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Supporting Information

Photoinduced desaturative β-C(sp^3)-H amidation of *N*-phenylpiperidine with phthalimide driven by electron donor-acceptor complexes

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1. General Information

Unless otherwise specified, all reagents and solvents were obtained from commercial suppliers and used without further purification. The NMR spectra were recorded on a Bruker Avance 400 spectrometer at 400 MHz (^{1}H), 100 MHz (^{13}C) and 376 MHz (^{19}F) in CDCl3 using tetramethylsilane as the internal standard. Chemical shifts (δ) are reported in ppm and coupling constants (J) in hertz (Hz). The following abbreviations were used to explain the multiplicities: s = singlet, d = doublet, dd = doublet of doublet, t = triplet, m = multiplet. Melting points were determined using a Büchi B-540 capillary melting point apparatus. High-resolution mass spectra were obtained with a Bruker Impact II UHR-QTOF.by ESI on a TOF mass analyzer. Column chromatography was performed on silica gel (200-300 mesh).

Light source: Manufacturer： Xi'an WATTECS experimental equipment co. LTD.

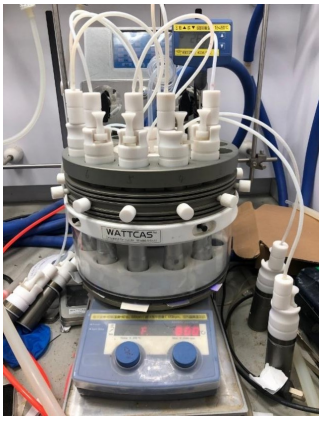
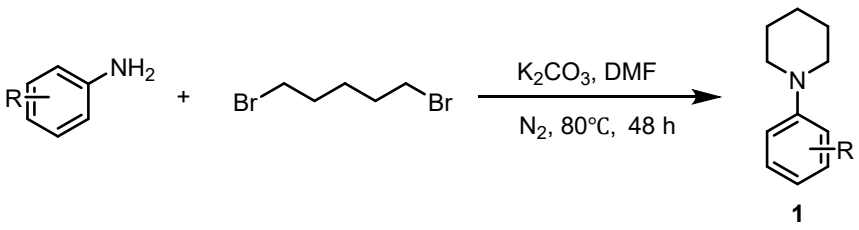


Figure S1 The photos of the photochemical reactor

2. Preparation of substrates

2.1 General procedure for the synthesis of *N*-phenylpiperidine[1]

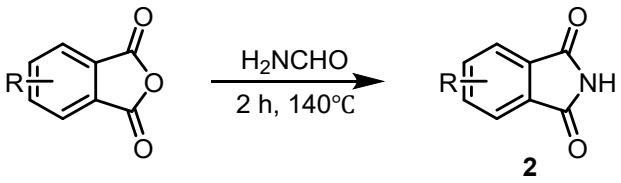


1

To a dried round-bottom flask was charged with a magnetic stirring bar, aniline (10 mmol), 1,5-dibromopentane (1.5 eq). K_2CO_3 (1.5 eq) was added successively. The flask was evacuated and backfilled with nitrogen for 3 times, and the mixture was heated to 80 °C using oil bath with stirring for 48 h. The reaction was monitored by TLC. After completion, the reaction was

quenched with saturated $NaHCO_3$ solution, and extracted with EtOAc (100 mL). The organic phase was dried over anhydrous $MgSO_4$ and concentrated under reduced pressure. The reaction mixture was purified via column chromatography to give **1**.

2.2 General procedure for the synthesis of phthalimide. General procedure for the synthesis of phthalimide[2]

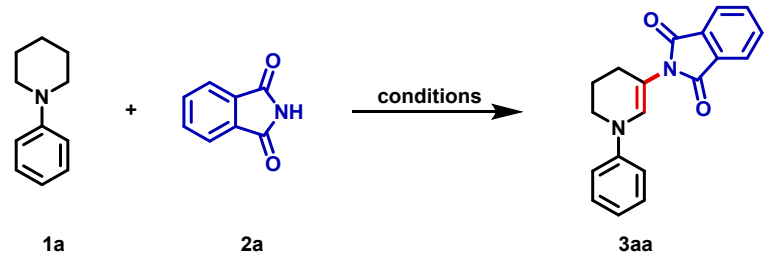


2

To a dried round-bottom flask was charged with a magnetic stirring bar and phthalic anhydride (10 mmol). Formamide (20 mL) was added successively, and the resulting mixture was heated to 140 °C using oil bath with stirring for 3-5 h. The reaction was checked by TLC. After the starting materials completely disappeared, the resulting mixture was cooled to room temperature and then was poured into ice-cold water. The white or light-yellow precipitates were formed. The precipitates were filtered, washed with water (100 mL) for three times and dried to give the desired substrate **2**.

3. Optimization of the Reaction Condition

Table S1. Variations from standard conditions. [a]



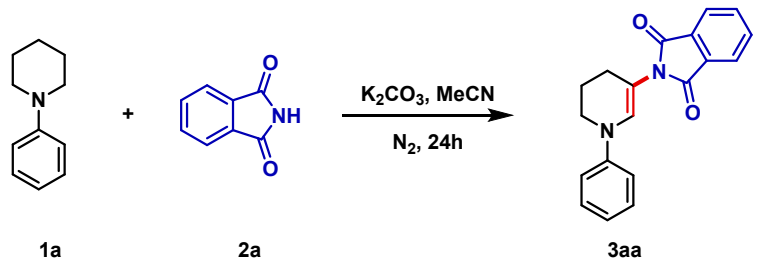
1a **2a** **3aa**

Entry	Light source (nm)	Solvent	Base	Yield (%)
1	400-405 nm	DCE	K_2CO_3	18
2	400-405 nm	DMF	K_2CO_3	N.D.
3	400-405 nm	H_2O	K_2CO_3	N.D.
4	400-405 nm	DMSO	K_2CO_3	N.D.
5	400-405 nm	THF	K_2CO_3	N.D.

6	400-405 nm	DCM	K_2CO_3	36
7	**400-405 nm**	**MeCN**	**K_2CO_3**	**83**
8	400-405 nm	PhCN	K_2CO_3	68
9	400-405 nm	MeCN	NaOH	65
10	400-405 nm	MeCN	DABCO	43
11	400-405 nm	MeCN	NaHCO3	34
12	400-405nm	MeCN	Cs_2CO_3	52
13	400-405 nm	MeCN	-	N.D.
14	380-385 nm	MeCN	K_2CO_3	79
15	410-415 nm	MeCN	K_2CO_3	83
16	430-435 nm	MeCN	K_2CO_3	81
17	450-455 nm	MeCN	K_2CO_3	81
18 [b]	-	MeCN	K_2CO_3	N.D.
19[c]	400-405 nm	MeCN	K_2CO_3	N.D.

[a] Reaction conditions: **1a** (0.20 mmol, 1.0 equiv.), **2a** (0.30 mmol, 1.5 equiv.), base (0.30 mmol, 1.5 equiv.), solvent (2 mL), and bule LEDs (10 w) under N_2 at room temperature, 24 h. [b] without light. [c] under air.

4. General procedure for the synthesis of products (**3aa** as an example)



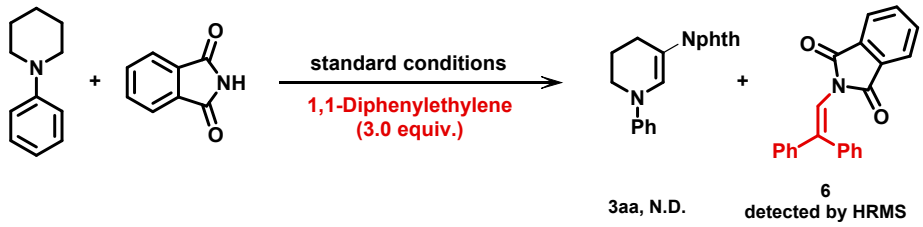
1a **2a** **3aa**

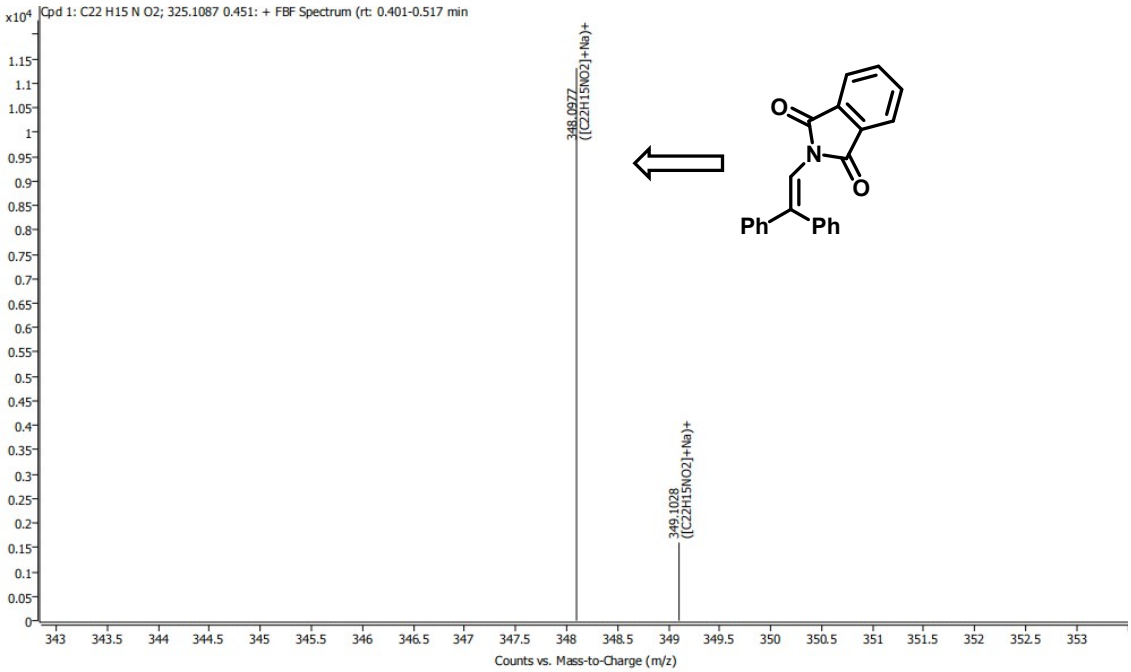
To a Schlenk-tube was charged with **1a** (0.2 mmol), **2a** (1.5 equiv.), base (1.5 equiv.) and MeCN (2.0 mL). The tube was evacuated and backfilled with N_2 for three times. The mixture was then irradiated by 400 nm light (10 W) for 24 h. The reaction mixture was then quenched with water (20 mL) and extracted with DCM (30 mL). The organic layer was dried over Na_2SO_4 and concentrated under reduced pressure. The crude product was purified by chromatography on silica gel column (ethyl acetate/hexane, 30:1) to afford **3aa** (50.47 mg, 83%) as an orange solid.

5. Mechanism investigation

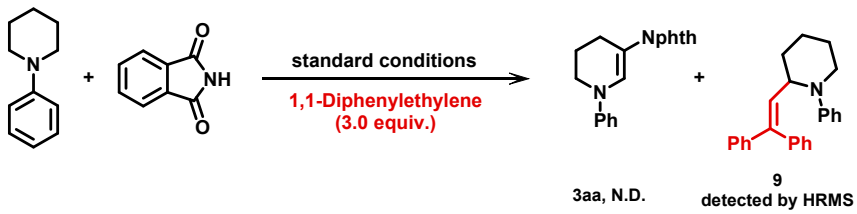
5.1 The control experiments

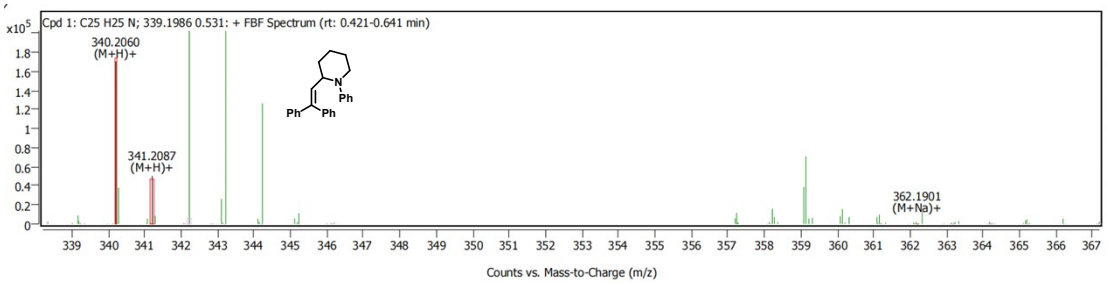
5.1.1 Radical trapped experiment using 1,1-Diphenylethylene as radical scavenger





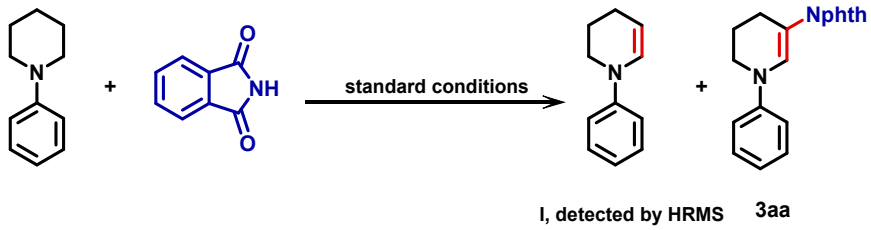
The reaction was completely inhibited by radical inhibitors 1,1-diphenylethylene, and the radical adducts **6** was detected by HRMS ($[M+Na]^+$ =348.0977)

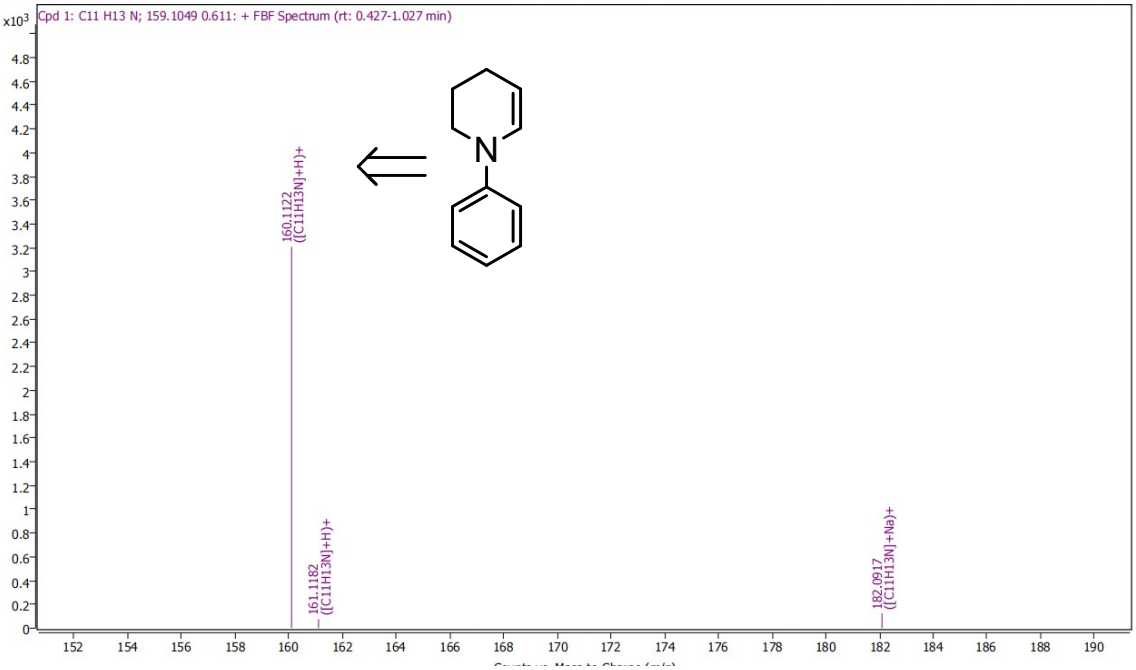




The reaction was completely inhibited by radical inhibitors 1,1-diphenylethylene, and the radical adducts **9** was detected by HRMS ($[M+H]^+$ =340.2060)

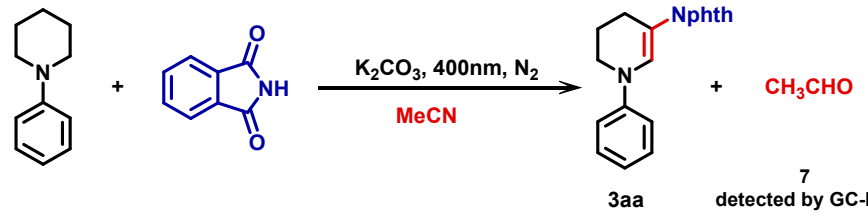
5.1.2 Enamine intermediate detected by HRMS under standard conditions

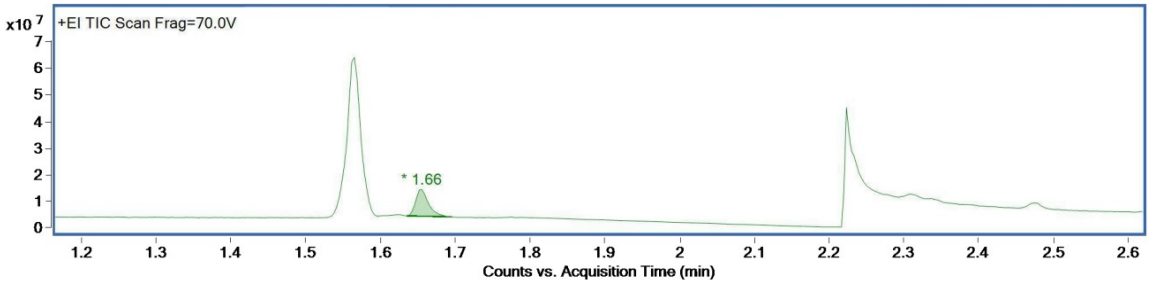




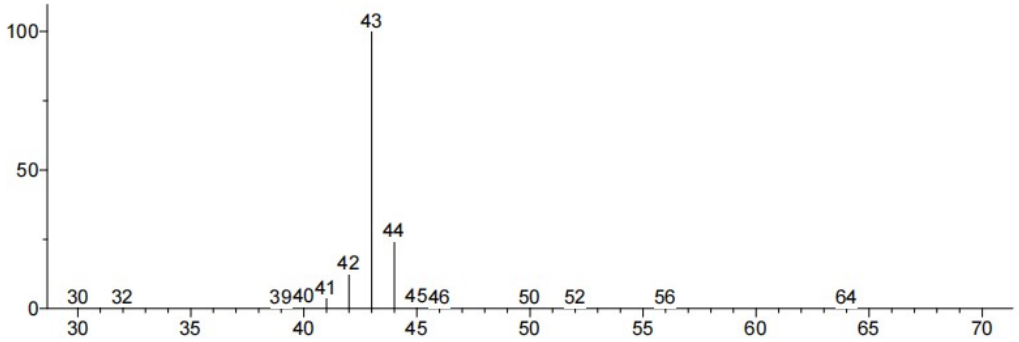
The reaction mixture was directly detected by HRMS under standard conditions and enamine intermediate **F** was determined by HRMS ([M+H]+ =160.1122)

5.1.3 Aldehyde detected GC-MS under standard conditions

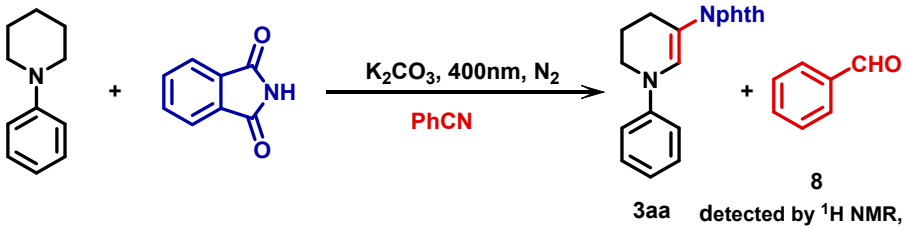




Unknown: +EI Scan (rt: 1.65-1.67 min, 8 scans) Frag=70.0V
Compound in Library Factor = -315

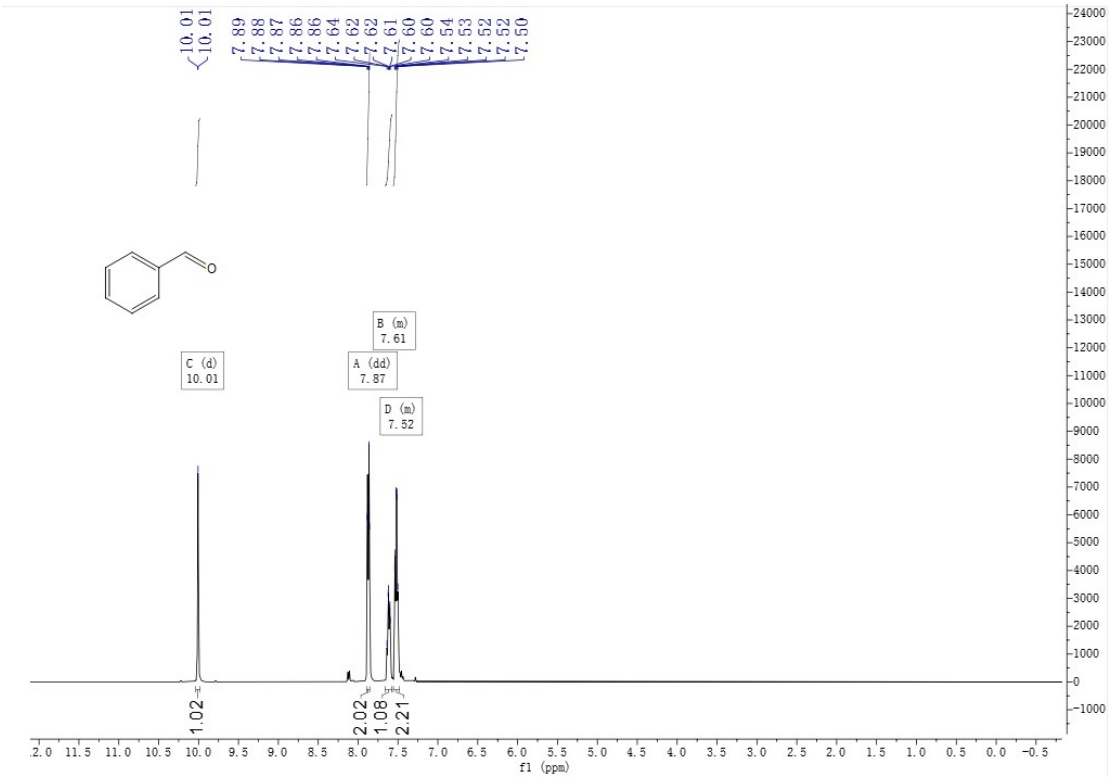


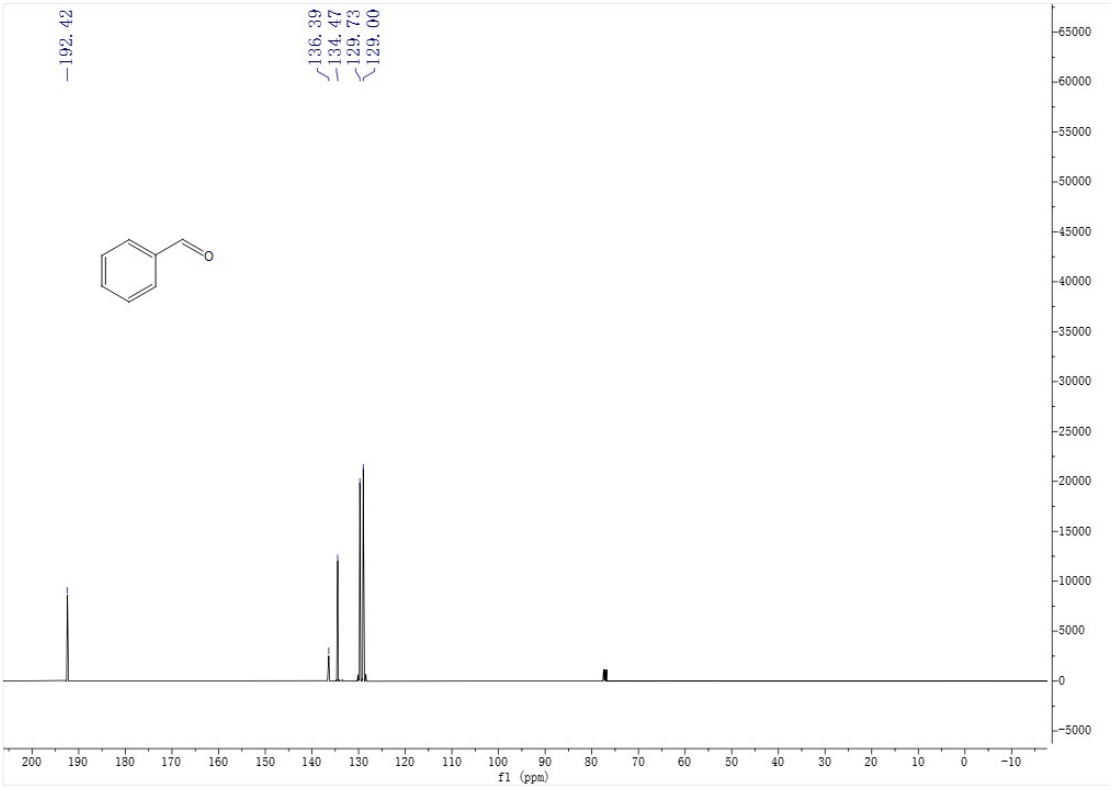
5.1.4 Benzaldehyde detected by ^{1}H NMR and ^{13}C NMR using cyanobenzene as solvent



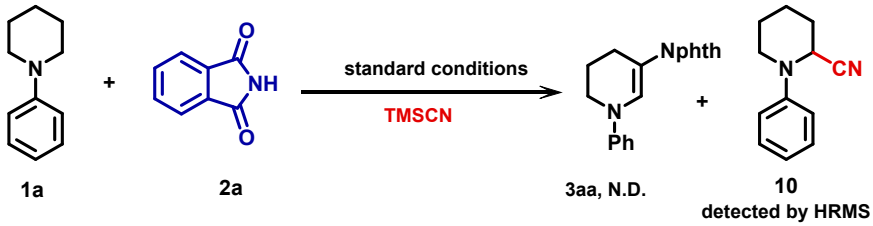
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.01 (d, *J* = 2.2 Hz, 1H), 7.87 (dd, *J* = 7.1, 2.1 Hz, 2H), 7.66 – 7.57 (m, 1H), 7.56 – 7.48 (m, 2H).

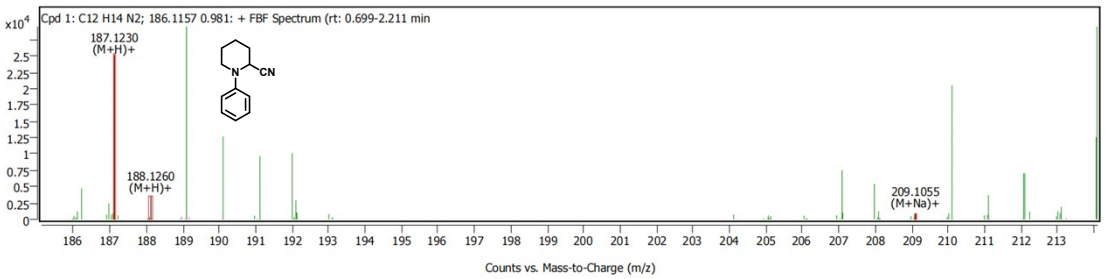
^{13}C NMR (101 MHz, $CDCl_3$) δ 192.42, 136.39, 134.47, 129.73, 129.00.





5.1.5 Nucleophilic product detected by HRMS

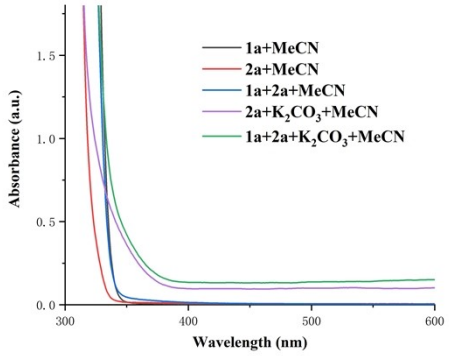




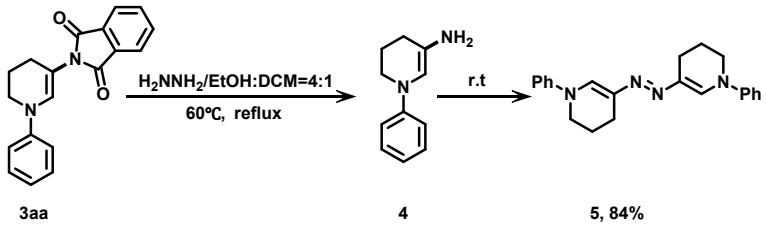
The reaction was completely inhibited by TMSCN, and the nucleophilic product **10** was detected by HRMS ($[M+H]^+$ =187.1230)

5.2 Charge-transfer bands in UV/vis absorption spectra

UV/Vis absorption spectra between **1a** (0.01 M), **2a** (0.01 M) and K_2CO_3 (10mg) in 8 mL MeCN were recorded in 1 cm path quartz cuvettes using a Shimadzu UV-1800 UV/Vis spectrometer.



6 Phthalimidyl- *N*-phenylpiperidine Deprotection Procedure



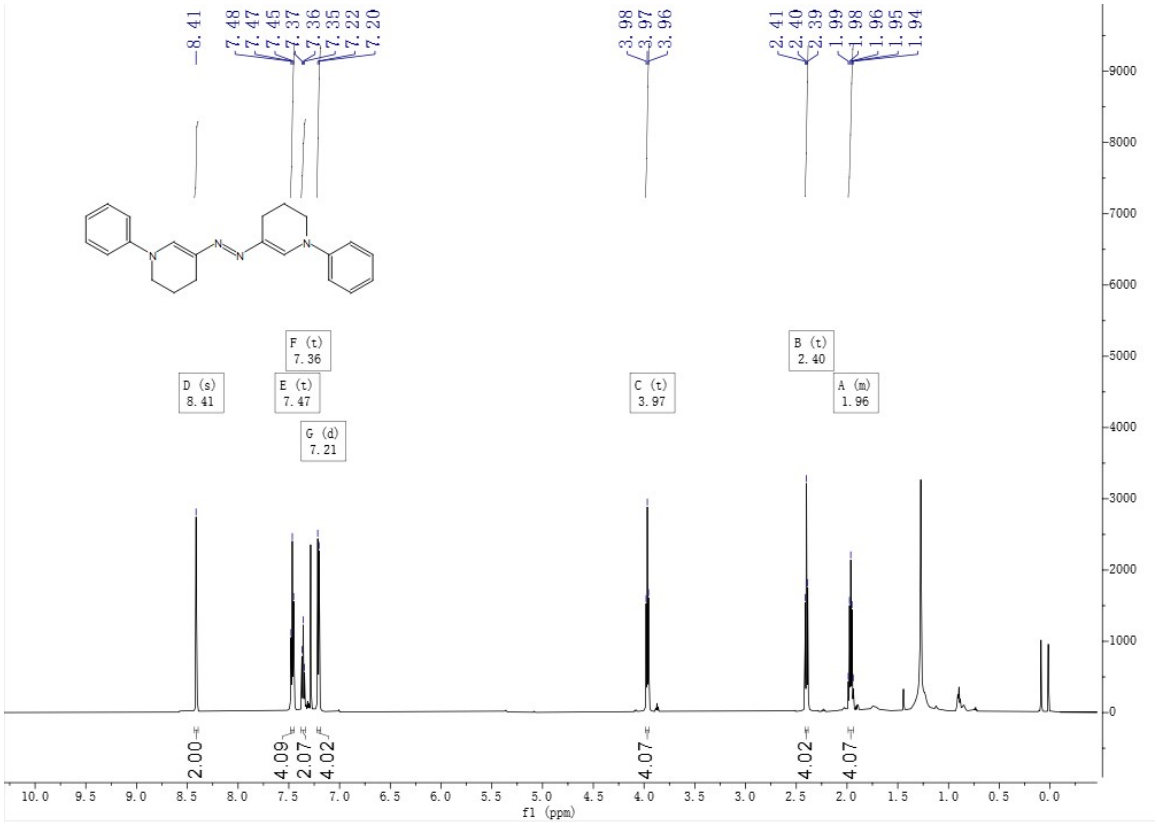
To a 50 mL roundbottom flask was charged with a magnetic stir bar, **3aa** (61 mg, 0.2 mmol), 95 wt% hydrazine aqueous solution (0.93 mL, 5.0 equiv), and EtOH:DCM (20 mL 4;1). The reaction mixture was equipped with a reflux condenser and heated at 60 °C for 30 minutes. The reaction mixture was then quenched with water (20 mL) and extracted with DCM (30 mL). The organic layer wasdried over Na_2SO_4 and concentrated under reduced pressure. The crude product was

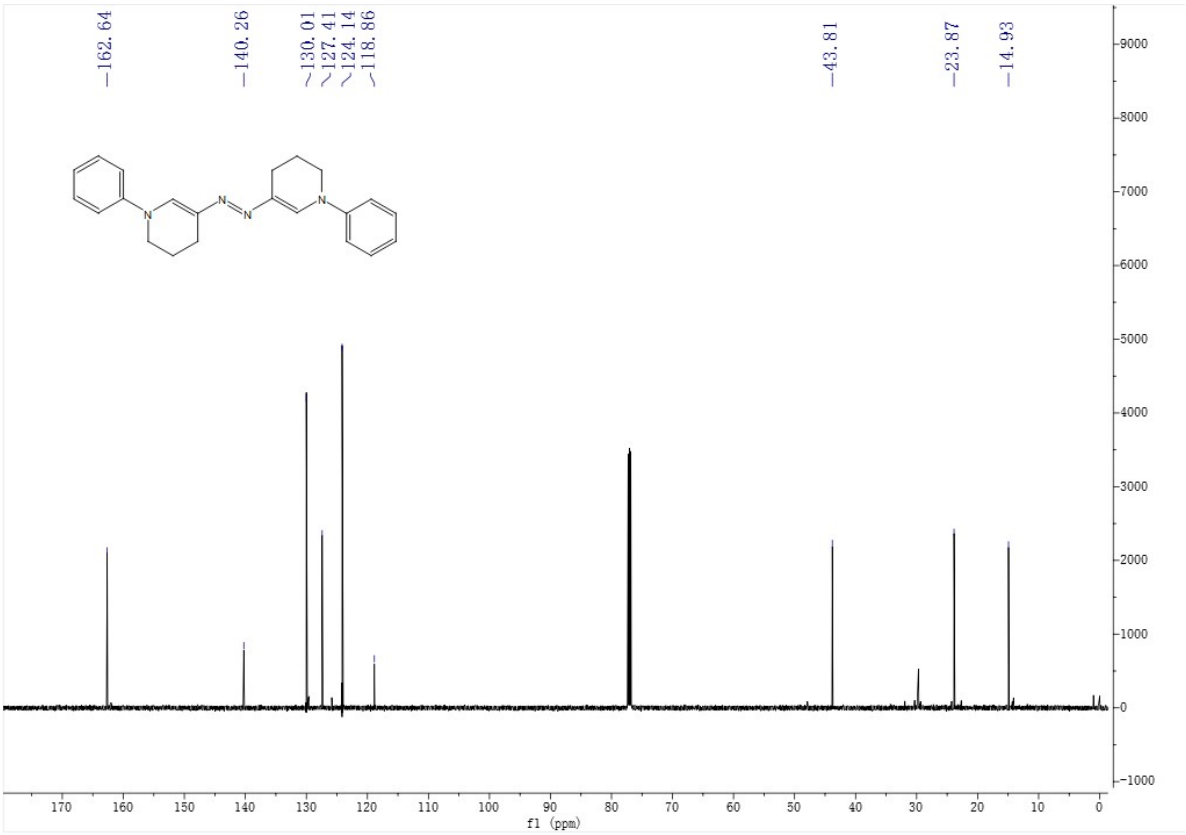
purified by flash chromatography on silica gel column (ethyl acetate/hexane, 1:1) to afford **5** (57.78 mg, 84%) as an orange oily.

^{1}H NMR (600 MHz,$CDCl_3$) δ 8.41 (s, 2H), 7.47 (t, J = 7.6 Hz, 4H), 7.36 (t, J = 7.5 Hz, 2H), 7.21 (d, J = 7.8 Hz, 4H), 3.97 (t, J = 7.0 Hz, 4H), 2.40 (t, J = 7.3 Hz, 4H), 1.99 – 1.94 (m, 4H).

^{13}C NMR (151 MHz, CDCl3) δ 162.64, 140.26, 130.01, 127.41, 124.14, 118.86, 43.81, 23.87, 14.93.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{22}H_{24}N_4$: 345.2077 Found: 345.2079



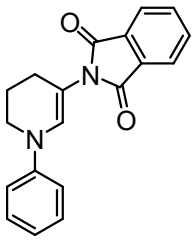


7. References

[1] Takasu, Noriaki, Org. Lett, 2013, (8), 1918-1921.

[2] Y.Q. Peng, G.H. Song, Synthetic, 2021, (12), 1927-1931.

7. Characterization data for the products (reactions was conducted at 0.2 mmol scale).



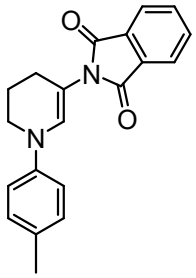
2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl) isoindoline-1,3-dione (3aa)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). orange solid, m.p. 209.9-210.4℃, yield 82%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (dd, *J* = 5.6, 3.1 Hz, 2H), 7.76 (dd, *J* = 5.7, 3.1 Hz, 2H), 7.30 (d, *J* = 6.9 Hz, 2H), 6.98-6.90 (m, *J* = 21.7, 7.6 Hz, 3H), 6.81 (s, 1H), 3.67 (t, *J* = 5.5 Hz, 2H), 2.44 (t, *J* = 6.3 Hz, 2H), 2.21-2.15 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.52, 146.20, 134.03, 132.08, 131.51, 129.23, 123.34, 120.53, 115.94, 104.87, 45.02, 24.33, 21.94.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{16}N_2O_2$: 305.1290 Found: 305.1285



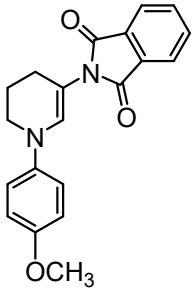
2-(1-(p-tolyl)-1,4,5,6-tetrahydropyridin-3-yl) isoindoline-1,3-dione (3ab)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 183.8.-184.5℃, yield 85%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.75 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.09 (d, *J* = 8.4 Hz, 2H), 6.87 (d, *J* = 8.6 Hz, 2H), 6.76 (s, 1H), 3.64 (t, *J* = 5.5 Hz, 2H), 2.43 (t, *J* = 6.3 Hz, 21H), 2.30 (s, 3H), 2.19 – 2.13 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.58, 144.09, 133.99, 132.10, 131.83, 129.97, 129.73, 123.31, 116.15, 104.08, 45.26, 24.33, 21.91, 20.49.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{20}H_{18}N_2O_2$: 319.1446 Found: 319.1440



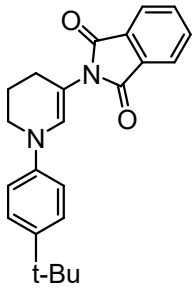
2-(1-(4-methoxyphenyl)-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3ac)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 152.8-153.0℃, yield 84%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (dd, *J* = 5.4, 3.0 Hz, 2H), 7.75 (dd, *J* = 5.4, 3.1 Hz, 2H), 6.92 (d, *J* = 8.7 Hz, 2H), 6.85 (d, *J* = 9.1 Hz, 2H), 6.69 (s, 1H), 3.79 (s, 3H), 3.62 (t, *J* = 5.6 Hz, 2H), 2.41 (t, *J* = 6.3 Hz, 2H), 2.18-2.14 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.64, 154.21, 140.66, 133.99, 132.38, 132.10, 123.31, 117.97, 114.55, 103.53, 55.63, 45.88, 24.27, 21.90.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{20}H_{18}N_2O_3$: 335.1393 Found: 335.1393



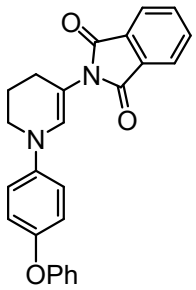
2-(1-(4-(tert-butyl) phenyl)-1,4,5,6-tetrahydropyridin-3-yl) isoindoline-1,3-dione (3ad)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 152.6-153.2℃, yield 81%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (dd, *J* = 5.4, 3.0 Hz, 2H), 7.75 (dd, *J* = 5.6, 3.0 Hz, 2H), 7.32 (d, *J* = 8.4 Hz, 2H), 6.91 (d, *J* = 8.3 Hz, 2H), 6.78 (s, 1H), 3.65 (t, *J* = 5.5 Hz, 2H), 2.43 (t, *J* = 6.4 Hz, 2H), 2.19-2.13 (m, 2H), 1.32 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.54, 143.91, 143.35, 133.99, 132.80, 131.78, 126.70, 122.79, 115.68, 106.90, 45.92, 34.78, 30.79, 24.32, 21.23.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{23}H_{24}N_2O_2$: 361.1914 Found: 361.1914



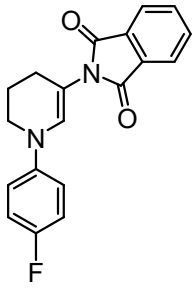
2-(1-(4-phenoxyphenyl)-1,4,5,6-tetrahydropyridin-3-yl) isoindoline-1,3-dione (3ae)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 167.4-167.8℃, yield 86%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.75 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.34 – 7.30 (m, 2H), 7.09-7.05 (m, 1H), 7.00 – 6.96 (m, 6H), 6.75 (s, 1H), 3.65 (t, *J* = 5.6 Hz, 2H), 2.43 (t, *J* = 6.4 Hz, 2H), 2.21-2.16 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.54, 158.34, 150.44, 142.71, 134.04, 132.07, 131.81, 129.64, 123.35, 122.51, 120.58, 117.72, 117.42, 104.59, 45.51, 24.26, 21.92.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{25}H_{20}N_2O_3$: 397.1550 Found: 397.1555



2-(1-(4-fluorophenyl)-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3af)

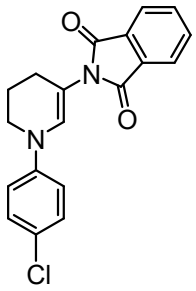
The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 230.4-231.5℃, yield 51%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (dd, *J* = 5.4, 3.0 Hz, 2H), 7.75 (dd, *J* = 5.5, 3.0 Hz, 2H), 6.99 (dd, *J* = 9.6, 7.7 Hz, 2H), 6.90 (dd, *J* = 9.1, 4.5 Hz, 2H), 6.70 (s, 1H), 3.65 (t, *J* = 5.6 Hz, 2H), 2.42 (t, *J* = 6.4 Hz, 2H), 2.19-2.13 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.61 (s), 157.67 (d, *J* = 239.7 Hz), 142.96 (d, *J* = 2.2 Hz), 134.16 (s), 132.02 (d, *J* = 24.2 Hz), 123.45 (s), 117.63 (d, *J* = 7.8 Hz), 115.85 (d, *J* = 22.5 Hz), 104.88 (s), 45.76 (s), 24.32 (s), 21.98 (s).

^{19}F NMR (376 MHz, CDCl3) δ -123.68.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{15}FN_2O_2$: 323.1194 Found: 323.1203



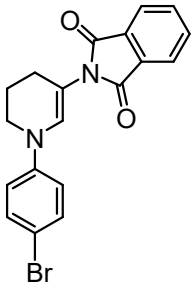
2-(1-(4-chlorophenyl)-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3ag)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 230.8-231.4℃, yield 46%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.76 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.24 (dd, *J* = 10.6, 1.2 Hz, 2H), 6.88 (dd, *J* = 21.7, 4.3 Hz, 2H), 6.74 (s, 1H), 3.63 (t, *J* = 5.6 Hz, 2H), 2.43 (t, *J* = 6.4 Hz, 2H), 2.20 – 2.14 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 167.66, 143.95, 134.84, 132.02, 130.24, 128.59, 125.05, 122.26, 116.01, 105.32, 44.04, 25.22, 21.00.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{15}ClN_2O_2$: 339.0898 Found: 339.0896



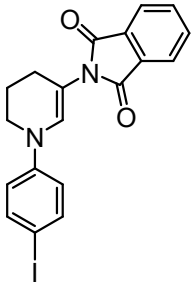
2-(1-(4-bromophenyl)-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3ah)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 229.2-229.8℃, yield 53%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (dd, *J* = 5.9, 3.1 Hz, 2H), 7.76 (dd, *J* = 5.8, 3.0 Hz, 2H), 7.37 (dd, *J* = 10.6, 1.2 Hz, 3H), 6.83 (dd, *J* = 21.7, 4.3 Hz, 2H), 6.74 (s, 1H), 3.62 (t, *J* = 5.6 Hz, 2H), 2.43 (t, *J* = 6.4 Hz, 2H), 2.20 – 2.14 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.40, 145.16, 134.10, 132.03, 132.02, 130.85, 123.40, 117.39, 112.78, 105.89, 45.04, 24.23, 21.82.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{15}BrN_2O_2$: 383.0393 Found: 383.0386



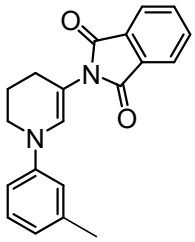
2-(1-(4-iodophenyl)-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3ai)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 235.4-235.8℃, yield 58%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.76 (dd, *J* = 5.4, 3.0 Hz, 2H), 7.54 (dd, *J* = 8.8 Hz, 2H), 6.74 (dd, *J* = 3.4 Hz, 2H), 6.71 (s, 1H), 3.61 (t, *J* = 5.6 Hz, 2H), 2.43 (t, *J* = 6.3 Hz, 2H), 2.19 – 2.13 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.38, 145.73, 137.93, 134.11, 132.01, 130.64, 123.40, 117.83, 106.09, 82.48, 44.89, 24.24, 21.81.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{15}IN_2O_2$: 431.0254 Found: 431.0257



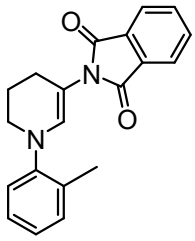
2-(1-(m-tolyl)-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3aj)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). orange solid, m.p. 198.6-199.5℃, yield 74%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.75 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.18 (t, *J* = 8.1 Hz, 1H), 6.79 (d, *J* = 5.1 Hz, 2H), 6.75 (d, *J* = 7.9 Hz, 1H), 3.68 – 3.64 (m, 2H), 2.43 (td, *J* = 6.4, 1.4 Hz, 2H), 2.34 (s, 3H), 2.16 (p, *J* = 6.1 Hz, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.55, 146.25, 139.05, 134.01, 132.10, 131.66, 129.04, 123.33, 121.42, 116.72, 113.11, 104.59, 45.06, 24.35, 21.95, 21.69.

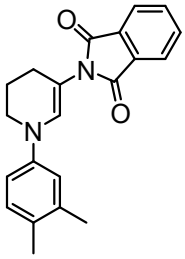
HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{20}H_{18}N_2O_2$: 319.1444 Found: 319.1438



2-(1-(o-tolyl)-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3ak)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 196.5-197.1℃, yield 46%.

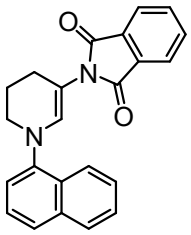
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 (dd, *J* = 5.4, 3.0 Hz, 2H), 7.74 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.22 – 7.18 (m, 2H), 7.14 – 7.11 (m, 1H), 7.08 – 7.04 (m, 1H), 6.39 (s, 1H), 3.48 (t, *J* = 5.4 Hz, 2H), 2.44 (t, *J* = 6.3 Hz, 2H), 2.37 (s, 3H), 2.14 – 2.09 (m, 2H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 168.61, 147.11, 135.37, 133.94, 132.61, 132.13, 131.37, 126.68, 124.61, 124.31, 123.26, 103.06, 48.39, 24.43, 21.89, 18.53.
HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{20}H_{18}N_2O_2$: 319.1444 Found: 319.1438



2-(1-(3,4-dimethylphenyl)-1,4,5,6-tetrahydropyridin-3-yl) isoindoline-1,3-dione (3al)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 185.4-186.9℃, yield 82%.

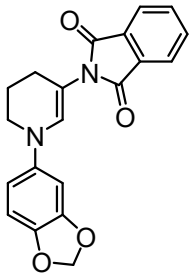
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.75 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.04 (d, *J* = 8.2 Hz, 1H), 6.78-6.76 (m, 2H), 6.72 (dd, *J* = 8.2, 2.7 Hz, 1H), 3.64 (t, *J* = 5.5 Hz, 2H), 2.42 (t, *J* = 6.4 Hz, 2H),2.25 (s, 3H), 2.21 (s, 3H), 2.18-2.12 (m, 2H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 168.61, 144.46, 137.36, 133.98, 132.12, 131.95, 130.22, 128.77, 123.30, 117.69, 113.63, 103.87, 45.28, 24.36, 21.94, 20.14, 18.84.
HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{21}H_{20}N_2O_2$: 333.1601 Found: 333.1601



2-(1-(naphthalen-1-yl)-1,4,5,6-tetrahydropyridin-3-yl) isoindoline-1,3-dione (3am)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 158.7-160.1℃, yield 89%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (d, *J* = 8.3 Hz, 1H), 7.91 – 7.86 (m, 3H), 7.74 (dd, *J* = 5.6, 3.0 Hz, 2H), 7.65 (d, *J* = 8.2 Hz, 1H), 7.53 (dd, *J* = 12.5, 7.9 Hz, 2H), 7.44 (t, *J* = 7.8 Hz, 1H), 7.27 (t, *J* = 5.5 Hz, 1H), 6.61 (s, 1H), 3.72 (t, *J* = 5.6 Hz, 2H), 2.52 (t, *J* = 6.4 Hz, 2H), 2.23-2.16 (m, 2H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 168.53, 145.02, 135.98, 134.87, 133.97, 132.18, 132.14, 128.82, 128.41, 126.09, 125.84, 125.77, 124.81, 123.86, 123.31, 120.08, 104.79, 49.67, 24.72, 21.94.
HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{23}H_{18}N_2O_2$: 355.1444 Found: 355.1447



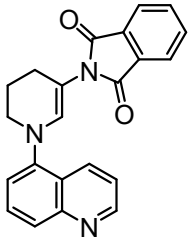
2-(1-(benzo[d][1,3] dioxol-5-yl)-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3an)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 168.7-18-169.3℃, yield 48%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.75 (dd, *J* = 5.4, 3.1 Hz, 2H), 6.73 (d, *J* = 8.4 Hz, 1H), 6.65 (s, 1H), 6.55 (d, *J* = 2.4 Hz, 1H), 6.40 (dd, *J* = 8.4, 2.5 Hz, 1H), 5.92 (s, 2H), 3.59 (t, *J* = 5.6 Hz, 2H), 2.41 (t, *J* = 6.3 Hz, 2H), 2.17 – 2.11 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 167.66, 147.94, 142.17, 135.36, 132.37, 131.60, 123.32, 109.23, 107.13, 103.44, 101.78, 100.20, 47.35, 24.99, 21.00.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{20}H_{16}N_2O_4$: 349.1186 Found: 349.1190



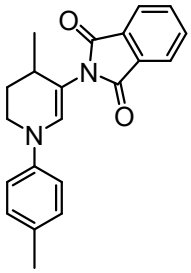
2-(1-(quinolin-5-yl)-1,4,5,6-tetrahydropyridin-3-yl) isoindoline-1,3-dione (3ao)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 155.7-18-156.5℃, yield 79%.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.94 (dd, *J* = 4.1, 1.6 Hz, 1H), 8.58 (d, *J* = 8.5 Hz, 1H), 7.93 (d, *J* = 8.5 Hz, 1H), 7.90 – 7.88 (m, 2H), 7.77 – 7.73 (m, 2H), 7.67 (t, *J* = 8.0 Hz, 1H), 7.47 (dd, *J* = 8.5, 4.2 Hz, 1H), 7.30 (d, *J* = 7.4 Hz, 1H), 6.58 (s, 1H), 3.72 (t, *J* = 5.6 Hz, 2H), 2.53 (t, *J* = 6.4 Hz, 2H), 2.23 – 2.19 (m, 2H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 168.39, 150.16, 144.89, 135.47, 134.27, 134.06, 132.06, 129.43, 125.60, 123.86, 123.57, 123.36, 120.59, 119.79, 105.93, 49.70, 24.59, 21.90.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{22}H_{17}N_3O_2$: 356.1397 Found: 356.1389



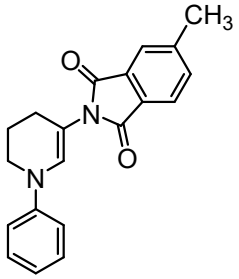
2-(4-methyl-1-(p-tolyl)-1,4,5,6-tetrahydropyridin-3-yl) isoindoline-1,3-dione (3ap)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 186.6-187.6℃, yield 77%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.76 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.18 (t, *J* = 8.2 Hz, 1H), 6.72 (s, 1H), 6.56 (dd, *J* = 8.1, 2.7 Hz, 1H), 6.48 (dd, *J* = 8.8, 1.9 Hz, 2H), 3.80 (s, 3H), 3.65 (t, *J* = 5.7 Hz, 2H), 2.88 – 2.80 (m, 1H), 2.28 – 2.21 (m, 1H), 1.86 – 1.82 (m, 1H), 1.02 (d, *J* = 6.9 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.93, 160.60, 147.30, 134.05, 131.92, 130.84, 129.36, 123.39, 109.53, 108.43, 106.24, 102.06, 55.26, 43.01, 30.04, 28.58, 19.13.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{21}H_{20}N_2O_2$: 333.1601 Found: 333.1590



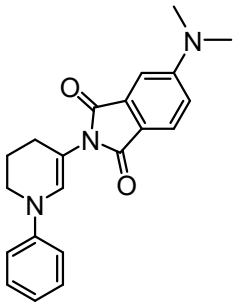
5-methyl-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3ba)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 185.6-185.7℃, yield 81%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.77 (d, J = 7.7 Hz, 1H), 7.69 (s, 1H), 7.54 (d, J = 7.6 Hz, 1H), 7.29 (d, J = 15.8 Hz, 2H), 6.99 – 6.88 (m, 3H), 6.79 (s, 1H), 3.66 (t, J = 5.5 Hz, 2H), 2.54 (s, 3H), 2.43 (t, *J* = 6.3 Hz, 2H), 2.20 - 2.15 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.72, 168.60, 146.21, 145.27, 134.56, 132.45, 131.43, 129.48, 129.21, 123.87, 123.26, 120.46, 115.91, 105.03, 45.00, 24.35, 22.05, 21.95.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{20}H_{18}N_2O_2$: 319.1444 Found: 319.1448



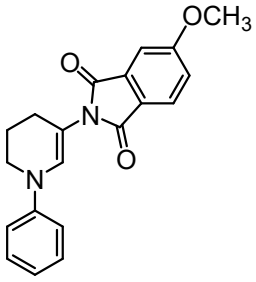
5-(dimethylamino)-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3bb)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p. 208.9-209.5℃, yield 64%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69 (d, *J* = 8.5 Hz, 1H), 7.30 – 7.26 (m, 2H), 7.12 (d, *J* = 2.4 Hz, 1H), 6.96 (d, *J* = 7.7 Hz, 2H), 6.91 – 6.87 (m, 1H), 6.84 (dd, *J* = 8.5, 2.4 Hz, 1H), 6.78 (s, 1H), 3.69 – 3.61 (m, 2H), 3.15 (s, 6H), 2.43 (t, *J* = 6.4 Hz, 2H), 2.19 – 2.12 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.31, 168.93, 154.41, 146.31, 134.72, 131.16, 129.16, 124.86, 120.23, 117.71, 115.81, 114.75, 105.70, 105.61, 44.97, 40.50, 24.43, 22.00.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{21}H_{21}N_3O_2$: 348.1710 Found: 348.1709



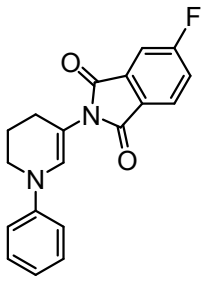
5-methoxy-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (4c)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate 0(30:1-15:1). yellow solid, m.p.173.2-173.9℃, yield 74%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, *J* = 8.3 Hz, 1H), 7.37 (d, *J* = 2.3 Hz, 1H), 7.29 (t, *J* = 7.9 Hz, 2H), 7.19 (dd, *J* = 8.3, 2.3 Hz, 1H), 6.96 (d, *J* = 8.1 Hz, 2H), 6.91 (t, *J* = 7.3 Hz, 1H), 6.79 (s, 1H), 3.95 (s, 3H), 3.66 (t, *J* = 5.6 Hz, 2H), 2.42 (t, *J* = 6.3 Hz, 2H), 2.19 - 2.13 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.35, 168.30, 164.72, 146.21, 134.69, 131.43, 129.21, 125.05, 123.99, 120.45, 119.90, 115.89, 107.96, 105.09, 56.11, 44.99, 24.36, 21.95.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{20}H_{18}N_2O_3$: 335.1393 Found: 335.1390



5-fluoro-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3bd)

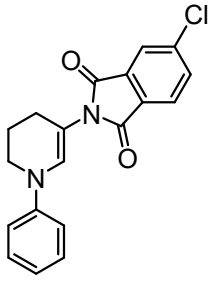
The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p.210.4-211.6℃, yield 63%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (dd, *J* = 8.2, 4.5 Hz, 1H), 7.57 (dd, *J* = 7.1, 2.3 Hz, 1H), 7.45 – 7.37 (m, 1H), 7.33 – 7.25 (m, 2H), 6.94 (dd, *J* = 17.4, 7.8 Hz, 3H), 6.80 (s, 1H), 3.66 (t, 2H), 2.43 (t, *J* = 6.3 Hz, 2H), 2.21 – 2.10 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 167.58 (d, *J* = 30.7 Hz), 166.13 (d, *J* = 191.9 Hz), 146.15 (s), 134.91 (d, *J* = 9.2 Hz), 131.64 (s), 129.24 (s), 127.84 (d, *J* = 2.9 Hz), 125.69 (d, *J* = 9.3 Hz), 120.99 (d, *J* = 23.5 Hz), 120.66 (s), 116.00 (s), 111.12 (d, *J* = 24.7 Hz), 104.71 (s), 45.04, 24.28, 21.90.

^{19}F NMR (376 MHz, CDCl3) δ -101.97.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{20}H_{15}FN_2O_2$: 322.1241 Found: 323.1246



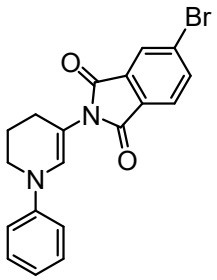
5-chloro-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3be)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). pink solid, m.p.174.6-175.2℃, yield 75%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 (d, *J* = 1.8 Hz, 1H), 7.83 (d, *J* = 7.9 Hz, 1H), 7.72 (dd, *J* = 7.9, 1.8 Hz, 1H), 7.32 – 7.28 (m, 2H), 6.99 – 6.90 (m, 3H), 6.80 (s, 1H), 3.66 (t, 2H), 2.43 (t, *J* = 6.4 Hz, 2H), 2.22 – 2.12 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 167.55, 167.21, 146.13, 140.70, 134.07, 133.73, 131.66, 130.12, 129.25, 124.60, 123.78, 120.68, 116.00, 104.58, 45.03, 24.25, 21.89.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{15}ClN_2O_2$: 339.0898 Found: 339.0889



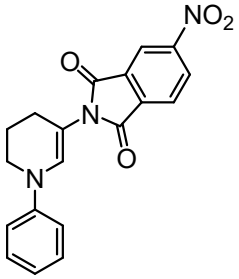
5-bromo-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3bf)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). orange solid, m.p.182.6-182.9℃, yield 74%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.04 (d, *J* = 14.7 Hz, 1H), 7.90 (d, *J* = 15.1 Hz, 1H), 7.77 (dd, *J* = 15.7, 7.5 Hz, 1H), 7.34 – 7.27 (m, 2H), 7.03 – 6.87 (m, 3H), 6.80 (s, 1H), 3.67 (t, *J* = 10.6 Hz, 2H), 2.45 (t, 2H), 2.25 – 2.11 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 167.68, 167.14, 146.13, 137.02, 133.71, 131.67, 130.59, 129.25, 128.91, 126.69, 124.72, 120.69, 116.01, 104.56, 45.05, 24.25, 21.90.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{15}BrN_2O_2$: 383.0393 Found: 383.0396



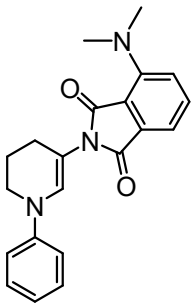
5-nitro-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3bg)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). brown solid, m.p.198.6-198.8℃, yield 83%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.70 (d, *J* = 2.1 Hz, 1H), 8.63 (dd, *J* = 8.1, 2.1 Hz, 1H), 8.08 (d, *J* = 8.1 Hz, 1H), 7.31 (d, *J* = 7.8 Hz, 2H), 7.00 – 6.92 (m, 3H), 6.84 (s, 1H), 3.68 (t, 2H), 2.45 (t, *J* = 6.3 Hz, 2H), 2.22 – 2.16 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 166.36, 166.09, 151.80, 146.03, 136.52, 133.44, 131.90, 129.31, 124.51, 120.96, 118.72, 116.13, 104.19, 45.10, 24.16, 21.84.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{15}N_3O_4$: 350.1139 Found: 350.1142



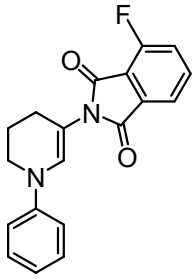
4-(dimethylamino)-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3bh)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p.194.5-194.9℃, yield 76%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.55 (t, *J* = 7.8 Hz, 1H), 7.35 (d, *J* = 7.1 Hz, 1H), 7.28 (dd, *J* = 9.1, 6.6 Hz, 2H), 7.15 (d, *J* = 8.6 Hz, 1H), 6.99 – 6.88 (m, 3H), 6.79 (s, 1H), 3.65 (t, *J* = 5.5 Hz, 2H), 3.14 (s, 6H), 2.42 (t, *J* = 6.5 Hz, 2H), 2.21 – 2.11 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.52, 168.10, 150.10, 146.26, 134.86, 134.75, 131.48, 129.17, 122.07, 120.33, 115.85, 115.41, 114.00, 105.31, 44.99, 43.55, 24.40, 22.01.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{21}H_{21}N_3O_2$: 348.1710 Found: 348.1712



4-fluoro-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3bi)

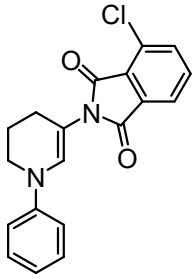
The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p.207.6-208.5℃, yield 84%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.78 – 7.70 (m, 2H), 7.41 (t, *J* = 8.0 Hz, 1H), 7.32 – 7.27 (m, 2H), 7.00 – 6.90 (m, 3H), 6.80 (s, 1H), 3.66 (t, *J* = 5.6 Hz, 2H), 2.43 (t, *J* = 6.4 Hz, 2H), 2.20 – 2.13 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 166.21 (d, *J* = 220.8 Hz), 157.60 (d, *J* = 265.9 Hz), 146.14 (s), 136.55 (d, *J* = 7.6 Hz), 134.29 (s), 131.74 (s), 129.25 (s), 122.39 (d, *J* = 19.9 Hz), 120.66 (s), 119.56 (d, *J* = 3.6 Hz), 117.81 (d, *J* = 12.6 Hz), 116.01 (s), 104.43 (s), 45.04, 24.21, 21.87.

^{19}F NMR (376 MHz, CDCl3) δ -113.01.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{15}FN_2O_2$: 323.1194 Found: 323.1190



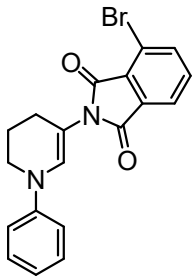
4-chloro-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3bj)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). pink solid, m.p.204.5-205.5℃, yield 83%.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (t, *J* = 4.1 Hz, 1H), 7.67 (d, *J* = 4.1 Hz, 2H), 7.32 – 7.26 (m, 2H), 6.99 – 6.90 (m, 3H), 6.81 (s, 1H), 3.66 (t, *J* = 5.6 Hz, 2H), 2.44 (t, *J* = 6.4 Hz, 2H), 2.20 – 2.12 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 166.96, 166.04, 146.16, 135.74, 134.92, 134.19, 131.65, 131.40, 129.24, 127.69, 121.82, 120.66, 116.04, 104.58, 45.09, 24.24, 21.88.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{15}ClN_2O_2$: 339.0898 Found: 339.0901



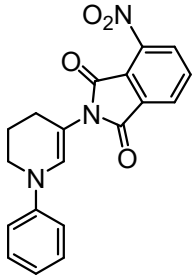
4-bromo-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3bk)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p.206.8-207.4℃, yield 73%.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.89 (d, *J* = 8.5 Hz, 1H), 7.86 (dd, *J* = 7.7, 4.3 Hz, 1H), 7.75 (d, *J* = 8.5 Hz, 1H), 7.30 (d, *J* = 7.7 Hz, 2H), 6.97 (d, *J* = 8.1 Hz, 2H), 6.94 – 6.91 (m, 1H), 6.81 (s, 1H), 3.66 (t, *J* = 8.6 Hz, 2H), 2.44 (t, *J* = 6.4 Hz, 2H), 2.19 – 2.14 (m, 2H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 166.78, 166.44, 146.21, 138.88, 134.88, 134.00, 132.10, 131.49, 129.22, 123.32, 122.38, 120.53, 115.95, 104.92, 45.04, 24.33, 21.94.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{15}BrN_2O_2$: 383.0393 Found: 383.0396



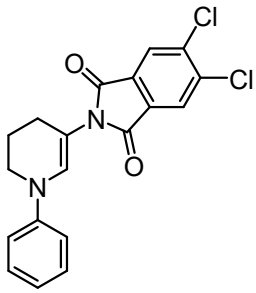
4-nitro-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3bl)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). brown solid, m.p.204.4-204.8℃, yield 66%

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (dd, *J* = 14.0, 7.7 Hz, 2H), 7.93 (t, *J* = 7.8 Hz, 1H), 7.33 – 7.28 (m, 2H), 6.99 – 6.93 (m, 3H), 6.83 (s, 1H), 3.67 (t, 2H), 2.44 (t, *J* = 6.3 Hz, 2H), 2.22 – 2.11 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 165.94, 163.07, 146.04, 145.15, 135.33, 134.09, 132.05, 129.29, 128.49, 127.00, 123.69, 120.92, 116.16, 104.09, 45.12, 24.13, 21.82.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{15}N_3O_4$: 350.1139 Found: 350.1141



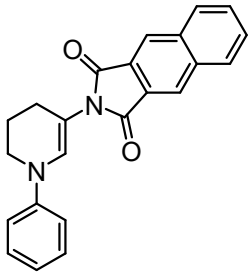
5,6-dichloro-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3bm)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). pink solid, m.p.194.3-195.3℃, yield 52%

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (s, 2H), 7.34 – 7.28 (m, 2H), 6.99 – 6.91 (m, 3H), 6.80 (s, 1H), 3.66 (t, *J* = 5.5 Hz, 2H), 2.42 (t, *J* = 6.4 Hz, 2H), 2.20 – 2.12 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 166.55, 146.08, 138.94, 131.79, 131.18, 129.27, 125.42, 120.80, 116.06, 104.36, 45.05, 24.18, 21.86.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{14}Cl_2N_2O_2$: 373.0508 Found: 373.0505



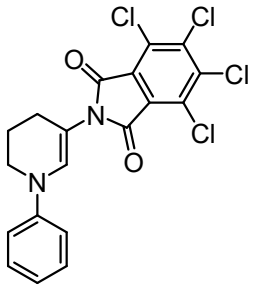
2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)-1H-benzo[f]isoindole-1,3(2H)-dione (3bn)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p.205.6-205.9℃, yield 72%

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.38 (s, 2H), 8.08 (dd, *J* = 6.2, 3.3 Hz, 2H), 7.72 (dd, *J* = 6.3, 3.2 Hz, 2H), 7.29 (dd, *J* = 7.0, 1.8 Hz, 2H), 6.99 (d, *J* = 8.1 Hz, 2H), 6.93 (t, *J* = 7.3 Hz, 1H), 6.88 (s, 1H), 3.69 (t, 2H), 2.50 (t, *J* = 6.1 Hz, 2H), 2.24 – 2.16 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.19, 146.22, 135.59, 131.38, 130.26, 129.22, 129.10, 127.88, 124.66, 120.55, 115.99, 105.25, 45.08, 24.26, 21.96.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{23}H_{18}N_2O_2$: 355.1444 Found: 355.1444



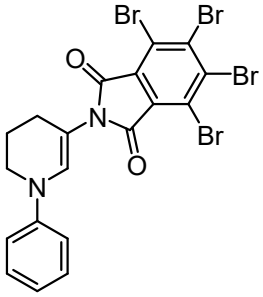
4,5,6,7-tetrachloro-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3bo)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). pink solid, m.p.208.6-208.9℃, yield 36%

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.31 (d, *J* = 8.7 Hz, 2H), 6.97 (d, *J* = 8.1 Hz, 2H), 6.94 (d, *J* = 7.4 Hz, 1H), 6.82 (s, 1H), 3.66 (t, *J* = 5.6 Hz, 2H), 2.42 (t, *J* = 6.3 Hz, 2H), 2.21 – 2.10 (m, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 163.69, 146.03, 140.09, 136.47, 132.04, 129.29, 127.54, 120.96, 116.20, 103.99, 45.14, 24.06, 21.78.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{12}Cl_4N_2O_2$: 442.9699 Found: 442.9699



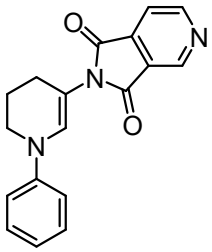
4,5,6,7-tetrabromo-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)isoindoline-1,3-dione (3bp)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p.207.5-208.2℃, yield 32%

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.30 (dd, *J* = 6.0 Hz, 2H), 6.99 – 6.91 (m, 3H), 6.82 (s, 1H), 3.65 (t, 2H), 2.43 (t, *J* = 6.5 Hz, 2H), 2.22 – 2.08 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 164.26, 146.05, 142.35, 133.95, 131.92, 129.27, 120.87, 117.58, 116.16, 104.23, 45.14, 24.10, 21.82.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{19}H_{12}Br_4N_2O_2$: 620.7667 Found: 620.7672



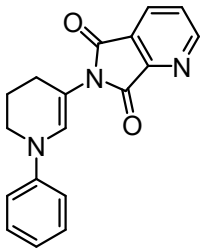
2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)-1H-pyrrolo[3,4-c]pyridine-1,3(2H)-dione (3bq)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). brown solid, m.p.211.4-212.2℃, yield 45%

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.20 (d, *J* = 1.1 Hz, 1H), 9.10 (d, *J* = 4.8 Hz, 1H), 7.81 (dd, *J* = 4.9, 1.2 Hz, 1H), 7.35 – 7.29 (m, 2H), 6.99 – 6.93 (m, 3H), 6.82 (s, 1H), 3.68 (t, 2H), 2.44 (t, *J* = 6.3 Hz, 2H), 2.21 – 2.15 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 167.30, 166.93, 155.61, 146.06, 144.78, 139.47, 131.91, 129.29, 125.90, 120.88, 116.87, 116.09, 104.08, 45.08, 24.16, 21.85.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{18}H_{15}N_3O_2$: 306.1240 Found: 306.1247



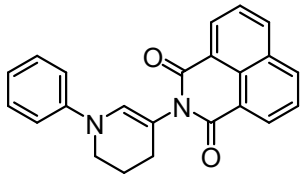
6-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)-5H-pyrrolo[3,4-b]pyridine-5,7(6H)-dione (3br)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). brown solid, m.p.210.3-210.8℃, yield 81%

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.01 (dd, *J* = 5.0, 1.5 Hz, 1H), 8.21 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.65 (dd, *J* = 7.6, 4.9 Hz, 1H), 7.33 – 7.29 (m, 2H), 6.98 – 6.92 (m, 3H), 6.84 (s, 1H), 3.67 (t, 2H), 2.46 (t, *J* = 6.3 Hz, 2H), 2.21 – 2.16 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 166.52, 166.37, 155.36, 151.64, 146.09, 131.84, 131.21, 129.27, 127.49, 127.29, 120.79, 116.06, 104.17, 45.06, 24.27, 21.87.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{18}H_{15}N_3O_2$: 306.1240 Found: 306.1244



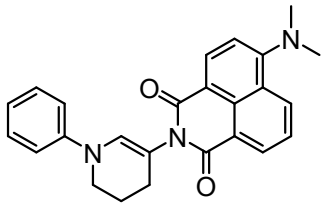
2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)-1H-benzo[de]isoquinoline-1,3(2H)-dione (3bs)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p.212.1-212.9℃, yield 75%

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (s, 2H), 8.25 (s, 2H), 7.78 (s, 2H), 7.29 (s, 2H), 7.02 – 6.85 (m, 3H), 6.78 (s, 1H), 3.72 (s, 2H), 2.45 (s, 2H), 2.26 (s, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 164.77, 146.39, 133.92, 131.69, 131.35, 130.98, 129.13, 128.41, 126.96, 123.19, 120.06, 115.69, 109.25, 45.01, 24.54, 22.16.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{23}H_{18}N_2O_2$: 355.1444 Found: 355.1450



6-(dimethylamino)-2-(1-phenyl-1,4,5,6-tetrahydropyridin-3-yl)-1H-benzo[de]isoquinoline-1,3(2H)-dione (3bt)

The crude was purified by silica gel column chromatography with petroleum ether/ethyl acetate (30:1-15:1). yellow solid, m.p.215.2-215.4℃, yield 78%

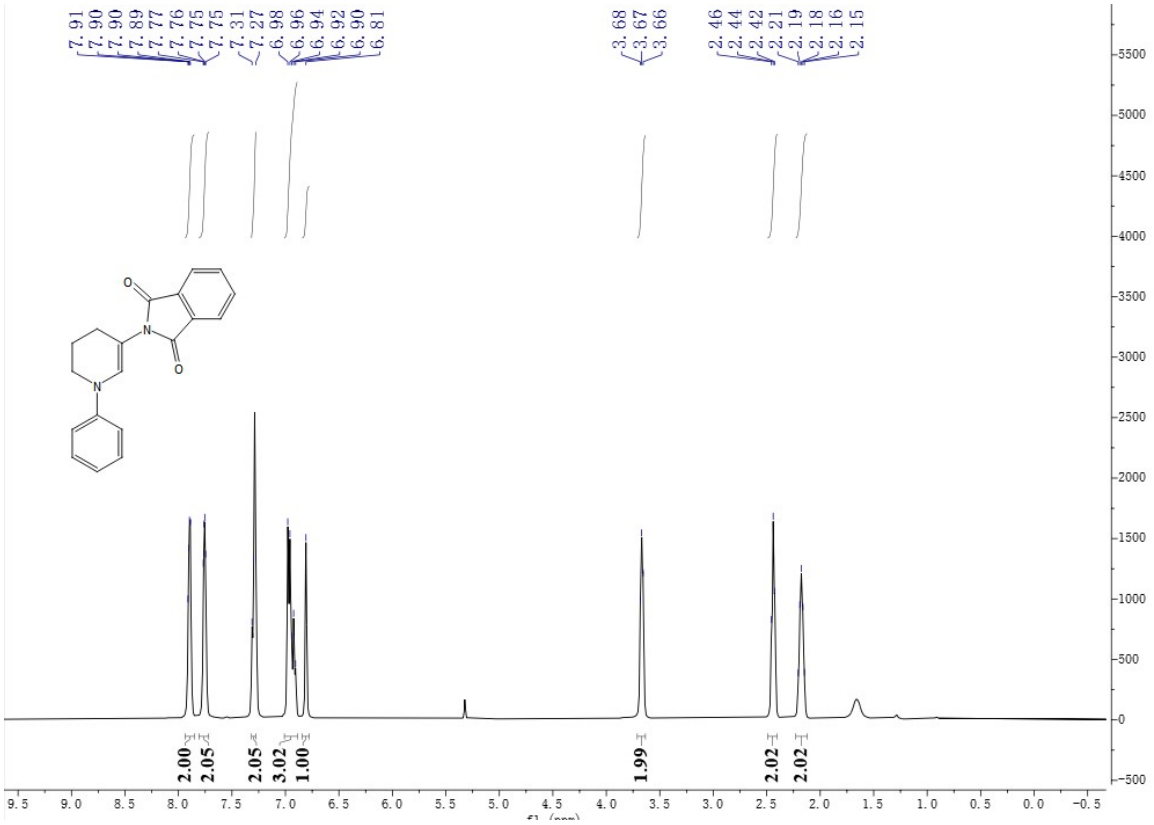
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.61 (d, *J* = 7.2 Hz, 1H), 8.50 (dd, *J* = 17.2, 8.9 Hz, 2H), 7.72 – 7.67 (m, 1H), 7.28 – 7.23 (m, 2H), 7.16 (d, *J* = 8.2 Hz, 1H), 6.96 (d, *J* = 7.6 Hz, 2H), 6.88 – 6.85 (m, 1H), 6.75 (s, 1H), 3.70 (t, *J* = 5.6 Hz, 2H), 3.13 (s, 6H), 2.43 (t, 2H), 2.27 – 2.20 (m, 2H).

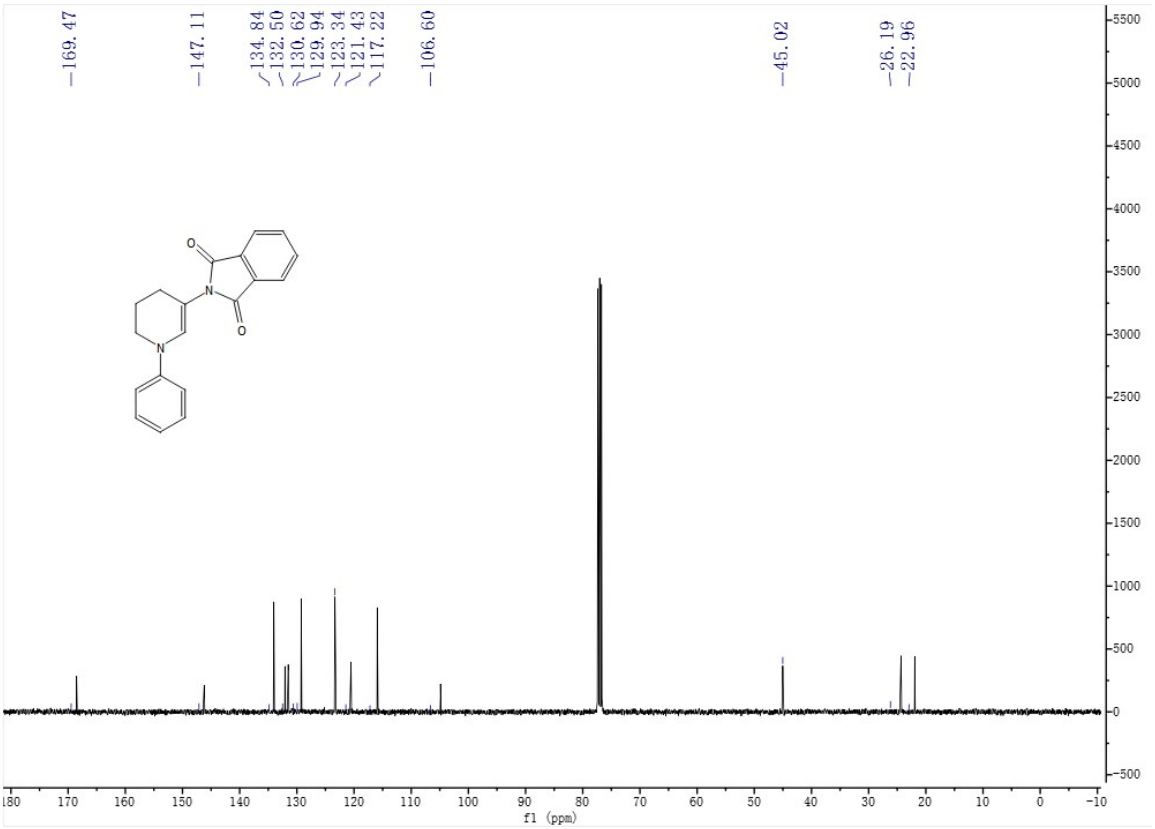
^{13}C NMR (101 MHz, $CDCl_3$) δ 165.20, 164.64, 156.94, 146.46, 132.74, 131.17, 131.15, 130.76, 130.50, 129.08, 125.43, 124.95, 123.60, 119.89, 115.64, 115.53, 113.41, 109.63, 45.00, 44.81, 24.58, 22.20.

HRMS (ESI) m/z: $[M + H]^+$ Calcd for $C_{25}H_{23}N_3O_2$: 398.1855 Found: 398.1864

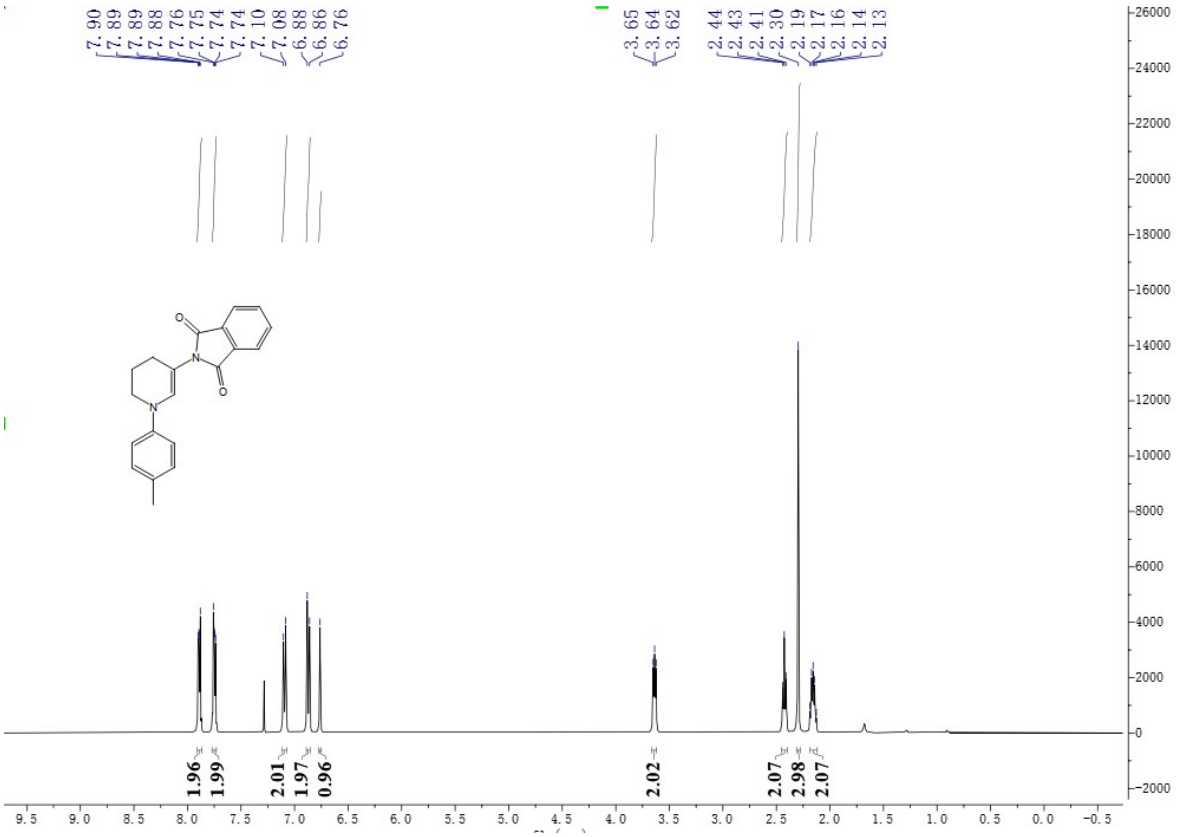
8. Copies of NMR spectra

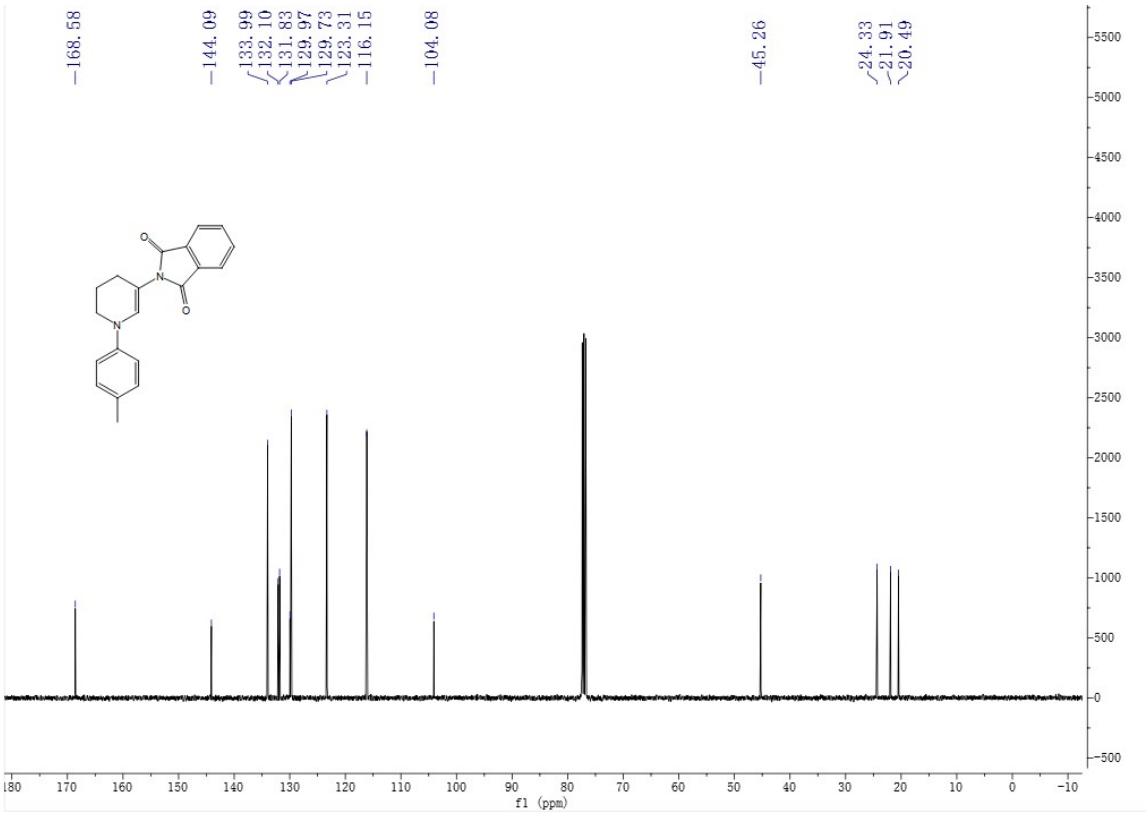
^{1}H and ^{13}C NMR spectra of 3aa:



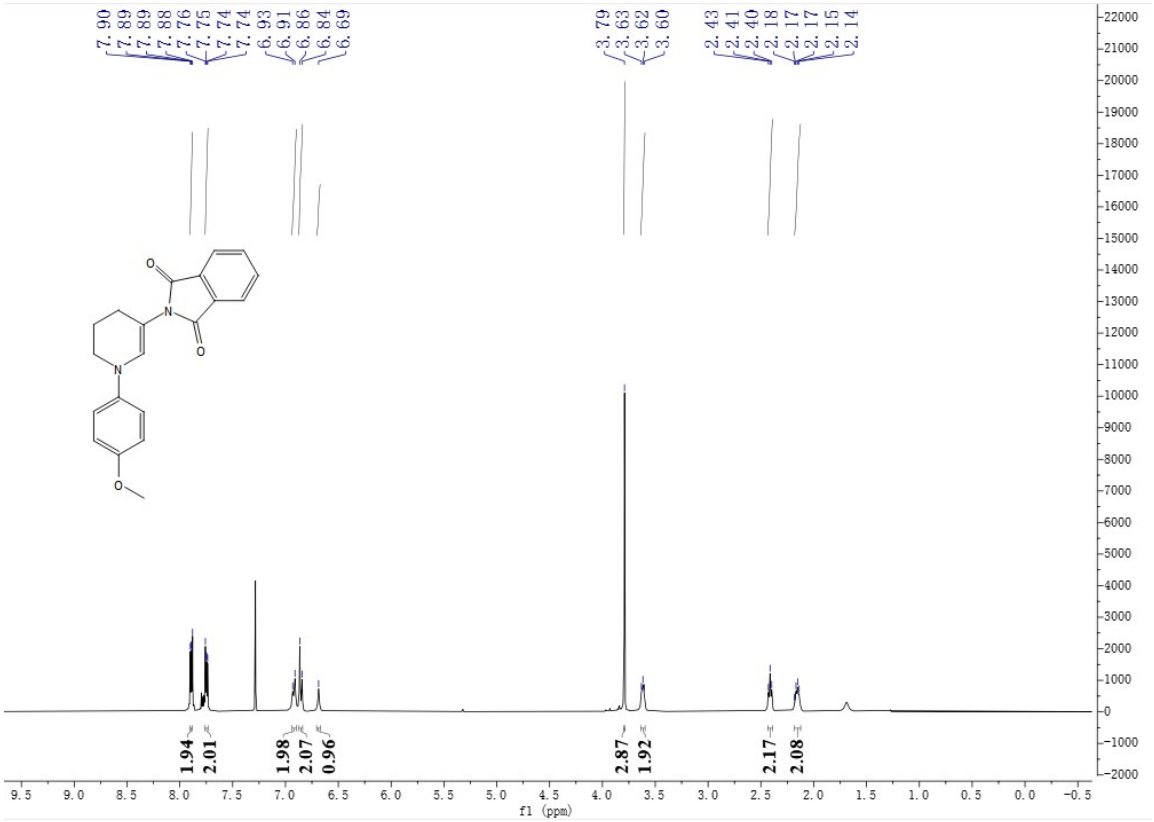


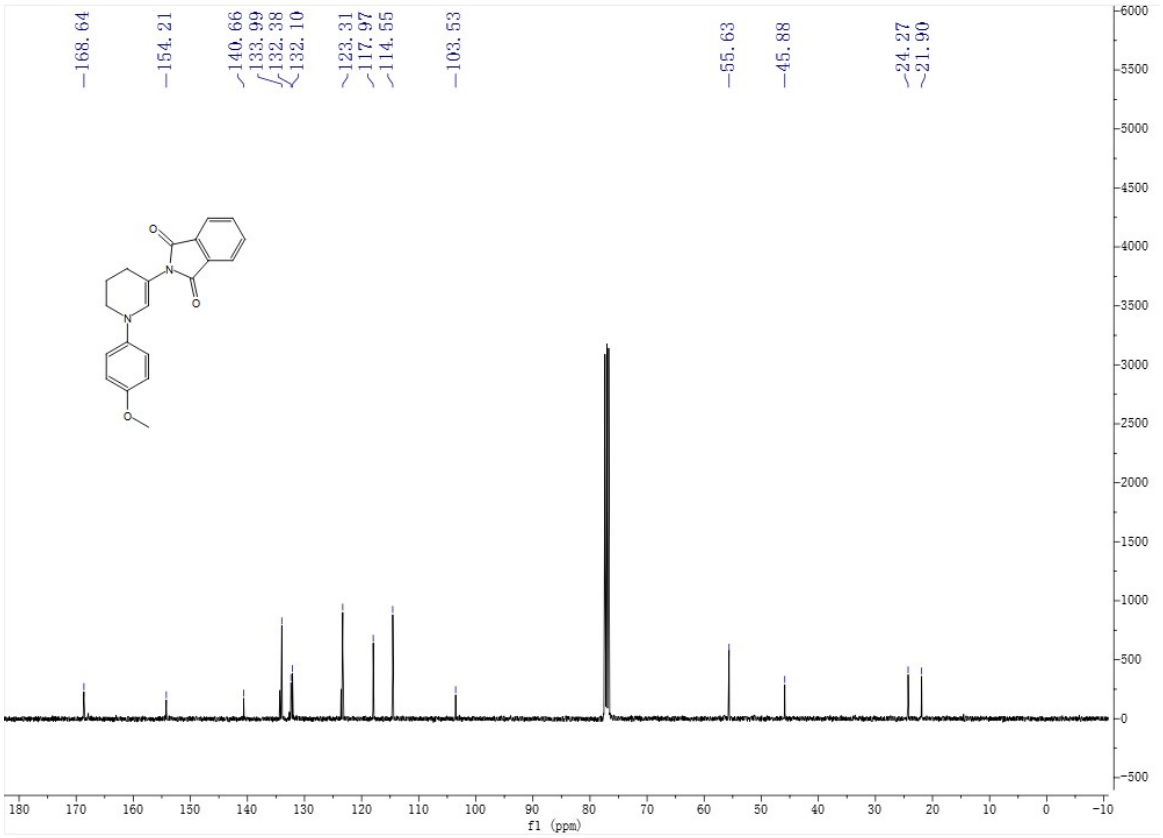
^{1}H and ^{13}C NMR spectra of 3ab:



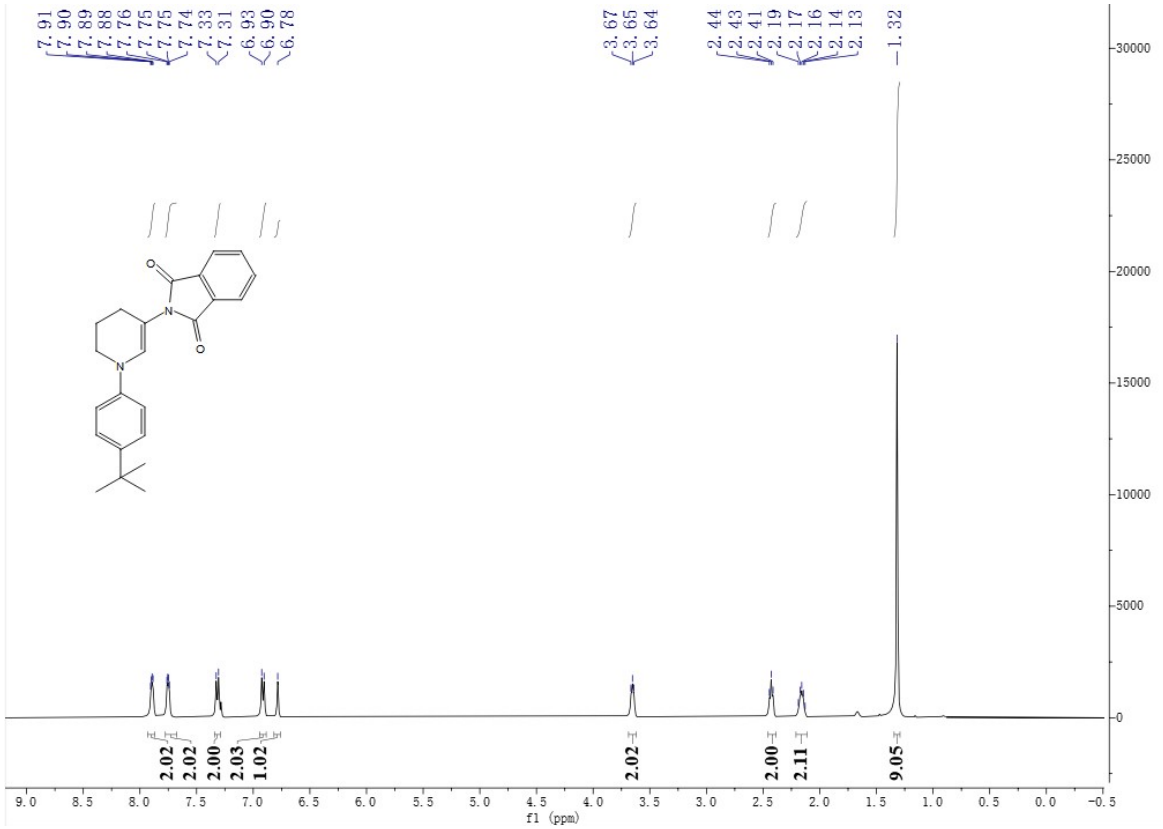


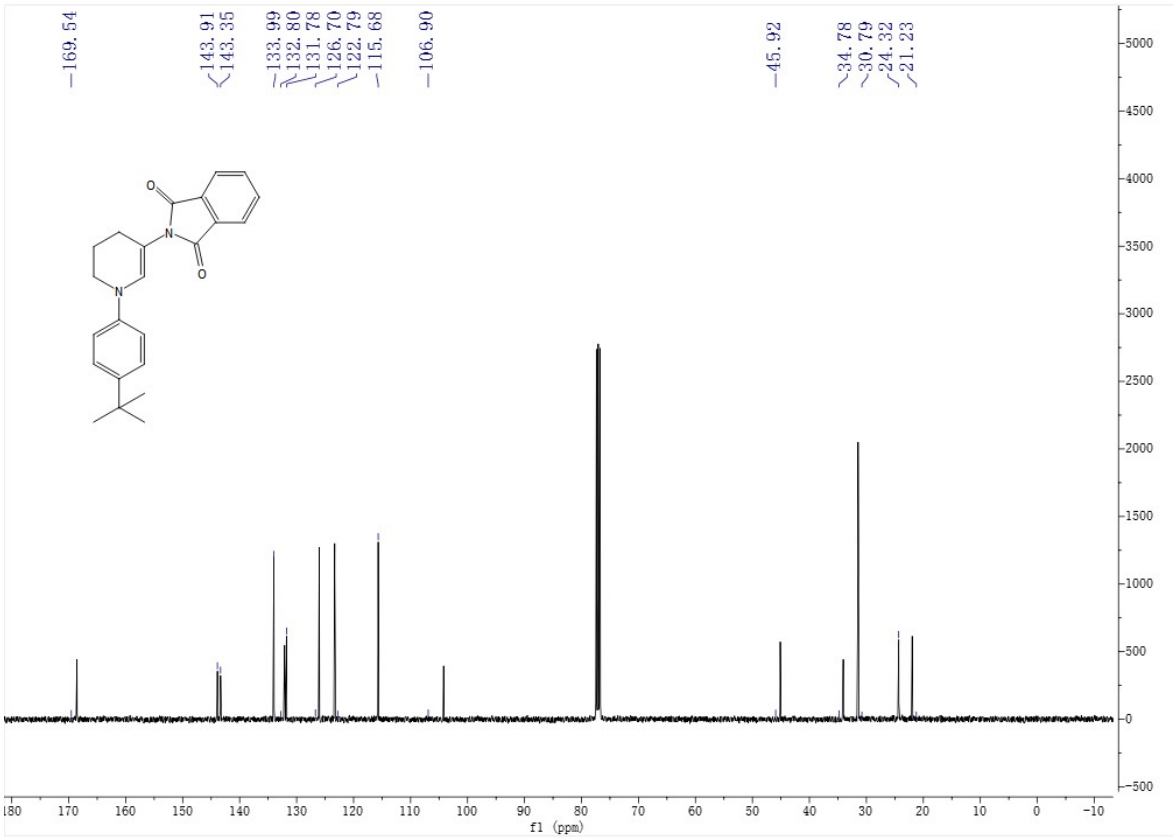
^{1}H and ^{13}C NMR spectra of 3ac:



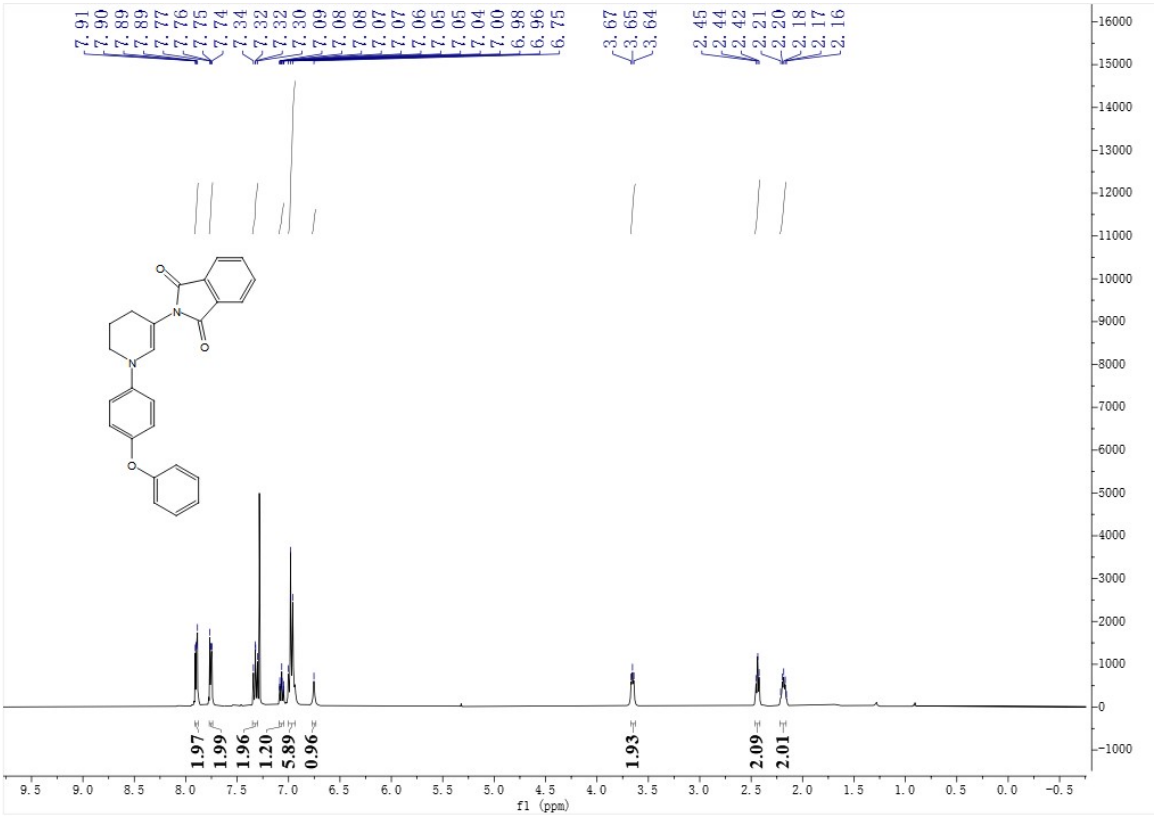


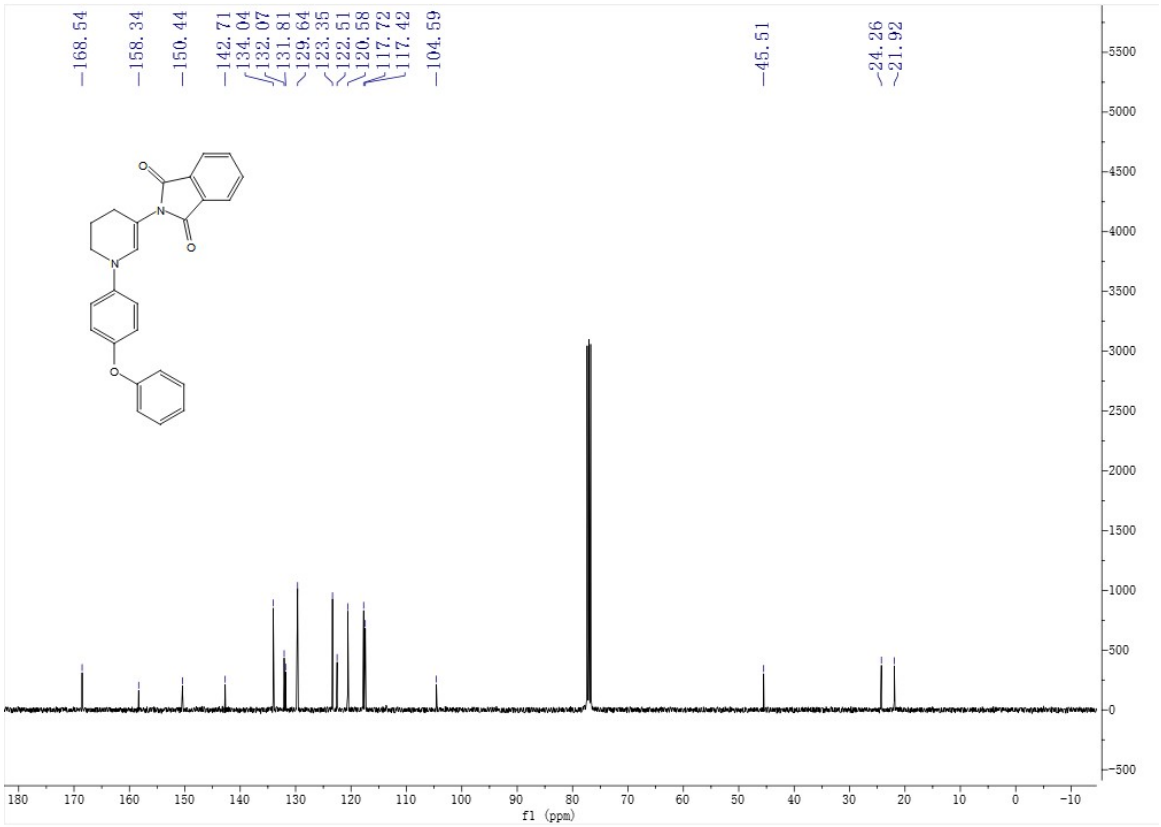
^{1}H and ^{13}C NMR spectra of 3ad:



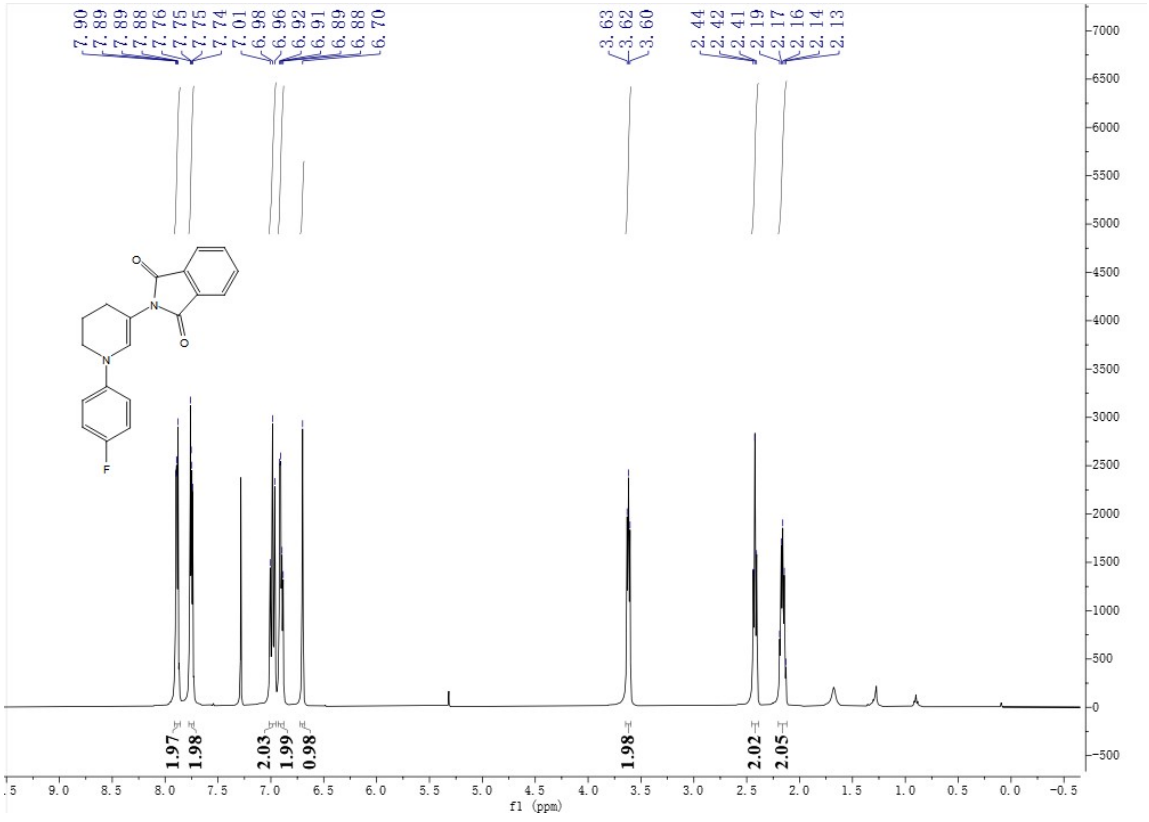


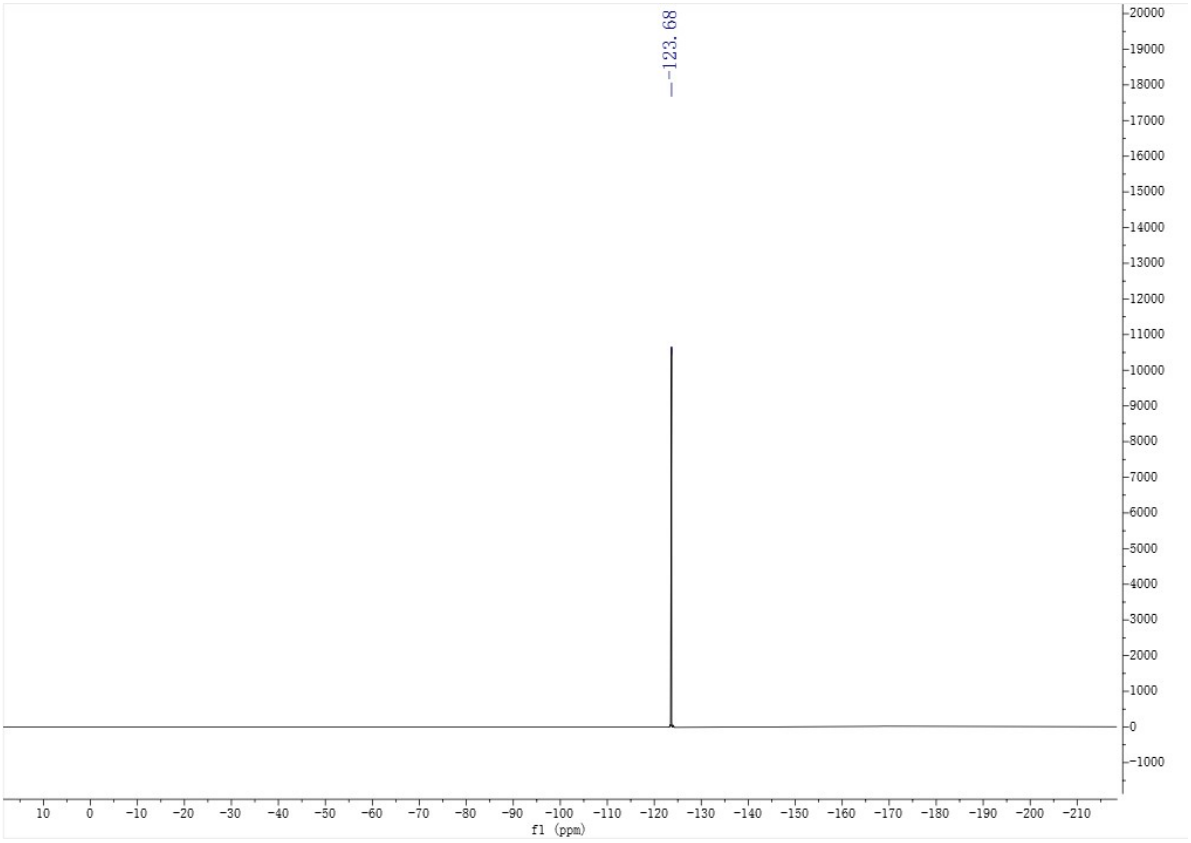
^{1}H and ^{13}C NMR spectra of 3ae:

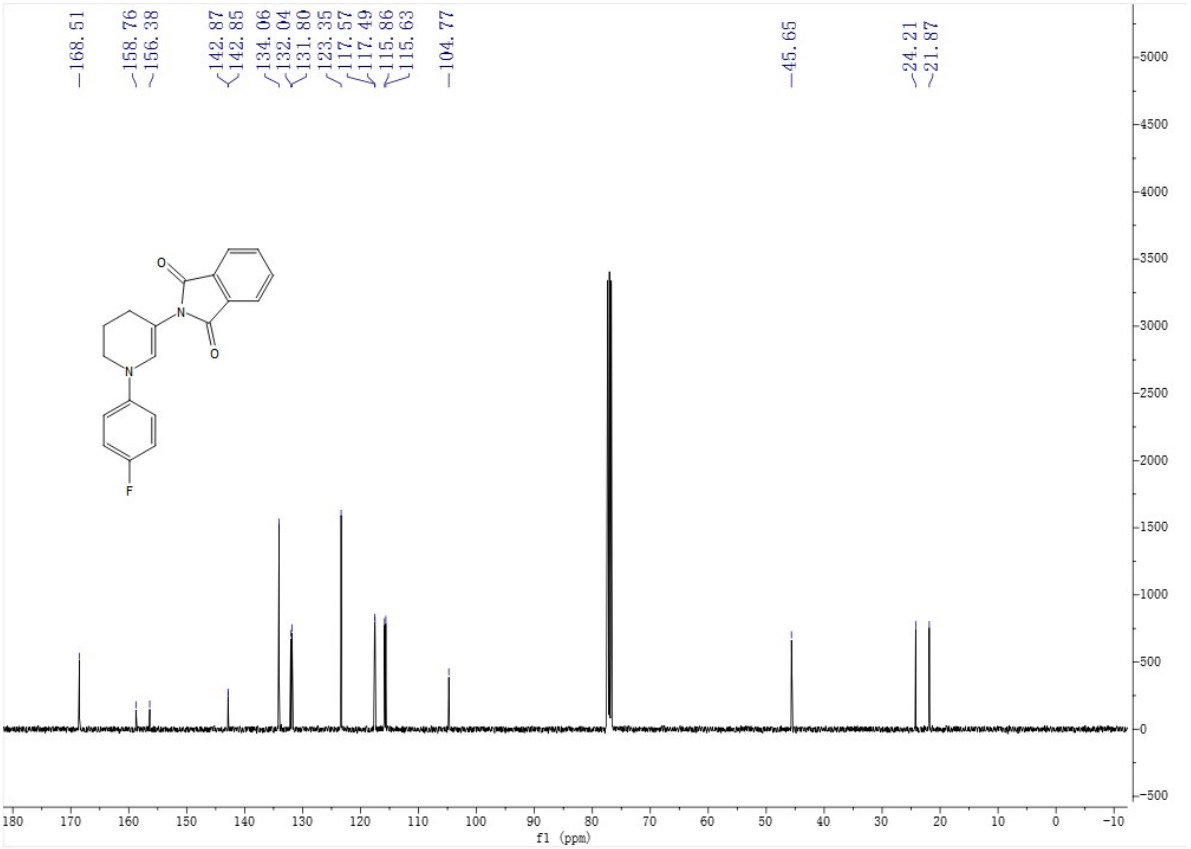




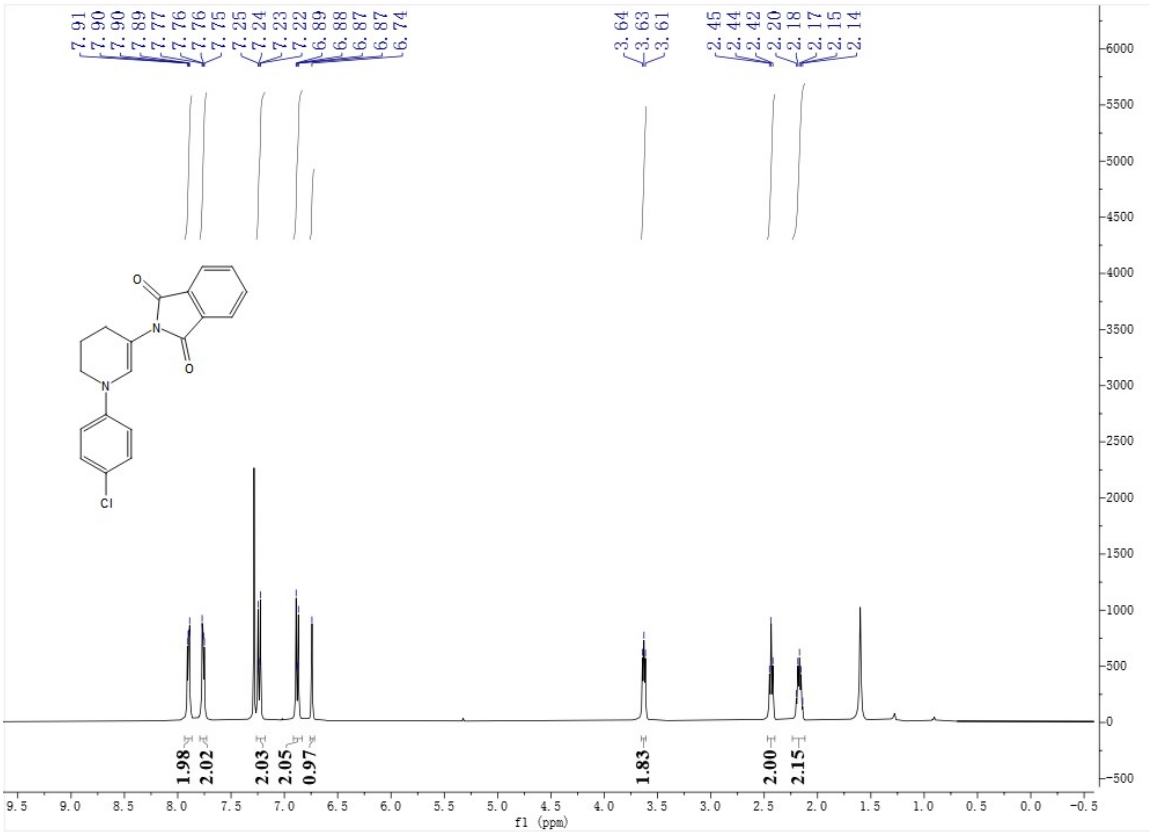
^{1}H ^{19}F and ^{13}C NMR spectra of 3af:

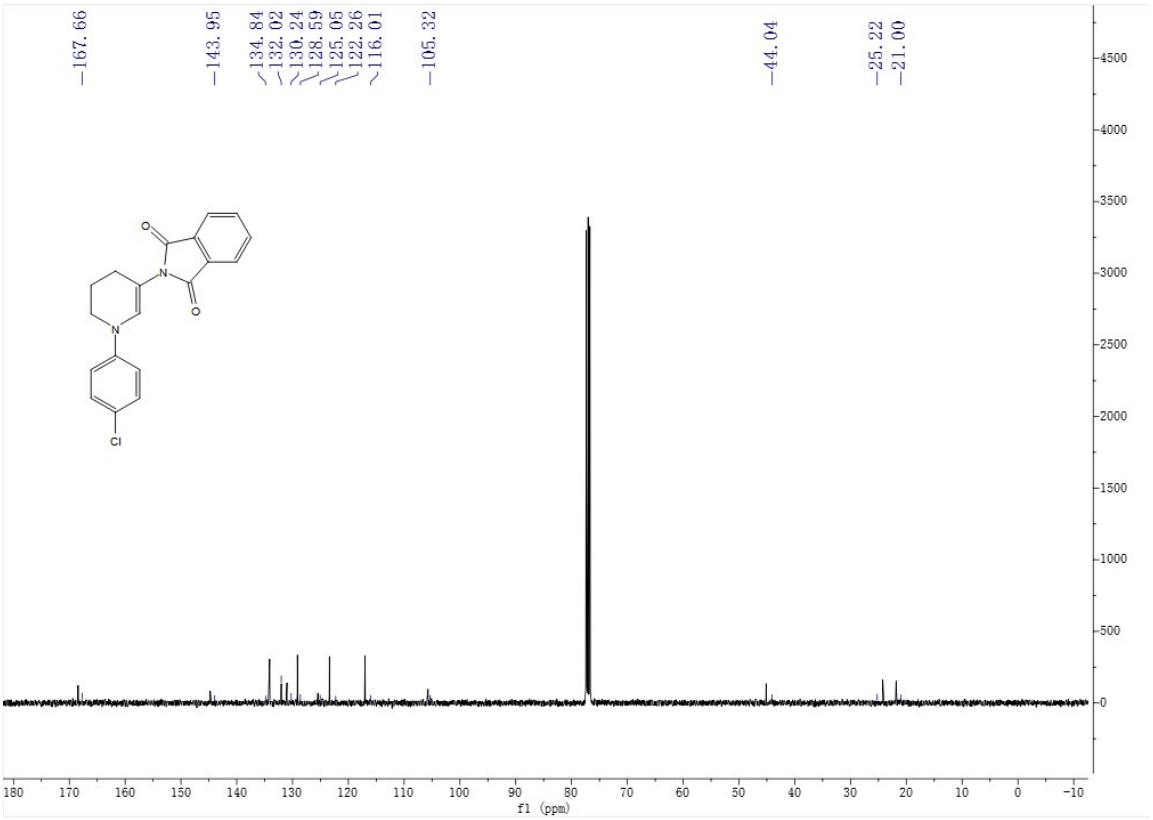



-123.68
f1 (ppm)

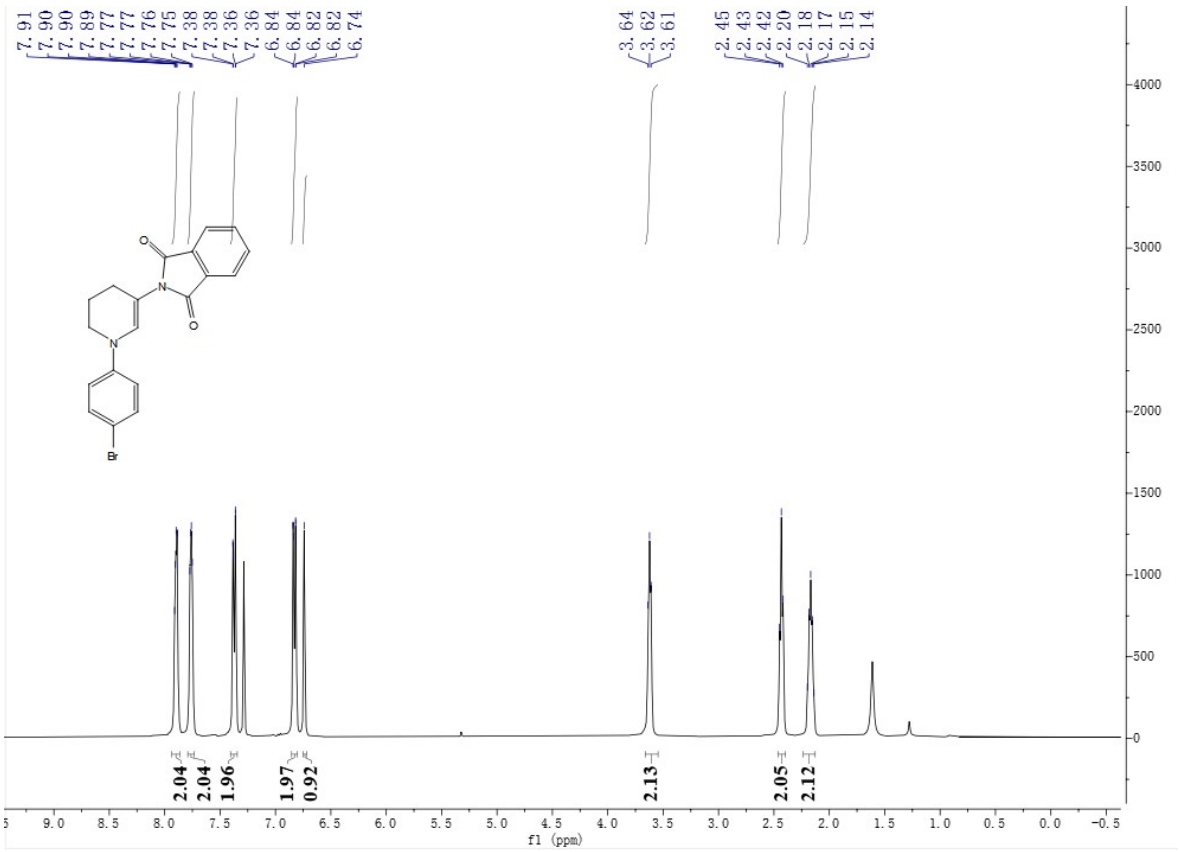

168.51
158.76
156.38
142.87
142.85
134.06
132.04
131.80
123.35
117.57
117.49
115.86
115.63
104.77
45.65
24.21
21.87
O
N
O
N
F
f1 (ppm)

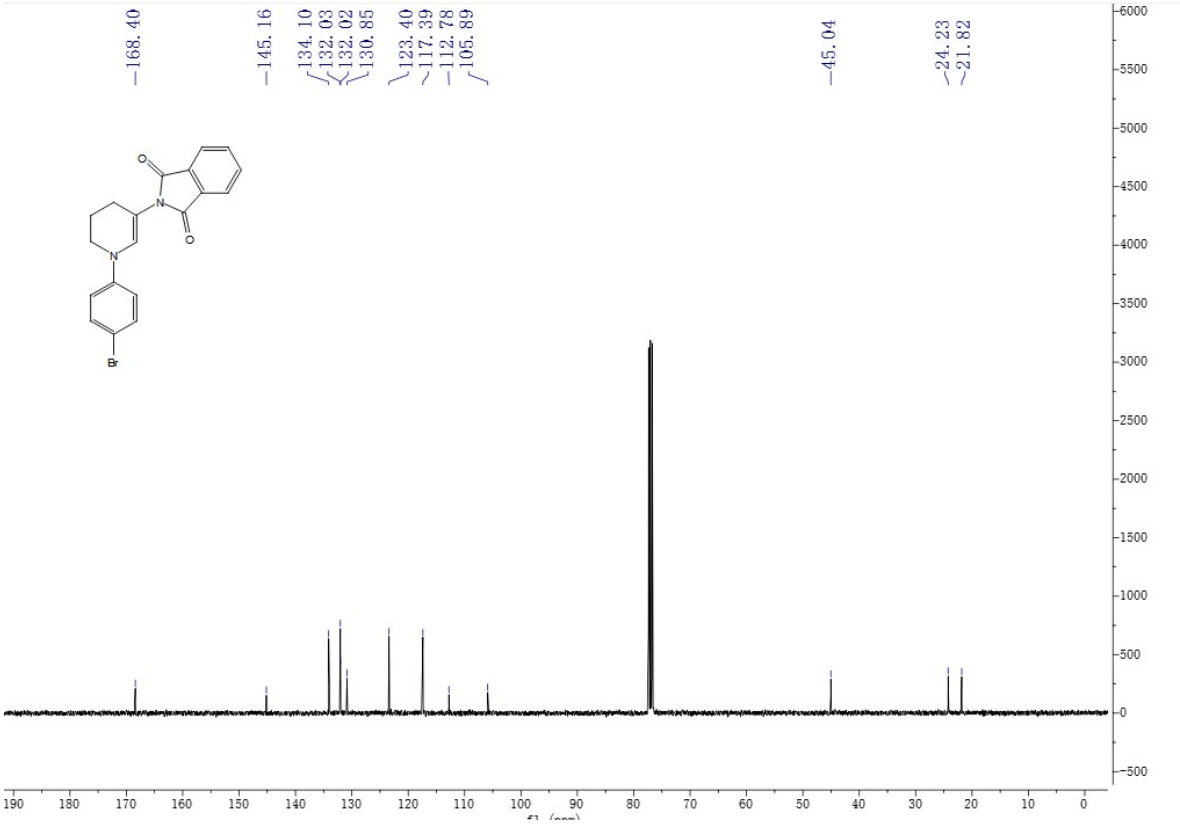
^{1}H and ^{13}C NMR spectra of 3ag:



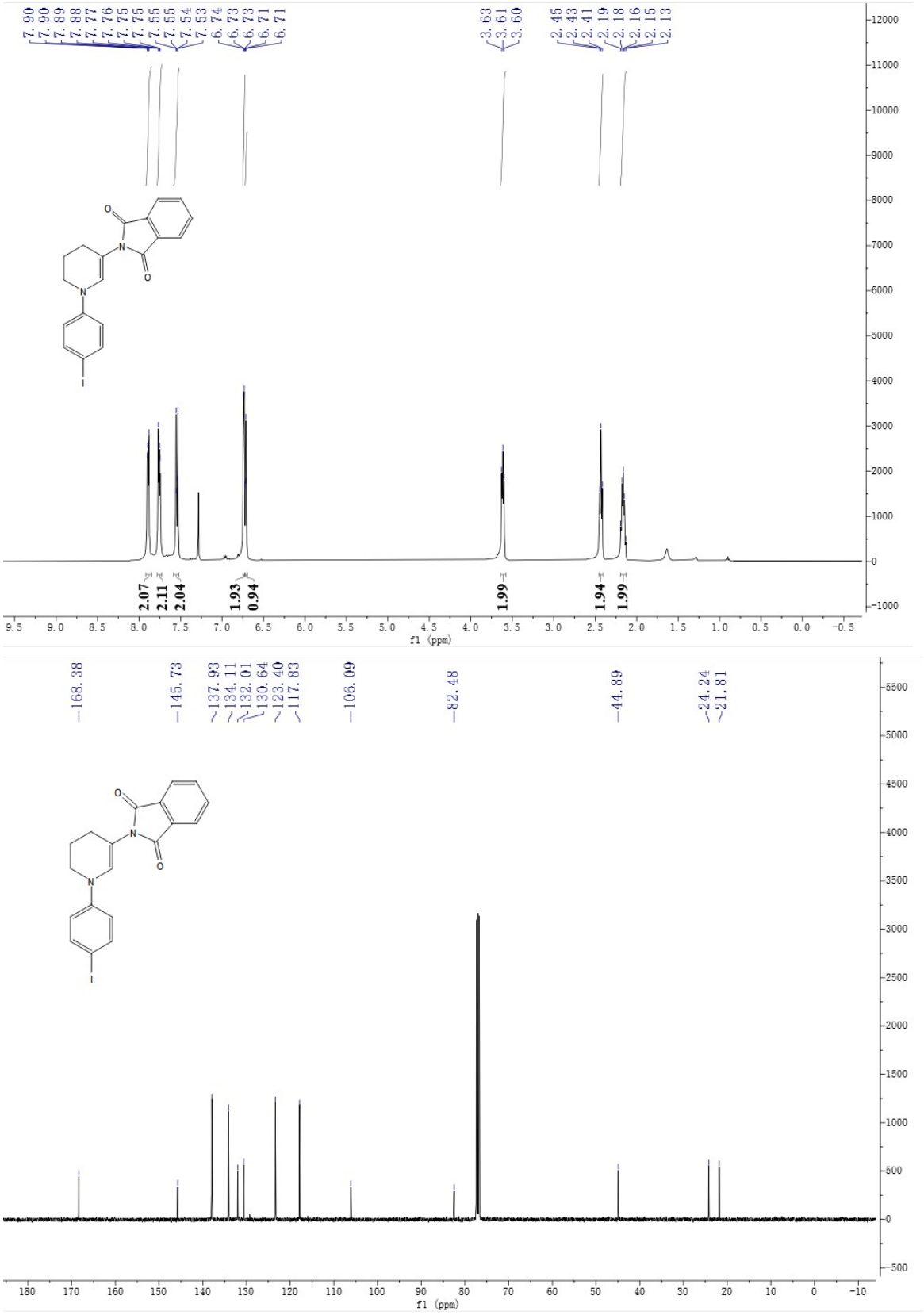


^{1}H and ^{13}C NMR spectra of 3ah:

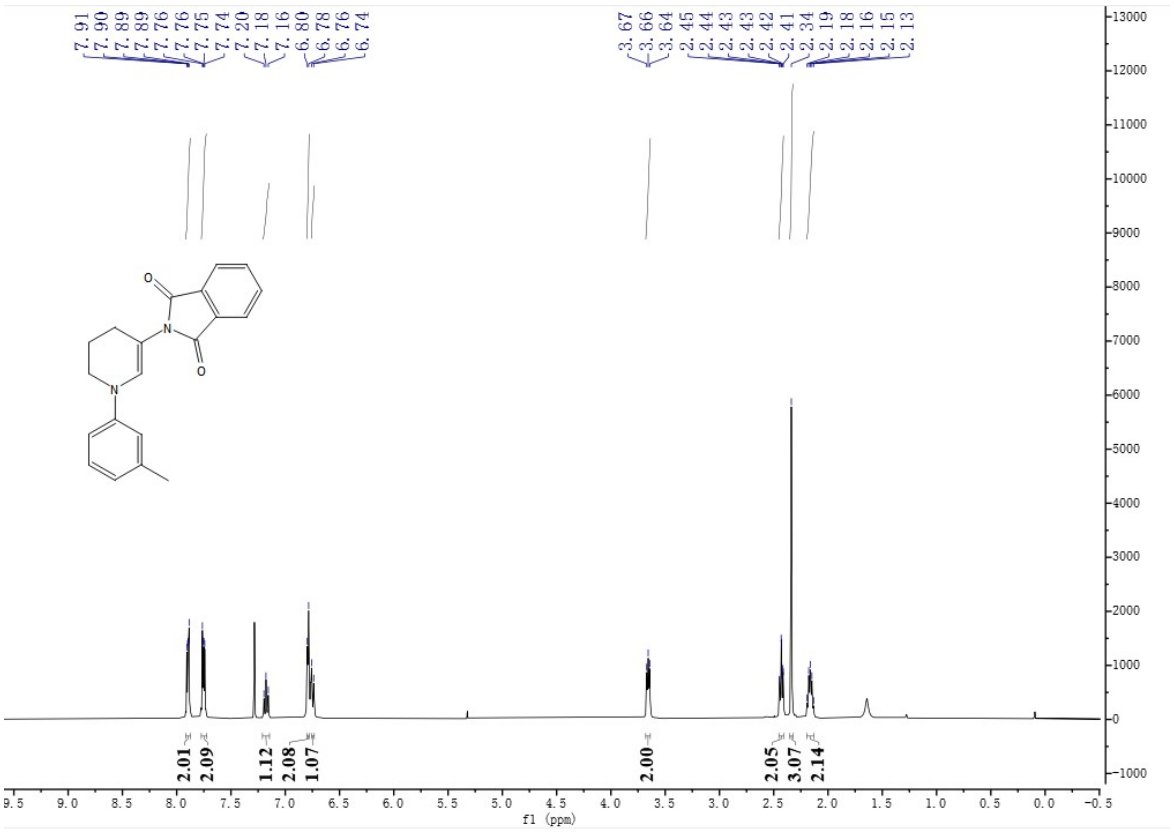


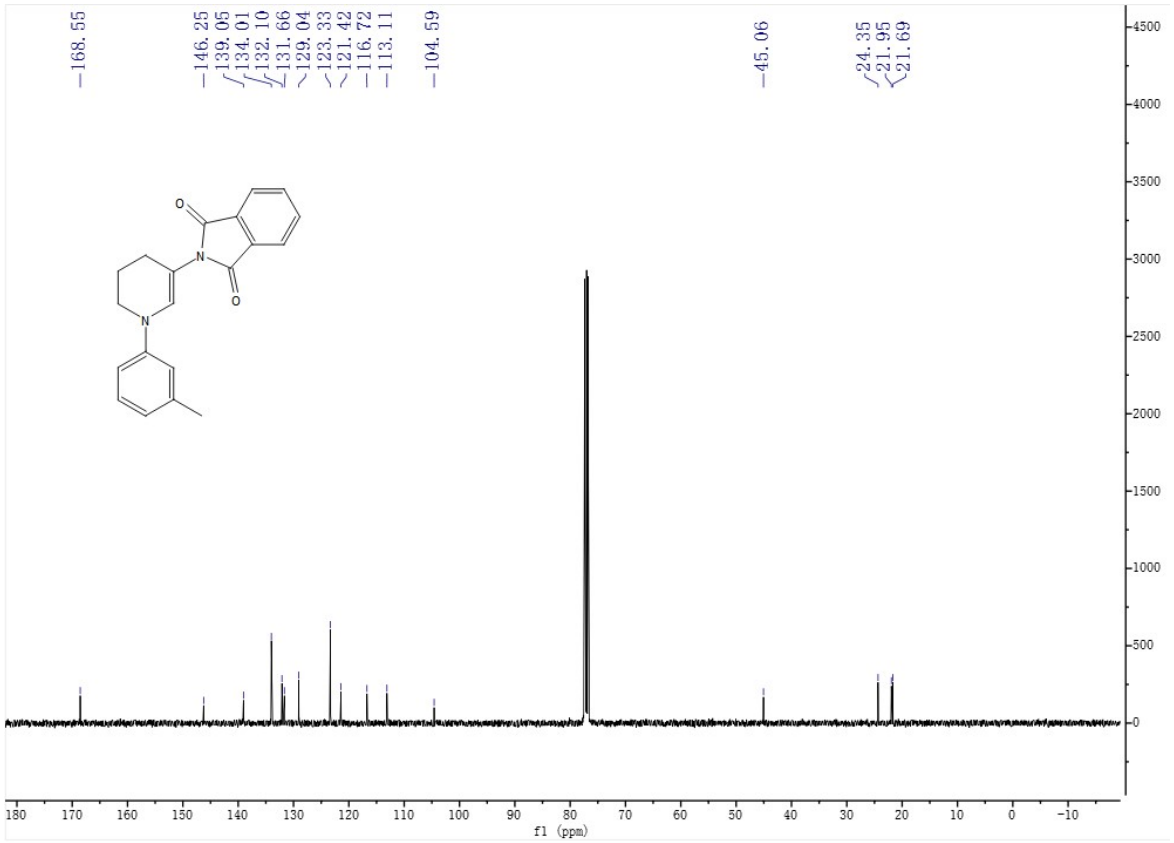


^{1}H and ^{13}C NMR spectra of 3ai:

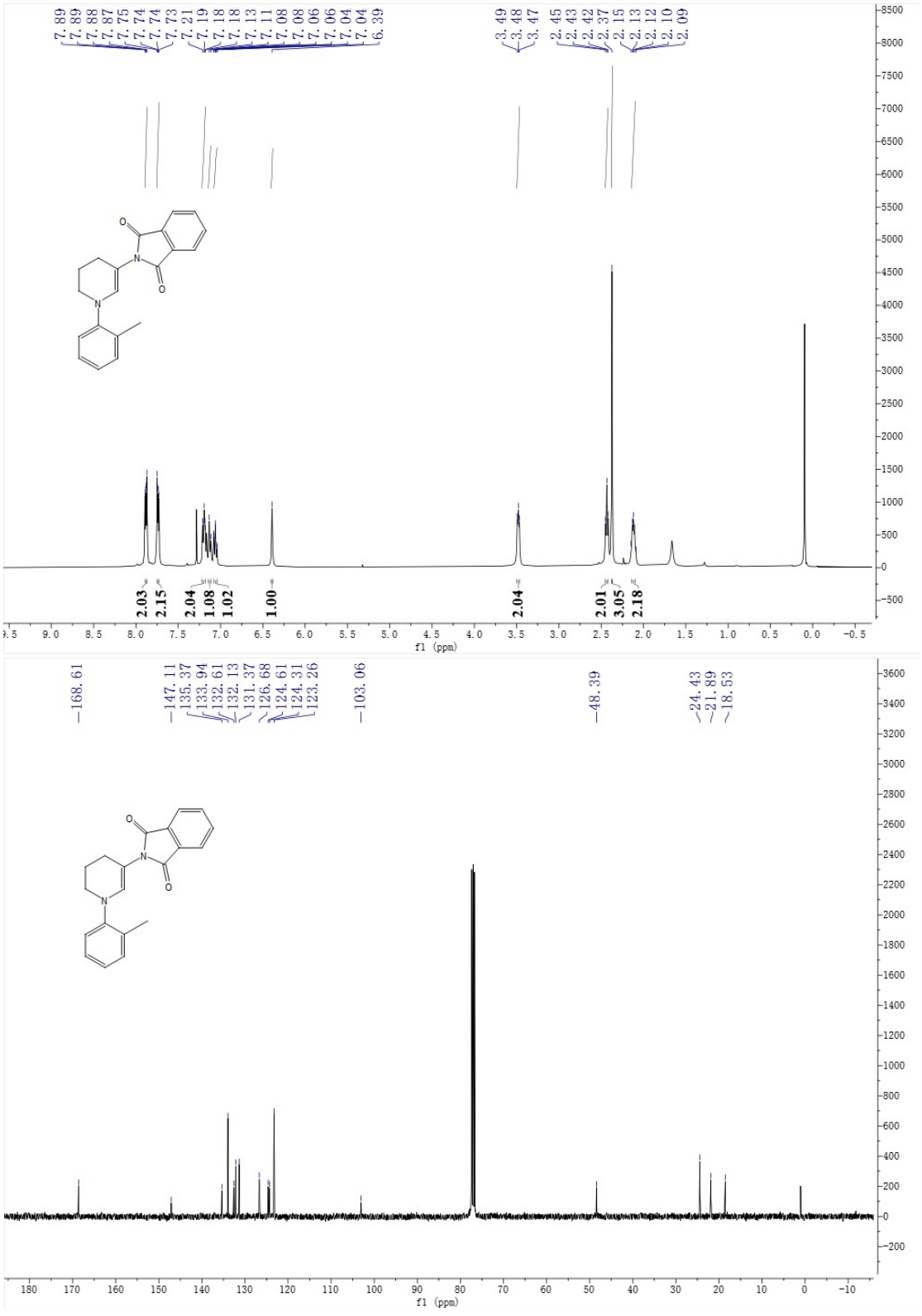


^{1}H and ^{13}C NMR spectra of 3aj

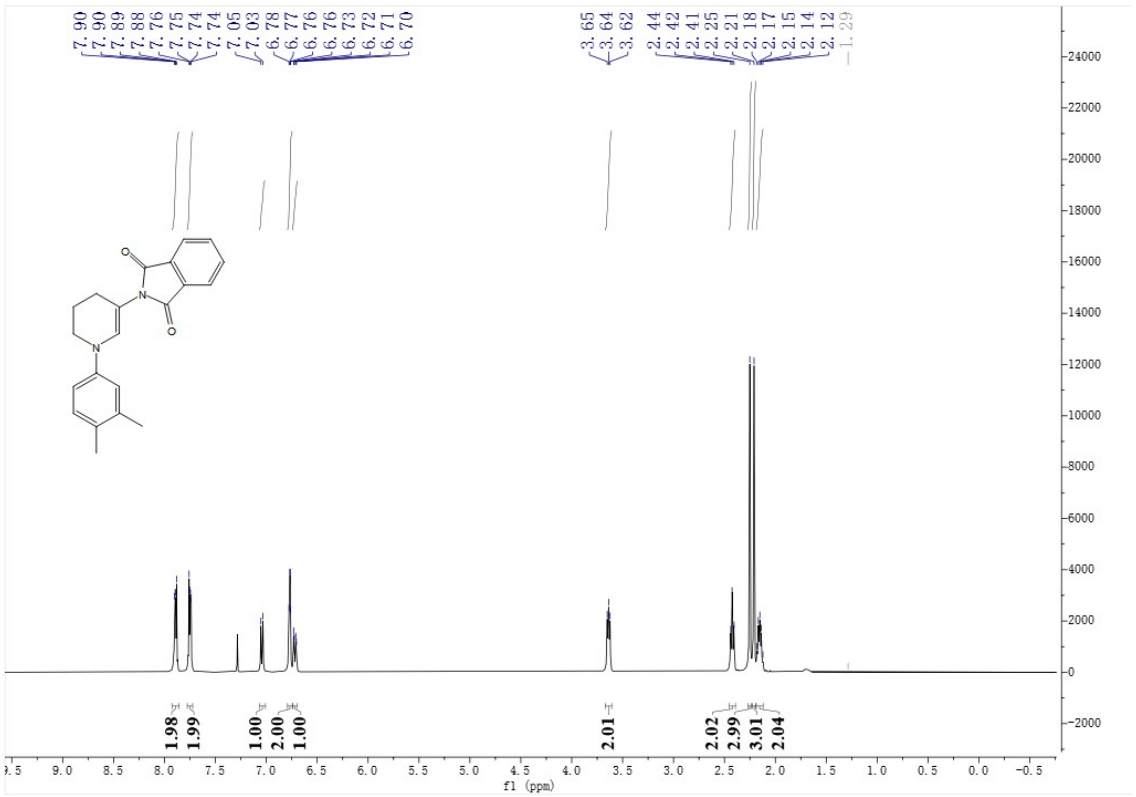


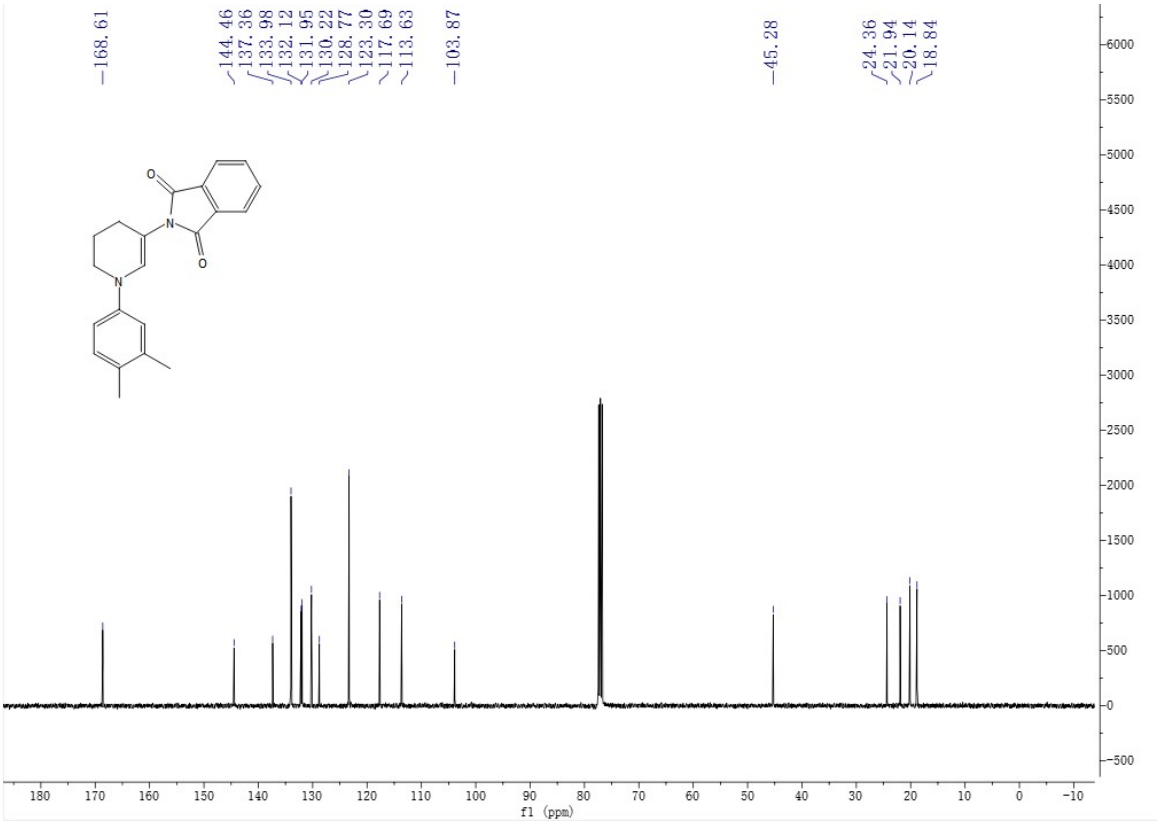


^{1}H and ^{13}C NMR spectra of 3ak

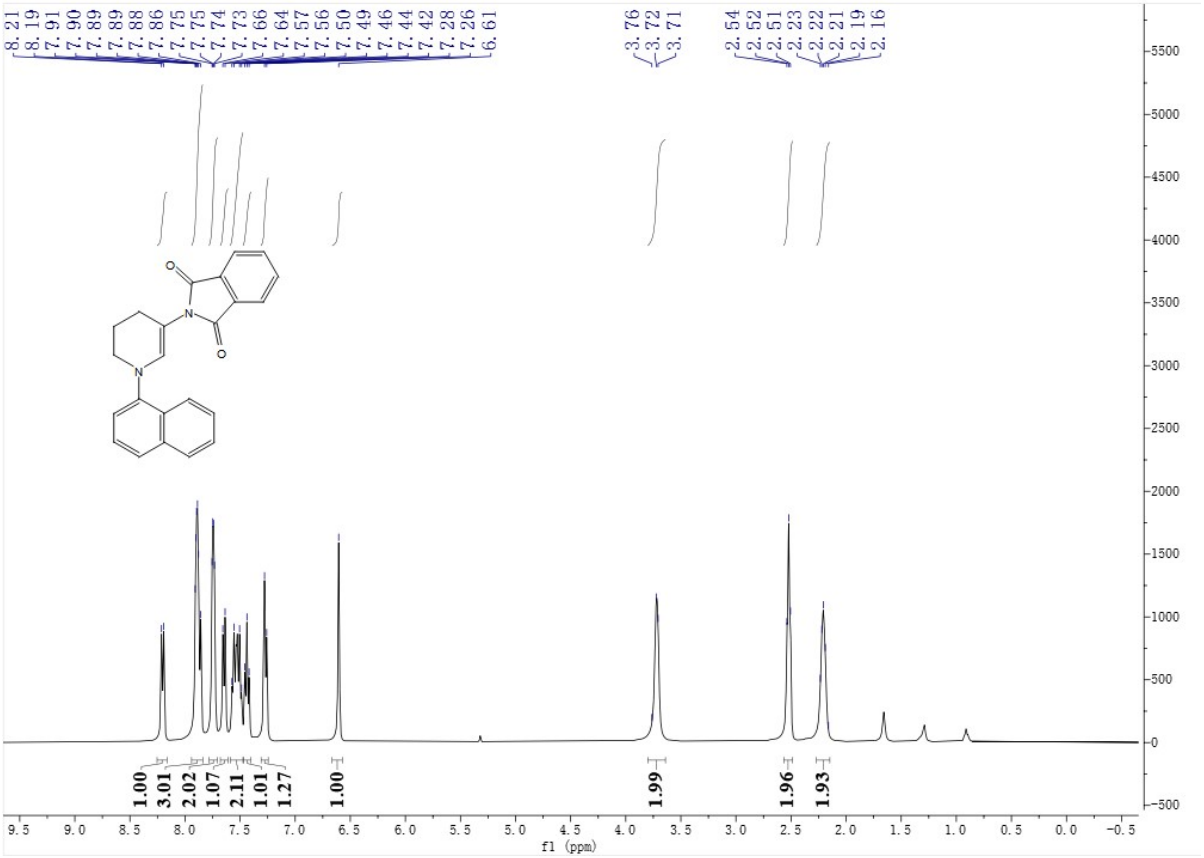


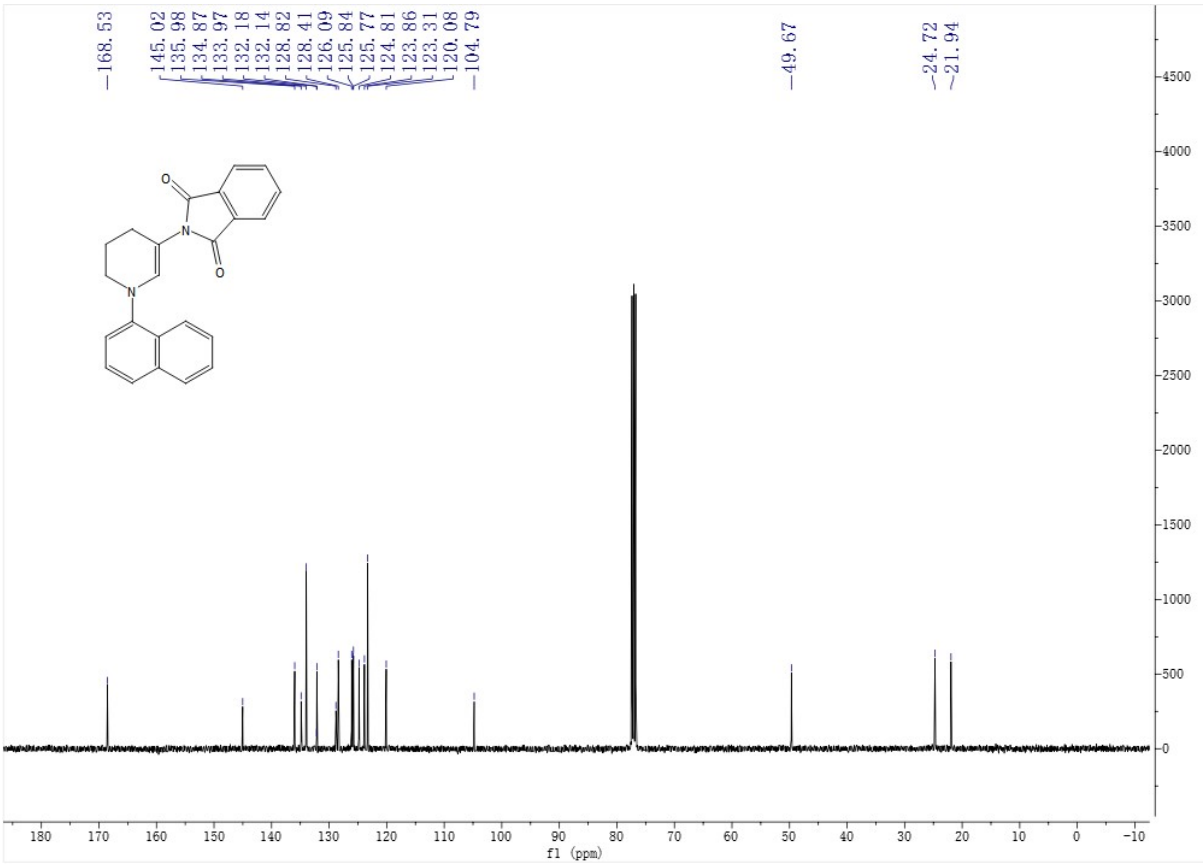
^{1}H and ^{13}C NMR spectra of 3al



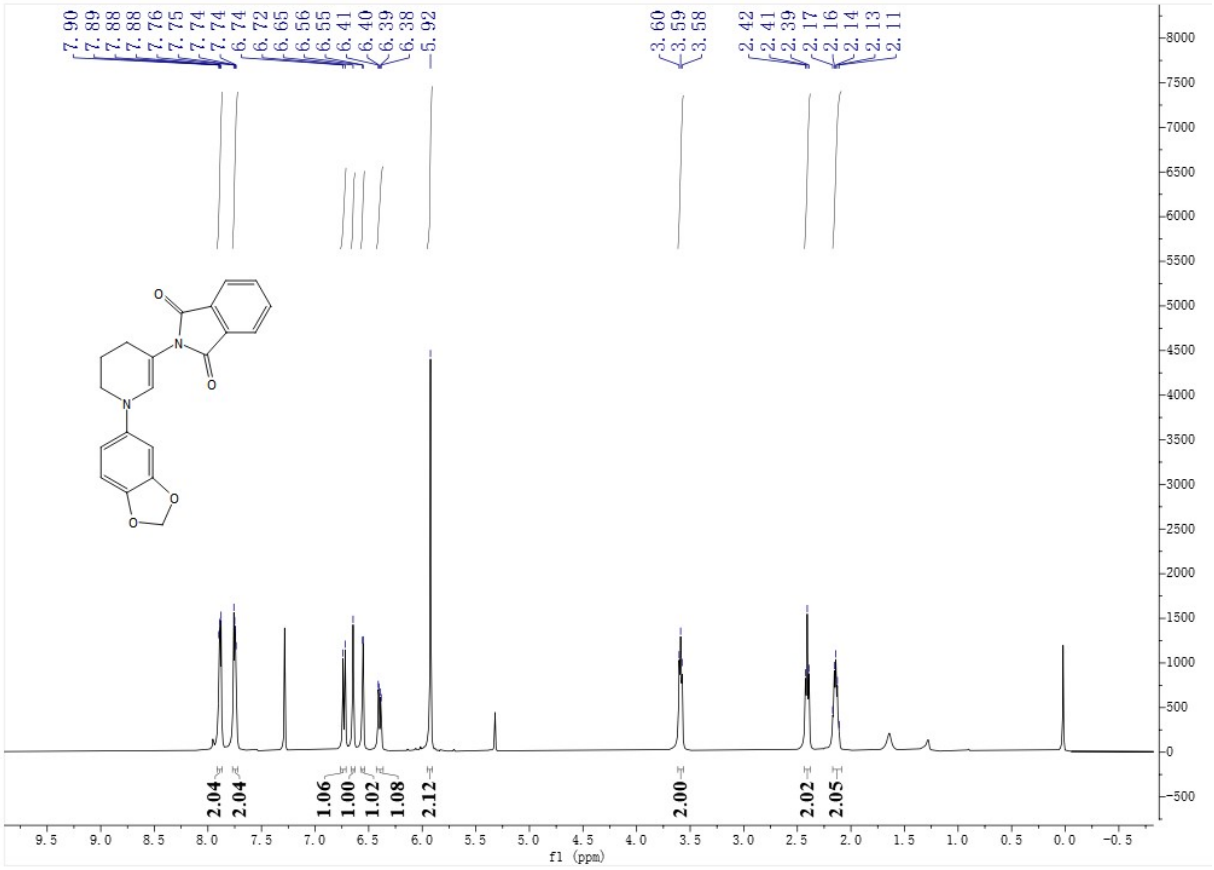


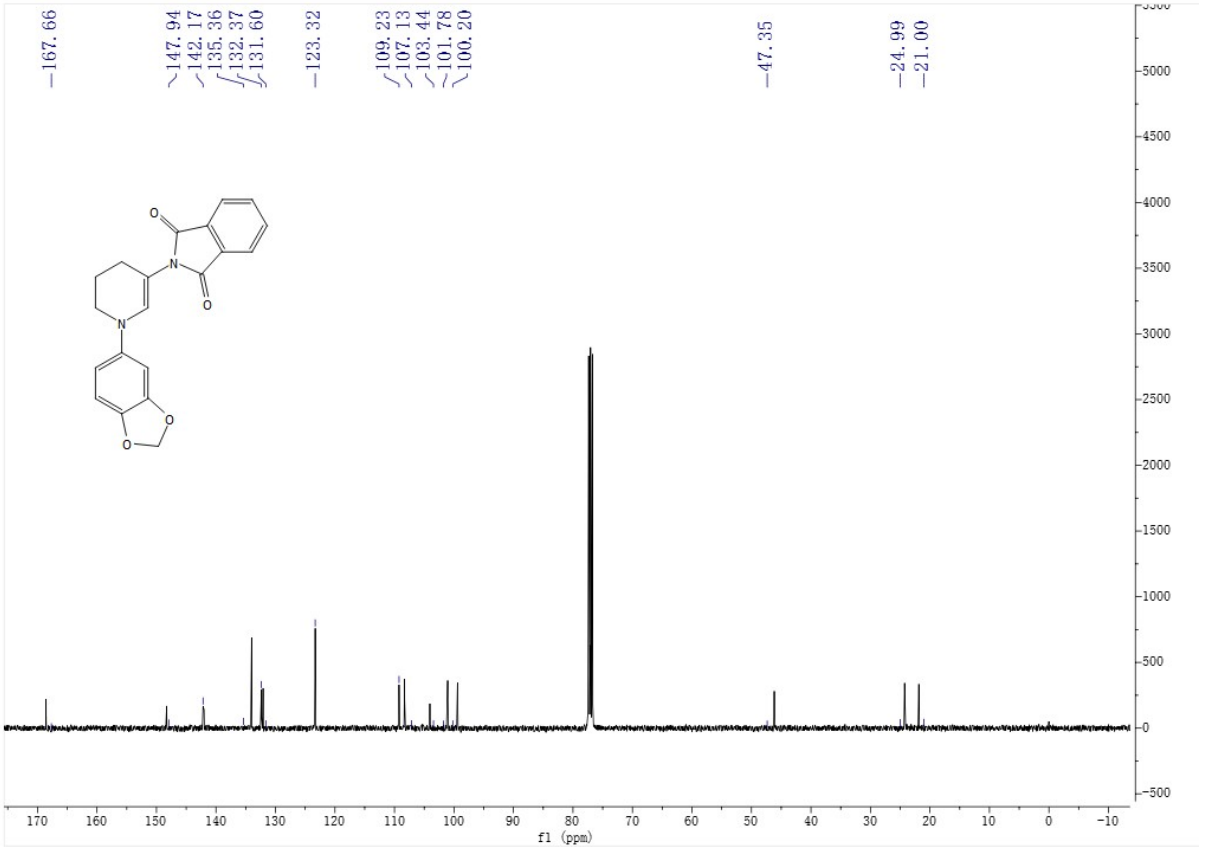
^{1}H and ^{13}C NMR spectra of 3am


8.21
8.19
7.91
7.90
7.89
7.89
7.88
7.86
7.75
7.75
7.74
7.73
7.66
7.64
7.57
7.56
7.50
7.49
7.46
7.44
7.42
7.28
7.26
6.61
3.76
3.72
3.71
2.54
2.52
2.51
2.23
2.22
2.21
2.19
2.16
1.00
3.01
2.02
1.07
2.11
1.01
1.27
1.00
1.99
1.96
1.93
f1 (ppm)

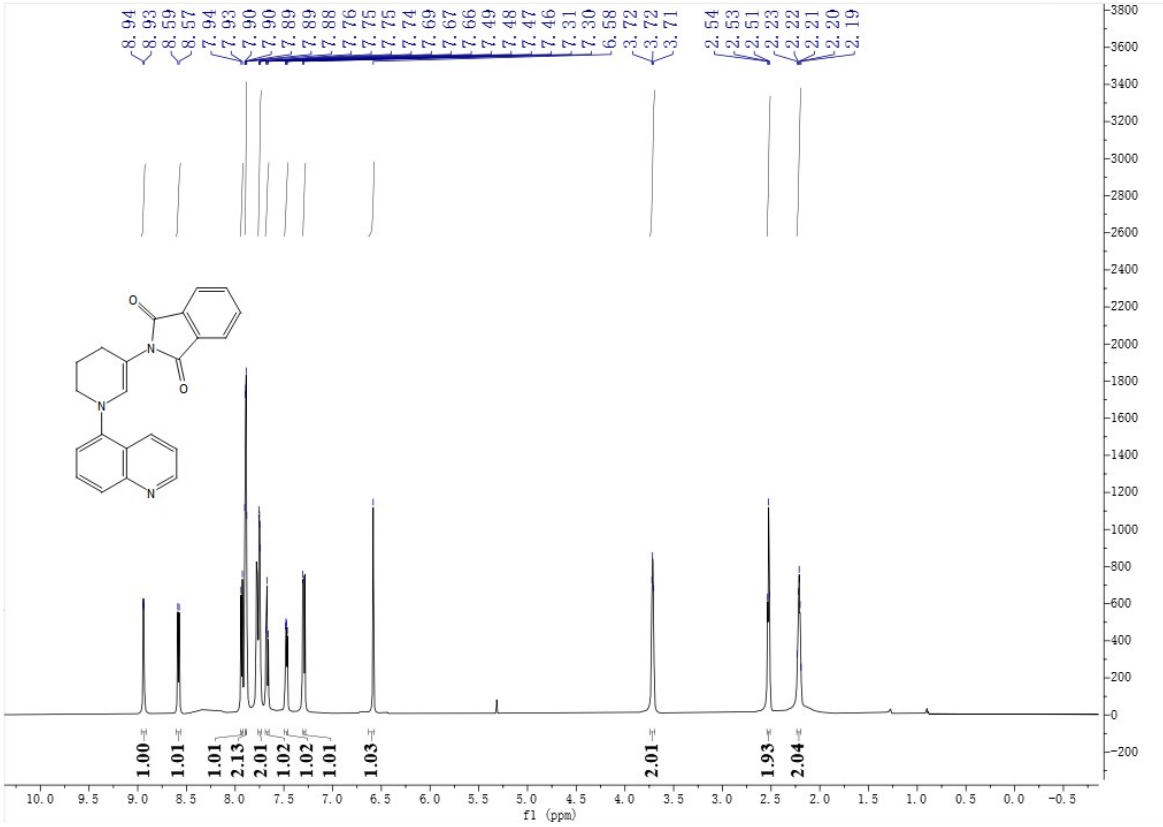

168.53
145.02
135.98
134.87
133.97
132.18
132.14
128.82
128.41
126.09
125.84
125.77
124.81
123.86
123.31
120.08
104.79
49.67
24.72
21.94
f1 (ppm)

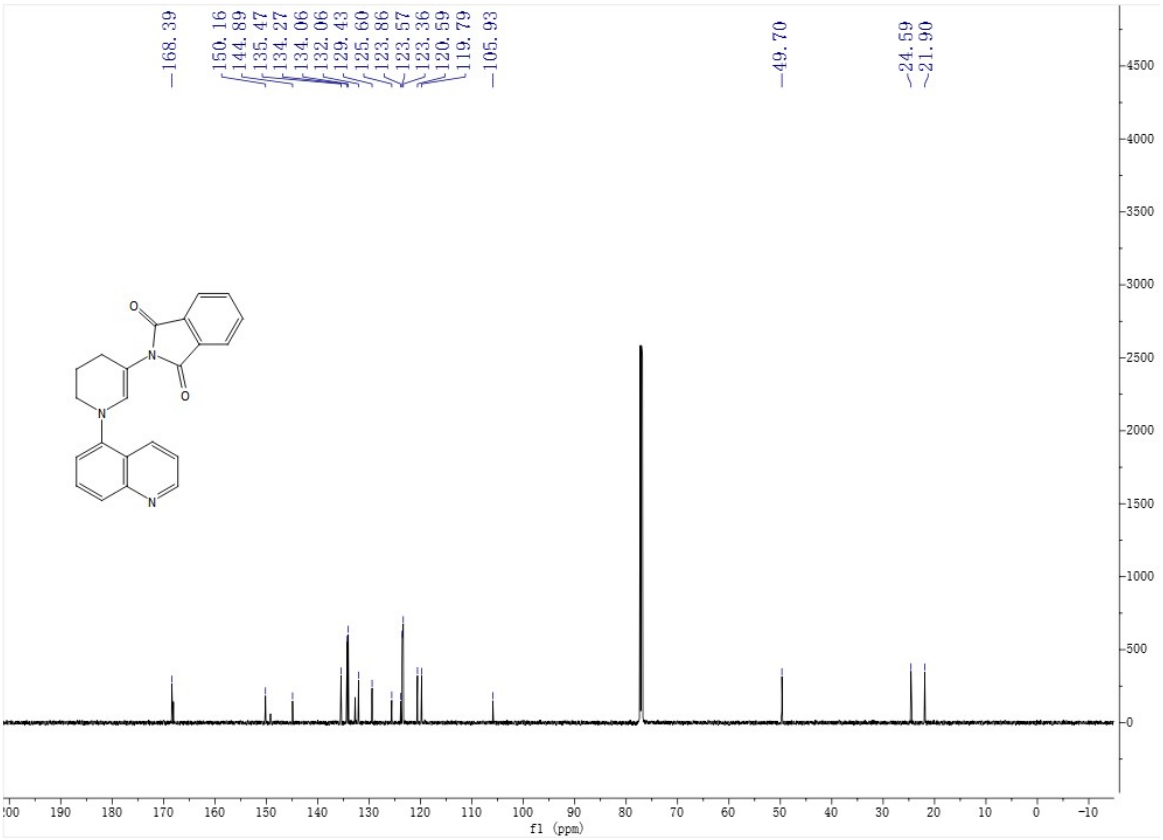
^{1}H and ^{13}C NMR spectra of 3an



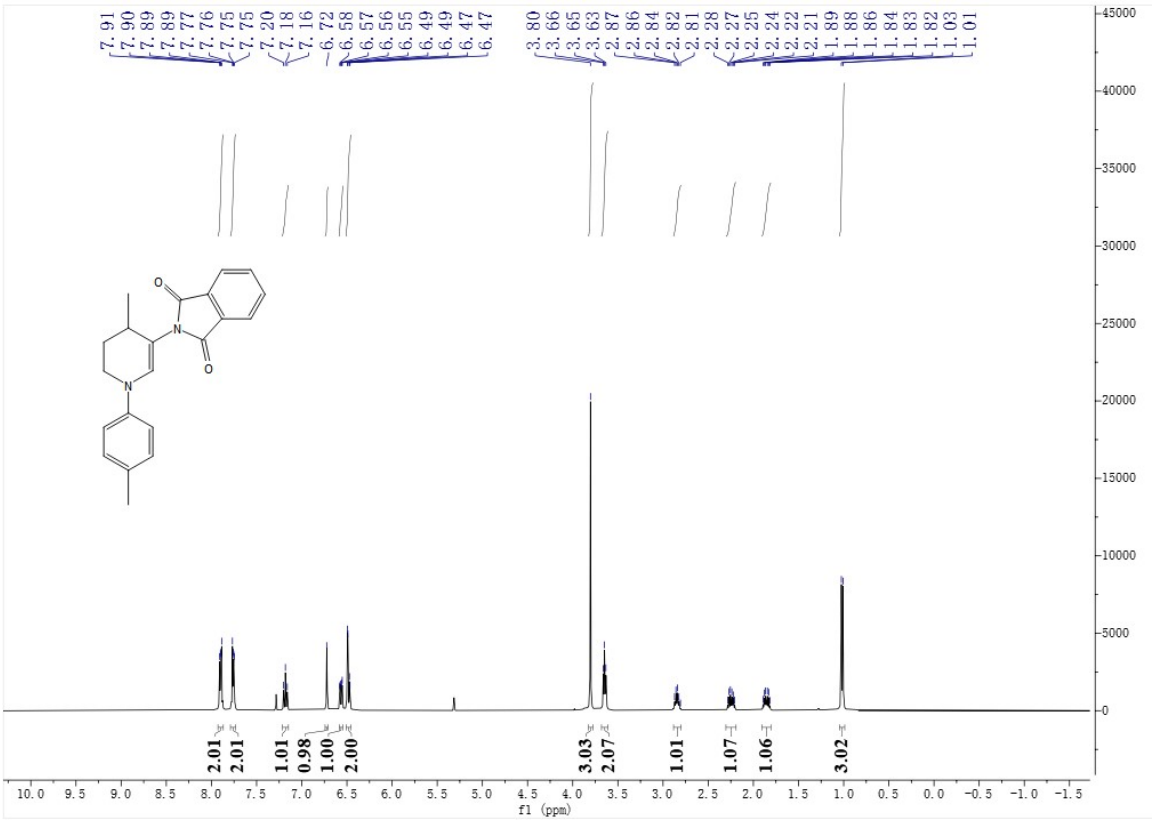


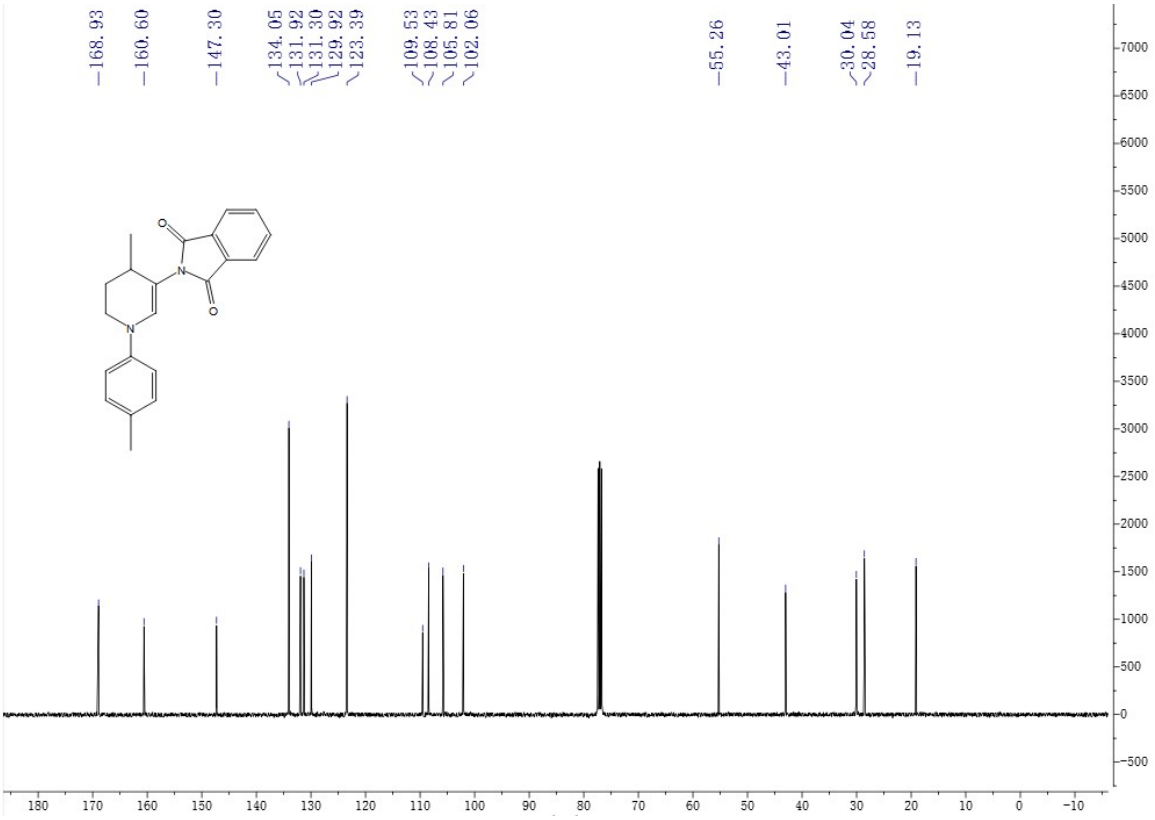
^{1}H and ^{13}C NMR spectra of 3ao



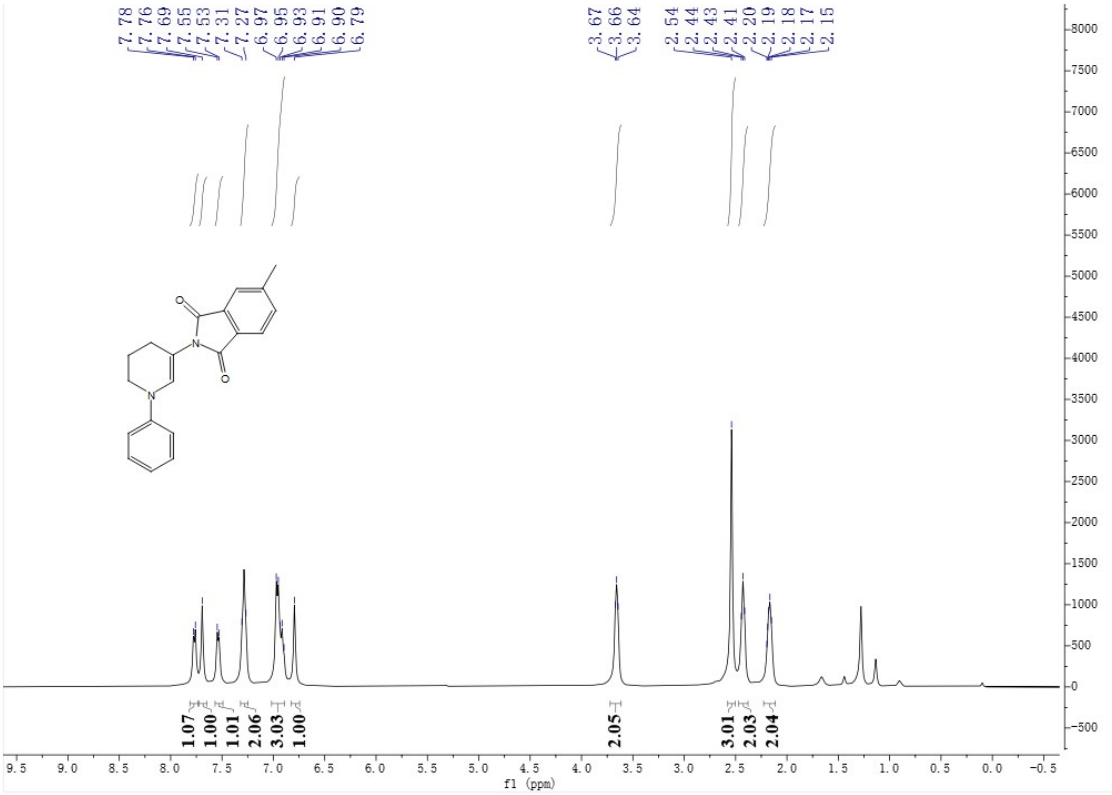


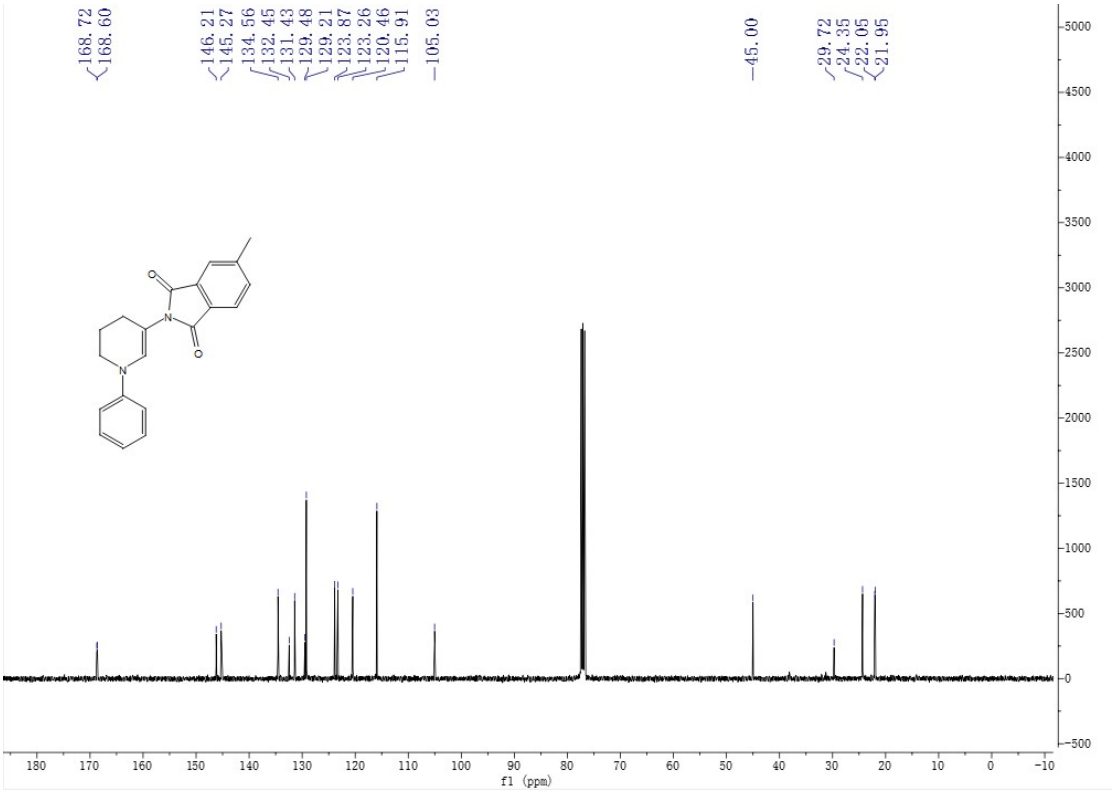
^{1}H and ^{13}C NMR spectra of 3ap



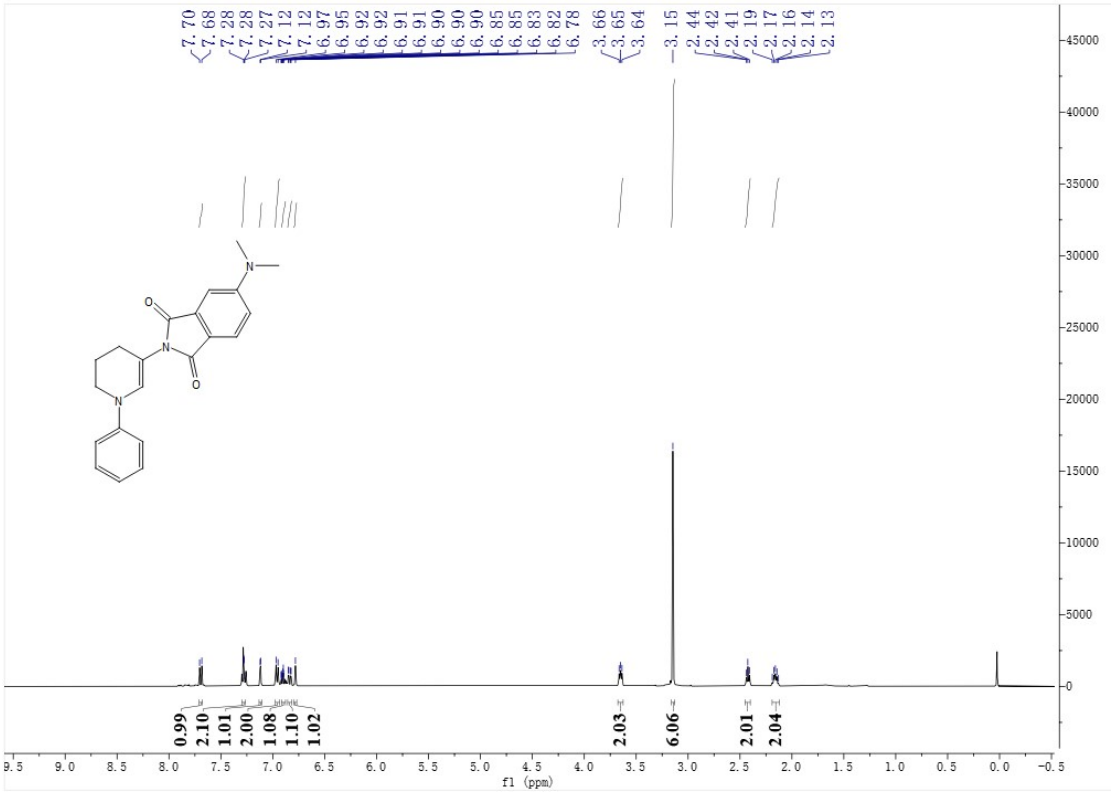


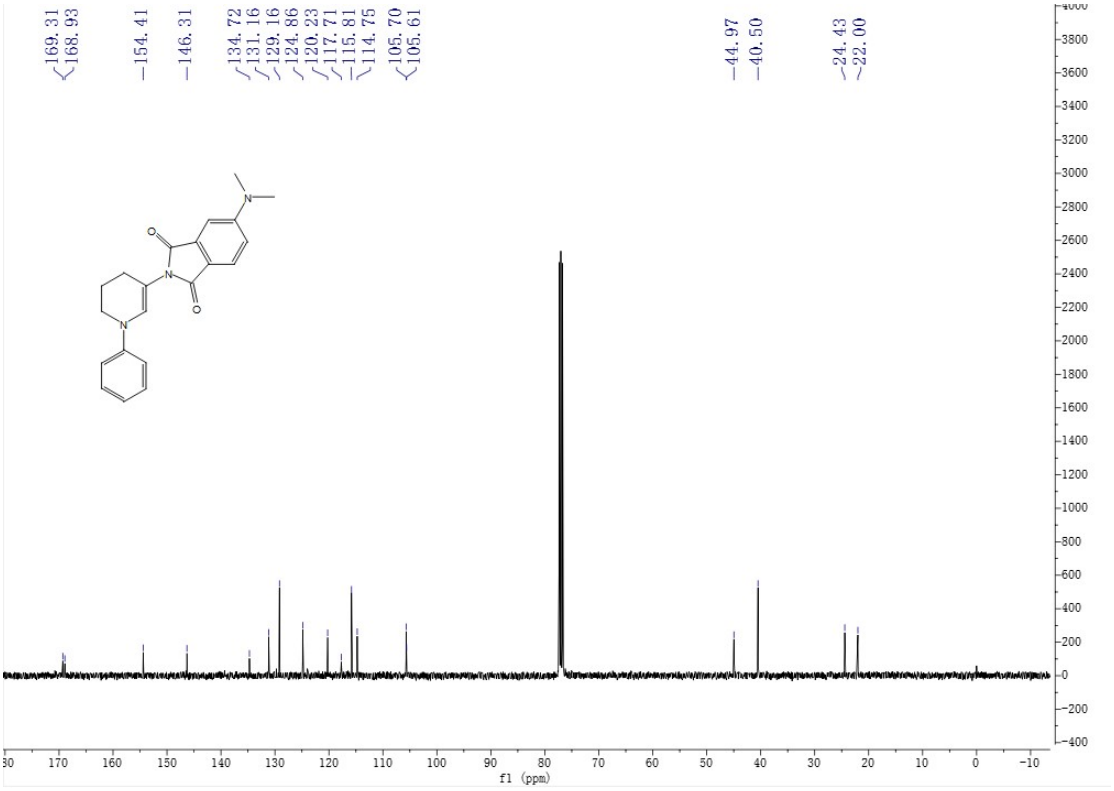
^{1}H and ^{13}C NMR spectra of 3ba



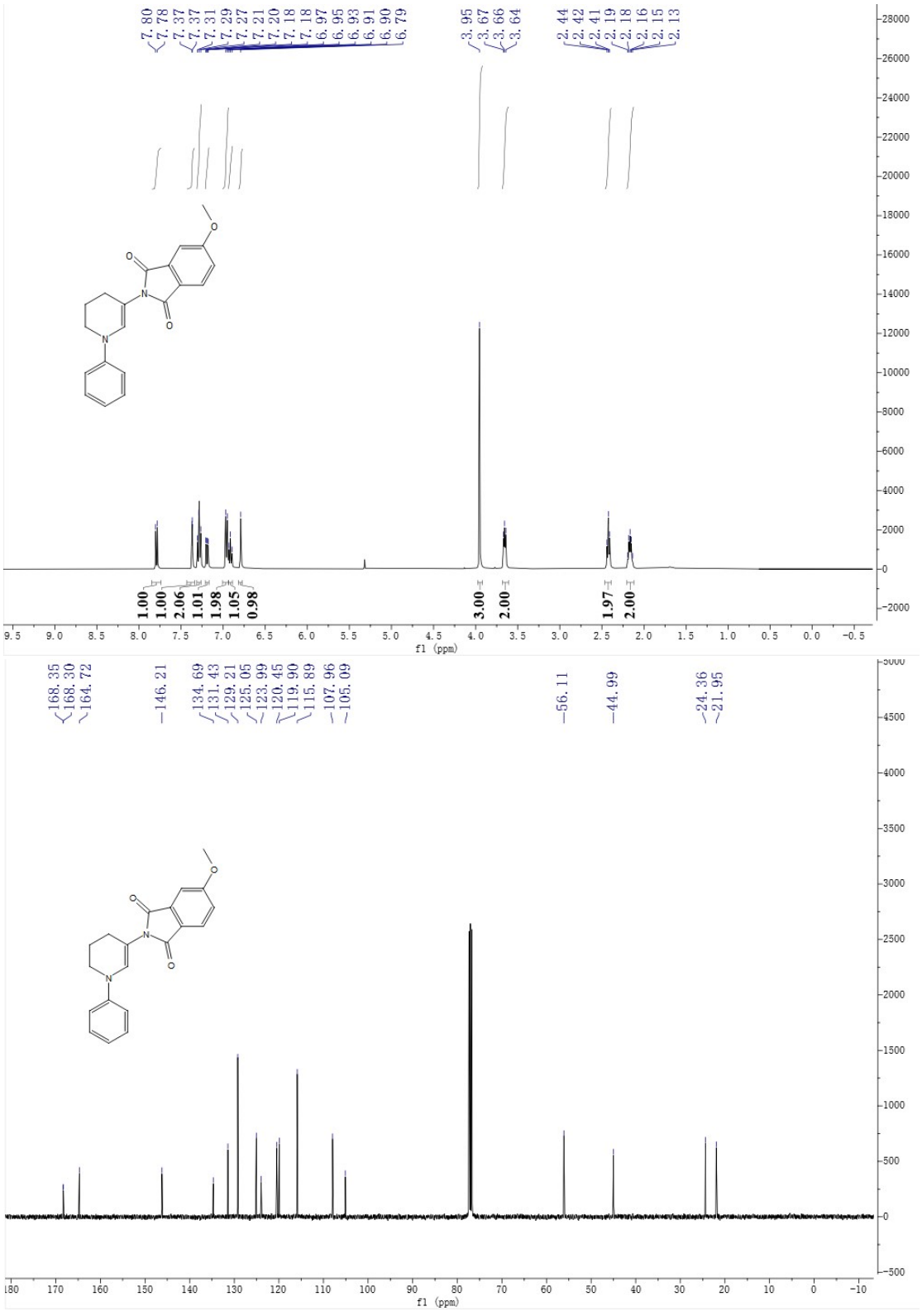


^{1}H and ^{13}C NMR spectra of 3bb

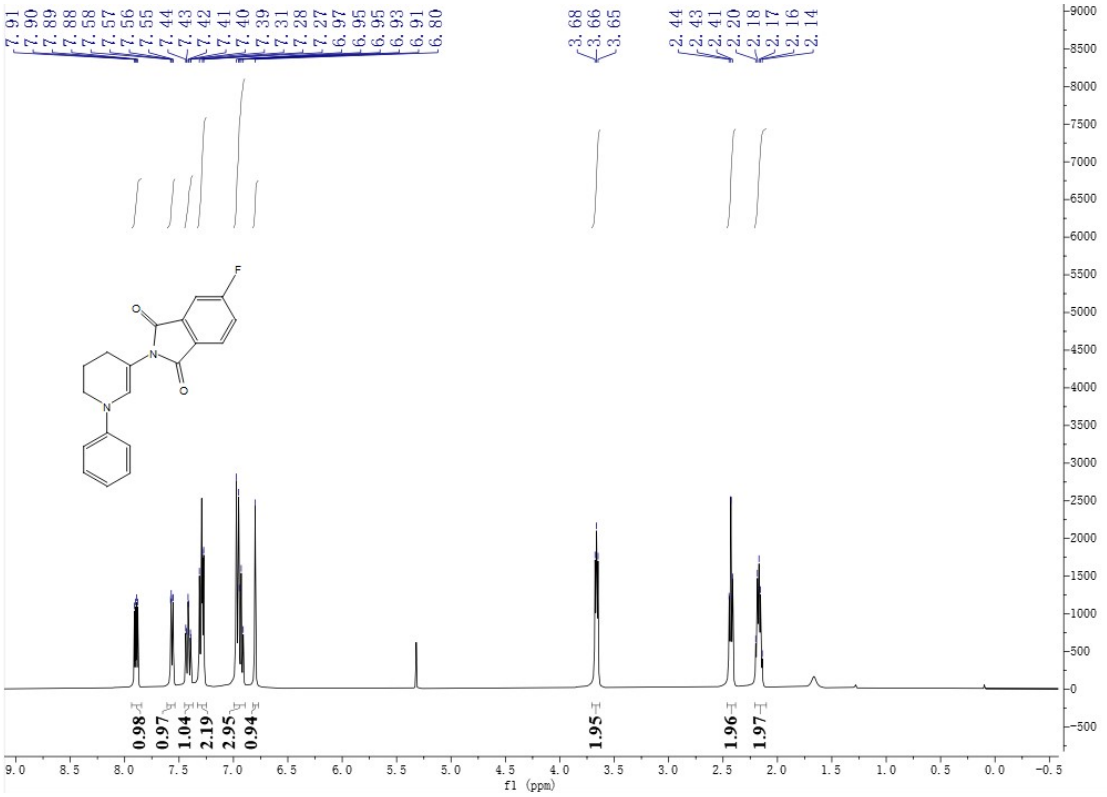


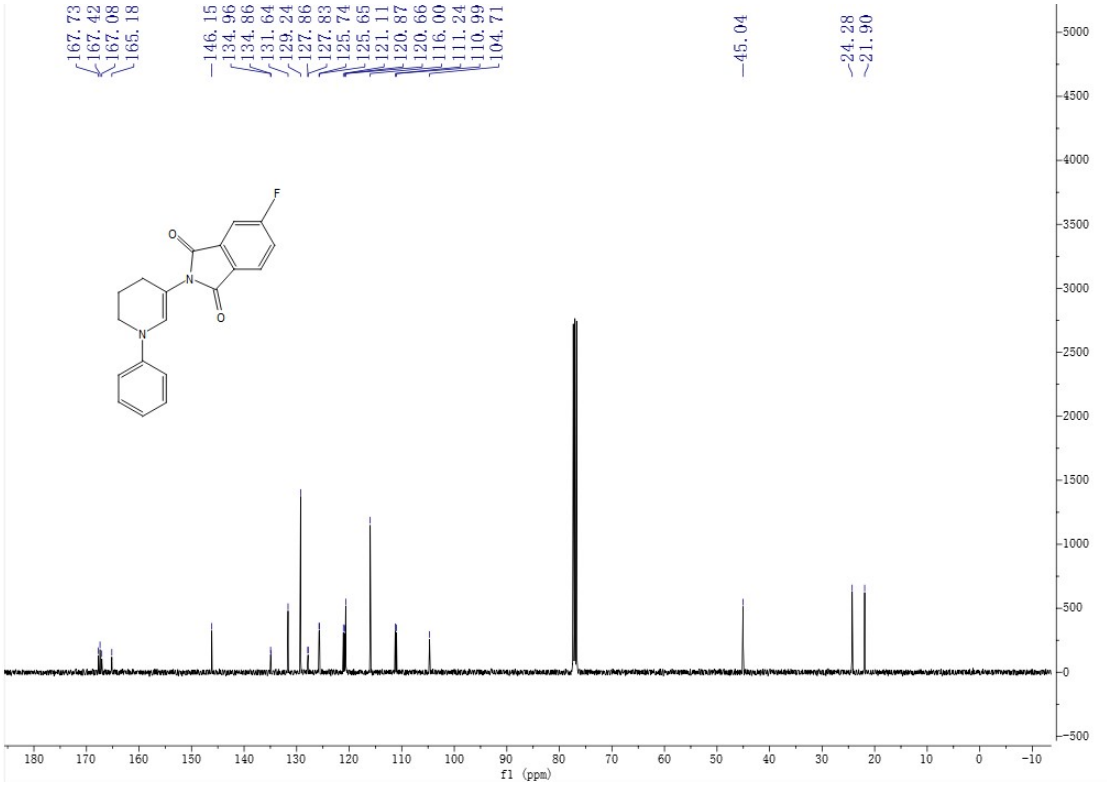


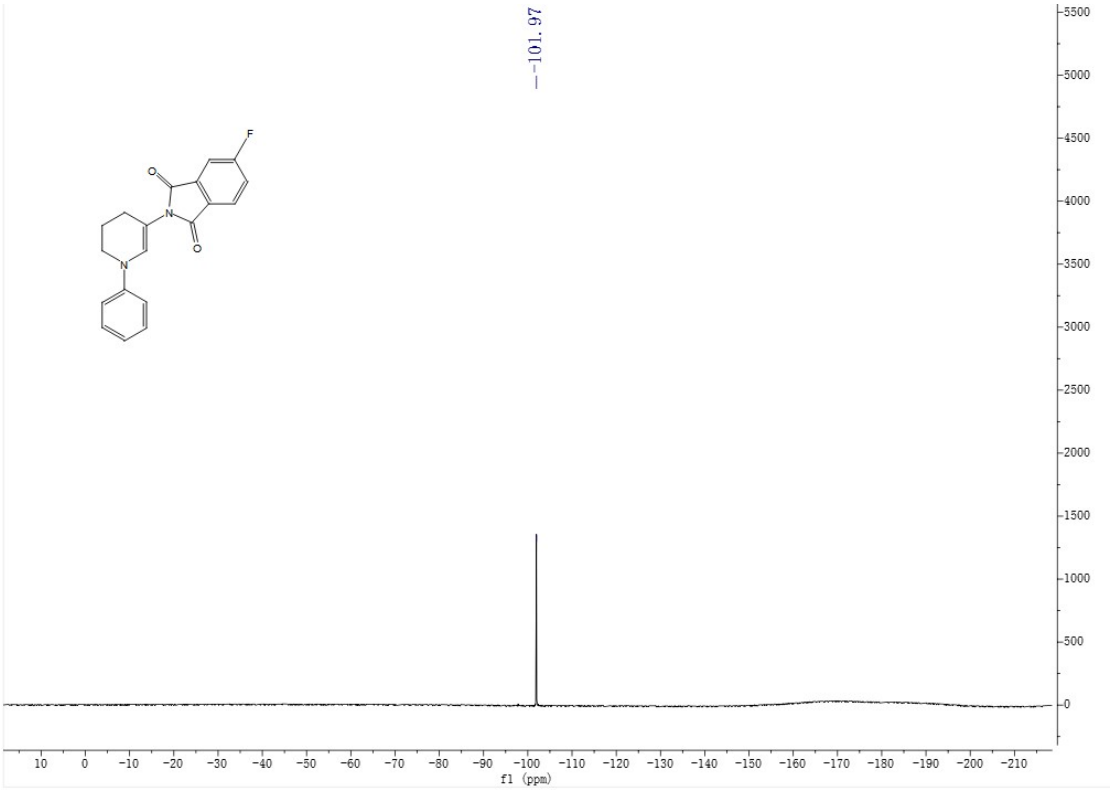
^{1}H and ^{13}C NMR spectra of 3bc



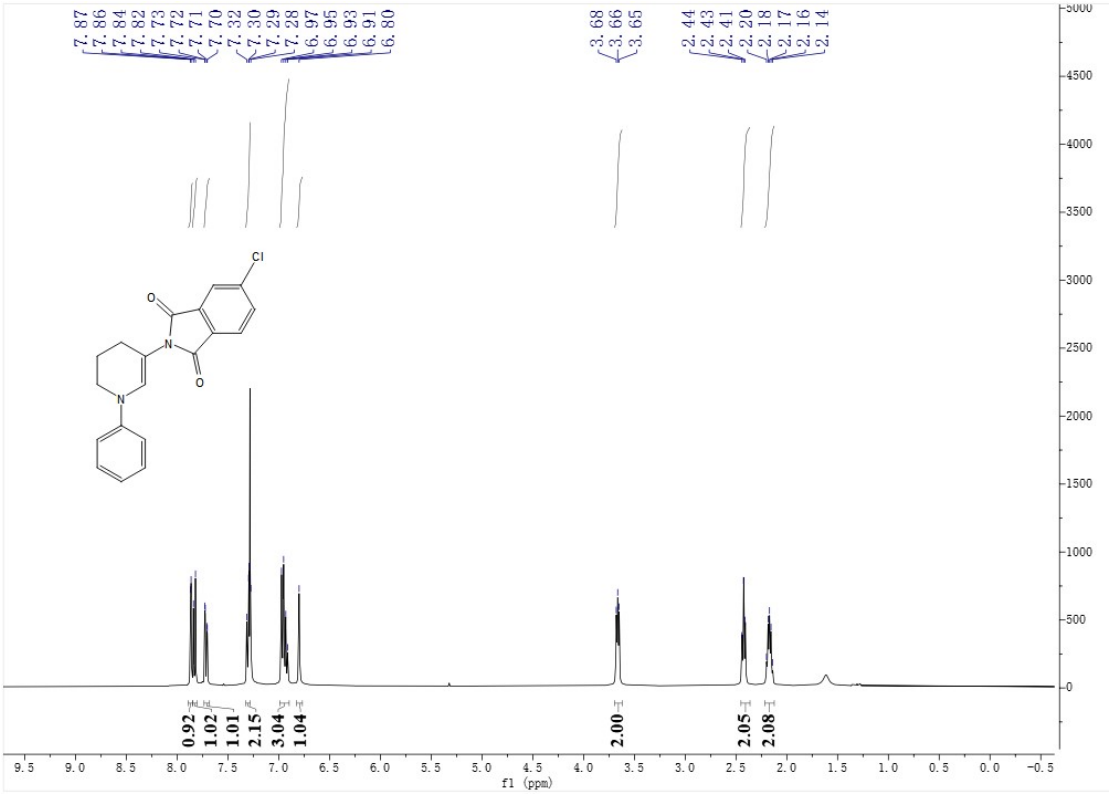
^{1}H ^{19}F and ^{13}C NMR spectra of 3bd

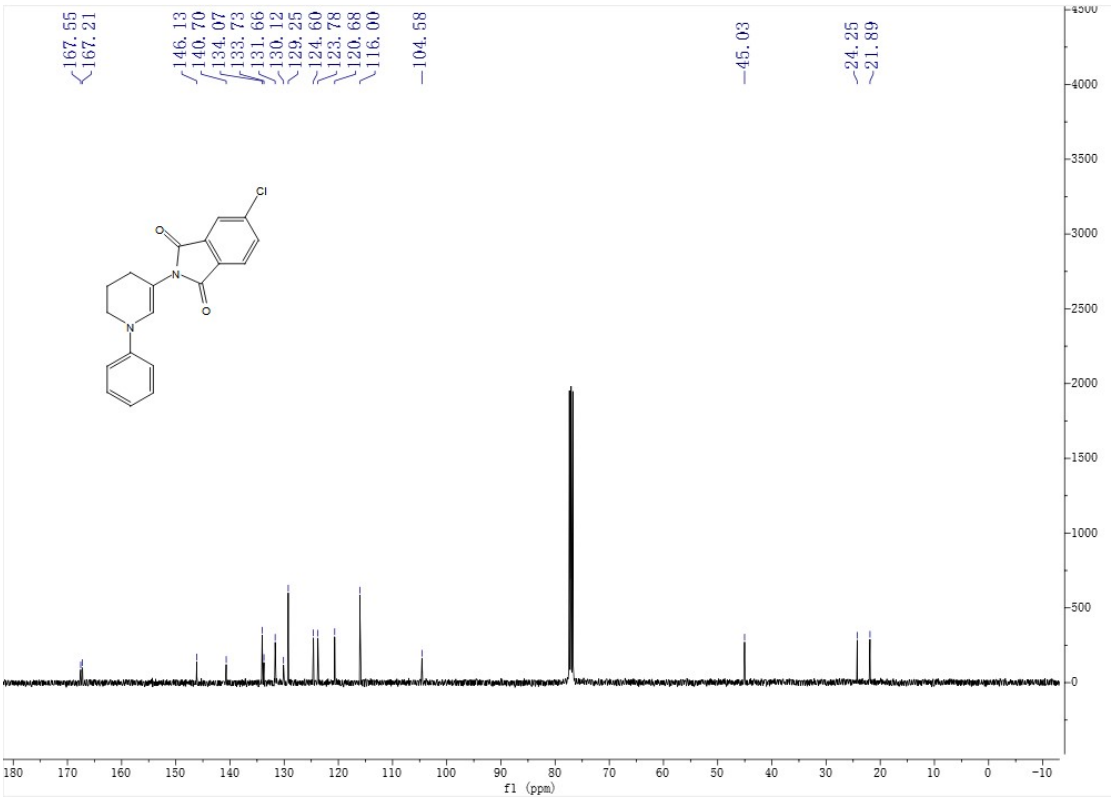

7.91
7.90
7.89
7.88
7.58
7.57
7.56
7.55
7.44
7.43
7.42
7.41
7.40
7.39
7.31
7.28
7.27
6.97
6.95
6.93
6.91
6.80
3.68
3.66
3.65
2.44
2.43
2.41
2.20
2.18
2.17
2.16
2.14
F
O
N
O
N
0.98
0.97
1.04
2.19
2.95
0.94
1.95
1.96
1.97
f1 (ppm)


167.73
167.42
167.08
165.18
146.15
134.96
134.86
131.64
129.24
127.86
127.83
125.74
125.65
121.11
120.87
120.66
116.00
111.24
110.99
104.71
45.04
24.28
21.90
F
O
N
O
N
f1 (ppm)

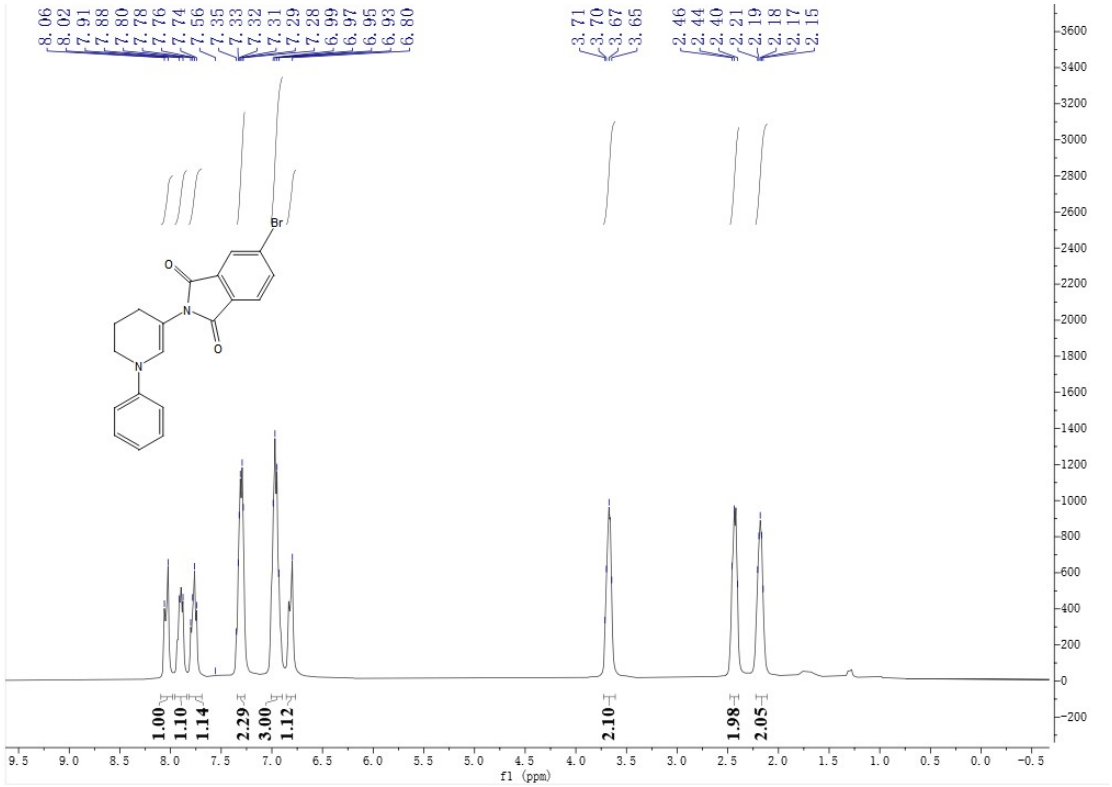


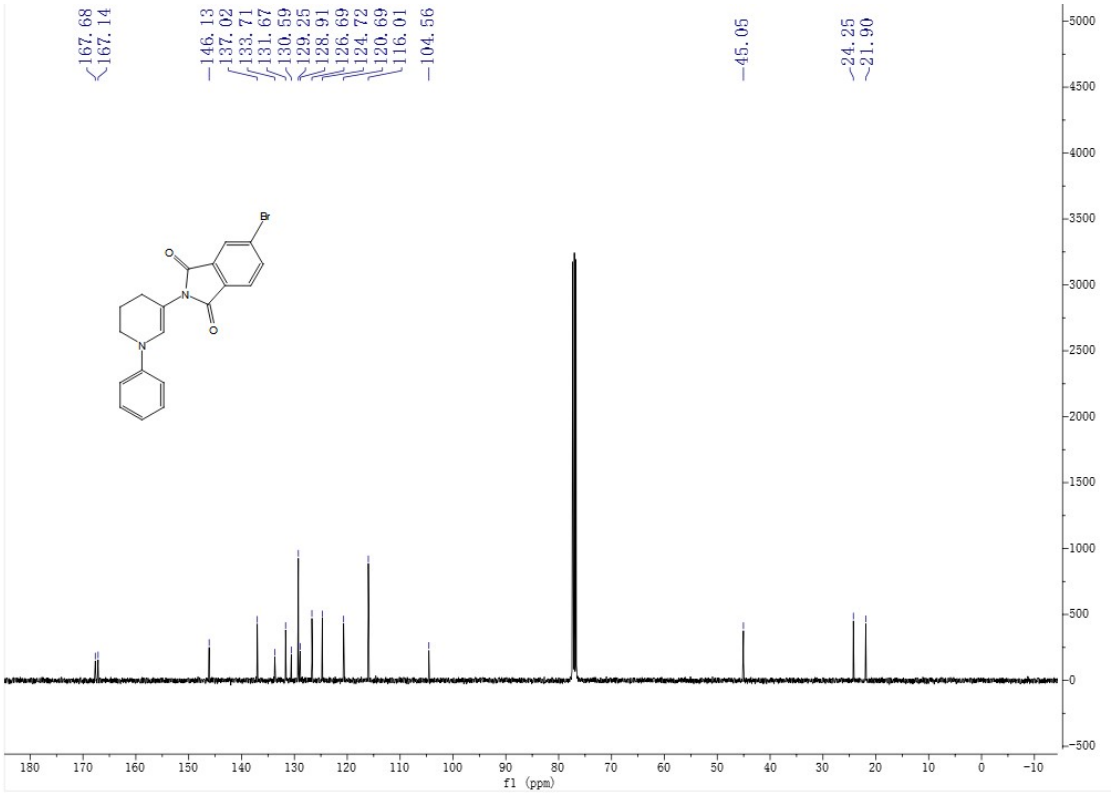
^{1}H and ^{13}C NMR spectra of 3be



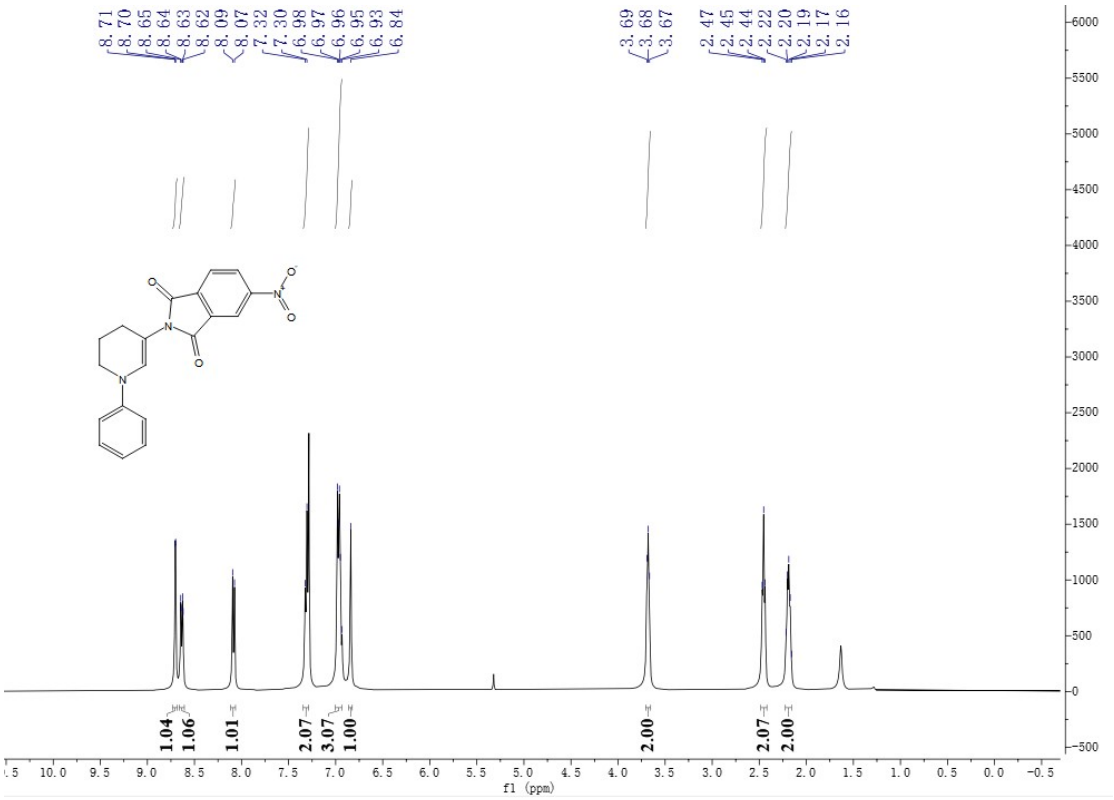


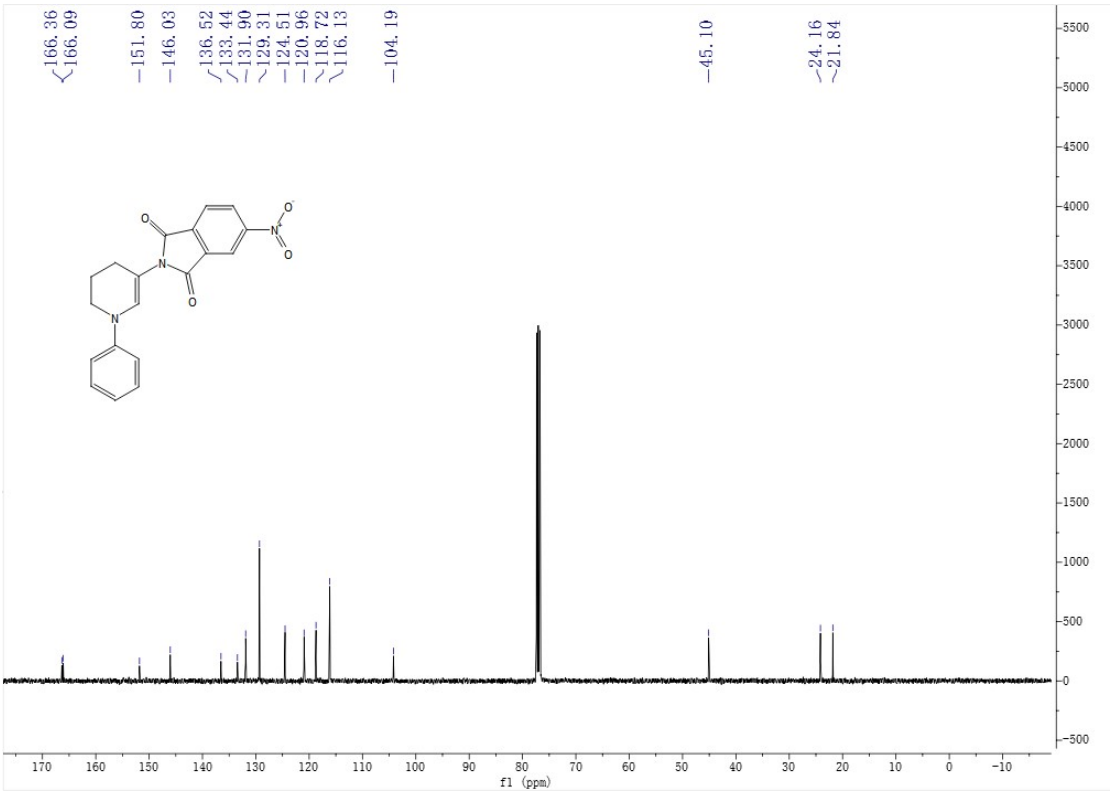
^{1}H and ^{13}C NMR spectra of 3bf



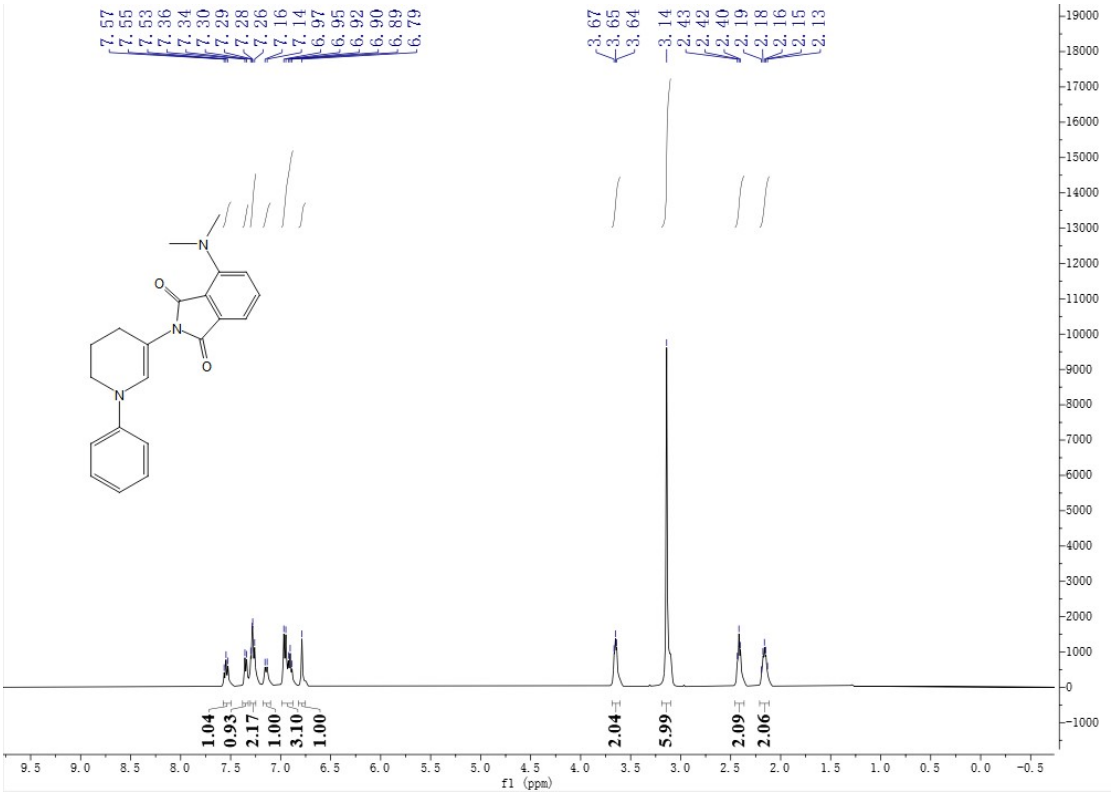


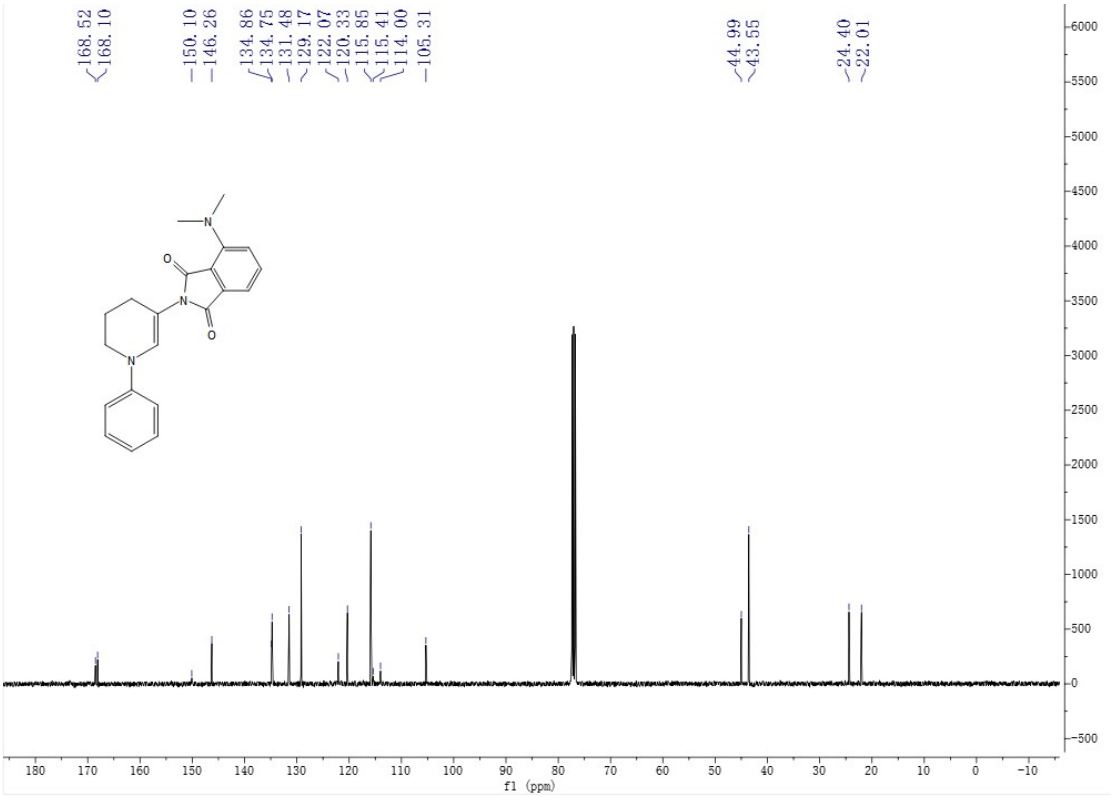
^{1}H and ^{13}C NMR spectra of 3bg



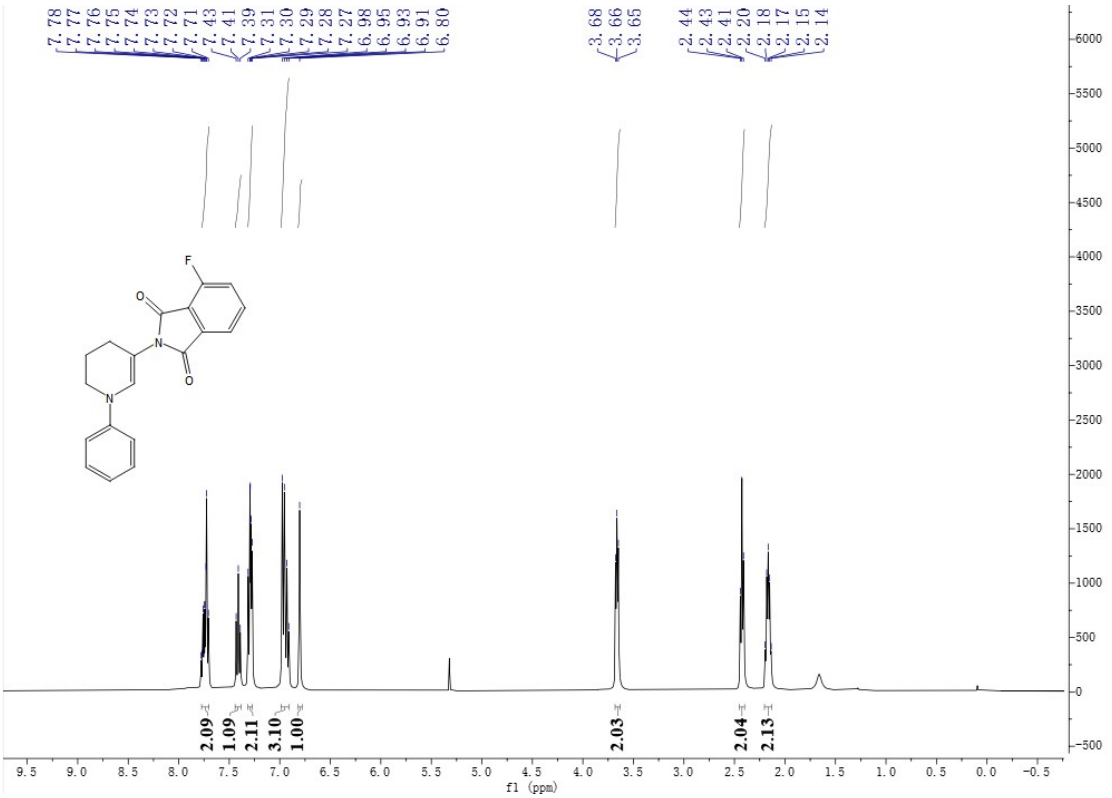


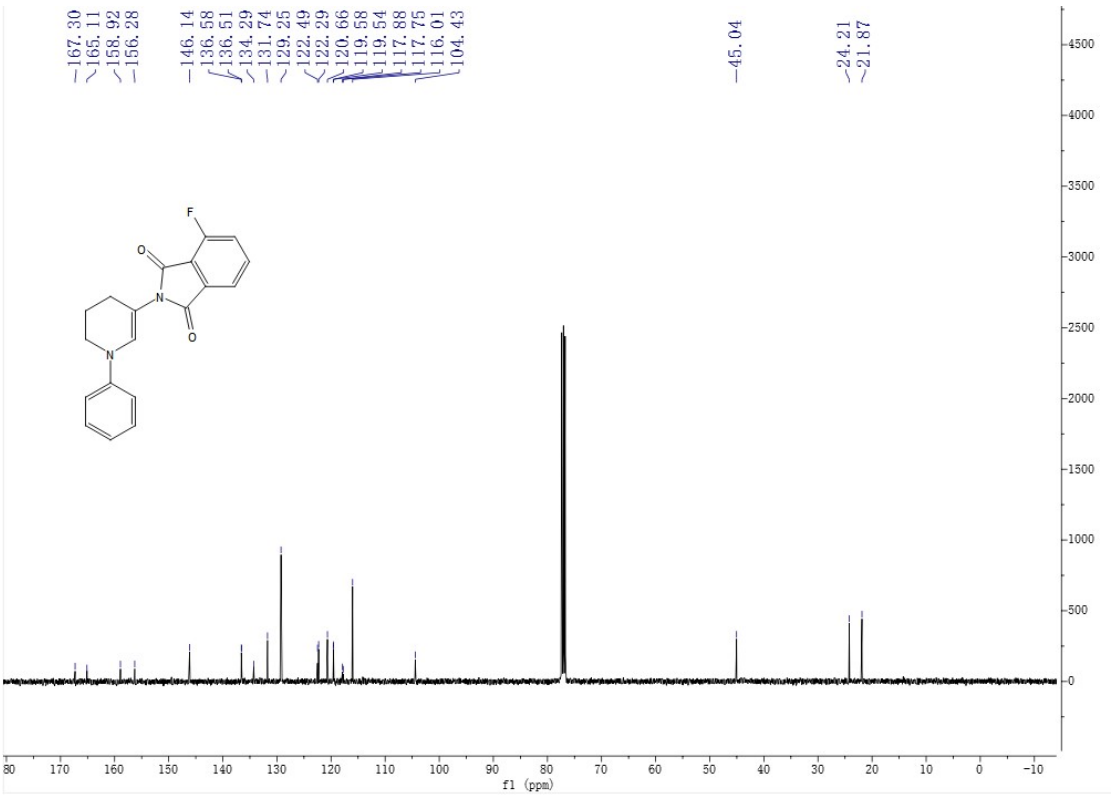
^{1}H and ^{13}C NMR spectra of 3bh

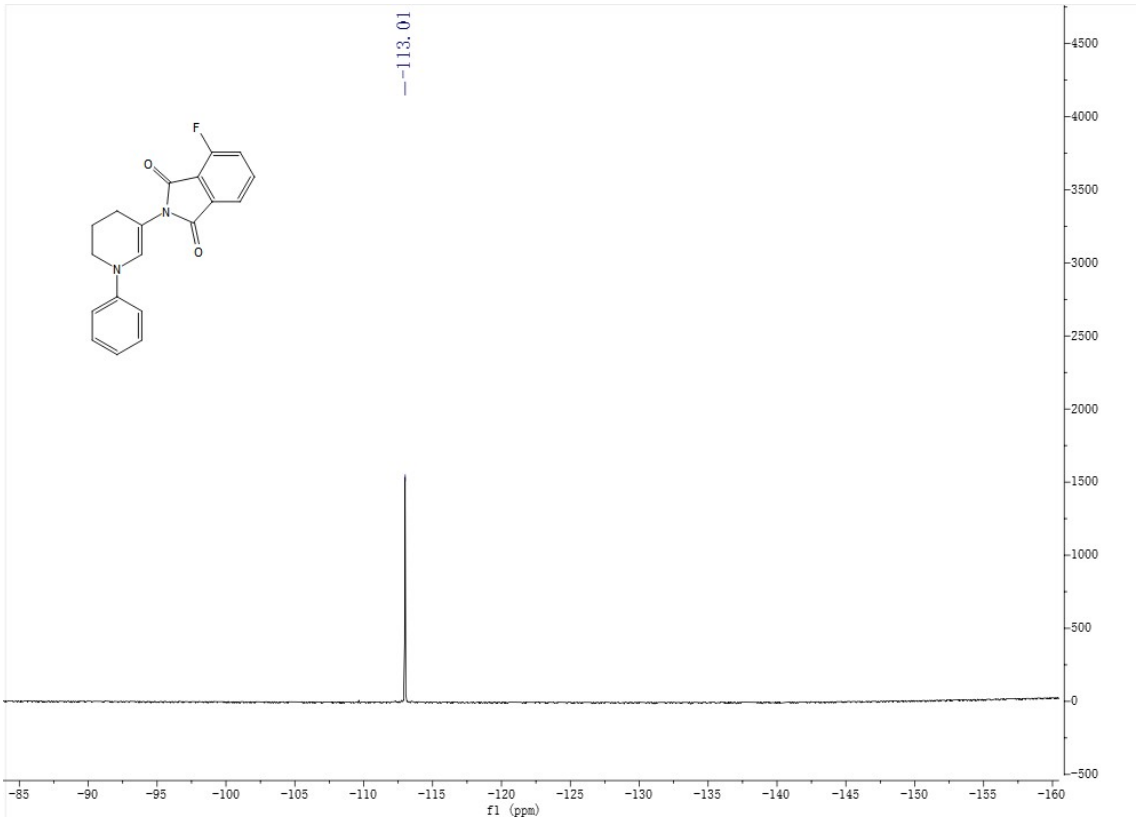


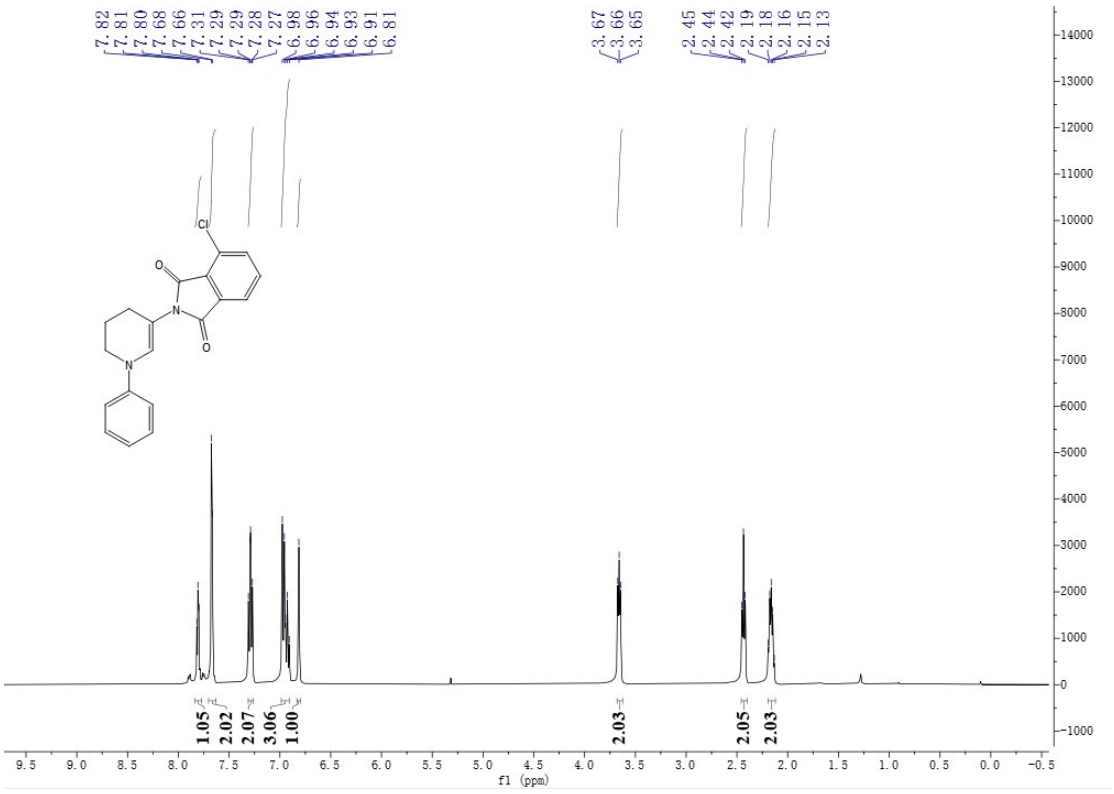


^{1}H ^{19}F and ^{13}C NMR spectra of 3bi

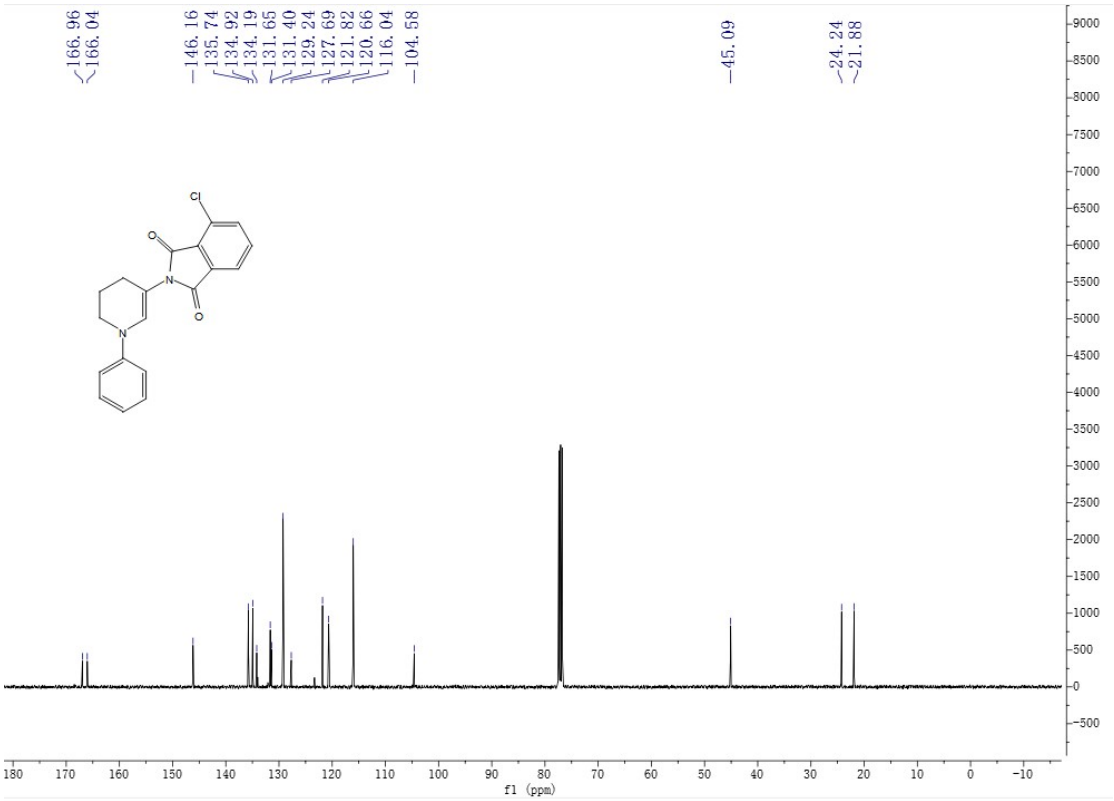



167.30
165.11
158.92
156.28
146.14
136.58
136.51
134.29
131.74
129.25
122.49
122.29
120.66
119.58
119.54
117.88
117.75
116.01
104.43
45.04
24.21
21.87
F
O
N
O
N
f1 (ppm)

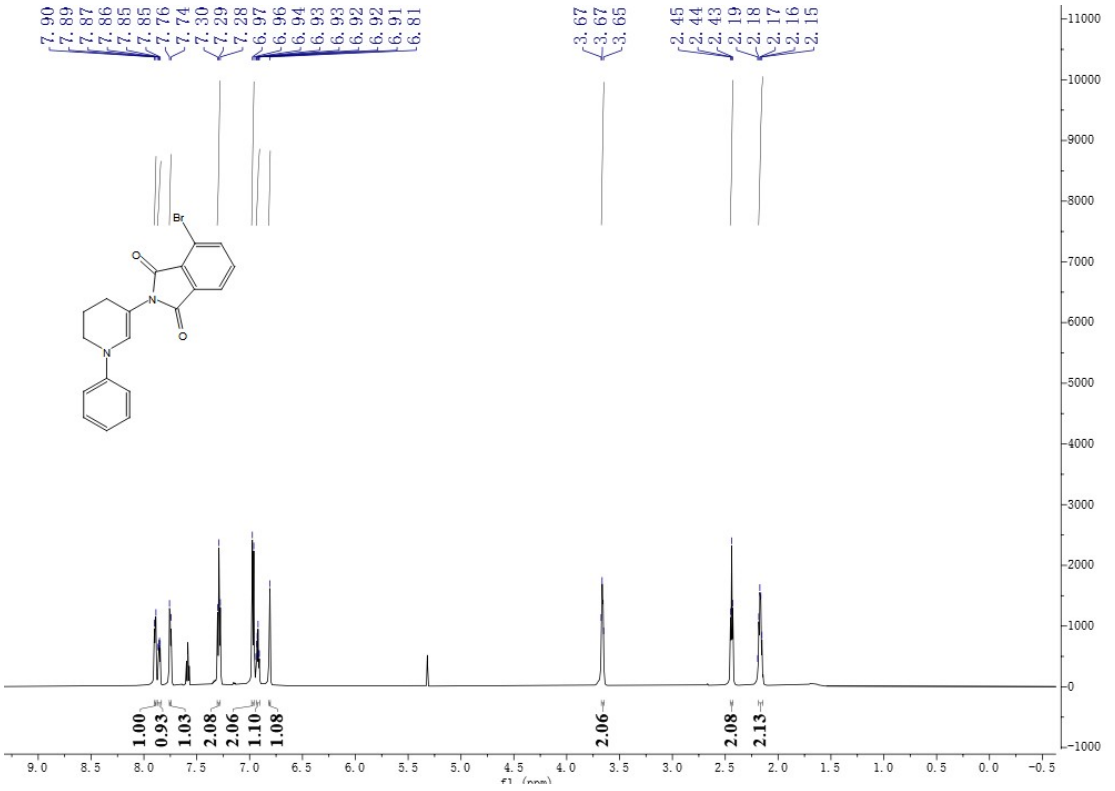

-113.01
F
O
N
O
N
f1 (ppm)

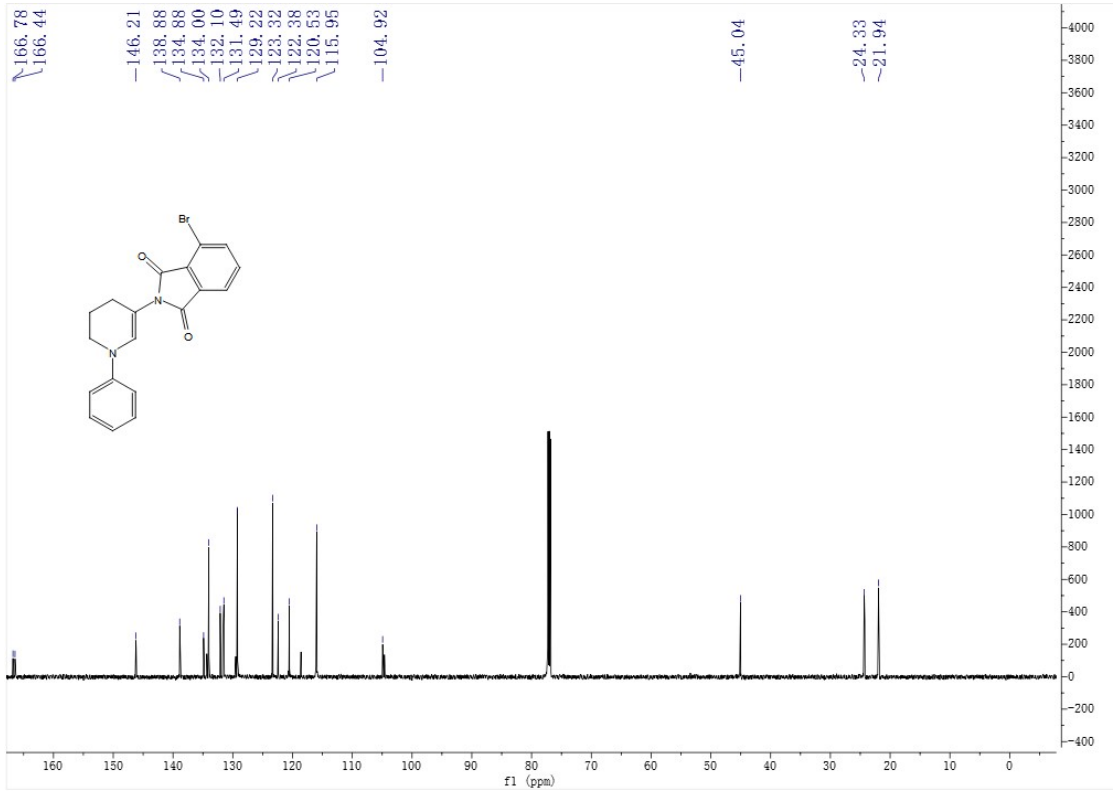


^{1}H and ^{13}C NMR spectra of 3bj

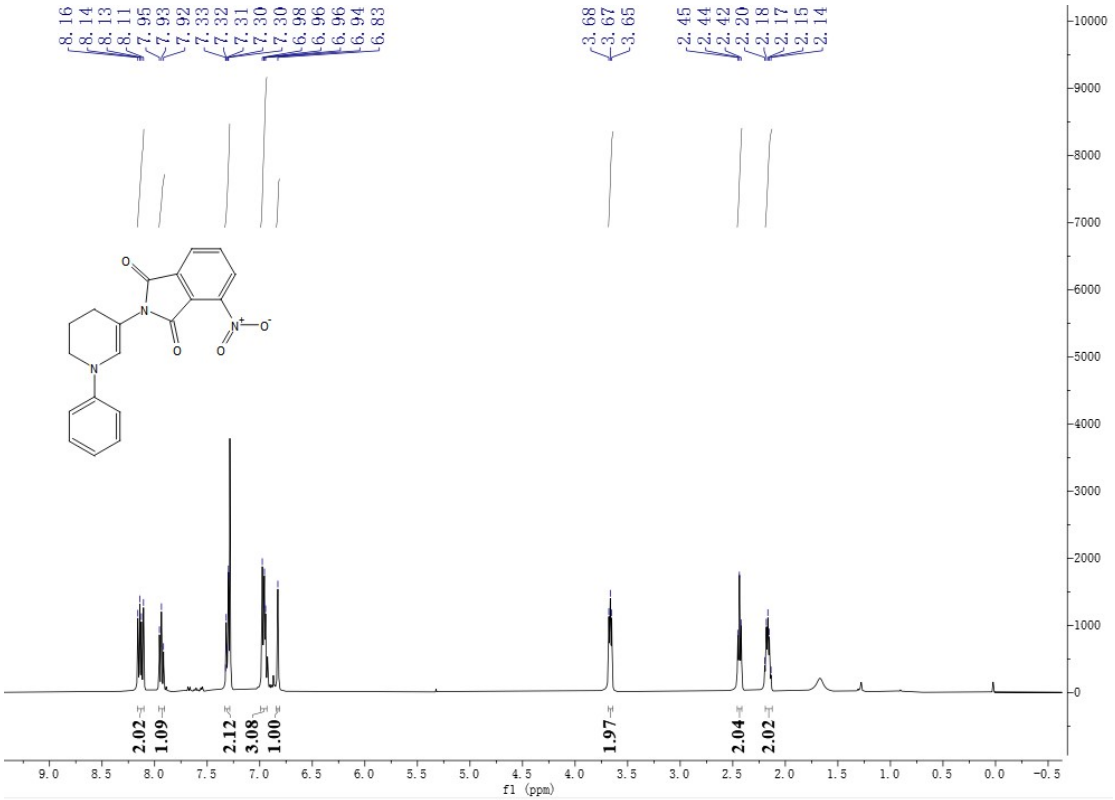


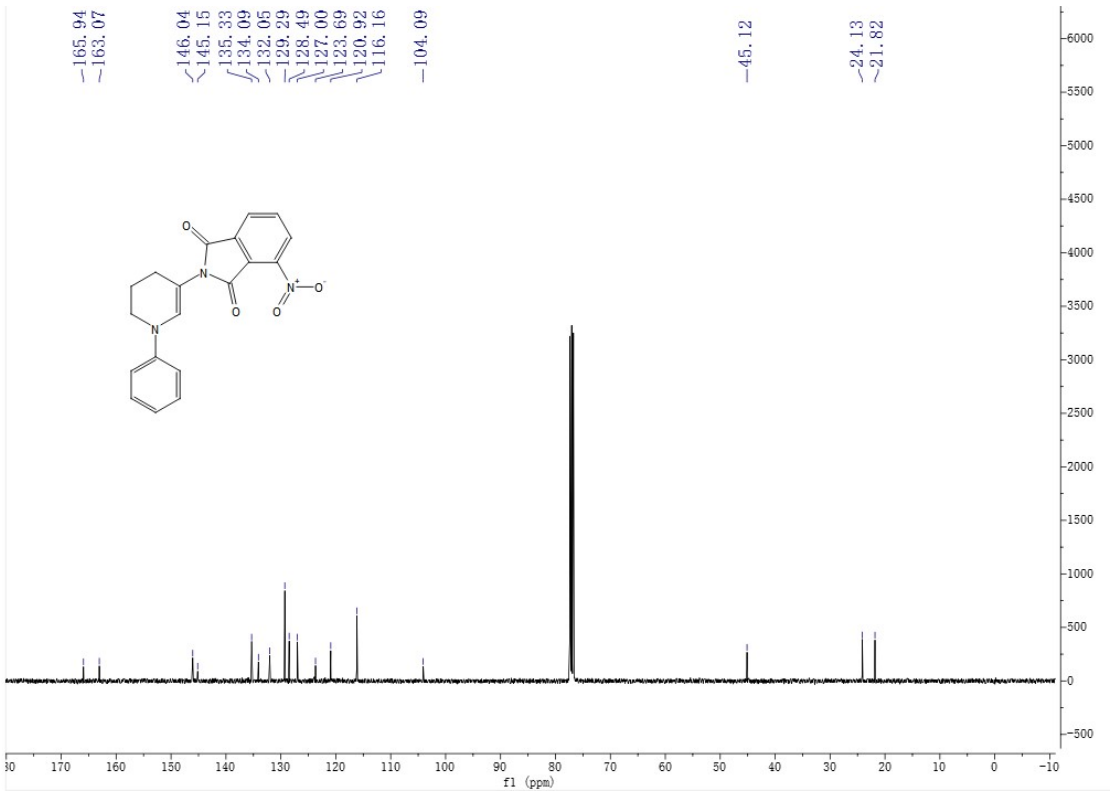
^{1}H and ^{13}C NMR spectra of 3bk



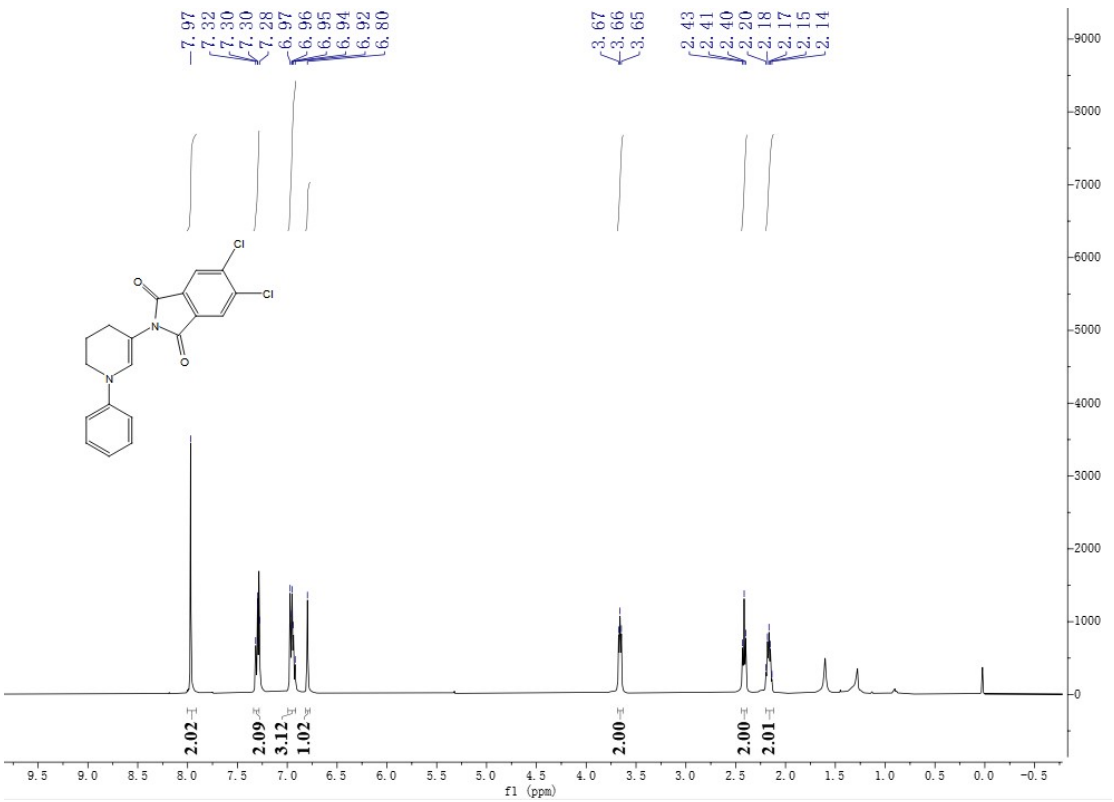


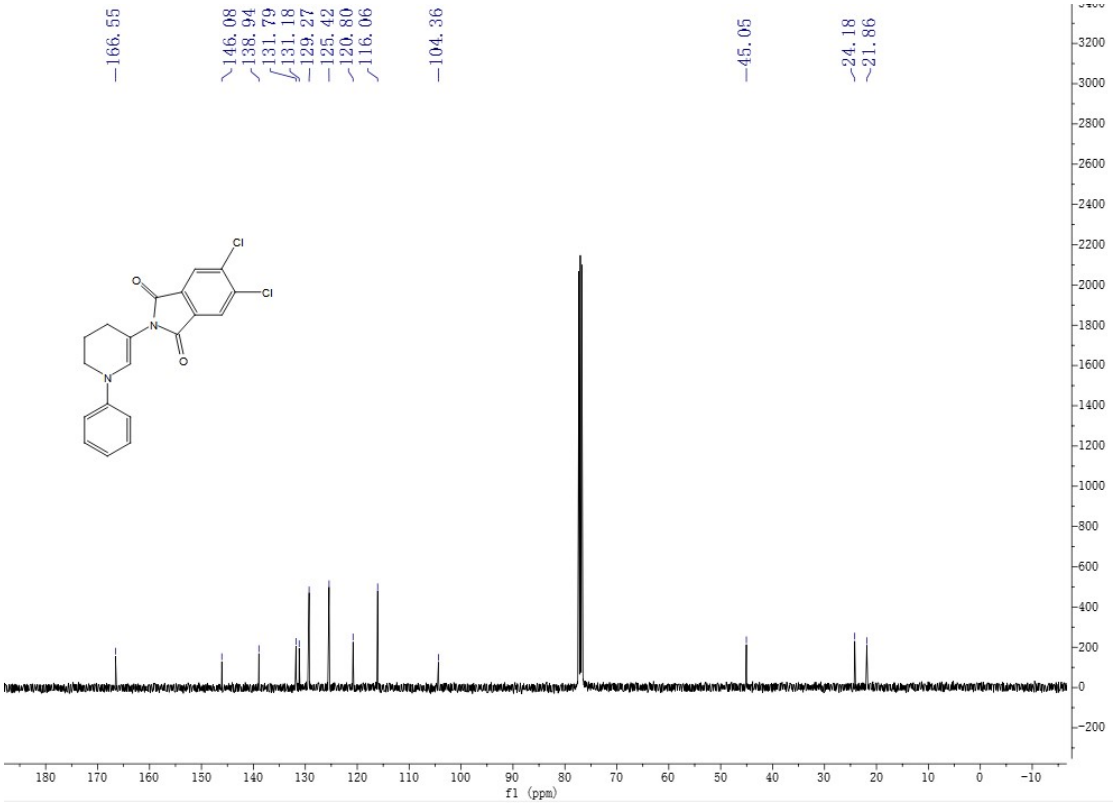
^{1}H and ^{13}C NMR spectra of 3bl



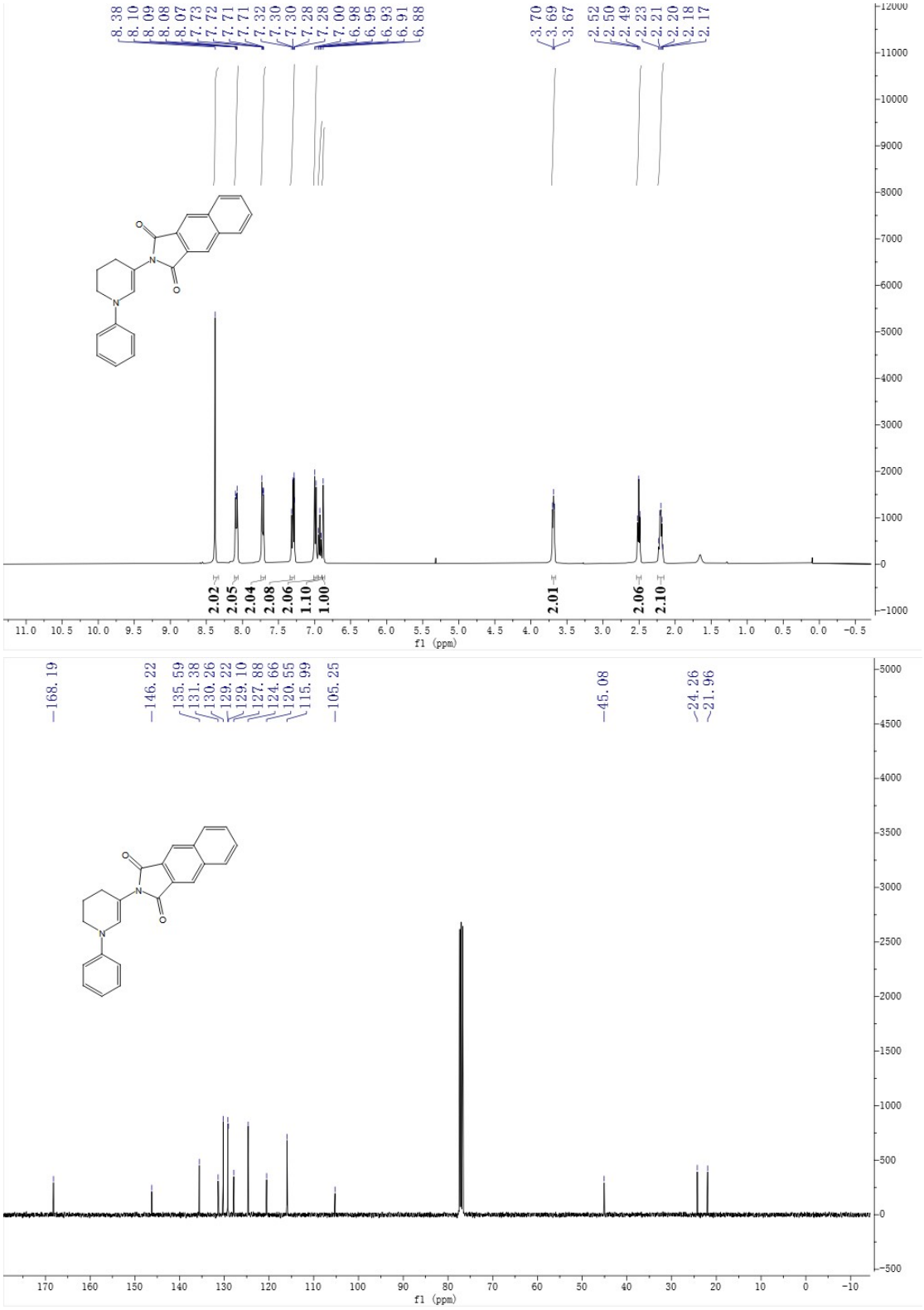


^{1}H and ^{13}C NMR spectra of 3bl

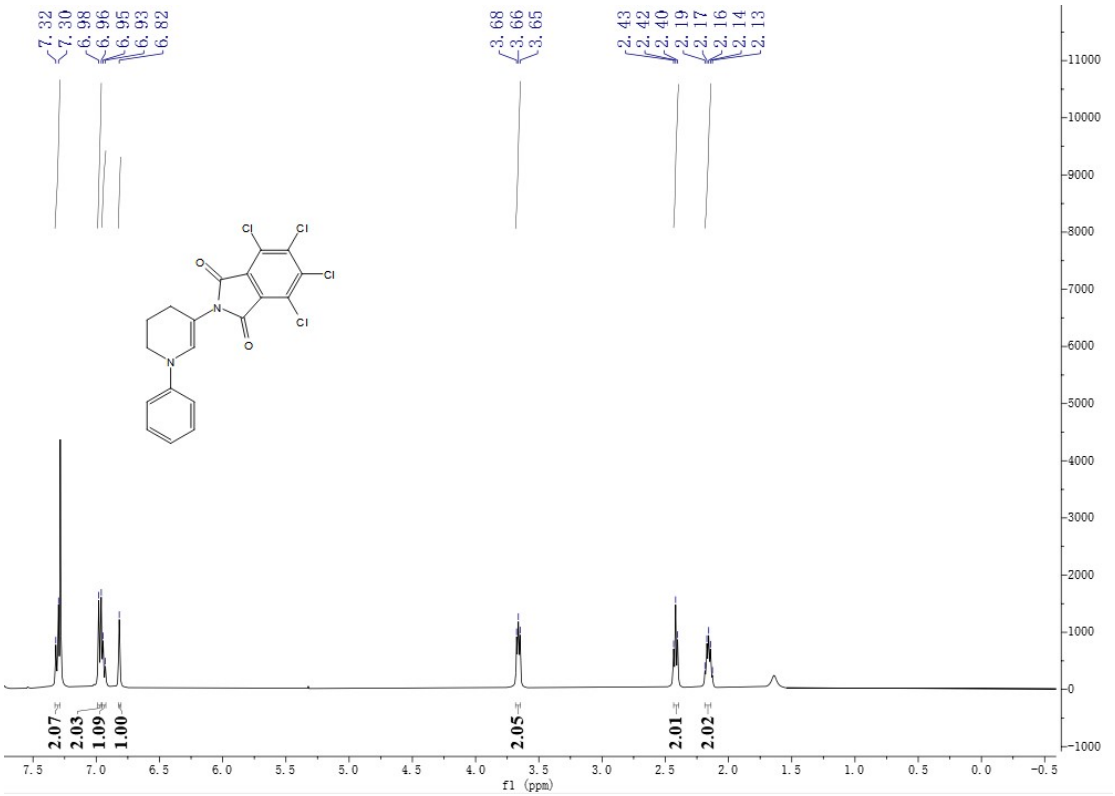


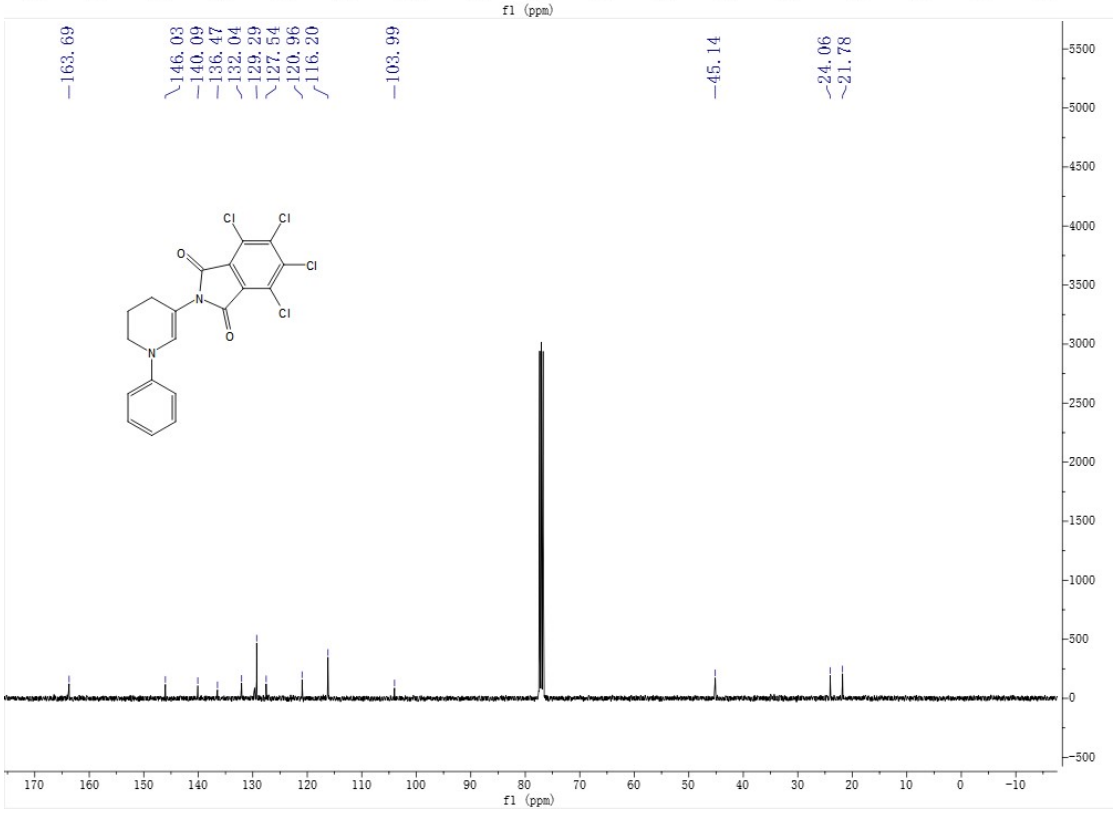


^{1}H and ^{13}C NMR spectra of 3bn

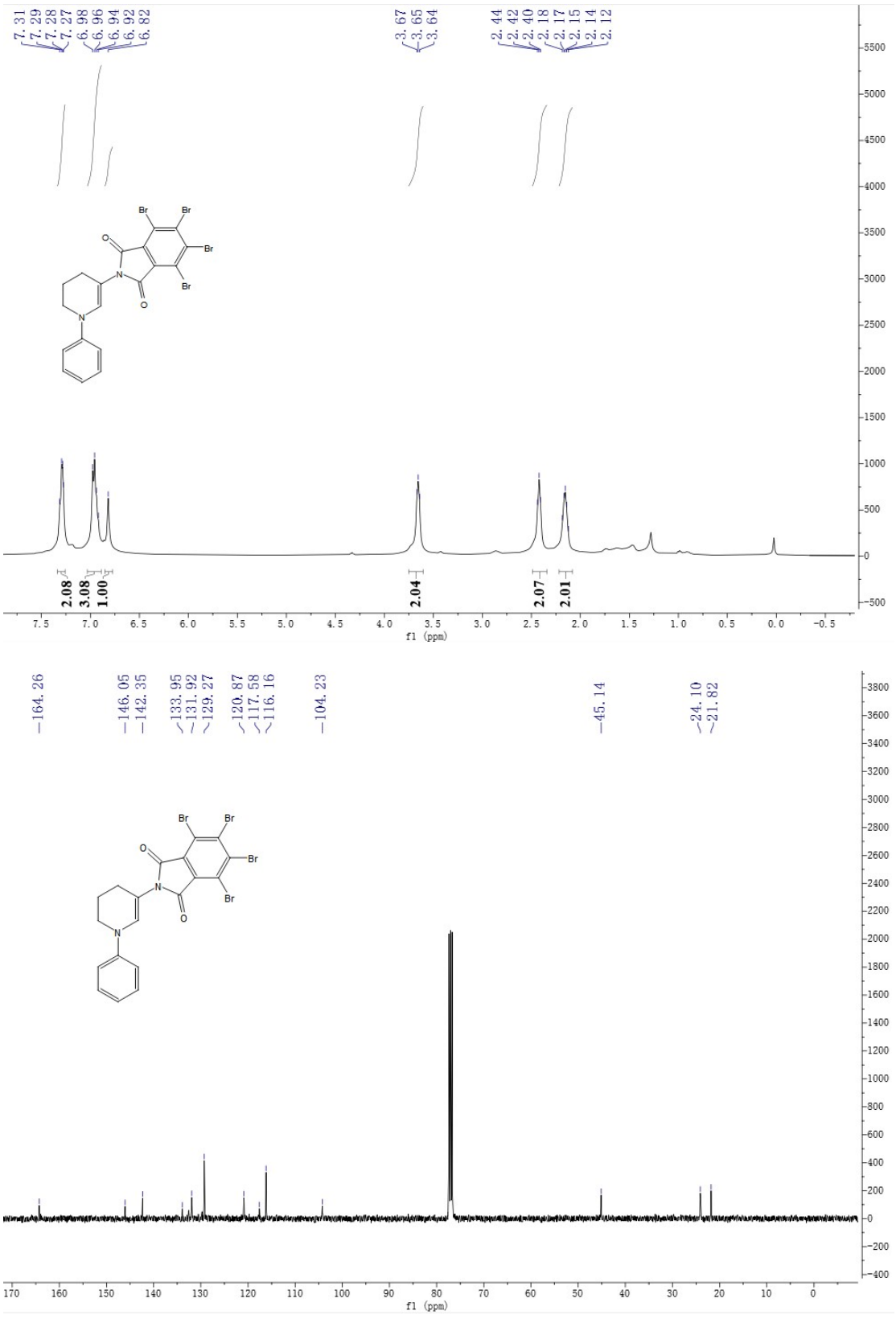


^{1}H and ^{13}C NMR spectra of 3bo

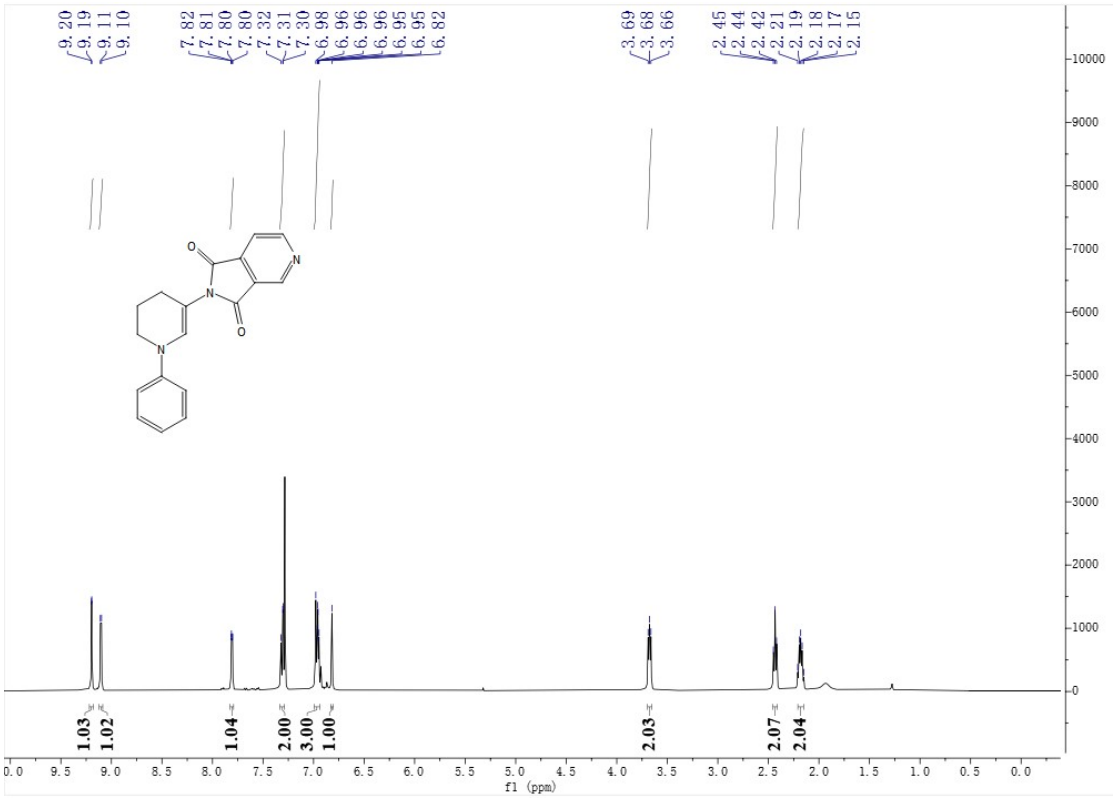


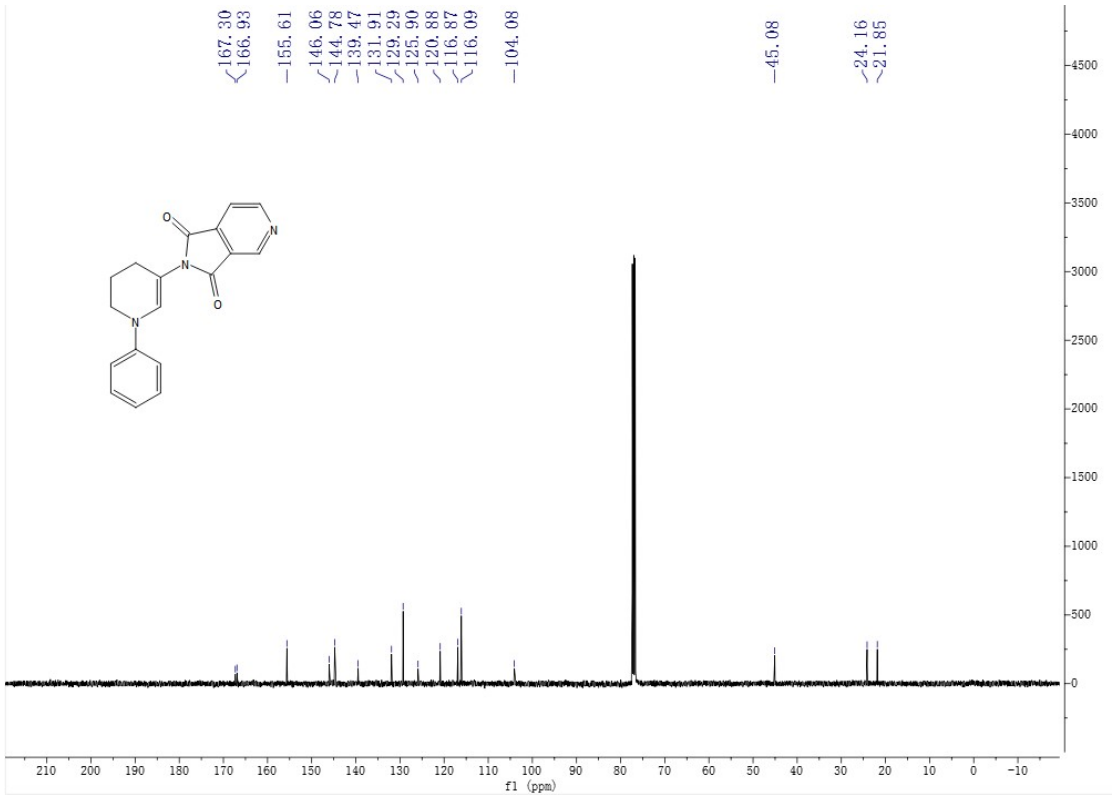


^{1}H and ^{13}C NMR spectra of 3bp

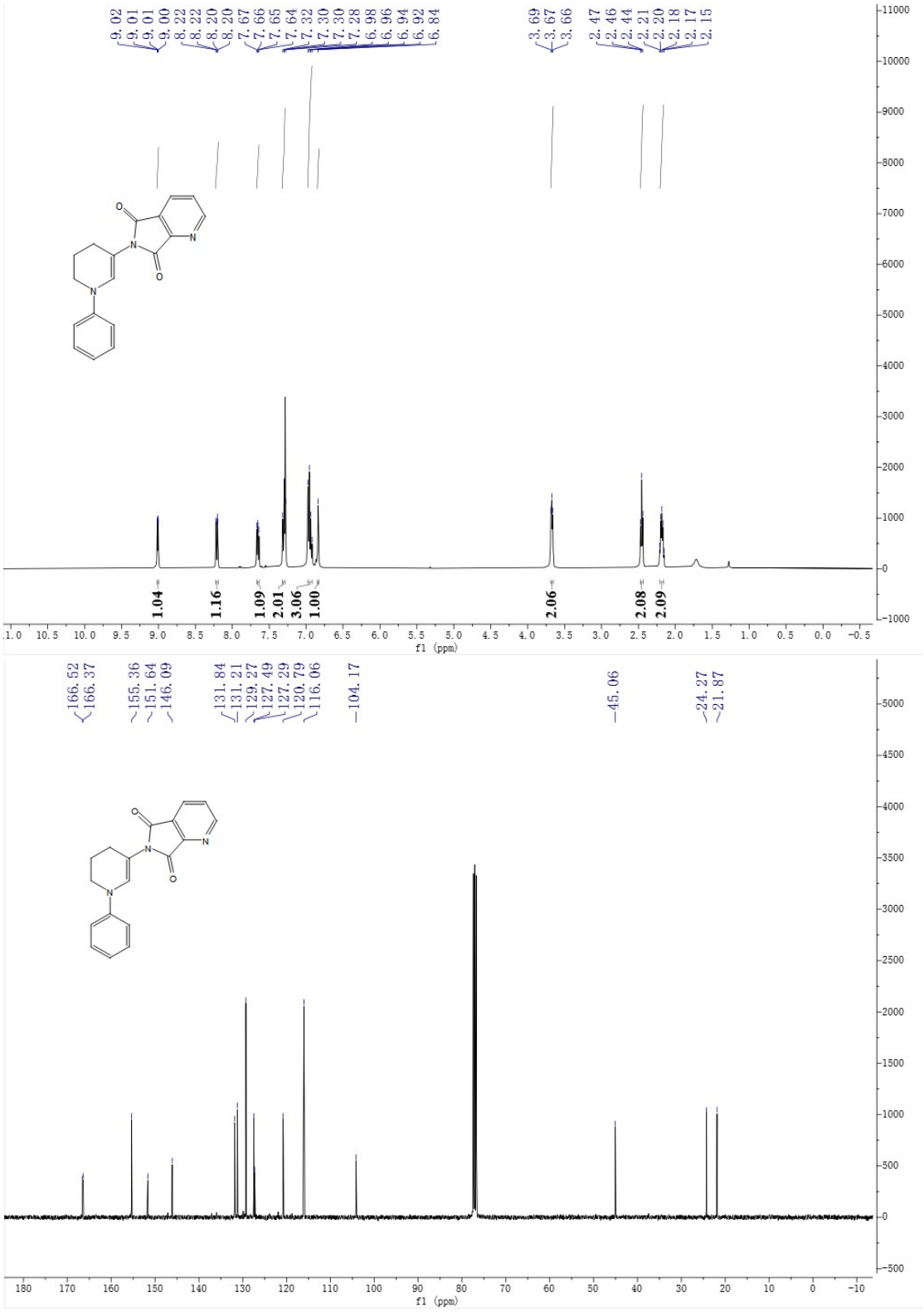


^{1}H and ^{13}C NMR spectra of 3bq

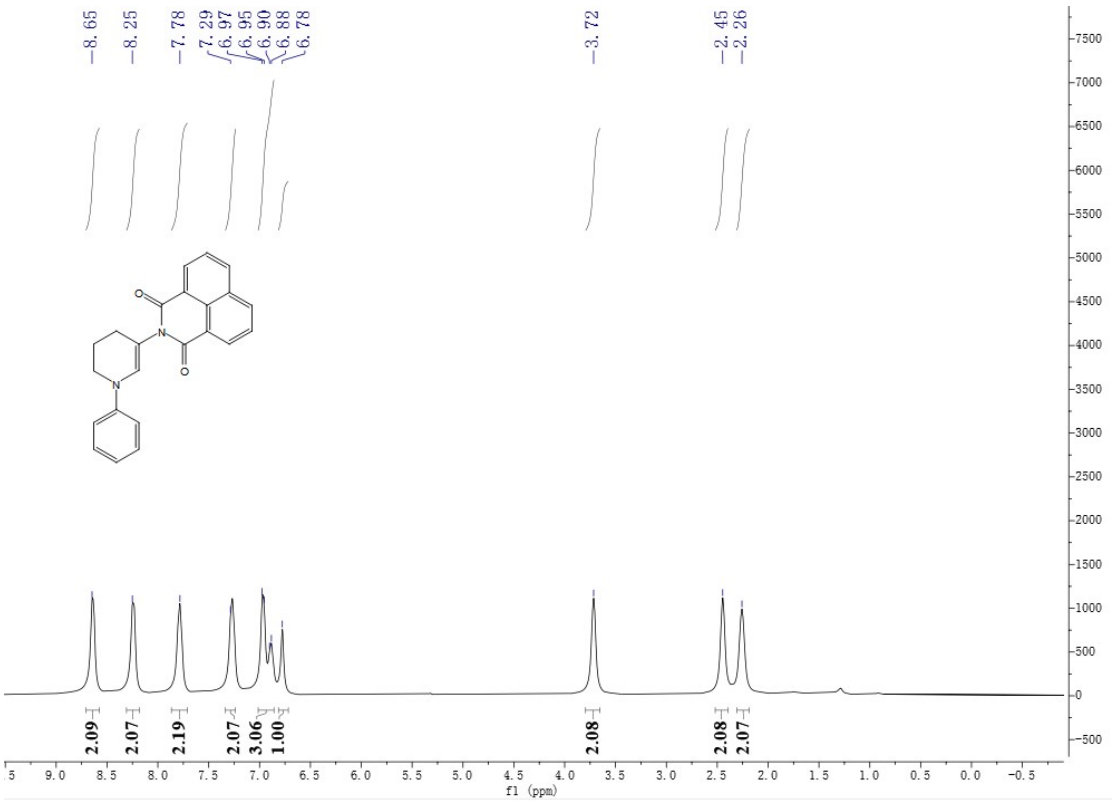


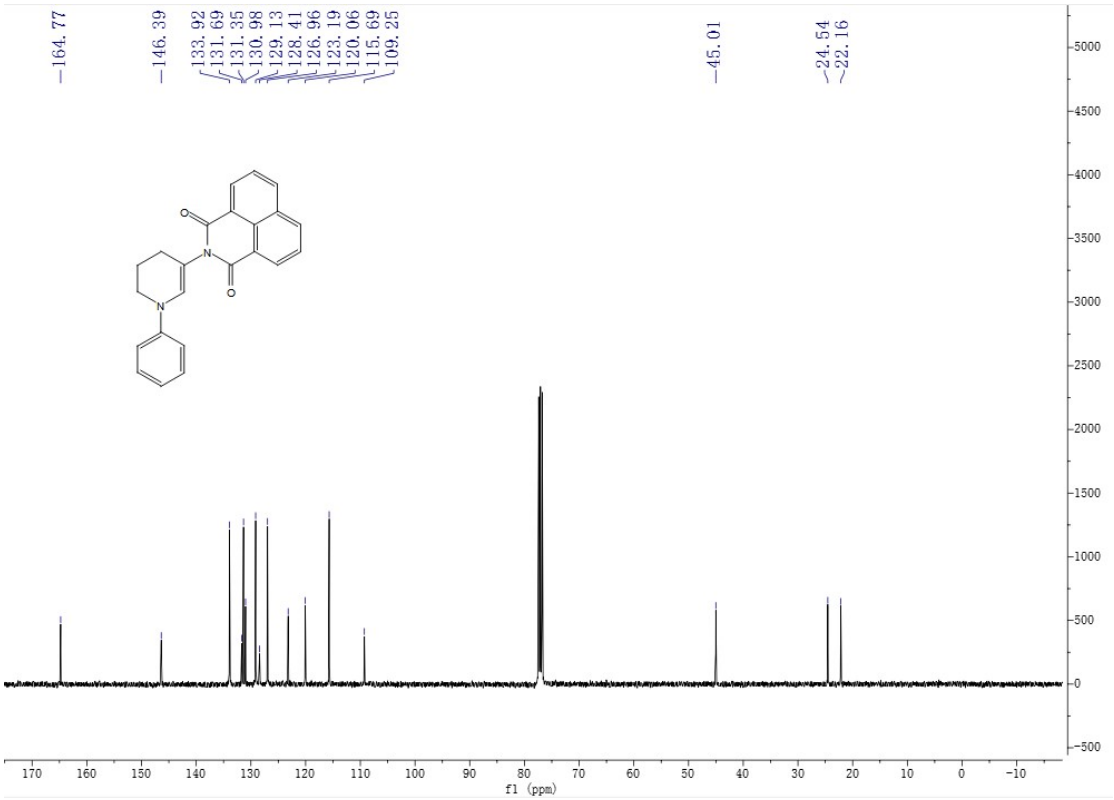


^{1}H and ^{13}C NMR spectra of 3br

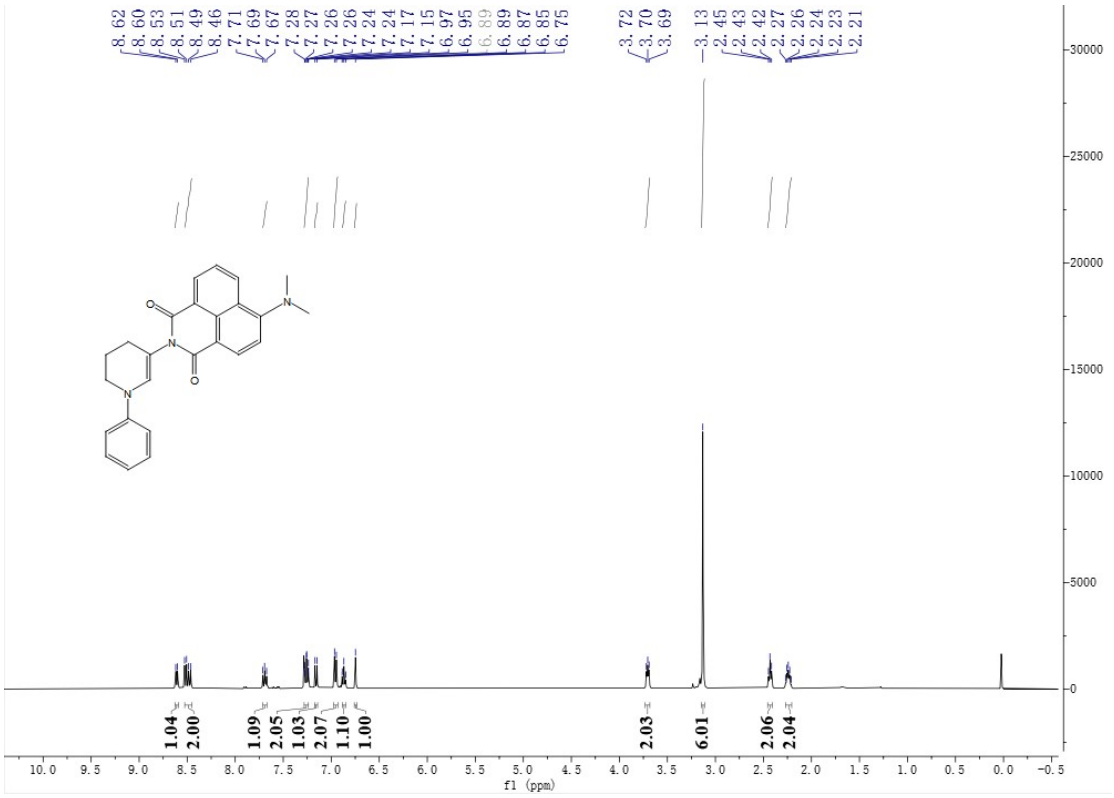


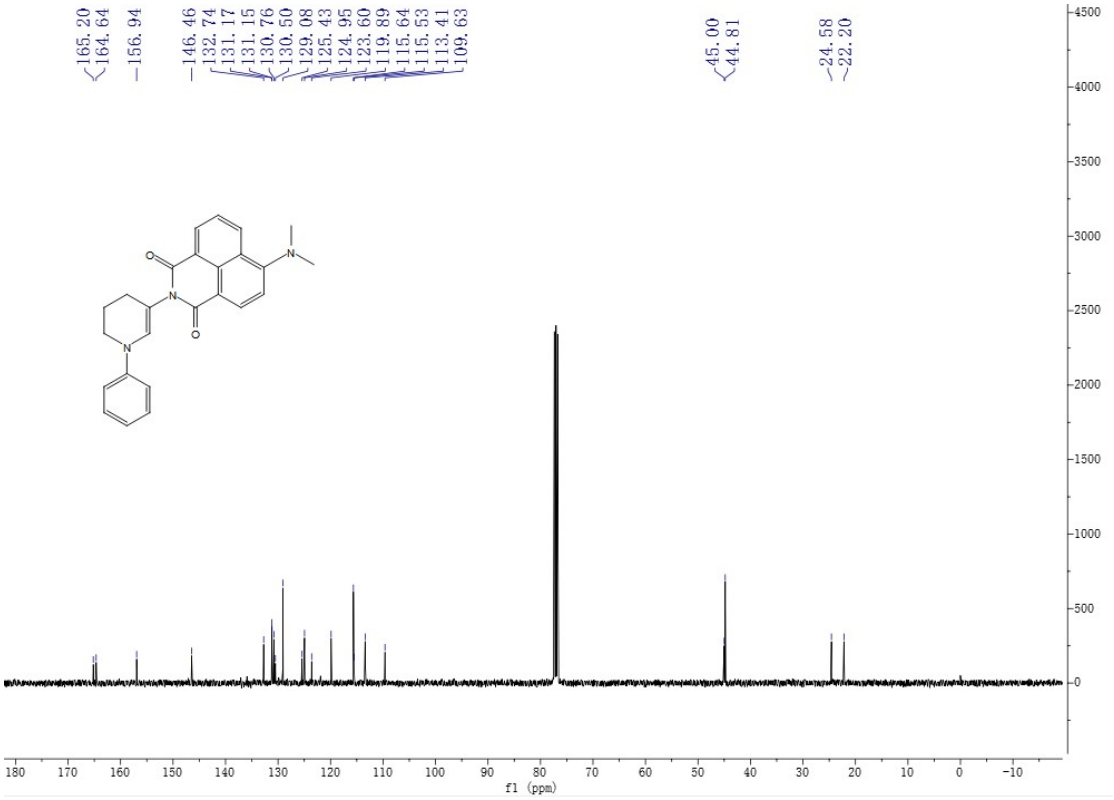
^{1}H and ^{13}C NMR spectra of 3bs





^{1}H and ^{13}C NMR spectra of 3bt


8.62
8.60
8.53
8.51
8.49
8.46
7.71
7.69
7.67
7.28
7.27
7.26
7.26
7.24
7.24
7.17
7.15
6.97
6.95
6.89
6.87
6.85
6.75
3.72
3.70
3.69
3.13
2.45
2.43
2.42
2.27
2.26
2.24
2.23
2.21
1.04
2.00
1.09
2.05
1.03
2.07
1.10
1.00
2.03
6.01
2.06
2.04
f1 (ppm)


165.20
164.64
156.94
146.46
132.74
131.17
131.15
130.76
130.50
129.08
125.43
124.95
123.60
119.89
115.64
115.53
113.41
109.63
45.00
44.81
24.58
22.20
f1 (ppm)