Electronic Supplementary Material (ESI) for Organic & Biomolecular Chemistry.

Supporting Information

Visible-Light Promoted Photocatalyst-Free Aerobic α-Oxidation of Tertiary Amines to Amides

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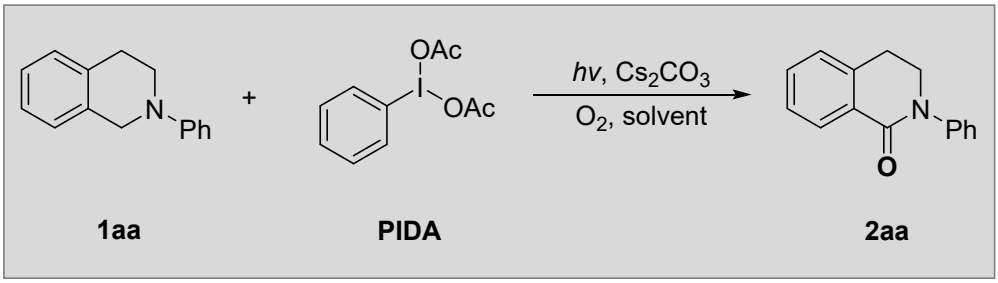
1. General information and materials

All reactions were carried out under an atmosphere of O_2 (balloon) with the irradiation of 10 W 390 nm LED, unless otherwise noted. Glassware was pre-dried in an oven at 110 °C for several hours and cooled prior to use. Flash column chromatography was performed on silica gel (200-300 mesh). All reactions were monitored by TLC analysis. ^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra were recorded at room temperature in $CDCl_3$ and DMSO-d_6, $CDCl_3$ on 400 MHz instrument with tetramethylsilane (TMS) as internal standard. Commercially available reagents were used throughout without further purification unless otherwise stated. All solvents were dried and distilled under N_2 prior to use.

2. Extra optimization of the reaction conditions

Table S1 Optimization of the dosage of base and light source



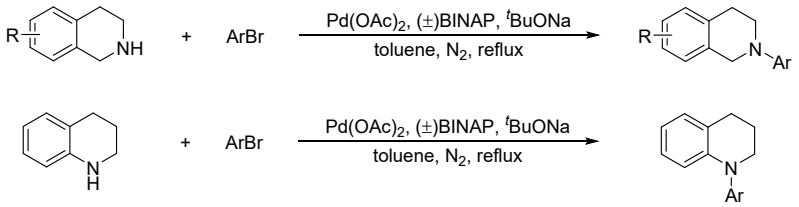
Entry[a]	Cs_2CO_3 (eq.)	Light source	Yield(%)[b]
1	0	390 nm	22
2	0.5	390 nm	67
3	1.0	390 nm	74
4	2.0	390 nm	80
5	2.5	390 nm	78
6	1.5	darkness	Trace
7	1.5	427 nm	77
8	1.5	460-470 nm	74
9	1.5	white light	60

[a] Reaction conditions (unless otherwise specified): **1aa** (0.2 mmol, 1.0 eq.), **PIDA** (0.02 mmol, 0.1 eq.), O_2 (balloon), DMF (2.0 mL, 0.1 M), rt, 24 h. [b] Isolated yield.

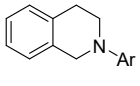
3. Preparation of starting materials

3.1 Synthesis of tetrahydroisoquinolines derivatives and tetrahydroquinoline derivatives[1]

Tetrahydroisoquinolines derivatives can be conveniently synthesized according to the known literature procedure. The tetrahydroisoquinolines derivatives **1aa~1al**, **1an~1av** and the tetrahydroquinoline derivatives **1ba, 1bb, 1bd~1bg, 1bi**, **1bk** are the known compounds. The tetrahydroisoquinolines derivative **1am** and the tetrahydroquinoline derivative **1bc**, **1bh**, **1bj** are the unknown compounds.



General procedure 1: The **1aa ~ 1au** and **1ba ~ 1bk** were synthesized according to a published procedure. BINAP (622 mg, 0.55 mmol) were added into a Schlenk tube and degassed three time with N_2, then fresh distilled toluene (15 mL) was added into the Schlenk tube. The suspension was subsequently stirred at 100 °C for 5 min. After cooled down to room temperature, $Pd(OAc)_2$ (112 mg, 0.5 mmol) was added, after stir for a minute then NaO^{t}Bu (1346 mg, 14 mmol), bromobenzene (10 mmol) and 1,2,3,4-tetrahydroisoquinoline (12 mmol) or 1,2,3,4- tetrahydroquinoline (12 mmol) were added into the solution. The mixture was then degassed three times with N_2 and stirred under reflux for 24 h. The mixture was then cooled down to the room temperature and filtered through celite. The celite was washed with ethyl acetate, the combined organic layer was evaporated to remove the solvent and the crude product was then purified by column.

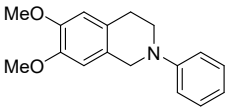


1a

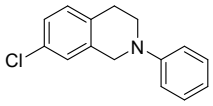
1aa: Ar = Ph
1ab: Ar = 4-Me-C_6H_4
1ac: Ar = 4-Et-C_6H_4
1ad: Ar = 4-^{t}Bu-C_6H_4
1ae: Ar = 4-OMe-C_6H_4
1af: Ar = 4-Cl-C_6H_4

1ag: Ar = 4-F-C_6H_4
1ah: Ar = 4-CF_3-C_6H_4
1ai: Ar = 4-Ph-C_6H_4
1aj: Ar = 2-Me-C_6H_4
1ak: Ar = 2-OMe-C_6H_4
1al: Ar = 2-Cl-C_6H_4

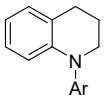
1am: Ar = 2-CF_3-C_6H_4
1an: Ar = 3-Me-C_6H_4
1ao: Ar = 3-CF_3-C_6H_4
1ap: Ar = 3,5-Me_2-C_6H_3
1aq: Ar = 1-Naph
1ar: Ar = 2-Naph
1as: Ar = 2-Py



1at



1au

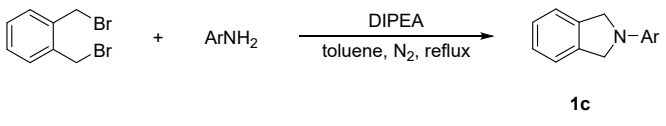


1b

1ba: Ar = Ph
1bb: Ar = 4-Me-C_6H_4
1bc: Ar = 4-Cl-C_6H_4
1bd: Ar = 4-F-C_6H_4
1be: Ar = 4-CF_3-C_6H_4
1bf: Ar = 3-Me-C_6H_4

1bg: Ar = 3-OMe-C_6H_4
1bh: Ar = 3-CF_3-C_6H_4
1bi : Ar = 2-Me-C_6H_4
1bj : Ar = 2-CF_3-C_6H_4
1bk: Ar = 2-Py

3.2 Synthesis of isoindoline derivatives[3]

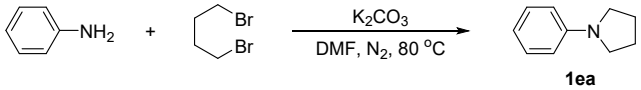


1c

3ca: Ar = Ph
3cb: Ar = 4-Me-C_6H_4
3cc: Ar = 4-F-C_6H_4
3cd: Ar = 4-OMe-C_6H_4
3ce: Ar = 4-CF_3-C_6H_4
3cf: A = 2,6-Me_2-C_6H_4

General procedure 2: 1, 2-Bis(bromomethyl)benzene (1.3 g, 5.0 mmol, 1.0 eq.), DIPEA (2.2 mL, 12.5 mmol, 2.5 eq.), and aromatic amine (7.5 mmol, 1.5 eq.) dissolved in toluene (25 mL) were added to a tube sealing before vigorously stirring at 110 °C under a N_2 atmosphere. The resulting mixture was cooled to room temperature and extracted with ethyl acetate (3 × 15 mL). The combined organic phase was washed with brine, dried over anhydrous Na_2SO_4 and concentrated in *vacuo*. The crude product was purified by column chromatography (petroleum ether/ethyl acetate 100:1~50:1) to obtain compound **1ca**~**1cf** as a white solid or pale yellow solid (64-88% yields).

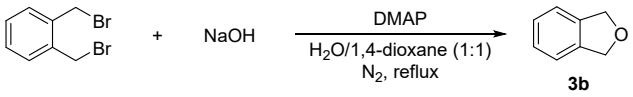
3.3 Synthesis of 1-phenylpyrrolidine[4]



1ea

K_2CO_3 (11.0 mmol, 1.1 eq.) was suspended in DMF (10.0 mL, 1.0 M) under N_2 atmosphere; aniline (10.0 mmol, 1.0 eq.) was then added followed by 1,4-dibromobutane (11.0 mmol, 1.1 eq.). The reaction was heated in an oil bath at 80 °C overnight. After reaching room temperature the mixture was diluted with ethyl acetate and the solution was extracted with 1.0 M HCl(aq.). The aqueous layers were combined and adjusted to pH 8~9 with 1.0 M NaOH(aq.) and then extracted with ethyl acetate. The organic layers were washed with brine, dried over $MgSO_4$, filtered, concentrated under reduced pressure. The title product **1ea** was obtained after purification by column chromatography (petroleum ether/ethyl acetate 100:1~50:1) as a colorless oil (0.77 g, 52 %).

3.4 Synthesis of 1,3-Dihydroisobenzofuran[5]



3b

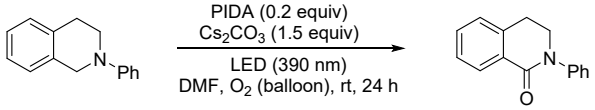
A mixture of 1, 2-Bis(bromomethyl)benzene (1.0 g, 3.8 mmol), sodium hydroxide (1.6 g, 40 mmol), DMAP (10 mg), 1,4-dioxane (5 mL) and water (5 mL), was put into a Schlenk tube and heated in a 110 °C oil bath for 24 h. The reaction mixture was diluted with water (15 mL) and extracted with ether (25 mL). The organic layer was washed with sat. NH_4Cl (aq.) (10 mL), water (10 mL), sat. NaCl (aq.) (10 mL), dried over Na_2SO_4 and concentrated in vacuo. The crude product was purified by column chromatography (petroleum ether/ethyl acetate 10:1~5:1) to obtain compound **3b** as a colorless oil (62% yields).

4. General Procedure 3 for the Oxidation of Amines or Ethers

A mixture of substrates (0.2 mmol, 1.0 eq.) and cesium carbonate (Cs_2CO_3, 0.3 mmol, 1.5 eq.) were mixed in a 25mL Schlenk tube containing a magnetic stirring bar, then adding dry DMF (2.0 mL, 0.1 M) to the tube to dissolve the substrate and finally adding PIDA (0.1~2.0 eq.). After purging the tube three times with vacuum and two times with nitrogen, oxygen atmosphere was incorporated through an O_2 balloon. The resulting mixture was stirred at rt with the irradiation of a 10 W blue LED light (Kessil PR160L -390 nm lamp) for 24 h. After the reaction was completed, the reaction solution underwent an aqueous workup (using distilled water or brine in case of slurry phase separation) and was extracted three times with ethyl acetate. The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated in *vacuo*. And the desired products were purified by flash chromatography on silica gel using petroleum ether/ ethyl acetate as eluent.

5. Synthetic application practicability

5.1 Gram-scale synthesis of 2aa



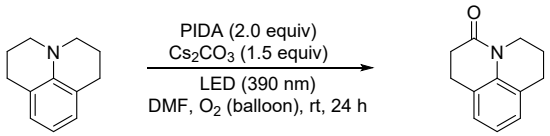
1aa
1.05 g (5.0 mmol)

2aa
0.80 g (72%)

A mixture of **1aa** (5.0 mmol, 1.05 g, 1.0 eq.) and cesium carbonate (Cs_2CO_3, 7.5 mmol, 1.5

eq.) were mixed in a 25mL Schlenk tube containing a magnetic stirring bar, then adding dry DMF (50 mL, 0.1 M) to the tube to dissolve the substrate and finally adding PIDA (1.0 mmol, 0.2 eq.). The tube was evacuated and backfilled with oxygen, repeating three times. After purging the tube three times with vacuum and two times with nitrogen, oxygen atmosphere was incorporated through an O_2 balloon. The resulting mixture was stirred at rt with the irradiation of a 20 W blue LED light (Kessil PR160L -390 nm lamp) for 36 h. After the reaction was completed, the reaction solution underwent an aqueous workup and was extracted three times with ethyl acetate. The combined organic layers were dried over anhydrous Na_2SO_4, and concentrated in *vacuo*. **2aa** was purified by flash chromatography on silica gel using petroleum ether/ ethyl acetate (10:1) as eluent.

5.2 Derivatization of the alkaloid julolidine 5

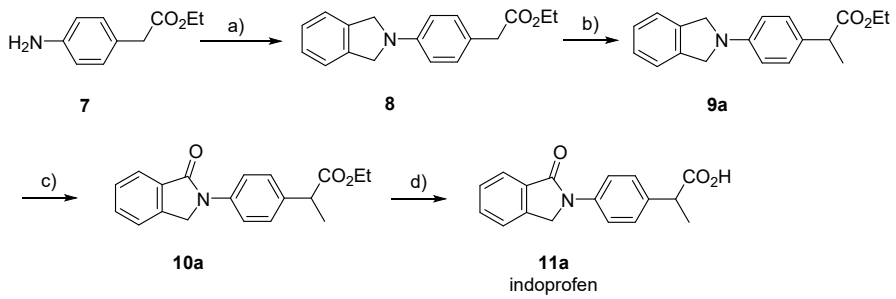


5
Julolidine

6
(48%)

A mixture of Julolidine (0.5 mmol, 87 mg, 1.0 eq.) and cesium carbonate (Cs_2CO_3, 0.75 mmol, 1.5 eq.) were mixed in a 25mL Schlenk tube containing a magnetic stirring bar, then adding dry DMF (5.0 mL, 0.1 M) to the tube to dissolve the substrate and finally adding PIDA (0.25 mmol, 0.5 eq.). The tube was evacuated and backfilled with oxygen, repeating three times. After purging the tube three times with vacuum and two times with nitrogen, oxygen atmosphere was incorporated through an O_2 balloon. The resulting mixture was stirred at rt with the irradiation of a 10 W blue LED light (Kessil PR160L -390 nm lamp) for 24 h. After the reaction was completed, the reaction solution underwent an aqueous workup and was extracted three times with ethyl acetate. The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated in *vacuo*. And the desired product **6** was purified by flash chromatography on silica gel using petroleum ether/ ethyl (10:1) acetate as eluent.

5.3 The synthesis of indoprofen 11a



reaction conditions: a) 1,2-Bis(bromomethyl)benzene, DIPEA, toluene, N_2, reflux; b) LDA, MeI, THF, N_2, -78 ^oC; c) standard conditions; d) NaOH (aq.), THF-MeOH (*v*:*v* = 1:1), air, rt.

Synthesis of ethyl 2-(4-(isoindolin-2-yl) phenyl) acetate (8):

1,2-bis(bromomethyl)benzene (2.90 g, 11 mmol, 1.1 eq.), DIPEA (4.5 mL, 25 mmol, 2.5 eq.), and ethyl 2-(4-aminophenyl) acetate **7** (1.79 g, 10 mmol, 1.2 eq.) dissolved in toluene (20 mL) were

added to a tube sealing before vigorously stirring at 110 °C under a N_2 atmosphere. The resulting mixture was cooled to room temperature and extracted with ethyl acetate (3 × 15 mL). The combined organic phase was washed with brine, dried over anhydrous Na_2SO_4 and concentrated in *vacuo*. The crude product was purified by column chromatography (petroleum ether/ethyl acetate 100:1~50:1) to obtain compound **8** as a white solid (1.96 g, 70%).

Synthesis of ethyl 2-(4-(isoindolin-2-yl) phenyl) propanoate (9a):

The 50 mL Schlenk bottle was evacuated and filled with nitrogen under hot-blowing heating and drying, repeated three times. Under nitrogen atmosphere, diisopropylamine (0.28 mL, 2.0 mmol, 1.3 eq.) and 2.5 ml of THF were injected, and after cooling to -78 °C, *n*-BuLi (1.1 ml, 1.6 M in *n*-hexane, 1.8 mmol, 1.2 eq.) was injected. After stirring for 10 min, 10 ml THF containing **8** (0.42 g, 1.5 mmol, 1.0 eq.) was injected. After stirring for 30 min, MeI (0.10 ml, 1.6 mmol, 1.05 eq.) was injected, and the mixture was stirred. The reaction was monitored by TLC. After the mixture was returned to room temperature, 15 ml of H_2O was added, and the mixture was stirred for 10 min. The resulting mixture was extracted with ethyl acetate (3 × 10 mL). The combined organic phase was washed with brine, dried over anhydrous Na_2SO_4 and concentrated in *vacuo*. The crude product was purified by column chromatography (petroleum ether/ethyl acetate 100:1) to obtain compound **9a** as a white solid (0.43 g, 97%).

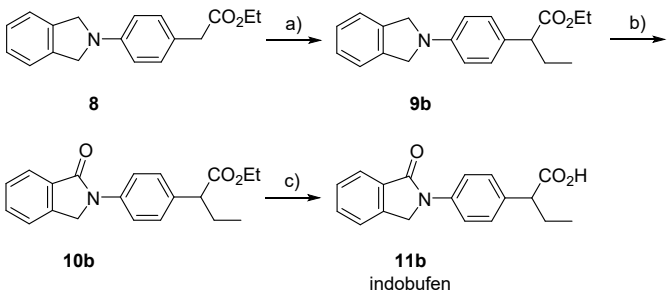
Synthesis of ethyl 2-(4-(1-oxoisoindolin-2-yl) phenyl) propanoate (10a):

According to **General Procedure 3** starting from **9a** (1.0 mmol), the product **10a** was isolated after chromatography (petroleum ether/ethyl acetate 5:1), 192 mg white solid, 62% yield.

Synthesis of 2-(4-(1-oxoisoindolin-2-yl) phenyl) propanoic acid (11a):

Ethyl 2-(4-(1-oxoisoindolin-2-yl) phenyl) propanoate **10a** (93 mg, 0.3 mmol) was dissolved in MeOH-THF (1:1, 3 mL) and 6.0 M NaOH(aq.) (0.2 mL) was added. Reaction mixture was stirred at room temperature and followed by TLC. After reaction was completed, the mixture was acidified using 10% H_2SO_4. Product was extracted with ethyl acetate and the combined ethyl acetate fractions were washed with brine and dried over $MgSO_4$. Solvent was removed and 81 mg of yellow solid of indoprofen **11a** was obtained, 96% yield.

5.4 The synthesis of indobufen 11b



reaction conditions: a) LDA, EtI, THF, N_2, -78 °C; b) standard conditions; c) NaOH (aq.), THF-MeOH (*v*:*v* = 1:1), air, rt.

Synthesis of ethyl 2-(4-(isoindolin-2-yl) phenyl) propanoate (9b):

The 50 mL Schlenk bottle was evacuated and filled with nitrogen under hot-blowing heating

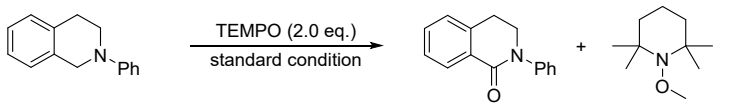
and drying, repeated three times. Under nitrogen atmosphere, diisopropylamine (0.42 mL, 3.0 mmol, 1.5 eq.) and 3.0 ml of THF were injected, and after cooling to -78 °C, *n*-BuLi (1.5 ml, 1.6 M in *n*-hexane, 2.4 mmol, 1.2 eq.) was injected. After stirring for 10 min, 10 ml THF containing **8** (0.56 g, 2.0 mmol, 1.0 eq.) was injected. After stirring for 30 min, EtI (0.20 ml, 2.4 mmol, 1.2 eq.) was injected, and the mixture was stirred. The reaction was monitored by TLC. After the mixture was returned to room temperature, 15 ml of H_2O was added, and the mixture was stirred for 10 min. The resulting mixture was extracted with ethyl acetate (3 × 10 mL). The combined organic phase was washed with brine, dried over anhydrous Na_2SO_4 and concentrated in *vacuo*. The crude product was purified by column chromatography (petroleum ether/ethyl acetate 100:1) to obtain compound **9a** as a white solid (0.57 g, 92%).

Synthesis of 2-(4-(1-oxoisoindolin-2-yl) phenyl) propanoic acid (11a):

Starting from **9b** (0.31 g, 1.0 mmol), after completing the oxidation reaction according to **General Procedure 3**, add 10 mL MeOH-THF (1:1) to the reaction solution, and then add 6.0 M NaOH(aq.) (0.5 mL). Reaction mixture was stirred at room temperature and followed by TLC. After reaction was completed, the mixture was acidified using 10% H_2SO_4. Product was extracted with ethyl acetate and the combined ethyl acetate fractions were washed with brine, dried over $MgSO_4$ and concentrated in *vacuo*. The crude product was purified by column chromatography (DCM/MeOH 25:1) to obtain compound **11a** as a white solid (0.20 g, 68%).

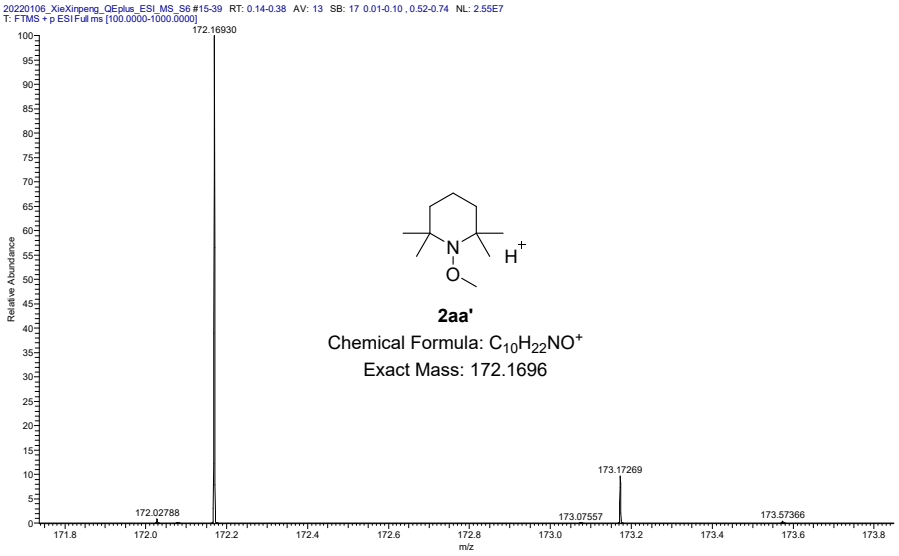
6. Mechanistic experiments

6.1 TEMPO trapping experiment



1aa **2aa** 4% **2aa'** detected by HRMS

A mixture of *N*-phenyl-tetrahydroisoquinoline **1aa** (0.2 mmol) and cesium carbonate (Cs_2CO_3, 0.3 mmol, 1.5 eq.) were mixed in a 25mL Schlenk tube containing a magnetic stirring bar, then adding dry DMF (2 mL, 0.1 M) to the tube to dissolve the substrate and finally adding PIDA (0.02 mmol, 0.1 eq.) and TEMPO (0.4 mmol, 2.0 eq.). The tube was evacuated and backfilled with oxygen, repeating three times. After purging the tube three times with vacuum and two times with nitrogen, oxygen atmosphere was incorporated through an O_2 balloon. The resulting mixture was stirred at rt with the irradiation of a 10 W blue LED light. The reaction solution underwent an aqueous workup and was extracted three times with ethyl acetate. The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated in *vacuo*.



6.2 UV-vis absorption spectra of 1aa, 1ba, 1ca, PIDA and the initial mixtures 1aa/2a, 1ba/2a, 1ca/2a.[7]

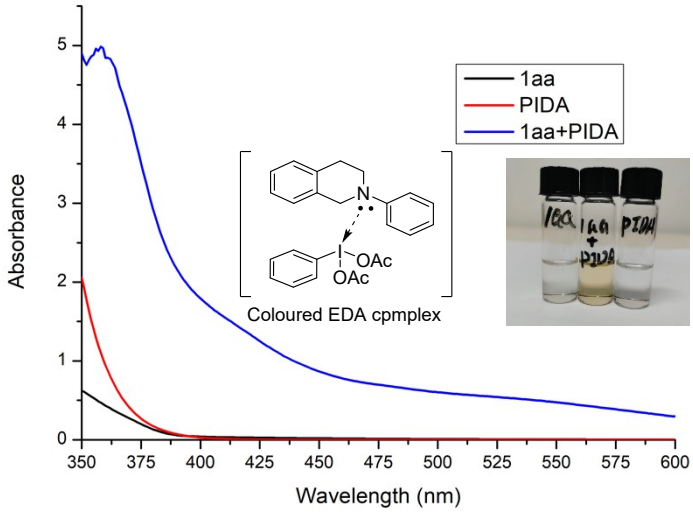


Figure S2. UV-visible absorption spectra of **1aa**, PIDA and **1aa**/PIDA

c(**1aa**) = 0.05 M, c(PIDA) = 0.01 M

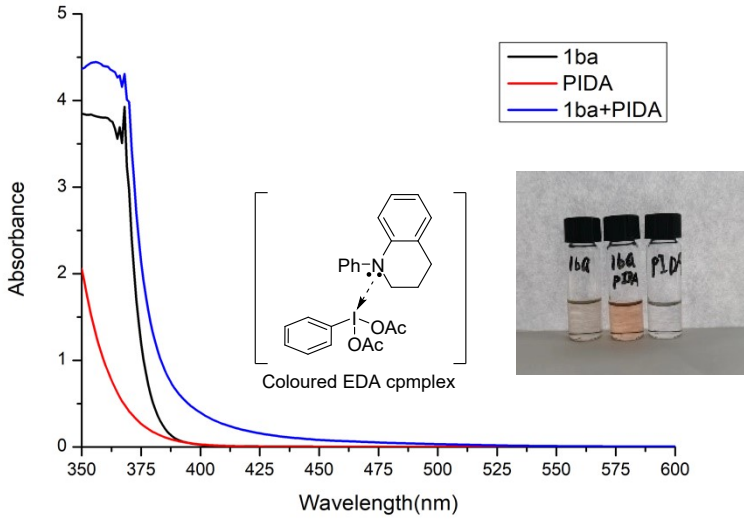


Figure S3. UV-visible absorption spectra of of **1ba**, PIDA and **1ba**/PIDA

c(**1ba**) = 0.05 M, c(PIDA) = 0.01 M

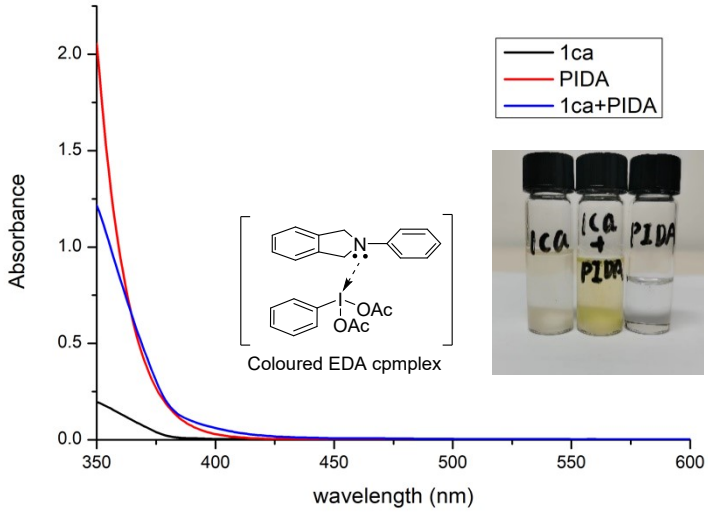


Figure S4. UV-visible absorption spectra of **1ca**, PIDA and **1ca**/PIDA

c(**1ca**) = 0.05 M, c(PIDA) = 0.01 M

6.3 Stoichiometry of the molecular complex in solution[7]

The stoichiometry of the EDA complexes was calculated using the Job´s plot method. The Job's plot of the EDA complex between **1** and PIDA was calculated measuring the absorption of DMF solutions at 390 nm with different donor/acceptor ratios with constant concentration (0.10 M) of the two components. The absorbance values were plotted against the molar fraction of **1**. The Job´s plot analysis of the EDA complex **1aa**/PIDA showed a maximal absorbance at 0.496 molar fraction of **1aa** indicated that the stoichiometry of the EDA complex in solution was most likely 1:1.

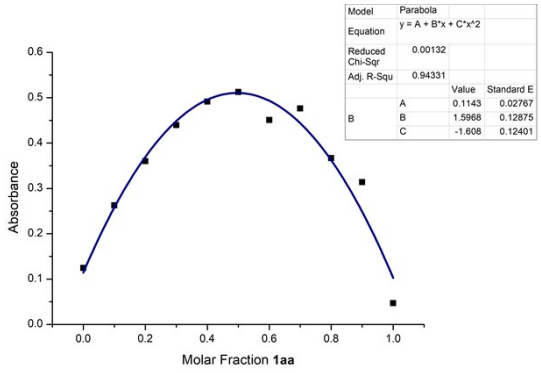
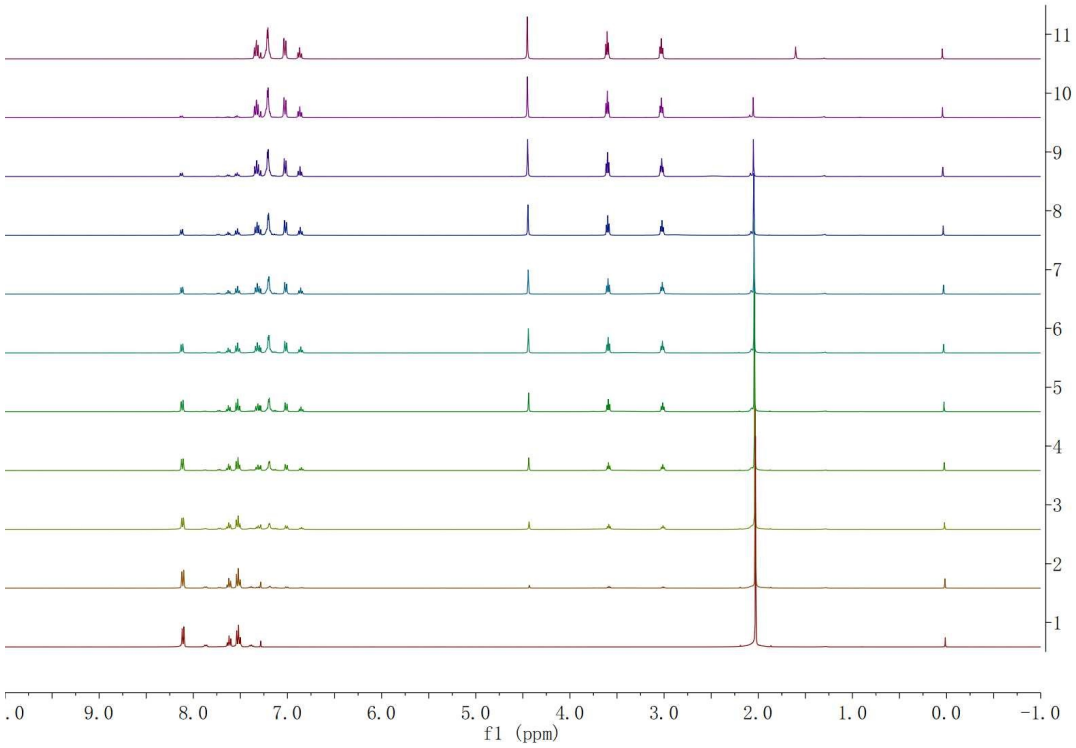


Figure S5: Job´s plot of **1aa** with **PIDA** in DMF.

6.4 NMR titration experiments

H[1]NMR experiments of solutions with different donor/acceptor ratios of **1aa** and PIDA (covering the ratio 0%,10%, 20% to 100% donor) with constant concentration of the two components (0.1 M in $CDCl_3$), were measured.



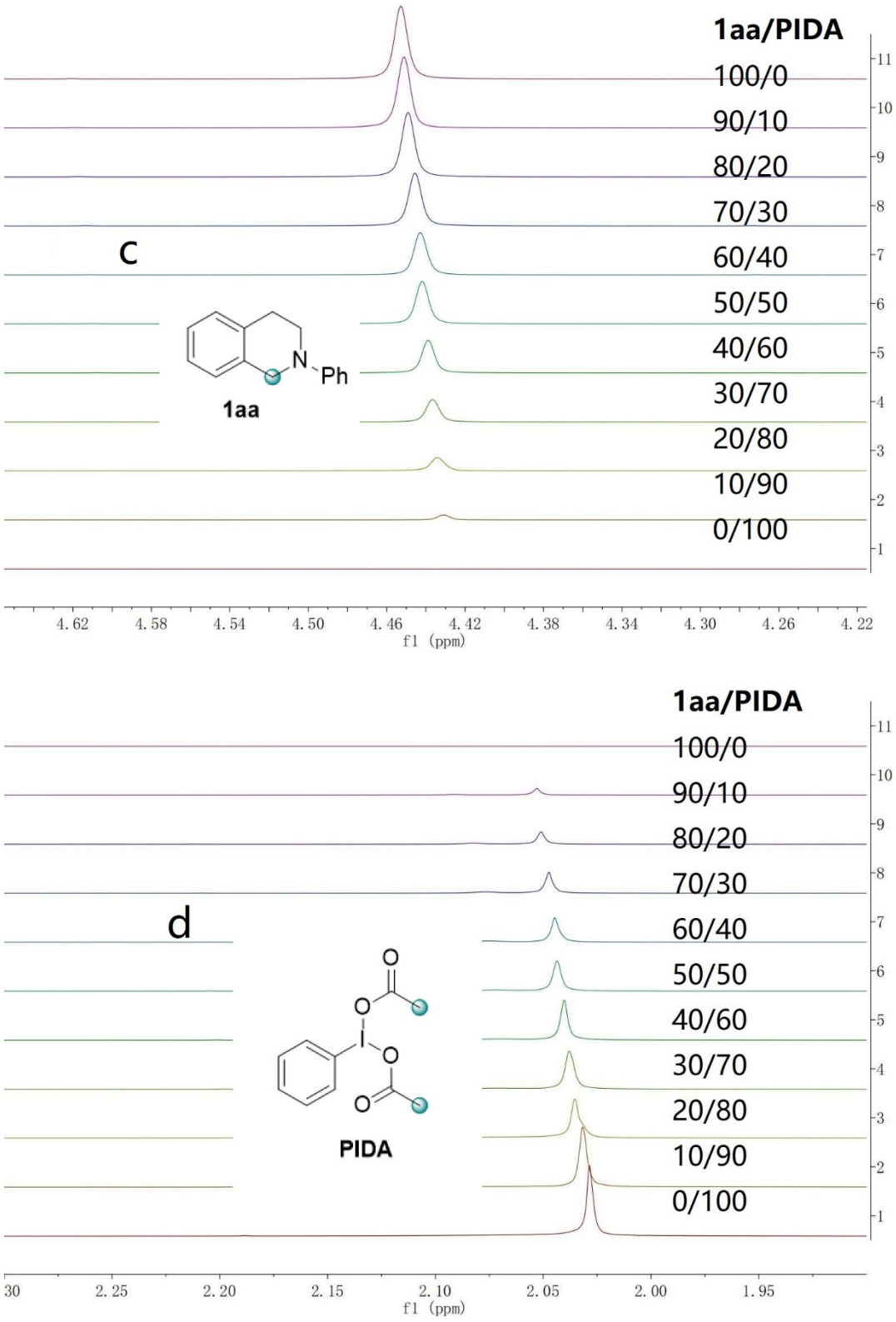


Figure S6: NMR titration of **1aa** with **PIDA** in $CDCl_3$.

6.5 Quantum yield measurements

Purple LED (λ_{max} = 390 nm) was used for measurement of quantum yield.

Determination of the light intensity at 390 nm

According to the procedure of Guo[8], the photon flux of the LED (λ_{max} = 390 nm) was determined by standard ferrioxalate actinometry. A 0.15 M solution of ferrioxalate was prepared by dissolving potassium ferrioxalate hydrate (0.737 g) in H_2SO_4 (10 mL of a 0.05 M solution). A buffered solution of 1,10-phenanthroline was prepared by dissolving 1,10-phenanthroline (10.0 mg) and sodium acetate (2.26 g) in H_2SO_4 (10.0 mL of a 0.5 M solution). Both solutions were stored in the dark. To determine the photon flux of the LED, the ferrioxalate solution (2.0 mL) was placed in a cuvette and irradiated for 60 seconds at λ_{max} = 390 nm. After irradiation, the phenanthroline solution (0.35 mL) was added to the cuvette and the mixture was allowed to stir in the dark for 1 h to allow the ferrous ions to completely coordinate to the phenanthroline. The absorbance of the solution was measured at 510 nm. A nonirradiated sample was also prepared and the absorbance at 510 nm was measured.

The average of the absorption of the irradiated and non-irradiated samples was determined and used to calculate the conversion applying the following equation

$$mol\ Fe^{2+} = \frac{V \times \Delta A}{l \times \varepsilon} = \frac{(0.0020\ L) \times 1.036}{(1\ cm) \times (11100\ \frac{L}{mol} cm)} = 1.87 \times 10^{-7}\ mol$$

where V (0.0020 L) is the quantitatively absorbed solution of potassium iron oxalate 1,10-phenanthroline complex, ΔA is the difference in absorbance at 510 nm between the irradiated and non-irradiated solutions (average of three replicates), l is the path length (1.000 cm), and ε is the molar absorptivity at 510 nm (11,100 L mol^{-1} cm^{-1}). The photon flux can be calculated based on the following equation:

$$photo\ flux = \frac{mol\ Fe^{2+}}{\emptyset \times t \times f} = \frac{1.87 \times 10^{-7}\ mol}{1.13 \times 60 \times (1 - 10^{-A})} = 2.76 \times 10^{-9}\ einstein/s$$

Where Φ is the quantum yield for the ferrioxalate actinometer (1.13 for a 0.15 M solution at λ= 390 nm),[9] t is the time (60 s), and f is the fraction of light absorbed at λ = 390 nm (A>>3, $f \approx 1$). The photon flux was calculated to be $2.76 \times 10^{-9}\ einstein/s$.

The reaction mixture (standard condition) was stirred and irradiated by blue LED (λ_{max} = 390 nm) for 1 h. The yield of product was determined by ^{1}H NMR analysis using 1,3,5-trimethoxybenzene as an internal standard. The yield of **2aa** was determined to be 37%. The photon flux is $2.76 \times 10^{-9}\ einstein/s$, t is the reaction time (1 h). An absorption spectrum of the reaction gave an absorbance value of 3.9548 at 390 nm.

$$\emptyset = \frac{mol\ product}{photo\ flux \times t \times f} = \frac{7.4 \times 10^{-5}}{2.76 \times 10^{-9} \times 3600 \times (1 - 10^{-3.9548})} = 7.45$$

The reaction quantum yield (Φ) was determined to be $\Phi = 7.45$.

6.6 Light ON-OFF Experiment

A mixture of **1aa** (0.2 mmol, 1.0 eq.) and cesium carbonate (Cs_2CO_3, 0.3 mmol, 1.5 eq.) were mixed in a 25mL Schlenk tube containing a magnetic stirring bar, then adding dry DMF (2.0 mL, 0.1 M) to the tube to dissolve the substrate and finally adding PIDA (0.1 eq.). After purging the tube three times with vacuum and two times with nitrogen, oxygen atmosphere was incorporated through an O_2 balloon. The resulting mixture was stirred at rt with the irradiation of a 10 W blue LED light. The light was switched ON and OFF alternatively for a period of 1 hours and the yield of product was determined by ^{1}H NMR analysis using 1,3,5-trimethoxybenzene as an internal standard.

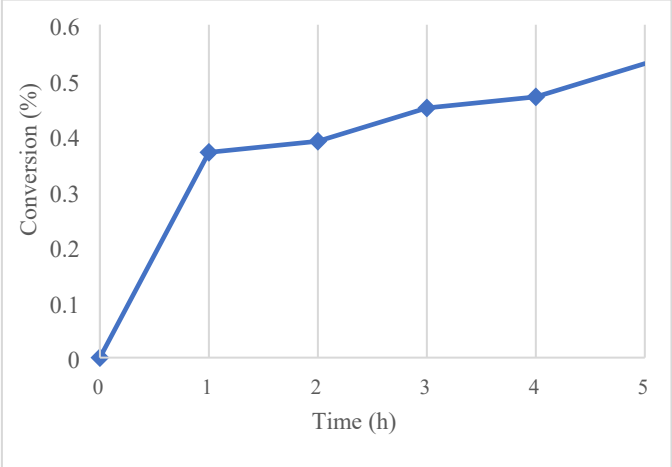
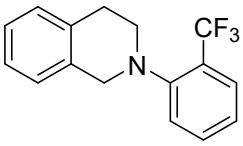


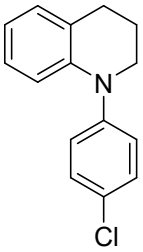
Figure S7: The on-off-light experiment.

7. Characterization Data

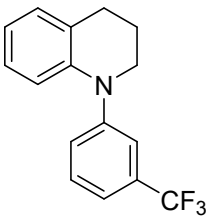
2-(2-(trifluoromethyl)phenyl)-1,2,3,4-tetrahydroisoquinoline (1am): white solid, TLC R_f = 0.30 (ethyl acetate/petroleum ether = 1/50); m.p. 63-65 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.70 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.58 (td, *J* = 7.7, 1.5 Hz, 1H), 7.46 (d, *J* = 8.0 Hz, 1H), 7.32 – 7.25 (m, 1H), 7.23 – 7.16 (m, 3H), 7.08 (dd, *J* = 7.4, 1.9 Hz, 1H), 4.19 (s, 2H), 3.26 (t, *J* = 5.7 Hz, 2H), 3.04 (t, *J* = 5.8 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 152.64, 135.13, 134.52, 132.88, 129.02, 127.74, 127.56 – 127.26 (m), 126.88, 126.32 (d, *J* = 8.7 Hz), 125.77, 125.56, 124.79, 124.12, 122.84, 55.62, 52.01, 29.76. ^{19}F NMR (377 MHz, Chloroform-*d*) δ -60.33. IR(ATR): ν = 2778, 2812, 1495, 1453, 1311, 1107, 930, 744 cm^{-1}. HRMS (ESI) *m/z* Calculated for $C_{16}H_{14}F_3N$ $[M+H]^+$ 278.1151, Found: 278.11465.



1-(4-chlorophenyl)-1,2,3,4-tetrahydroquinoline (1bc): light yellow solid, TLC R_f = 0.30 (ethyl acetate/petroleum ether = 1/100); m.p. 90-92 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.43 – 7.30 (m, 2H), 7.26 – 7.16 (m, 2H), 7.11 (d, *J* = 7.3 Hz, 1H), 7.01 (t, *J* = 7.6 Hz, 1H), 6.87 – 6.72 (m, 2H), 3.65 (t, *J* = 6.0, 5.4 Hz, 2H), 2.89 (t, *J* = 6.3 Hz, 2H), 2.09 (p, *J* = 5.6 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 147.02, 143.92, 129.51, 129.44, 128.28, 126.49, 125.49, 125.21, 118.98, 116.13, 50.78, 27.70, 22.78. IR(ATR): ν = 3029, 2946, 2926, 2843, 1489, 1455, 1301, 1234, 1092, 822, 751, 735, 702 cm^{-1}. HRMS (ESI) *m/z* Calculated for $C_{15}H_{14}N$ $[M+H]^+$ 244.0888, Found: 244.08868.

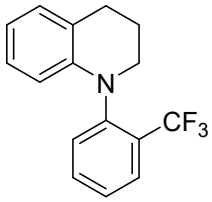


1-(3-(trifluoromethyl)phenyl)-1,2,3,4-tetrahydroquinoline(1bh): colorless

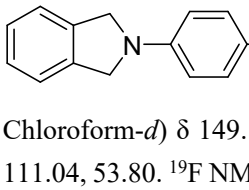


oil, R_f = 0.30 (ethyl acetate/petroleum ether = 1/100); ^{1}H NMR (400 MHz, Chloroform-d) δ 7.50 (s, 1H), 7.44 (d, J = 4.9 Hz, 2H), 7.31 (t, J = 3.9 Hz, 1H), 7.13 (d, J = 7.4 Hz, 1H), 7.03 (t, J = 7.7 Hz, 1H), 6.90 (d, J = 8.1 Hz, 1H), 6.84 (t, J = 7.3 Hz, 1H), 3.69 (t, J = 6.1, 5.7 Hz, 2H), 2.88 (t, J = 6.4 Hz, 2H), 2.08 (p, J = 6.3 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 148.83, 143.19, 131.89, 131.58, 129.63 (d, *J* = 18.3 Hz), 126.52 , 126.28 (d, *J* = 6.7 Hz), 119.81, 119.68 (q, *J* = 3.8 Hz), 119.13 (q, *J* = 3.9 Hz), 116.74, 50.34, 27.58, 22.98. ^{19}F NMR (377 MHz, Chloroform-*d*) δ -62.67. IR(ATR): ν = 3032, 2945, 2842, 1577, 1492, 1442, 1334, 1162, 1116, 1068, 792, 747 , 698 cm^{-1}. HRMS (ESI) *m/z* Calculated for $C_{16}H_{14}F_3N$ $[M+H]^+$ 278.1151, Found: 278.11490.

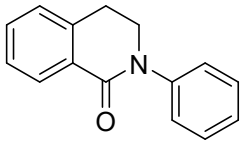
1-(2-(trifluoromethyl)phenyl)-1,2,3,4-tetrahydroquinoline (1bj): colorless oil, R_f = 0.30 (ethyl acetate/petroleum ether = 1/100); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.86 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.69 (td, *J* = 7.7, 1.6 Hz, 1H), 7.54 – 7.40 (m, 2H), 7.18 – 7.07 (m, 1H), 7.02 – 6.87 (m, 1H), 6.74 (td, *J* = 7.4, 1.1 Hz, 1H), 6.07 (d, *J* = 8.2 Hz, 1H), 3.71 – 3.41 (m, 2H), 3.00 (dt, *J* = 28.5, 7.0 Hz, 2H), 2.34 – 2.03 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 147.36, 146.02, 133.98, 131.50, 130.41 (q, *J* = 29.7 Hz), 129.31, 127.62 (q, *J* = 5.2 Hz), 127.01 , 126.52, 125.09, 122.68, 122.37, 117.56, 115.03, 52.27, 27.78, 22.05. ^{19}F NMR (377 MHz, Chloroform-*d*) δ -61.76. IR(ATR): ν = 3065, 3035, 2932, 2842, 1492, 1451, 1312, 1128, 1107, 1055, 1033, 765, 744 cm^{-1}. HRMS (ESI) *m/z* Calculated for $C_{16}H_{14}F_3N$ $[M+H]^+$ 278.1151, Found: 278.11505.



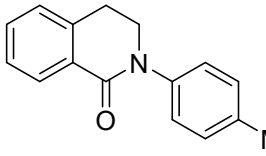
2-(4-(trifluoromethyl)phenyl)isoindoline (1ce): light yellow solid, R_f = 0.25 (ethyl acetate/petroleum ether = 1/50); m.p. 200-201 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.56 (d, *J* = 8.6 Hz, 2H), 7.42 – 7.32 (m, 4H), 6.71 (d, *J* = 8.6 Hz, 2H), 4.71 (s, 4H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.11, 137.15, 127.51, 126.67 (q, *J* = 3.9 Hz), 123.89, 122.69, 118.03, 117.70, 111.04, 53.80. ^{19}F NMR (377 MHz, Chloroform-*d*) δ -60.73. IR(ATR): ν = 2868, 2836, 1611, 1382, 1322, 1151, 1100, 1090, 1066, 814, 750 cm^{-1}. HRMS (ESI) *m/z* Calculated for $C_{15}H_{12}F_3N$ $[M+H]^+$ 264.0995, Found:264.09945.



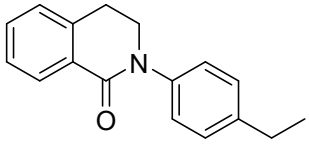
2-phenyl-3,4-dihydroisoquinolin-1(*2H*)-one (2aa)[10]: white solid, 81% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.20 (d, *J* = 7.7 Hz, 1H), 7.49 (td, *J* = 7.4, 1.2 Hz, 1H), 7.41 (q, *J* = 7.2 Hz, 5H), 7.28 (t, *J* = 7.1 Hz, 2H), 4.00 (t, *J* = 6.6 Hz, 2H), 3.16 (t, *J* = 6.5 Hz, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.21, 143.17, 138.39, 132.07, 129.77, 128.94, 128.75, 127.21, 127.03, 126.25, 125.35, 49.44, 28.65.



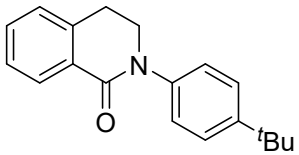
2-(*p*-tolyl)-3,4-dihydroisoquinolin-1*(2H)*-one (2ab)[6]: white solid, 75% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-d) δ 8.19 (d, J = 7.6 Hz, 1H), 7.52 – 7.45 (m, 1H), 7.40 (t, J = 7.4 Hz, 1H), 7.33 – 7.21 (m, 5H), 3.98 (t, J = 6.5 Hz, 2H), 3.15 (t, J = 6.4 Hz, 2H), 2.40 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-d) δ 164.24, 140.63, 138.37, 136.03, 131.96, 129.85, 129.56, 128.72, 127.16, 126.98, 125.23, 49.53, 28.66, 21.09.



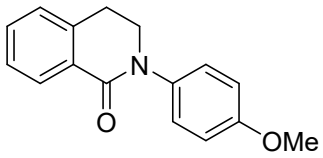
2-(4-ethylphenyl)-3,4-dihydroisoquinolin-1(*2H*)-one (2ac) : unknown compound, white solid, 72% yield, R_f = 0.25 (ethyl acetate/petroleum ether = 1/5); m.p. 126-127 °C ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.19 (d, *J* = 7.5 Hz, 1H), 7.48 (td, *J* = 7.4, 1.3 Hz, 1H), 7.40 (t, *J* = 7.4 Hz, 1H), 7.33 (d, *J* = 8.4 Hz, 2H), 7.27 (dd, *J* = 8.0, 3.2 Hz, 3H), 3.99 (t, *J* = 6.5 Hz, 2H), 3.15 (t, *J* = 6.5 Hz, 2H), 2.70 (q, *J* = 7.6 Hz, 2H), 1.28 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.25, 142.34, 140.76, 138.36, 131.97, 129.85, 128.74, 128.38, 127.17, 126.96, 125.24, 49.53, 28.66, 28.50, 15.62. IR(ATR): ν = 2968, 1656, 1510, 1399, 1322, 1225, 824, 760, 747 cm^{-1}. HRMS (ESI) *m/z* Calculated for $C_{17}H_{17}NO$ $[M+H]^+$ 252.1383, Found: 252.13781.



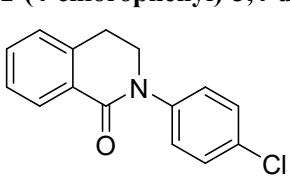
2-(4-(tert-butyl)phenyl)-3,4-dihydroisoquinolin-1(*2H*)-one (2ad)[6]: white solid, 78% yield, R_f = 0.25 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.19 (d, *J* = 7.6 Hz, 1H), 7.52 – 7.43 (m, 3H), 7.40 (t, *J* = 7.5 Hz, 1H), 7.37 – 7.31 (m, 2H), 7.26 (d, *J* = 7.4 Hz, 1H), 4.01 (t, *J* = 6.5 Hz, 2H), 3.15 (t, *J* = 6.4 Hz, 2H), 1.37 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.23, 149.08, 140.46, 138.34, 131.97, 129.87, 128.75, 127.18, 126.94, 125.86, 124.75, 49.43, 34.55, 31.40, 28.66.



2-(4-methoxyphenyl)-3,4-dihydroisoquinolin-1(*2H*)-one (2ae)[10]: white solid, 68% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/2); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.17 (d, *J* = 7.7 Hz, 1H), 7.48 (t, *J* = 7.5 Hz, 1H), 7.39 (t, *J* = 7.6 Hz, 1H), 7.32 (d, *J* = 8.5 Hz, 2H), 7.25 (d, *J* = 7.5 Hz, 1H), 6.96 (d, *J* = 8.4 Hz, 2H), 3.96 (t, *J* = 6.4 Hz, 2H), 3.84 (s, 3H), 3.15 (t, *J* = 6.3 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.39, 157.84, 138.33, 136.13, 131.94, 129.79, 128.69, 127.16, 126.96, 126.68, 114.26, 55.53, 49.72, 28.66.



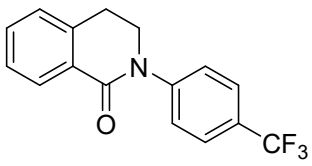
2-(4-chlorophenyl)-3,4-dihydroisoquinolin-1(*2H*)-one (2af)[10]: white solid, 79% yield, R_f = 0.35 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.14 (d, *J* = 7.7 Hz, 1H), 7.47 (t, *J* = 7.3 Hz, 1H), 7.42 – 7.30 (m, 5H), 7.24 (d, *J* = 7.5 Hz, 1H), 3.96 (t, *J* = 6.4 Hz, 2H), 3.14 (t, *J* = 6.4 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.23, 141.59, 138.27, 132.27, 131.57, 129.44, 128.99, 128.78, 127.30, 127.05, 126.58, 49.33, 28.56.

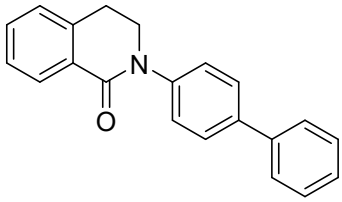


2-(4-fluorophenyl)-3,4-dihydroisoquinolin-1(*2H*)-one (2ag)[6]: white solid, 79% yield, R_f = 0.35 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.17 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.50 (td, *J* = 7.5, 1.5 Hz, 1H), 7.46 – 7.32 (m, 3H), 7.27 (d, *J* = 7.4 Hz, 1H), 7.12 (t, *J* = 8.6 Hz, 2H), 3.99 (t,

J = 6.5 Hz, 2H), 3.17 (t, *J* = 6.4 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.36, 161.92, 159.48, 139.11, 109.08, 138.30, 132.17, 129.52, 128.72, 127.26, 127.16, 127.08, 127.04, 115.86, 115.63, 49.58, 28.60. ^{19}F NMR (377 MHz, Chloroform-*d*) δ -115.69.

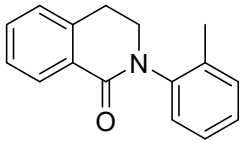
2-(4-(trifluoromethyl)phenyl)-3,4-dihydroisoquinolin-1*(2H)*-one (2ah)[6]: colorless oil, 82% yield, R_f = 0.40 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.18 (d, *J* = 7.7 Hz, 1H), 7.68 (d, *J* = 8.1 Hz, 2H), 7.53 (dd, *J* = 19.7, 7.8 Hz, 3H), 7.41 (t, *J* = 7.5 Hz, 1H), 7.28 (d, *J* = 7.3 Hz, 1H), 4.04 (t, *J* = 5.9 Hz, 2H), 3.18 (t, *J* = 6.1 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.26, 146.10, 138.33, 132.51, 129.23, 128.84, 127.93, 127.61, 127.61, 127.37, 127.14, 125.92 (q, *J* = 3.8, 3.3 Hz), 125.40, 125.13, 122.70, 120.00, 49.09, 28.47. ^{19}F NMR (377 MHz, Chloroform-*d*) δ -62.35.



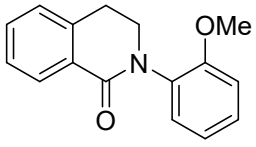


2-([1,1'-biphenyl]-4-yl)-3,4-dihydroisoquinolin-1(*2H*)-one (2ai)[11]: white solid, 76% yield, R_f = 0.40 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.21 (d, *J* = 7.2 Hz, 1H), 7.65 (dd, *J* = 14.6, 7.8 Hz, 4H), 7.48 (dd, *J* = 14.7, 8.2 Hz, 5H), 7.40 (dt, *J* = 14.7, 7.4 Hz, 2H), 7.28 (d, *J* = 7.4 Hz, 1H), 4.07 (t, *J* = 6.5 Hz, 2H), 3.20 (t, *J* = 6.4 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.30, 142.31, 140.62, 139.14, 138.35, 132.13, 129.73, 128.83, 127.64, 127.35, 127.27, 127.13, 127.01, 125.52, 49.42, 28.65.

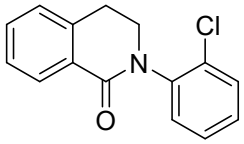
2-(*o*-tolyl)-3,4-dihydroisoquinolin-1(*2H*)-one (2aj)[6]: colorless oil, 65% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/5; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.21 (d, *J* = 7.6 Hz, 1H), 7.50 (t, *J* = 7.2 Hz, 1H), 7.41 (t, *J* = 7.5 Hz, 1H), 7.36 – 7.32 (m, 1H), 7.31 – 7.26 (m, 3H), 7.26 – 7.20 (m, 1H), 3.97 (td, *J* = 11.3, 10.4, 4.6 Hz, 1H), 3.74 (dt, *J* = 11.9, 5.6 Hz, 1H), 3.25 (ddd, *J* = 15.3, 10.1, 5.1 Hz, 1H), 3.10 (dt, *J* = 15.7, 5.2 Hz, 1H), 2.33 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 163.82, 142.26, 138.52, 135.41, 132.03, 131.07, 129.73, 128.64, 127.68, 127.19, 127.15, 127.10, 126.74, 49.42, 28.82, 18.25.



2-(2-methoxyphenyl)-3,4-dihydroisoquinolin-1(*2H*)-one (2ak)[12]: white solid, 70% yield, R_f = 0.35 (ethyl acetate/petroleum ether = 1/2); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.18 (d, *J* = 7.6 Hz, 1H), 7.48 (t, *J* = 7.4 Hz, 1H), 7.39 (t, *J* = 7.4 Hz, 1H), 7.33 (t, *J* = 7.4 Hz, 2H), 7.27 (d, *J* = 7.4 Hz, 1H), 7.03 (t, *J* = 7.4 Hz, 2H), 3.86 (s, 5H), 3.17 (t, *J* = 6.6 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.25, 154.76, 138.92, 131.83, 131.59, 129.86, 129.08, 128.68, 128.61, 127.04, 126.99, 120.86, 112.19, 55.76, 49.15, 28.70.

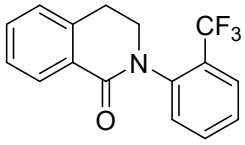


2-(2-chlorophenyl)-3,4-dihydroisoquinolin-1(*2H*)-one (2al)[12]: white solid, 76% yield, R_f = 0.20 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.19 (d, *J* = 7.5 Hz, 1H), 7.50 (ddd, *J* = 14.4, 7.6, 1.2 Hz, 2H), 7.44 – 7.24

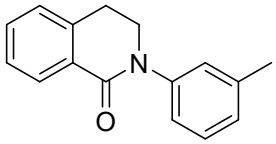


(m, 5H), 3.87 (qt, *J* = 12.1, 5.2 Hz, 2H), 3.32 (ddd, *J* = 14.9, 8.9, 5.7 Hz, 1H), 3.10 (dt, *J* = 15.7, 5.5 Hz, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.05, 140.50, 138.72, 132.23, 132.20, 130.41, 129.60, 129.31, 128.91, 128.70, 127.88, 127.19, 127.16, 49.09, 28.61.

2-(2-(trifluoromethyl)phenyl)-3,4-dihydroisoquinolin-1(*2H*)-one (2am): unknown compound，

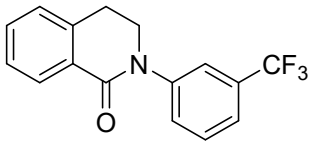


white solid, 73% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/5); m.p. 93-96 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.17 (dd, *J* = 7.7, 1.4 Hz, 1H), 7.78 (dd, *J* = 7.9, 1.4 Hz, 1H), 7.65 (td, *J* = 7.7, 1.5 Hz, 1H), 7.49 (td, *J* = 7.5, 1.5 Hz, 2H), 7.39 (d, *J* = 7.5 Hz, 2H), 7.27 (d, *J* = 7.6 Hz, 1H), 3.96 (td, *J* = 11.8, 4.2 Hz, 1H), 3.74 (dt, *J* = 12.0, 5.0 Hz, 1H), 3.36 (ddd, *J* = 16.7, 11.7, 5.5 Hz, 1H), 2.99 (dt, *J* = 15.9, 4.4 Hz, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 165.01, 141.40, 138.76, 133.47, 132.32, 130.19, 129.02, 128.62, 128.50, 128.31, 128.20, 127.42, 127.37, 127.32, 127.29, 127.14, 124.91, 122.19, 50.17, 28.19. ^{19}F NMR (377 MHz, Chloroform-*d*) δ -61.39. IR(ATR): ν = 3047, 3023, 2957, 2936, 2902, 2814, 1602, 1495, 1454, 1312, 1107, 1035, 930, 762, 744 cm^{-1}. HRMS (ESI) *m/z* Calculated for $C_{16}H_{12}F_3NO$ $[M+H]^+$ 292.0944, Found: 292.09380.



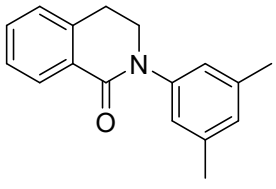
2-(*m*-tolyl)-3,4-dihydroisoquinolin-1(*2H*)-one (2an)[6]: colorless oil, 71% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/5; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.20 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.47 (td, *J* = 7.5, 1.6 Hz, 1H), 7.38 (td, *J* = 7.6, 1.3 Hz, 1H), 7.31 (t, *J* = 7.7 Hz, 1H), 7.27 – 7.21 (m, 2H), 7.21 – 7.16 (m, 1H), 7.09 (d, *J* = 7.6 Hz, 1H), 3.98 – 3.89 (t, *J* = 6.2 Hz, 2H), 3.11 (t, *J* = 6.5 Hz, 2H), 2.40 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.19, 143.15, 138.75, 138.47, 132.05, 129.82, 128.81, 128.66, 127.16, 127.13, 127.08, 126.23, 122.37, 49.51, 28.62, 21.50.

2-(3-(trifluoromethyl)phenyl)-3,4-dihydroisoquinolin-1(*2H*)-one (2ao)[6]: white solid, 83% yield,



R_f = 0.65 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.17 (d, *J* = 7.7 Hz, 1H), 7.71 (s, 1H), 7.61 (d, *J* = 7.3 Hz, 1H), 7.51 (p, *J* = 7.5 Hz, 3H), 7.39 (t, *J* = 7.5 Hz, 1H), 7.27 (d, *J* = 7.6 Hz, 1H), 4.01 (t, *J* = 6.4 Hz, 2H), 3.16 (t, *J* = 6.3 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.31, 143.52, 138.39, 132.48, 131.14 (q, *J* = 32.7, 32.0 Hz), 129.41, 129.20, 128.72, 128.56, 127.99, 127.32, 127.20, 125.28, 122.74 (q, *J* = 3.7 Hz), 122.57, 122.04 (q, *J* = 3.9 Hz), 119.86, 49.17, 28.44. ^{19}F NMR (377 MHz, Chloroform-*d*) δ -62.55. IR(ATR): ν = 2966, 2923, 2873, 1656, 1403, 1324, 1308, 1162, 1114, 1065, 895, 796, 694 cm^{-1}.

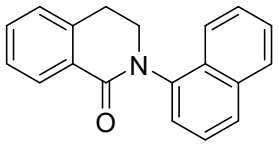
2-(3,5-dimethylphenyl)-3,4-dihydroisoquinolin-1(*2H*)-one (2ap): unknown compound, white



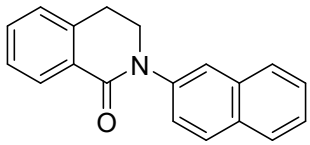
solid, 61% yield, R_f = 0.25 (ethyl acetate/petroleum ether = 1/5); m.p. 107-108 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.19 (dd, *J* = 7.7, 1.4 Hz, 1H), 7.48 (td, *J* = 7.4, 1.5 Hz, 1H), 7.40 (td, *J* = 7.6, 1.3 Hz, 1H), 7.26 (dd, *J* = 7.5, 1.2 Hz, 1H), 7.03 (d, *J* = 1.6 Hz, 2H), 6.93 (s, 1H), 4.01 – 3.93 (m, 2H), 3.15 (t, *J* = 6.5 Hz, 2H), 2.37 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.25, 143.05, 138.67, 138.34, 131.94, 129.86, 128.74, 128.20, 127.17,

126.94, 123.26, 49.61, 28.68, 21.35. IR(ATR): ν = 2988, 2972, 2901, 1646, 1464, 1406, 1256, 1232, 1066, 1051, 739, 728, 697 cm^{-1}. HRMS (ESI) *m/z* Calculated for $C_{17}H_{17}NO$ $[M+H]^+$ 252.1383, Found: 252.13799.

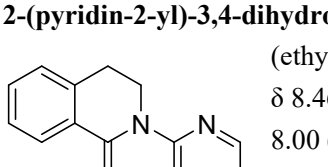
2-(naphthalen-1-yl)-3,4-dihydroisoquinolin-1(*2H*)-one (2aq)[12]: white solid, 78% yield, R_f = 0.25 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.20 (dt, *J* = 7.8, 1.8 Hz, 1H), 7.98 – 7.82 (m, 3H), 7.57 – 7.48 (m, 4H), 7.48 – 7.37 (m, 2H), 7.30 (d, *J* = 7.5 Hz, 1H), 4.07 (ddd, *J* = 12.1, 10.4, 4.6 Hz, 1H), 3.89 (dt, *J* = 12.3, 5.6 Hz, 1H), 3.39 (ddd, *J* = 15.8, 10.4, 5.3 Hz, 1H), 3.15 (dt, *J* = 15.9, 5.2 Hz, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.82, 140.17, 138.55, 134.69, 132.20, 129.76, 129.63, 128.88, 128.62, 128.20, 127.31, 127.19, 126.89, 126.35, 125.89, 124.54, 122.90, 50.20, 28.92.



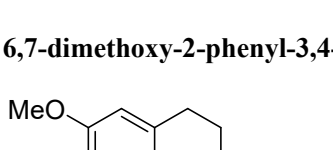
2-(naphthalen-2-yl)-3,4-dihydroisoquinolin-1(*2H*)-one (2ar)[12]: white solid, 81% yield, R_f = 0.20 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.25 (d, *J* = 7.6 Hz, 1H), 7.95 – 7.75 (m, 4H), 7.62 (d, *J* = 8.6 Hz, 1H), 7.57 – 7.47 (m, 3H), 7.43 (t, *J* = 7.5 Hz, 1H), 7.29 (d, *J* = 7.3 Hz, 1H), 4.11 (t, *J* = 6.3 Hz, 2H), 3.20 (t, *J* = 6.3 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.45, 140.93, 138.44, 133.64, 132.18, 131.78, 129.76, 128.80, 128.54, 127.82, 127.70, 127.27, 127.09, 126.34, 125.92, 124.69, 122.62, 49.69, 28.73.



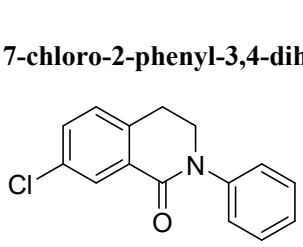
2-(pyridin-2-yl)-3,4-dihydroisoquinolin-1(*2H*)-one (2as)[12]: white solid, 82% yield, R_f = 0.20 (ethyl acetate/petroleum ether = 1/2); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.46 (ddd, *J* = 4.7, 1.9, 0.9 Hz, 1H), 8.20 (dd, *J* = 7.8, 1.4 Hz, 1H), 8.07 – 8.00 (m, 1H), 7.73 (ddd, *J* = 8.4, 7.3, 2.0 Hz, 1H), 7.50 (td, *J* = 7.5, 1.4 Hz, 1H), 7.40 (t, *J* = 7.6 Hz, 1H), 7.28 (d, *J* = 7.8 Hz, 1H), 7.11 (ddd, *J* = 7.3, 4.9, 1.1 Hz, 1H), 4.32 (dd, *J* = 7.0, 5.9 Hz, 2H), 3.13 (t, *J* = 6.4 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.79, 154.11, 147.66, 139.18, 136.93, 132.43, 129.79, 128.93, 127.13 (d, *J* = 9.5 Hz), 120.25, 45.73, 28.52.



6,7-dimethoxy-2-phenyl-3,4-dihydroisoquinolin-1(*2H*)-one (2at)[12]: whtie solid, 69% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/2); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.71 – 7.63 (m, 1H), 7.40 (td, *J* = 6.6, 3.1 Hz, 4H), 7.28 – 7.19 (m, 1H), 6.75 – 6.65 (m, 1H), 4.08 – 3.88 (m, 8H), 3.16 – 2.99 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.20, 152.16, 148.10, 143.32, 132.17, 128.83, 126.03, 125.29, 122.20, 110.85, 109.27, 56.09, 49.61, 28.24.

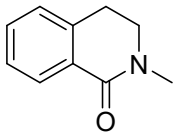


7-chloro-2-phenyl-3,4-dihydroisoquinolin-1(*2H*)-one (2au)[13]: yellow solid, 78% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.15 (t, *J* = 2.1 Hz, 1H), 7.45 (dt, *J* = 8.8, 3.3 Hz, 3H),

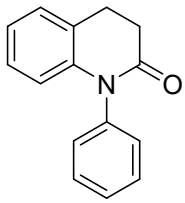


7.42 – 7.37 (m, 2H), 7.33 – 7.26 (m, 1H), 7.22 (dd, *J* = 8.1, 2.2 Hz, 1H), 4.01 (t, *J* = 5.5 Hz, 2H), 3.14 (t, *J* = 5.5 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 163.04, 142.80, 136.57, 133.30, 132.01, 131.29, 129.05, 128.70, 128.47, 126.54, 125.31, 49.35, 28.10.

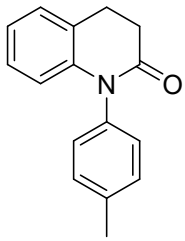
2-methyl-3,4-dihydroisoquinolin-1(*2H*)-one (2av)[11]: colorless oil, 72% yield, R_f = 0.45 (ethyl acetate/petroleum ether/triethylamine = 2/2/1); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.02 (dd, *J* = 7.7, 1.4 Hz, 1H), 7.35 (td, *J* = 7.4, 1.5 Hz, 1H), 7.27 (td, *J* = 7.5, 1.3 Hz, 1H), 7.11 (d, *J* = 7.4 Hz, 1H), 3.50 (t, *J* = 6.7 Hz, 2H), 3.10 (s, 3H), 2.94 (t, *J* = 6.7 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.75, 137.99, 131.50, 129.26, 127.95, 126.90, 48.05, 35.11, 27.80.



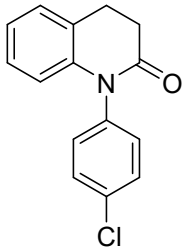
1-phenyl-3,4-dihydroquinolin-2(*1H*)-one (2ba)[13]: colorless oil, 71% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/10); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.54 (t, *J* = 7.6 Hz, 2H), 7.45 (t, *J* = 7.4 Hz, 1H), 7.26 (dd, *J* = 12.0, 7.4 Hz, 3H), 7.05 (dt, *J* = 22.2, 7.1 Hz, 2H), 6.39 (d, *J* = 7.9 Hz, 1H), 3.11 (t, *J* = 6.8 Hz, 2H), 2.96 – 2.80 (t, *J* = 6.7 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 170.25, 141.70, 138.49, 129.88, 129.06, 128.21, 127.81, 127.16, 125.71, 123.00, 117.06, 32.27, 25.70.



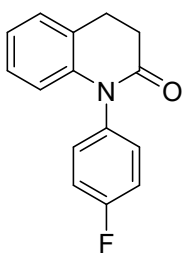
1-(*p*-tolyl)-3,4-dihydroquinolin-2(*1H*)-one (2bb)[13]: colorless oil, 46% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/10); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.34 (d, *J* = 8.0 Hz, 2H), 7.23 (d, *J* = 7.1 Hz, 1H), 7.15 (d, *J* = 8.2 Hz, 2H), 7.04 (dtd, *J* = 24.3, 7.5, 1.4 Hz, 2H), 6.42 (d, *J* = 8.2 Hz, 1H), 3.09 (dd, *J* = 8.7, 6.1 Hz, 2H), 2.89 – 2.82 (m, 2H), 2.45 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 170.33, 141.79, 138.10, 135.74, 130.58, 128.73, 127.76, 127.11, 125.63, 122.88, 117.01, 32.25, 25.69, 21.26.



1-(4-chlorophenyl)-3,4-dihydroquinolin-2(*1H*)-one (2bc): unknown compound, yellow solid, 65% yield, TLC R_f = 0.50 (ethyl acetate/petroleum ether = 1/10); m.p. 179-181 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.56 – 7.46 (m, 2H), 7.31 – 7.17 (m, 3H), 7.06 (dtd, *J* = 22.8, 7.5, 1.4 Hz, 2H), 6.40 (d, *J* = 8.0 Hz, 1H), 3.14 – 3.05 (m, 2H), 2.85 (dd, *J* = 8.4, 6.2 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 170.22, 141.35, 136.97, 134.00, 130.45, 130.12, 127.95, 127.25, 125.79, 123.26, 116.92, 32.21, 25.61. IR(ATR): ν = 3050, 3026, 2924, 2854, 1671, 1487, 1457, 1357, 1332, 1265, 1085, 1014, 844, 829, 757 cm^{-1}. HRMS (ESI) *m/z* calculated for $C_{15}H_{12}ClNO$ $[M+H]^+$ 258.0680, found 258.06786.

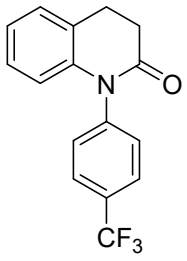


1-(4-fluorophenyl)-3,4-dihydroquinolin-2(*1H*)-one (2bd)[13]: yellow solid, 66% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/10); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.29 – 7.17 (m, 5H), 7.06 (dtd, *J* = 24.6, 7.5, 1.5 Hz, 2H), 6.39 (dt, *J* = 8.0, 1.8

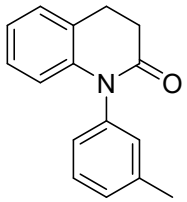


Hz, 1H), 3.10 (dd, *J* = 8.7, 6.1 Hz, 2H), 2.86 (dd, *J* = 8.7, 6.1 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 170.36, 163.32, 160,85, 141.56, 134.28, 130.83, 130.74, 127.92, 127.23, 125.71, 123.16, 116.97, 116.86, 116.75, 32.20, 25.62. ^{19}F NMR (377 MHz, Chloroform-*d*) δ -113.35.

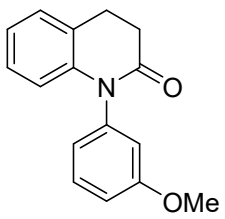
1-(4-(trifluoromethyl)phenyl)-3,4-dihydroquinolin-2(*1H*)-one (2be): unknown compound, white solid, 68% yield, R_f = 0.25 (ethyl acetate/petroleum ether = 1/10); m.p. 182-183 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.80 (d, *J* = 8.2 Hz, 2H), 7.43 (d, *J* = 8.2 Hz, 2H), 7.27 (dd, *J* = 7.4, 1.8 Hz, 1H), 7.15 – 7.01 (m, 2H), 6.37 (d, *J* = 8.3 Hz, 1H), 3.12 (dd, *J* = 8.6, 6.0 Hz, 2H), 2.87 (dd, *J* = 8.6, 6.0 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 170.15, 141.87, 141.12, 130.38, 130.05, 129.62, 128.04, 127.32, 127.11 – 126.80 (m), 125.99, 125.24, 123.50, 122.53, 117.02, 32.24, 25.59. ^{19}F NMR (377 MHz, Chloroform-*d*) δ -62.56. IR(ATR): ν = 3047, 2927, 2855, 1672, 1323, 1168, 1160, 1108, 1065, 1020, 854, 764 cm^{-1}. HRMS (ESI) *m/z* Calculated for $C_{16}H_{12}F_3NO$ $[M+H]^+$ 292.0944. Found: 292.09411.



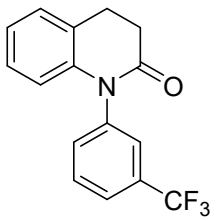
1-(*m*-tolyl)-3,4-dihydroquinolin-2(*1H*)-one (2bf)[13]: colorless oil, 59% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/10); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.43 (t, *J* = 7.7 Hz, 1H), 7.34 – 7.18 (m, 2H), 7.08 (dd, *J* = 7.8, 4.9 Hz, 3H), 7.01 (td, *J* = 7.4, 1.2 Hz, 1H), 6.46 – 6.33 (m, 1H), 3.16 – 3.03 (m, 2H), 2.86 (dd, *J* = 8.5, 6.2 Hz, 2H), 2.43 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 170.29, 141.71, 139.91, 138.34, 129.67, 129.57, 129.08, 127.77, 127.14, 125.99, 125.62, 122.94, 117.09, 32.25, 25.70, 21.40.



1-(3-methoxyphenyl)-3,4-dihydroquinolin-2(*1H*)-one (2bg): unknown compound, write solid, 51% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/5); m.p. 88-91 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.44 (t, *J* = 8.1 Hz, 1H), 7.23 (dd, *J* = 7.3, 1.6 Hz, 1H), 7.08 (td, *J* = 7.8, 1.7 Hz, 1H), 7.05 – 6.94 (m, 2H), 6.85 (ddd, *J* = 7.7, 1.9, 0.9 Hz, 1H), 6.80 (t, *J* = 2.2 Hz, 1H), 6.43 (dd, *J* = 8.0, 1.2 Hz, 1H), 3.83 (s, 3H), 3.10 (dd, *J* = 8.7, 6.1 Hz, 2H), 2.90 – 2.81 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 170.18, 160.84, 141.54, 139.52, 130.53, 127.78, 127.18, 125.56, 123.01, 121.19, 117.04, 114.39 (d, *J* = 16.7 Hz), 55.41, 32.25, 25.66. IR(ATR): ν = 3005, 2924, 2842, 1680, 1490, 1456, 1256, 1212, 1190, 1148, 1031, 751, 693 cm^{-1}. HRMS (ESI) *m/z* Calculated for $C_{16}H_{15}NO_2$ $[M+H]^+$ 254.1176. Found: 254.11740.

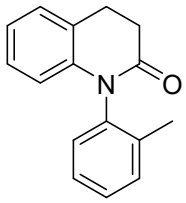


1-(3-(trifluoromethyl)phenyl)-3,4-dihydroquinolin-2(*1H*)-one (2bh)[14]: white solid, 66% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/10); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.69 (dt, *J* = 15.5, 7.8 Hz, 2H), 7.56 (s, 1H), 7.50 (d, *J* = 7.7 Hz, 1H), 7.27 (dd, *J* = 7.0, 1.6 Hz, 1H), 7.08 (dtd, *J* = 19.3, 7.5, 1.5 Hz, 2H), 6.34 (d, *J* = 7.8 Hz, 1H), 3.12 (dd, *J* = 8.6, 6.0 Hz, 2H), 2.87 (dd, *J* = 8.6, 6.0 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 170.22, 141.18, 139.11, 132.81, 132.55, 132.22, 130.39, 128.06, 127.35, 126.19 (q, *J* = 3.9 Hz),

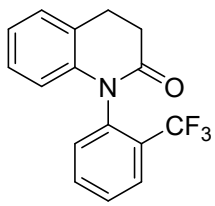


125.90, 125.04 (q, *J* = 3.6 Hz), 123.45, 122.28, 116.86, 32.21, 25.59. ^{19}F NMR (377 MHz, Chloroform-*d*) δ -62.57.

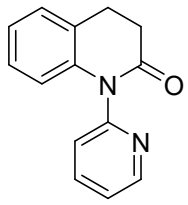
1-(*o*-tolyl)-3,4-dihydroquinolin-2(*1H*)-one (2bi)[13]: colorless oil, 71% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.44 – 7.33 (m, 3H), 7.26 (d, *J* = 7.3 Hz, 1H), 7.22 – 7.15 (m, 1H), 7.04 (dt, *J* = 14.5, 7.5 Hz, 2H), 6.29 (d, *J* = 7.9 Hz, 1H), 3.12 (hept, *J* = 7.5, 7.0 Hz, 2H), 2.88 (t, *J* = 7.4 Hz, 2H), 2.14 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 169.75, 140.82, 137.20, 136.59, 131.49, 129.28, 128.74, 127.92, 127.57, 127.41, 125.48, 123.02, 116.24, 32.13, 25.76, 17.47.



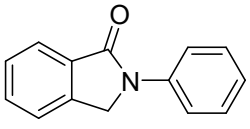
1-(2-(trifluoromethyl)phenyl)-3,4-dihydroquinolin-2(*1H*)-one (2bj): unknown compound, colorless oil, 62% yield, m.p. 90-92 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.87 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.76 (td, *J* = 7.7, 1.5 Hz, 1H), 7.62 (t, *J* = 7.7 Hz, 1H), 7.38 (d, *J* = 7.8 Hz, 1H), 7.25 (dd, *J* = 7.2, 1.7 Hz, 1H), 7.12 – 6.99 (m, 2H), 6.23 (dd, *J* = 7.9, 1.4 Hz, 1H), 3.21 – 3.01 (m, 2H), 2.96 – 2.78 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 170.54, 141.31, 136.40, 133.56, 132.28, 129.65, 129.35, 129.10, 128.73, 128.07 (q, *J* = 5.0 Hz), 127.87, 127.14, 125.29, 124.47, 123.17, 121.75, 119.03, 116.39, 32.03, 25.46. ^{19}F NMR (377 MHz, Chloroform-*d*) δ 61.68. IR(ATR): ν = 2924, 2854, 1694, 1495, 1455, 1316, 1165, 1133, 1114, 1061, 1023, 857, 756 cm^{-1}. HRMS (ESI) *m/z* Calculated for $C_{16}H_{12}F_3NO$ $[M+H]^+$ 292.0944. Found: 292.09401.



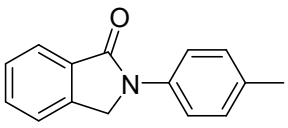
1-(pyridin-2-yl)-3,4-dihydroquinolin-2(*1H*)-one (2bk)[15]: white solid, 70% yield, R_f = 0.20 (ethyl acetate/petroleum ether = 1/5). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.68 (d, *J* = 3.6 Hz, 1H), 7.92 (td, *J* = 7.7, 1.6 Hz, 1H), 7.39 (dd, *J* = 11.4, 6.4 Hz, 2H), 7.24 (d, *J* = 7.0 Hz, 1H), 7.15 – 6.96 (m, 2H), 6.29 (d, *J* = 7.9 Hz, 1H), 3.23 – 3.02 (m, 2H), 2.85 (dd, *J* = 8.4, 6.2 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 170.40, 151.91, 150.07, 140.51, 138.84, 127.99, 127.15, 125.90, 124.67, 123.43, 116.96, 32.28, 25.63.



2-phenylisoindolin-1-one (2ca)[16]: white solid, 77% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/10). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.95 – 7.91 (m, 1H), 7.91 – 7.85 (m, 2H), 7.63 – 7.56 (m, 1H), 7.51 (d, *J* = 7.3 Hz, 2H), 7.46 – 7.39 (m, 2H), 7.23 – 7.14 (m, 1H), 4.82 (s, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 167.51, 140.15, 139.52, 133.23, 132.08, 129.16, 128.36, 124.44, 124.10, 122.66, 119.41, 50.71.

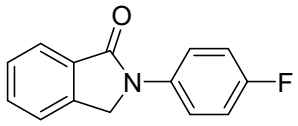


2-(*p*-tolyl)isoindolin-1-one (2cb)[16]: white solid, 78% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/10). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.93 (d, *J* = 7.9 Hz, 1H), 7.75 (d, *J* = 8.4 Hz, 2H), 7.59 (t, *J* = 7.2 Hz, 1H), 7.55 – 7.46 (m, 2H), 7.23 (d, *J* = 8.3 Hz, 2H), 4.81 (s, 2H), 2.36 (s, 3H). ^{13}C NMR (101 MHz,

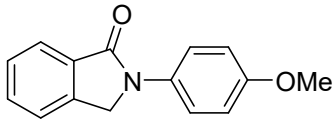


Chloroform-*d*) δ 167.36, 140.17, 136.99, 134.15, 133.36, 131.91, 129.67, 128.31, 124.04, 122.60, 119.55, 50.84, 20.87.

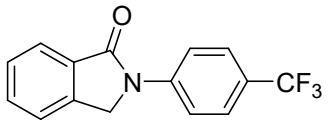
2-(4-fluorophenyl)isoindolin-1-one (2cc)[17]: white solid, 77% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/10); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.94 (d, *J* = 8.0 Hz, 1H), 7.87 – 7.79 (m, 2H), 7.65 – 7.59 (m, 1H), 7.56 – 7.48 (m, 2H), 7.13 (t, *J* = 8.7 Hz, 2H), 4.84 (s, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 167.41, 160.75, 158.32, 139.98, 135.61 (d, *J* = 2.9 Hz), 133.00, 132.15, 128.47, 124.18, 122.64, 121.26 (d, *J* = 7.6 Hz), 115.95, 115.73, 50.99. ^{19}F NMR (377 MHz, Chloroform-*d*) δ -117.83.



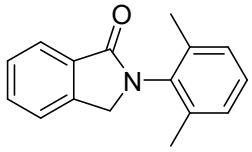
2-(4-methoxyphenyl)isoindolin-1-one (2cd)[17]: white solid, 62% yield, R_f = 0.20 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.91 (d, *J* = 8.1 Hz, 1H), 7.74 (d, *J* = 9.1 Hz, 2H), 7.58 (t, *J* = 7.4 Hz, 1H), 7.50 (dd, *J* = 7.1, 3.8 Hz, 2H), 6.96 (d, *J* = 9.1 Hz, 2H), 4.79 (s, 2H), 3.82 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 167.22, 156.59, 140.17, 133.29, 132.67, 131.81, 128.30, 123.98, 122.59, 121.42, 114.32, 55.49, 51.14.



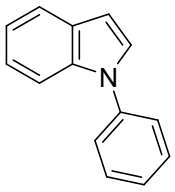
2-(4-(trifluoromethyl)phenyl)isoindolin-1-one (2ce)[18]: white solid, 71% yield, R_f = 0.20 (ethyl acetate/petroleum ether = 1/10); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.06 (d, *J* = 8.5 Hz, 2H), 7.96 (d, *J* = 7.5 Hz, 1H), 7.67 (dd, *J* = 20.6, 7.8 Hz, 3H), 7.56 (d, *J* = 7.7 Hz, 2H), 4.91 (s, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 167.84, 142.51, 139.89, 132.71 (d, *J* = 8.1 Hz), 128.67, 126.38 (q, *J* = 4.0 Hz), 124.43, 122.75, 118.59, 50.49. ^{19}F NMR (377 MHz, Chloroform-*d*) δ -62.13.

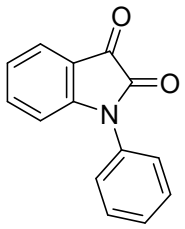


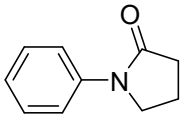
2-(2,6-dimethylphenyl)isoindolin-1-one (2cf)[19]: white solid, 67% yield, R_f = 0.35 (ethyl acetate/petroleum ether = 1/10); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.99 (d, *J* = 7.0 Hz, 1H), 7.63 (t, *J* = 6.8 Hz, 1H), 7.55 (d, *J* = 7.4 Hz, 2H), 7.23 (dd, *J* = 8.7, 6.1 Hz, 1H), 7.17 (d, *J* = 6.5 Hz, 2H), 4.61 (s, 2H), 2.22 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 167.82, 141.78, 136.82, 135.62, 132.39, 131.74, 128.54 (d, *J* = 10.3 Hz), 128.24, 124.29, 123.07, 51.22, 18.03.

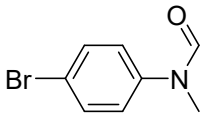


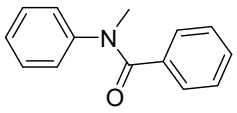
1-phenyl-1H-indole (2da')[21]: colorless oil, 79% yield, ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.68 (d, *J* = 7.1 Hz, 1H), 7.55 (d, *J* = 8.3 Hz, 1H), 7.47 (d, *J* = 4.4 Hz, 4H), 7.32 (dd, *J* = 8.4, 4.0 Hz, 2H), 7.18 (dtd, *J* = 18.7, 7.1, 1.2 Hz, 2H), 6.67 (d, *J* = 3.3 Hz, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 139.94, 135.97, 129.71, 129.45, 128.05, 126.53, 124.46, 122.48, 121.26, 120.49, 110.63, 103.70.

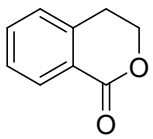


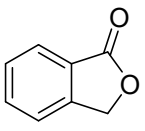
1-phenylindoline-2,3-dione (2da'')[20]: red solid, 9% yield, R_f = 0.20 (ethyl acetate/petroleum ether = 1/2);  ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.71 (dd, *J* = 7.5, 1.3 Hz, 1H), 7.62 – 7.52 (m, 3H), 7.52 – 7.41 (m, 3H), 7.19 (t, *J* = 7.5 Hz, 1H), 6.92 (d, *J* = 8.0 Hz, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 182.93, 157.34, 151.69, 138.37, 132.90, 129.99, 128.85, 126.02, 125.63, 124.33, 117.51, 111.31.

1-phenylpyrrolidin-2-one (2ea)[23]: light yellow solid, 56% yield, R_f = 0.4 (ethyl acetate/ dichloromethane = 1/10);  ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.61 (d, *J* = 7.9 Hz, 2H), 7.37 (t, *J* = 8.0 Hz, 2H), 7.14 (t, *J* = 7.4 Hz, 1H), 3.84 (t, *J* = 7.0 Hz, 2H), 2.59 (t, *J* = 8.1 Hz, 2H), 2.13 (p, *J* = 7.5 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 174.27, 139.42, 128.82, 124.47, 119.93, 48.77, 32.79, 18.00.

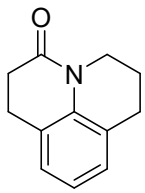
N-(4-bromophenyl)-N-methylformamide (2eb)[24]: light yellow solid, 61% yield, R_f = 0.35 (ethyl acetate/ dichloromethane = 1/10);  ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.47 (s, 1H), 7.54 (dd, *J* = 8.8, 2.2 Hz, 2H), 7.07 (dd, *J* = 8.7, 1.8 Hz, 2H), 3.31 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 161.93, 141.24, 132.72, 123.74, 119.66, 31.97.

N-methyl-N-phenylbenzamide (2ec)[25]: white solid, 52% yield, R_f = 0.30 (ethyl acetate/ dichloromethane = 1/10);  ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.35 – 7.29 (m, 2H), 7.27 – 7.20 (m, 3H), 7.20 – 7.11 (m, 3H), 7.05 (d, *J* = 7.7 Hz, 2H), 3.52 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 170.73, 144.91, 135.92, 129.60, 129.16, 128.73, 127.73, 126.92, 126.51, 38.43.

isochroman-1-one (4a)[10]: colorless oil, 38% yield, R_f = 0.35 (ethyl acetate/petroleum ether = 1/10);  ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.09 (d, *J* = 7.6 Hz, 1H), 7.54 (td, *J* = 7.5, 1.4 Hz, 1H), 7.40 (t, *J* = 7.5 Hz, 1H), 7.27 (d, *J* = 7.6 Hz, 1H), 4.54 (t, *J* = 6.0 Hz, 2H), 3.07 (t, *J* = 6.0 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 165.14, 139.58, 133.69, 130.38, 127.69, 127.28, 125.32, 67.33, 27.83.

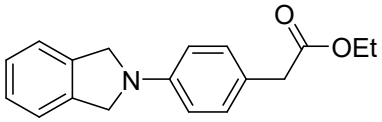


isobenzofuran-1(*3H*)-one (4b)[20]: white solid, 45% yield, R_f = 0.20 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.90 (d, *J* = 7.7 Hz, 1H), 7.72 – 7.65 (m, 1H), 7.56 – 7.47 (m, 2H), 5.32 (s, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 171.14, 146.57, 134.05, 129.03, 125.68, 122.18, 69.70.

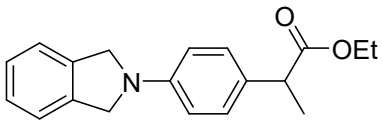
2,3,6,7-tetrahydro-1*H*,5*H*-pyrido[3,2,1-*ij*]quinolin-5-one (6)[26]: light yellow solid, 48%, R_f = 0.30 (ethyl acetate/petroleum ether = 1/5);  ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.02 (t, *J* = 4.0 Hz, 2H), 6.93 (t, *J* = 7.4 Hz, 1H), 3.90 (t, *J* = 5.7 Hz, 3H), 2.90 (t, *J* = 7.8,

7.2 Hz, 3H), 2.81 (t, *J* = 6.2 Hz, 2H), 2.67 (t, *J* = 7.0 Hz, 3H), 1.96 (p, *J* = 6.1 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 169.68, 136.09, 127.76, 125.72, 125.46, 125.31, 122.42, 40.95, 31.53, 27.32, 25.28, 21.45.

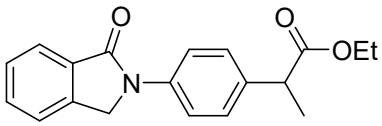
Ethyl 2-(4-(isoindolin-2-yl)phenyl)acetate (8): white solid, 70% yield, R_f = 0.30 (ethyl acetate/petroleum ether = 1/20); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.41 – 7.30 (m, 4H), 7.25 (d, *J* = 8.1 Hz, 2H), 6.68 (d, *J* = 8.2 Hz, 2H), 4.67 (s, 4H), 4.18 (q, *J* = 7.1 Hz, 2H), 3.57 (s, 2H), 1.29 (t, *J* = 5.4 Hz, 5H).



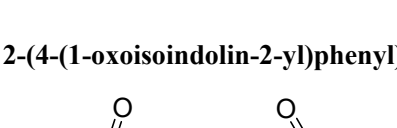
Ethyl 2-(4-(isoindolin-2-yl)phenyl)propanoate (9a)[10]: white solid, 97% yield, R_f = 0.35 (ethyl acetate/petroleum ether = 1/20); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.35 (ddt, *J* = 14.9, 5.9, 3.4 Hz, 4H), 7.29 – 7.26 (m, 2H), 6.67 (d, *J* = 8.6 Hz, 2H), 4.67 (s, 4H), 4.21 – 4.08 (m, 2H), 3.67 (q, *J* = 7.1 Hz, 1H), 1.51 (d, *J* = 7.2 Hz, 3H), 1.25 (t, *J* = 7.1 Hz, 3H).



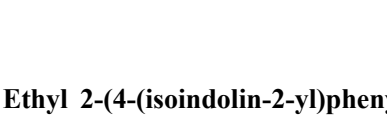
Ethyl 2-(4-(1-oxoisoindolin-2-yl)phenyl)propanoate (10a)[10]: white solid, 62% yield, R_f = 0.45 (ethyl acetate/petroleum ether = 1/5); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.93 (d, *J* = 7.5 Hz, 1H), 7.84 (d, *J* = 8.6 Hz, 2H), 7.61 (t, *J* = 7.0 Hz, 1H), 7.53 (d, *J* = 7.7 Hz, 2H), 7.39 (d, *J* = 8.5 Hz, 2H), 4.85 (s, 2H), 4.15 (dtt, *J* = 14.2, 7.1, 3.6 Hz, 2H), 3.74 (q, *J* = 7.1 Hz, 1H), 1.53 (d, *J* = 7.2 Hz, 3H), 1.24 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 174.52, 167.48, 140.11, 138.43, 136.76, 133.18, 132.11, 128.41, 128.25, 124.14, 122.66, 119.63, 60.83, 50.73, 45.01, 18.58, 14.17.



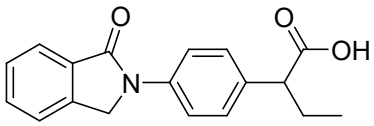
2-(4-(1-oxoisoindolin-2-yl)phenyl)propanoic acid (Indoprofen, 11a)[10]: white solid, 96% yield, R_f = 0.60 (MeOH/DCM = 1/5); ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.35 (s, 1H), 7.86 (d, *J* = 8.3 Hz, 2H), 7.79 (d, *J* = 7.6 Hz, 1H), 7.68 (d, *J* = 5.4 Hz, 2H), 7.55 (td, *J* = 6.6, 5.6, 2.5 Hz, 1H), 7.36 (d, *J* = 8.3 Hz, 2H), 5.02 (s, 2H), 3.70 (q, *J* = 7.1 Hz, 1H), 1.38 (d, *J* = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 175.87, 167.03, 141.50, 138.62, 137.49, 132.90, 132.70, 128.67, 128.42, 123.82, 123.69, 119.93, 50.92, 44.57, 18.96.



Ethyl 2-(4-(isoindolin-2-yl)phenyl)butanoate (9b)[10]: white solid, 94% yield, R_f = 0.35 (ethyl acetate/petroleum ether = 1/20); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.43 – 7.29 (m, 6H), 6.68 (d, *J* = 7.9 Hz, 2H), 4.67 (s, 4H), 4.18 (tdd, *J* = 19.0, 8.7, 3.4 Hz, 2H), 3.43 (t, *J* = 7.5 Hz, 1H), 2.15 (dd, *J* = 13.6, 7.1 Hz, 1H), 1.93 – 1.78 (m, 1H), 1.28 (t, *J* = 6.9 Hz, 3H), 0.97 (t, *J* = 7.1 Hz, 3H).



2-(4-(1-oxoisoindolin-2-yl)phenyl)butanoic acid (Indobufen, 11b)[10]: white solid, 68% yield, R_f = 0.60 (MeOH/DCM = 1/5); ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.37 (s, 1H), 7.87 (d, J = 8.2 Hz, 2H), 7.79 (d, J = 7.6 Hz, 1H), 7.67 (d, J = 5.6 Hz, 2H), 7.54 (t, J = 7.0 Hz, 1H), 7.36 (d, J = 8.2 Hz, 2H), 5.01 (s, 2H), 3.55 – 3.25 (m, 1H), 1.99 (dp, J = 14.8, 7.4 Hz, 1H), 1.68 (dp, J = 14.4, 7.3 Hz, 1H), 0.85 (t, J = 7.3 Hz, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 175.34, 167.04, 141.48, 138.72, 135.88, 132.91, 132.68, 128.80, 128.65, 123.79, 123.69, 119.82, 52.50, 50.87, 26.59, 12.53.



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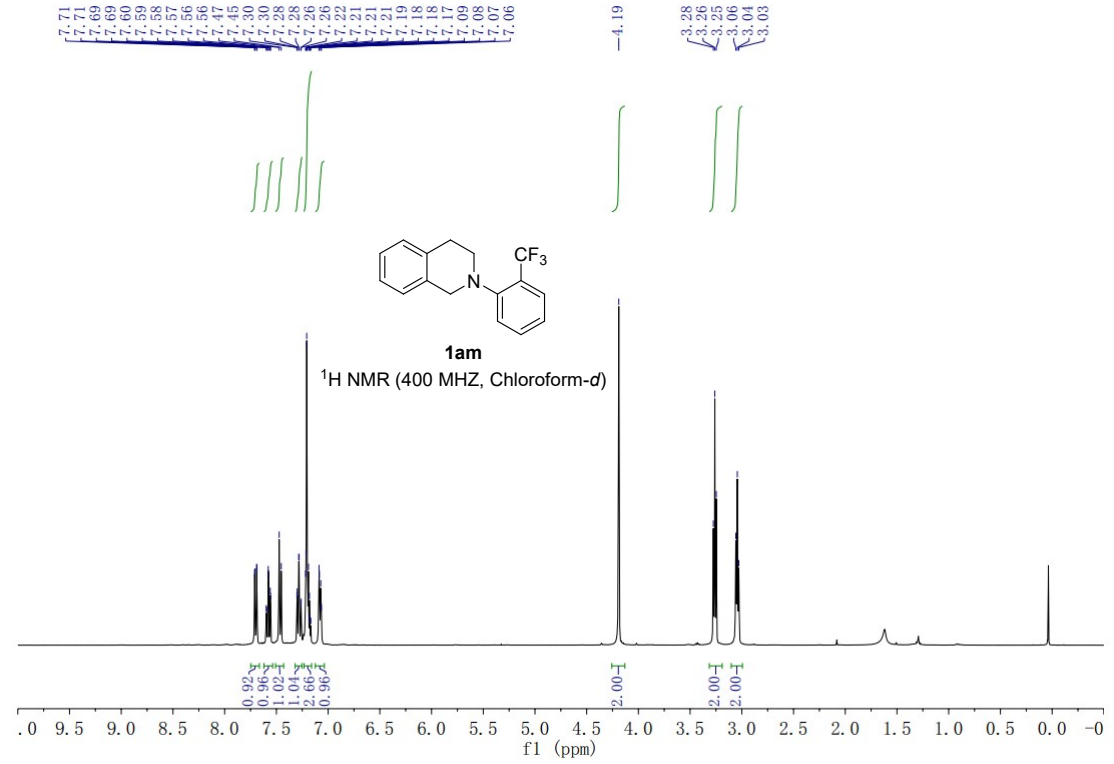

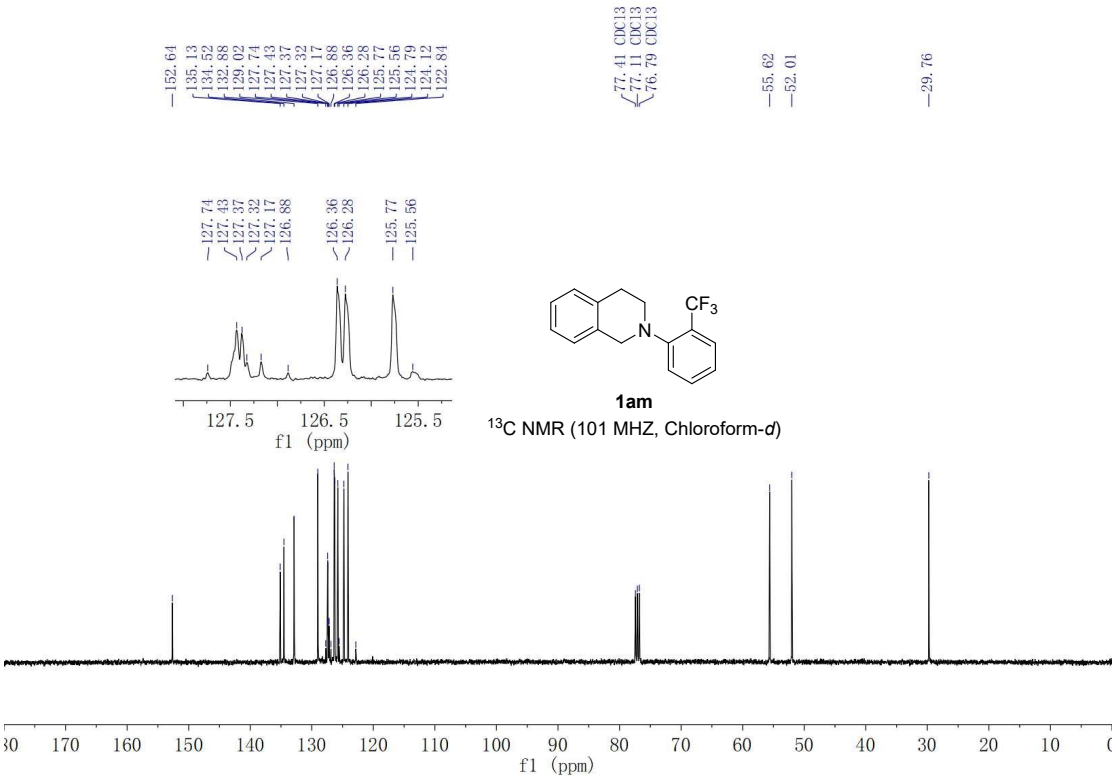

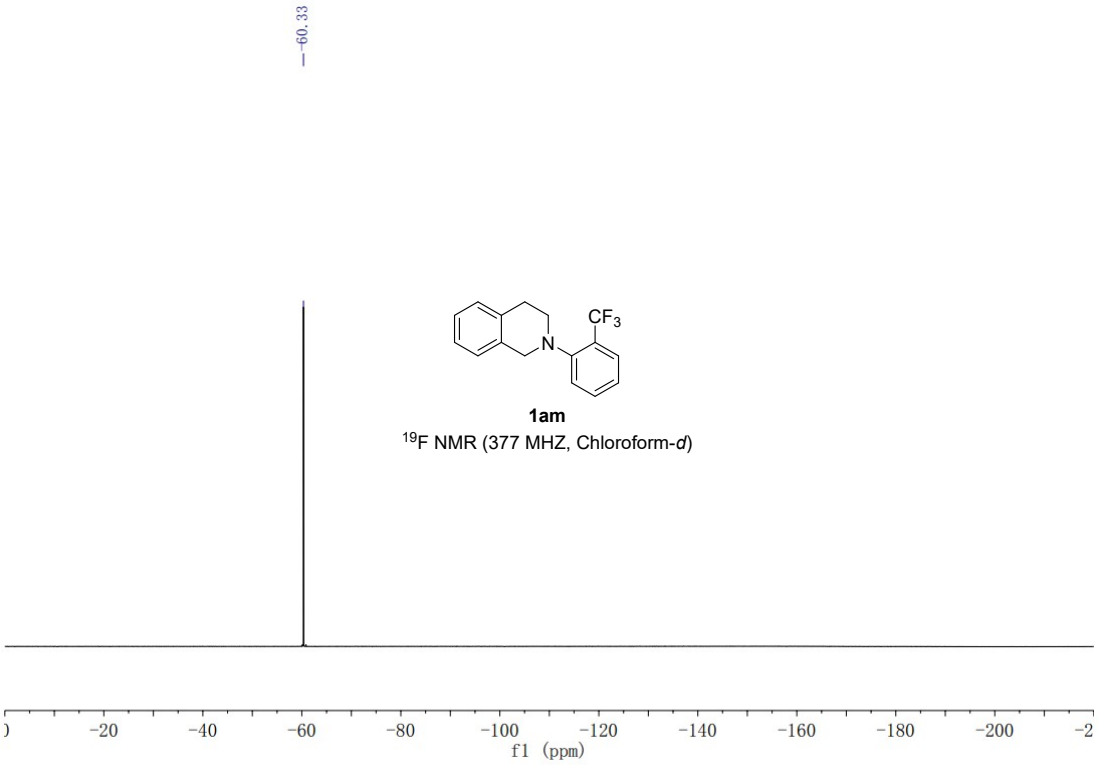

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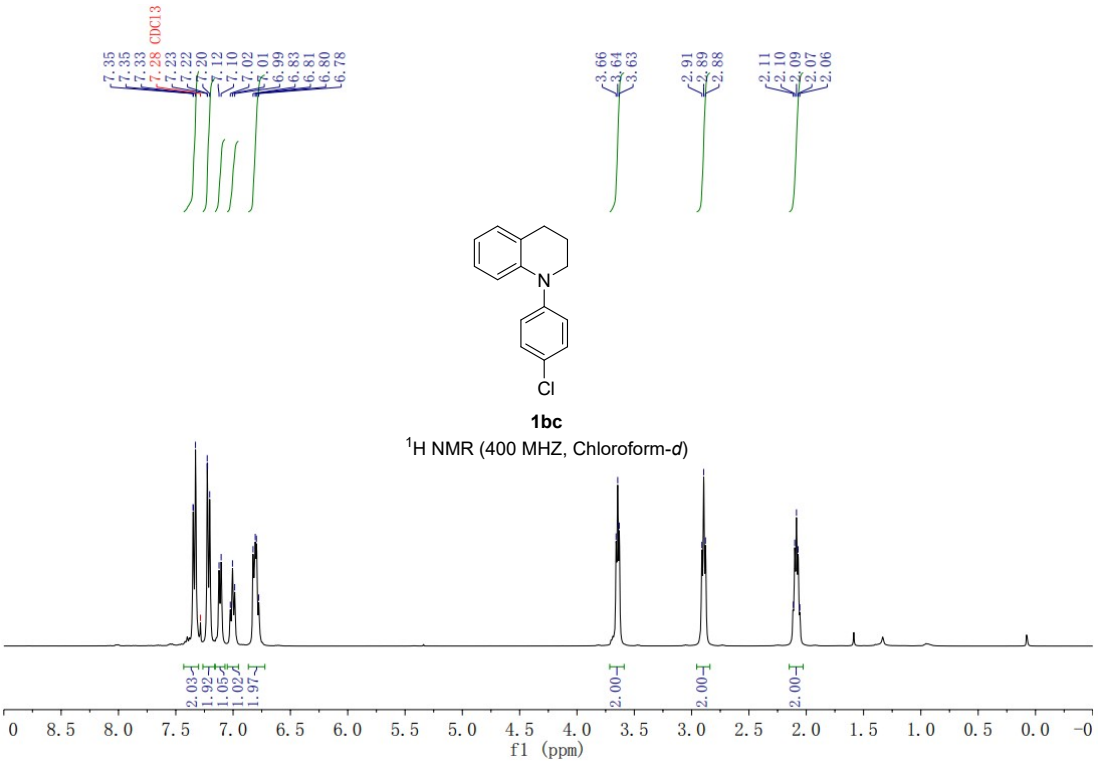
^{19}F NMR (377 MHZ, Chloroform-*d*)



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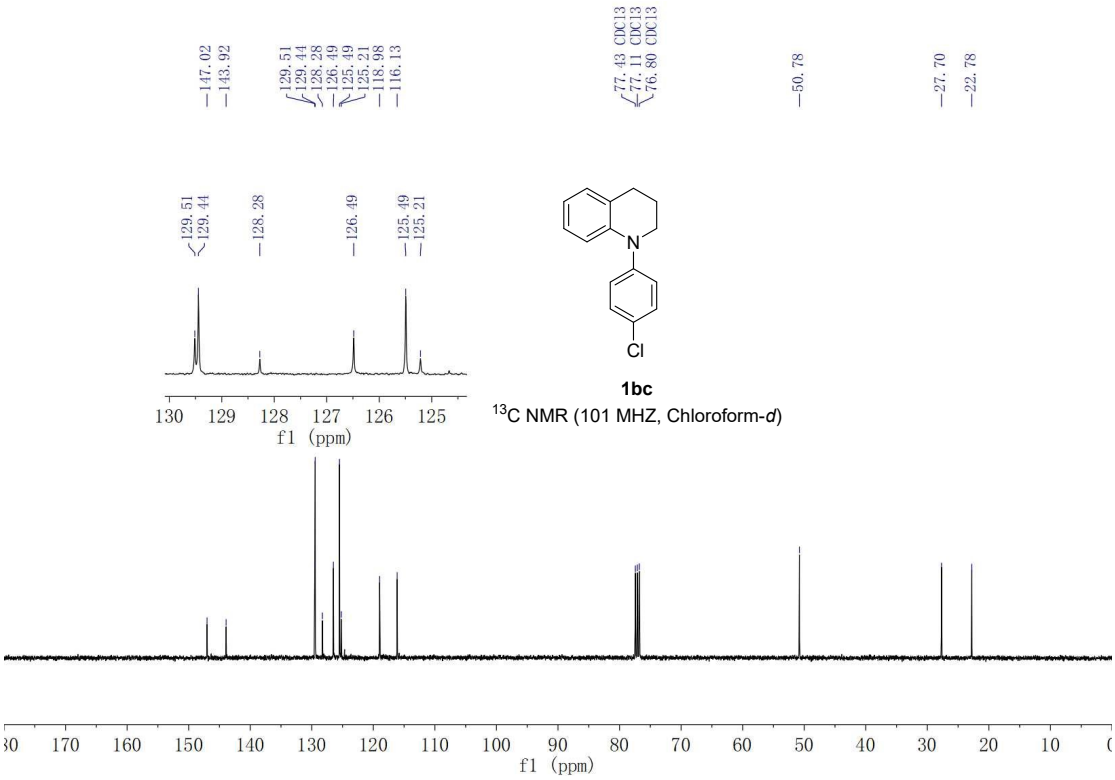

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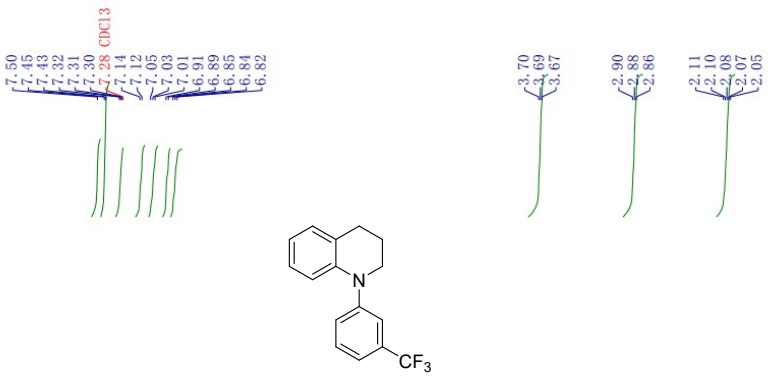

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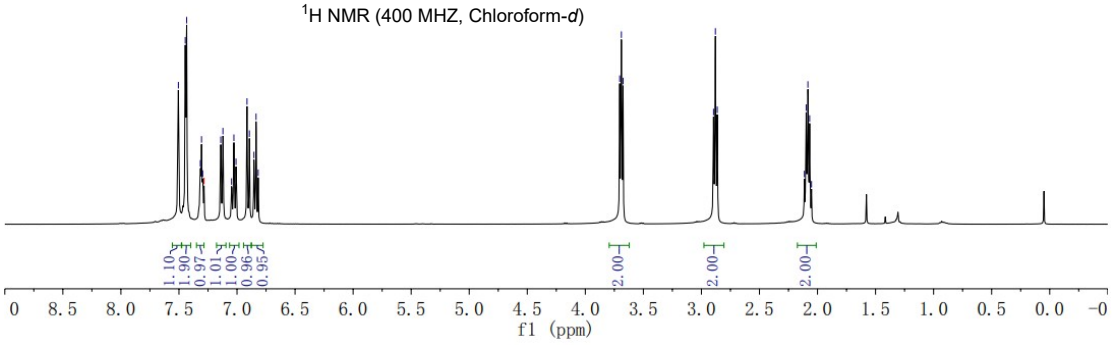

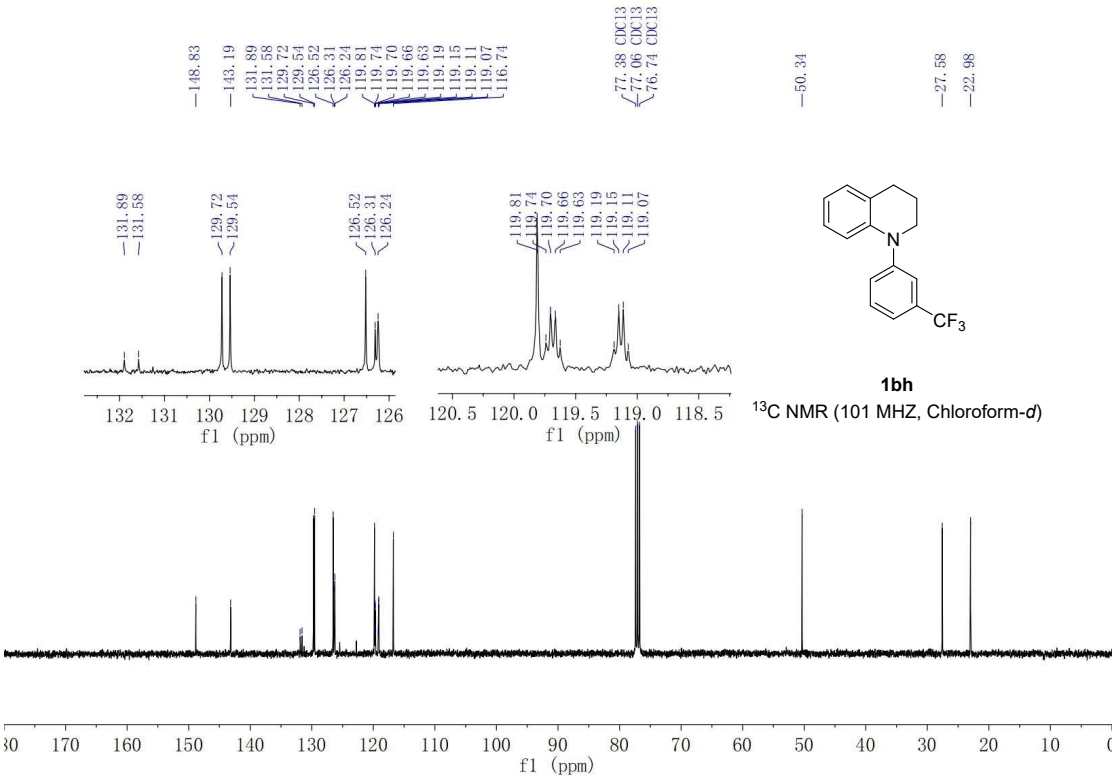

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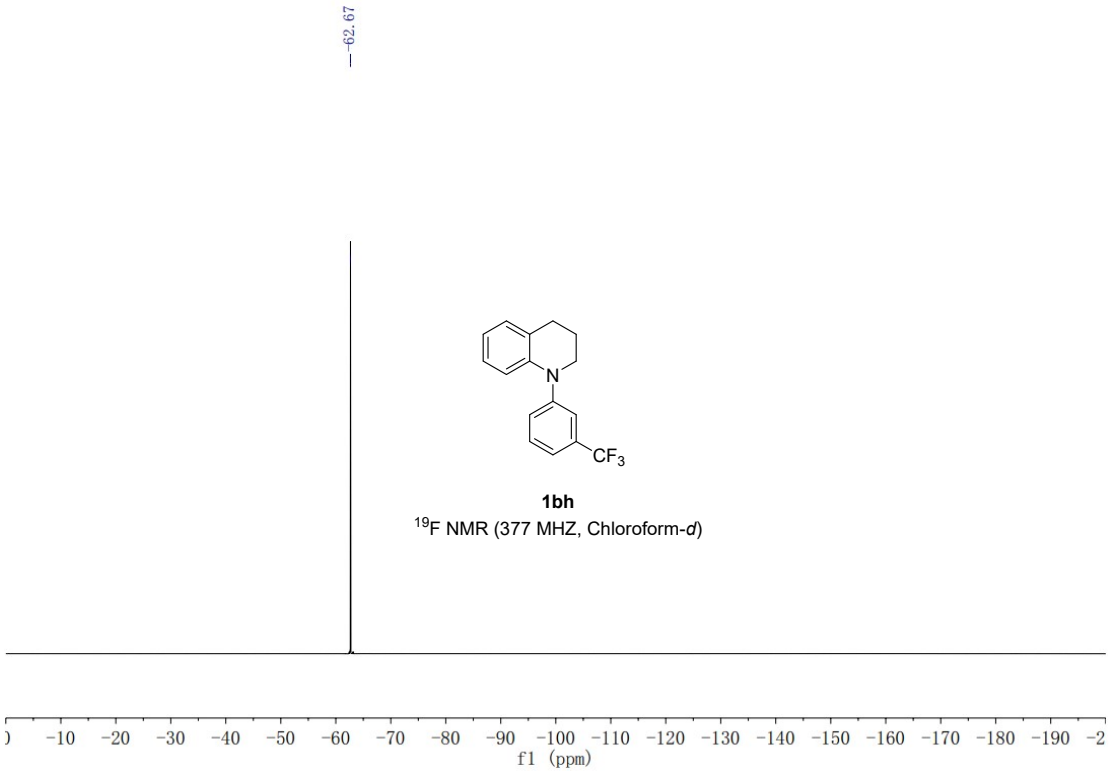

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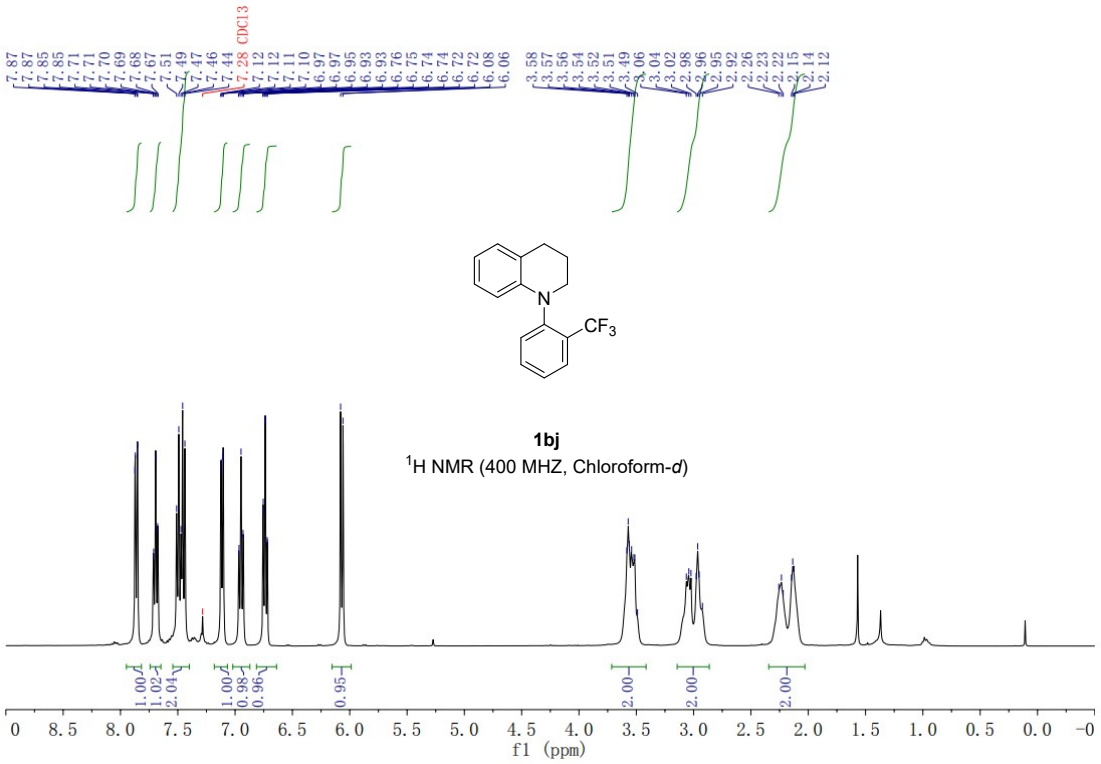

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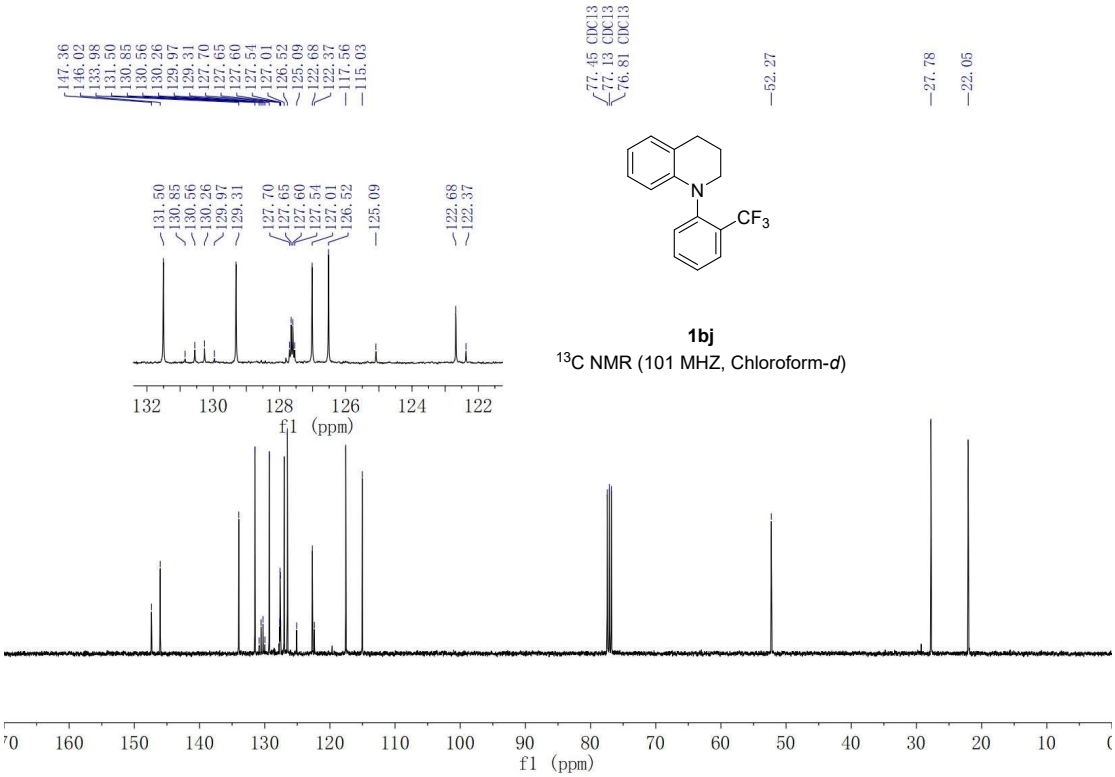

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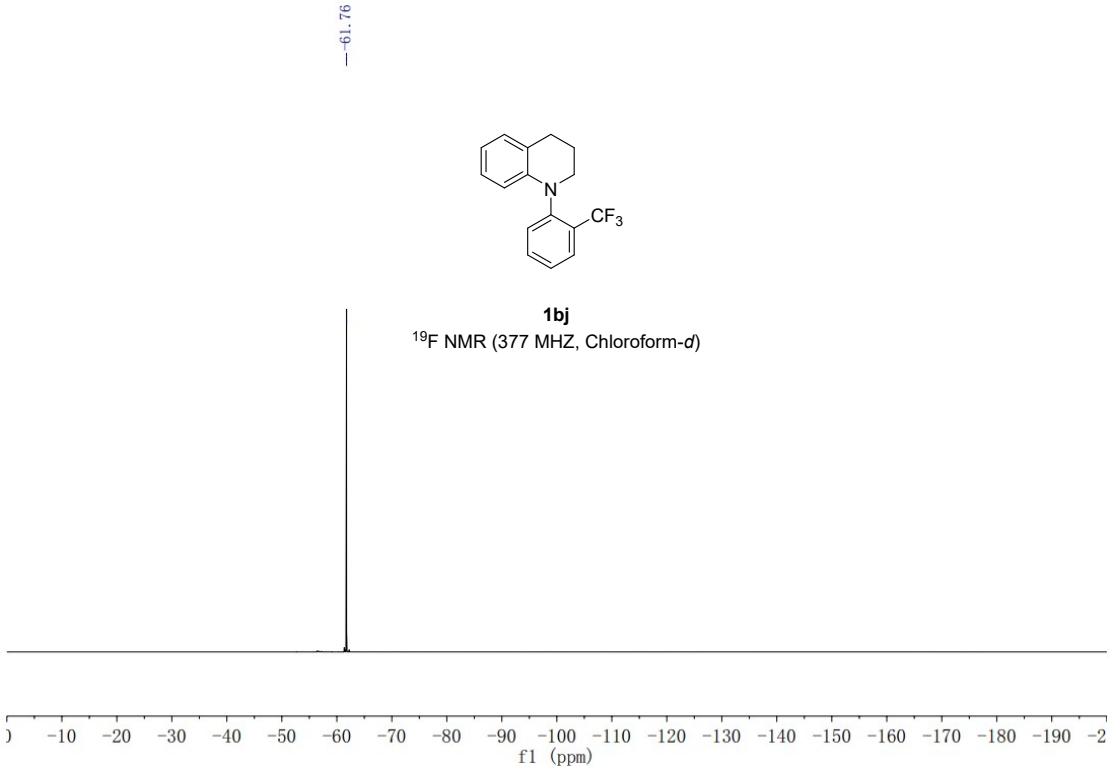

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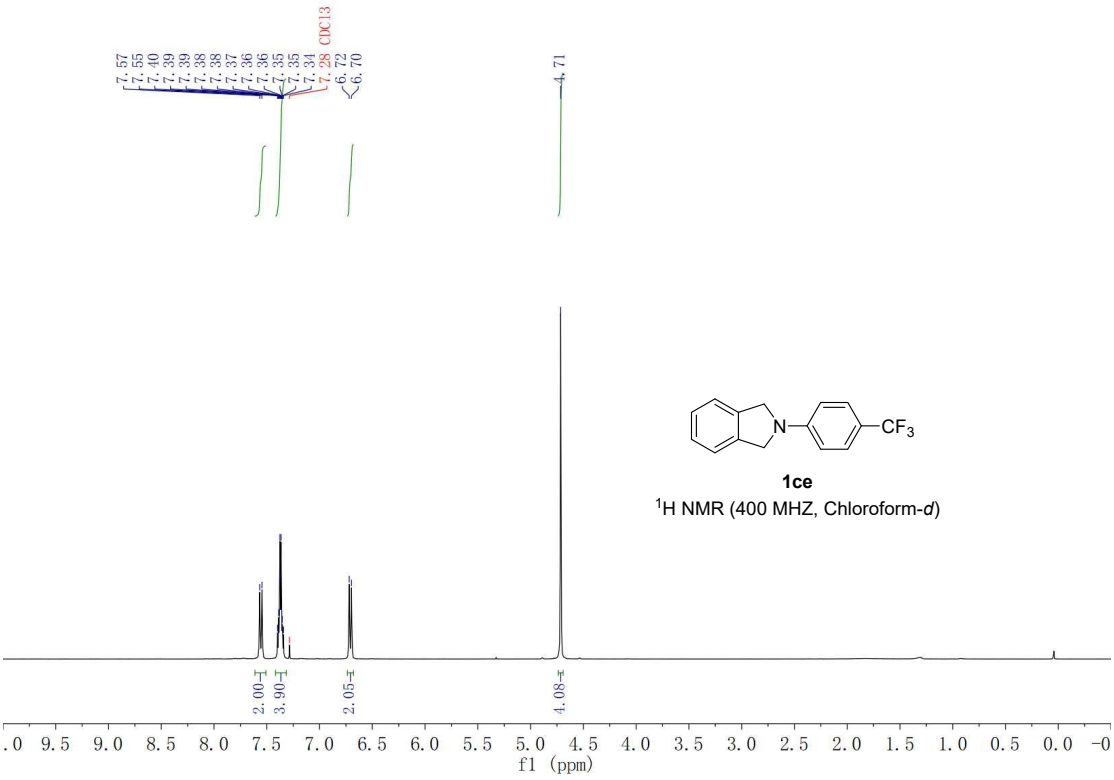

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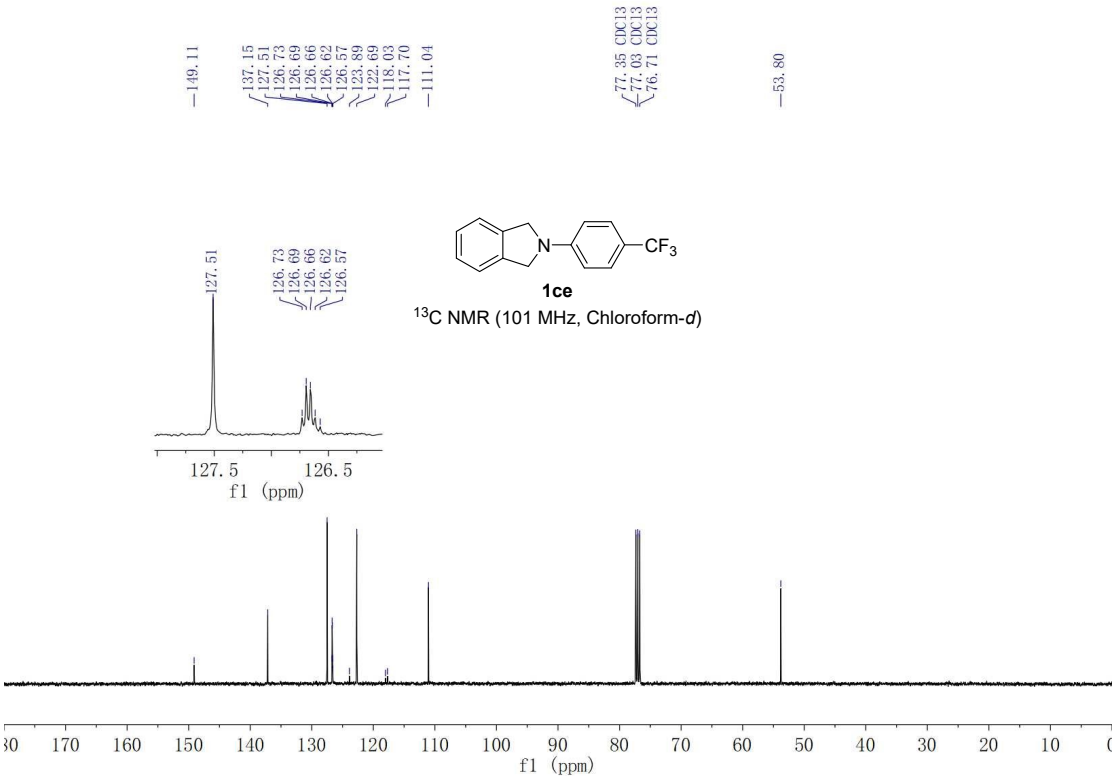
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111.04
77.35 CDCl3
77.03 CDCl3
76.71 CDCl3
53.80
127.51
126.73
126.69
126.66
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127.5
126.5
f1 (ppm)
N
CF3
1ce
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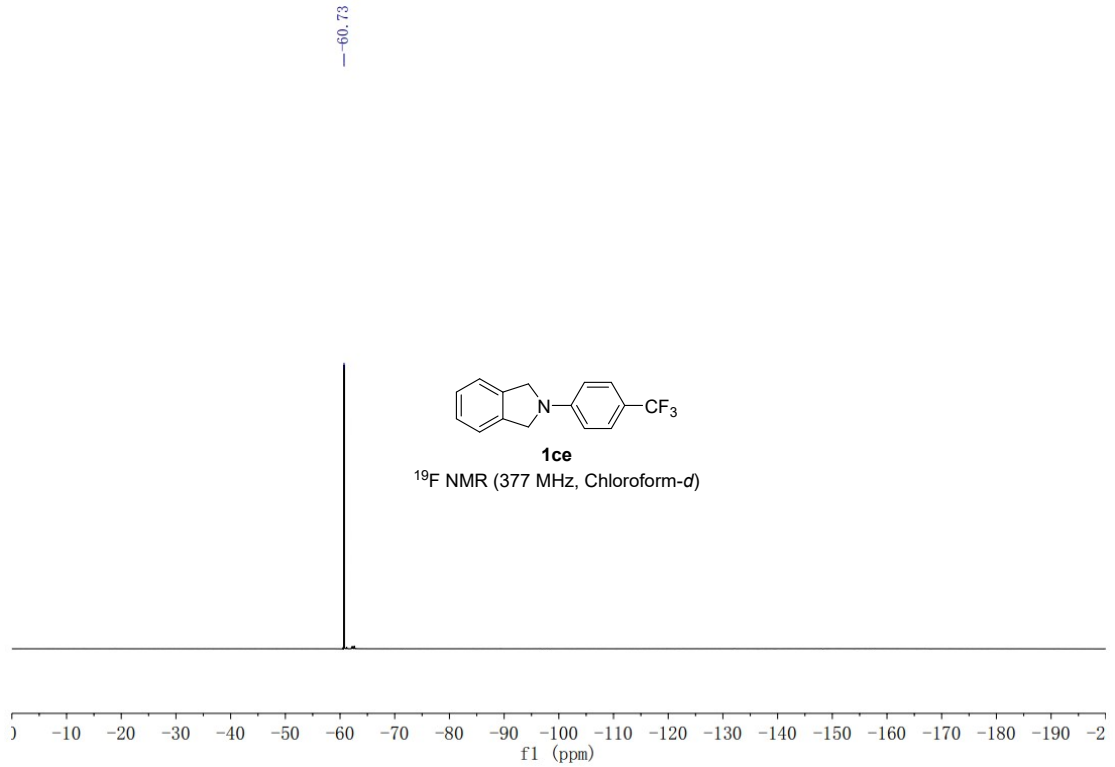
f1 (ppm)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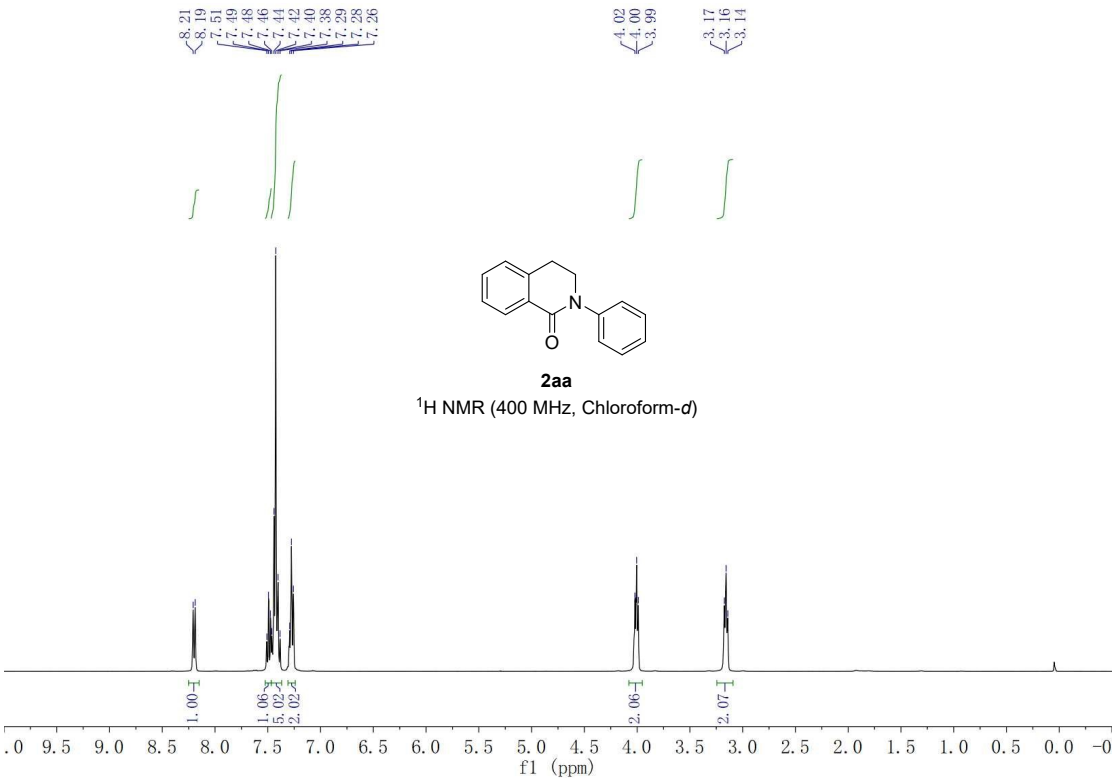
f1 (ppm)



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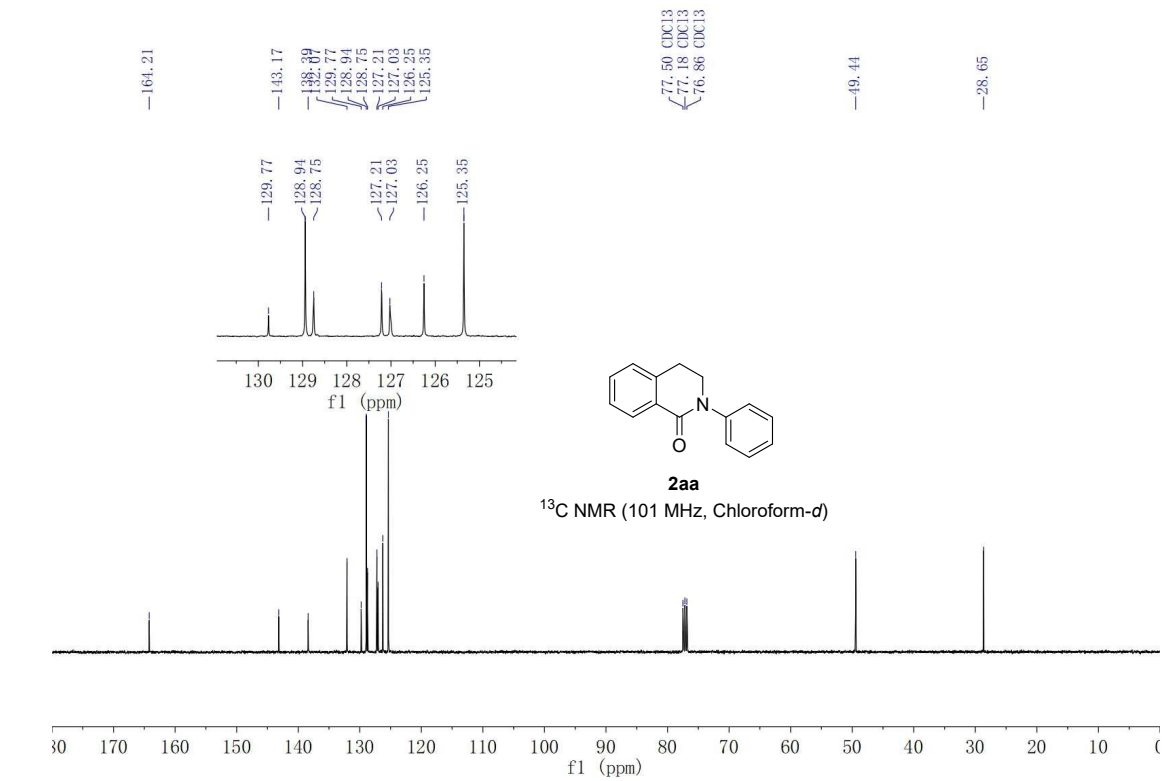

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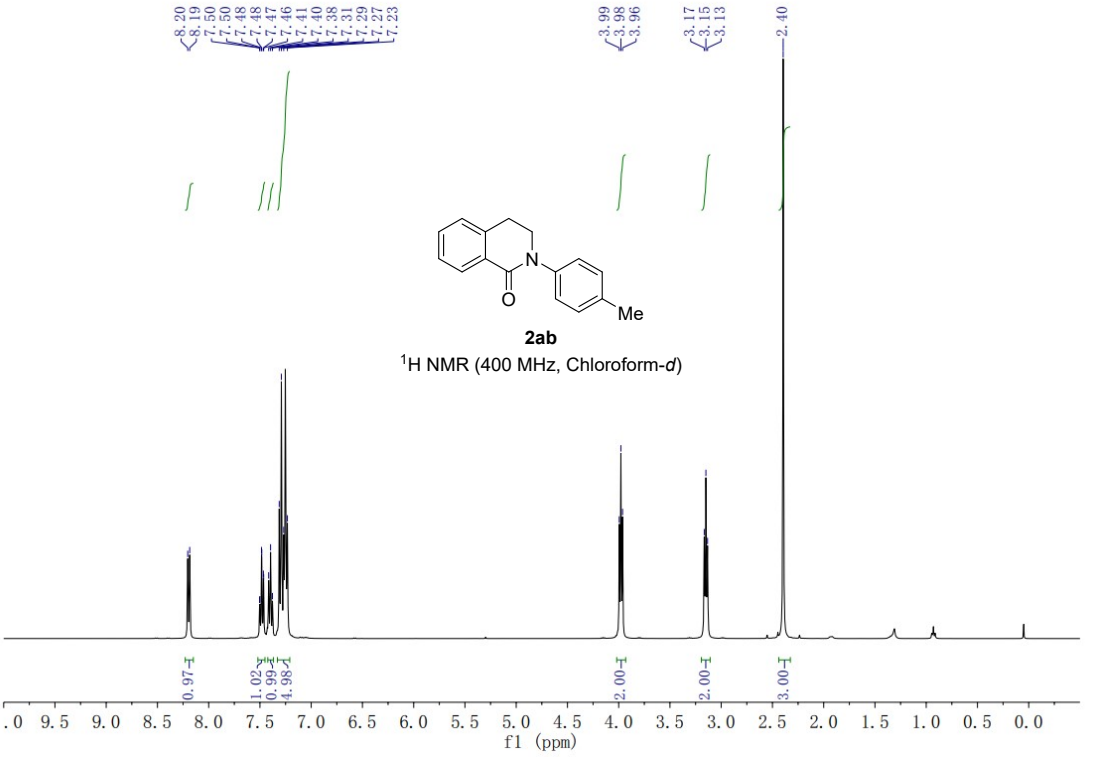

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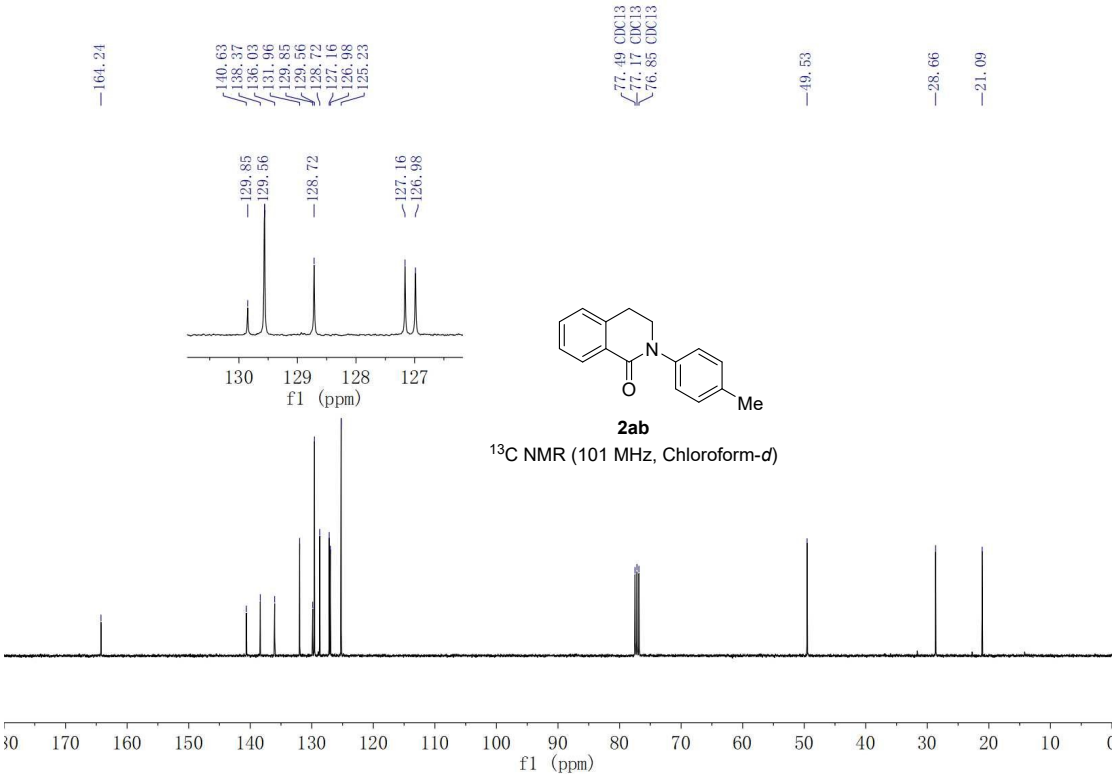

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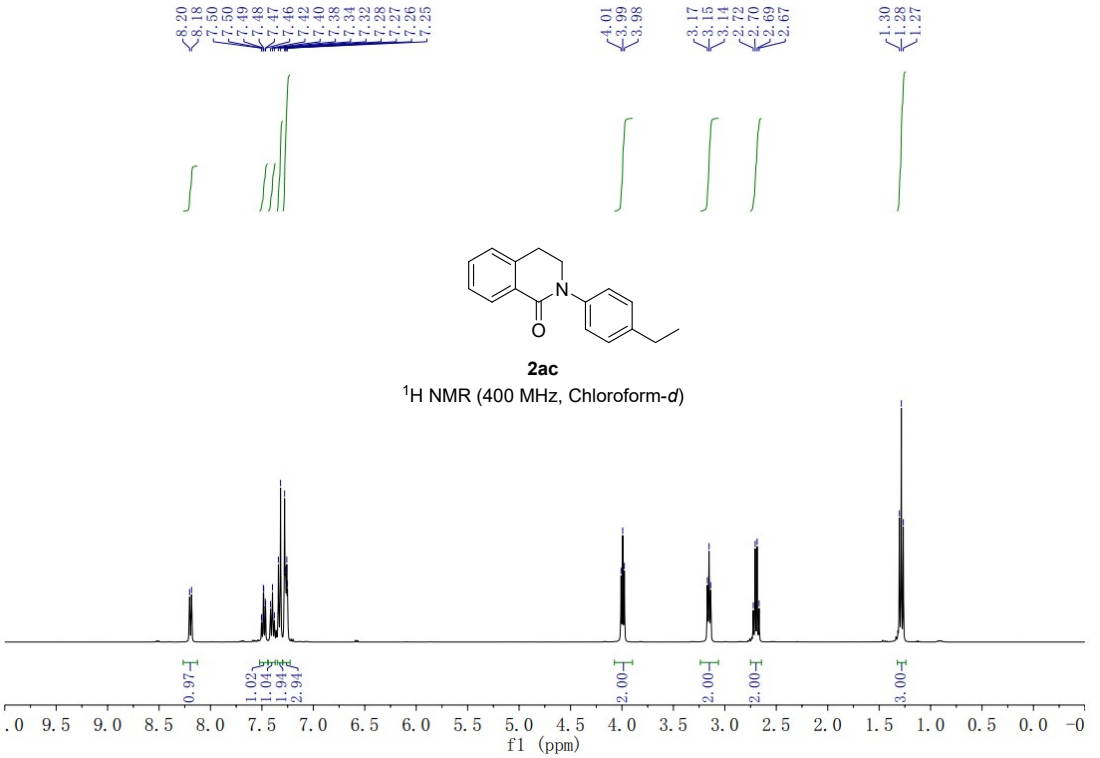

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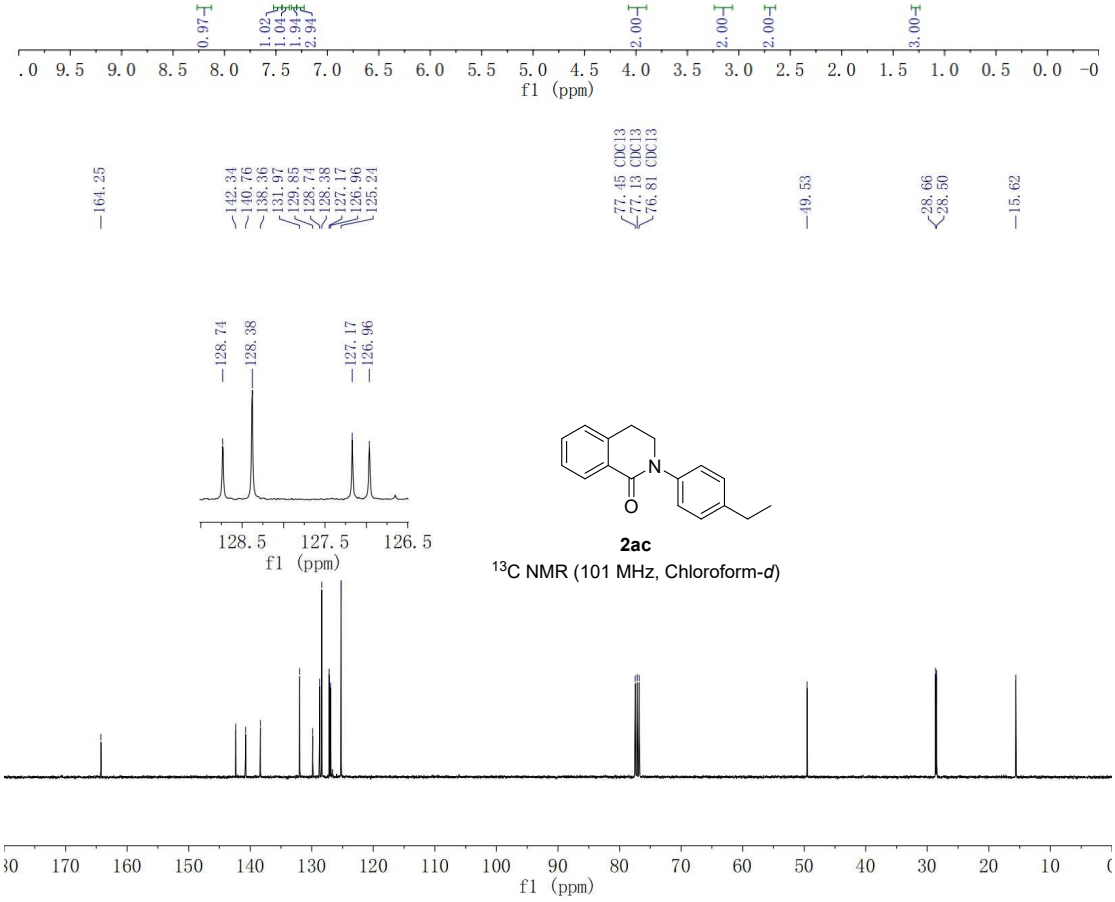

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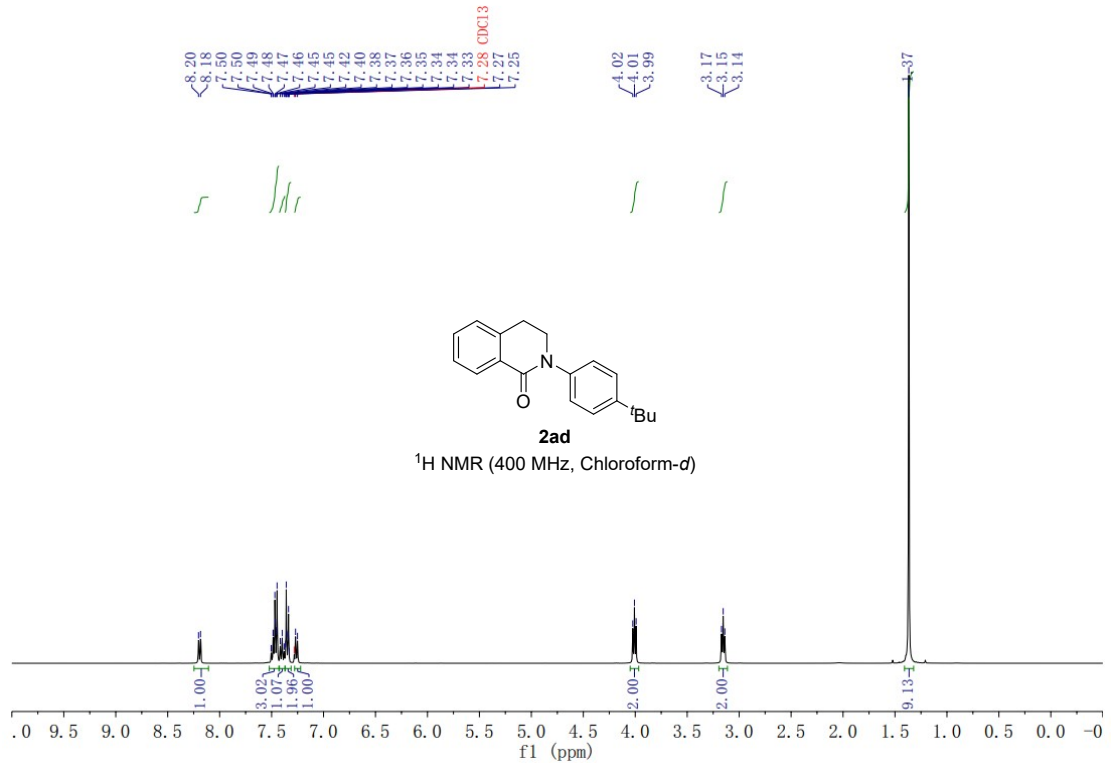
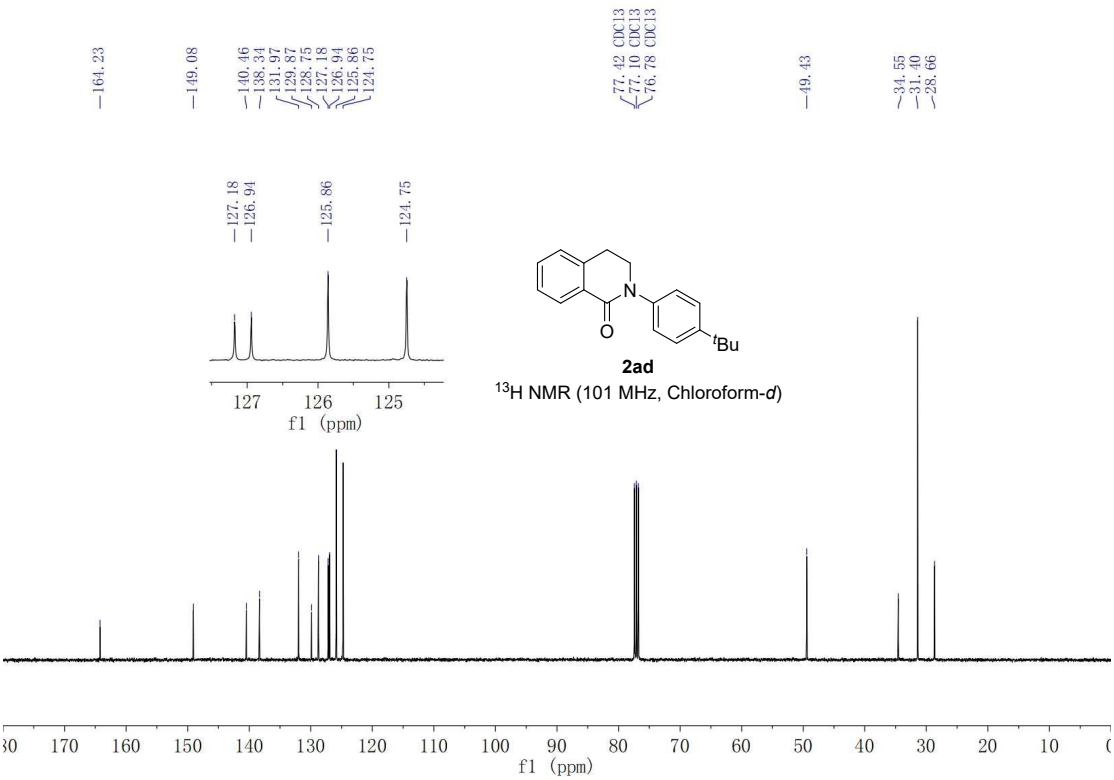


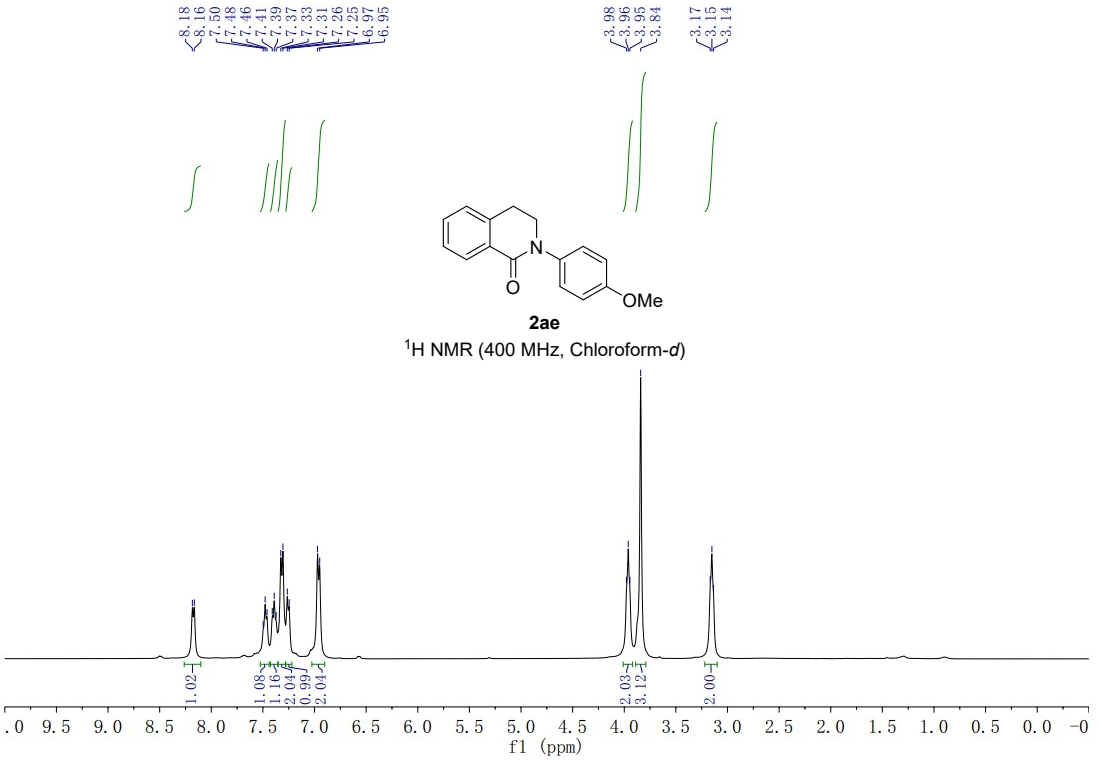
2ad

^{1}H NMR (400 MHz, Chloroform-*d*)



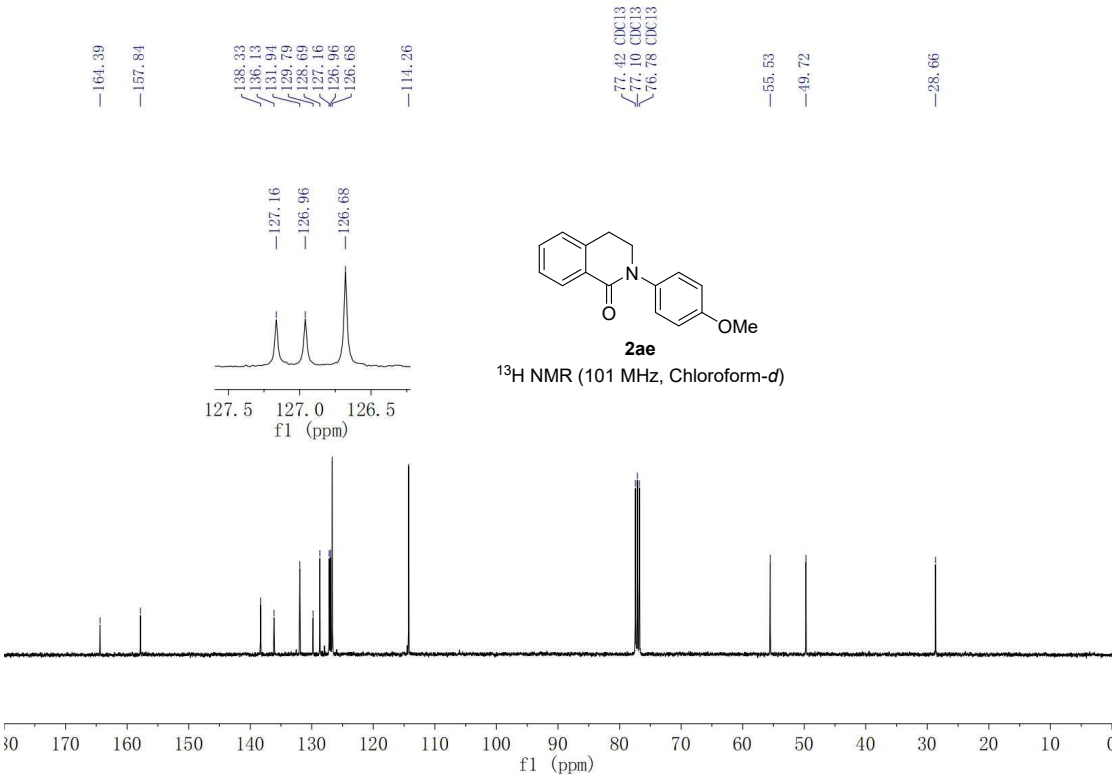
2ad

^{13}H NMR (101 MHz, Chloroform-*d*)



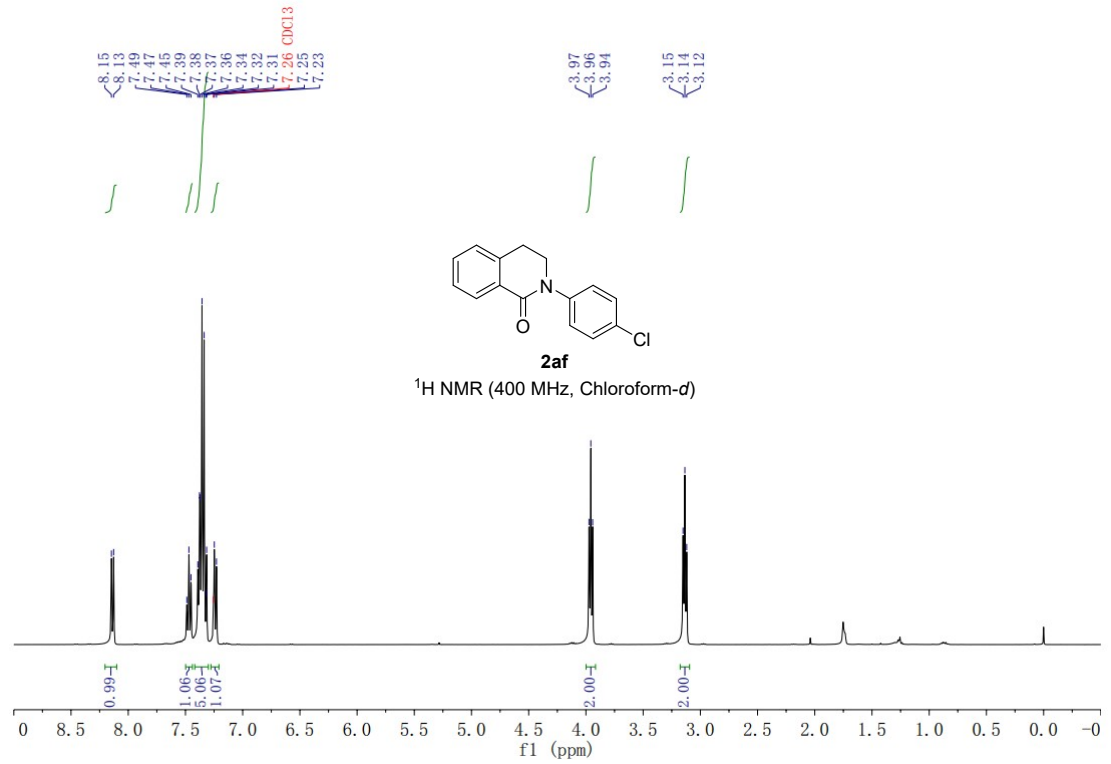
2ae

^{1}H NMR (400 MHz, Chloroform-*d*)



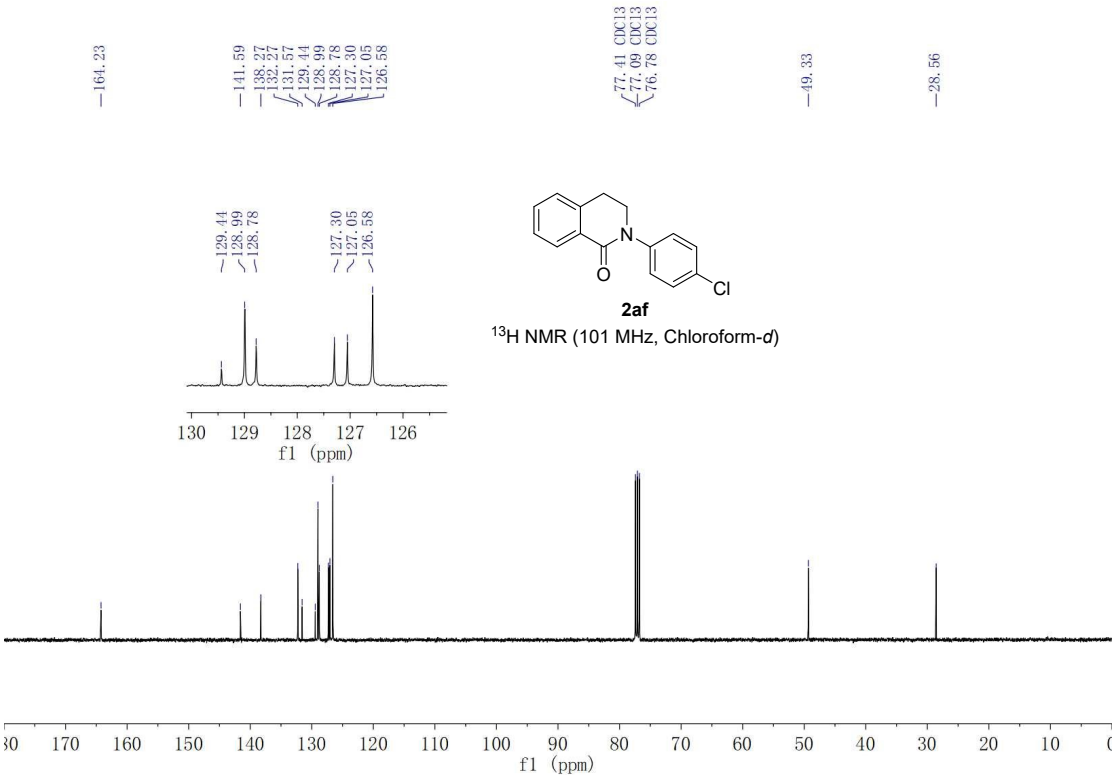
2ae

^{13}H NMR (101 MHz, Chloroform-*d*)



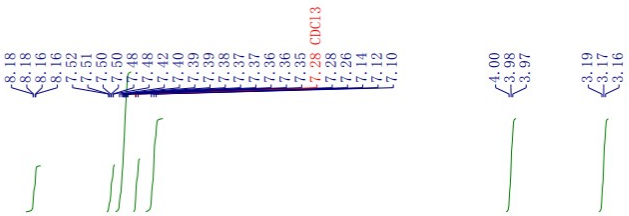
2af

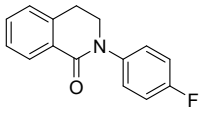
^{1}H NMR (400 MHz, Chloroform-*d*)



2af

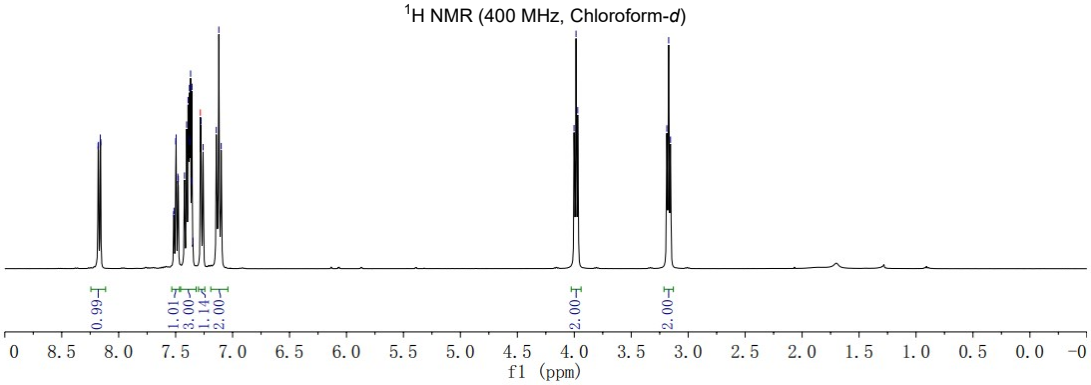
^{13}H NMR (101 MHz, Chloroform-*d*)

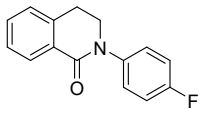




2ag

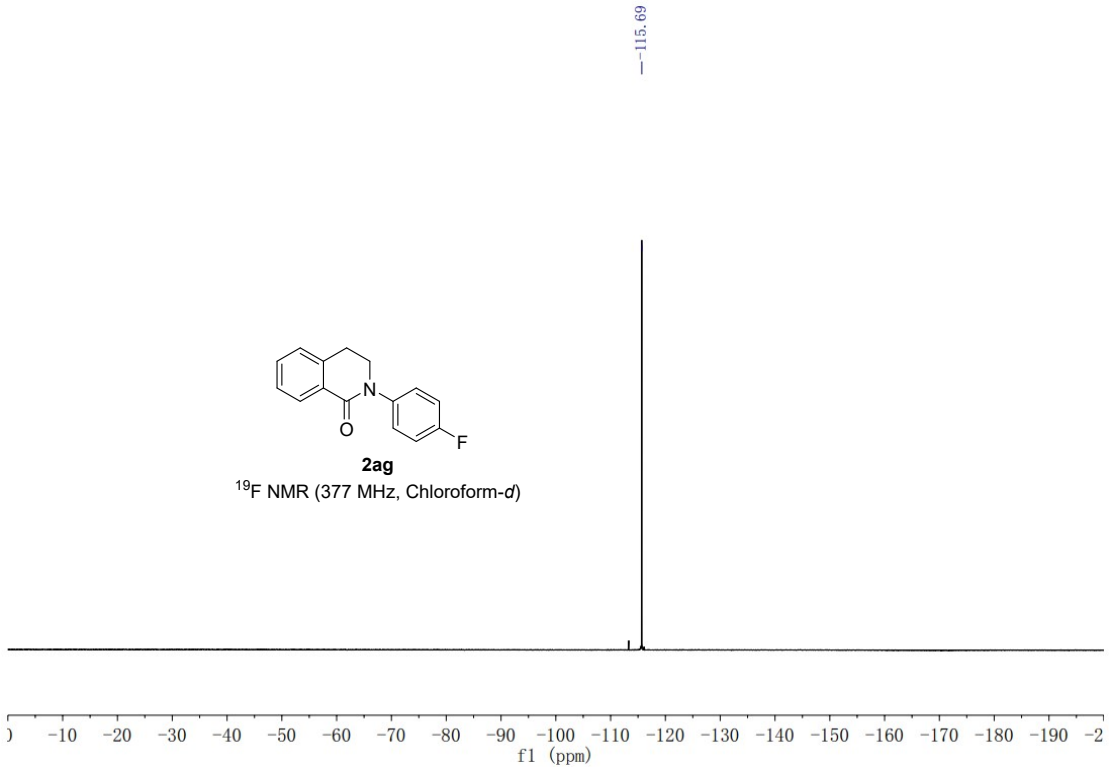
^{1}H NMR (400 MHz, Chloroform-*d*)





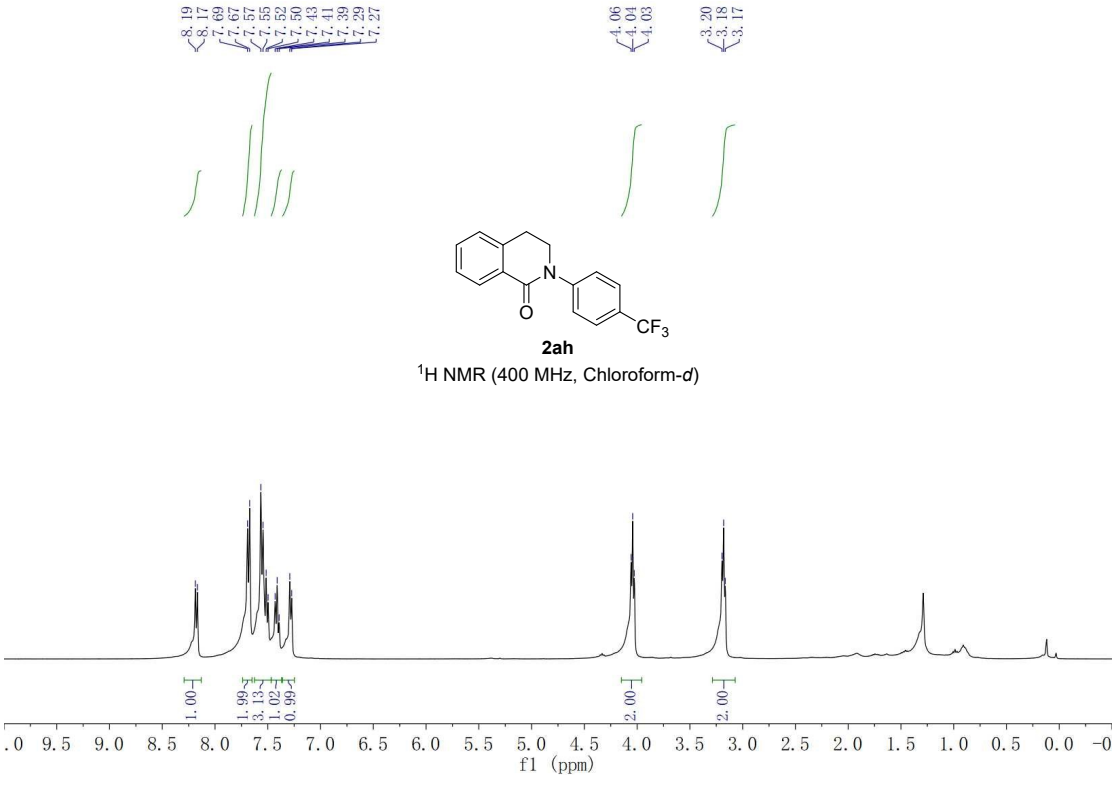
2ag

^{13}H NMR (101 MHz, Chloroform-*d*)



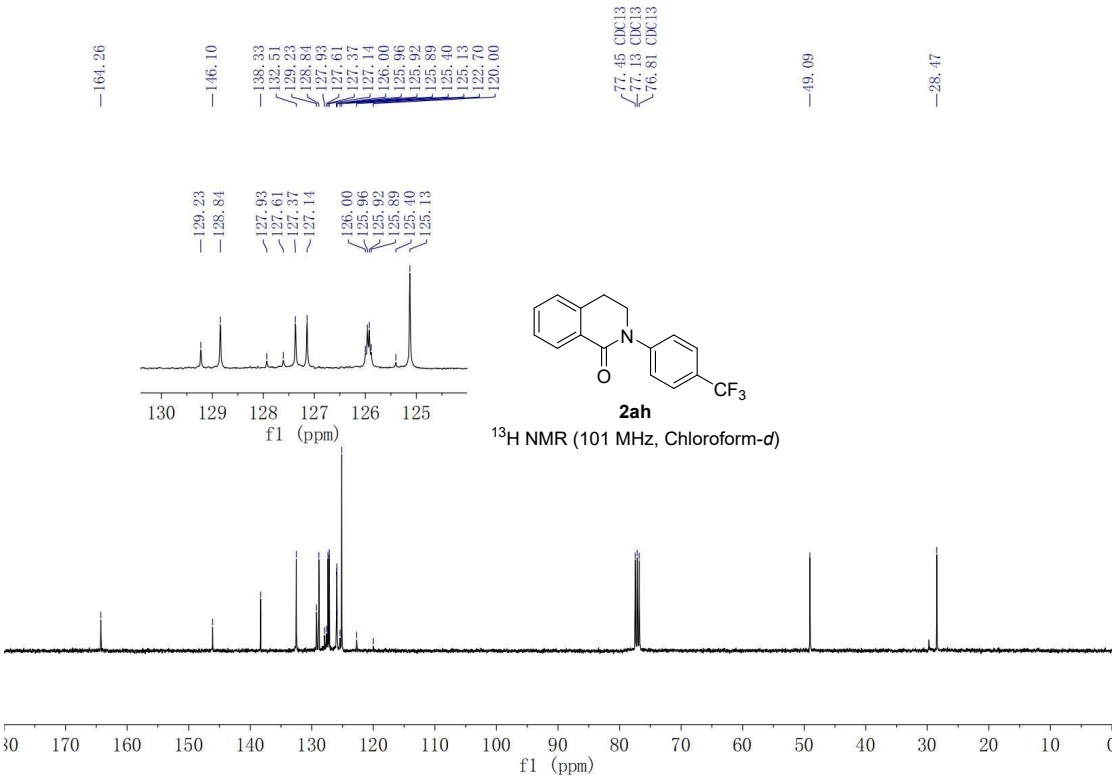
2ag

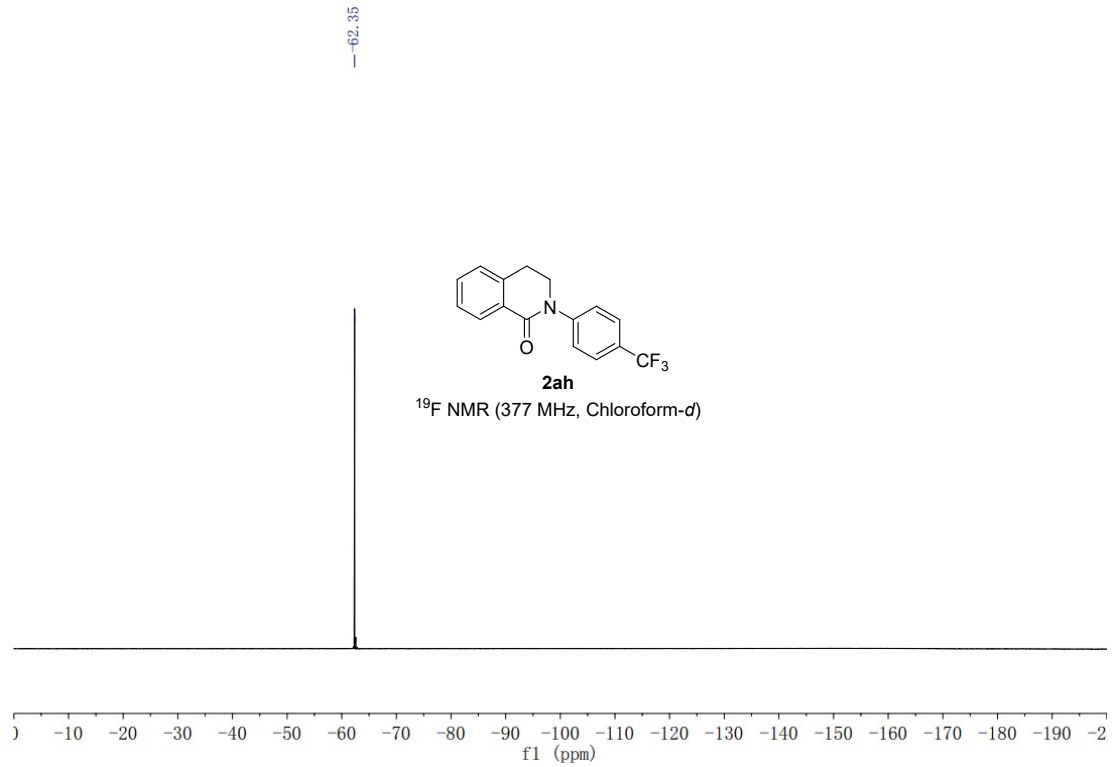
^{19}F NMR (377 MHz, Chloroform-*d*)

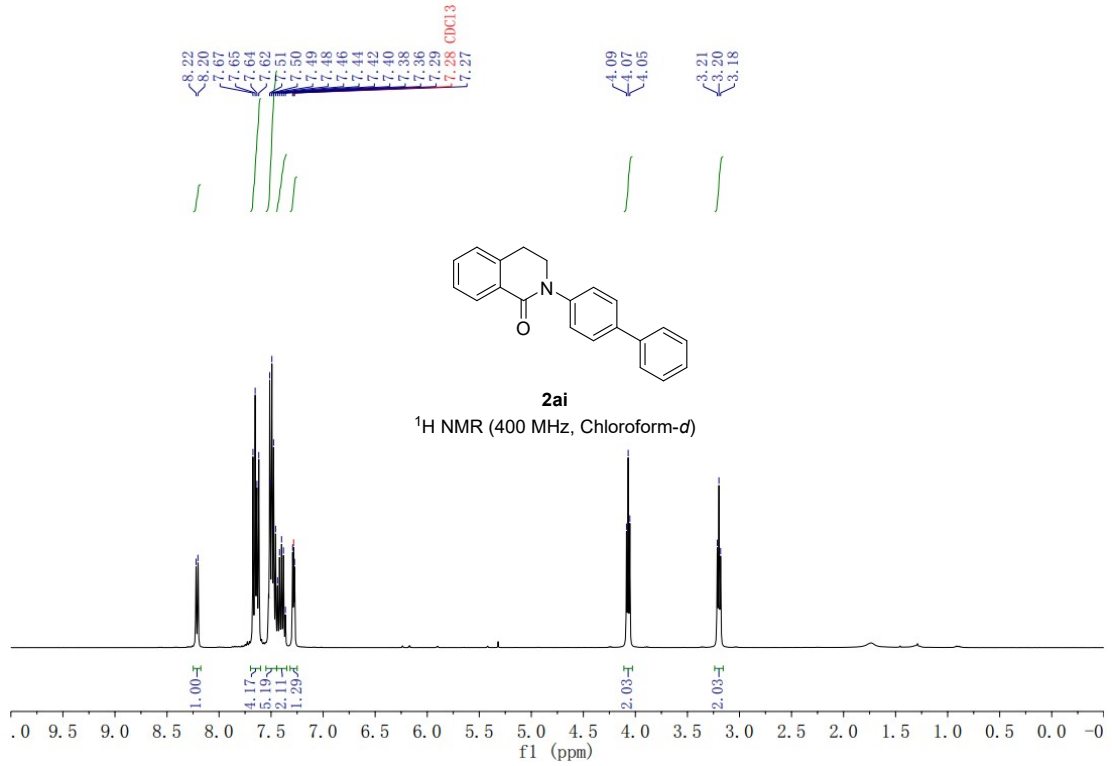


2ah

^{1}H NMR (400 MHz, Chloroform-*d*)

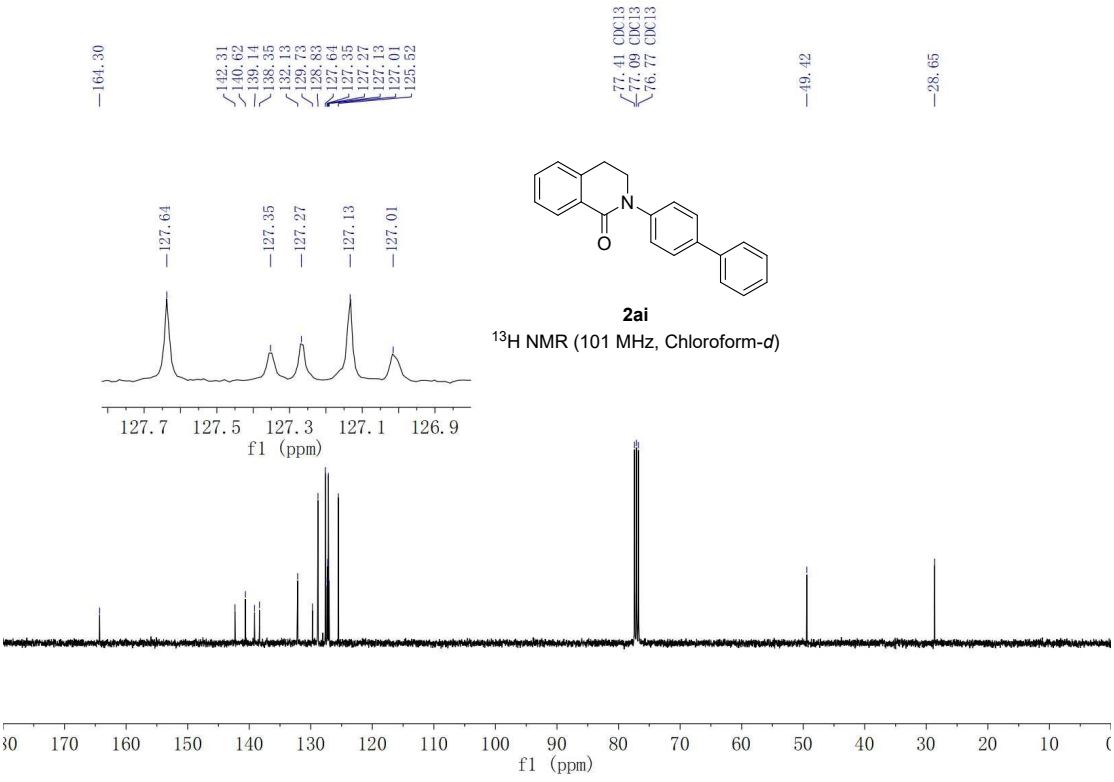

164.26
146.10
138.33
132.51
129.23
128.84
127.93
127.61
127.37
127.14
126.00
125.96
125.92
125.89
125.40
125.13
122.70
120.00
77.45 CDCl3
77.13 CDCl3
76.81 CDCl3
49.09
28.47
129.23
128.84
127.93
127.61
127.37
127.14
126.00
125.96
125.92
125.89
125.40
125.13
130 129 128 127 126 125
f1 (ppm)
O
N
CF3
2ah
13H NMR (101 MHz, Chloroform-d)
f1 (ppm)


62.35
O
N
CF3
2ah
19F NMR (377 MHz, Chloroform-d)
f1 (ppm)



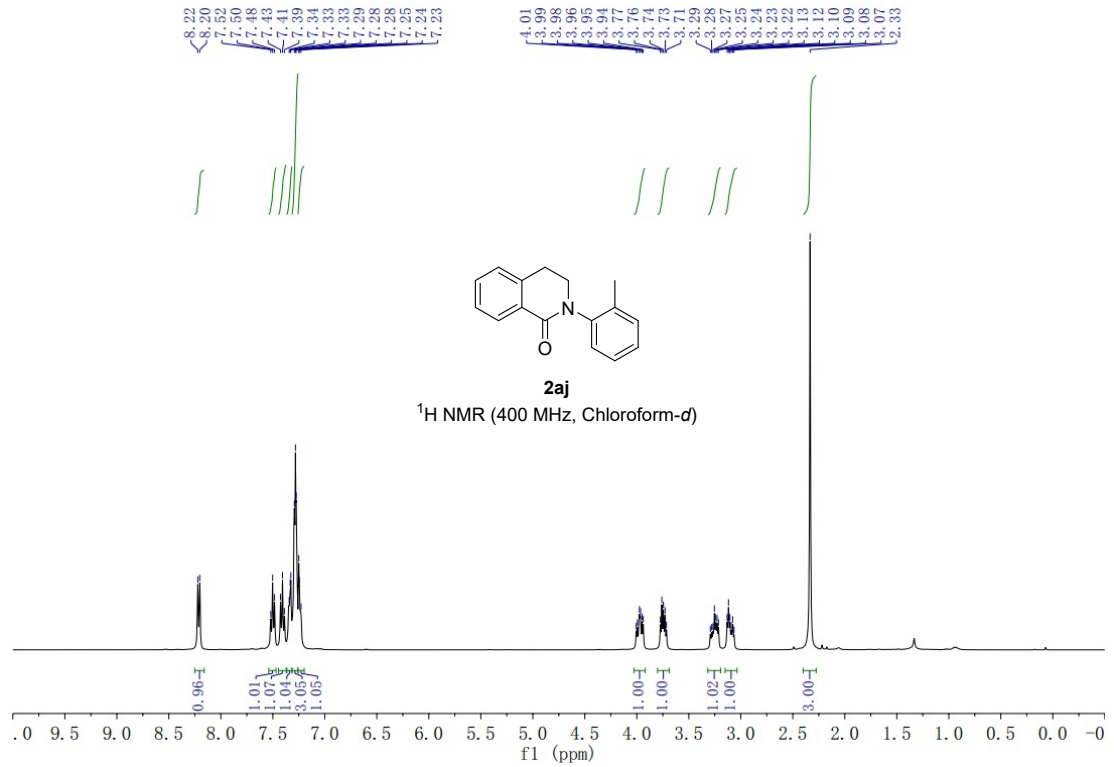
2ai

^{1}H NMR (400 MHz, Chloroform-*d*)



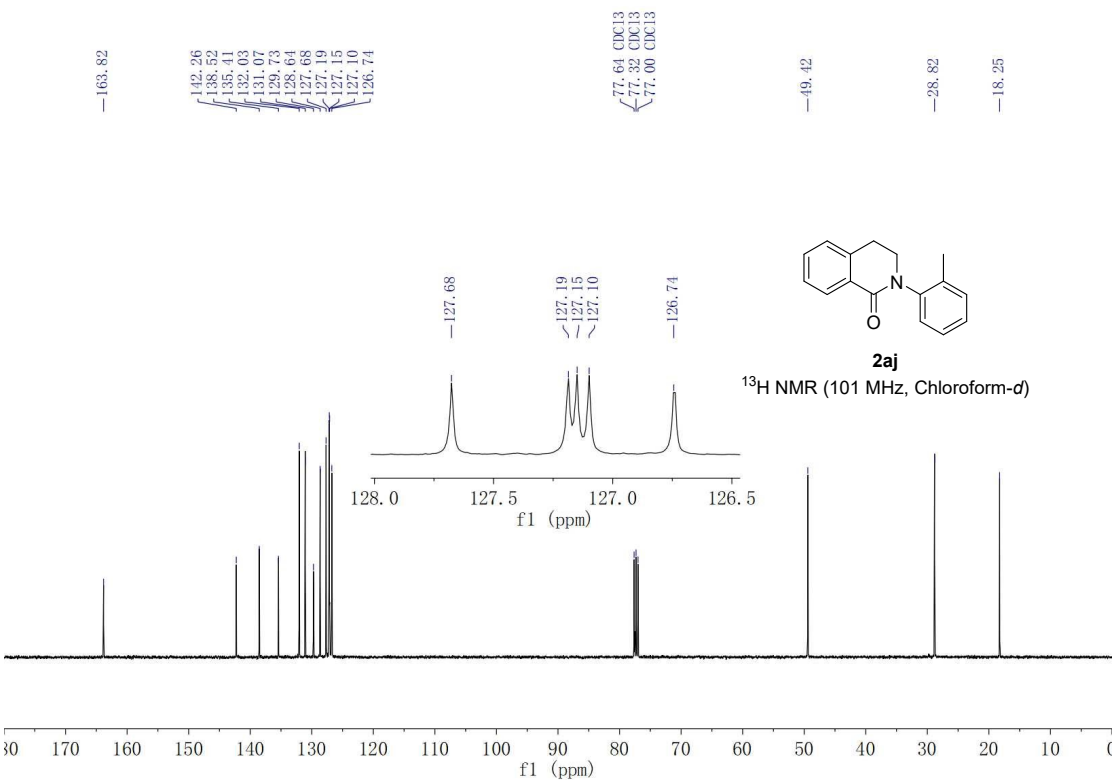
2ai

^{13}H NMR (101 MHz, Chloroform-*d*)



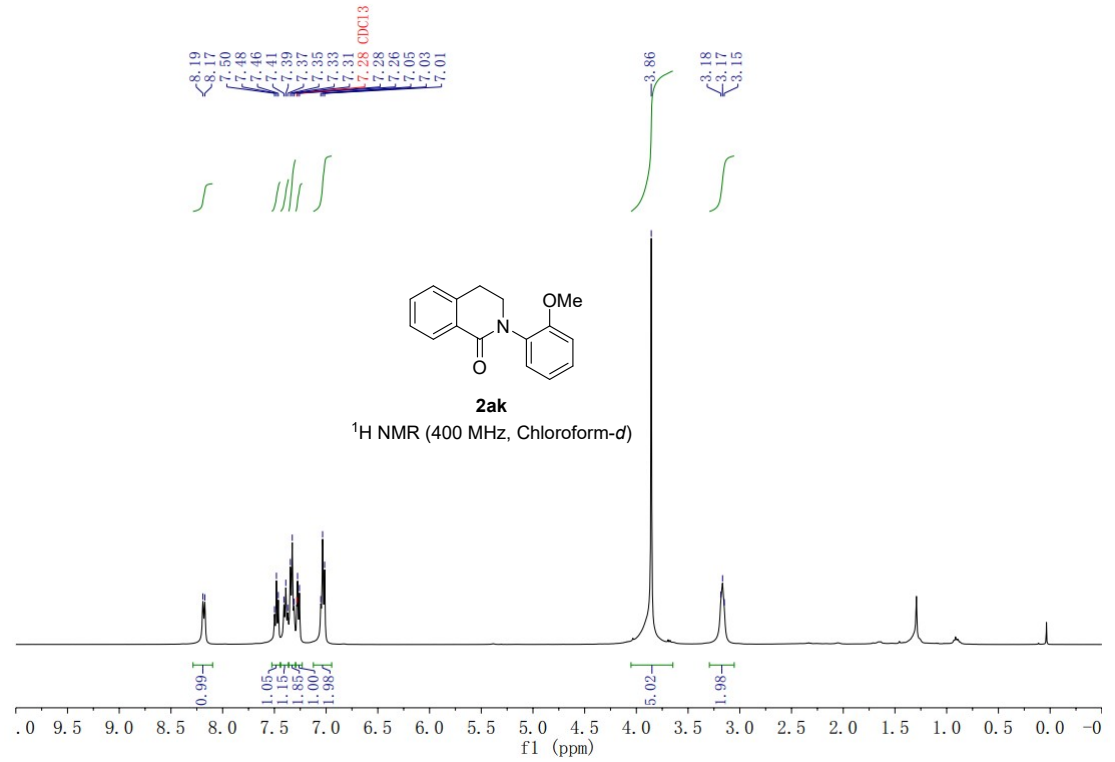
2aj

^{1}H NMR (400 MHz, Chloroform-*d*)



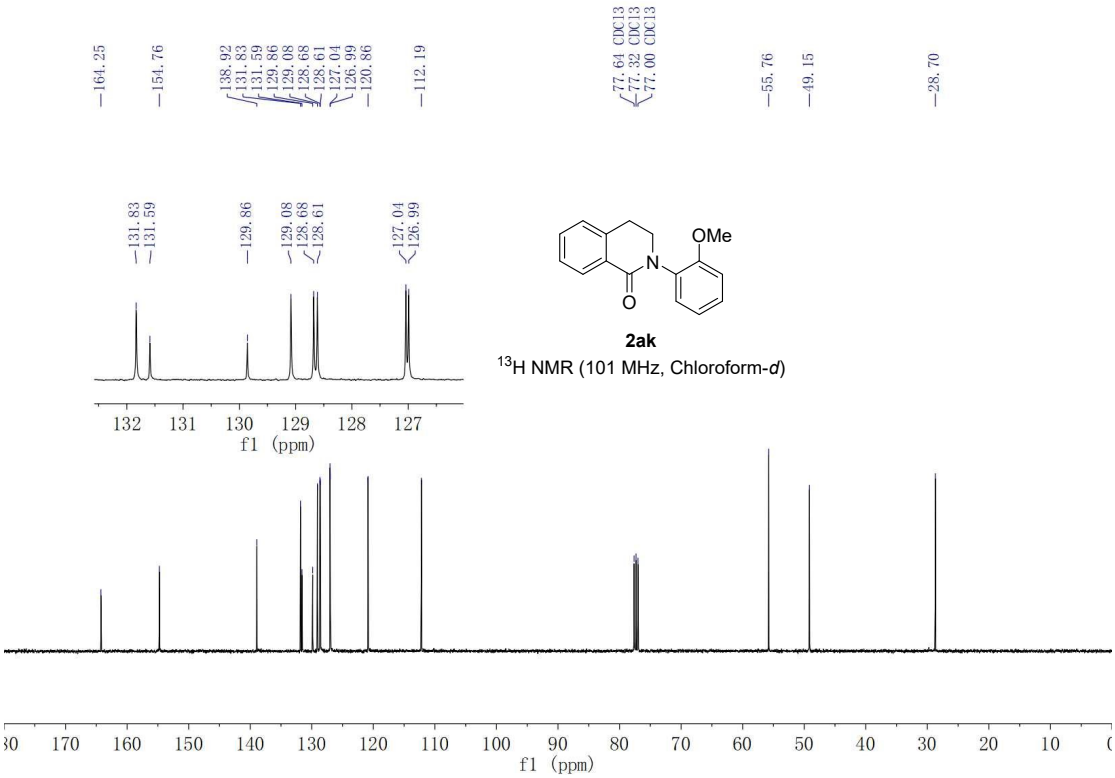
2aj

^{13}H NMR (101 MHz, Chloroform-*d*)



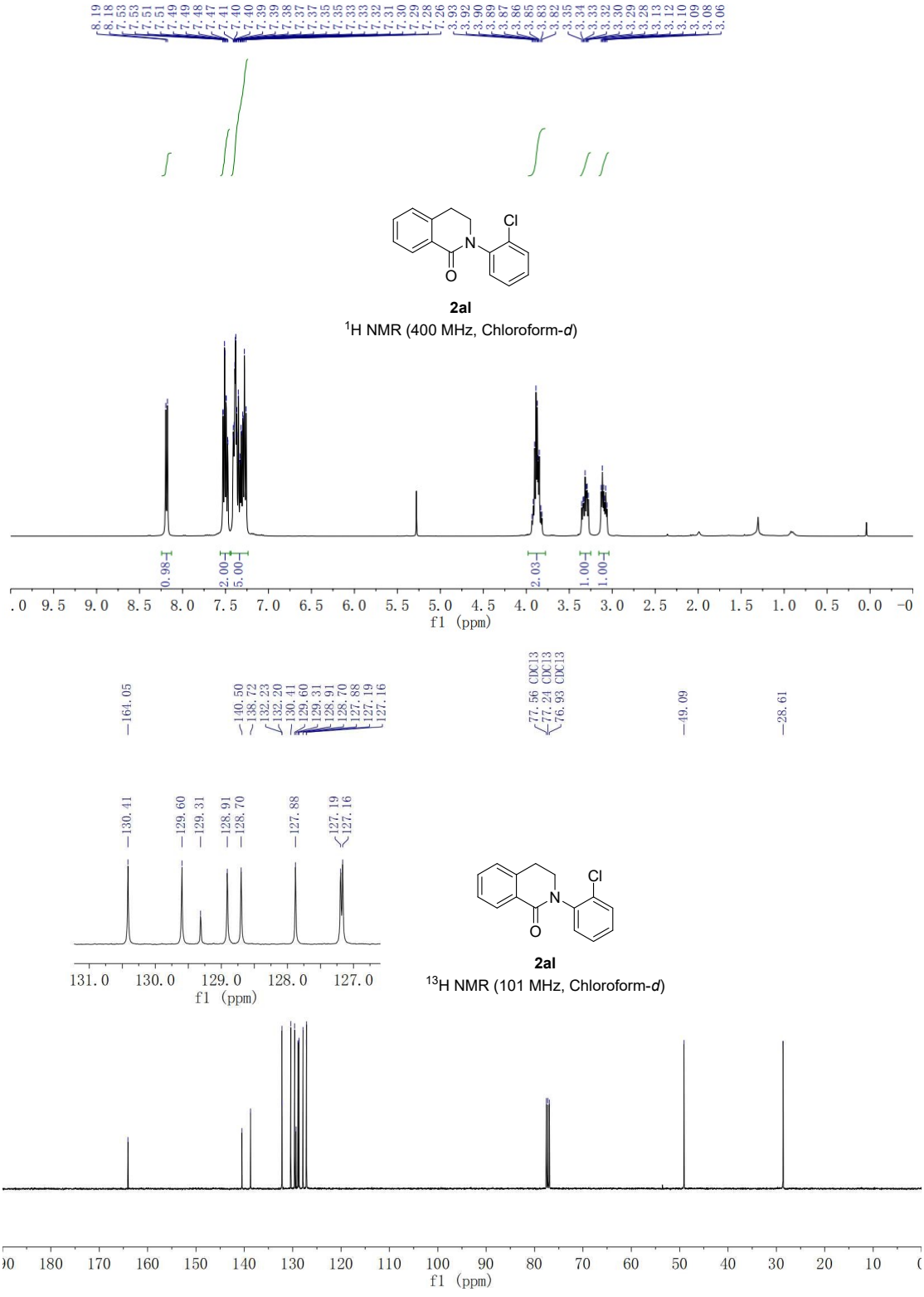
2ak

^{1}H NMR (400 MHz, Chloroform-*d*)



2ak

^{13}H NMR (101 MHz, Chloroform-*d*)

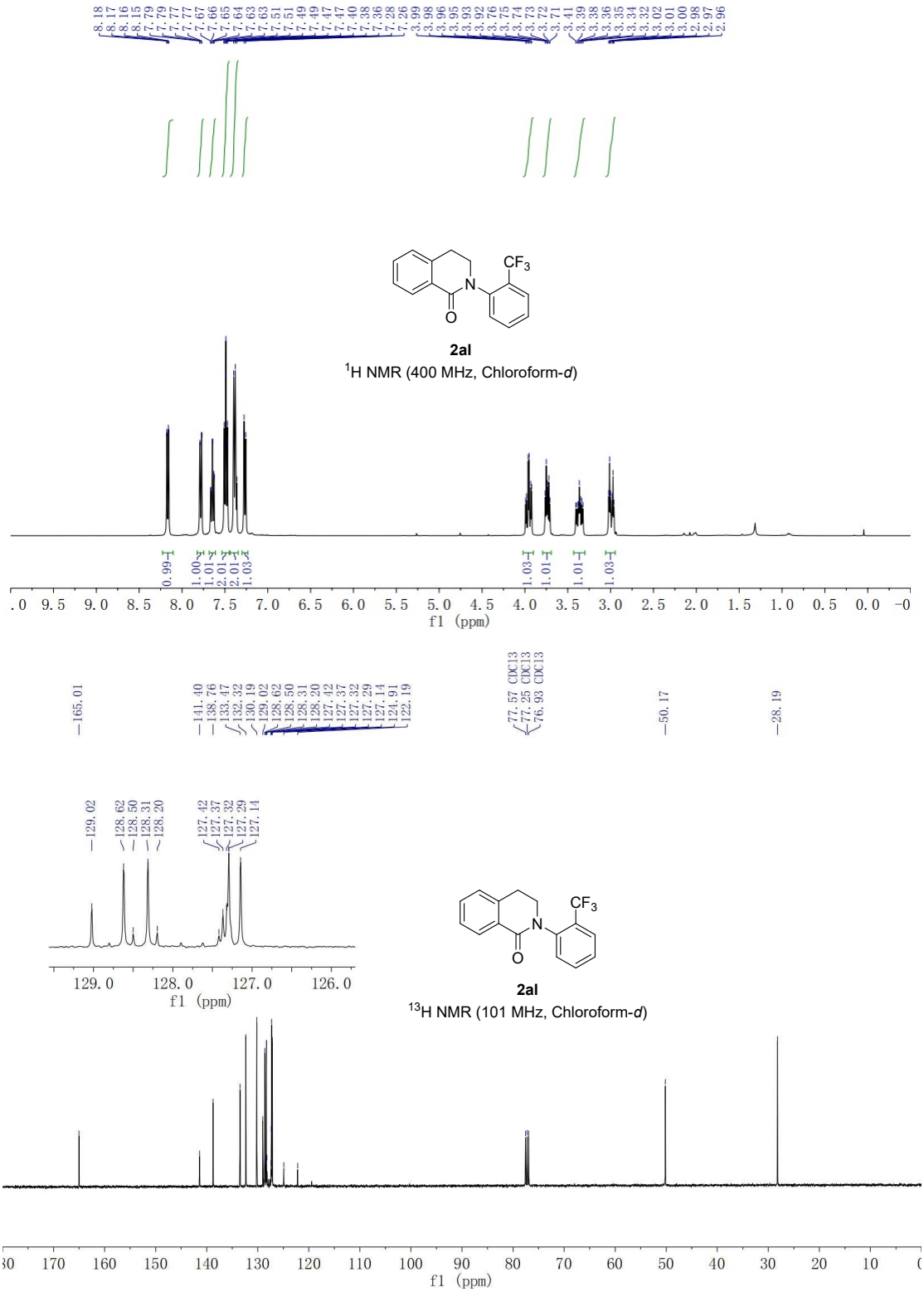


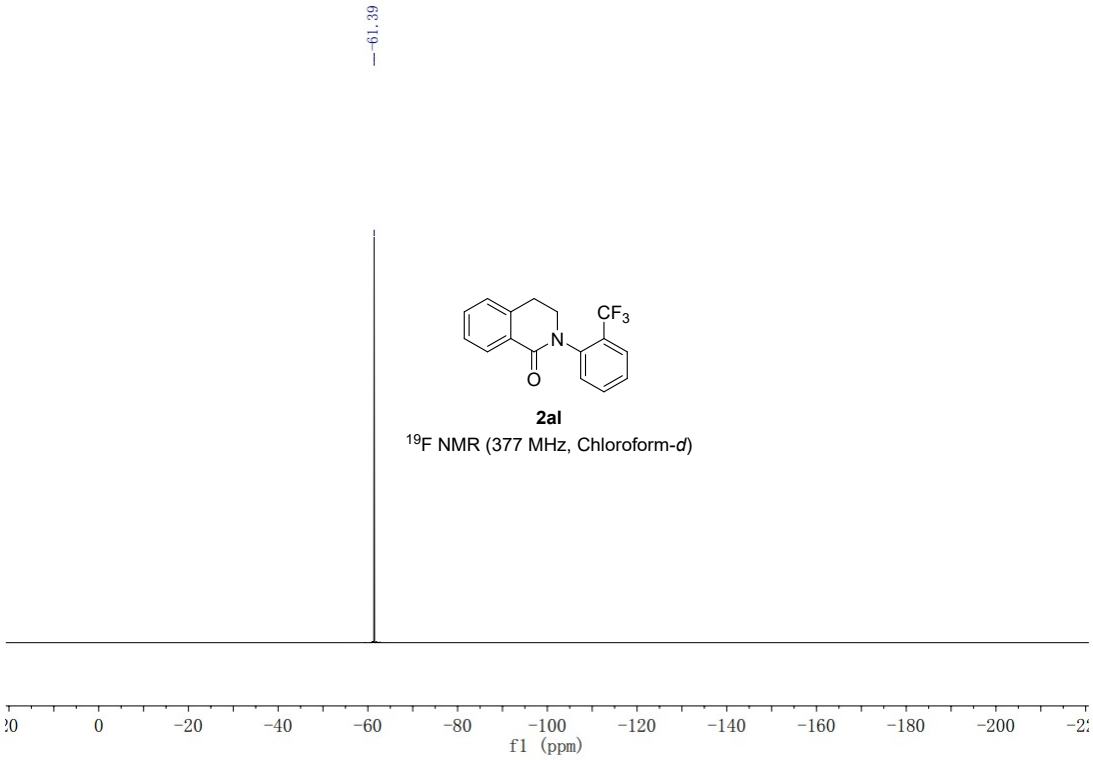
2al

^{1}H NMR (400 MHz, Chloroform-*d*)

2al

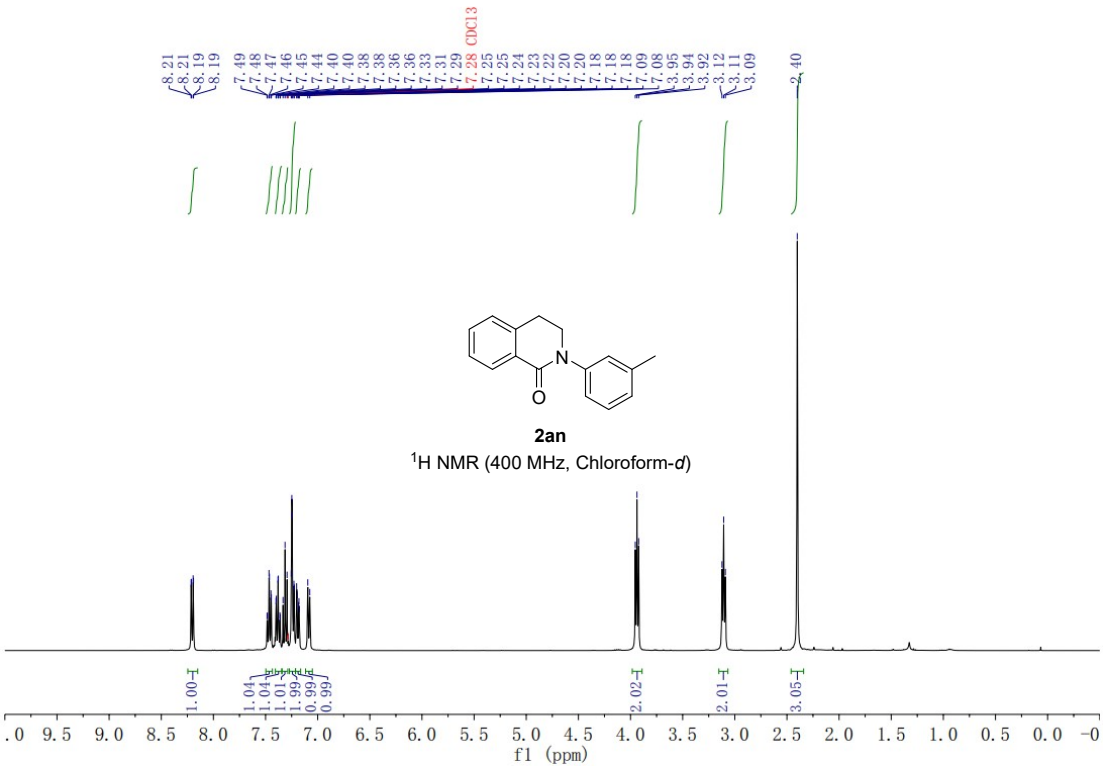
^{13}H NMR (101 MHz, Chloroform-*d*)


8.18 8.17 8.16 8.15 7.79 7.79 7.77 7.77 7.67 7.66 7.65 7.64 7.63 7.63 7.51 7.51 7.49 7.49 7.47 7.47 7.40 7.38 7.36 7.28 7.26 3.99 3.98 3.96 3.95 3.93 3.92 3.76 3.75 3.74 3.73 3.72 3.71 3.41 3.39 3.38 3.36 3.35 3.34 3.32 3.02 3.01 3.00 2.98 2.97 2.96
CF3
N
O
2al
1H NMR (400 MHz, Chloroform-d)
0.99 1.00 1.01 2.01 2.01 1.03 1.03 1.01 1.01 1.03
f1 (ppm)
165.01 141.40 138.76 133.47 132.32 130.19 129.02 128.62 128.50 128.31 128.20 127.42 127.37 127.32 127.29 127.14 124.91 122.19 77.57 CDCl3 77.25 CDCl3 76.93 CDCl3 50.17 28.19
129.02 128.62 128.50 128.31 128.20 127.42 127.37 127.32 127.29 127.14
129.0 128.0 127.0 126.0
f1 (ppm)
CF3
N
O
2al
13H NMR (101 MHz, Chloroform-d)
f1 (ppm)



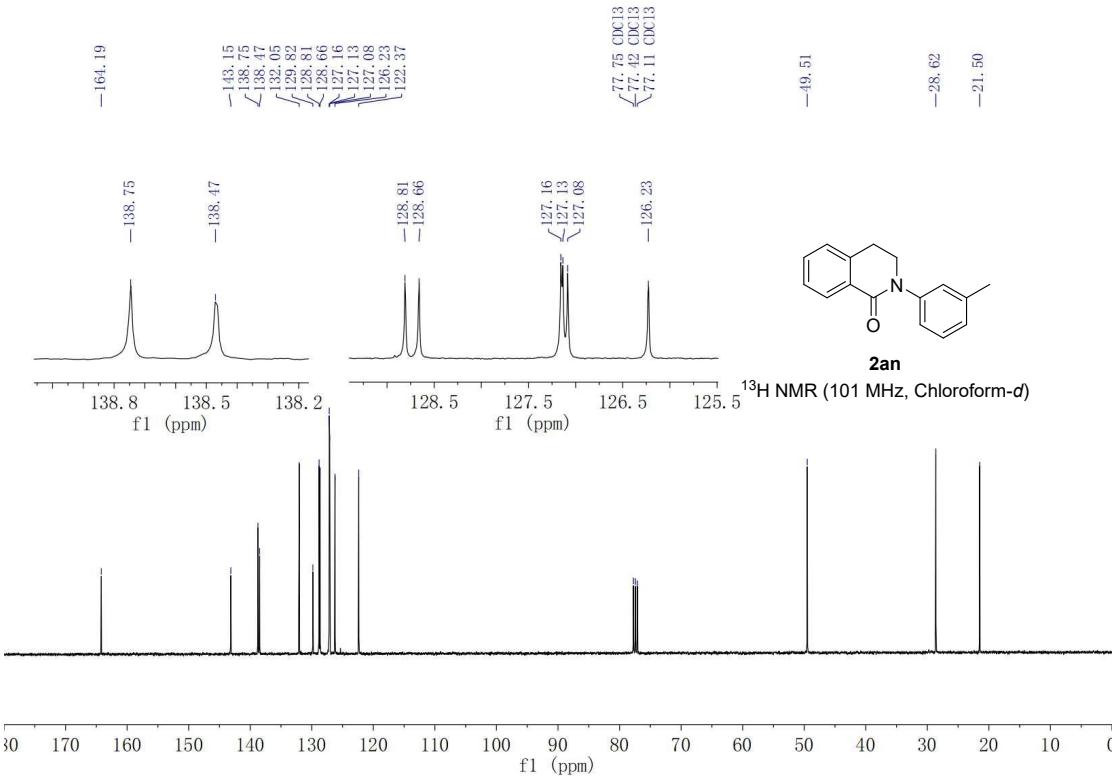
2al

^{19}F NMR (377 MHz, Chloroform-*d*)



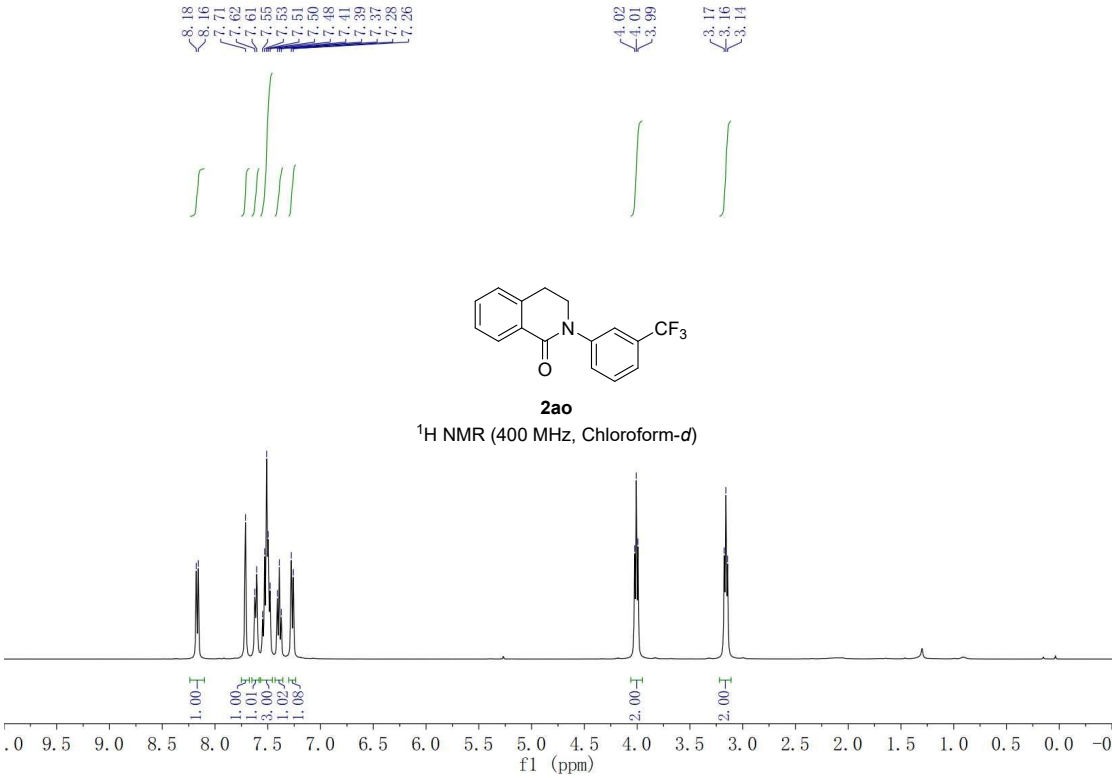
2an

^{1}H NMR (400 MHz, Chloroform-*d*)



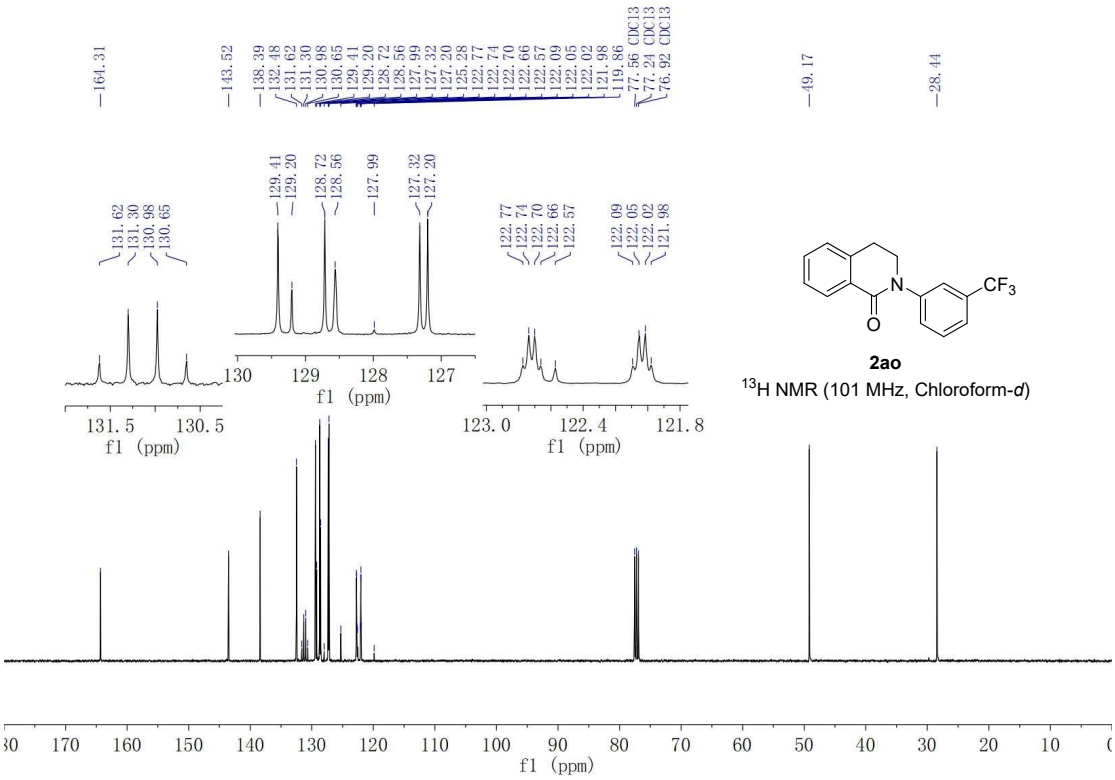
2an

^{13}H NMR (101 MHz, Chloroform-*d*)



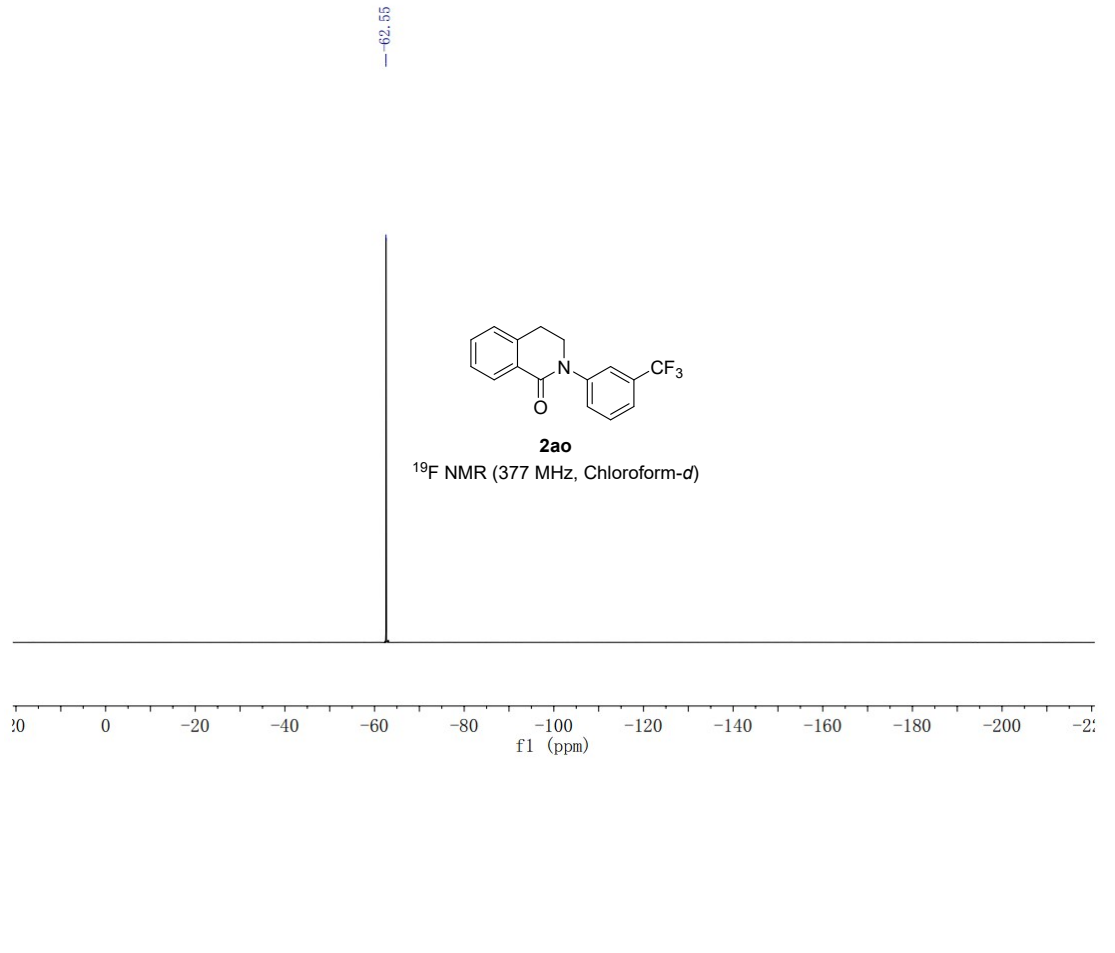
2ao

^{1}H NMR (400 MHz, Chloroform-*d*)



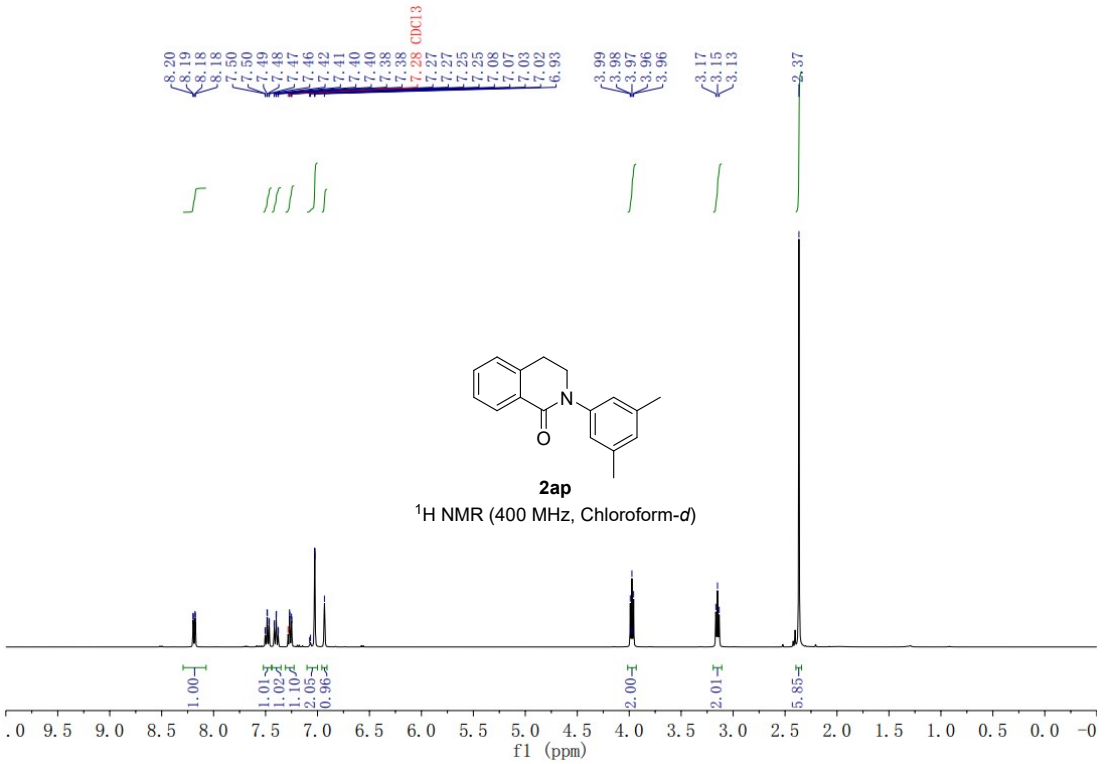
2ao

^{13}H NMR (101 MHz, Chloroform-*d*)



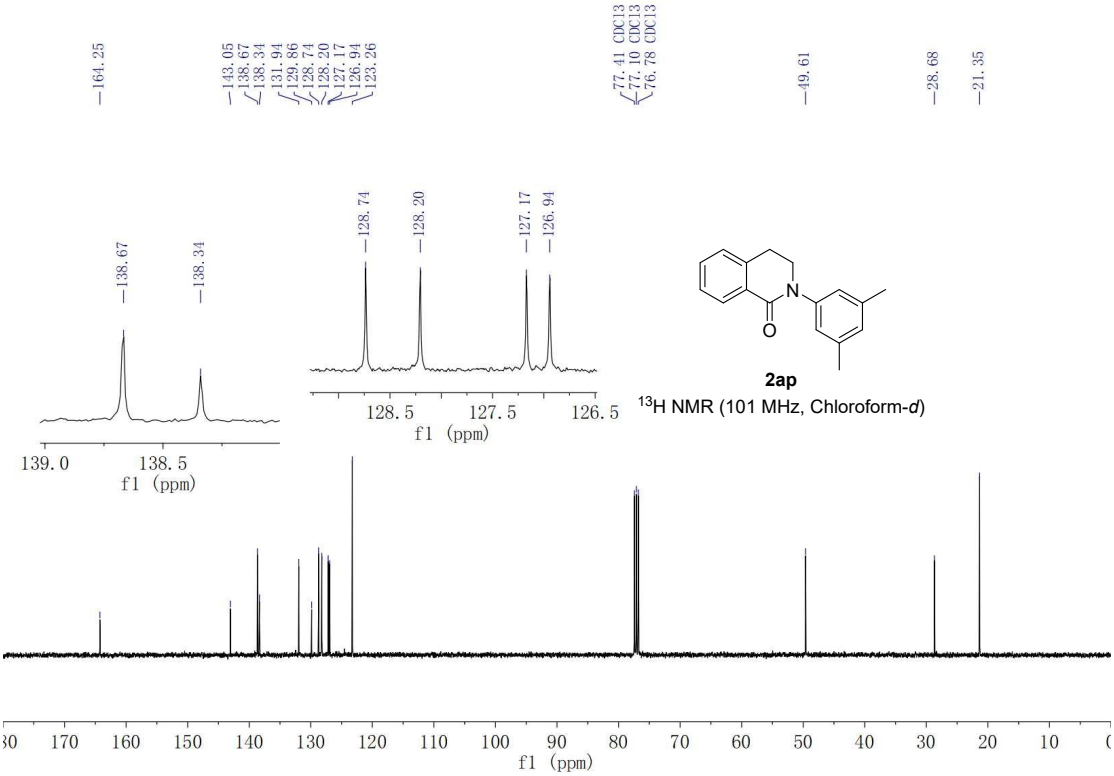
2ao

^{19}F NMR (377 MHz, Chloroform-*d*)



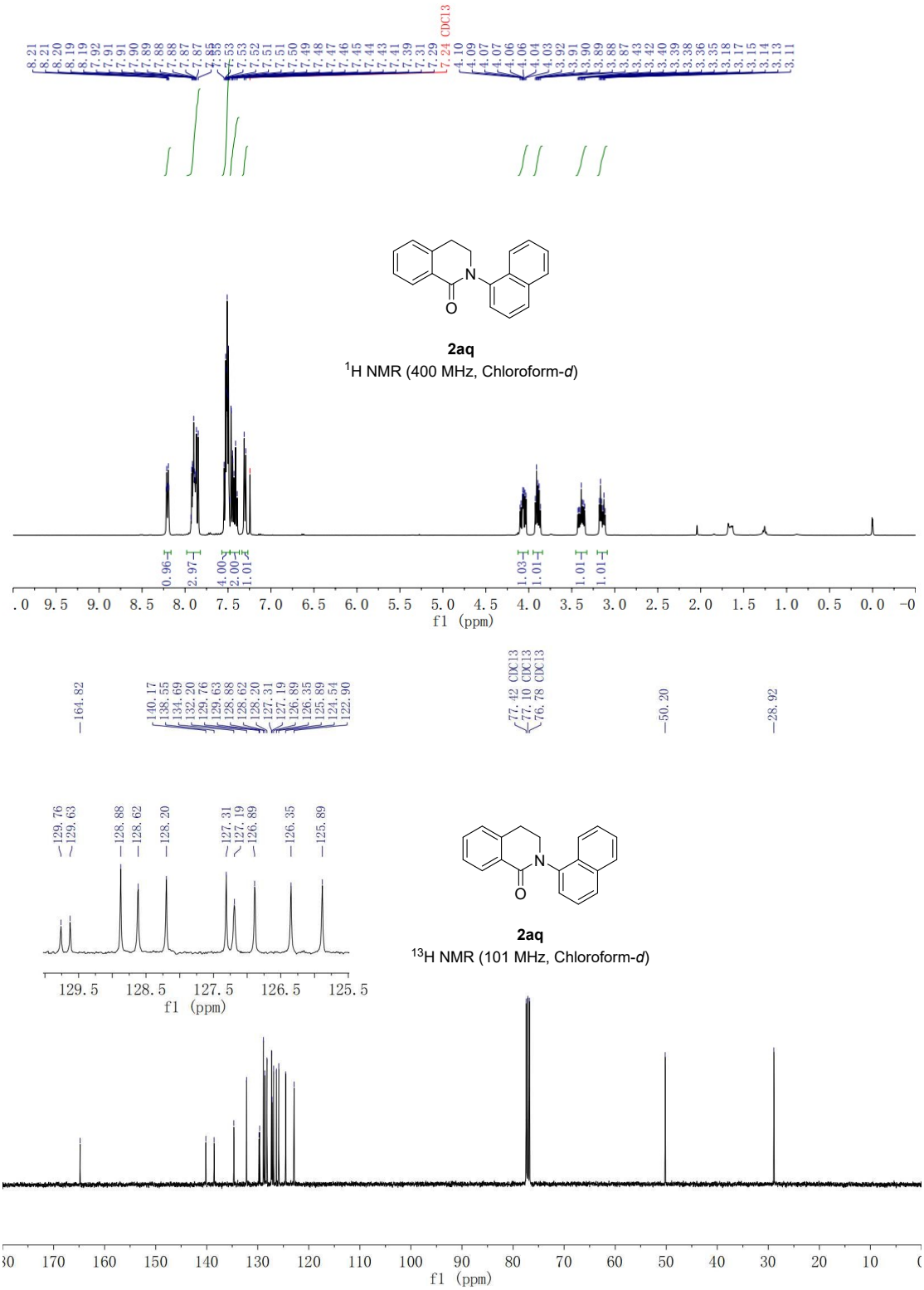
2ap

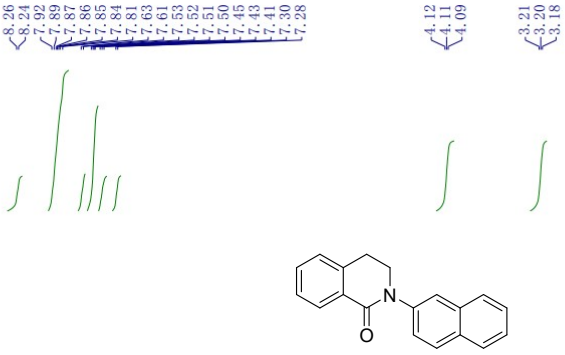
^{1}H NMR (400 MHz, Chloroform-*d*)



2ap

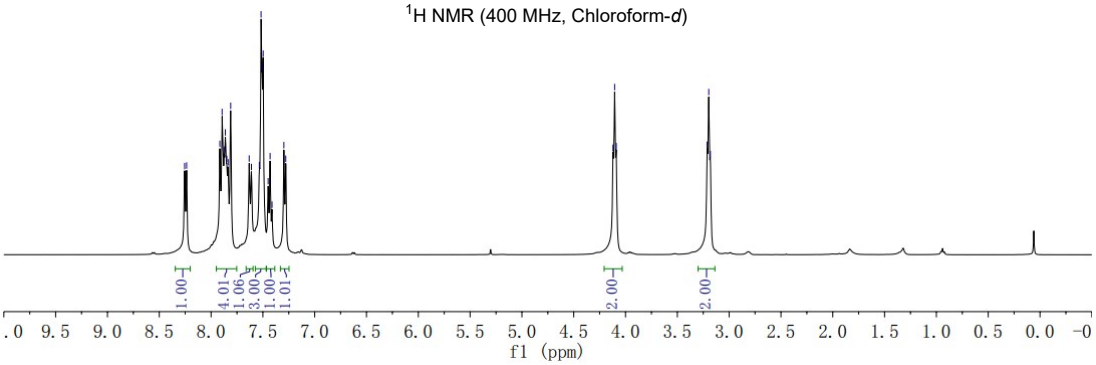
^{13}H NMR (101 MHz, Chloroform-*d*)

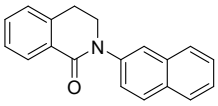

2aq
1H NMR (400 MHz, Chloroform-d)
f1 (ppm)
2aq
13H NMR (101 MHz, Chloroform-d)
f1 (ppm)



2ar

^{1}H NMR (400 MHz, Chloroform-*d*)



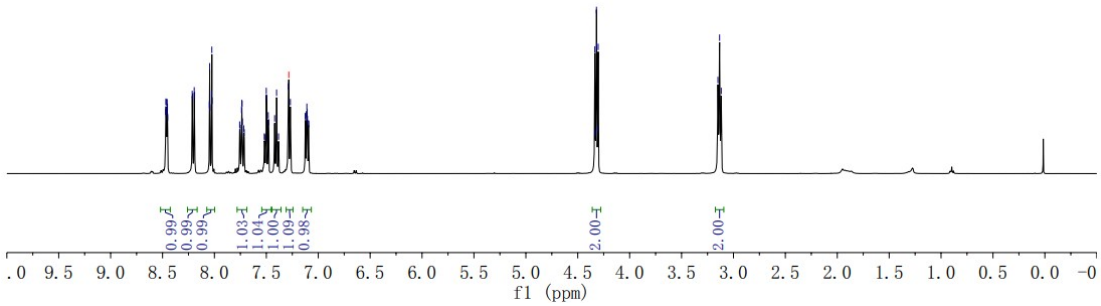


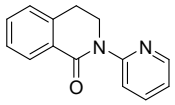
2ar

^{13}H NMR (101 MHz, Chloroform-*d*)

2as

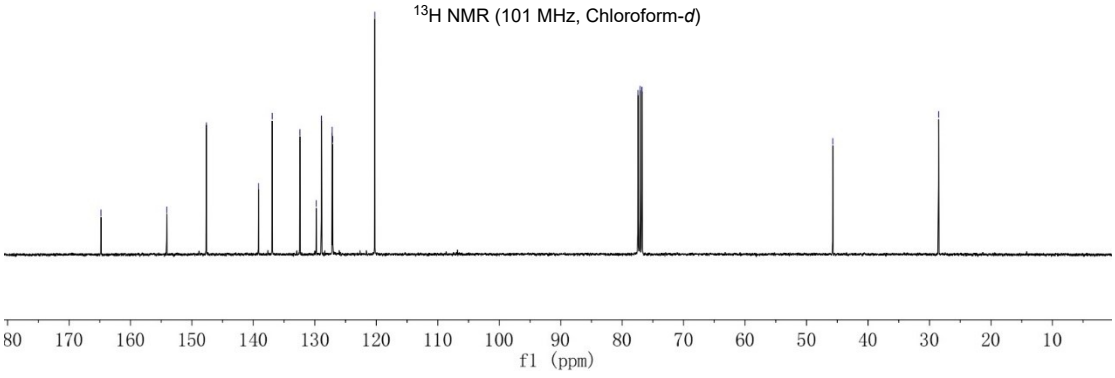
^{1}H NMR (400 MHz, Chloroform-*d*)

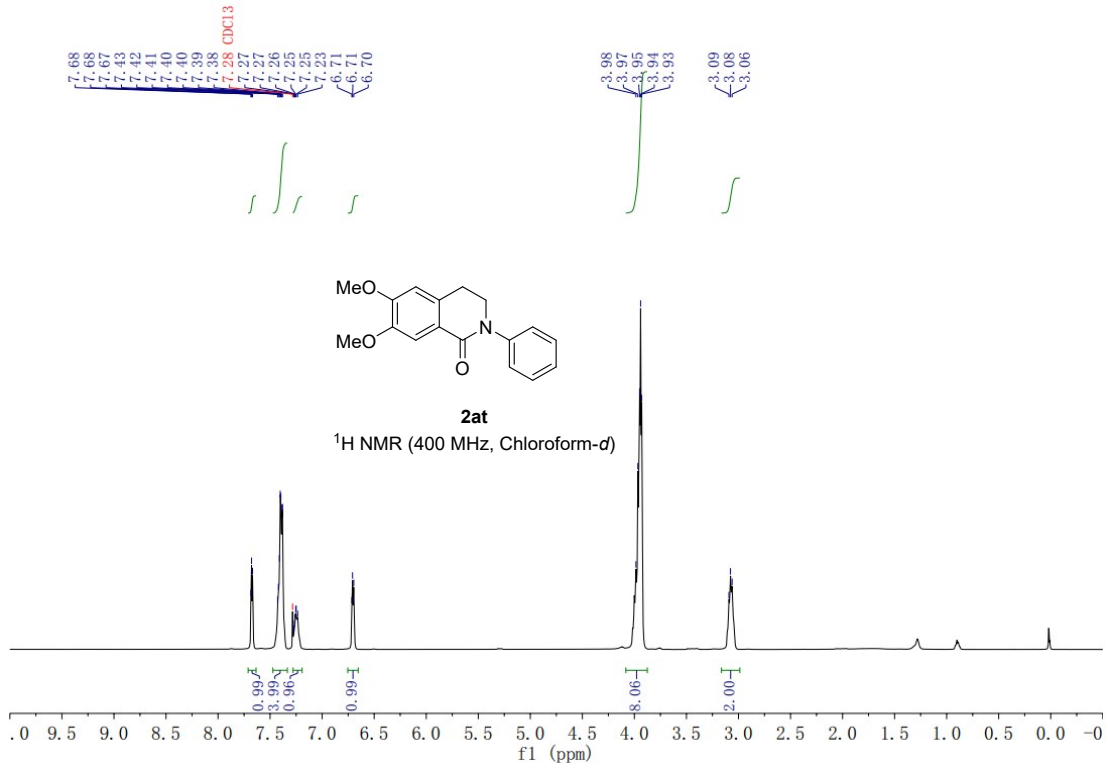




2as

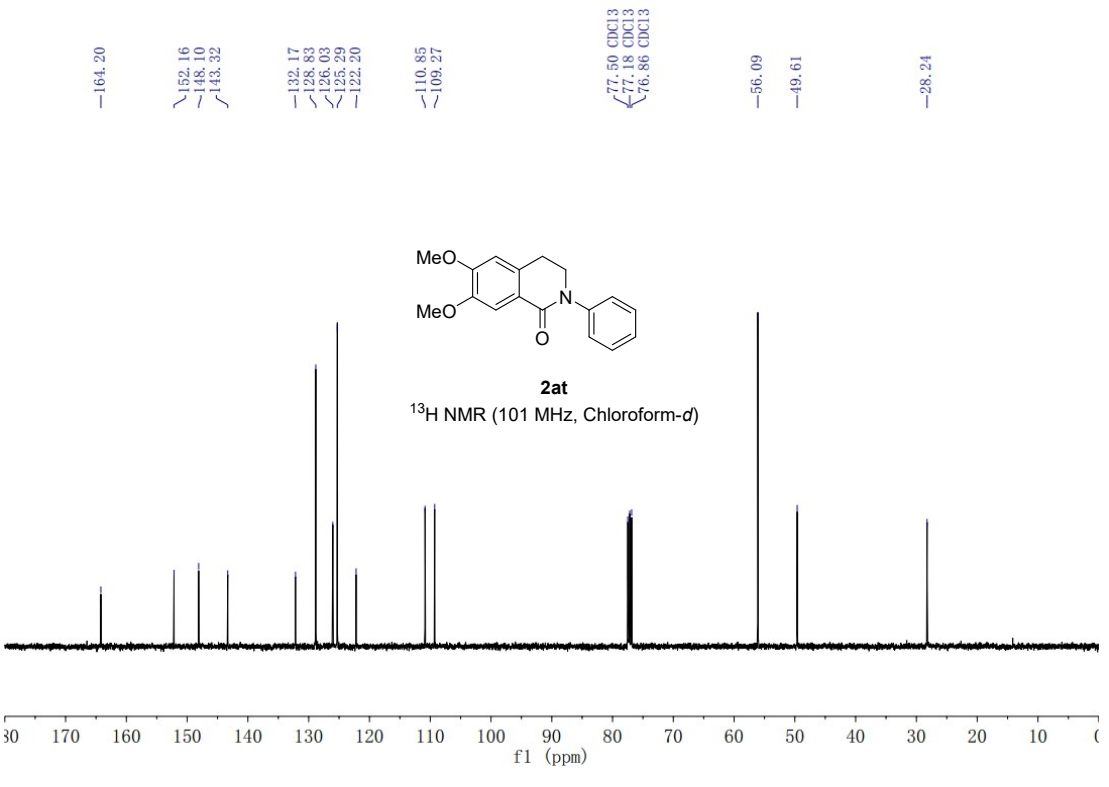
^{13}H NMR (101 MHz, Chloroform-*d*)





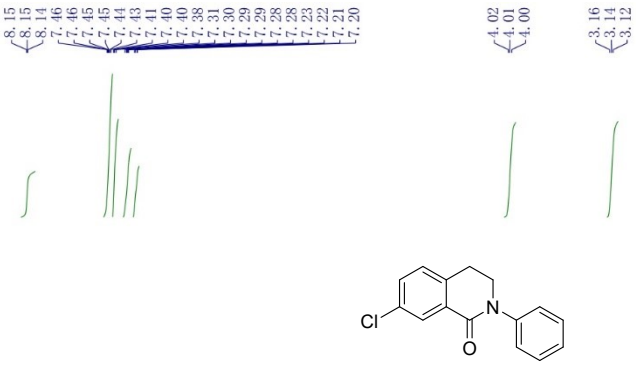
2at

^{1}H NMR (400 MHz, Chloroform-*d*)



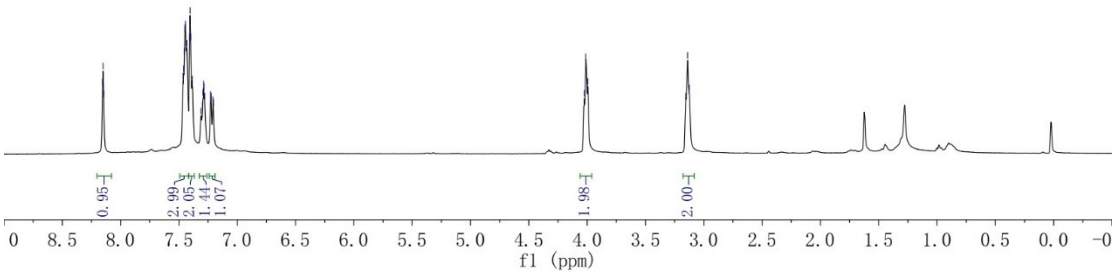
2at

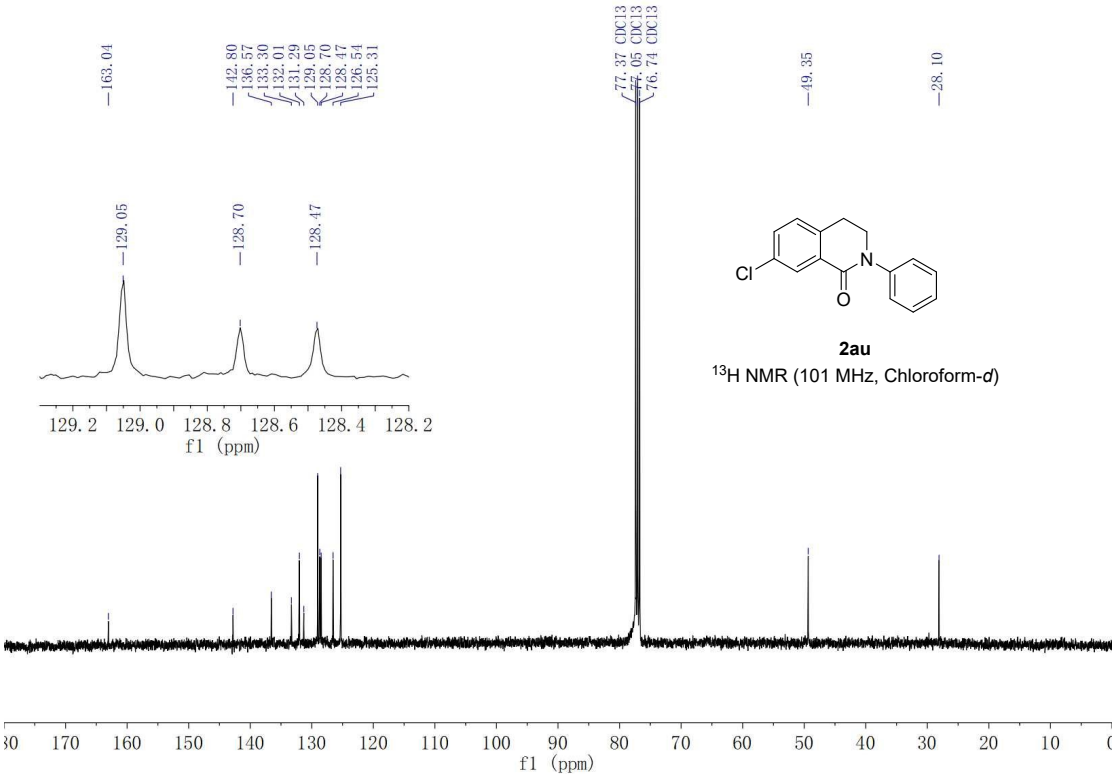
^{13}H NMR (101 MHz, Chloroform-*d*)



2au

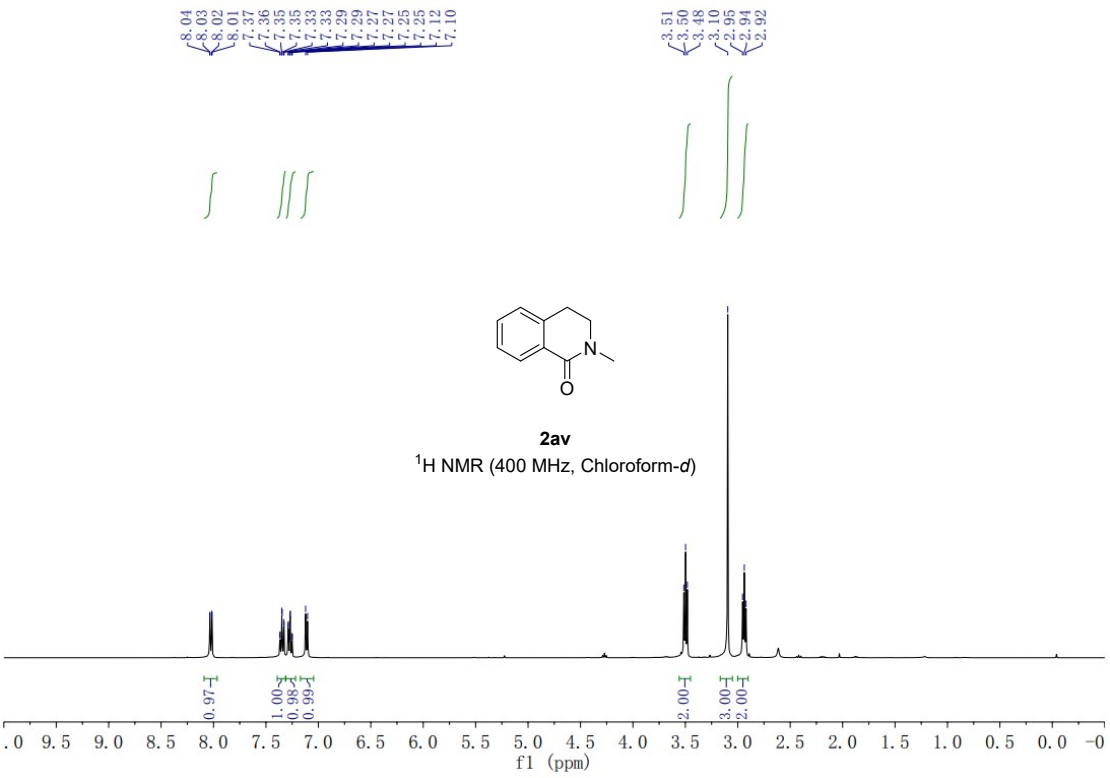
^{1}H NMR (400 MHz, Chloroform-*d*)





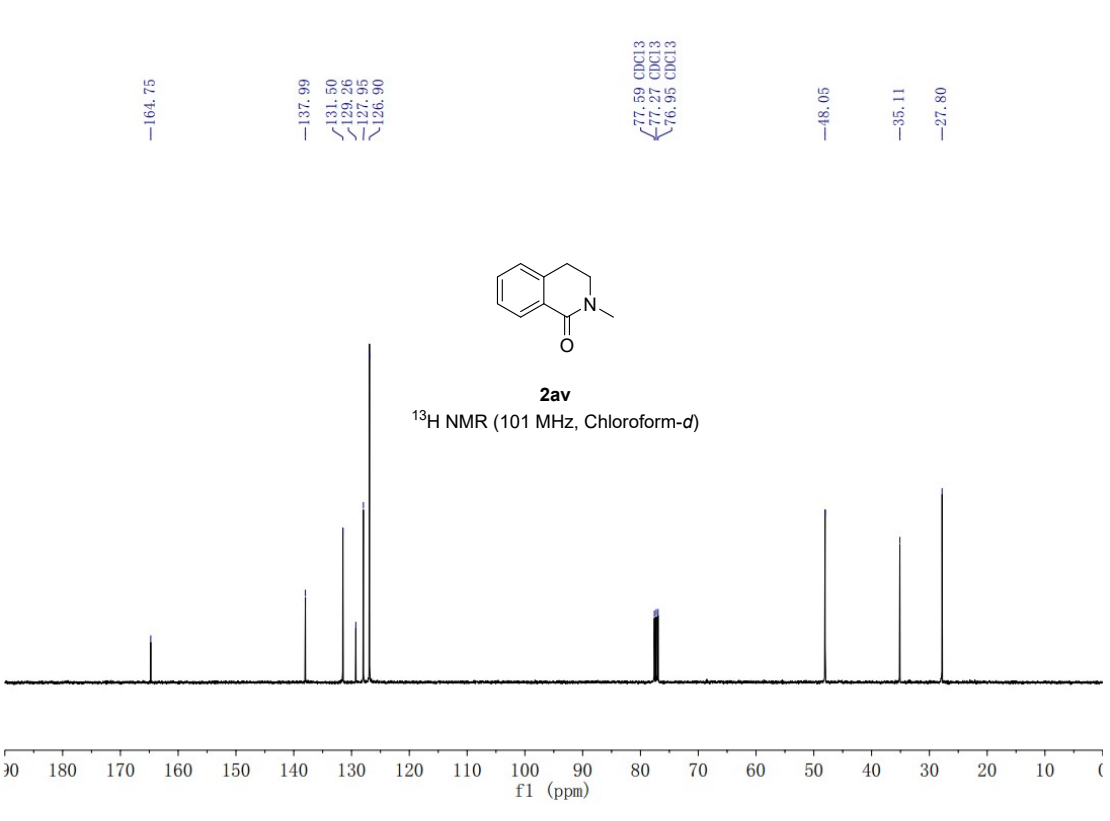
2au

^{13}H NMR (101 MHz, Chloroform-*d*)



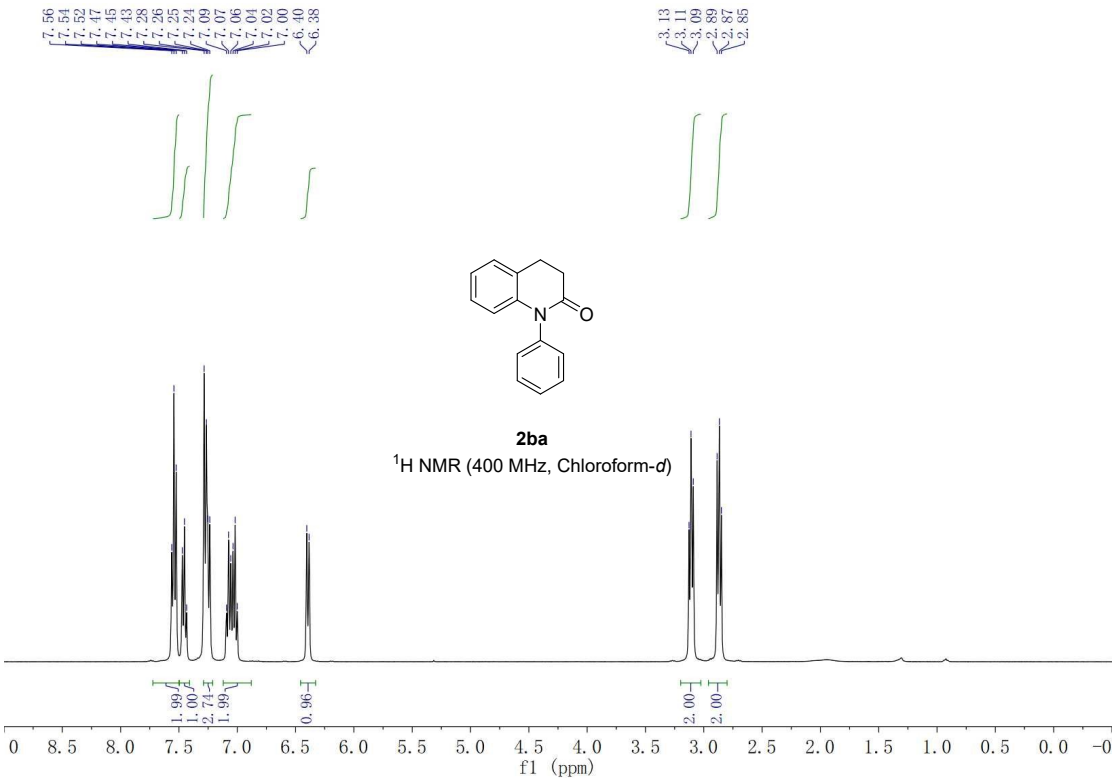
2av

^{1}H NMR (400 MHz, Chloroform-*d*)



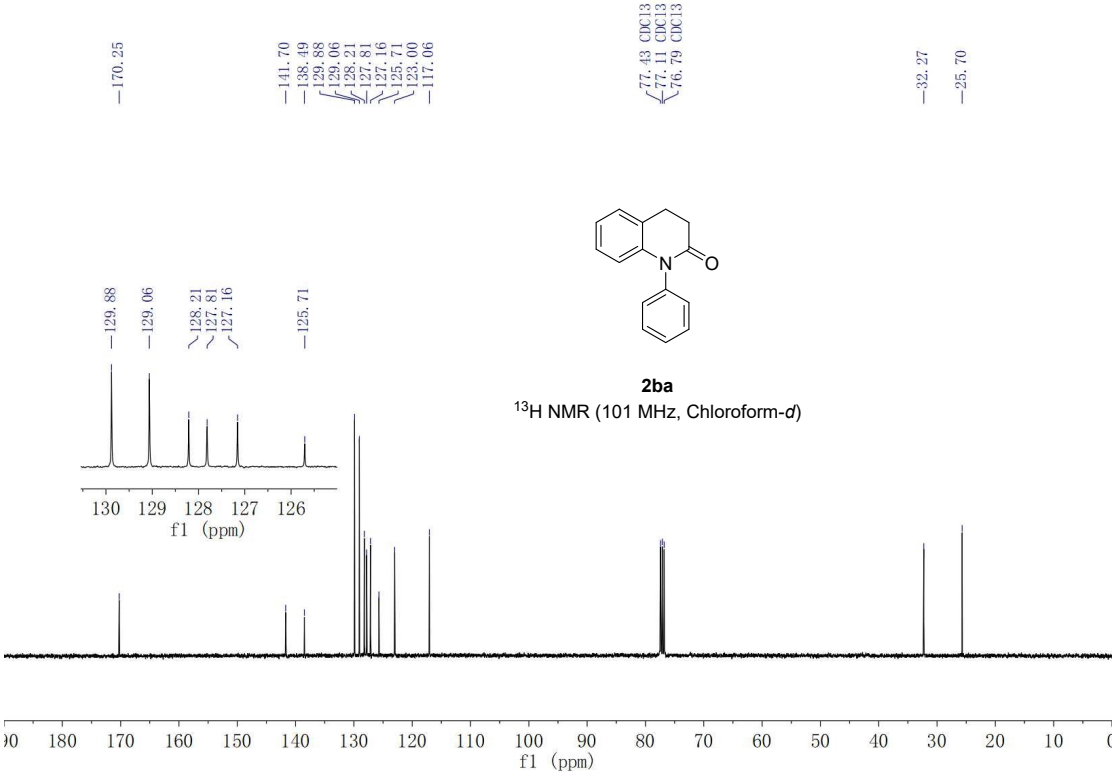
2av

^{13}H NMR (101 MHz, Chloroform-*d*)



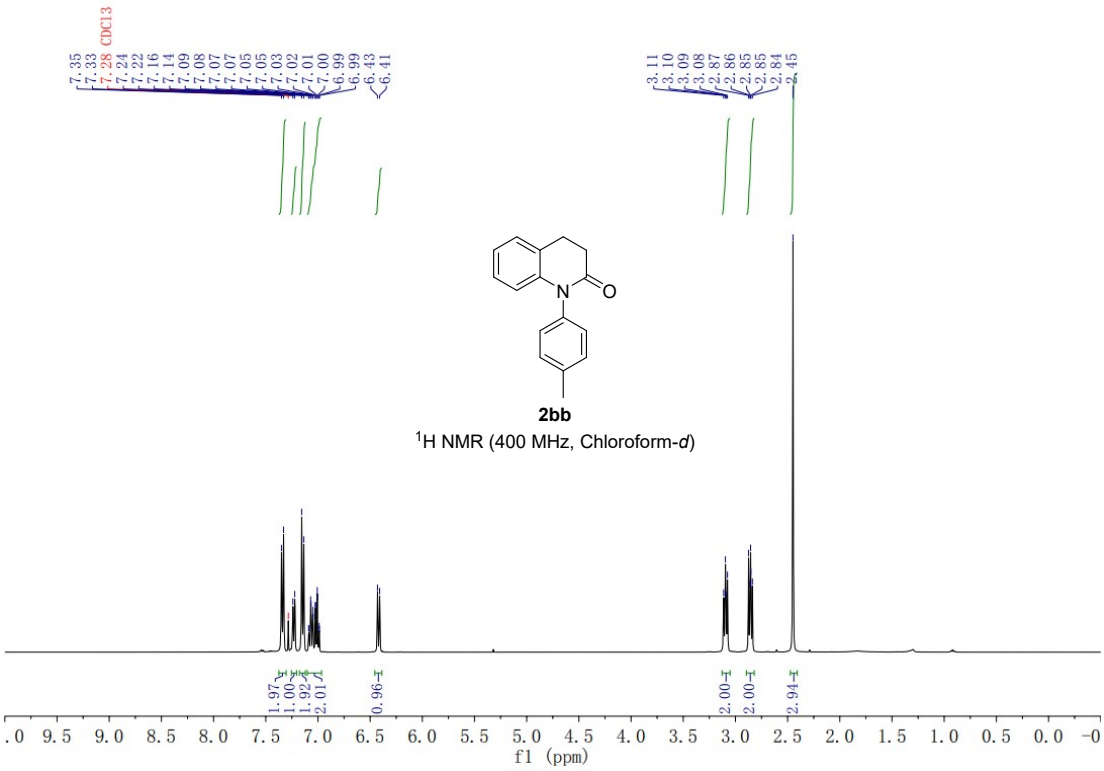
2ba

^{1}H NMR (400 MHz, Chloroform-*d*)



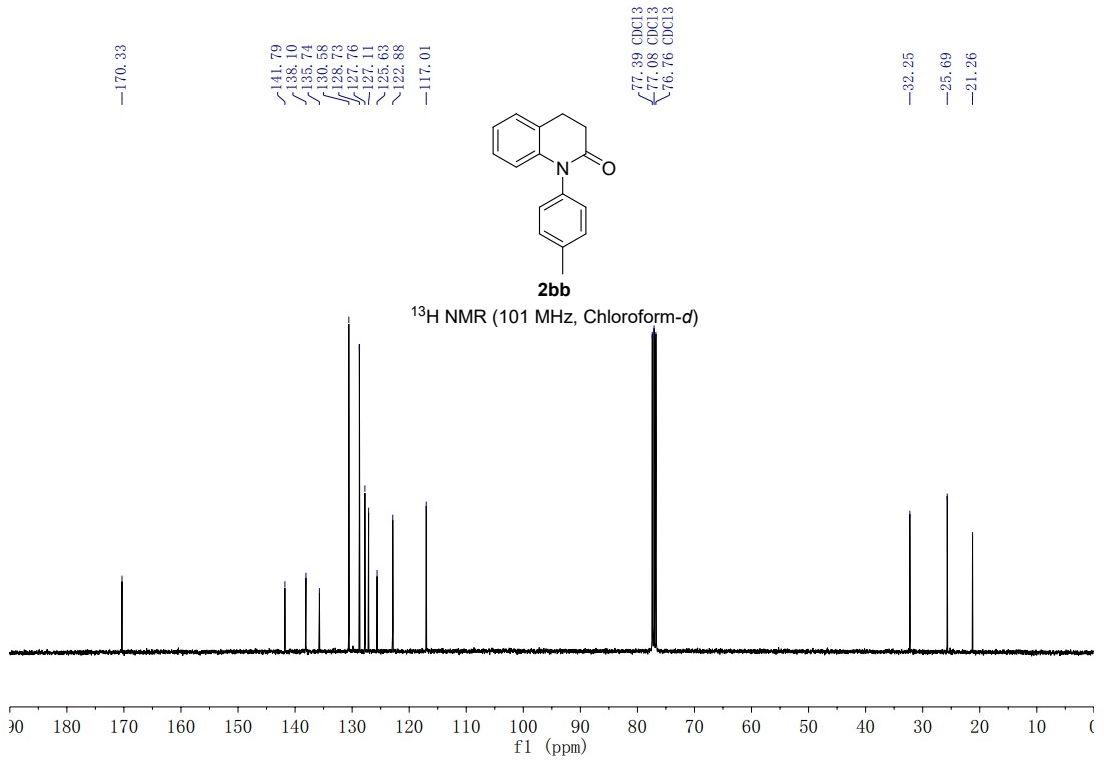
2ba

^{13}H NMR (101 MHz, Chloroform-*d*)



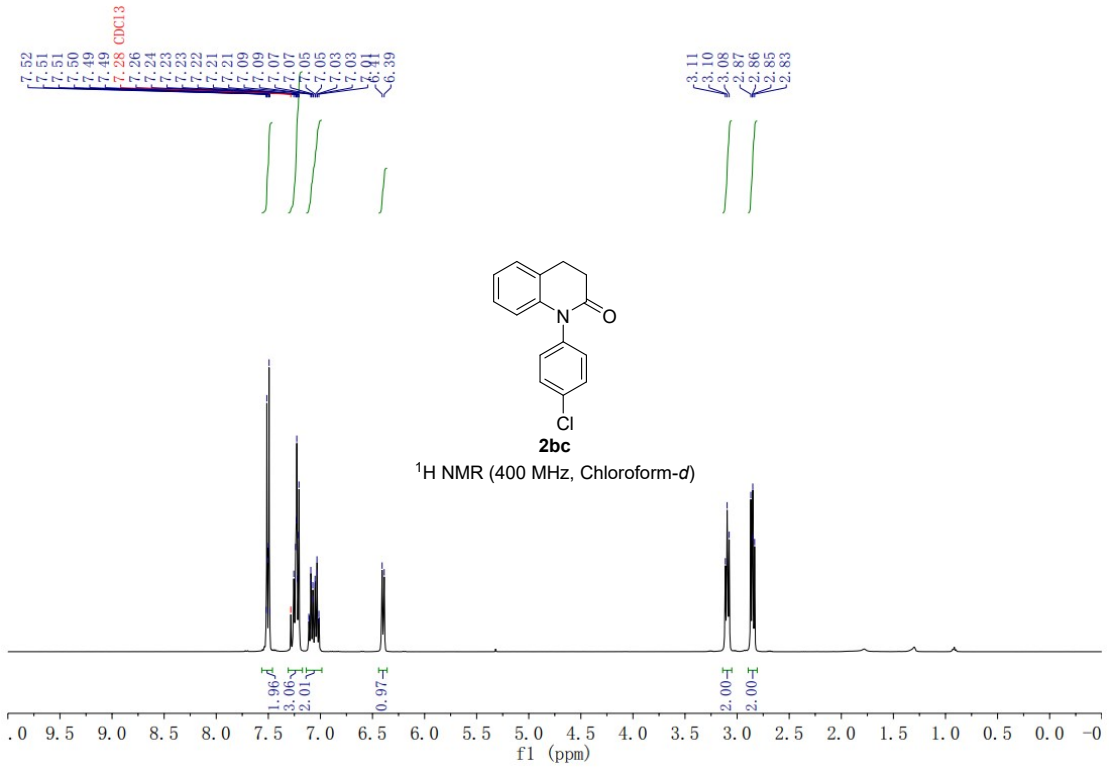
2bb

^{1}H NMR (400 MHz, Chloroform-*d*)



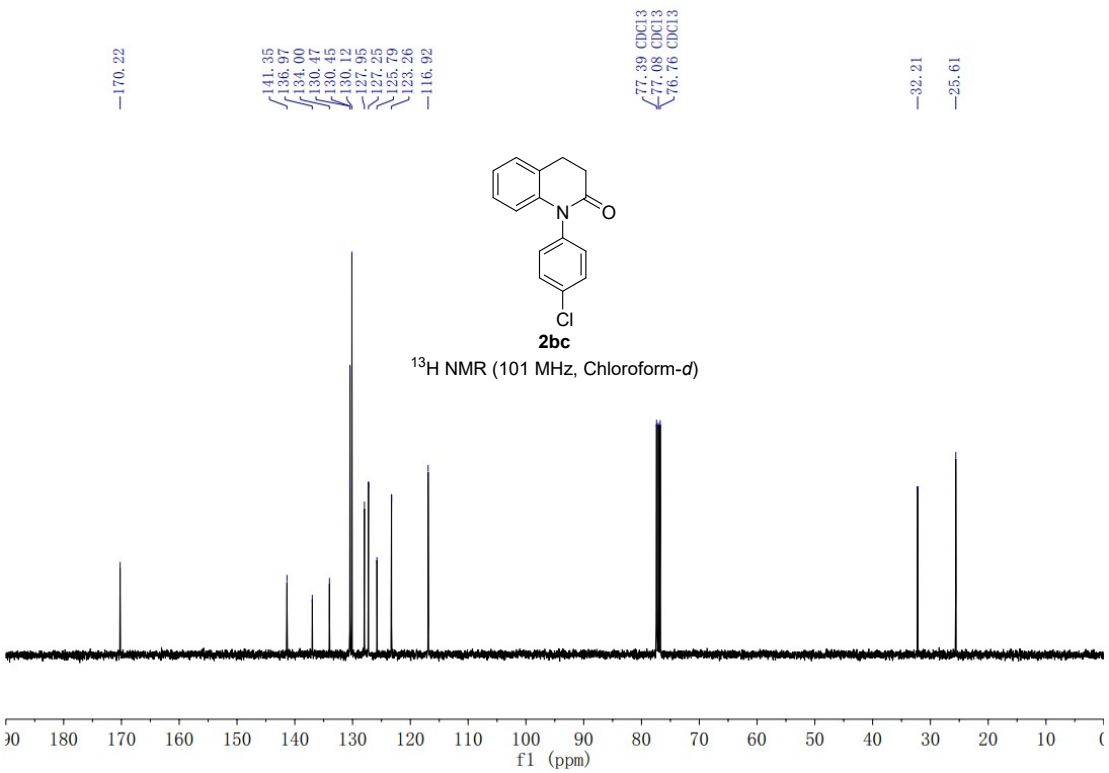
2bb

^{13}H NMR (101 MHz, Chloroform-*d*)



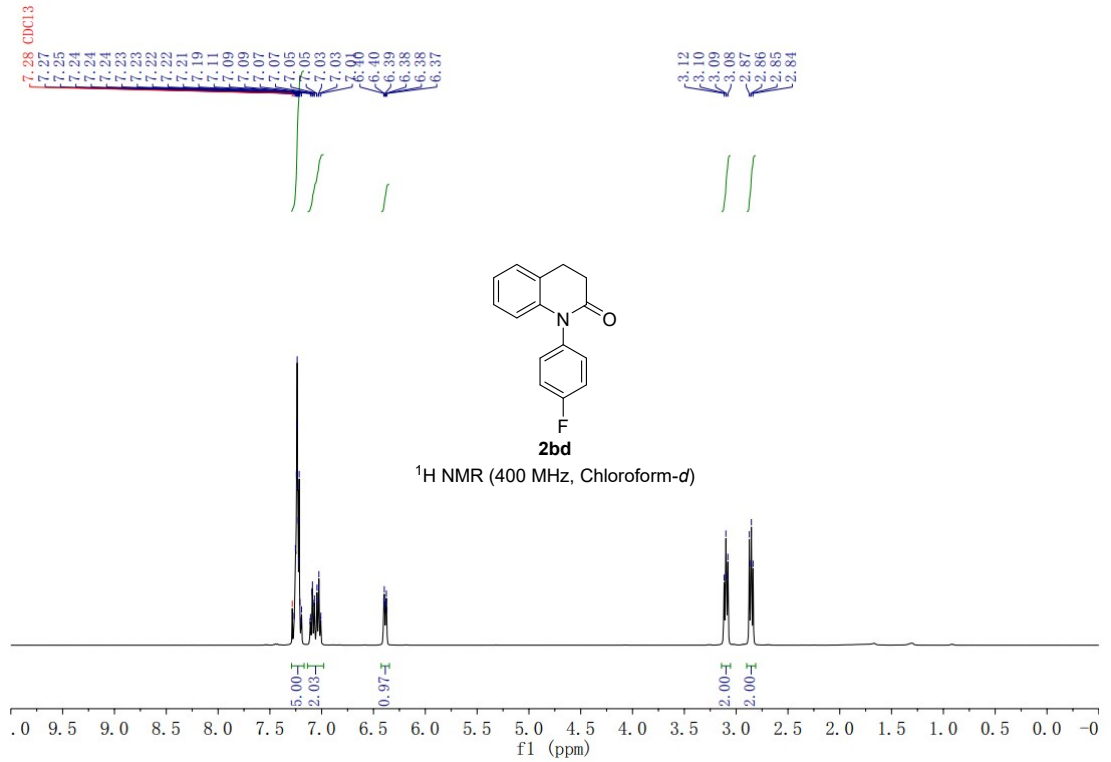
2bc

^{1}H NMR (400 MHz, Chloroform-*d*)



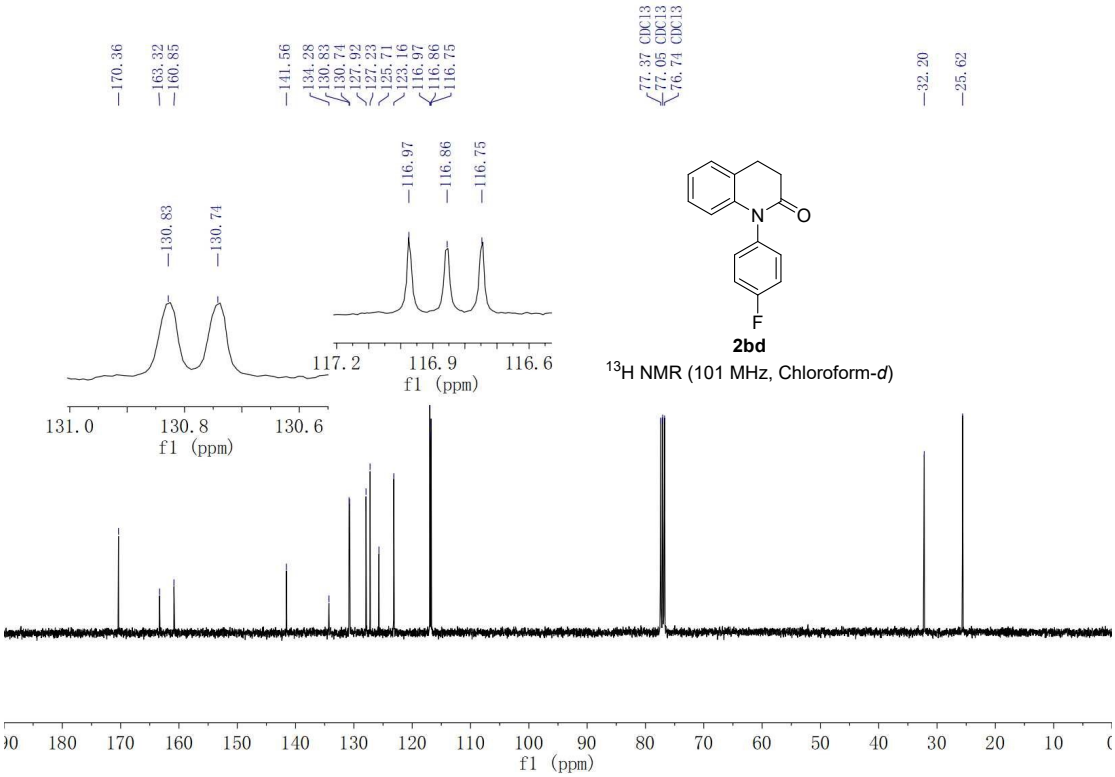
2bc

^{13}H NMR (101 MHz, Chloroform-*d*)



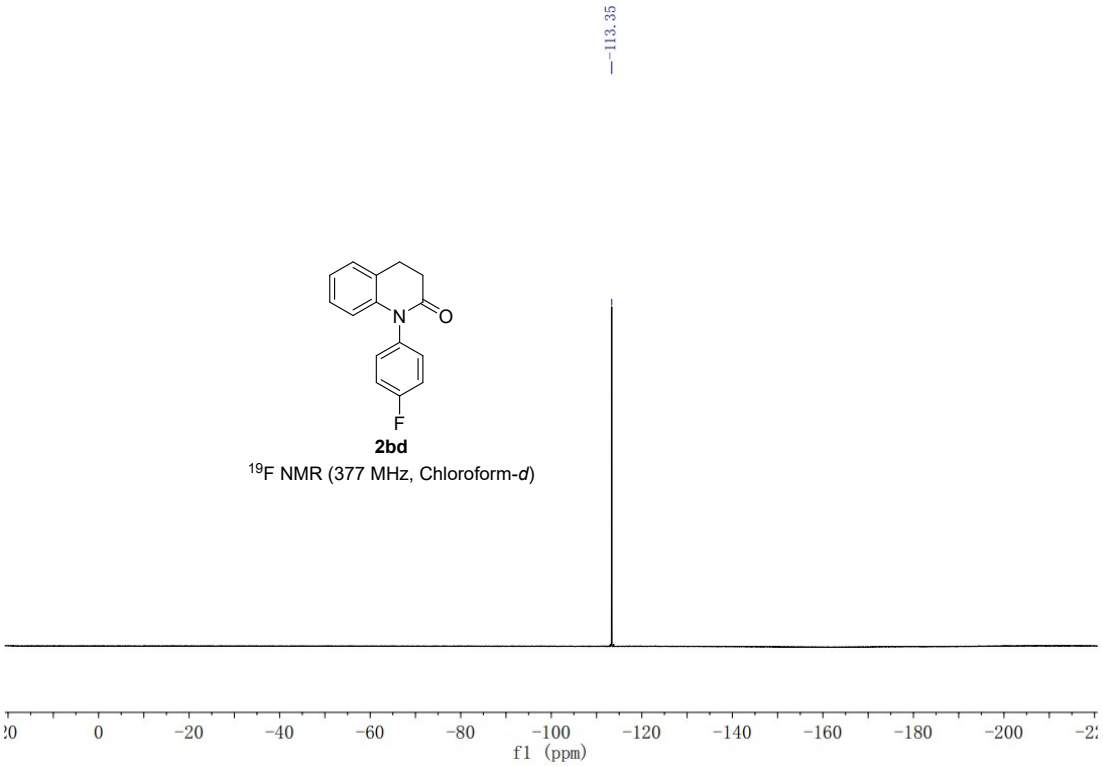
2bd

^{1}H NMR (400 MHz, Chloroform-*d*)



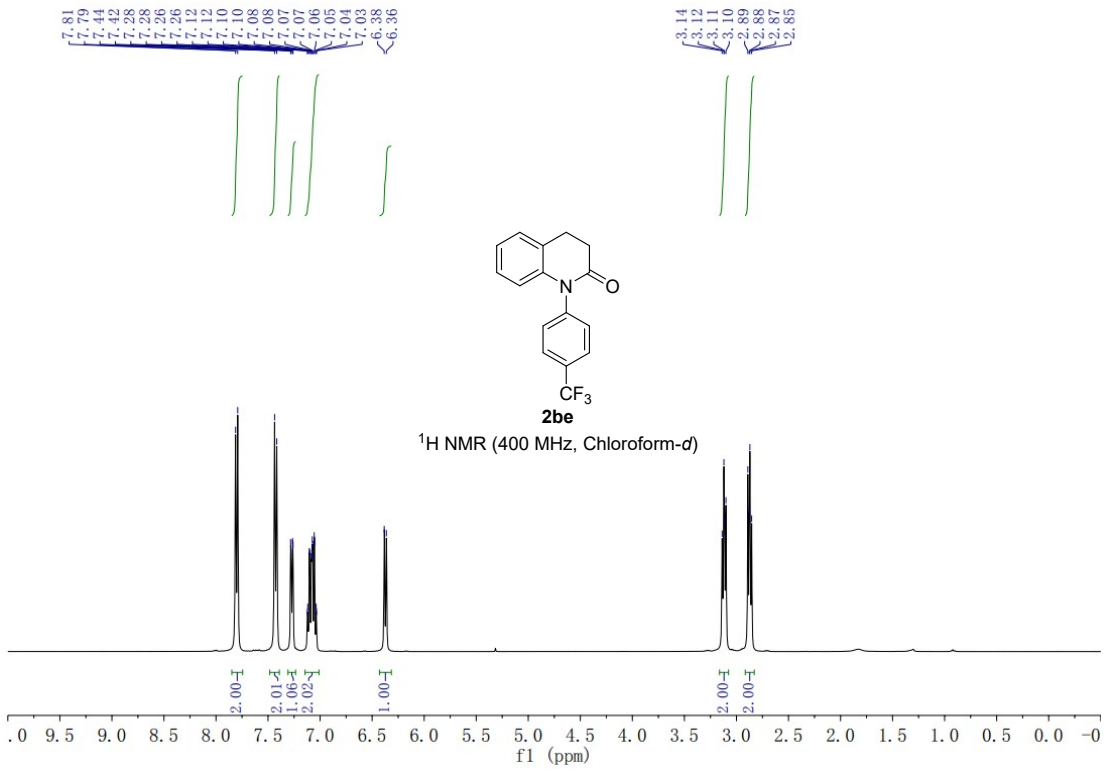
2bd

^{13}H NMR (101 MHz, Chloroform-*d*)



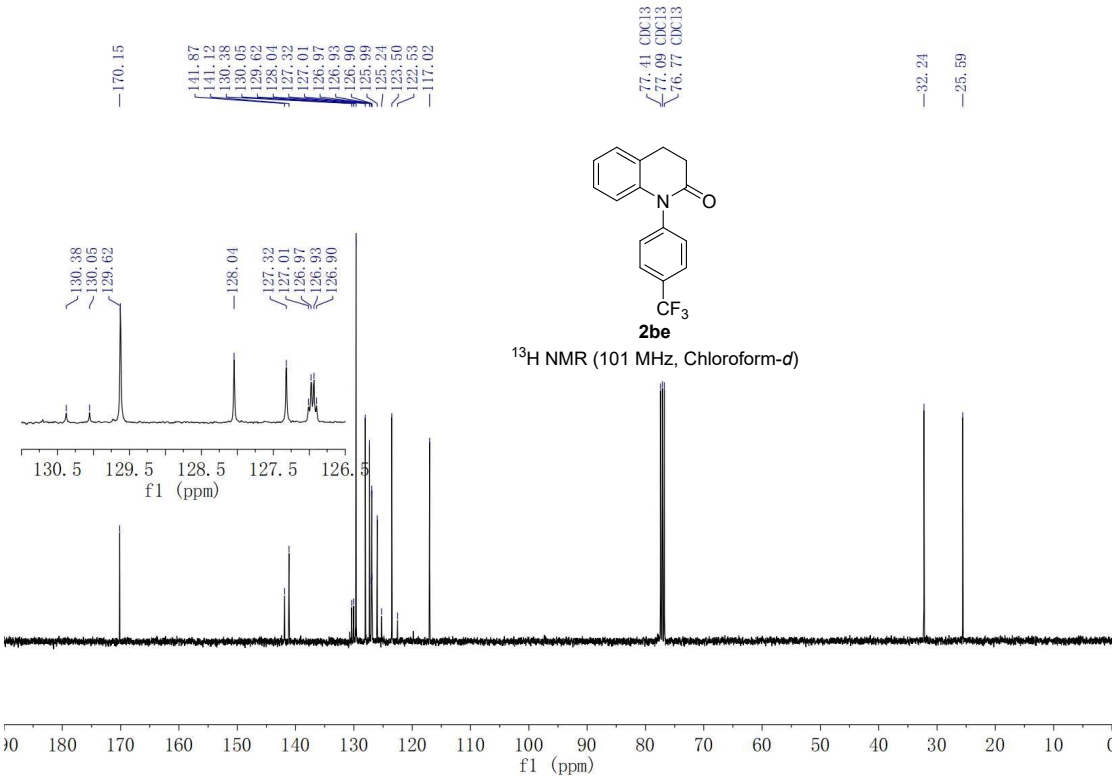
2bd

^{19}F NMR (377 MHz, Chloroform-*d*)



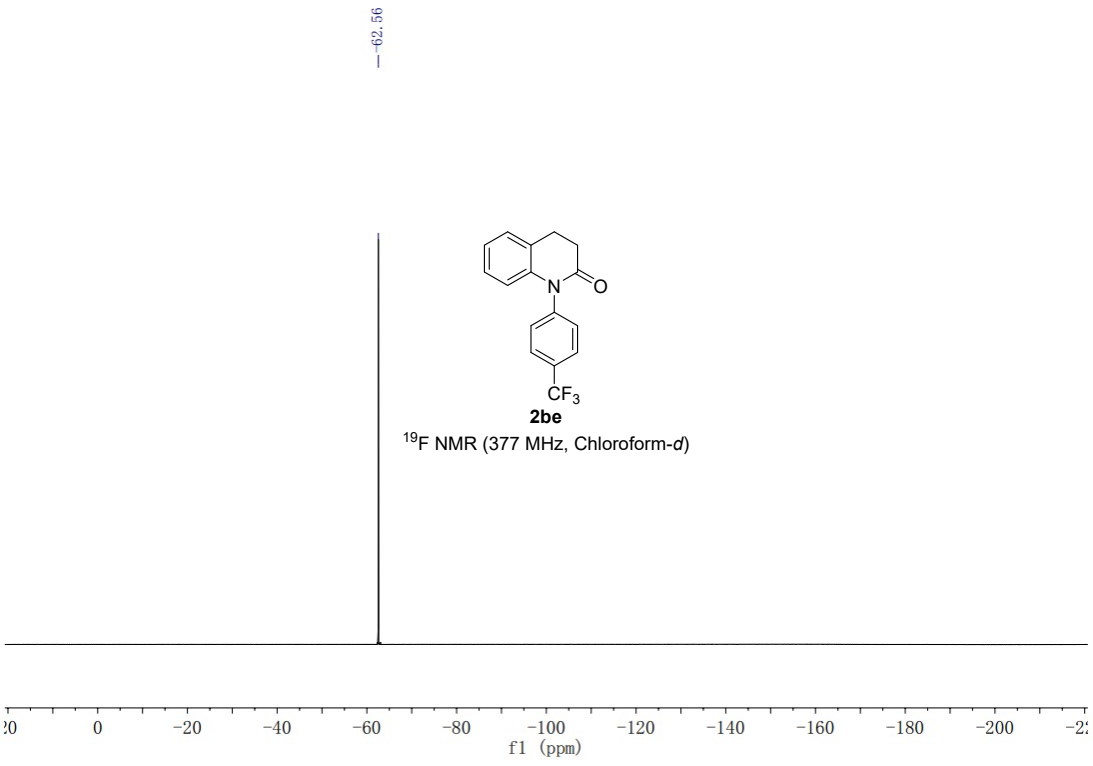
2be

^{1}H NMR (400 MHz, Chloroform-*d*)



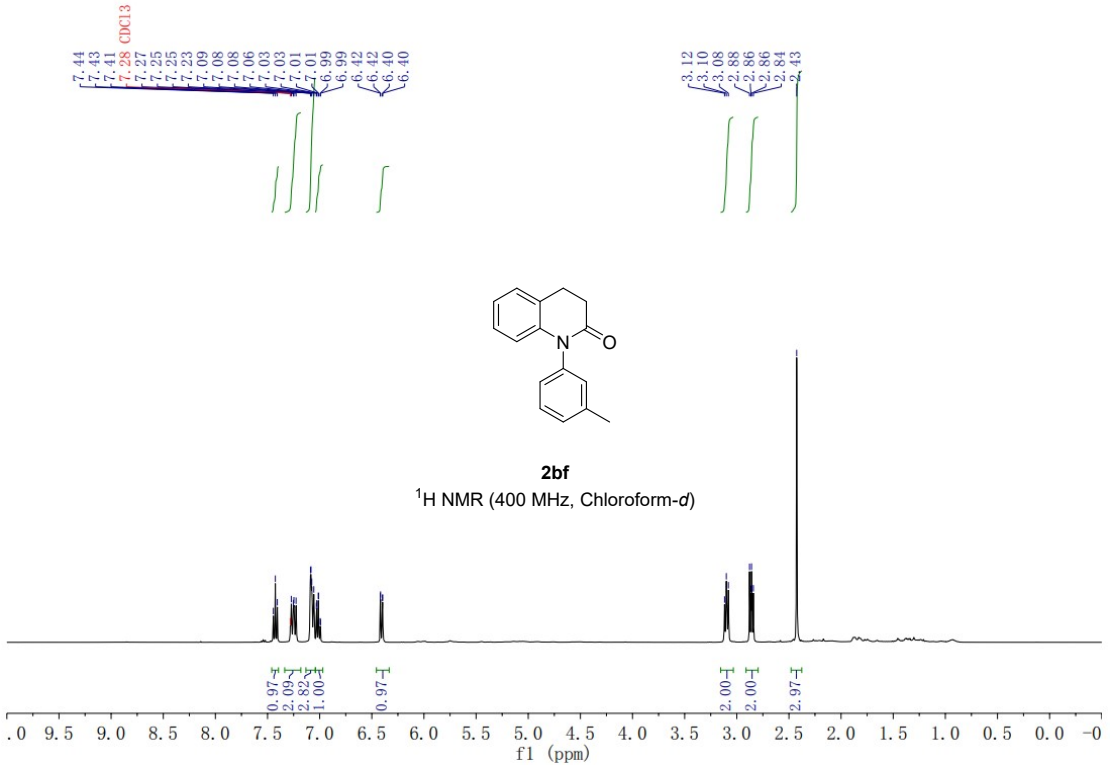
2be

^{13}H NMR (101 MHz, Chloroform-*d*)



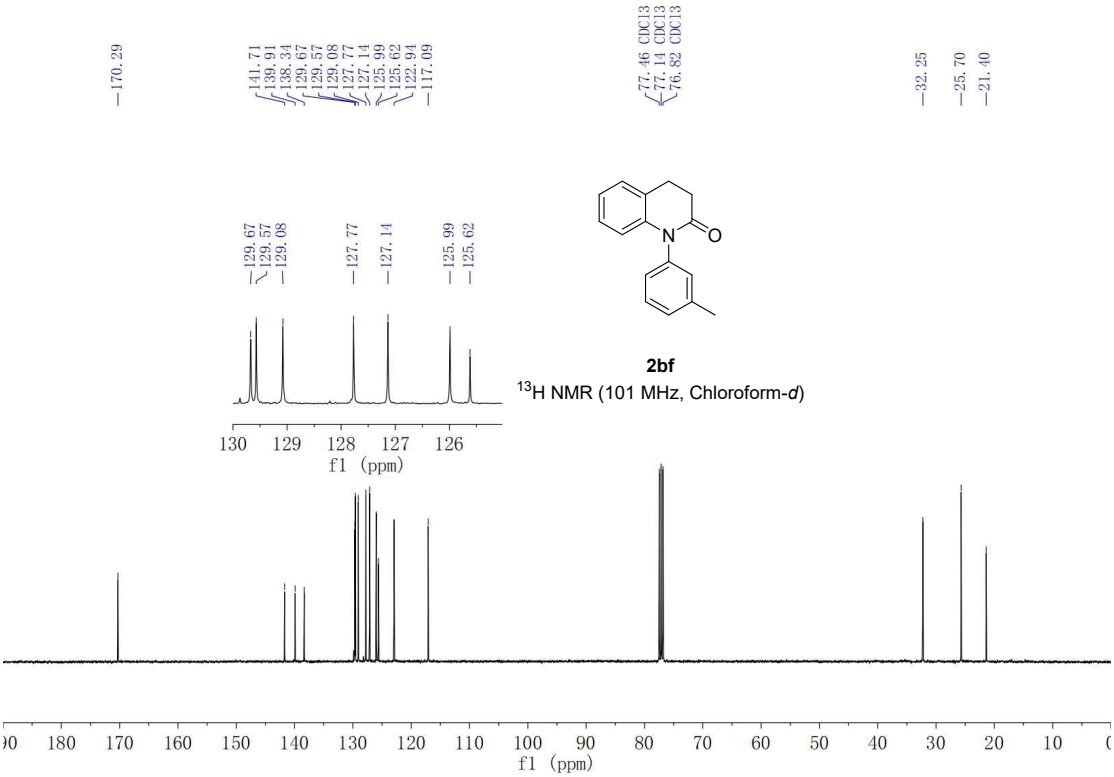
2be

^{19}F NMR (377 MHz, Chloroform-*d*)



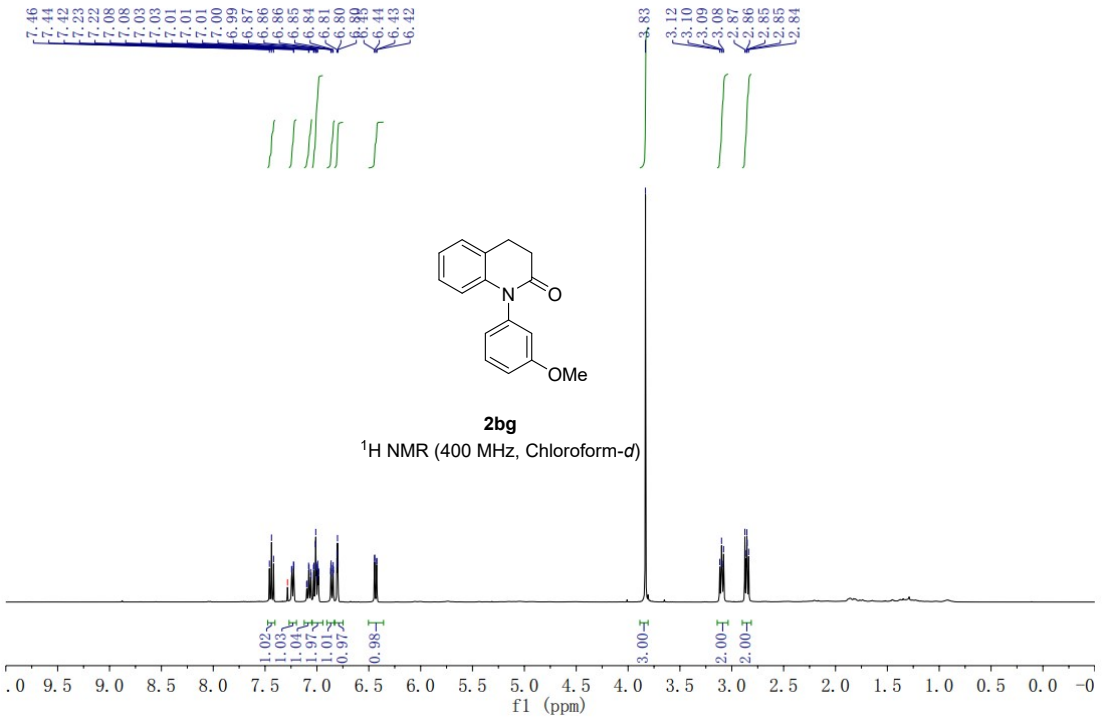
2bf

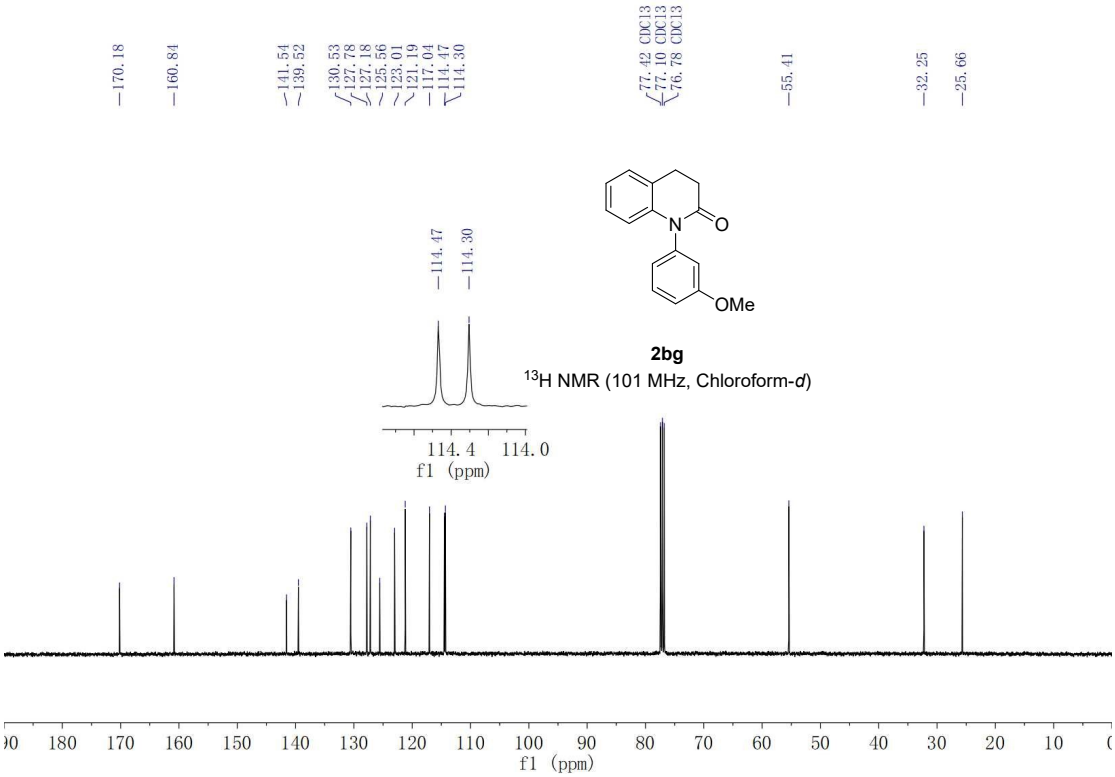
^{1}H NMR (400 MHz, Chloroform-*d*)

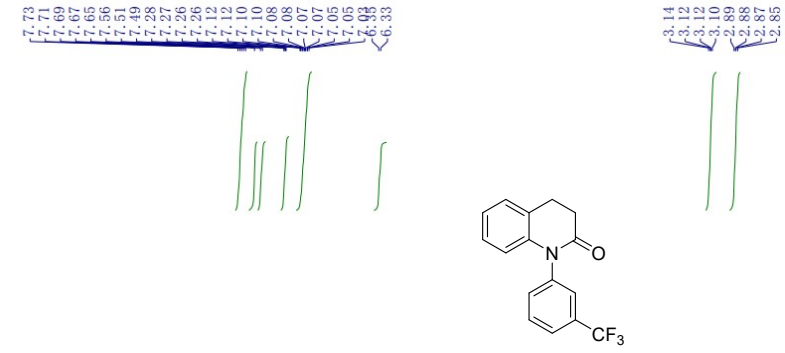


2bf

^{13}H NMR (101 MHz, Chloroform-*d*)

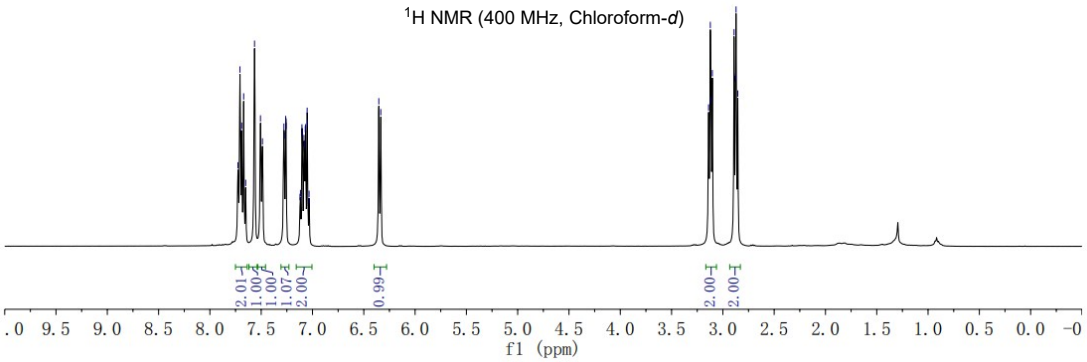

7.46
7.44
7.42
7.23
7.22
7.08
7.08
7.03
7.03
7.01
7.01
7.01
7.00
6.99
6.87
6.86
6.86
6.85
6.84
6.81
6.80
6.45
6.44
6.43
6.42
3.83
3.12
3.10
3.09
3.08
2.87
2.86
2.85
2.85
2.84
N
O
OMe
2bg
1H NMR (400 MHz, Chloroform-d)
1.02
1.03
1.04
1.97
1.01
0.97
0.98
3.00
2.00
2.00
f1 (ppm)

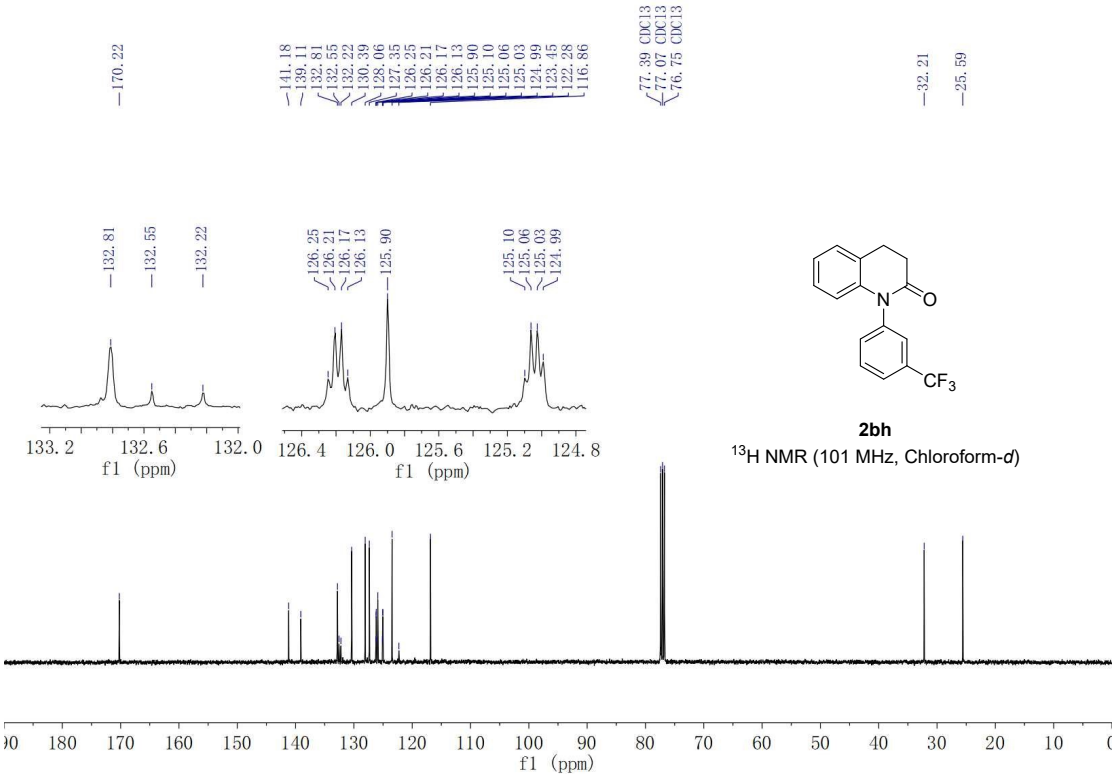

170.18
160.84
141.54
139.52
130.53
127.78
127.18
125.56
123.01
121.19
117.04
114.47
114.30
77.42 CDCl3
77.10 CDCl3
76.78 CDCl3
55.41
32.25
25.66
114.47
114.30
114.4
114.0
f1 (ppm)
N
O
OMe
2bg
13H NMR (101 MHz, Chloroform-d)
f1 (ppm)



2bh

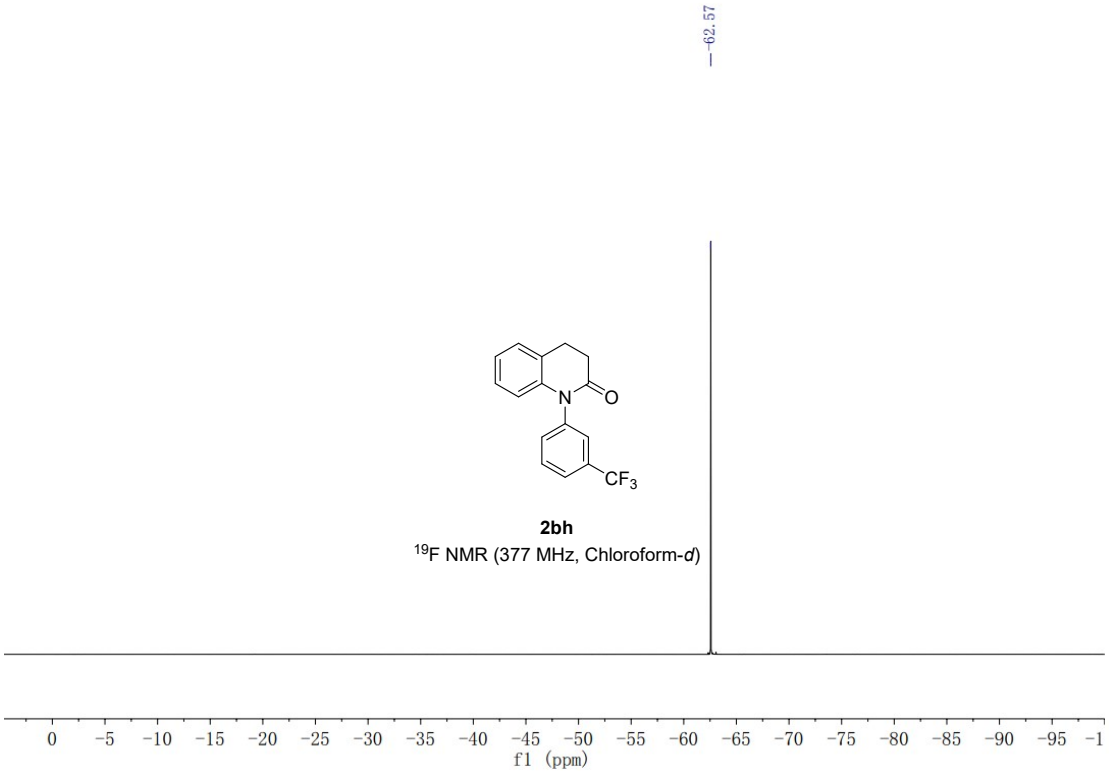
^{1}H NMR (400 MHz, Chloroform-*d*)





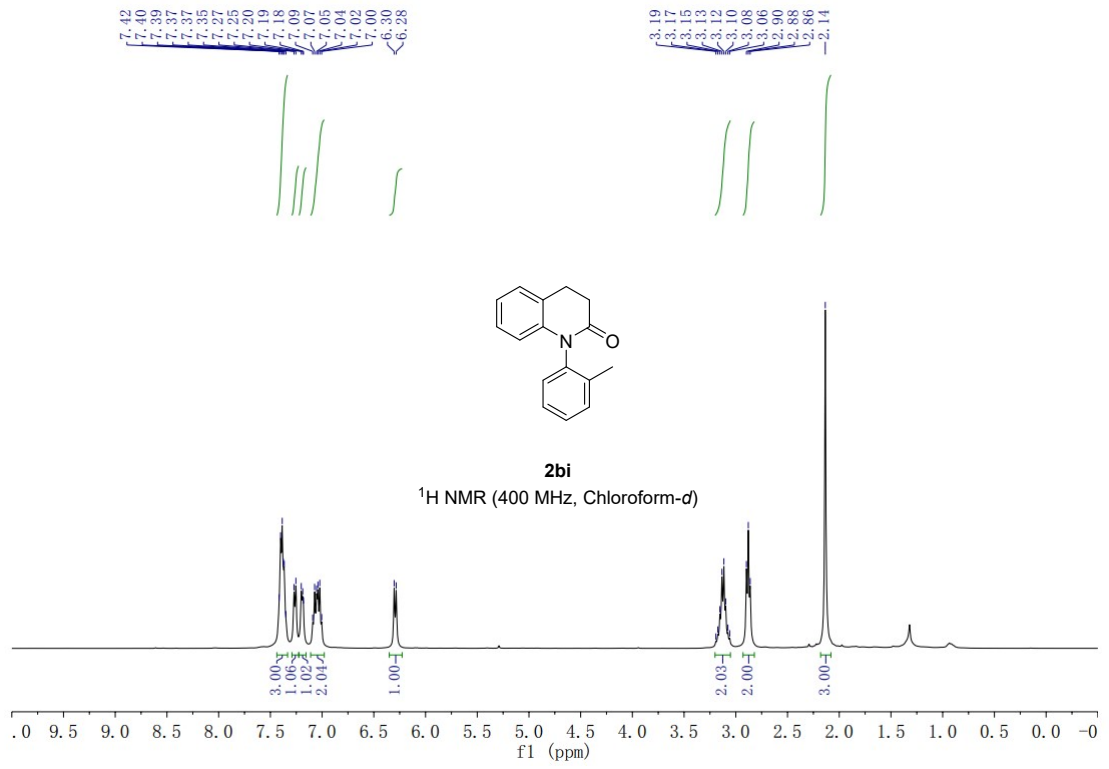
2bh

^{13}H NMR (101 MHz, Chloroform-*d*)



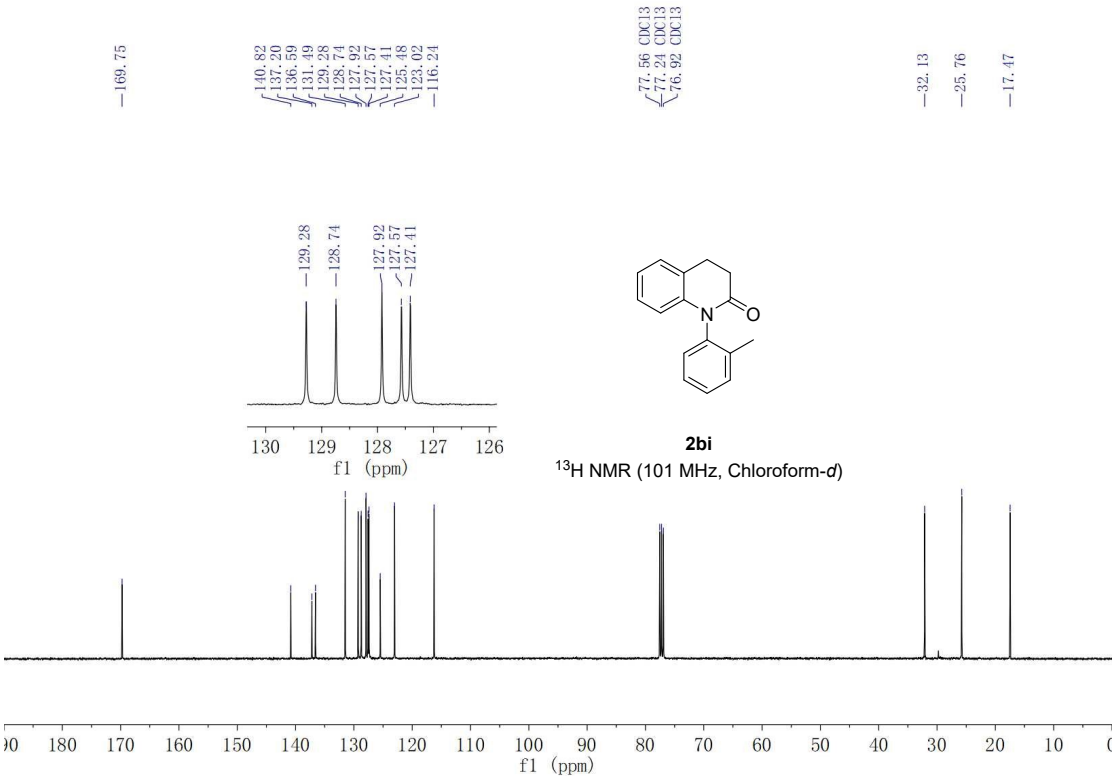
2bh

^{19}F NMR (377 MHz, Chloroform-*d*)



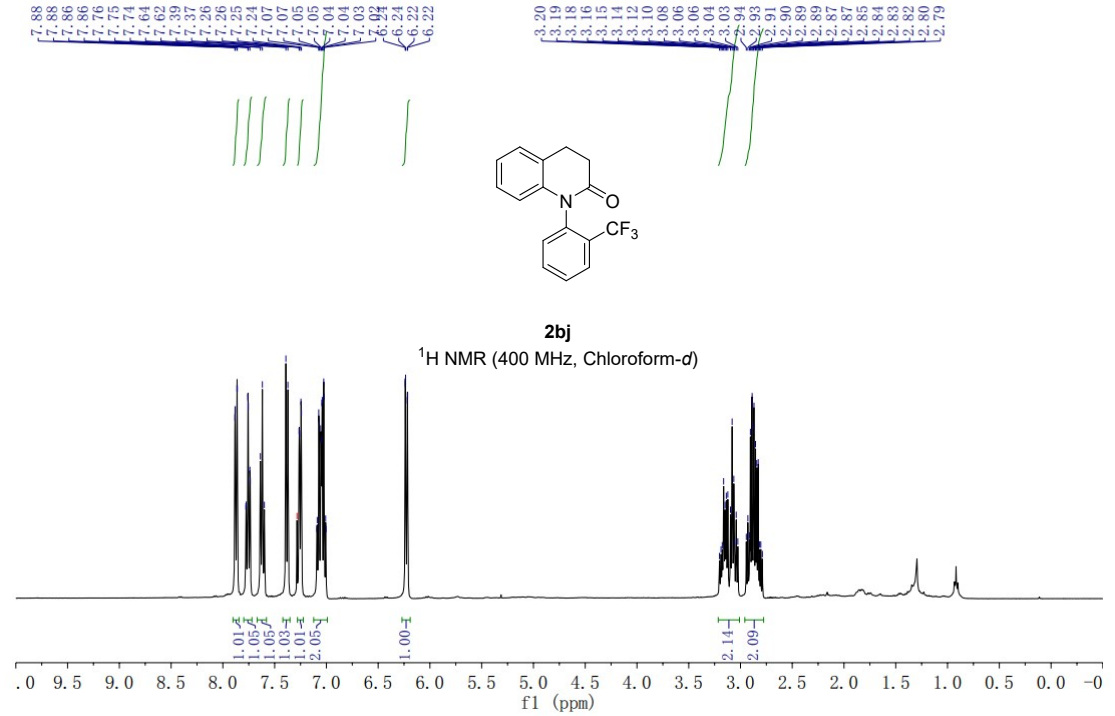
2bi

^{1}H NMR (400 MHz, Chloroform-*d*)



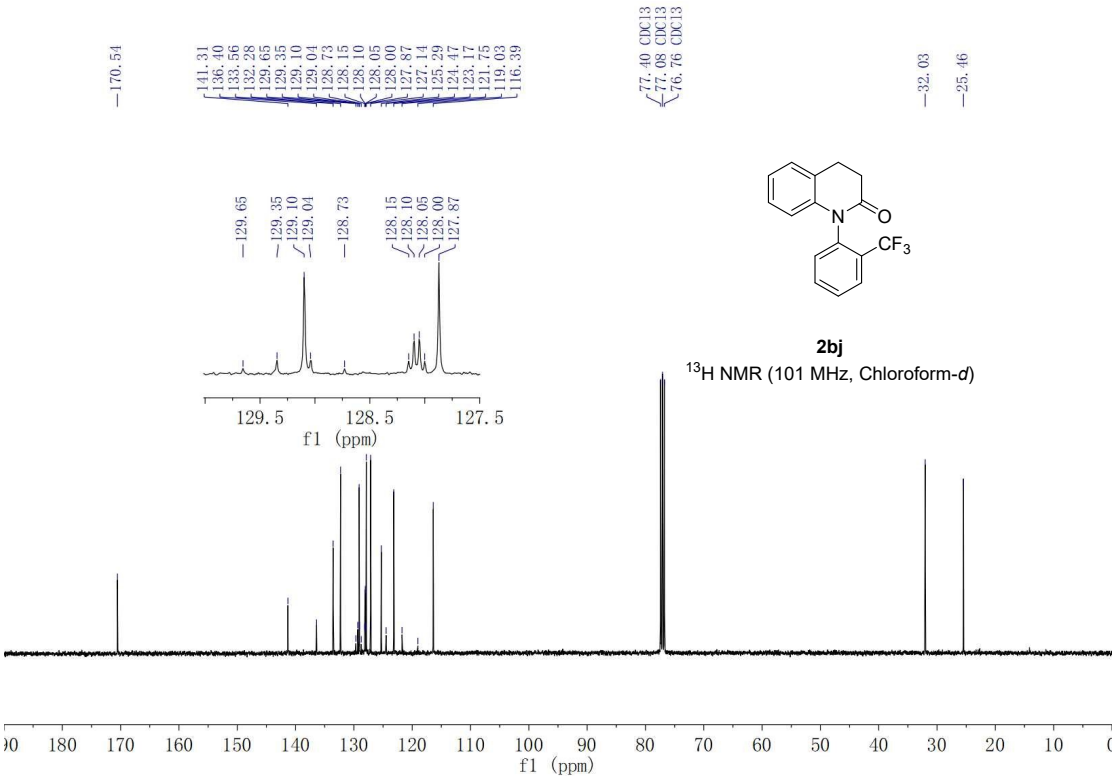
2bi

^{13}H NMR (101 MHz, Chloroform-*d*)



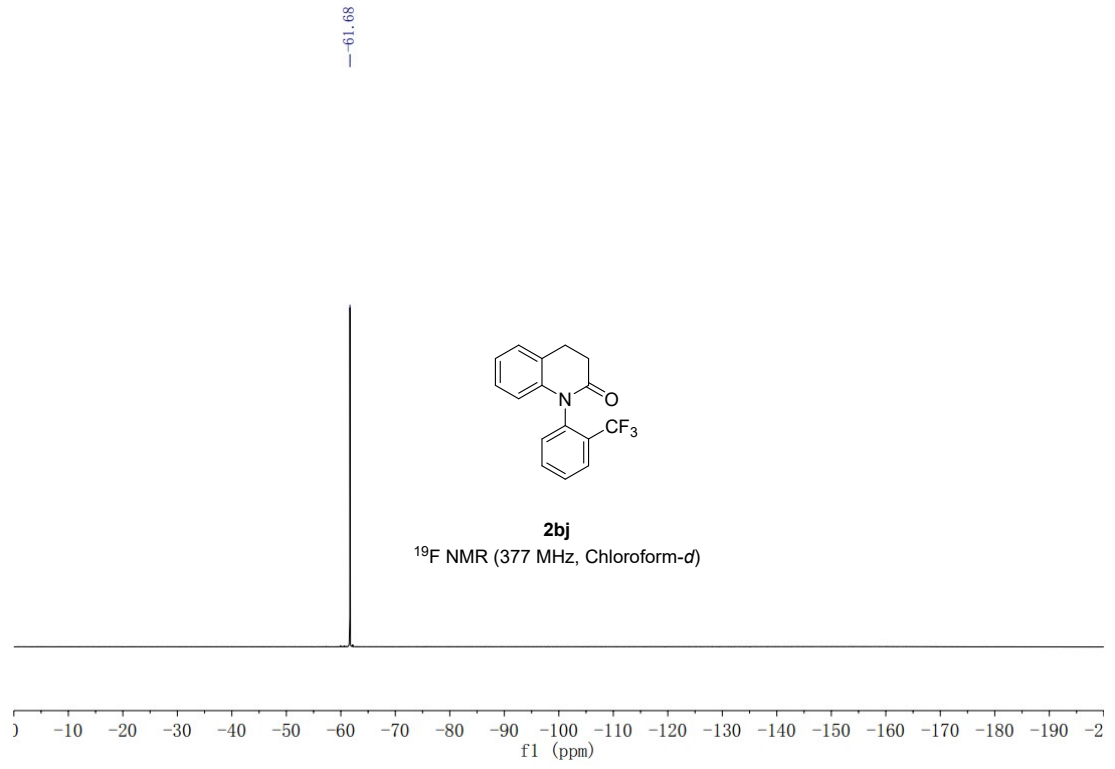
2bj

^{1}H NMR (400 MHz, Chloroform-*d*)



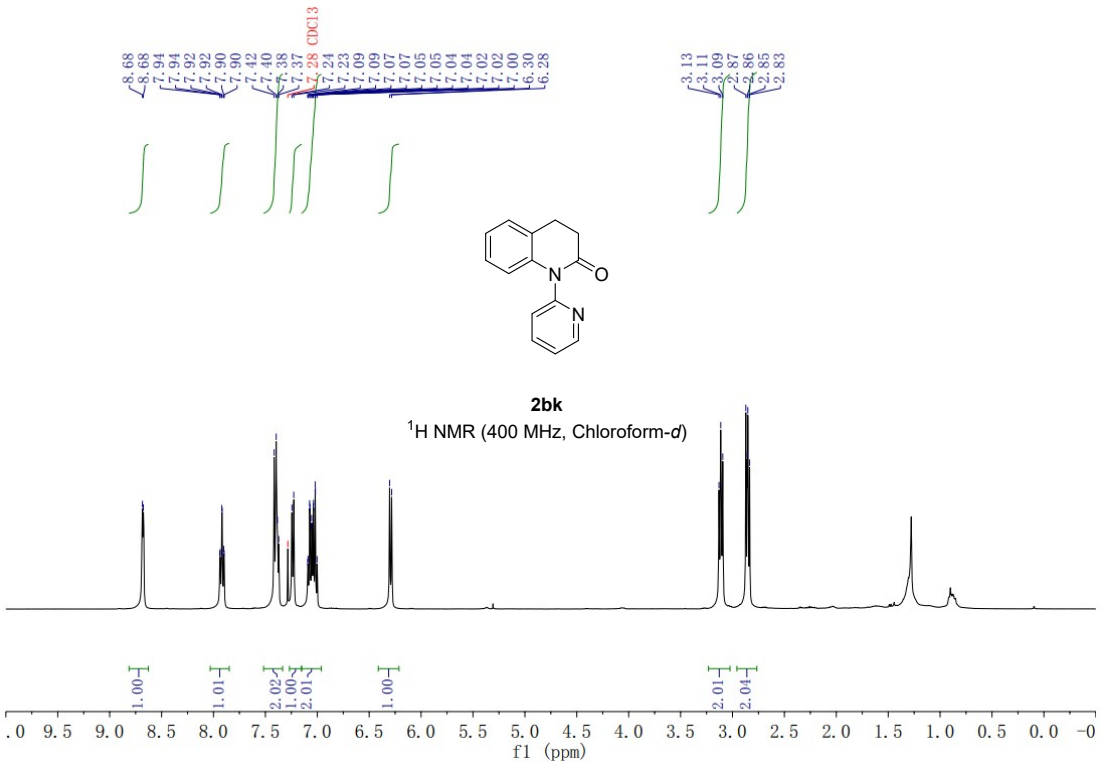
2bj

^{13}H NMR (101 MHz, Chloroform-*d*)



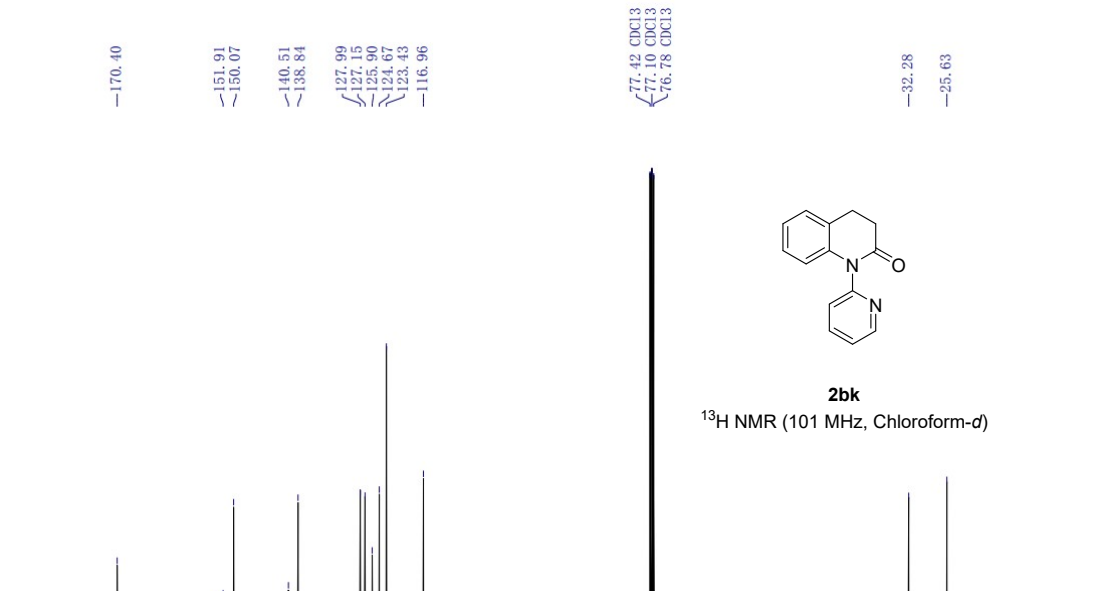
2bj

^{19}F NMR (377 MHz, Chloroform-*d*)



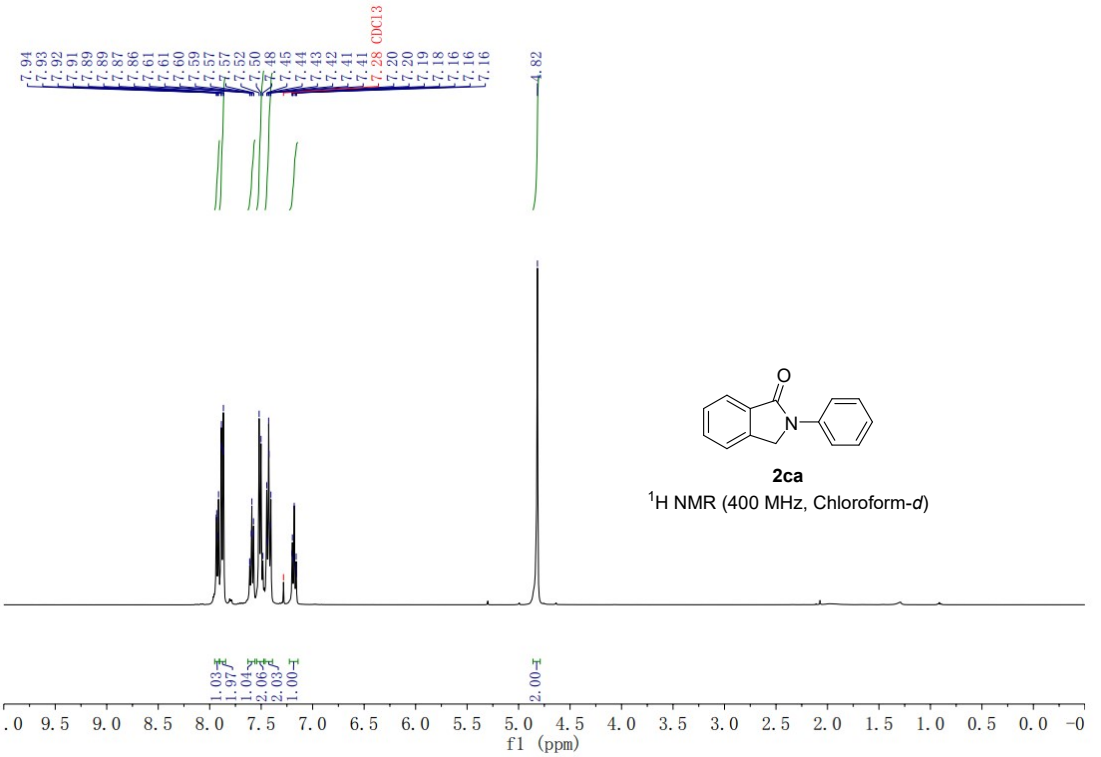
2bk

^{1}H NMR (400 MHz, Chloroform-*d*)



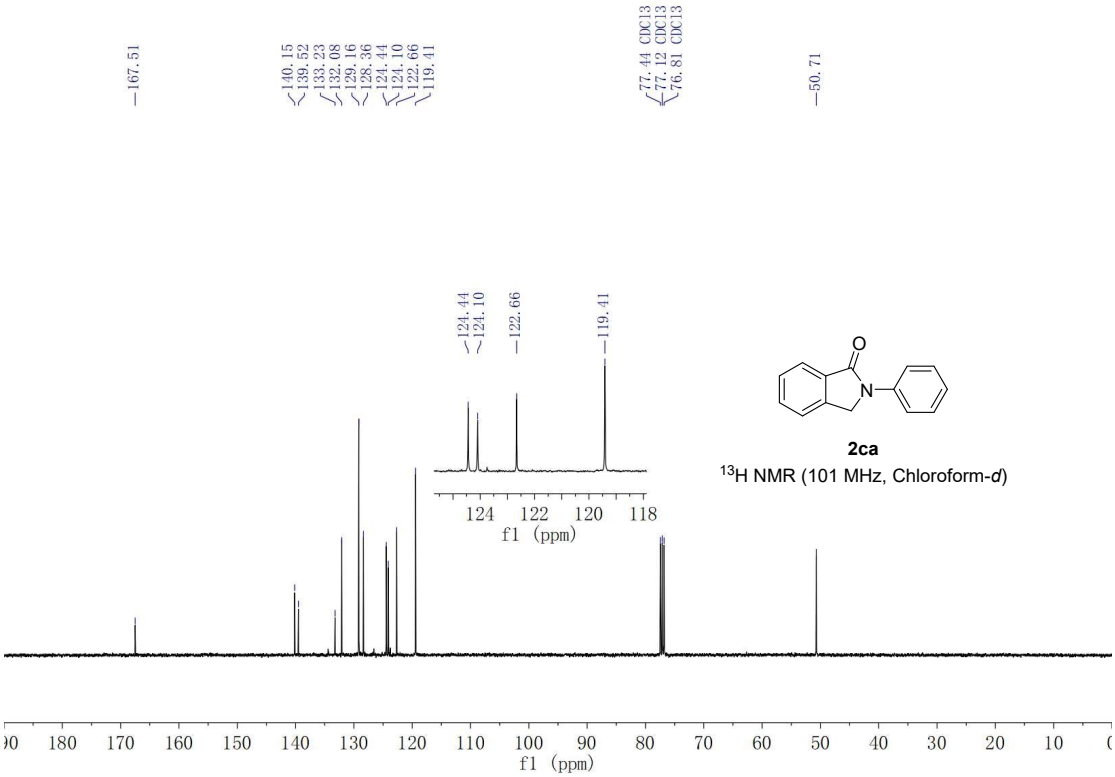
2bk

^{13}H NMR (101 MHz, Chloroform-*d*)



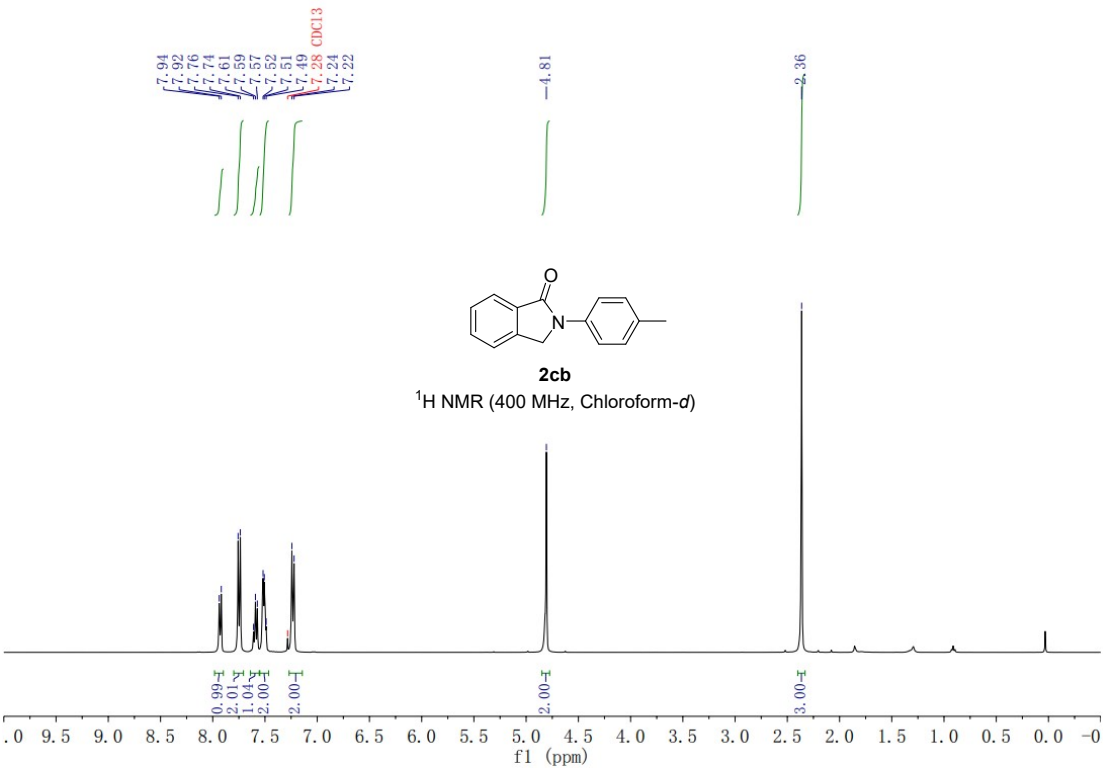
2ca

^{1}H NMR (400 MHz, Chloroform-*d*)



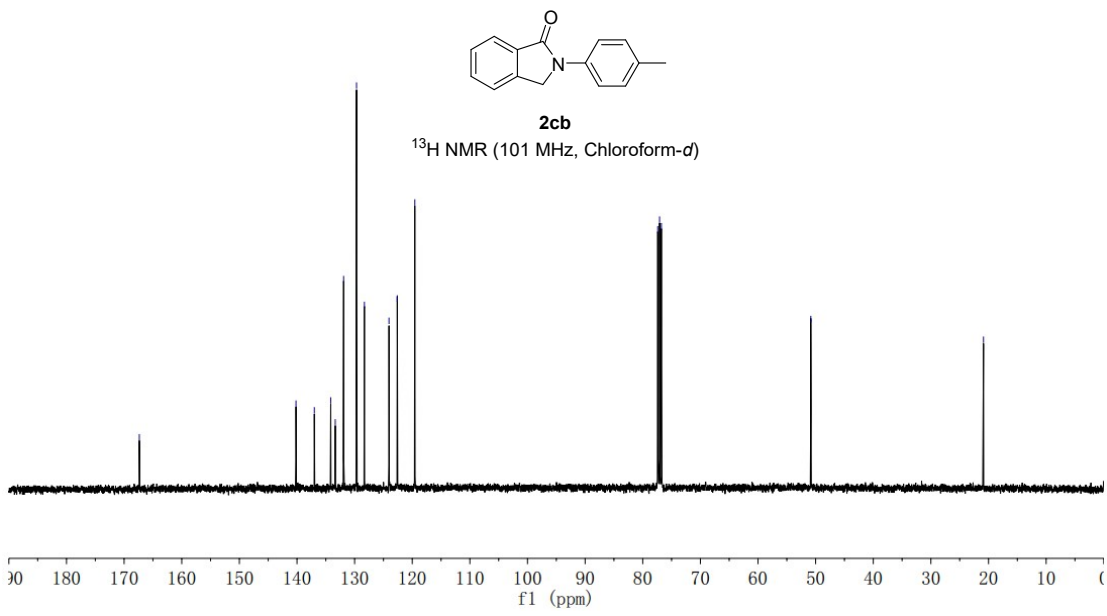
2ca

^{13}H NMR (101 MHz, Chloroform-*d*)



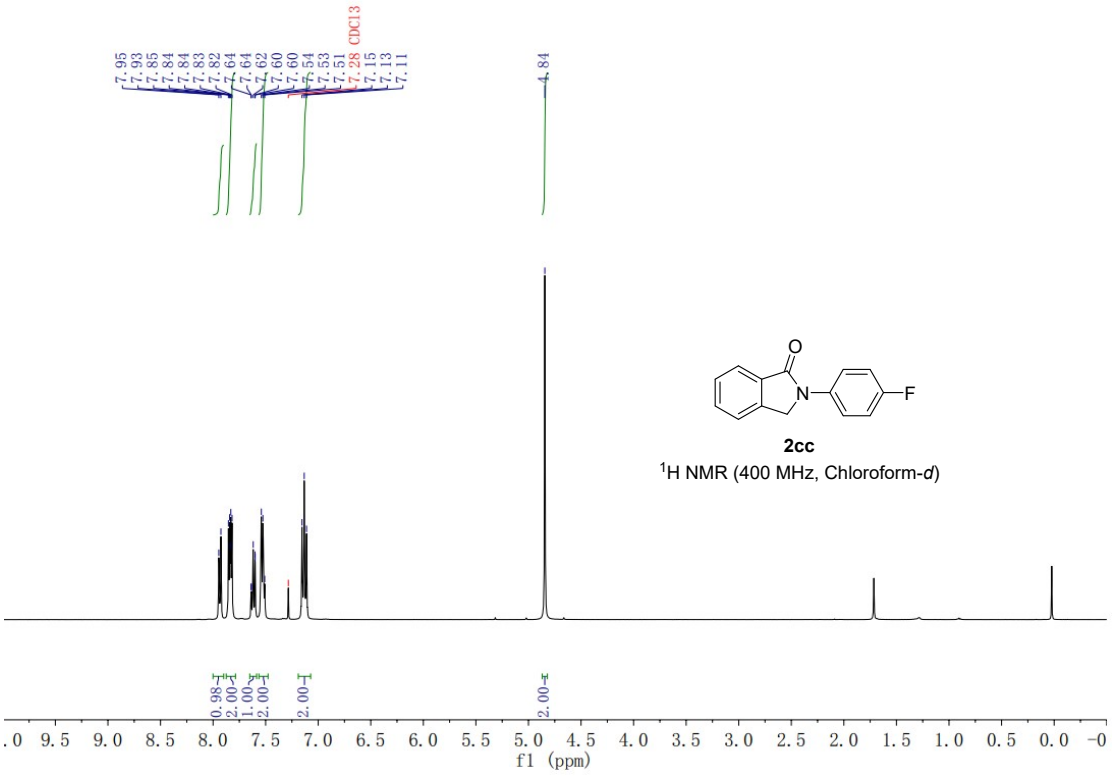
2cb

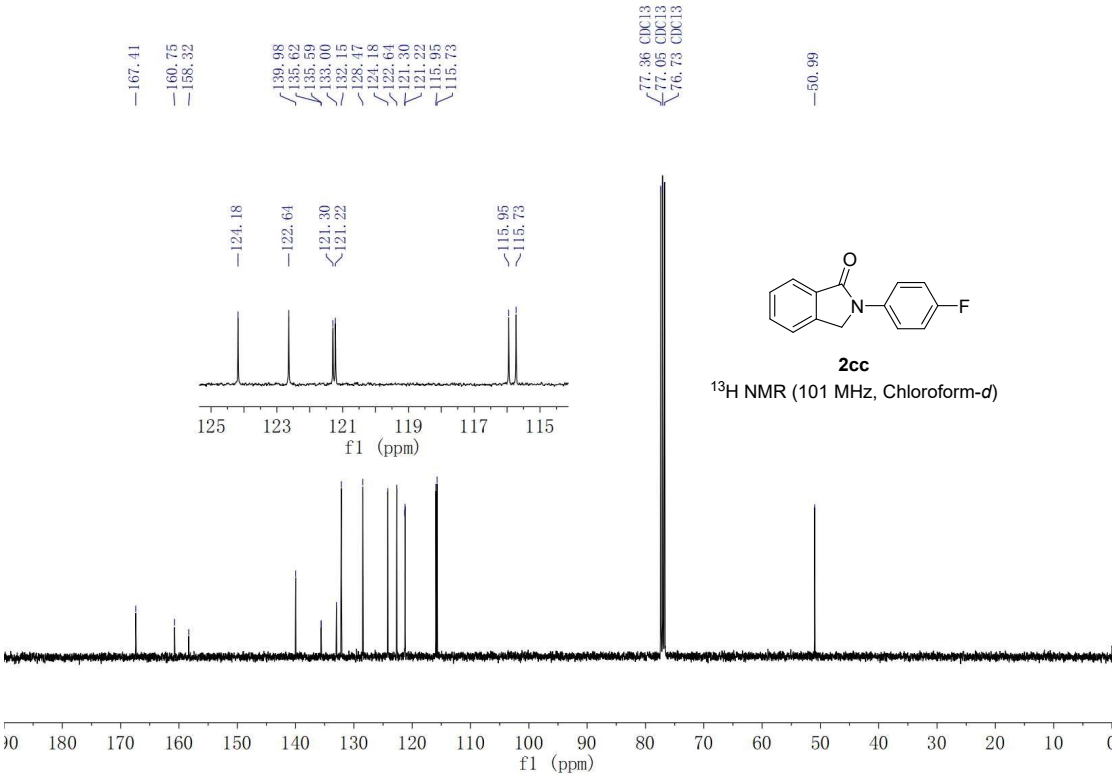
^{1}H NMR (400 MHz, Chloroform-*d*)

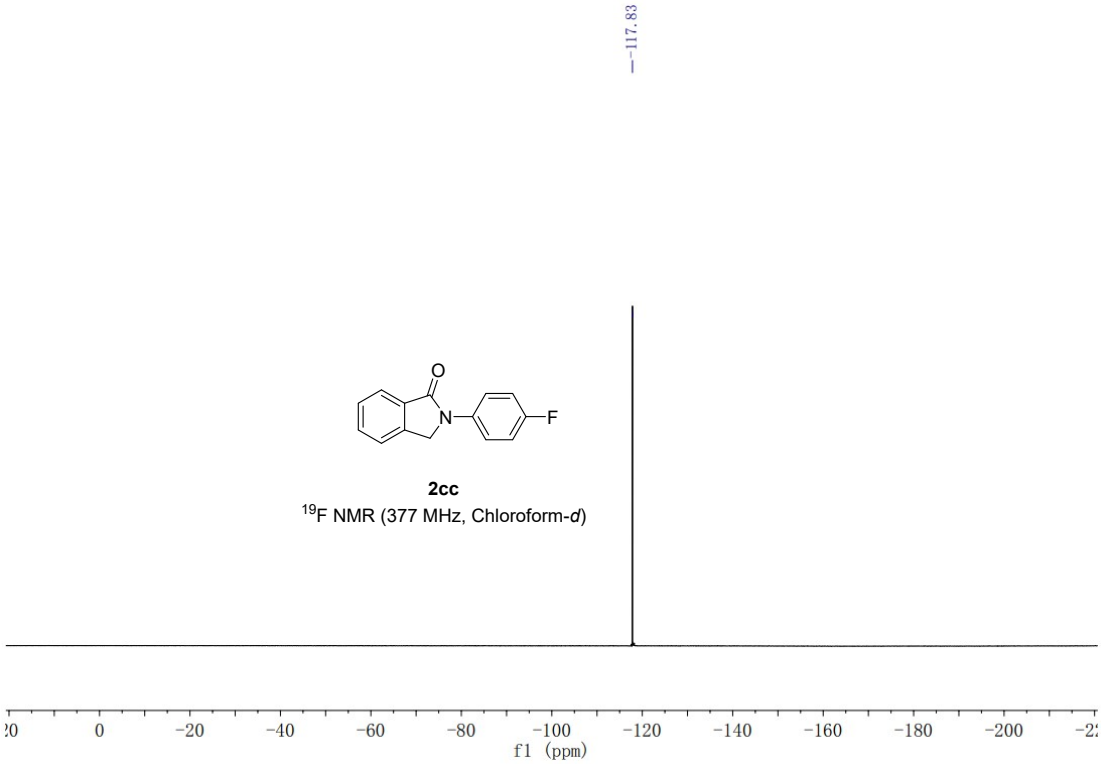


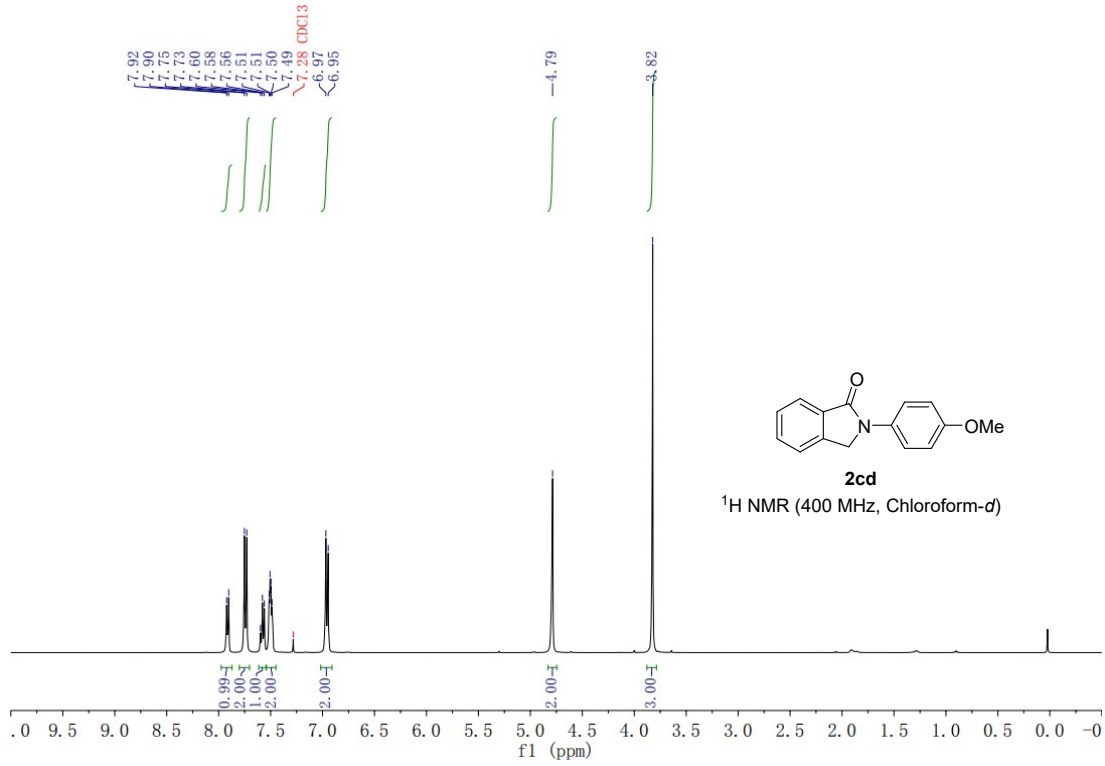
2cb

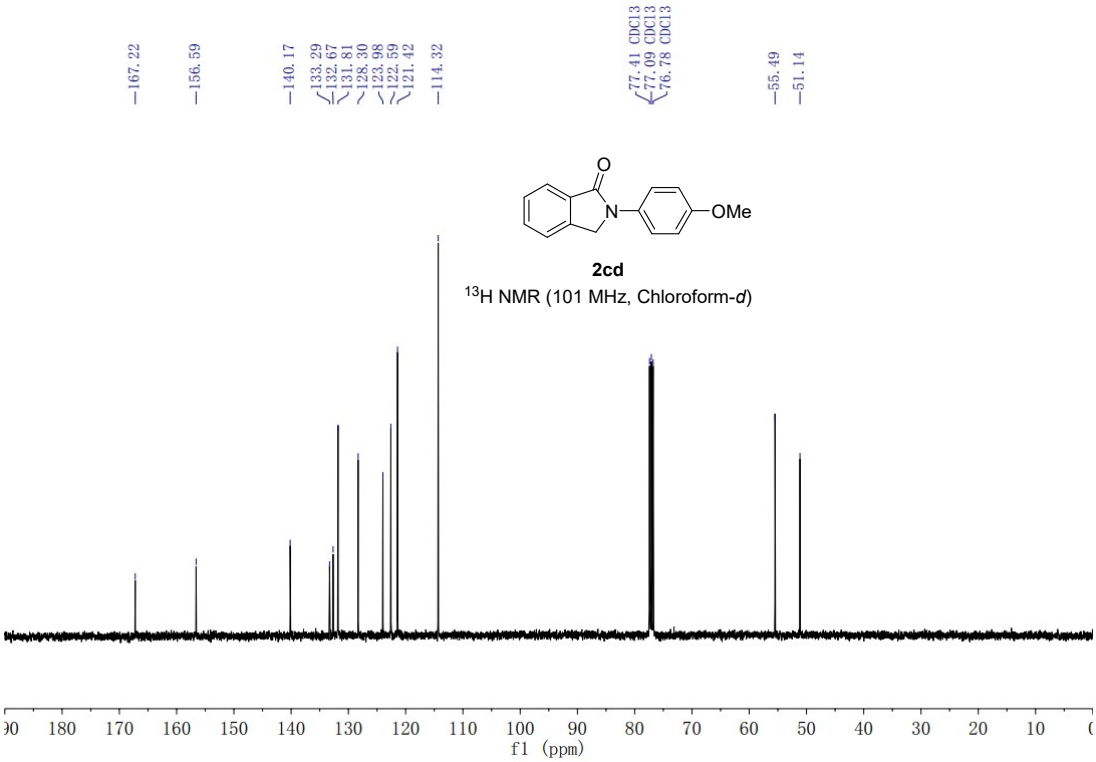
^{13}H NMR (101 MHz, Chloroform-*d*)

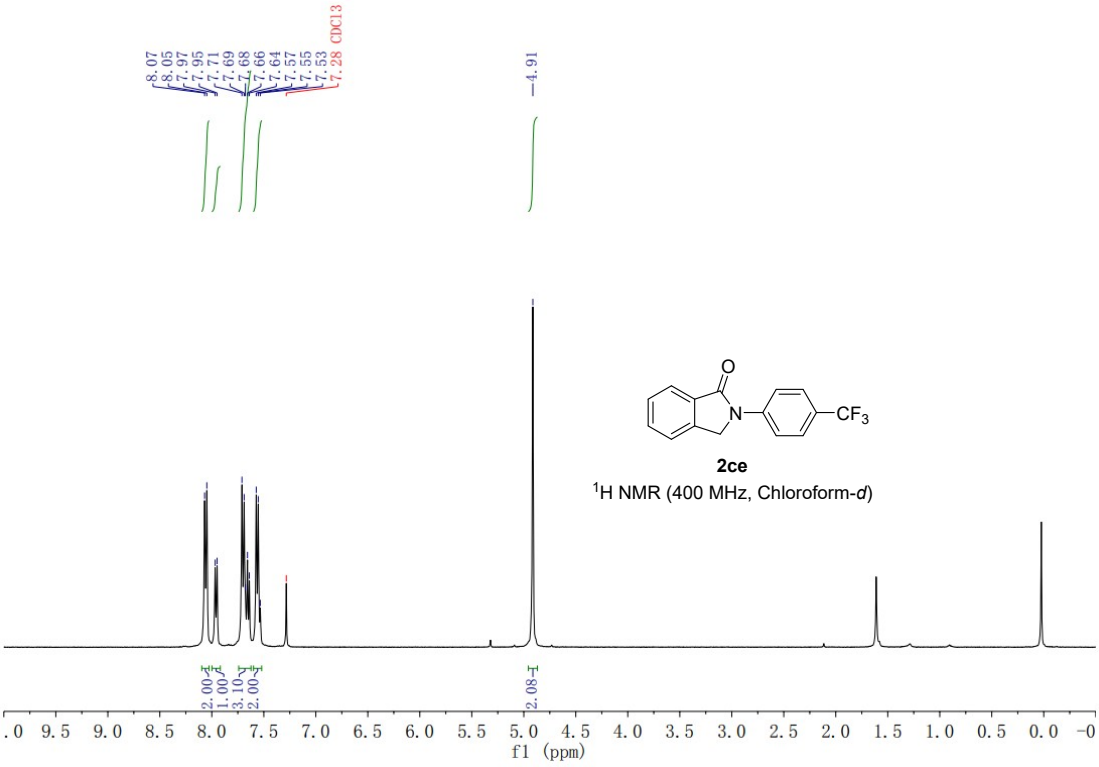

7.95
7.93
7.85
7.84
7.84
7.83
7.82
7.64
7.62
7.60
7.60
7.54
7.53
7.51
CDCl3
7.26
7.15
7.13
7.11
4.84
O
N
F
2cc
1H NMR (400 MHz, Chloroform-d)
0.98
2.00
1.00
2.00
2.00
2.00
f1 (ppm)

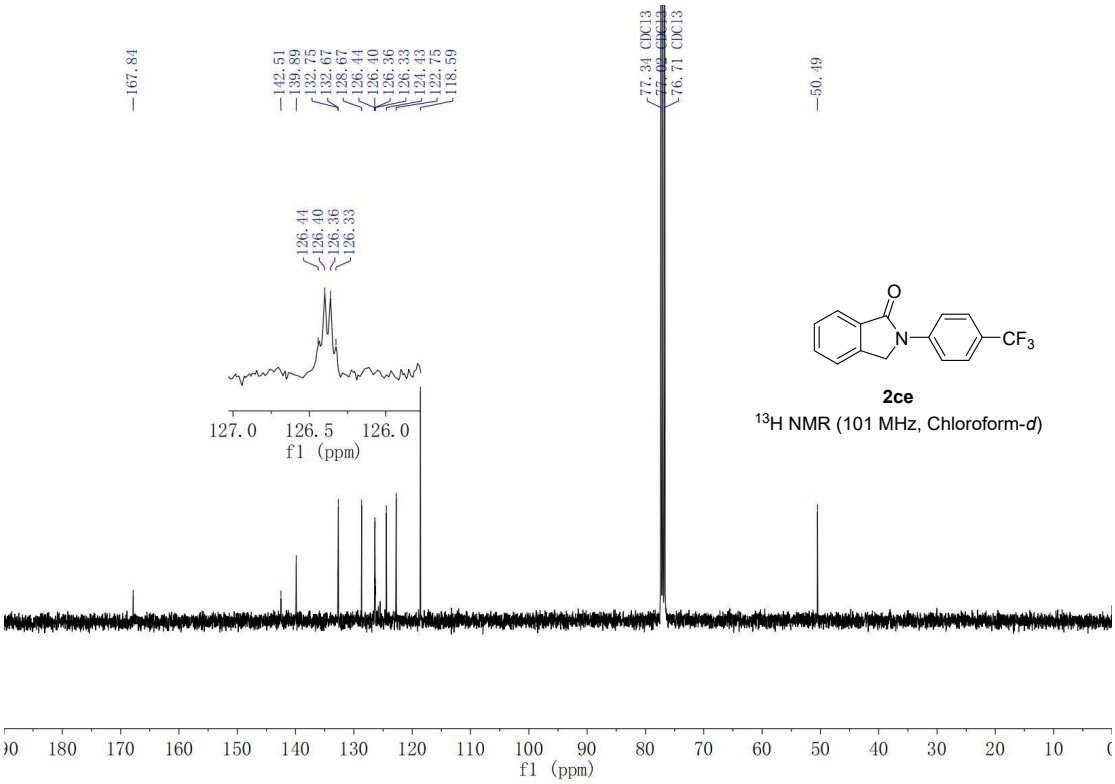

167.41
160.75
158.32
139.98
135.62
135.59
133.00
132.15
128.47
124.18
122.64
121.30
121.22
115.95
115.73
77.36 CDCl3
77.05 CDCl3
76.73 CDCl3
50.99
124.18
122.64
121.30
121.22
115.95
115.73
125
123
121
119
117
115
f1 (ppm)
O
N
F
2cc
13H NMR (101 MHz, Chloroform-d)
f1 (ppm)


-117.83
O
N
F
2cc
19F NMR (377 MHz, Chloroform-d)
f1 (ppm)


7.92
7.90
7.75
7.73
7.60
7.58
7.56
7.51
7.51
7.50
7.49
7.28
CDCl3
6.97
6.95
4.79
3.82
O
N
OMe
2cd
1H NMR (400 MHz, Chloroform-d)
0.99
2.00
1.00
2.00
2.00
2.00
3.00
f1 (ppm)

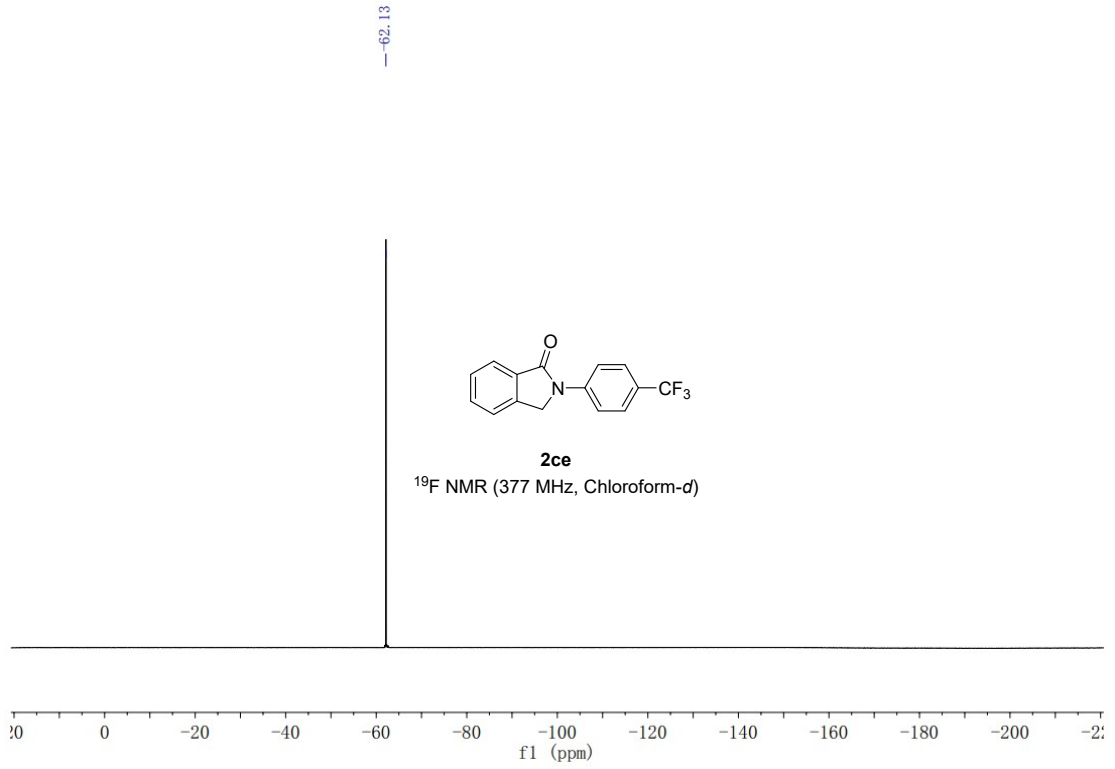

167.22
156.59
140.17
133.29
132.67
131.81
128.30
123.98
122.59
121.42
114.32
77.41 CDCl3
77.09 CDCl3
76.78 CDCl3
55.49
51.14
O
N
OMe
2cd
13H NMR (101 MHz, Chloroform-d)
f1 (ppm)


8.07
8.05
7.97
7.95
7.71
7.69
7.68
7.66
7.64
7.57
7.55
7.53
7.28 CDCl3
4.91
O
N
CF3
2ce
1H NMR (400 MHz, Chloroform-d)
2.00
1.00
3.10
2.00
2.08
f1 (ppm)



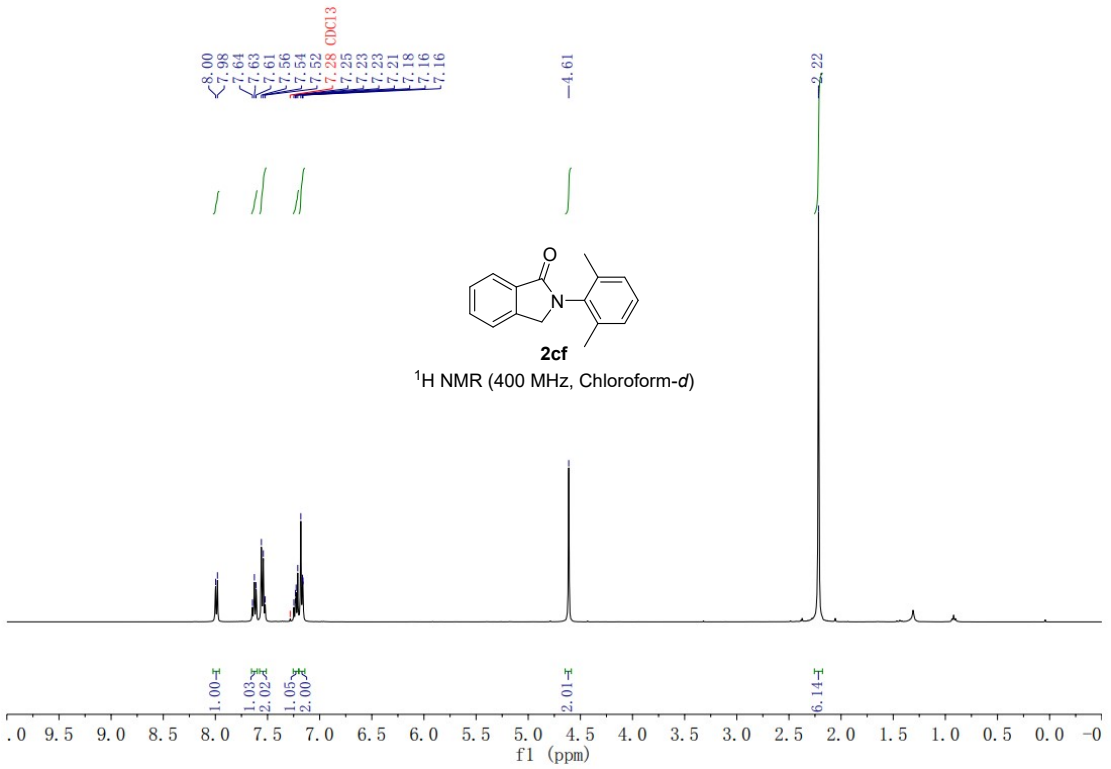
2ce

^{13}H NMR (101 MHz, Chloroform-*d*)



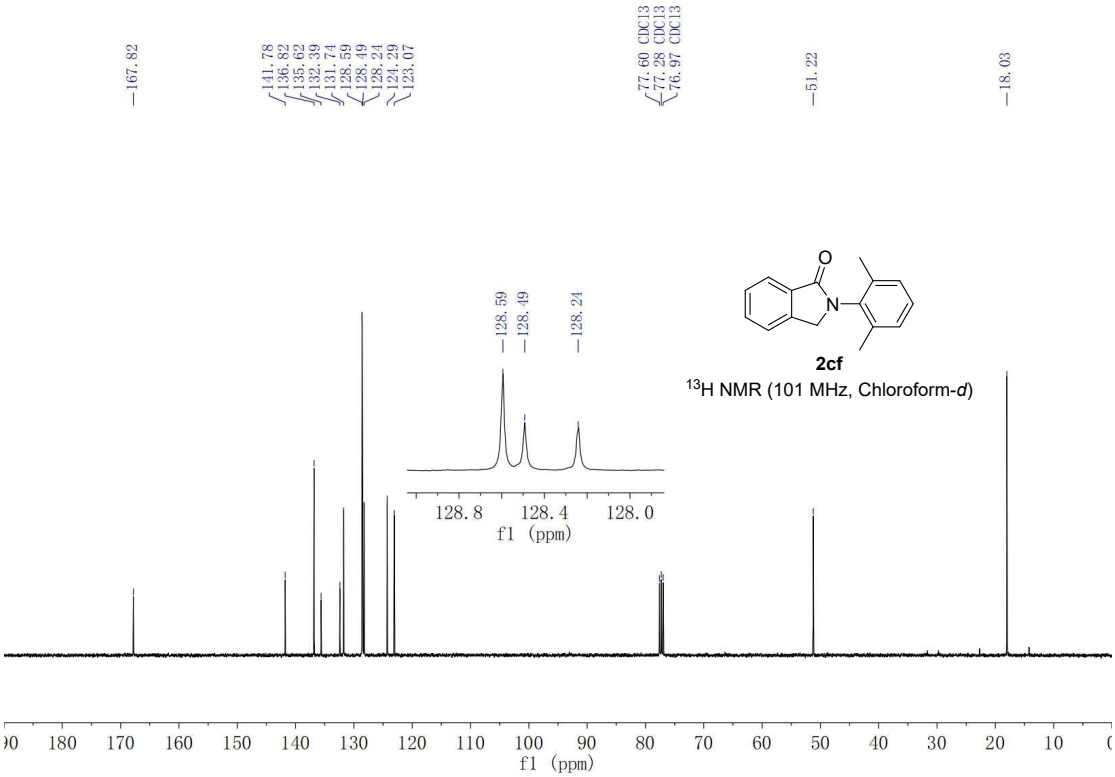
2ce

^{19}F NMR (377 MHz, Chloroform-*d*)



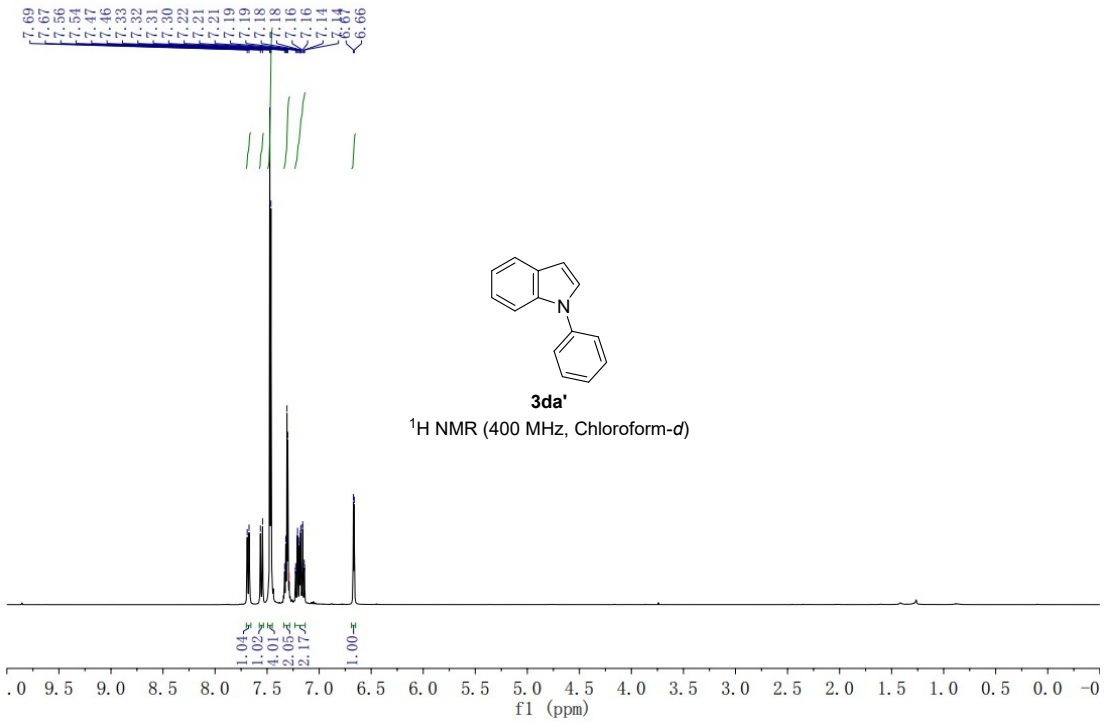
2cf

^{1}H NMR (400 MHz, Chloroform-*d*)



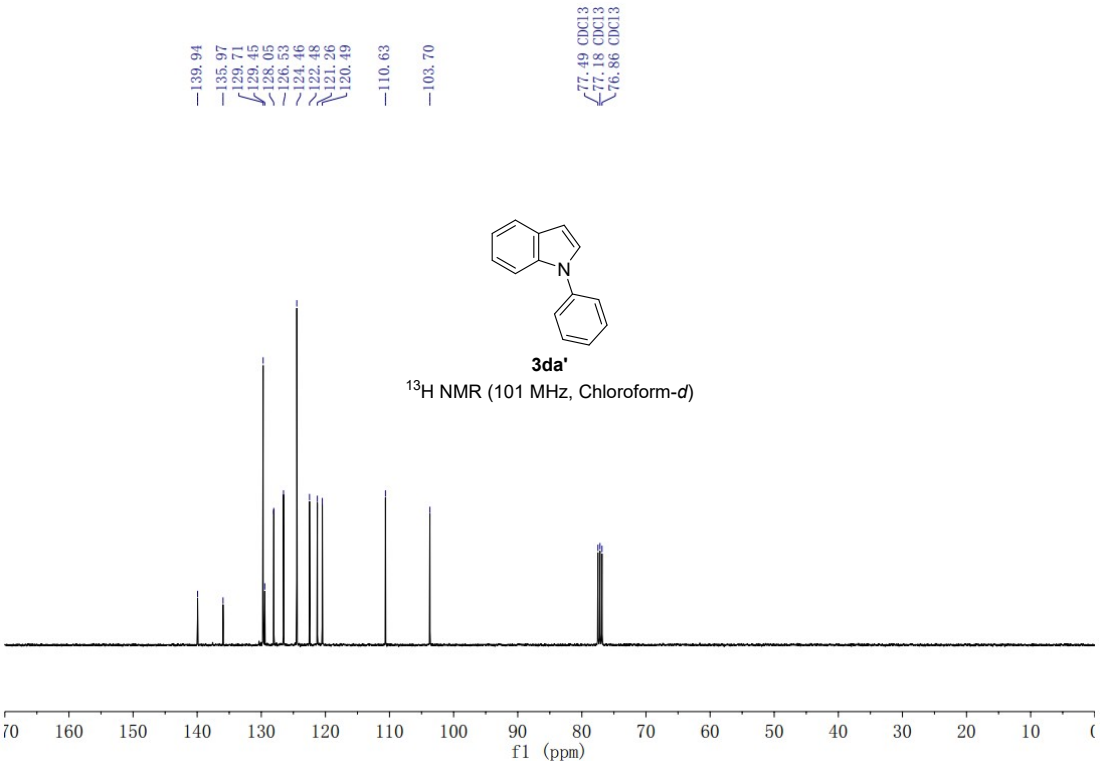
2cf

^{13}H NMR (101 MHz, Chloroform-*d*)



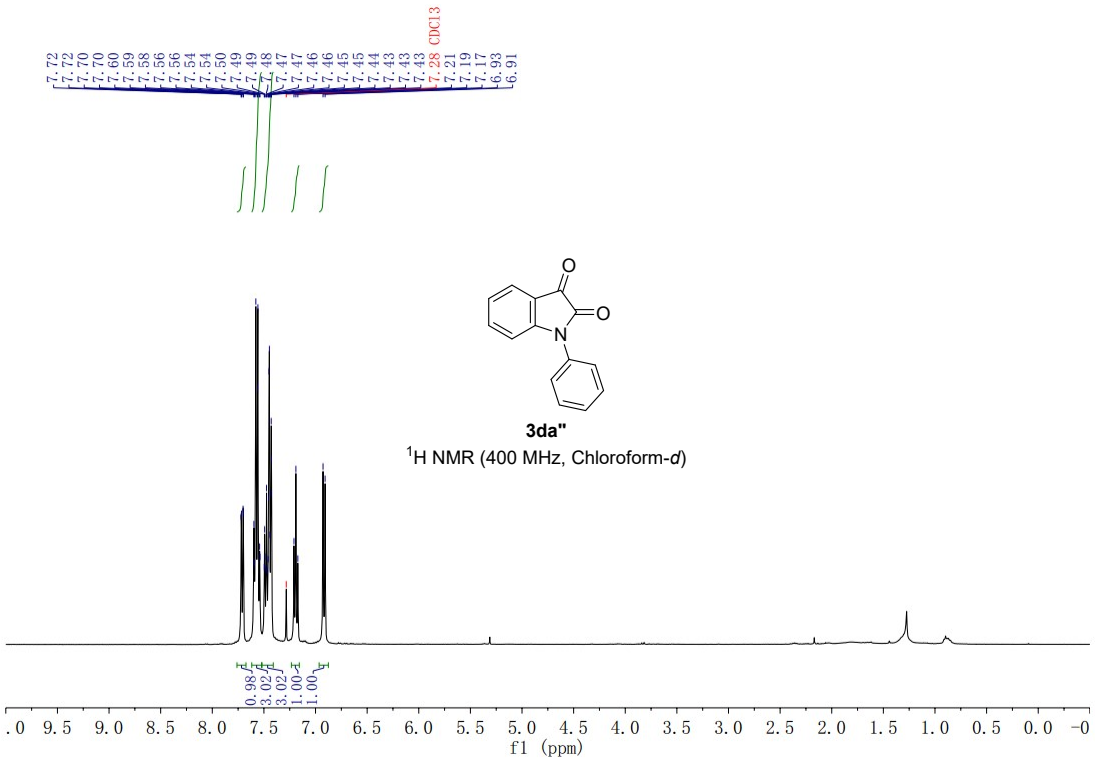
3da'

^{1}H NMR (400 MHz, Chloroform-*d*)



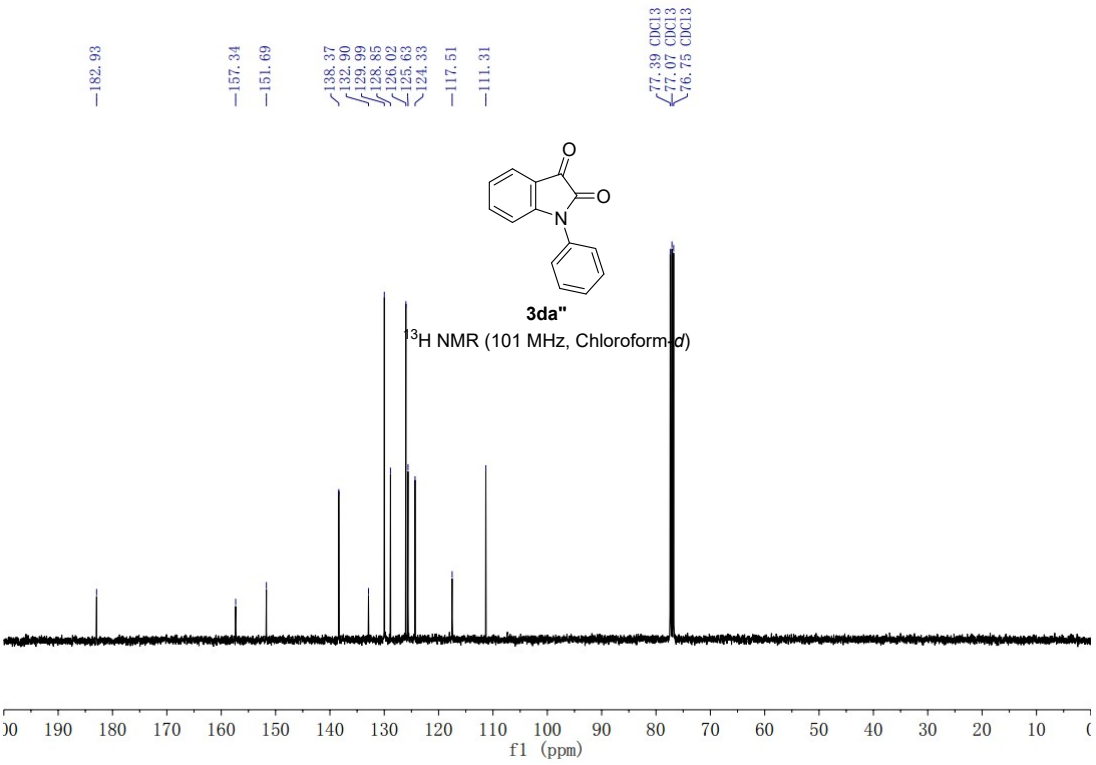
3da'

^{13}H NMR (101 MHz, Chloroform-*d*)



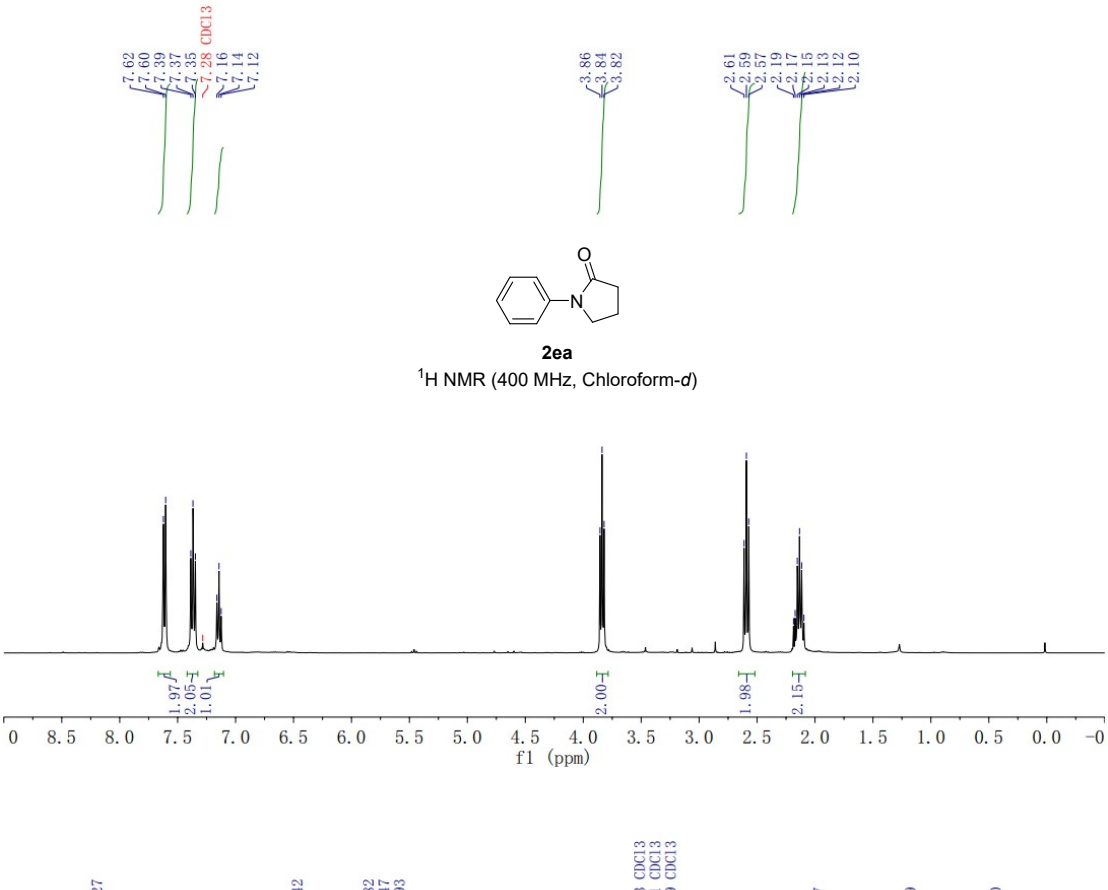
3da"

^{1}H NMR (400 MHz, Chloroform-*d*)



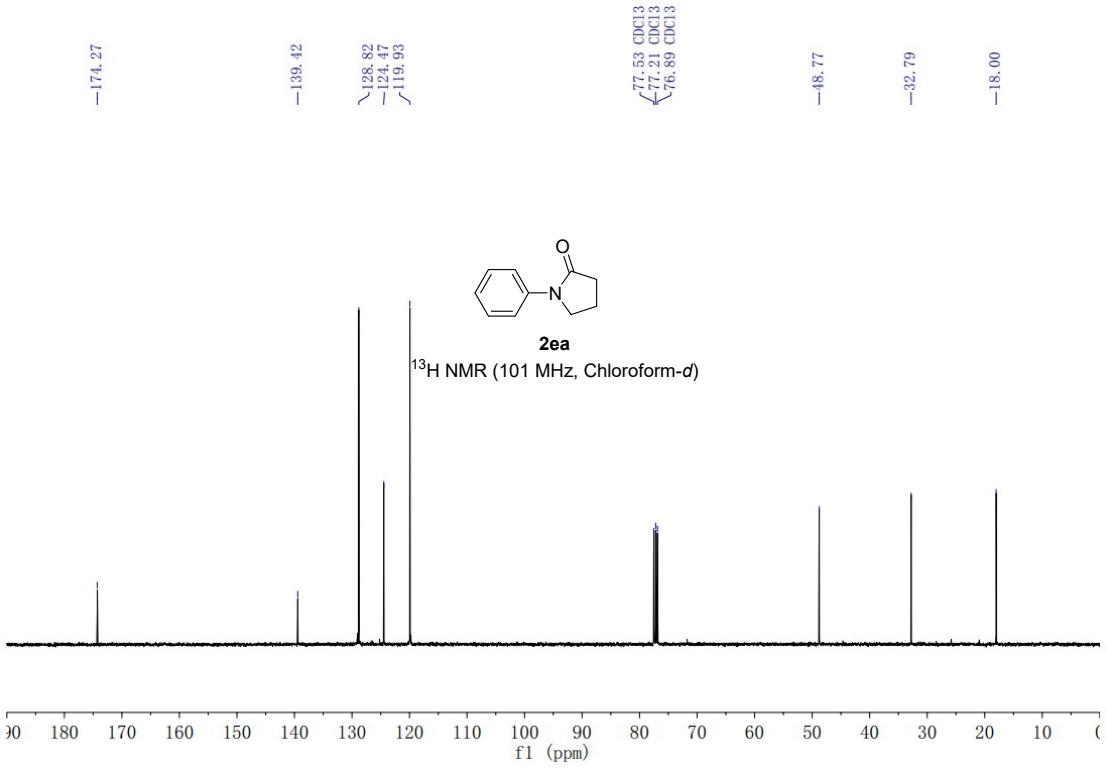
3da"

^{13}H NMR (101 MHz, Chloroform-*d*)



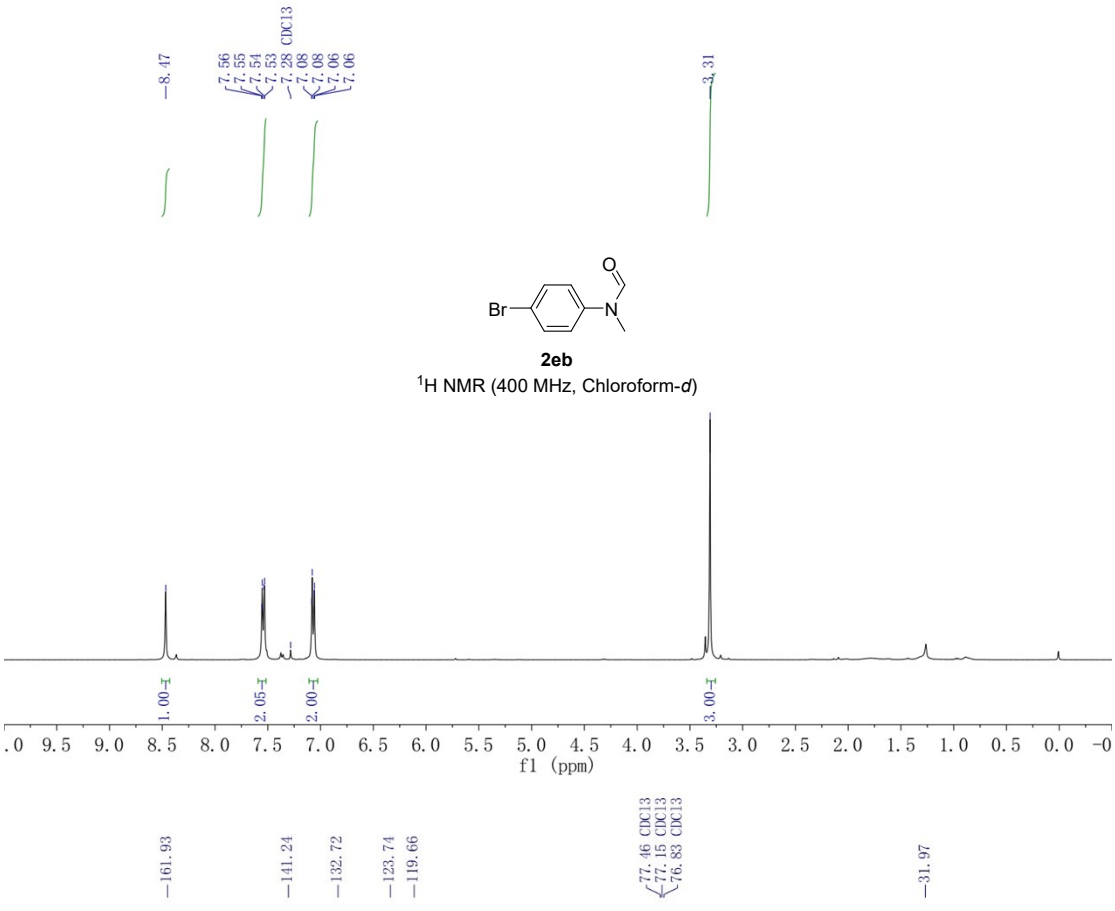
2ea

^{1}H NMR (400 MHz, Chloroform-*d*)



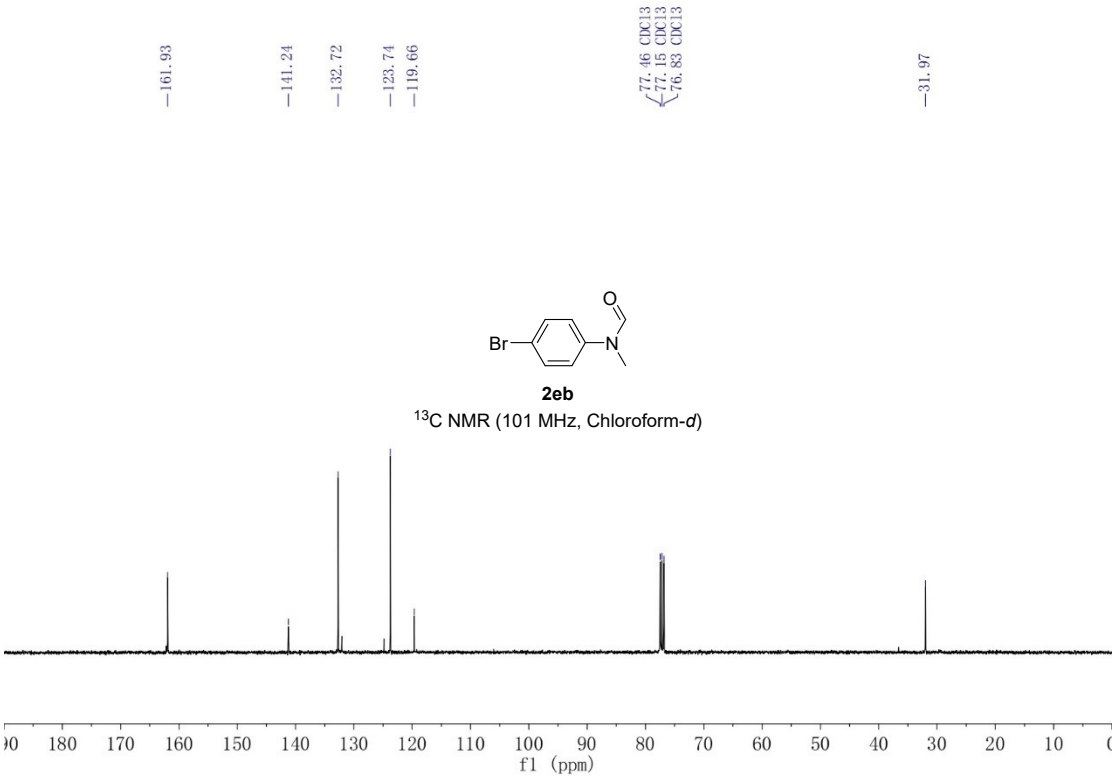
2ea

^{13}H NMR (101 MHz, Chloroform-*d*)



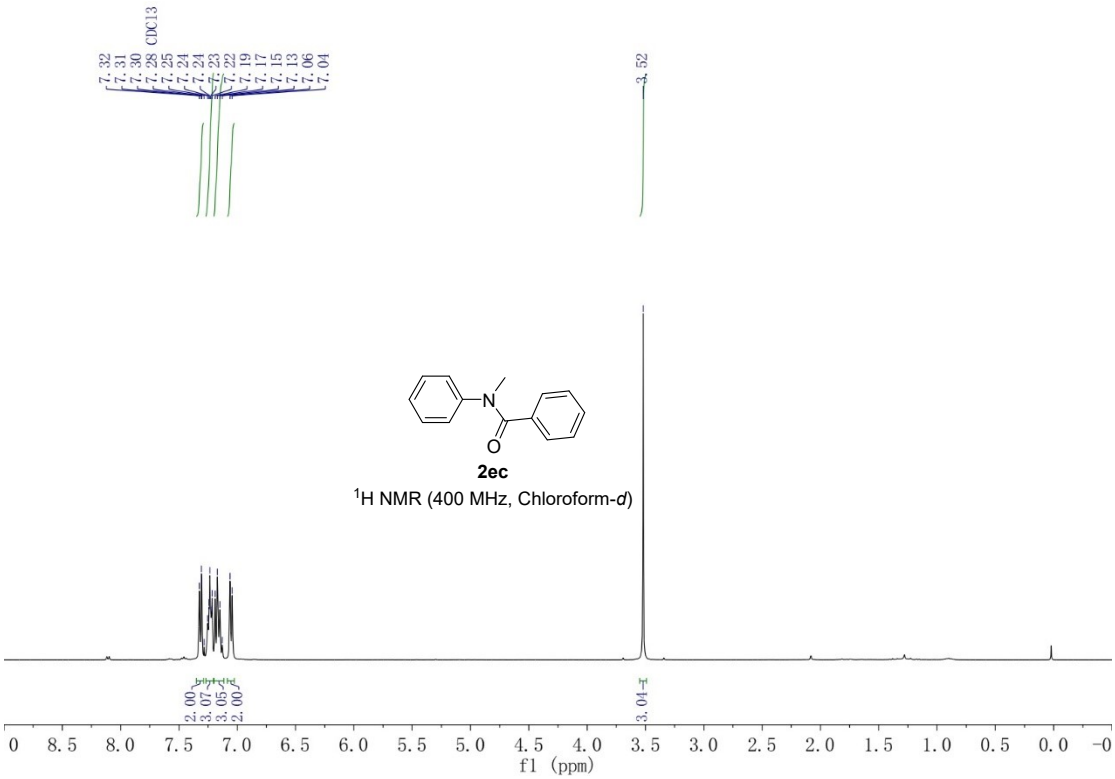
2eb

^{1}H NMR (400 MHz, Chloroform-*d*)



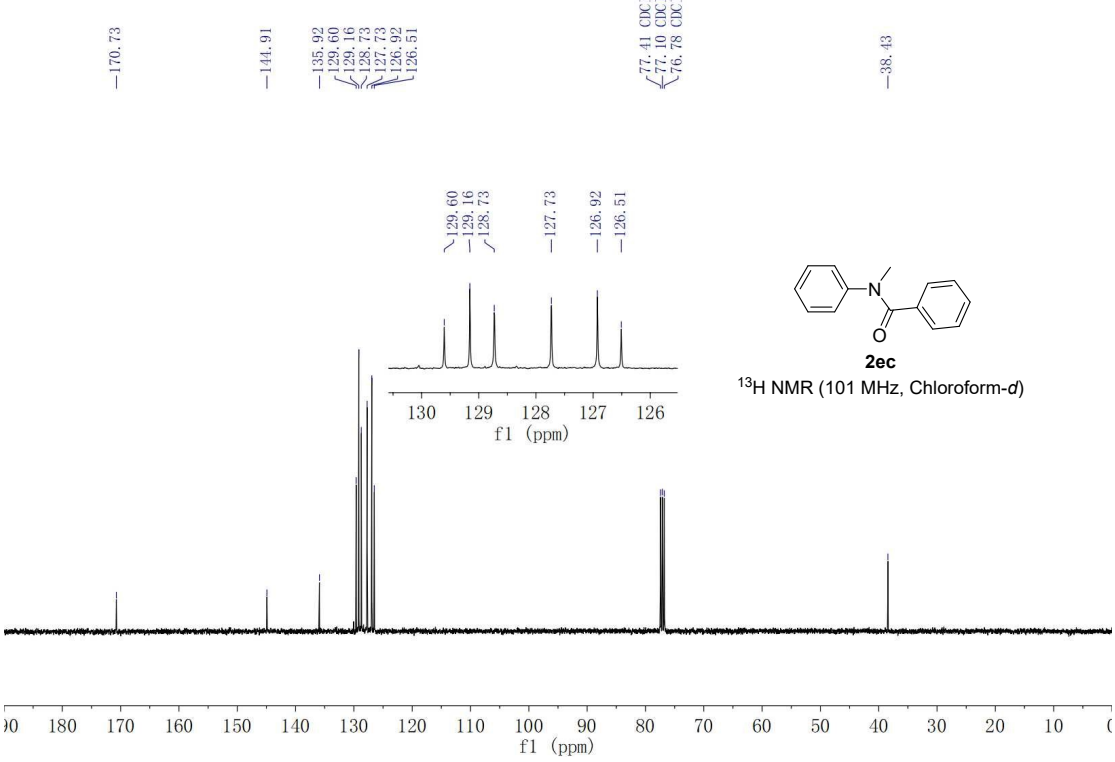
2eb

^{13}C NMR (101 MHz, Chloroform-*d*)



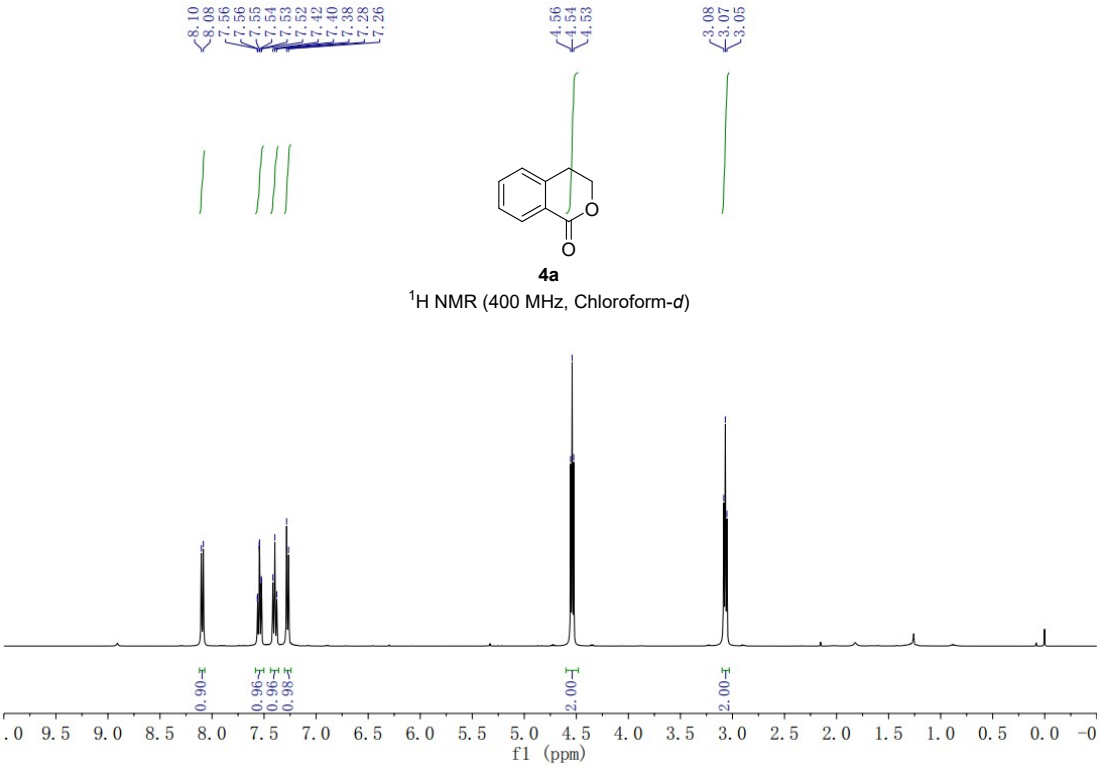
2ec

^{1}H NMR (400 MHz, Chloroform-*d*)



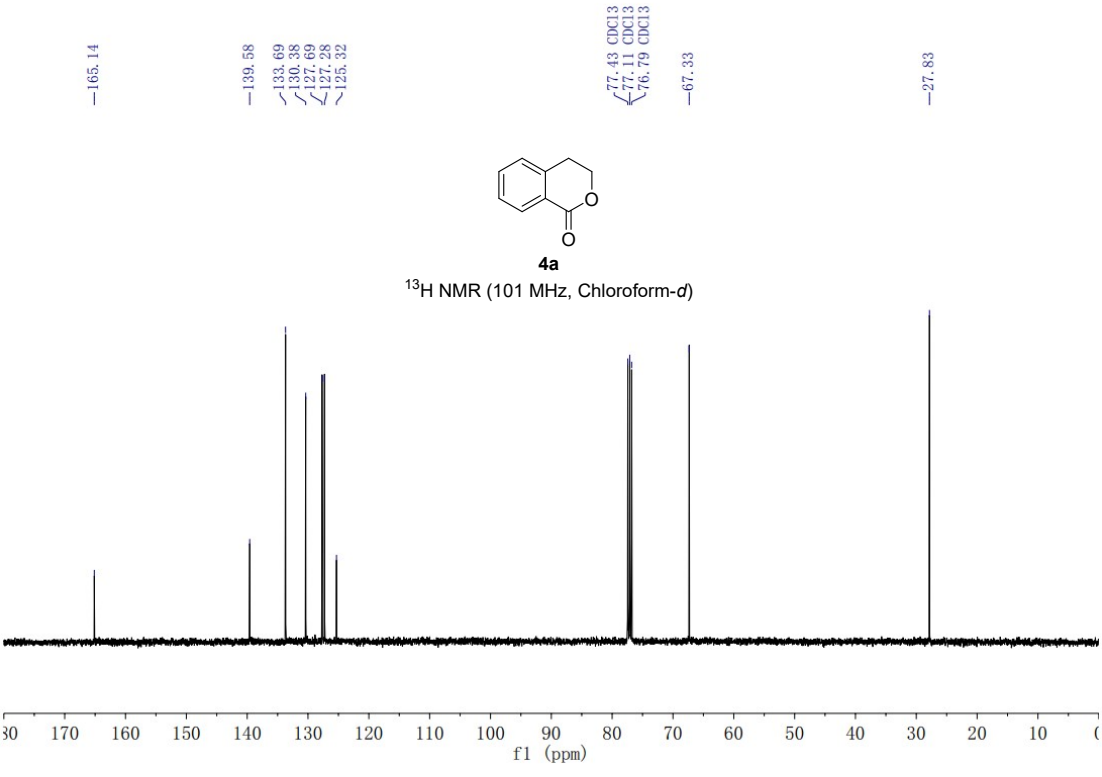
2ec

^{13}H NMR (101 MHz, Chloroform-*d*)



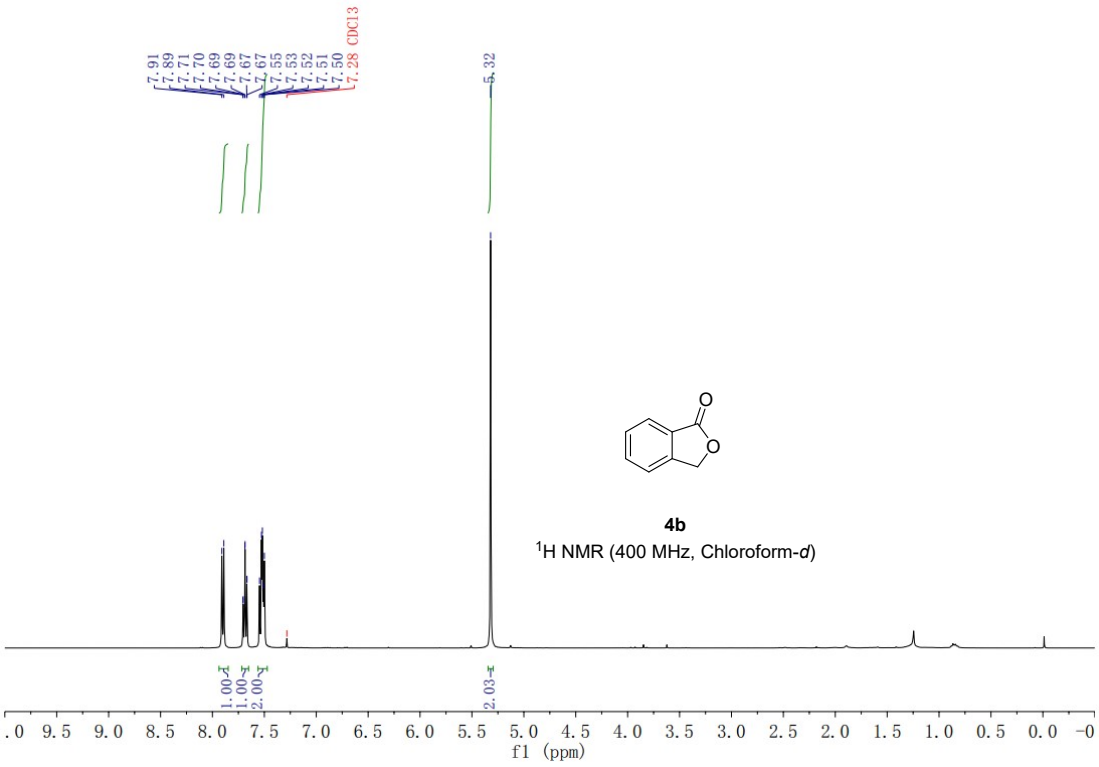
4a

^{1}H NMR (400 MHz, Chloroform-*d*)



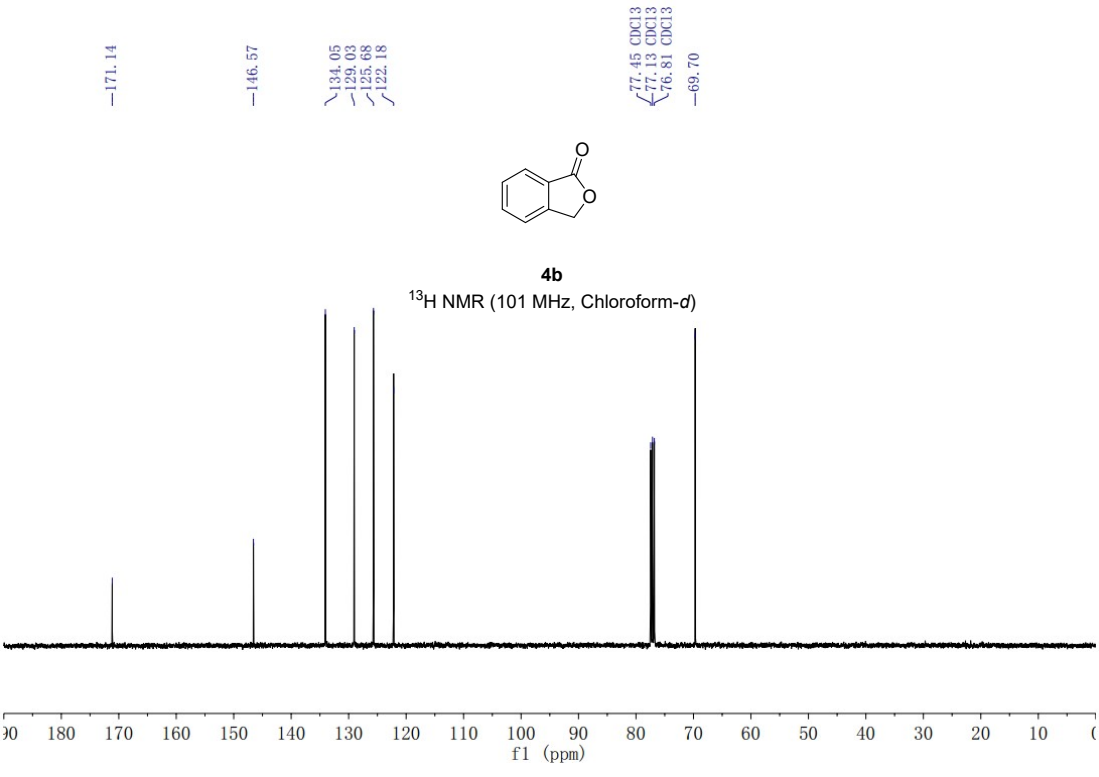
4a

^{13}H NMR (101 MHz, Chloroform-*d*)



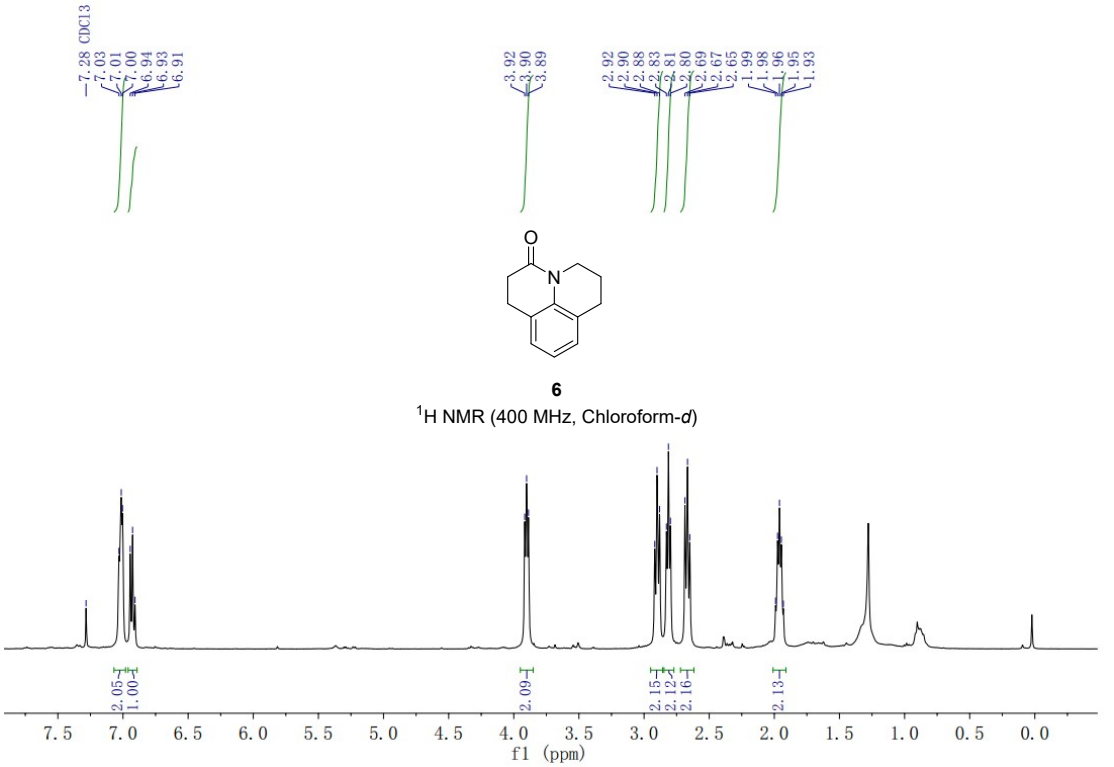
4b

^{1}H NMR (400 MHz, Chloroform-*d*)



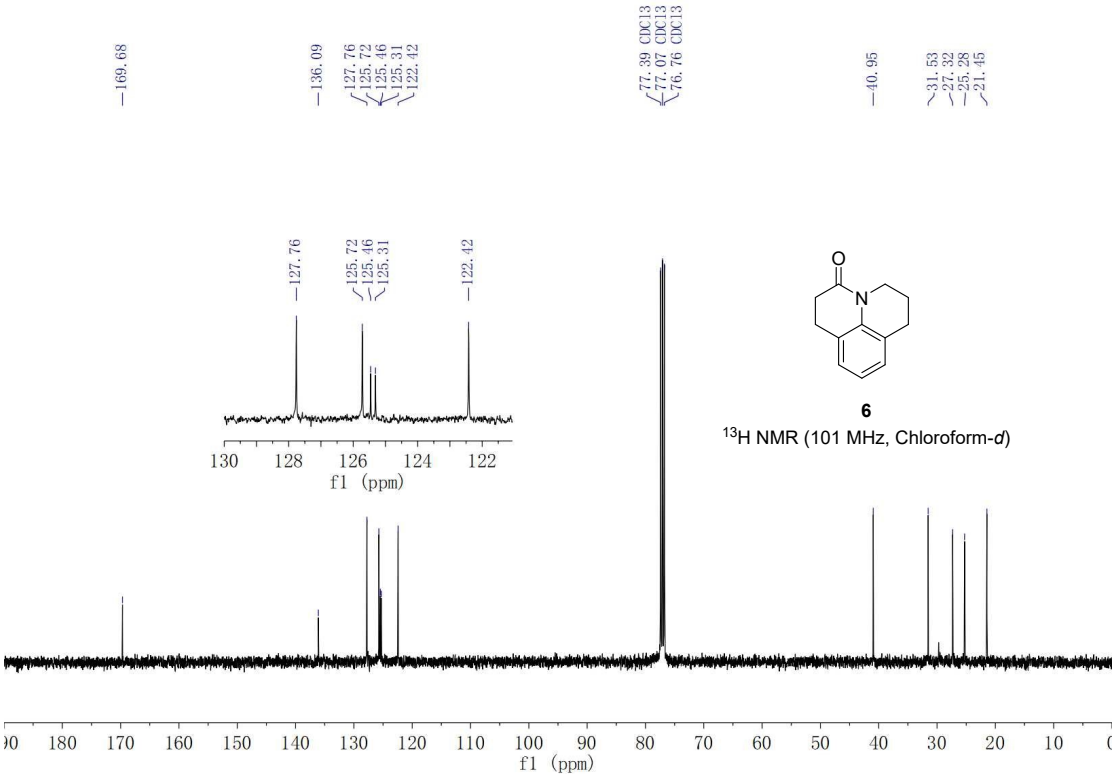
4b

^{13}H NMR (101 MHz, Chloroform-*d*)



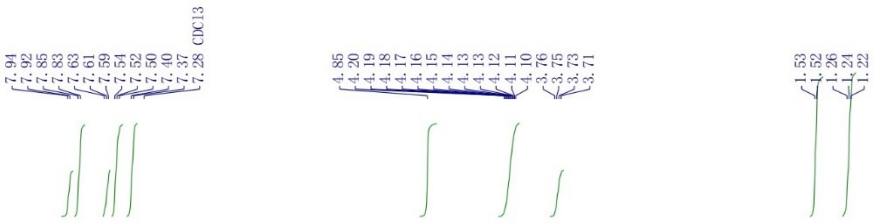
6

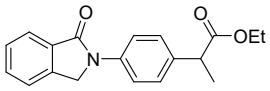
^{1}H NMR (400 MHz, Chloroform-*d*)



6

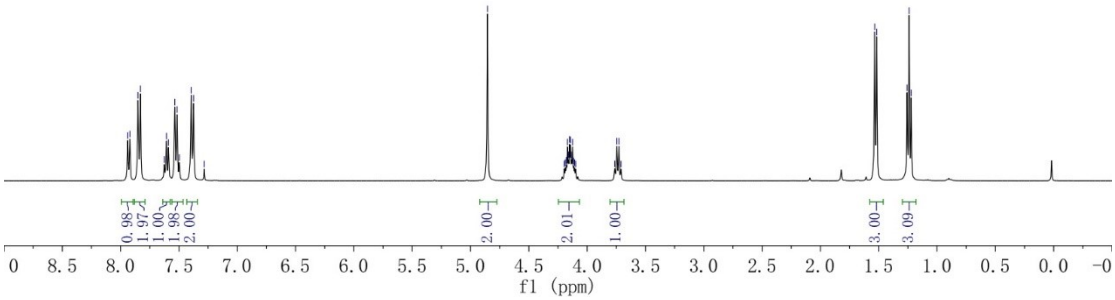
^{13}H NMR (101 MHz, Chloroform-*d*)

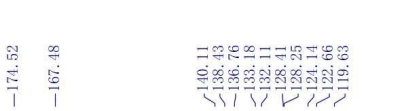


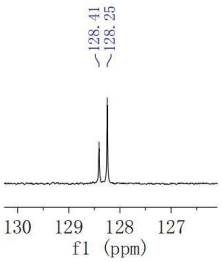


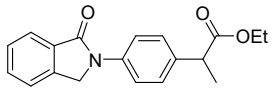
10a

^{1}H NMR (400 MHz, Chloroform-*d*)



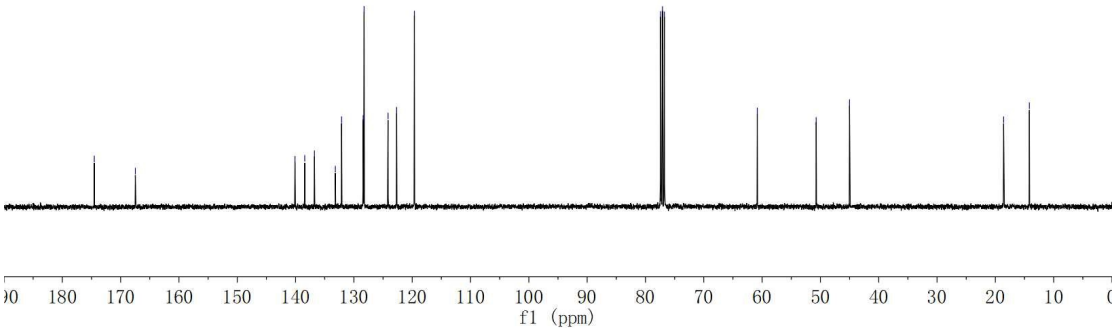


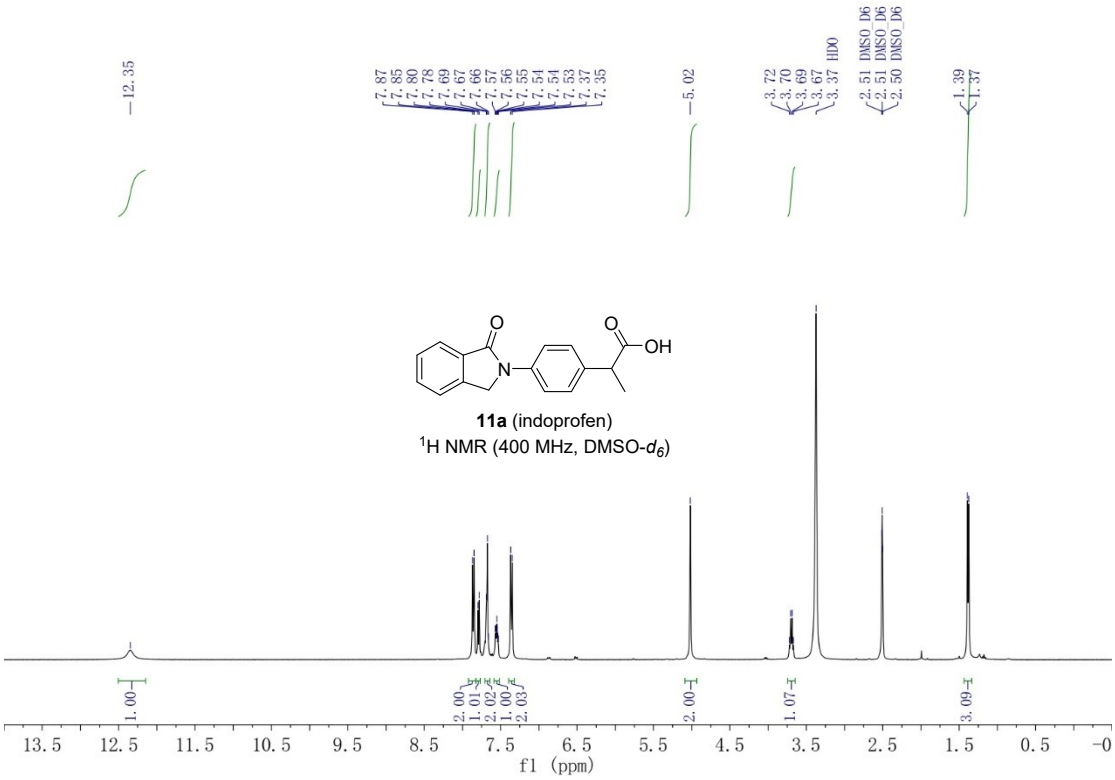


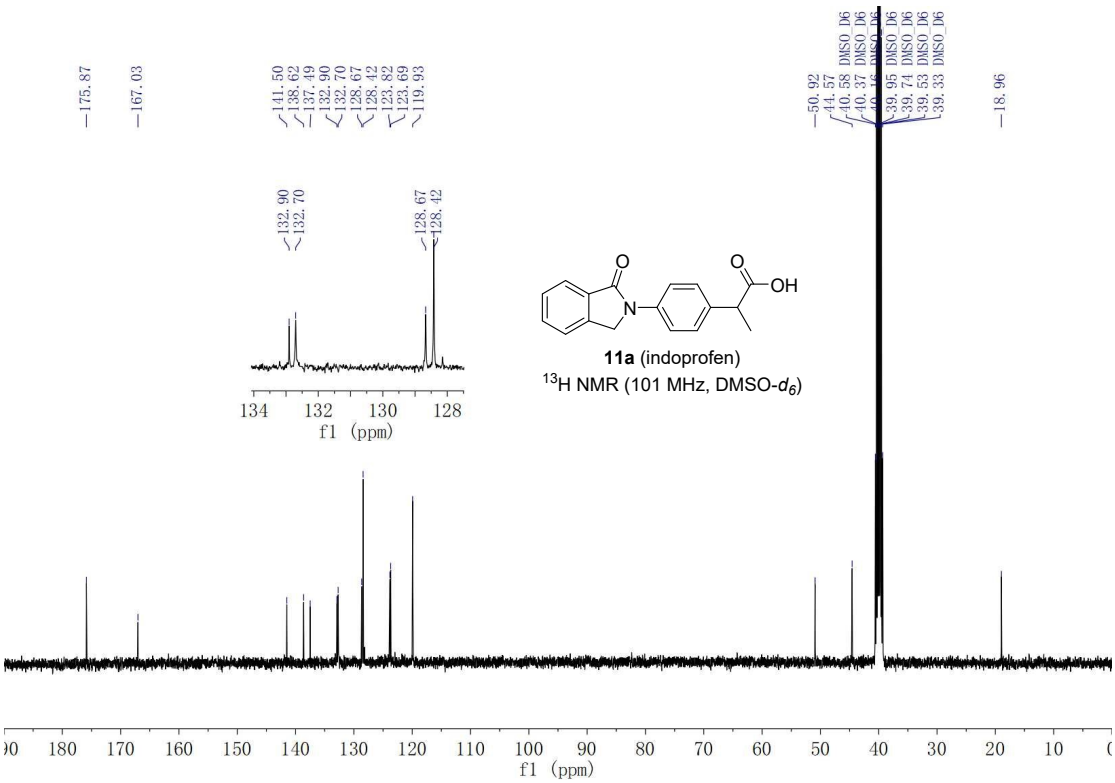


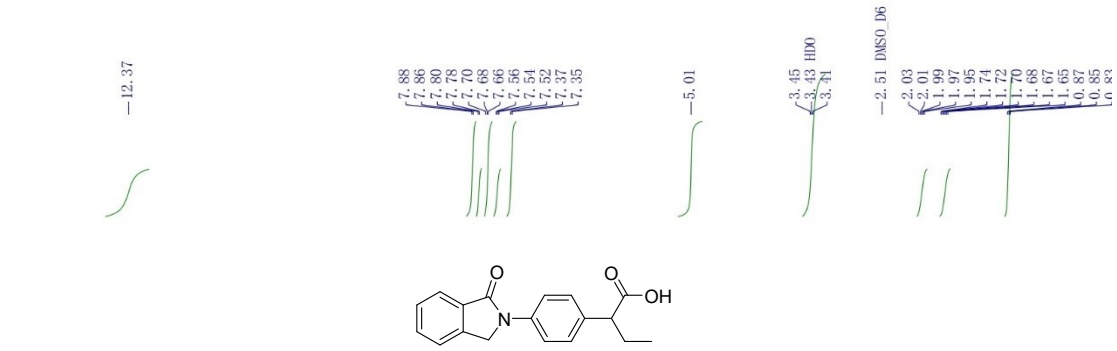
10a

^{13}H NMR (101 MHz, Chloroform-*d*)

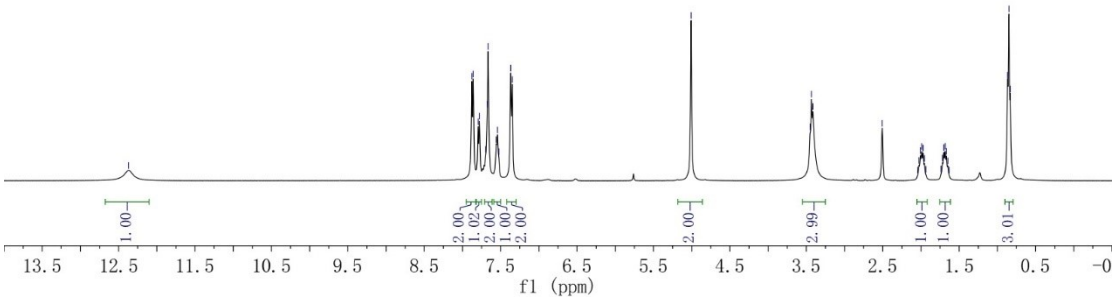


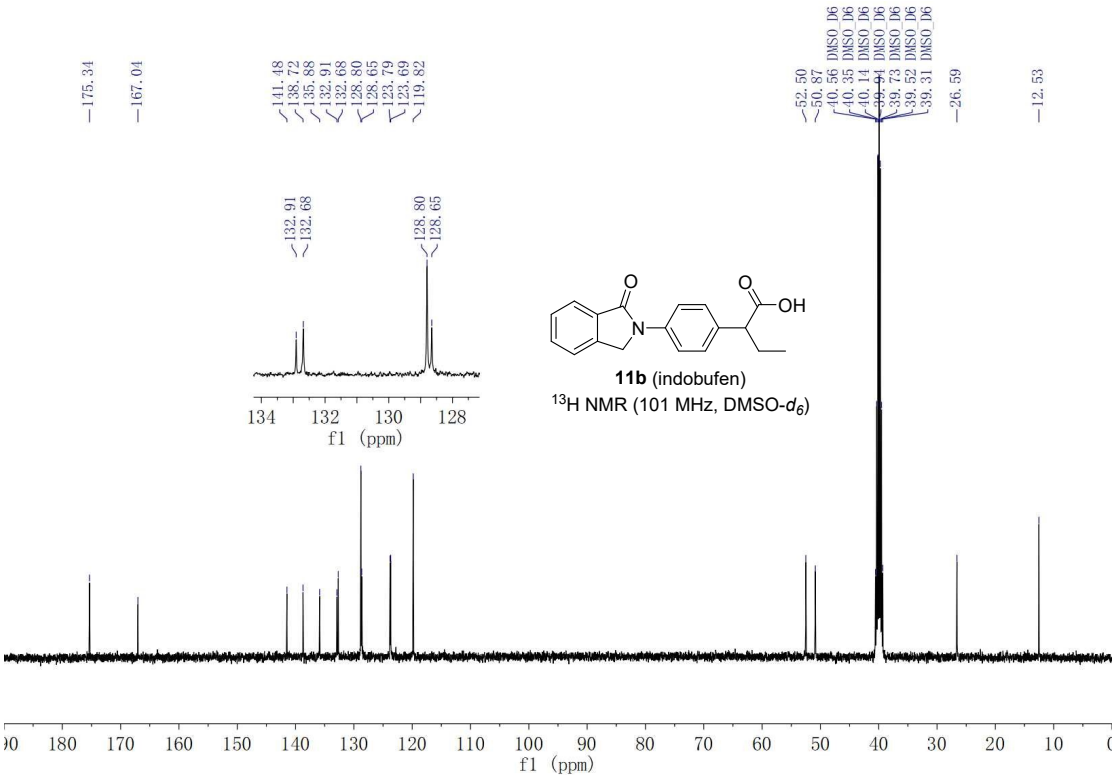

12.35
7.87
7.85
7.80
7.78
7.69
7.67
7.66
7.57
7.56
7.55
7.54
7.53
7.37
7.35
5.02
3.72
3.70
3.69
3.67
3.37 HDO
2.51 DMSO_D6
2.51 DMSO_D6
2.50 DMSO_D6
1.39
1.37
O
O
OH
N
11a (indoprofen)
1H NMR (400 MHz, DMSO-d6)
1.00
2.00
1.01
2.02
1.00
2.03
2.00
1.07
3.09
13.5 12.5 11.5 10.5 9.5 8.5 7.5 6.5 5.5 4.5 3.5 2.5 1.5 0.5 −0
f1 (ppm)


175.87
167.03
141.50
138.62
137.49
132.90
132.70
128.67
128.42
123.82
123.69
119.93
50.92
44.57
40.58 DMSO_D6
40.37 DMSO_D6
39.95 DMSO_D6
39.74 DMSO_D6
39.53 DMSO_D6
39.33 DMSO_D6
18.96
132.90
132.70
128.67
128.42
134 132 130 128
f1 (ppm)
O
O
OH
N
11a (indoprofen)
13H NMR (101 MHz, DMSO-d6)
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)



11b (indobufen)
^{1}H NMR (400 MHz, DMSO-d_6)





11b (indobufen)
^{13}H NMR (101 MHz, DMSO-d_6)