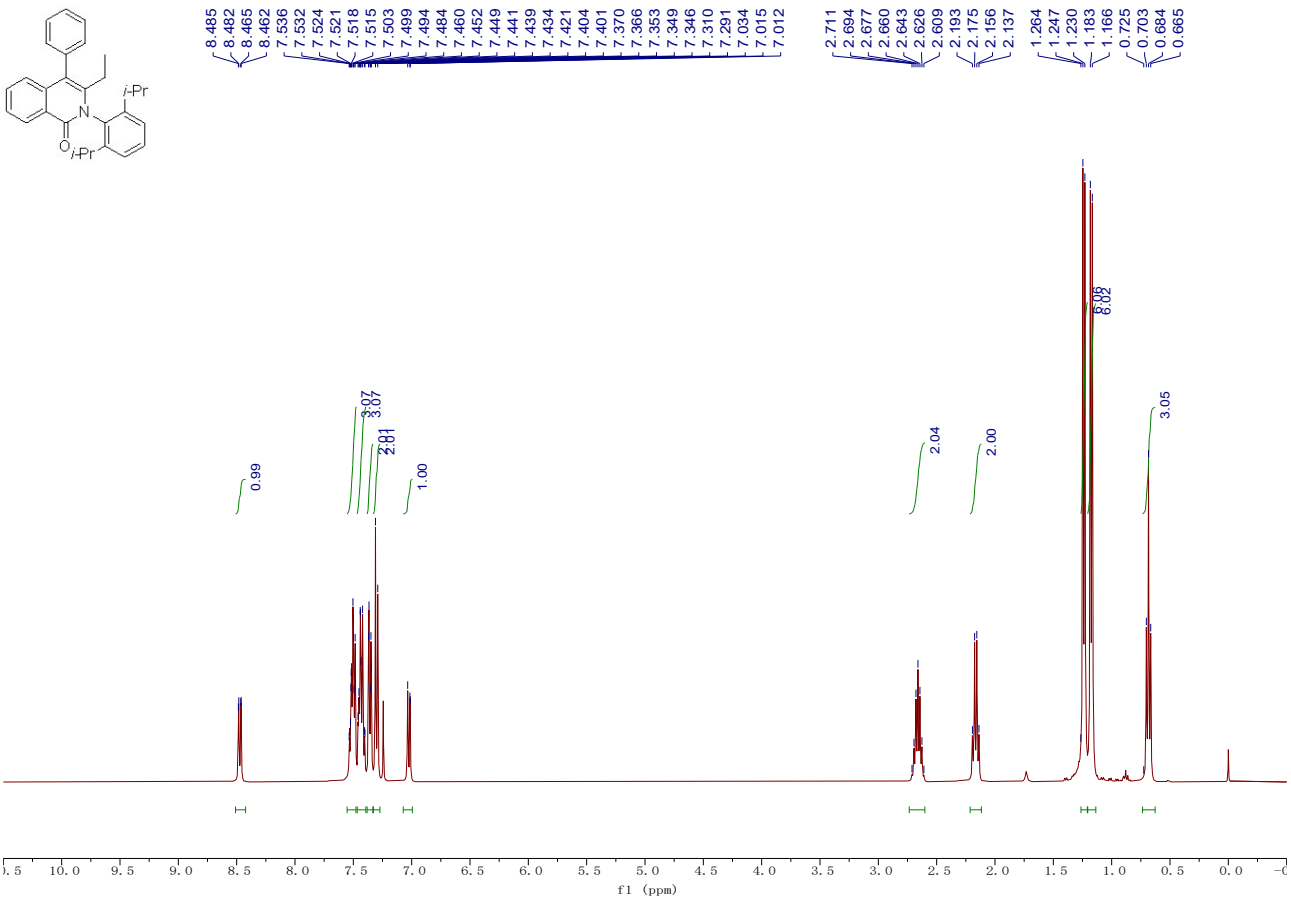
Compound 3x: Yield: 46.7 mg, 60%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (d, *J* = 7.9 Hz, 1H), 7.55 – 7.39 (m, 5H), 7.41 – 7.36 (m, 1H), 7.36 – 7.29 (m, 2H), 7.28 – 7.21 (m, 1H), 7.07 (d, *J* = 8.7 Hz, 1H), 6.97 (d, *J* = 8.1 Hz, 1H), 3.97 (s, 3H), 2.25 (q, *J* = 7.5 Hz, 2H), 0.80 (t, *J* = 7.5 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.4, 155.0, 142.2, 138.0, 136.9, 132.4, 131.8, 130.8, 128.8, 128.7, 128.5, 127.9, 127.6, 126.2, 125.1, 124.4, 122.9, 117.5, 112.0, 56.3, 24.3, 13.8; IR (neat): ν 1656, 1586, 1496, 1263, 1059, 814, 703 cm^{-1}; HRMS (ESI+) Calcd. for $C_{24}H_{21}NO_2Cl$ $[M+H]^+$: 390.1255, found: 390.1257.

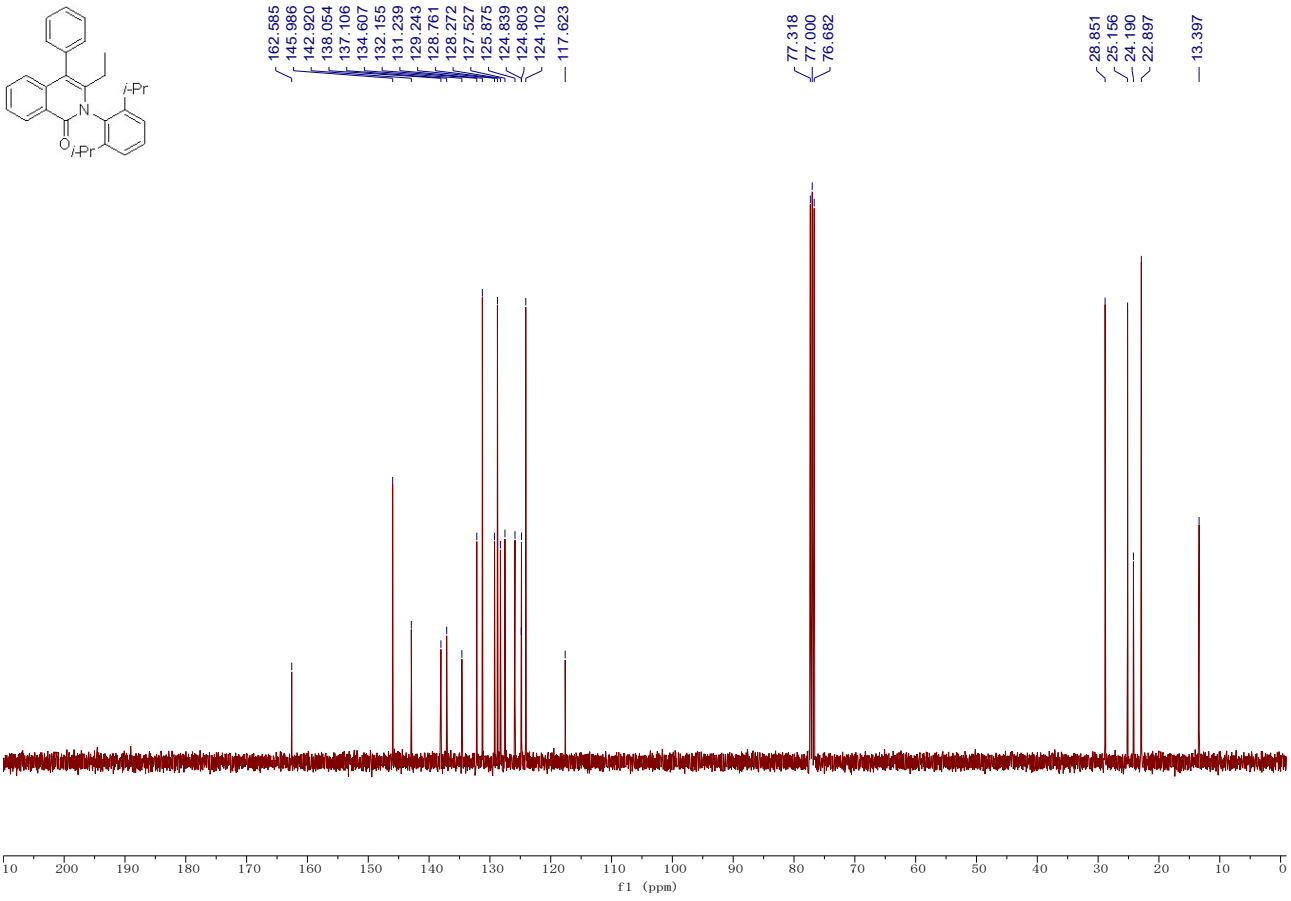


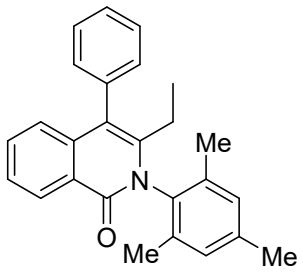




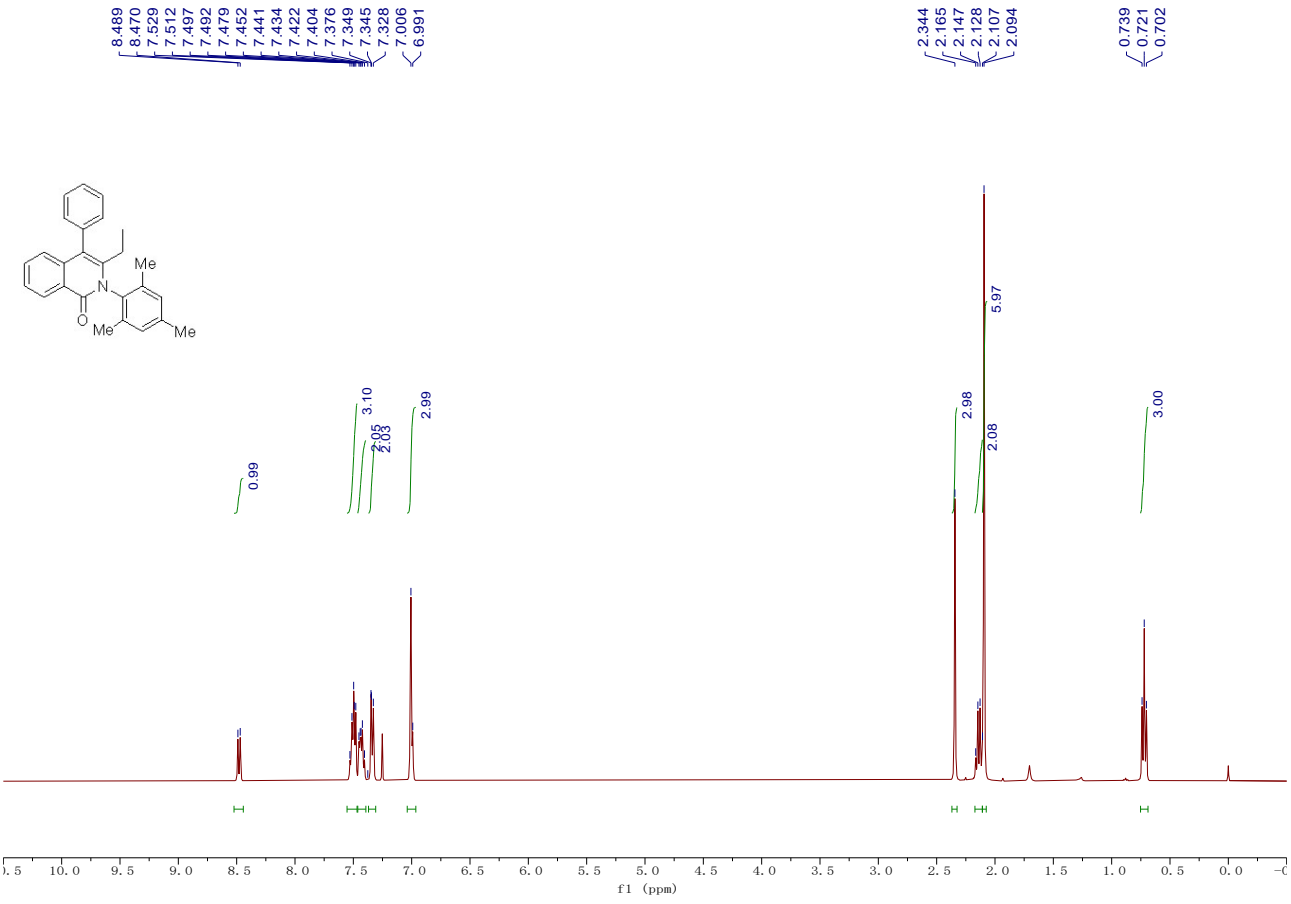
Compound 3y: Yield: 55.6 mg, 68%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.47 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.56 – 7.46 (m, 3H), 7.48 – 7.38 (m, 3H), 7.39 – 7.32 (m, 2H), 7.30 (d, *J* = 7.7 Hz, 2H), 7.02 (d, *J* = 8.1 Hz, 1H), 2.66 (hept, *J* = 6.8 Hz, 2H), 2.17 (q, *J* = 7.5 Hz, 2H), 1.24 (d, *J* = 6.8 Hz, 6H), 1.17 (d, *J* = 6.8 Hz, 6H), 0.68 (t, *J* = 7.5 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 162.6, 146.0, 142.9, 138.1, 137.1, 134.6, 132.2, 131.2, 129.2, 128.8, 128.3, 127.5, 125.9, 124.84, 124.80, 124.1, 117.6, 28.9, 25.2, 24.2, 22.9, 13.4; IR (neat): ν 2966, 1649, 1609, 769, 703 cm^{-1}; HRMS (ESI+) Calcd. for $C_{29}H_{32}NO$ $[M+H]^+$: 410.2478, found: 410.2475.

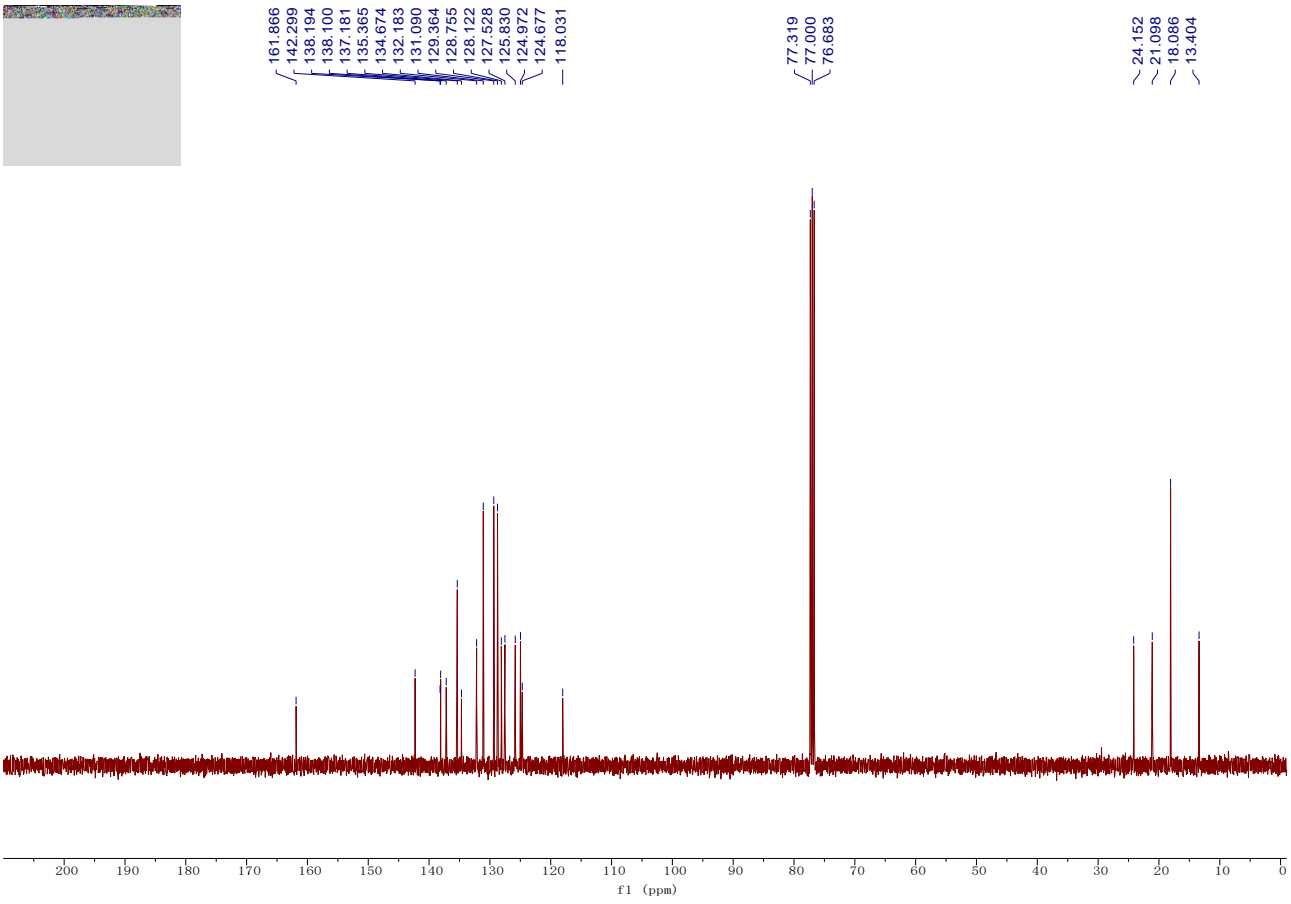

8.485
8.482
8.465
8.462
7.536
7.532
7.524
7.521
7.518
7.515
7.503
7.499
7.494
7.484
7.460
7.452
7.449
7.441
7.439
7.434
7.421
7.404
7.401
7.370
7.366
7.353
7.349
7.346
7.310
7.291
7.034
7.015
7.012
2.711
2.694
2.677
2.660
2.643
2.626
2.609
2.193
2.175
2.156
2.137
1.264
1.247
1.230
1.183
1.166
0.725
0.703
0.684
0.665
0.99
3.07
3.07
2.01
1.00
2.04
2.00
6.06
6.02
3.05
f1 (ppm)

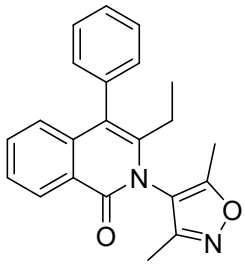

162.585
145.986
142.920
138.054
137.106
134.607
132.155
131.239
129.243
128.761
128.272
127.527
125.875
124.839
124.803
124.102
117.623
77.318
77.000
76.682
28.851
25.156
24.190
22.897
13.397
f1 (ppm)



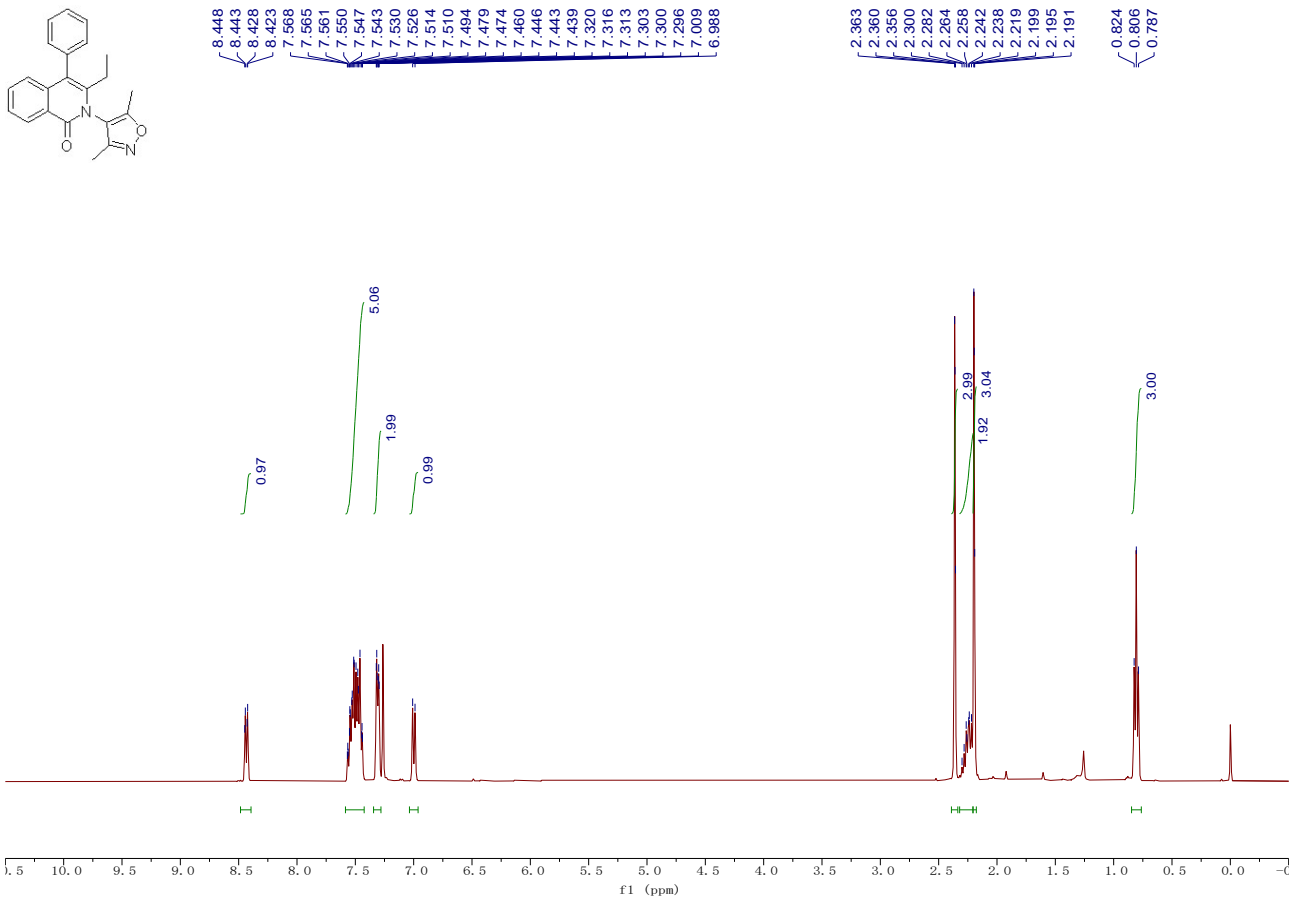
Compound 3z: Yield: 48.4 mg, 66%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.48 (d, *J* = 7.9 Hz, 1H), 7.55 – 7.47 (m, 3H), 7.46 – 7.39 (m, 2H), 7.37 – 7.31 (m, 2H), 7.04 – 6.96 (m, 3H), 2.34 (s, 3H), 2.15 (q, *J* = 7.5 Hz, 2H), 2.09 (s, 6H), 0.72 (t, *J* = 7.5 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 161.9, 142.3, 138.2, 138.1, 137.2, 135.4, 134.7, 132.2, 131.1, 129.4, 128.8, 128.1, 127.5, 125.8, 125.0, 124.7, 118.0, 24.2, 21.1, 18.1, 13.4; IR (neat): ν 2917, 1647, 1612, 1480, 1329, 775, 704 cm^{-1}; HRMS (ESI+) Calcd. for $C_{26}H_{26}NO$ $[M+H]^+$: 368.2009, found: 368.2013.







Compound 3aa: Yield: 35.1 mg, 51%; A white solid; Mp: 169 - 171 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (dd, *J* = 8.0, 1.9 Hz, 1H), 7.58 – 7.42 (m, 5H), 7.34 – 7.28 (m, 2H), 7.00 (d, *J* = 8.1 Hz, 1H), 2.36 (s, 3H), 2.32 – 2.21 (m, 2H), 2.20 (s, 3H), 0.81 (t, *J* = 7.5 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 165.6, 162.5, 158.5, 141.9, 138.1, 136.6, 132.9, 130.75, 130.73, 128.95, 128.88, 128.1, 127.8, 126.5, 125.4, 124.1, 118.3, 116.1, 24.2, 13.4, 11.2, 9.8; IR (neat): ν 2925, 1667, 1645, 1612, 1327, 775, 703 cm^{-1}; HRMS (ESI+) Calcd. for $C_{22}H_{21}N_2O_2$ $[M+H]^+$: 345.1598, found: 345.1598.


8.448
8.443
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8.423
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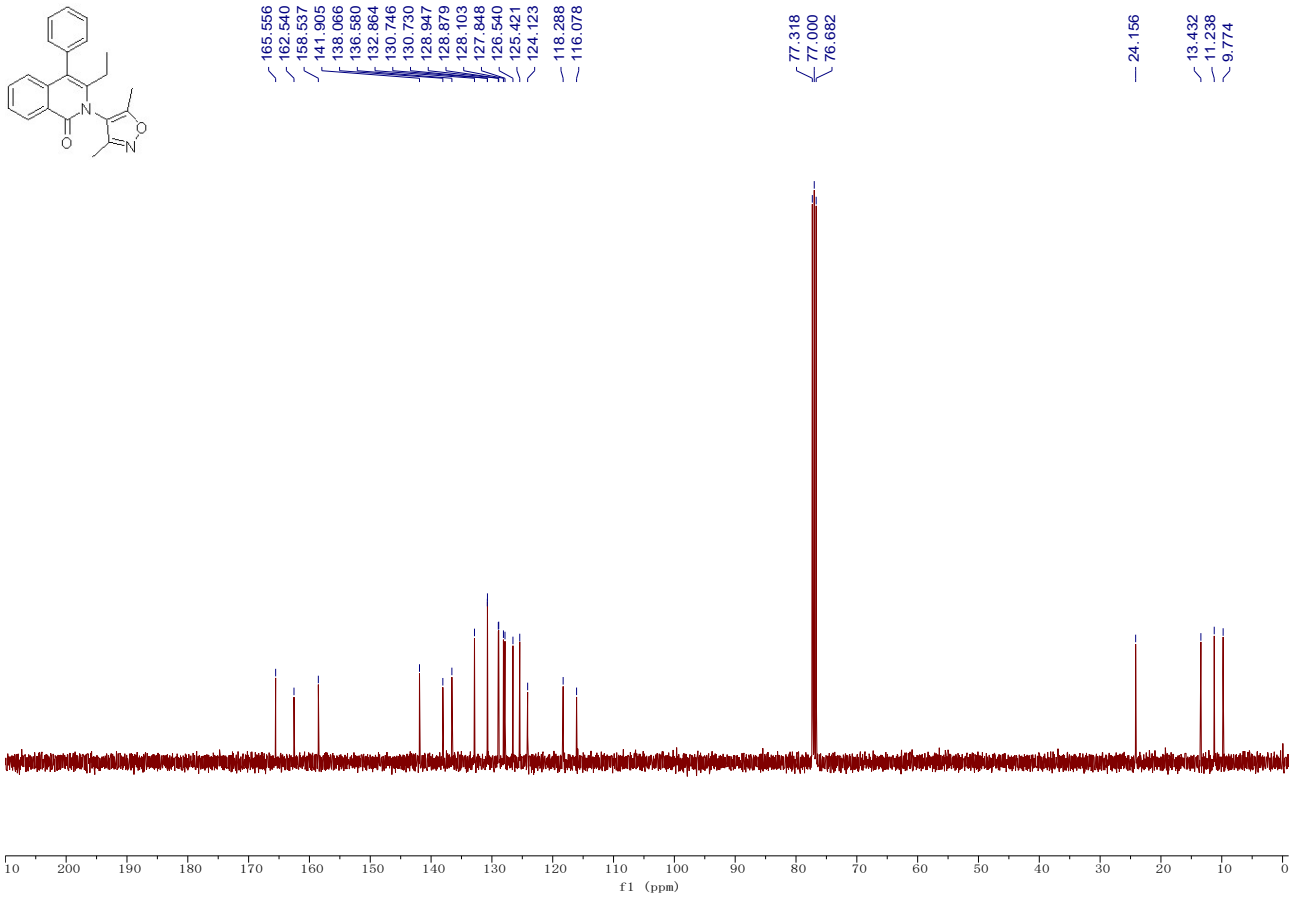
7.565
7.561
7.550
7.547
7.543
7.530
7.526
7.514
7.510
7.494
7.479
7.474
7.460
7.446
7.443
7.439
7.320
7.316
7.313
7.303
7.300
7.296
7.009
6.988
2.363
2.360
2.356
2.300
2.282
2.264
2.258
2.242
2.238
2.219
2.199
2.195
2.191
0.824
0.806
0.787
5.06
1.99
0.99
0.97
2.99
3.04
1.92
3.00
f1 (ppm)


165.556
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158.537
141.905
138.066
136.580
132.864
130.746
130.730
128.947
128.879
128.103
127.848
126.540
125.421
124.123
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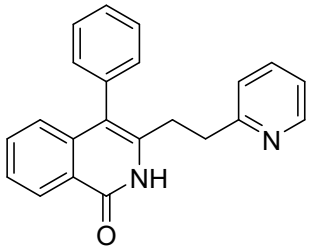
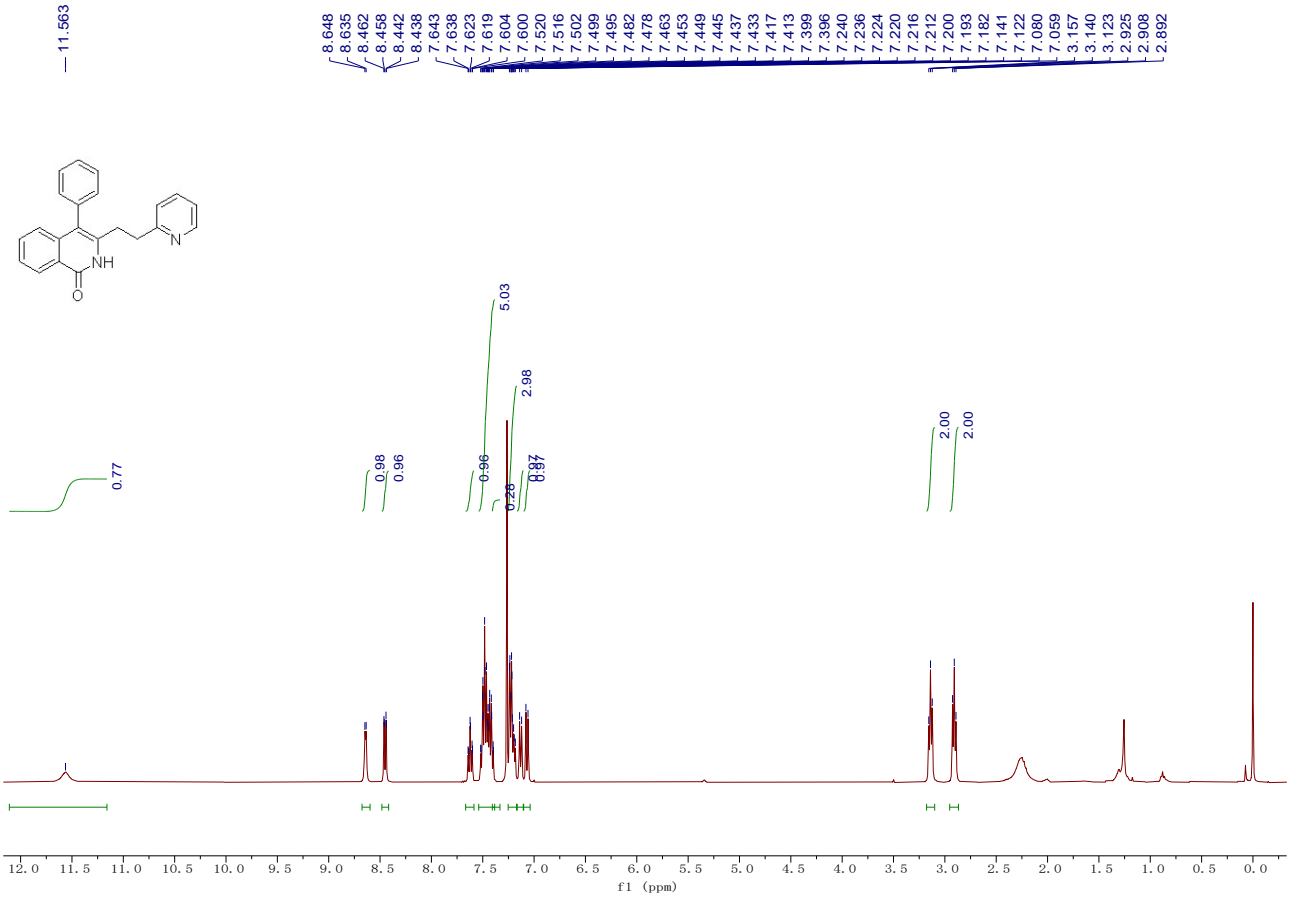
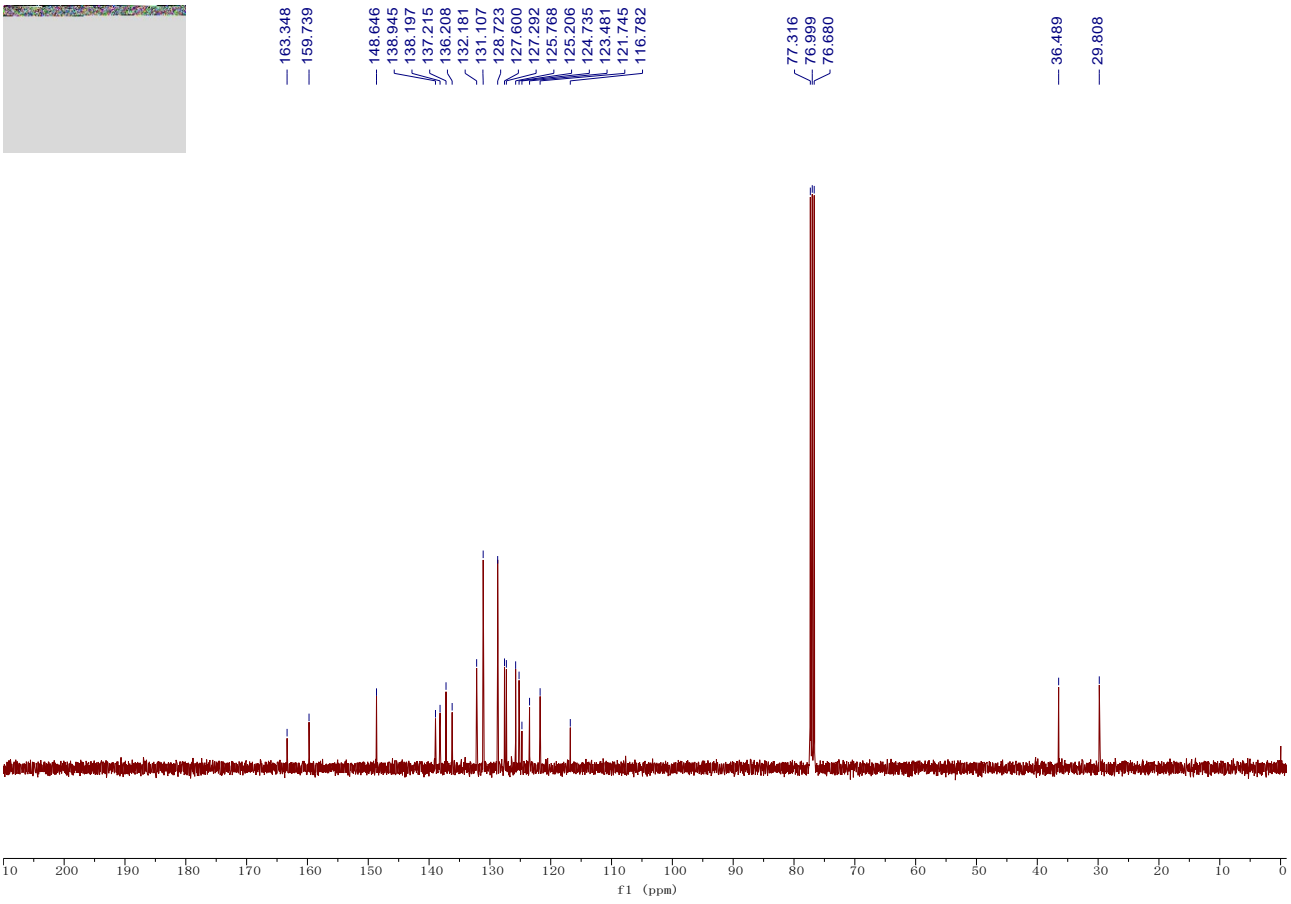
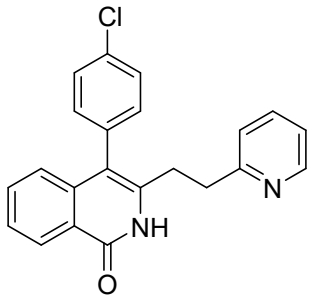
116.078
77.318
77.000
76.682
24.156
13.432
11.238
9.774
f1 (ppm)



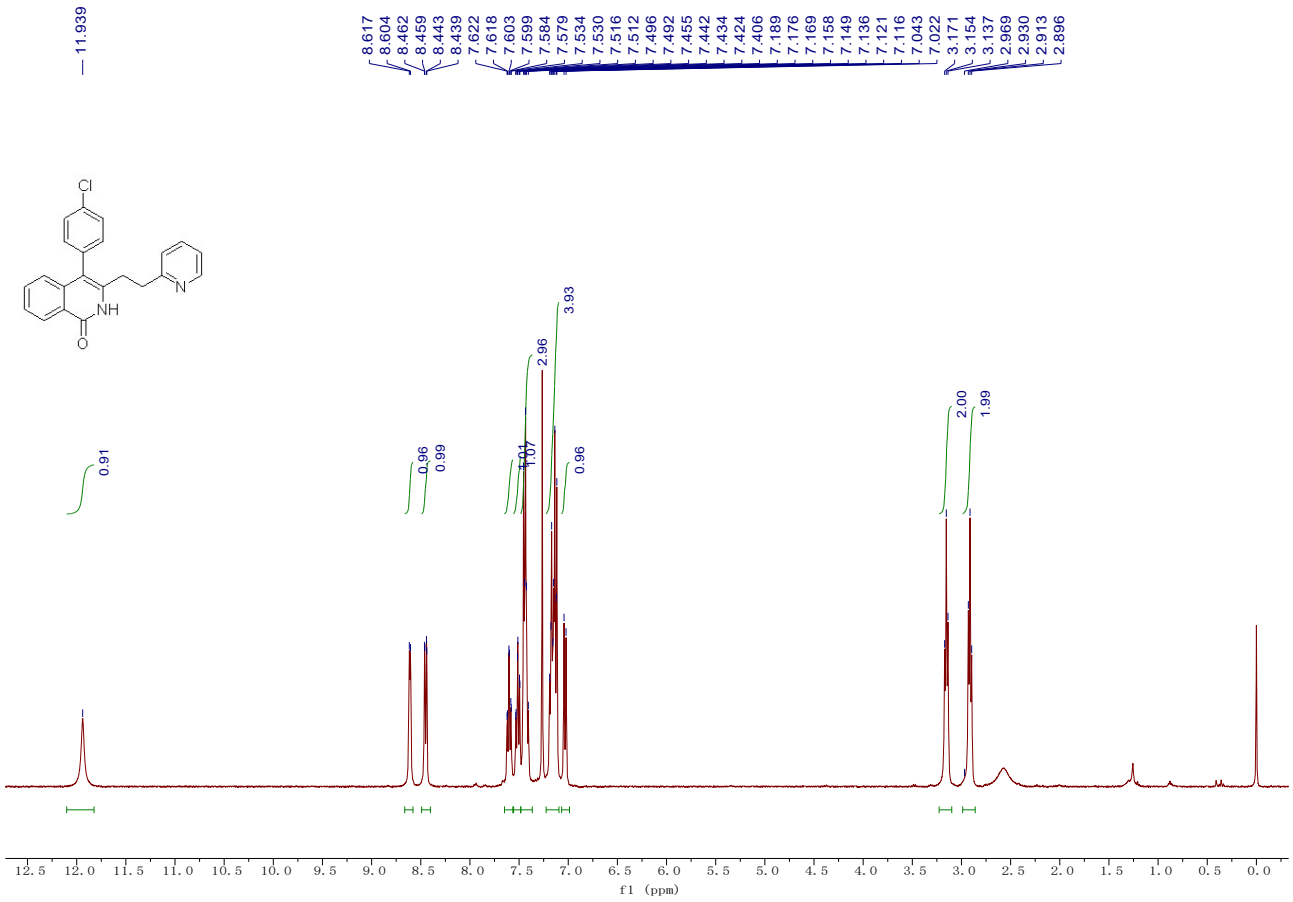
Compound 4a: Yield: 56 mg, 85%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (EtOAc, R_f = 0.5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 11.56 (s, 1H), 8.64 (d, *J* = 4.9 Hz, 1H), 8.45 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.62 (td, *J* = 7.7, 1.8 Hz, 1H), 7.54 – 7.38 (m, 5H), 7.25 – 7.17 (m, 3H), 7.13 (d, *J* = 7.8 Hz, 1H), 7.07 (d, *J* = 8.2 Hz, 1H), 3.14 (t, *J* = 6.8 Hz, 2H), 2.91 (t, *J* = 6.7 Hz, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.3, 159.7, 148.6, 138.9, 138.2, 137.2, 136.2, 132.2, 131.1, 128.7, 127.6, 127.3, 125.8, 125.2, 124.7, 123.5, 121.7, 116.8, 36.5, 29.8; IR (neat): ν 2922, 1667, 1633, 1472, 749, 707, 698 cm^{-1}; HRMS (ESI+) Calcd. for $C_{22}H_{19}N_2O$ $[M+H]^+$: 327.1492, found: 327.1489.







Compound 4b: Yield: 25.2 mg, 35%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (EtOAc, R_f = 0.5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 11.94 (s, 1H), 8.61 (d, *J* = 4.9 Hz, 1H), 8.49 – 8.40 (m, 1H), 7.60 (td, *J* = 7.7, 1.8 Hz, 1H), 7.56 – 7.48 (m, 1H), 7.48 – 7.37 (m, 3H), 7.22 – 7.09 (m, 4H), 7.03 (d, *J* = 8.1 Hz, 1H), 3.15 (t, *J* = 6.8 Hz, 2H), 2.91 (t, *J* = 6.8 Hz, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.5, 159.8, 148.9, 138.7, 138.6, 136.9, 134.7, 133.6, 132.5, 132.3, 129.0, 127.4, 125.9, 124.9, 124.7, 123.4, 121.7, 115.5, 36.6, 29.9; IR (neat): ν 2899, 1671, 1630, 1474, 771, 742, 742, 742, 735 cm^{-1}; HRMS (ESI+) Calcd. for $C_{22}H_{18}N_2OCl$ $[M+H]^+$: 361.1102, found: 361.1105.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8.462
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8.439
7.622
7.618
7.603
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7.534
7.530
7.516
7.512
7.496
7.492
7.455
7.442
7.434
7.424
7.406
7.189
7.176
7.169
7.158
7.149
7.136
7.121
7.116
7.043
7.022
3.171
3.154
3.137
2.969
2.930
2.913
2.896
Cl
NH
O
N
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0.99
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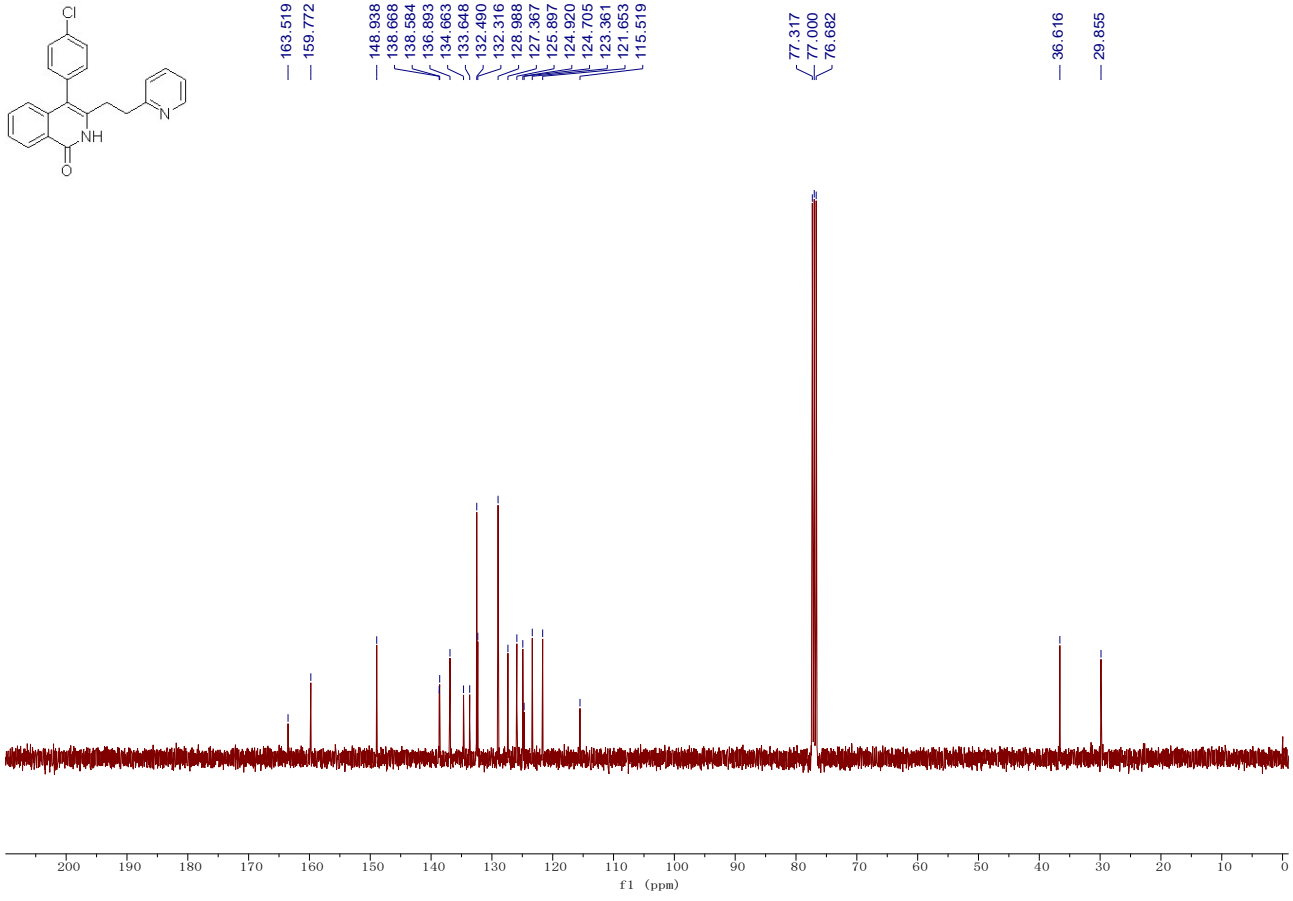
1.07
2.96
3.93
0.96
2.00
1.99
f1 (ppm)


163.519
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148.938
138.668
138.584
136.893
134.663
133.648
132.490
132.316
128.988
127.367
125.897
124.920
124.705
123.361
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115.519
77.317
77.000
76.682
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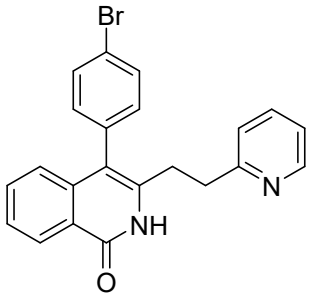
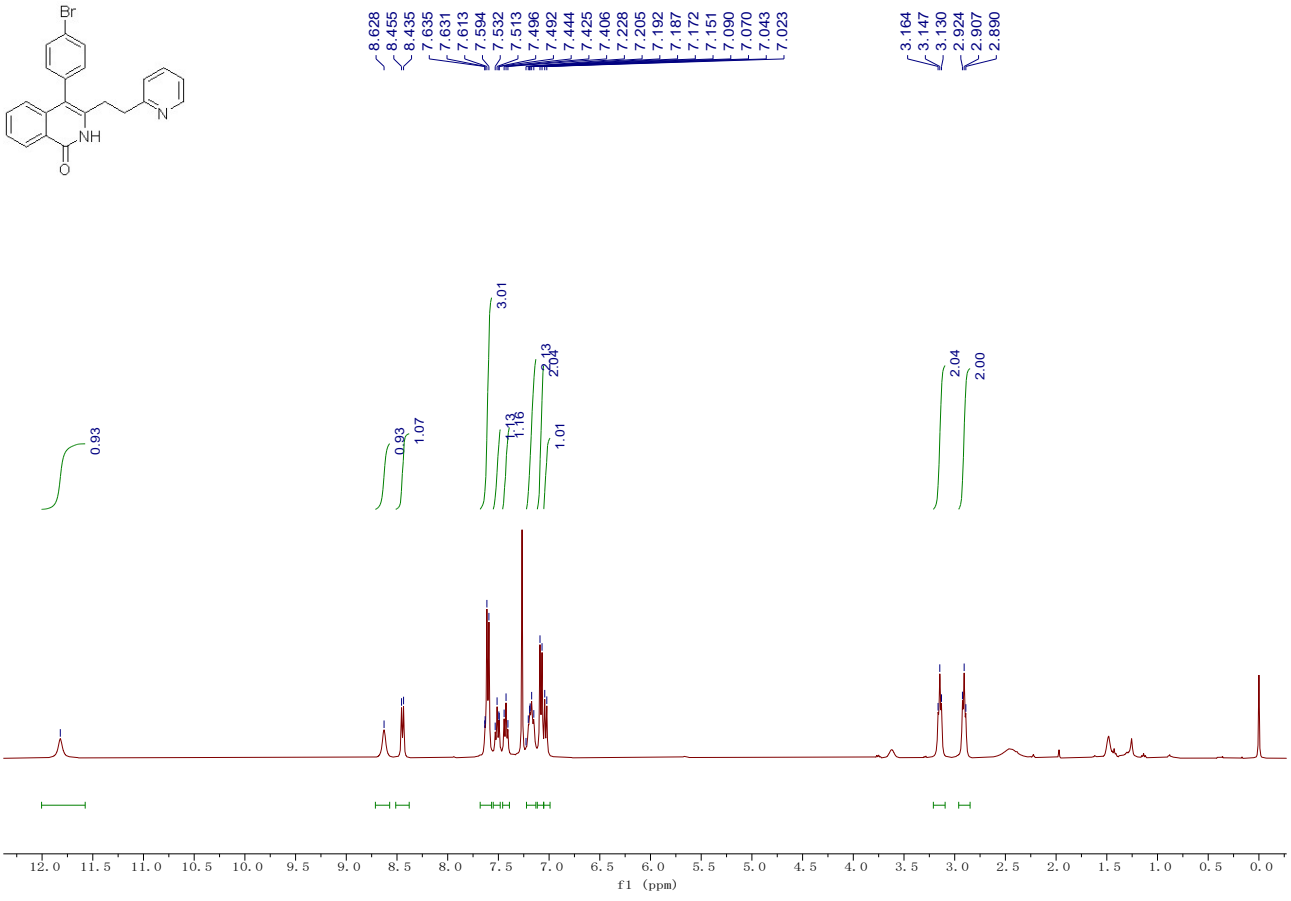
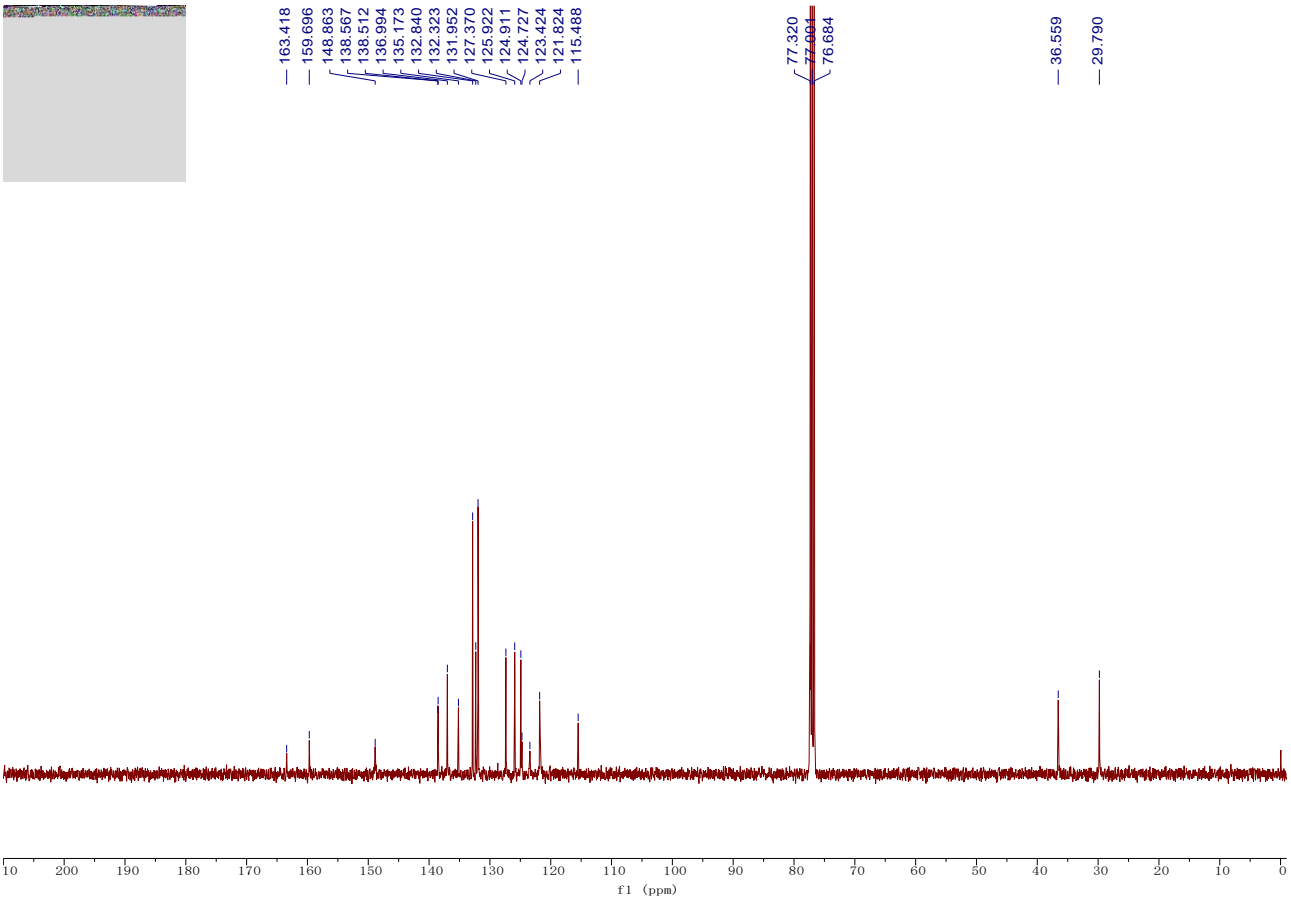
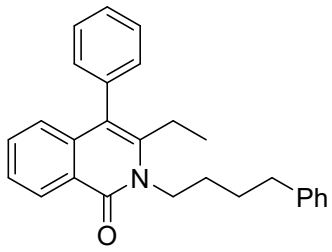
29.855
Cl
NH
O
N
f1 (ppm)



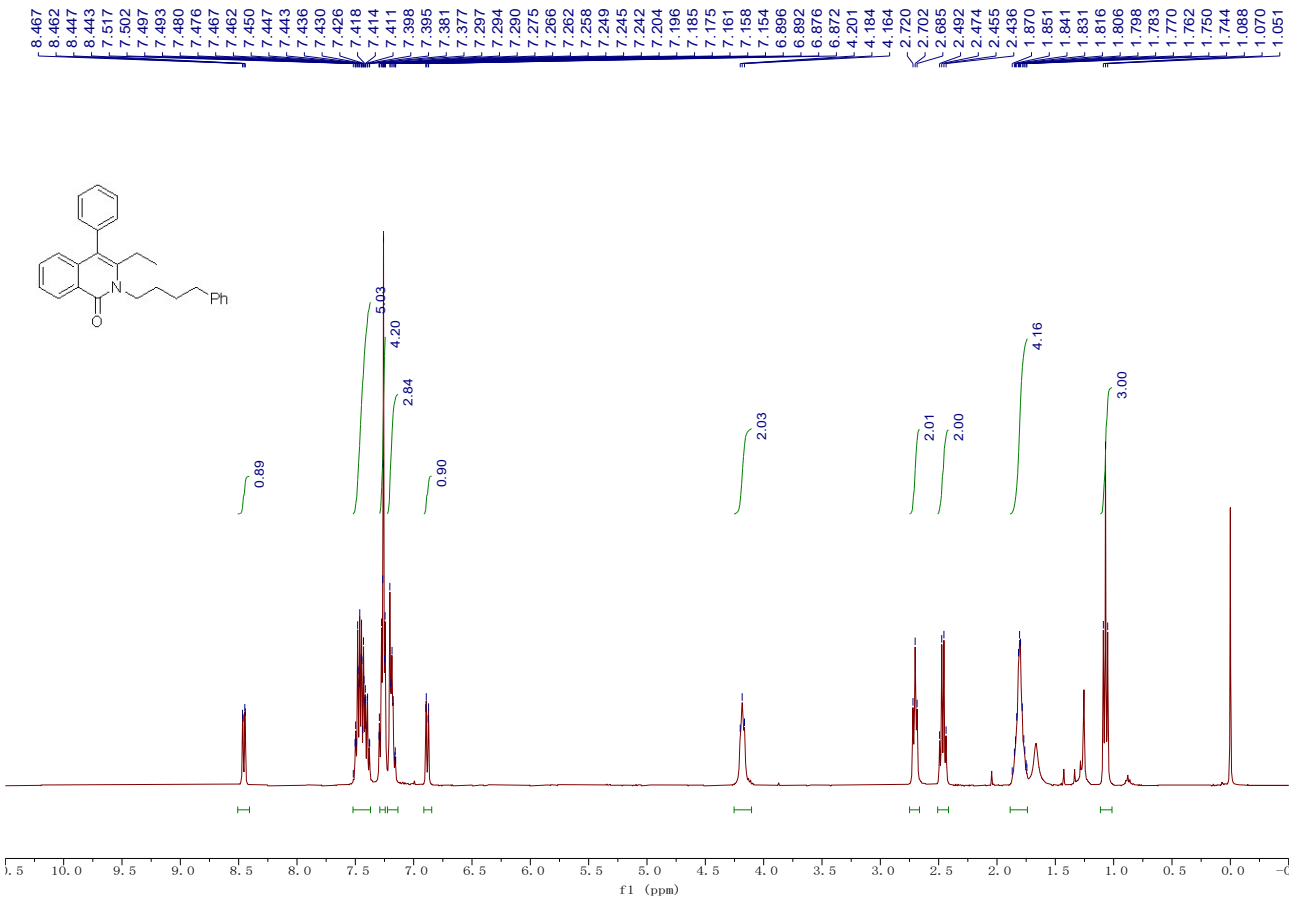
Compound 4c: Yield: 37.3 mg, 46%; A white solid; Mp: > 200 °C; Isolated by column chromatography on silica gel (EtOAc, R_f = 0.5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 11.82 (s, 1H), 8.63 (s, 1H), 8.45 (d, *J* = 7.9 Hz, 1H), 7.68 – 7.57 (m, 3H), 7.55 – 7.48 (m, 1H), 7.42 (t, *J* = 7.5 Hz, 1H), 7.22 – 7.13 (m, 2H), 7.08 (d, *J* = 8.0 Hz, 2H), 7.03 (d, *J* = 8.1 Hz, 1H), 3.15 (t, *J* = 6.8 Hz, 2H), 2.91 (t, *J* = 6.7 Hz, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.4, 159.7, 148.9, 138.6, 138.5, 137.0, 135.2, 132.8, 132.3, 132.0, 127.4, 125.9, 124.9, 124.7, 123.4, 121.8, 115.5, 36.6, 29.8; IR (neat): ν 2955, 2923, 2853, 1657, 1471 cm^{-1}; HRMS (ESI+) Calcd. for $C_{22}H_{18}N_2OBr$ $[M+H]^+$: 405.0597, found: 405.0605.







Compound 7a: Yield: 53.3 mg, 70%; A white solid; Mp: 103 - 105 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.51 – 8.41 (m, 1H), 7.52 – 7.37 (m, 5H), 7.29 – 7.24 (m, 4H), 7.22 – 7.13 (m, 3H), 6.91 – 6.85 (m, 1H), 4.25 – 4.10 (m, 2H), 2.70 (t, *J* = 7.1 Hz, 2H), 2.46 (q, *J* = 7.4 Hz, 2H), 1.89 – 1.74 (m, 4H), 1.07 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 162.7, 142.0, 141.6, 137.55, 137.49, 131.8, 131.0, 128.7, 128.4, 128.3, 127.6, 127.5, 125.84, 125.80, 124.9, 124.2, 117.7, 44.2, 35.5, 29.0, 28.9, 23.6, 14.4; IR (neat): ν 2922, 1636, 1607, 1585, 779, 707 cm^{-1}; HRMS (ESI+) Calcd. for $C_{27}H_{28}NO$ $[M+H]^+$: 382.2165, found: 382.2171.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7.462
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7.258
7.249
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7.185
7.175
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4.184
4.164
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2.702
2.685
2.492
2.474
2.455
2.436
1.870
1.851
1.841
1.831
1.816
1.806
1.798
1.783
1.770
1.762
1.750
1.744
1.088
1.070
1.051
N
O
Ph
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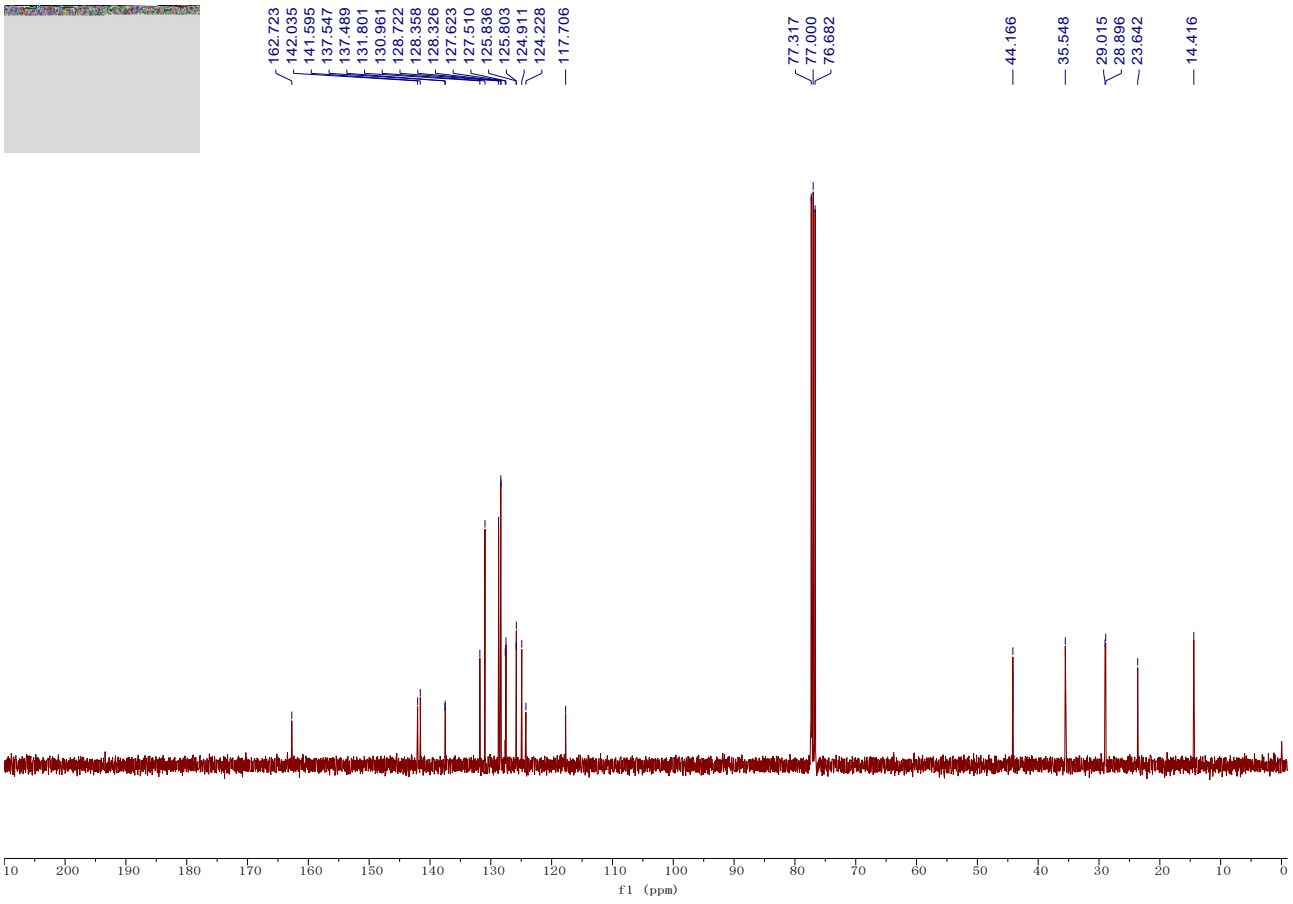
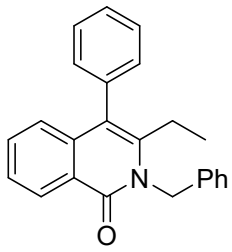
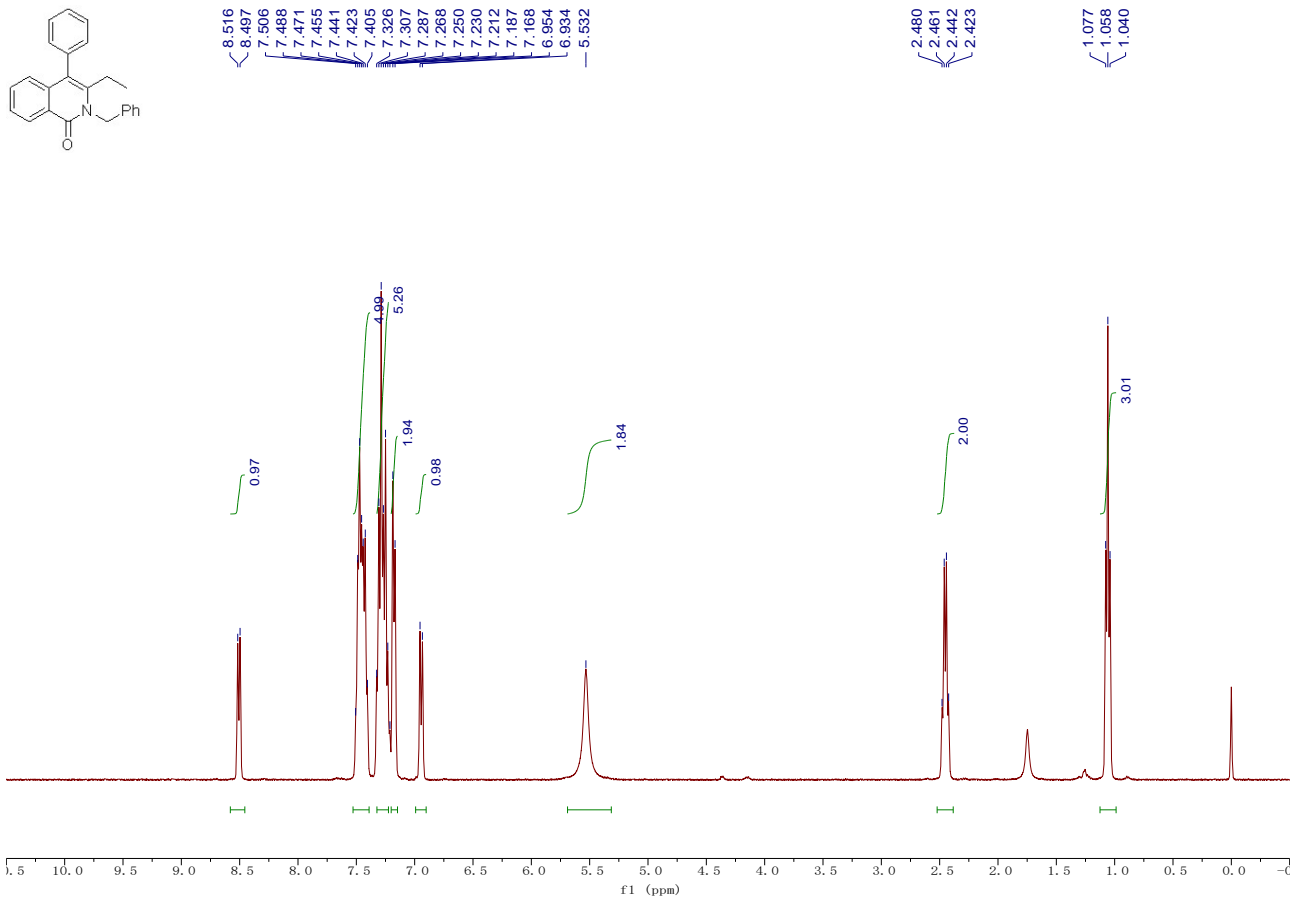
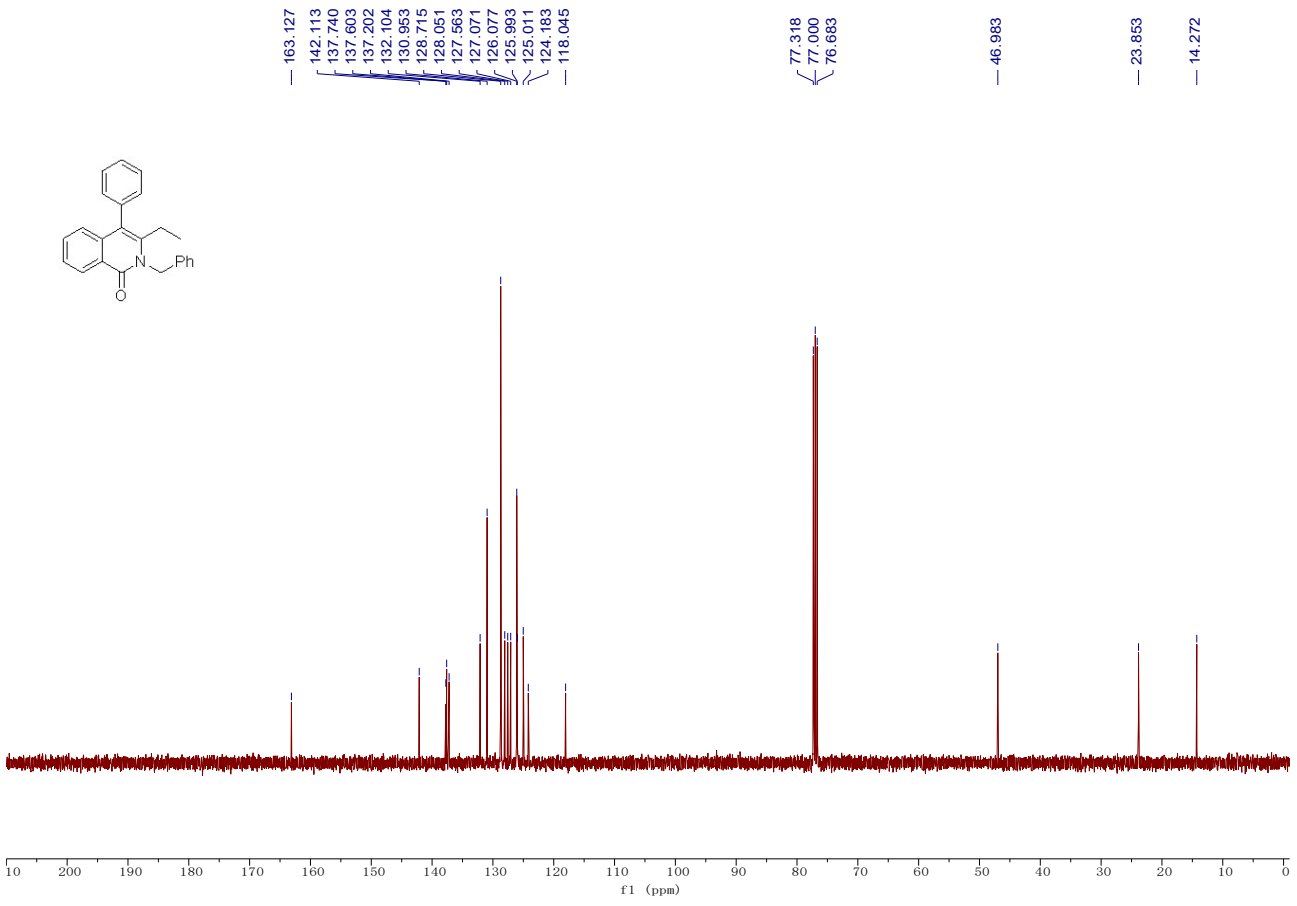
4.20
2.84
0.90
2.03
2.01
2.00
4.16
3.00
f1 (ppm)





Compound 7b: Yield: 57.0 mg, 84%; A white solid; Mp: 183 - 185 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.51 (d, *J* = 7.9 Hz, 1H), 7.53 – 7.39 (m, 5H), 7.32 – 7.22 (m, 5H), 7.20 – 7.15 (m, 2H), 6.94 (d, *J* = 8.1 Hz, 1H), 5.53 (s, 2H), 2.45 (q, *J* = 7.5 Hz, 2H), 1.06 (t, *J* = 7.5 Hz, 3H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 163.1, 142.1, 137.7, 137.6, 137.2, 132.1, 131.0, 128.7, 128.1, 127.6, 127.1, 126.1, 126.0, 125.0, 124.2, 118.0, 47.0, 23.9, 14.3; IR (neat): ν 2953, 1640, 1612, 1590, 1494, 777, 702 cm^{-1}; HRMS (ESI+) Calcd. for $C_{24}H_{21}NONa$ $[M+Na]^+$: 362.1515, found: 362.1520.


8.516
8.497
7.506
7.488
7.471
7.455
7.441
7.423
7.405
7.326
7.307
7.287
7.268
7.250
7.230
7.212
7.187
7.168
6.954
6.934
5.532
2.480
2.461
2.442
2.423
1.077
1.058
1.040
4.99
5.26
1.94
0.98
0.97
1.84
2.00
3.01
Ph
O
f1 (ppm)


163.127
142.113
137.740
137.603
137.202
132.104
130.953
128.715
128.051
127.563
127.071
126.077
125.993
125.011
124.183
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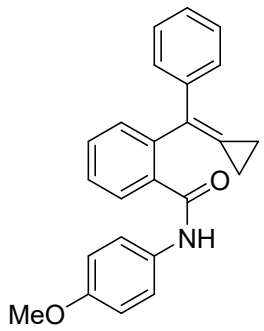
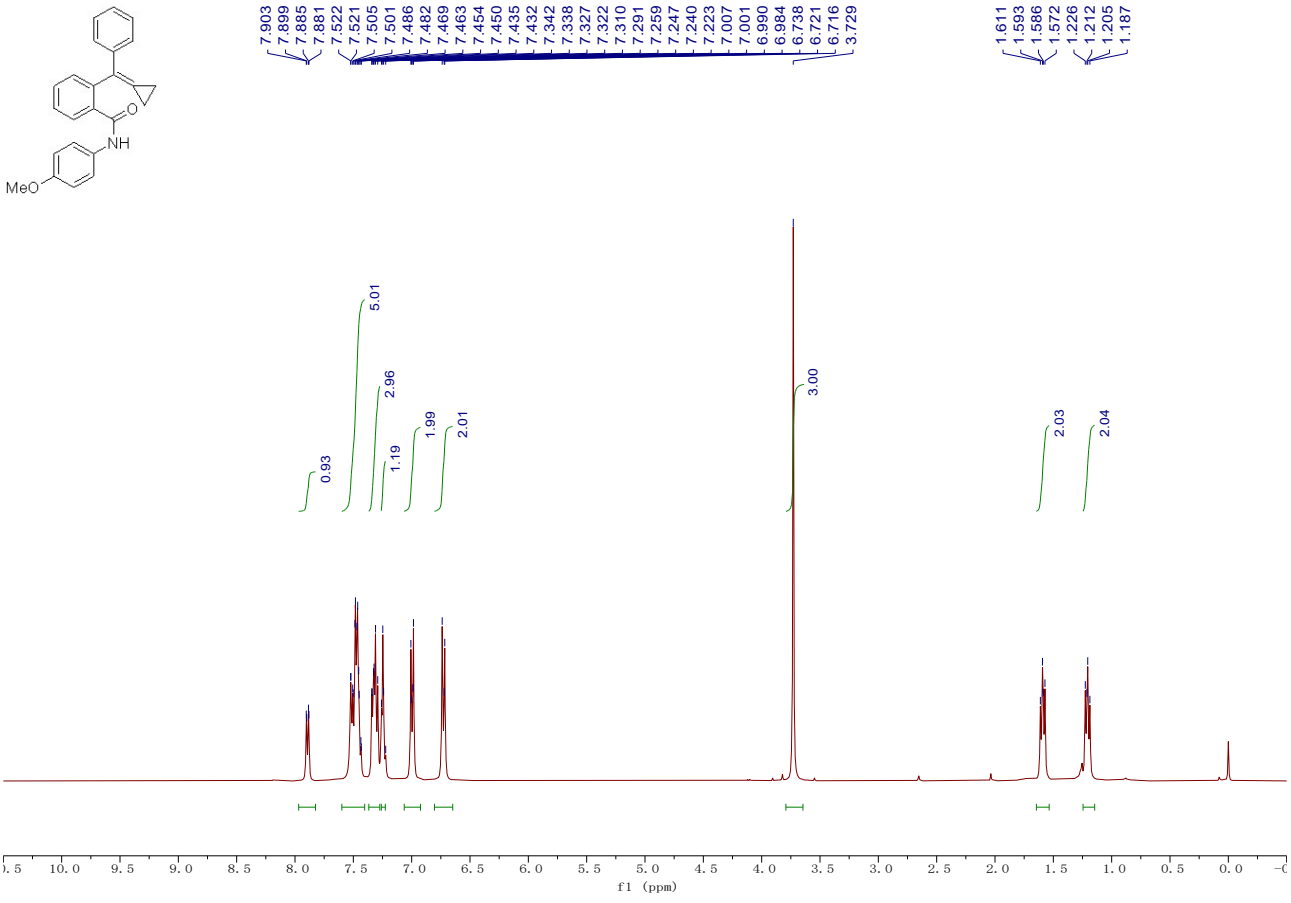
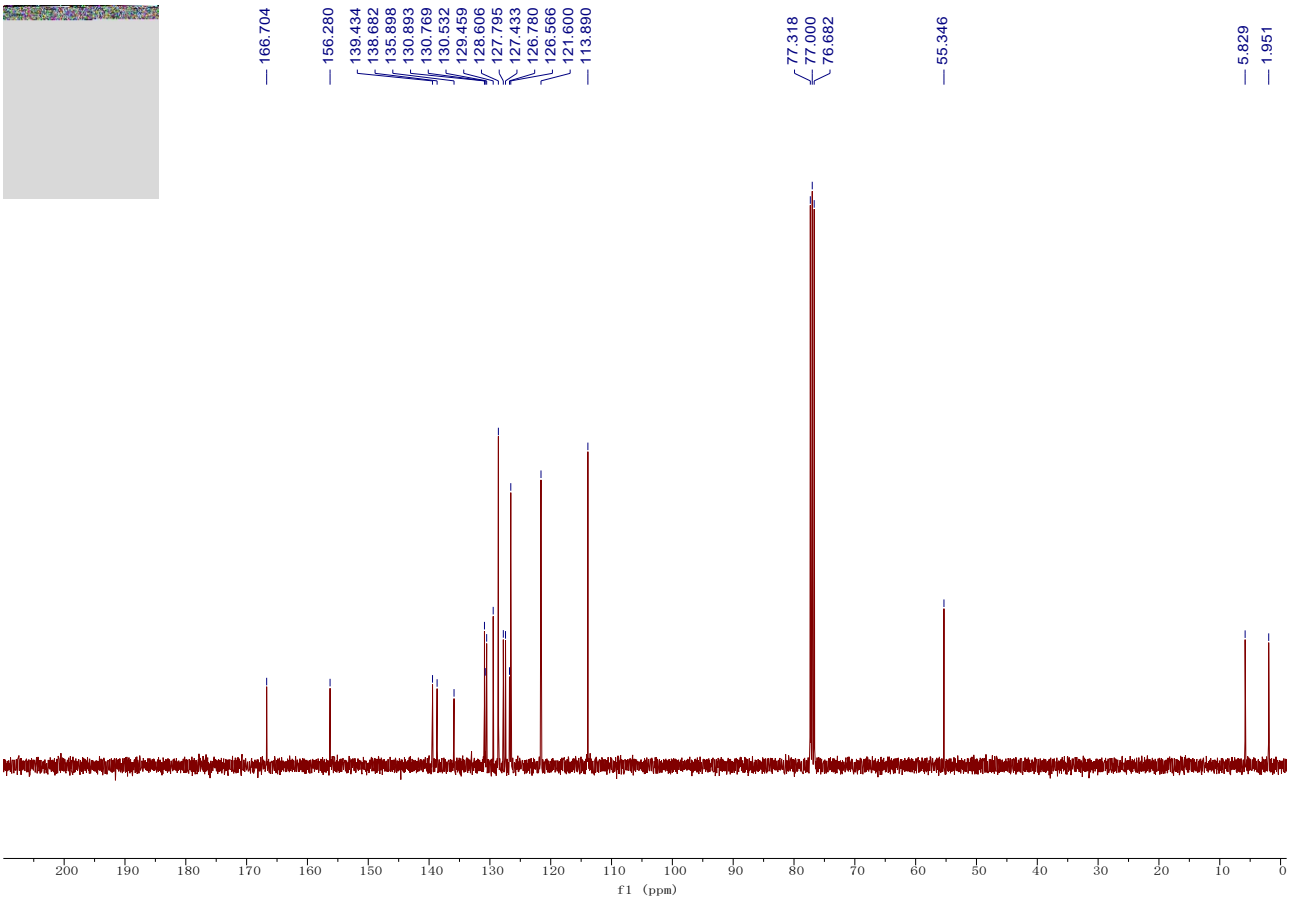
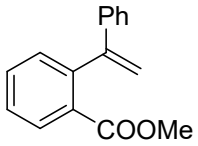
77.000
76.683
46.983
23.853
14.272
Ph
O
f1 (ppm)



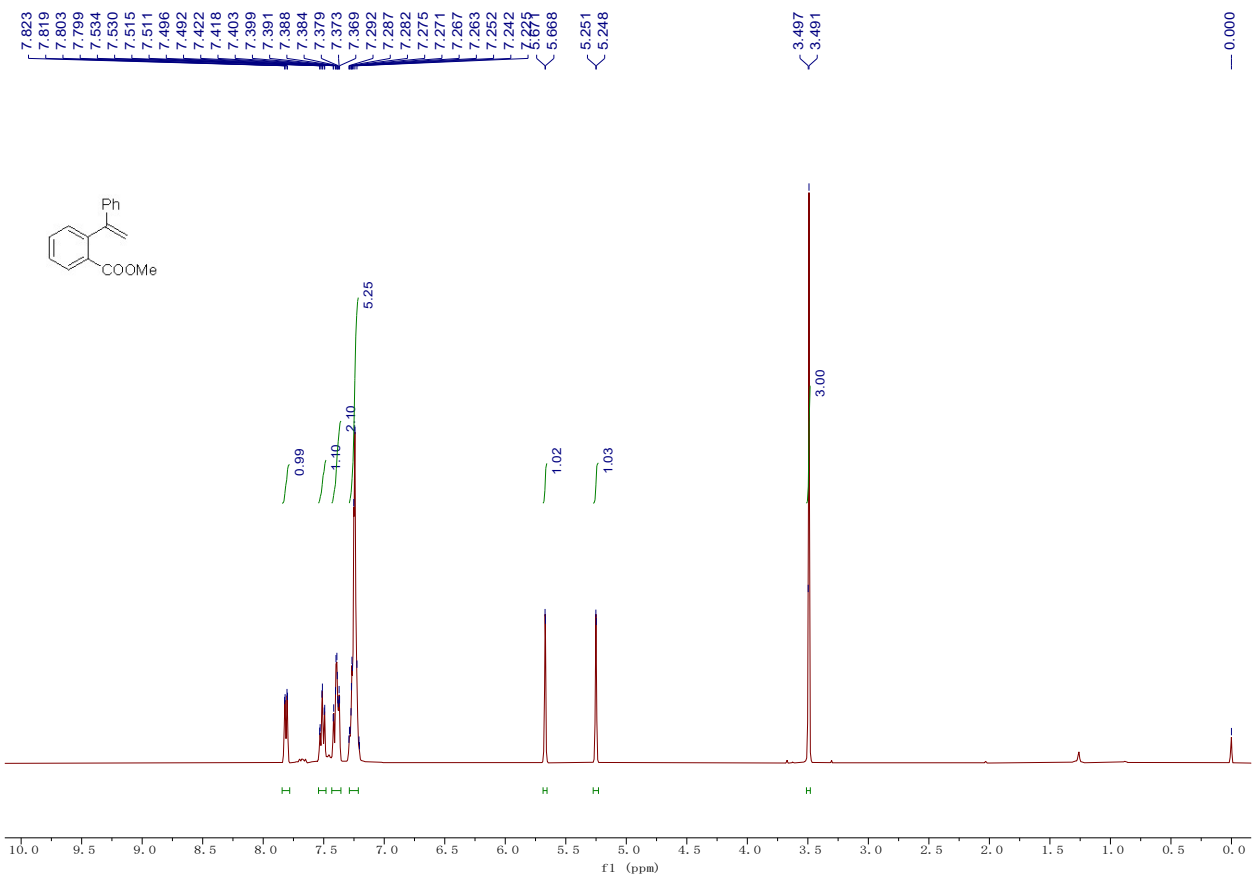
Compound 5a: Yield: 56.8 mg, 80%; A white solid; Mp: 140 - 142 °C; Isolated by column chromatography on silica gel (PE/EtOAc = 4:1, R_f = 0.5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.89 (dd, *J* = 7.5, 1.6 Hz, 1H), 7.60 – 7.40 (m, 5H), 7.37 – 7.27 (m, 3H), 7.28 – 7.22 (m, 1H), 7.00 (d, *J* = 8.9 Hz, 2H), 6.73 (d, *J* = 8.9 Hz, 2H), 3.73 (s, 3H), 1.65 – 1.54 (m, 2H), 1.25 – 1.15 (m, 2H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 166.7, 156.3, 139.4, 138.7, 135.9, 130.9, 130.8, 130.5, 129.5, 128.6, 127.8, 127.4, 126.8, 126.6, 121.6, 113.9, 55.3, 5.8, 2.0; IR (neat): ν 1636, 1243, 1034, 830, 770, 696 cm^{-1}; HRMS (ESI+) Calcd. for $C_{24}H_{21}NO_2Na$ [M+Na]$^+$: 378.1465, found: 378.1474.



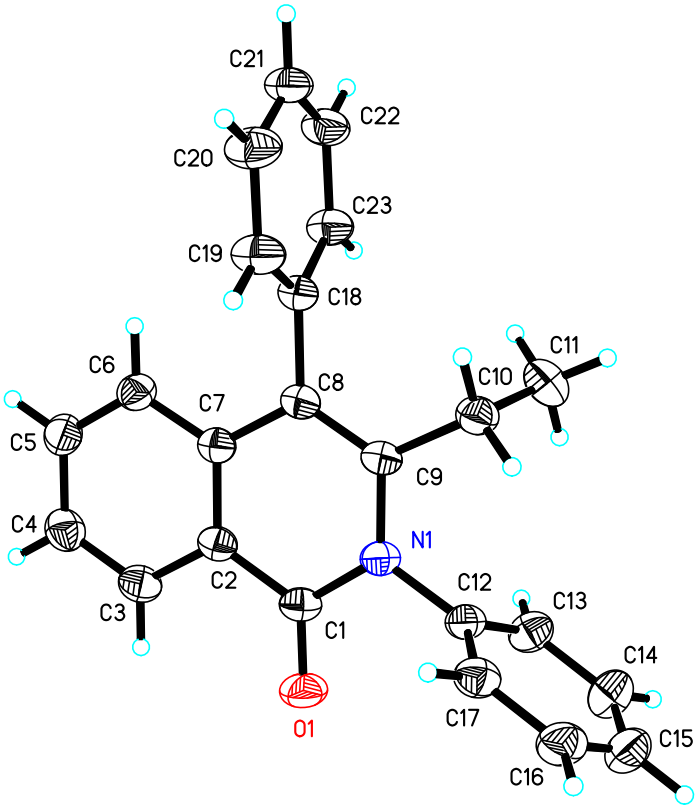




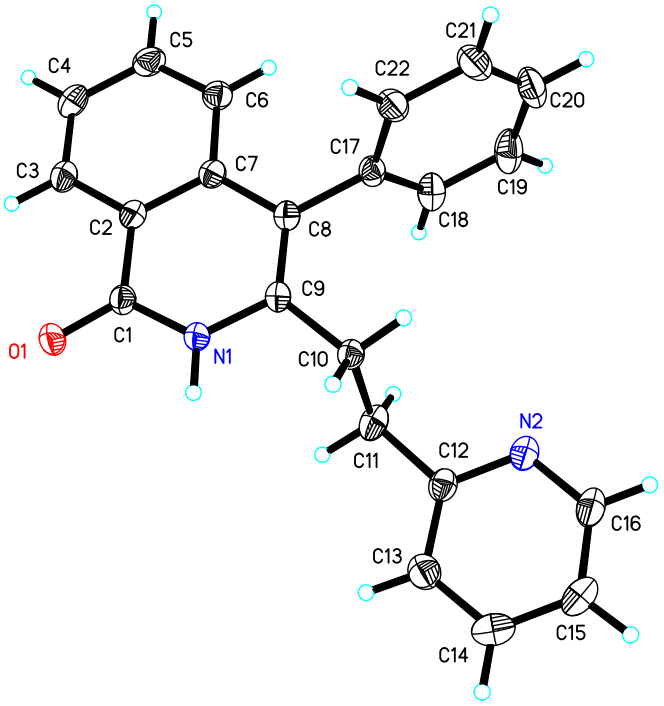
Compound 8: Yield: 0.38 g, 80%; A yellow faint oil; this is a known compound and its spectroscopic data are consistent with those reported ones.[3] ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.85 – 7.78 (m, 1H), 7.51 (td, *J* = 7.5, 1.6 Hz, 1H), 7.44 – 7.35 (m, 2H), 7.31 – 7.20 (m, 5H), 5.67 (d, *J* = 1.3 Hz, 1H), 5.25 (d, *J* = 1.3 Hz, 1H), 3.49 (s, 3H).


7.823
7.819
7.803
7.799
7.534
7.530
7.515
7.511
7.496
7.492
7.422
7.418
7.403
7.399
7.391
7.388
7.384
7.379
7.373
7.369
7.292
7.287
7.282
7.275
7.271
7.267
7.263
7.252
7.242
5.668
5.251
5.248
3.497
3.491
0.000
Ph
COOMe
0.99
1.10
2.10
5.25
1.02
1.03
3.00
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

6. X-ray data



The crystal data of **3o** have been deposited in CCDC with number 2074397. Empirical Formula: $C_{23}H_{19}NO$; Formula Weight: 325.39; Crystal Color, Habit: colorless, Crystal Dimensions: 0.060 x 0.050 x 0.020 mm^3; Crystal System: Tetragonal; Lattice Parameters: a = 21.3009(5) Å, b = 21.3009(5) Å, c = 7.7405(3) Å, α = 90°, β = 90°, γ = 90°, V = 3512.1(2) $Å^3$; Space group: P -4 21 c; Z = 8; D_{calc} = 1.231 g/cm^3; F_{000} = 1376; Final R indices [I>2sigma(I)] R1 = 0.0326, wR2 = 0.0797.



The crystal data of **4a** have been deposited in CCDC with number 2091583. Empirical Formula: $C_{22}H_{18}N_2O$; Formula Weight: 326.38; Crystal Color, Habit: colorless, Crystal Dimensions: 0.190 x 0.150 x 0.120 mm^3; Crystal System: Triclinic; Lattice Parameters: a = 5.5414(5) Å, b = 9.7020(10) Å, c = 16.4455(17) Å, α = 98.437(3)°, β = 98.614(3)°, γ = 97.851(3)°, V = 853.28(15) $Å^3$; Space group: P -1; Z = 2; D_{calc} = 1.270 g/cm^3; F_{000} = 344; Final R indices [I>2sigma(I)] R1 = 0.0410, wR2 = 0.0978.