Supporting Information

Visible Light-Mediated Radical-Cascade Addition/Cyclization of Arylacrylamides with Aldehydes to Form Quaternary Oxindoles at Room Temperature

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1. General information

The reactions via general procedure were carried out under an atmosphere of air unless otherwise noted. Column chromatography was performed using silica gel (200-300 mesh) or thin layer chromatography was performed using silica gel (GF254). ^{1}H, ^{13}C and ^{19}F NMR spectra were recorded on Bruker-AV (400, 100 and 376 MHz, respectively) instrument internally referenced to tetramethylsilane (TMS) or chloroform signals. Mass spectra were measured on Agilent 5977 GC-MS instrument (EI). High-resolution mass spectra (ESI) were obtained with the Agilent 1260 Ⅱ/6230 mass spectrometer. Melting points were measured with a YUHUA X-5 melting point instrument and were uncorrected. The structures of known compounds were further corroborated by comparing their ^{1}H NMR, ^{13}C NMR data and HRMS data with those in literature. *N*-arylacrylamides were prepared according to known methods. [1,2] All other reagents were obtained from commercial suppliers and used without further purification.

2. General procedure for synthesis of 3a

Reaction device diagram: A commercially available blue LED (35W, HIPAR30) was purchased from Shenzhen Jing Feng Times Lighting Technology Co., Ltd as the reaction light source. And flowing water was used to cool the temperature of reaction setup (Figure S1).

Figure S1. Temperature controlled reaction setup (35 W blue LED)

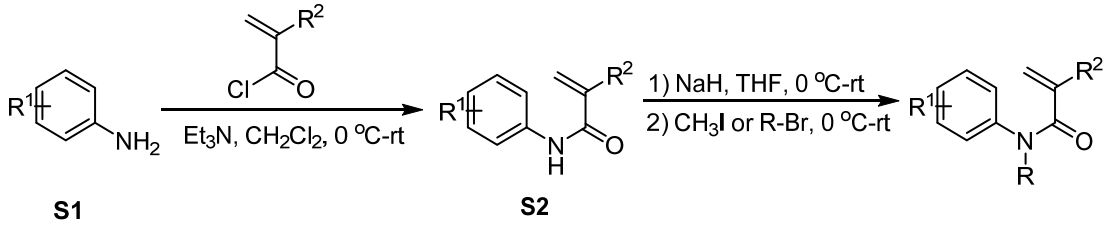
A 10 mL reaction vessel was charged with NaBr (10.2 mg, 0.1 mmol), 4CzIPN (1.6 mg, 0.002 mmol), *N*-methyl-*N*-phenylmethacrylamide (35.0 mg, 0.2 mmol), H_2O (5.0 equiv), TBHP (19 μL, 1.0 equiv) and Acetone (2.0 mL). Then, pivalaldehyde (43 μL, 0.4 mmol, 2.0 equiv) was added by syringe under air. The resulting mixture was stirred for 24 h under irradiation with a 35 W blue LEDs at ambient temperature. After the reaction was completed, the crude reaction mixture was quenched with saturated sodium carbonate and extracted with ethyl acetate (3×10 mL). The extracts were combined, dried over sodium sulfate, and filtered, and the volatiles were removed under reduced pressure. Column chromatography was performed using silica gel (200-300 mesh) (petroleum ether/ethyl acetate = 10:1) to give product **3a** as a white solid (30.8 mg, 71%), mp: 91 – 93 °C.

3. Procedures for the preparation of acrylamides

3.1 General procedure for preparation of substrates 1a-1o, 1s-1v [1]:

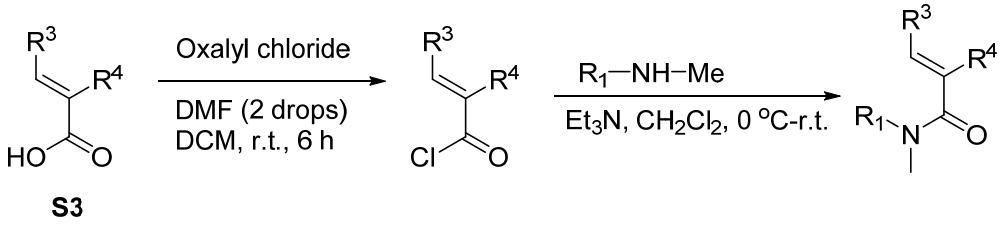
(a) To a solution of aniline **S1** (8.0 mmol) in CH_2Cl_2 (20 mL) was added Et_3N (2.2 mL, 16.0 mmol) at 0 ℃. Methacryloyl chloride (0.93 mL, 9.6 mmol) was added by dropwise and the resulting solution was stirred at rt for 2 h. Then the reaction mixture was poured into water and the aqueous layer was extracted with CH_2Cl_2 (3×15 mL). The combined organic layers were dried over Na_2SO_4, filtered and concentrated under reduced pressure. The crude product was purified by column chromatography (SiO_2; gradient eluent: petroleum ether to 10% EtOAc in petroleum ether) to provide amide **S2** as white solid.

(b) To a solution of amide **S2** (6.5 mmol) in THF (20 mL) was added in portions NaH (7.8 mmol) at 0 ℃. After stirring for 15 min at the same temperature, MeI or R-Br (7.8 mmol) was added. The mixture was warmed to rt and stirred for 5 h. Then the reaction was quenched by H_2O (20 mL), and the aqueous layer was extracted with EtOAc (3×20 mL). The combined organic layers were dried over Na_2SO_4, filtered and concentrated under reduced pressure. The crude product was purified by column chromatography (SiO_2; gradient eluent: petroleum ether to 5% EtOAc in petroleum ether) to provide amide product.



3.2 Preparation of substrates 1p-1r [2]:

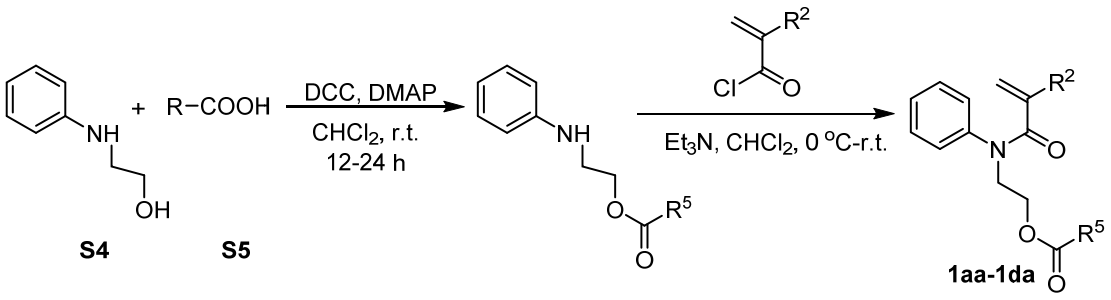
(c) To a 50 mL round-bottom flask equipped with a magnetic stir-bar was added solution of acrylic acid **S3** (1.5 g, 1.0 equiv) in dichloromethane (CH_2Cl_2, 20.0 mL), followed by dropwise addition of oxalyl chloride (2.0 equiv) and 2 drops of DMF under nitrogen atmosphere. The mixture was stirred at room temperature for 6 hours before removing all volatiles under reduced pressure. The crude product was used for the step (a) without purification.



S3

3.3 Preparation of substrates 1aa, 1ba, 1ca and 1da [1f]:

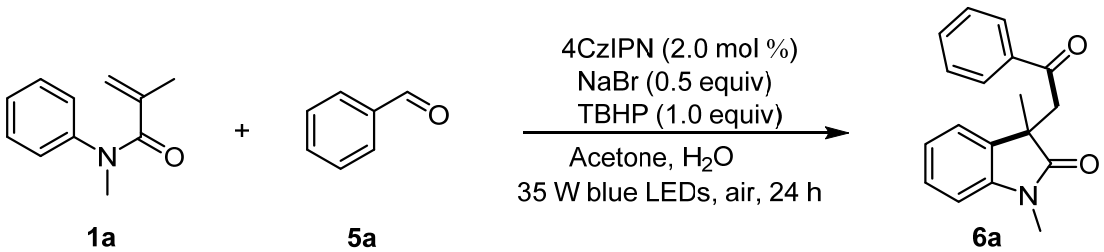
(d) To a solution of 2-anilinoethanol **S4** (0.137 g, 1.0 mmol), acid **S5** (1.0 equiv), DCC (0.412 g, 2.0 equiv), DMAP (32 mg, 0.5 equiv) was added in CH_2Cl_2 (5.0 mL) at rt for 12-24 h. Then the reaction mixture was poured into water and the aqueous layer was extracted with CH_2Cl_2 (3×15 mL). The combined organic layers were dried over Na_2SO_4, filtered and concentrated under reduced pressure. The crude product was purified by flash column chromatography (SiO_2; gradient eluent: petroleum ether to 20% EtOAc in petroleum ether). The crude product was used for the step (a) to afford product **1aa**, **1ba, 1ca and 1da**.



S4 **S5** **1aa-1da**

4. Synthetic Application

4.1. Procedures for the scalable reaction

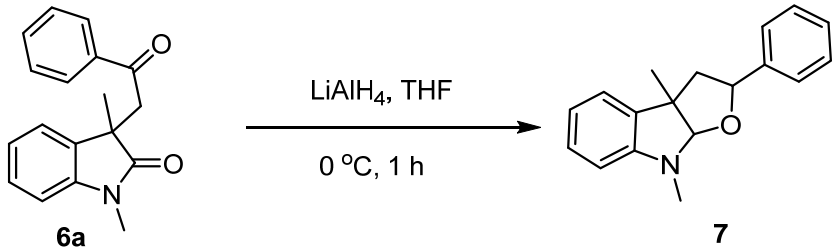


1a **5a** **6a**

A 25 mL reaction vessel was charged with NaBr (102 mg, 1 mmol), 4CzIPN (16 mg, 0.02 mmol), *N*-methyl-*N*-phenylmethacrylamide (350 mg, 2 mmol), H_2O (50 equiv), TBHP (190 μL, 10 equiv) and Acetone (10.0 mL). Then, benzaldehyde (410 μL, 4 mmol, 2.0 equiv) was added by syringe

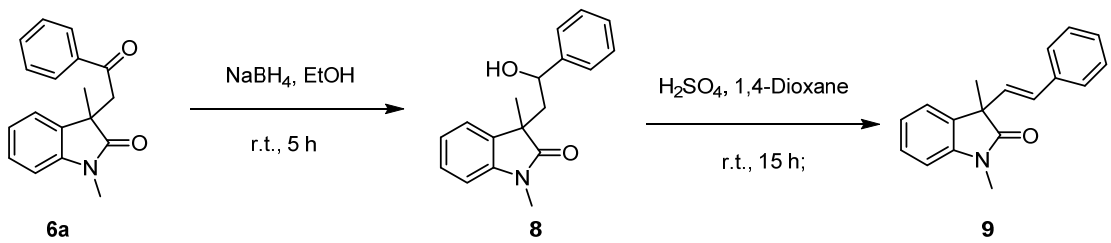
under air. The resulting mixture was stirred for 24 h under irradiation with a 35 W blue LEDs at ambient temperature. After the reaction was completed, the crude reaction mixture was quenched with saturated sodium carbonate and extracted with ethyl acetate (3×15 mL). The extracts were combined, dried over sodium sulfate, and filtered, and the volatiles were removed under reduced pressure. Column chromatography was performed using silica gel (200-300 mesh) (petroleum ether/ethyl acetate = 5:1) to give product **6a** as a colorless liquid. (295 mg, 53%).

4.2. Reductive cyclization of 6a



To a stirred solution of **6a** (55.8 mg, 0.2 mmol) in 2 mL of THF (dry) was added $LiAlH_4$ (15.2 mg, 2.0 eq) at 0 °C under Ar in one portion. The resulting mixture was stirred at 0 °C for 1 h. Then the reaction was quenched by the addition of 2 mL of H_2O, and the resulting mixture was stirred at room temperature until the generation of gas ceased. The reaction mixture was filtered with EtOAc. Then the filtrate was extracted with ethyl acetate (5.0 mL × 3), the combined organic layer was dried over anhydrous Mg_2SO_4. The residue was purified by flash column chromatography on silica gel with ethyl acetate/petroleum ether (1:100) to afford the product **7** (36.6 mg, 69%), dr (2:1).

4.3. Reductive of 6a to alcohol and dehydration to alkene



To a stirred solution of **6a** (55.8 mg, 0.2 mmol) in 2 mL of EtOH was added $NaBH_4$ (8.4 mg, 1.1 eq) under Ar. The resulting mixture was stirred at room temperature for 5 h. After the reaction was

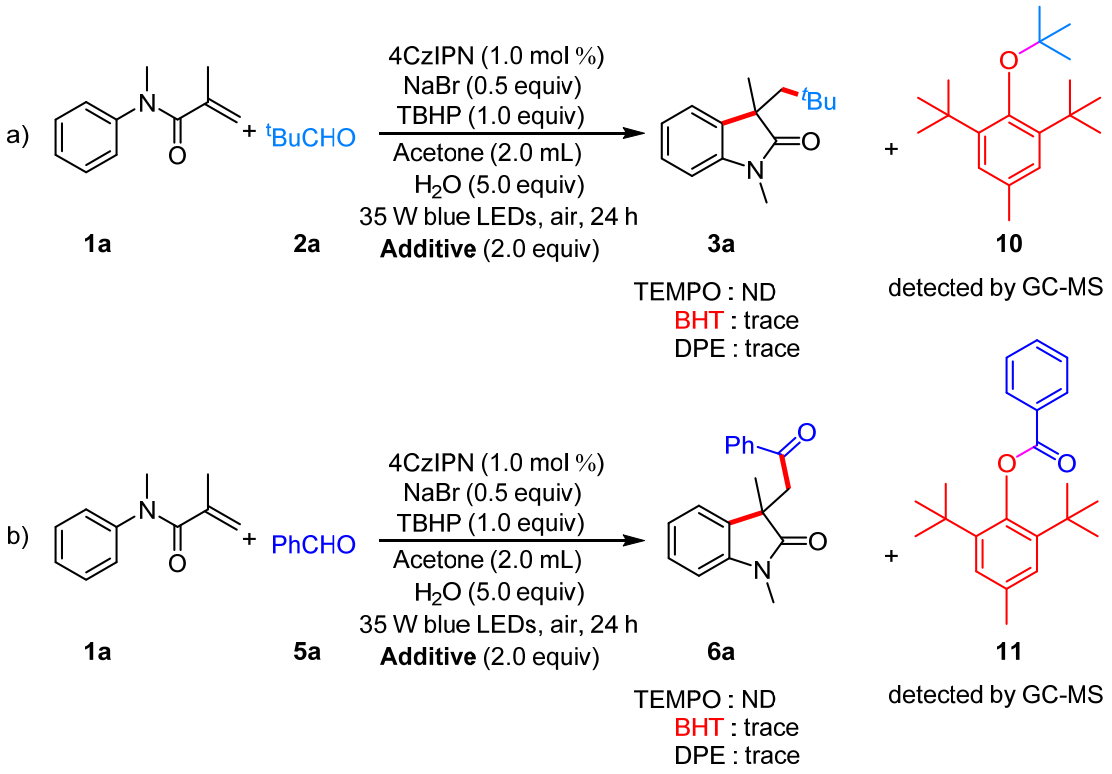
complete, the crude reaction mixture was filtered with ethyl acetate (3 x 10 mL). The residue was purified by flash column chromatography on silica gel with ethyl acetate/petroleum ether (1:5) to afford the product **8** (51.7 mg, 92%), dr (2:1).

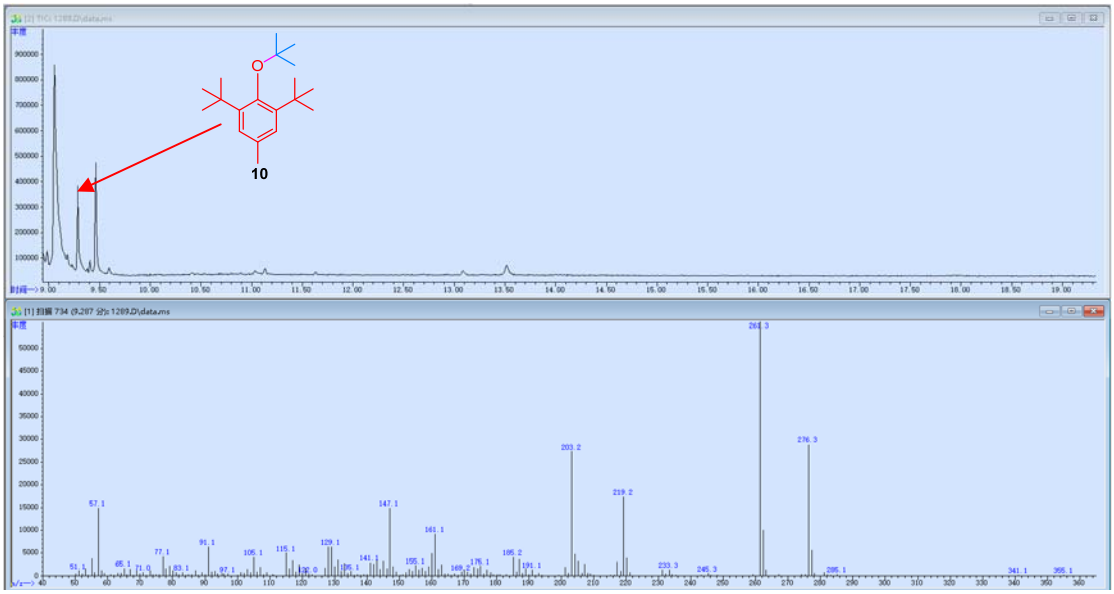
To a stirred solution of **8** (56.2 mg, 0.2 mmol) in 2 mL of 1,4-dioxane, then added 2-3 drops of sulfuric acid dropwise (H_2SO_4) The resulting mixture was stirred at room temperature for 15 h under air. After the reaction was complete, the crude reaction mixture was filtered with ethyl acetate (3 x 10 mL). The residue was purified by column chromatography on silica gel with ethyl acetate/petroleum ether (1:20) to afford the product **9** (45.0mg, 86%).

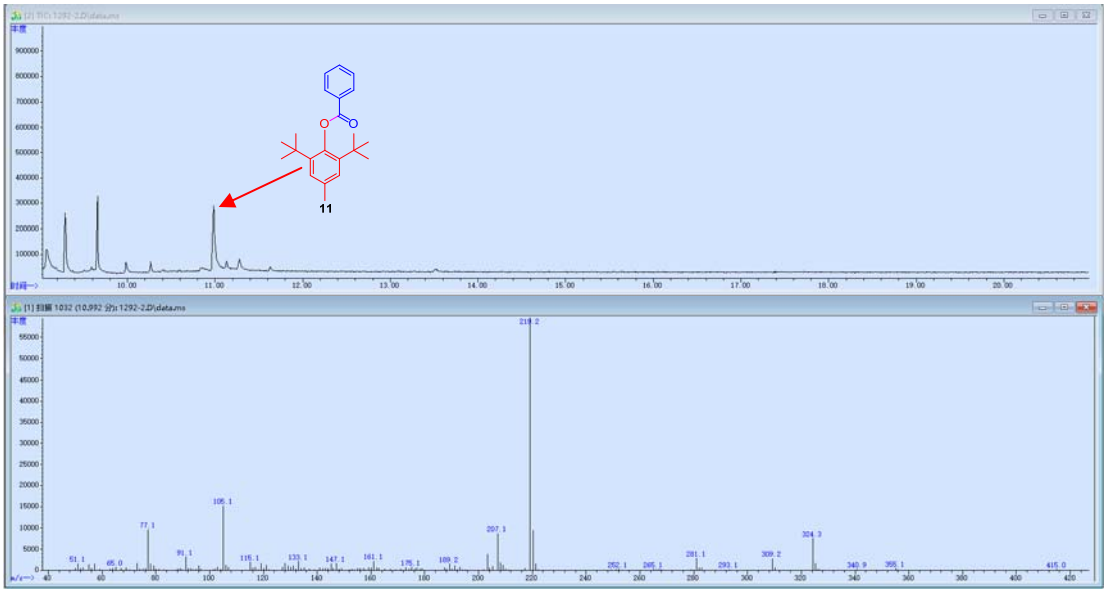
5. Mechanistic studies

5.1. Radical trapping experiments

The following reaction was carried out under standard conditions: A 10 mL reaction vessel was charged with NaBr (10.2 mg, 0.1 mmol), 4CzIPN (1.6 mg, 0.002 mmol), **1a** (35.0 mg , 0.2 mmol), 2,2,6,6-tetramethyl-1-piperidinyloxy (TEMPO) (62.8 mg, 0.4 mmol, 2.0 equiv), or butylated hydroxytoluene (BHT) (88.0 mg, 0.4 mmol, 2.0 equiv), or 1,1-diphenylethylene (DPE) (71.0 μL, 0.4 mmol, 2.0 equiv), H_2O (5.0 equiv), TBHP (19 μL, 1.0 equiv) and Acetone (2.0 mL), Then, **2a** (43 μL, 0.4 mmol, 2.0 equiv) or **5a** (41 μL, 0.4 mmol, 2.0 equiv) was added by syringe under air. The resulting mixture was stirred for 24 h under irradiation with a 35 W blue LED at ambient temperature. After completion, the consequence was detected by GC-MS.


a)
tBuCHO
4CzIPN (1.0 mol %)
NaBr (0.5 equiv)
TBHP (1.0 equiv)
Acetone (2.0 mL)
H2O (5.0 equiv)
35 W blue LEDs, air, 24 h
Additive (2.0 equiv)
1a
2a
3a
10
TEMPO : ND
BHT : trace
DPE : trace
detected by GC-MS
b)
PhCHO
4CzIPN (1.0 mol %)
NaBr (0.5 equiv)
TBHP (1.0 equiv)
Acetone (2.0 mL)
H2O (5.0 equiv)
35 W blue LEDs, air, 24 h
Additive (2.0 equiv)
1a
5a
6a
11
TEMPO : ND
BHT : trace
DPE : trace
detected by GC-MS


10

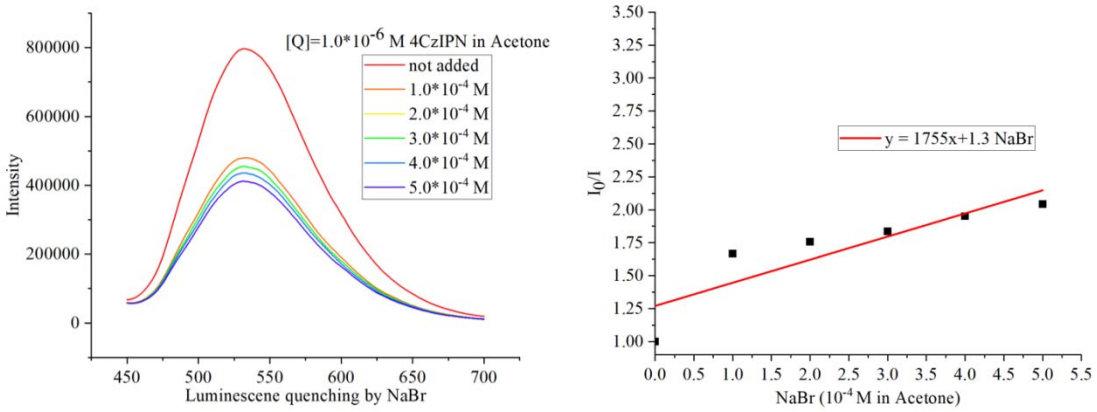


5.2. Stern–Volmer Quenching

Formulation solution: *N*-methyl-*N*-phenylmethacrylamide (175.0 mg) was dissolved in acetone in a 10 mL volumetric flask to set the concentration to be 0.1 M. Pivaldehyde (108 μL) was dissolved in acetone in a 10 mL volumetric flask to set the concentration to be 0.1 M. NaBr (102.8 mg) was dissolved in acetone in a 10 mL volumetric flask to set the concentration to be 0.1 M. TBHP (96.0 μL) was dissolved in acetone in a 10 mL volumetric flask to set the concentration to be 0.1 M. Benzaldehyde (106.0 mg) was dissolved in acetone in a 10 mL volumetric flask to set the concentration to be 0.1 M. Photocatalyst 4CzIPN (2.0 mg) was dissolved in acetone (25.0 mL) to set the concentration to be 0.1 mM.

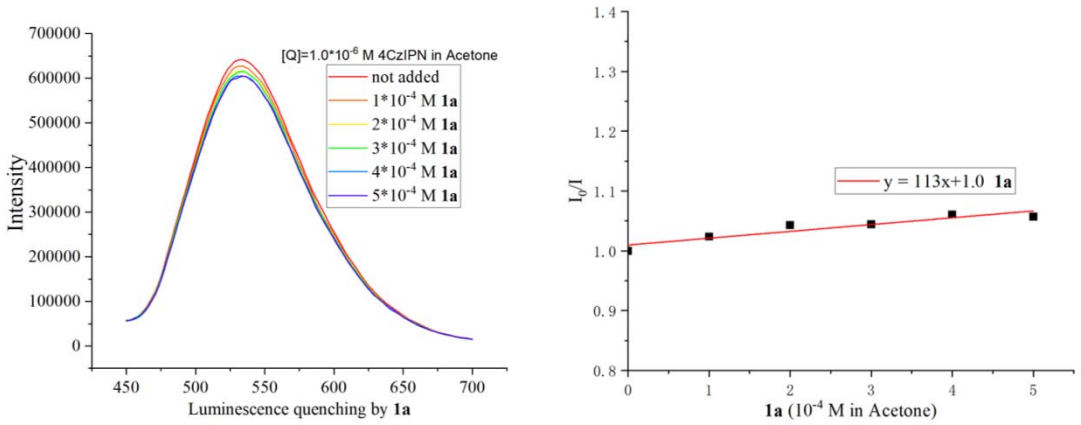
Experimental procedure: The resulting 0.1 mM solution (20 μL) was added to cuvette to obtain different concentrations of catalyst solution. This solution was then diluted to a volume of 2.0 mL by adding further solvent (acetone) to prepare a 1.0 μM solution. The resulting mixture was sparged with argon for 3 minutes and then irradiated at 425 nm. Fluorescence emission spectra were recorded (3 trials per sample). Into this solution, 2.0 μL of a *N*-methyl-*N*-phenylmethacrylamide solution was successively added and uniformly stirred, and the resulting mixture was bubbled with argon for 3 minutes and irradiated at 425 nm. Fluorescence emission spectra of 0 μL, 2.0 μL, 4.0 μL, 6.0 μL, 8.0 μL, 10 μL fluorescence intensity. Follow this method and make changes to the amount to obtain the Stern–Volmer relationship in turn.

(a) 4CzIPN quenched by NaBr in acetone.

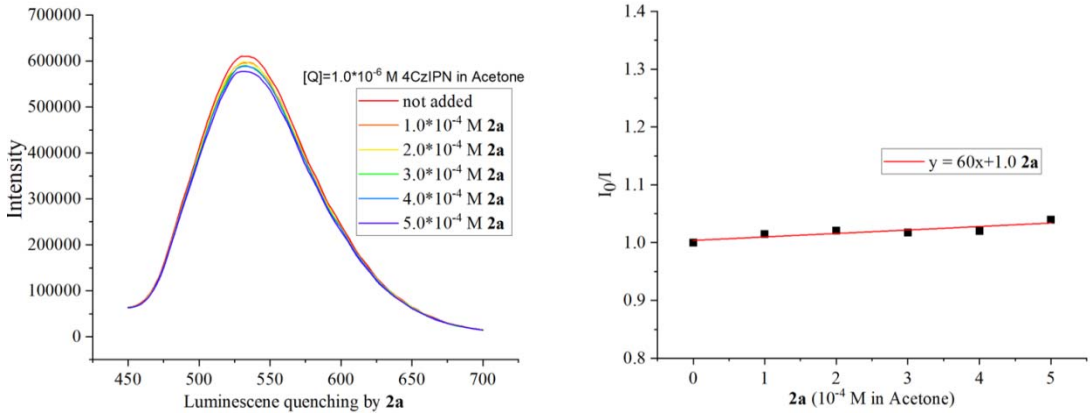


The emission intensity of the 4CzIPN catalyst solution strongly affected by the gradual increase of the amount of NaBr.

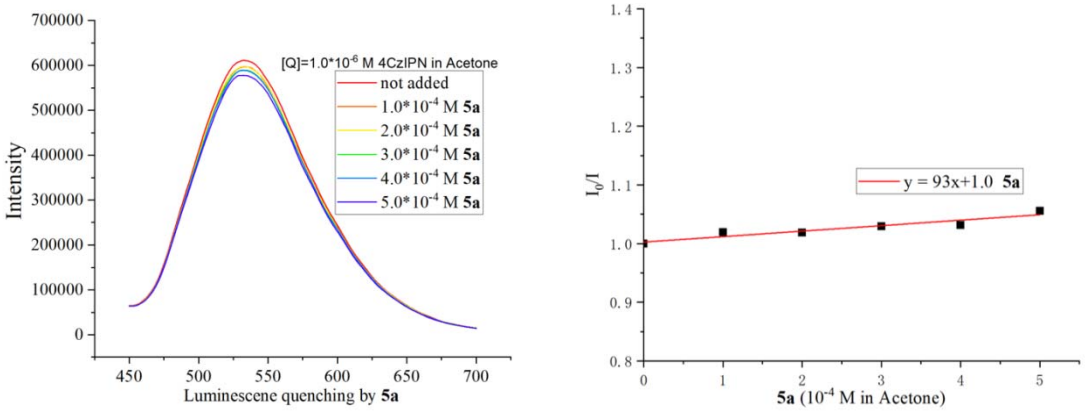
(b) 4CzIPN quenched by **1a** in acetone. Linear quenching is not observed.



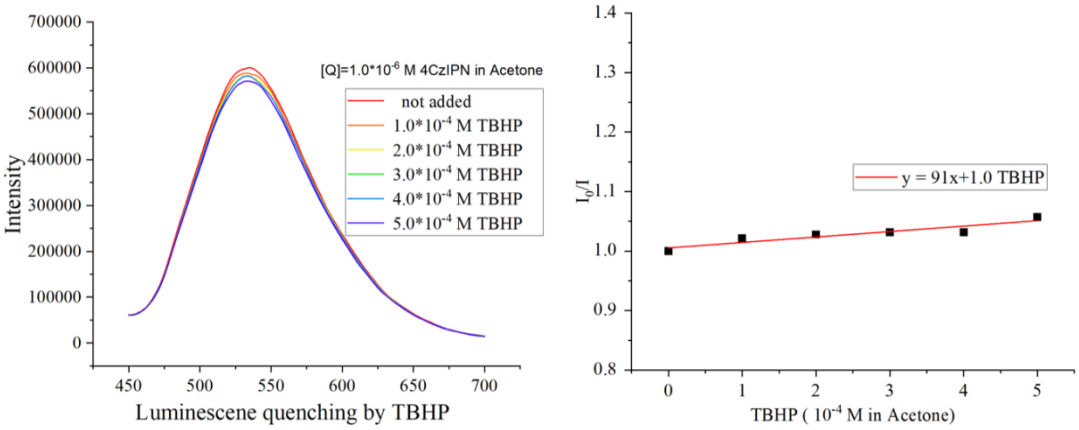
(c) 4CzIPN quenched by **2a** in acetone. Linear quenching is not observed.



(d) 4CzIPN quenched by **5a** in acetone. Linear quenching is not observed.

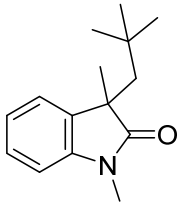


(e) 4CzIPN quenched by TBHP in acetone. Linear quenching is not observed.



6. Characterization of products

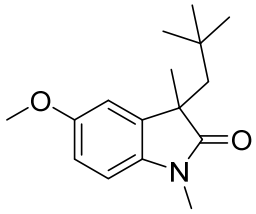
1,3-Dimethyl-3-neopentylindolin-2-one (3a) [3]



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3a** (30.8 mg, 71%) as a white solid, mp: 91 – 93 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.29 – 7.24 (m, 1H), 7.20 (d, *J* = 7.2 Hz, 1H), 7.03 (t, *J* = 7.5 Hz, 1H), 6.85 (d, *J* = 7.8 Hz, 1H), 3.22 (s, 3H), 2.15 (d, *J* = 14.4 Hz, 1H), 1.86 (d, *J* = 14.4 Hz, 1H), 1.29 (s, 3H), 0.60 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.0, 142.8, 134.2, 127.5, 123.8, 122.0, 108.0, 50.7, 47.4, 31.8, 30.8, 28.2, 26.2. HRMS (ESI) m/z calcd for $C_{15}H_{22}NO^+$ $(M+H)^+$ 232.1696, found 232.1702.

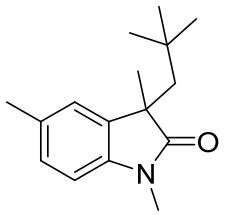
5-Methoxy-1,3-dimethyl-3-neopentylindolin-2-one (3b)[3]



The reaction was conducted with *N*-(4-methoxyphenyl)-*N*-methylmethacrylamide (**1b**, 41.0 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3b** (32.3 mg, 62%) as a white solid, mp: 111 – 113 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 6.83 (d, *J* = 2.2 Hz, 1H), 6.79 (d, *J* = 2.4 Hz, 1H), 6.77 (s, 1H), 3.80 (s, 3H), 3.20 (s, 3H), 2.15 (d, *J* = 14.4 Hz, 1H), 1.83 (d, *J* = 14.4 Hz, 1H), 1.29 (s, 3H), 0.63 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 180.7, 155.6, 136.4, 135.6, 111.6, 111.5, 108.2, 55.8, 50.7, 47.8, 31.7, 30.8, 28.3, 26.3.

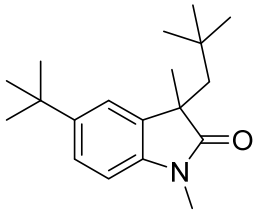
1,3,5-Trimethyl-3-neopentylindolin-2-one (3c)[3]



The reaction was conducted with *N*-methyl-*N*-(p-tolyl)methacrylamide (**1c**, 37.8 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3c** (33.3 mg, 68%) as a white solid, mp: 117 – 120 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.04 (t, *J* = 9.0 Hz, 2H), 6.74 (d, *J* = 7.8 Hz, 1H), 3.20 (s, 3H), 2.34 (s, 3H), 2.14 (d, *J* = 14.3 Hz, 1H), 1.84 (d, *J* = 14.3 Hz, 1H), 1.28 (s, 3H), 0.61 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.0, 140.4, 134.2, 131.4, 127.7, 124.4, 107.7, 50.7, 47.4, 31.7, 30.8, 28.2, 26.2, 21.1.

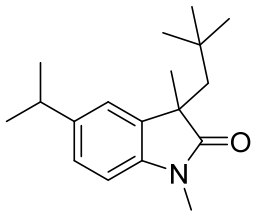
5-(*tert*-Butyl)-1,3-dimethyl-3-neopentylindolin-2-one (3d)[3]



The reaction was conducted with *N*-(4-(*tert*-butyl)phenyl)-*N*-methylmethacrylamide (**1d**, 46.2 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3d** (40.1 mg, 50%) as a white solid, mp: 106 – 108 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.29 – 7.24 (m, 2H), 6.78 (d, *J* = 8.1 Hz, 1H), 3.21 (s, 3H), 2.16 (d, *J* = 14.4 Hz, 1H), 1.86 (d, *J* = 14.4 Hz, 1H), 1.32 (s, 9H), 0.60 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.2, 145.0, 140.4, 133.6, 123.7, 121.4, 107.2, 50.7, 47.5, 34.4, 31.7, 31.5, 30.7, 28.2, 26.2.

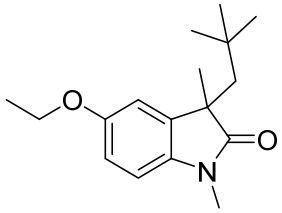
5-Isopropyl-1,3-dimethyl-3-neopentylindolin-2-one (3e)



The reaction was conducted with *N*-(4-isopropylphenyl)-*N*-methylmethacrylamide (**1e**, 43.4 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3e** (30.0 mg, 55%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.13 – 7.04 (m, 2H), 6.77 (d, *J* = 7.9 Hz, 1H), 3.20 (s, 3H), 2.89 (p, *J* = 6.9 Hz, 1H), 2.15 (d, *J* = 14.4 Hz, 1H), 1.85 (d, *J* = 14.4 Hz, 1H), 1.30 (s, 3H), 1.24 (dd, *J* = 6.9, 1.9 Hz, 6H), 0.60 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.2, 142.80, 140.8, 134.1, 125.2, 122.2, 107.6, 50.8, 47.5, 33.9, 31.7, 30.7, 28.2, 26.2, 24.5, 24.0. HRMS (ESI) m/z calcd for $C_{18}H_{27}NNaO^+$ $(M+Na)^+$ 296.1985, found 296.1990.

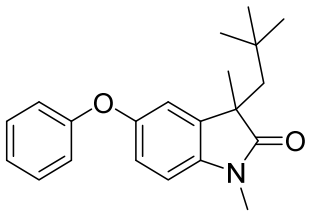
5-Ethoxy-1,3-dimethyl-3-neopentylindolin-2-one (3f)



The reaction was conducted with *N*-(4-ethoxyphenyl)-*N*-methylmethacrylamide (**1f**, 43.8 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3f** (32,4 mg, 59%) as a white solid. mp: 93 – 95 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 6.83 (d, *J* = 2.3 Hz, 1H), 6.78 (d, *J* = 2.4 Hz, 1H), 6.75 (s, 1H), 4.07 – 3.95 (m, 2H), 3.20 (s, 3H), 2.15 (d, *J* = 14.4 Hz, 1H), 1.82 (d, *J* = 14.4 Hz, 1H), 1.41 (t, *J* = 7.0 Hz, 3H), 1.28 (s, 3H), 0.63 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 180.7, 154.9, 136.4, 135.6, 112.6, 112.1, 108.2, 64.1, 50.7, 47.8, 31.8, 30.8, 28.3, 26.3, 14.9. HRMS (ESI) m/z calcd for $C_{17}H_{25}NNaO_2^+$ $(M+Na)^+$ 298.1778, found 298.1784.

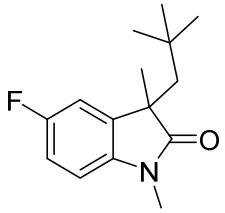
1,3-Dimethyl-3-neopentyl-5-phenoxyindolin-2-one (3g)



The reaction was conducted with *N*-methyl-*N*-(4-phenoxyphenyl)methacrylamide (**1g**, 53.4 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3g** (32.3 mg, 50%) as a white solid. mp: 95 – 97 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.31 (dd, *J* = 8.6, 7.4 Hz, 2H), 7.06 (t, *J* = 7.4 Hz, 1H), 6.99 – 6.91 (m, 4H), 6.82 (d, *J* = 8.3 Hz, 1H), 3.23 (s, 3H), 2.14 (d, *J* = 14.4 Hz, 1H), 1.79 (d, *J* = 14.4 Hz, 1H), 1.29 (s, 3H), 0.65 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 180.5, 158.2, 151.6, 138.6, 135.7, 129.3, 122.2, 118.7, 117.2, 116.3, 108., 50.4, 47.5, 31.5, 30.5, 27.9, 26.0. HRMS (ESI) m/z calcd for $C_{21}H_{25}NNaO_2^+$ $(M+Na)^+$ 346.1778, found 346.1791.

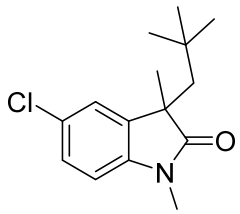
5-Fluoro-1,3-dimethyl-3-neopentylindolin-2-one (3h)[3]



The reaction was conducted with *N*-(4-fluorophenyl)-*N*-methylmethacrylamide (**1h**, 38.6 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3h** (28.8 mg, 58%) as a white solid mp: 120 – 122 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.04 – 6.88 (m, 2H), 6.77 (dd, *J* = 8.2, 4.1 Hz, 1H), 3.22 (s, 3H), 2.16 (d, *J* = 14.4 Hz, 1H), 1.83 (d, *J* = 14.4 Hz, 1H), 1.29 (s, 3H), 0.63 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 180.6, 160.3, 157.9, 138.8, 138.7, 136.1, 136.1, 113.8, 113.6, 112.0, 111.7, 108.4, 108.3, 50.8, 47.9, 31.8, 30.8, 28.2, 26.4.

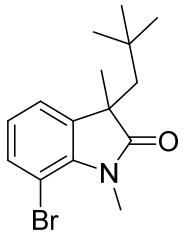
5-Chloro-1,3-dimethyl-3-neopentylindolin-2-one (3i)[3]



The reaction was conducted with *N*-(4-chlorophenyl)-*N*-methylmethacrylamide (**1i**, 41.8 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3i** (25.9 mg, 49%) as a white solid, mp: 131 – 133 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.24 (dd, *J* = 8.2, 2.1 Hz, 1H), 7.18 (d, *J* = 2.1 Hz, 1H), 6.78 (d, *J* = 8.2 Hz, 1H), 3.21 (s, 3H), 2.16 (d, *J* = 14.4 Hz, 1H), 1.83 (d, *J* = 14.5 Hz, 1H), 1.29 (s, 3H), 0.63 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 180.4, 141.4, 136.0, 127.5, 127.4, 124.2, 108.9, 50.7, 47.7, 31.8, 30.8, 28.2, 26.3.

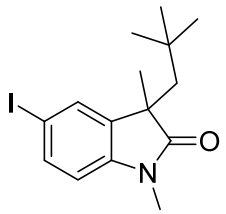
7-Bromo-1,3-dimethyl-3-neopentylindolin-2-one (3j)



The reaction was conducted with *N*-(2-bromophenyl)-*N*-methylmethacrylamide (**1j**, 50.8 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 65.0 μL, 0.6mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3j** (27.9 mg, 45%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.37 (dd, *J* = 8.1, 1.1 Hz, 1H), 7.12 (dd, *J* = 7.3, 1.1 Hz, 1H), 6.91 – 6.85 (m, 1H), 3.61 (s, 3H), 2.16 (d, *J* = 14.4 Hz, 1H), 1.83 (d, *J* = 14.4 Hz, 1H), 1.29 (s, 3H), 0.62 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.3, 140.1, 137.3, 133.2, 123.1, 122.9, 102.4, 51.0, 47.13, 31.8, 30.8, 29.8, 28.6. HRMS (ESI) m/z calcd for $C_{15}H_{20}BrNNaO^+$ $(M+Na)^+$ 332.0620, found 332.0629.

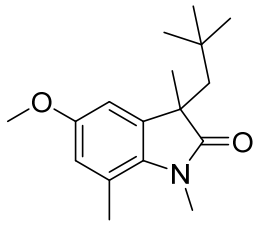
5-Iodo-1,3-dimethyl-3-neopentylindolin-2-one (3k)[3]



The reaction was conducted with *N*-(4-iodophenyl)-*N*-methylmethacrylamide (**1k**, 50.8 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3k** (35.7 mg, 50%) as a white solid, mp: 109 – 111 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.58 (dd, *J* = 8.1, 1.7 Hz, 1H), 7.48 (d, *J* = 1.7 Hz, 1H), 6.64 (d, *J* = 8.2 Hz, 1H), 3.20 (s, 3H), 2.14 (d, *J* = 14.4 Hz, 1H), 1.82 (d, *J* = 14.4 Hz, 1H), 1.28 (s, 3H), 0.62 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 180.1, 142.5, 136.8, 136.3, 132.6, 110.1, 84.5, 50.7, 47.4, 31.8, 30.8, 28.1, 26.2.

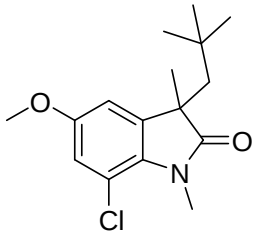
5-Methoxy-1,3,7-trimethyl-3-neopentylindolin-2-one (3l)



The reaction was conducted with *N*-(4-methoxy-2-methylphenyl)-*N*-methylmethacrylamide (**1l**, 43.8 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3l** (27.5 mg, 50%) as a white solid. mp: 113 – 115 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 6.63 (d, *J* = 2.6 Hz, 1H), 6.53 (d, *J* = 2.6 Hz, 1H), 3.78 (s, 3H), 3.47 (s, 3H), 2.57 (s, 3H), 2.13 (d, *J* = 14.4 Hz, 1H), 1.79 (d, *J* = 14.4 Hz, 1H), 1.26 (s, 3H), 0.63 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.5, 155.0, 136.3, 134.1, 120.4, 115.7, 108.5, 55.6, 50.9, 47.2, 31.8, 30.8, 29.5, 28.8, 19.1. HRMS (ESI) m/z calcd for $C_{17}H_{25}NNaO_2^+$ $(M+Na)^+$ 298.1778, found 298.1789.

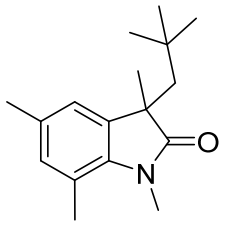
7-Chloro-5-methoxy-1,3-dimethyl-3-neopentylindolin-2-one (3m)



The reaction was conducted with *N*-(2-chloro-4-methoxyphenyl)-*N*-methylmethacrylamide (**1m**, 47.8 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3m** (31.5 mg, 53%) as a white solid. mp: 79 – 81 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 6.71 (s, 2H), 3.78 (s, 3H), 3.55 (s, 3H), 2.15 (d, *J* = 14.4 Hz, 1H), 1.80 (d, *J* = 14.4 Hz, 1H), 1.27 (s, 3H), 0.64 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 180.8, 155.3, 138.1, 132.3, 115.2, 113.5, 110.4, 55.8, 50.9, 47.6, 31.8, 30.8, 29.4, 28.6. HRMS (ESI) m/z calcd for $C_{16}H_{22}ClNNaO_2^+$ $(M+Na)^+$ 318.1231, found 318.1239.

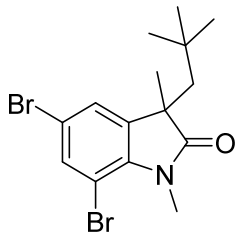
1,3,5,7-Tetramethyl-3-neopentylindolin-2-one (3n)



The reaction was conducted with *N*-(2,4-dimethylphenyl)-*N*-methylmethacrylamide (**1n**, 40.6 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3n** (23.8 mg, 46%) as a white solid. mp: 82 – 84 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 6.84 (s, 1H), δ 6.79 (s, 1H), 3.48 (s, 3H), 2.55 (s, 3H), 2.28 (s, 3H), 2.12 (d, *J* = 14.3 Hz, 1H), 1.80 (d, *J* = 14.3 Hz, 1H), 1.26 (s, 3H), 0.61 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.7, 138.2, 134.9, 131.6, 131.2, 122.5, 119.2, 50.9, 46.7, 31.8, 30.8, 29.5, 28.7, 20.8, 18.9. HRMS (ESI) m/z calcd for $C_{17}H_{25}NNaO^+$ $(M+Na)^+$ 282.1828, found 282.1831.

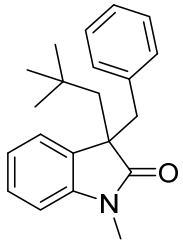
5,7-Dibromo-1,3-dimethyl-3-neopentylindolin-2-one (3o)



The reaction was conducted with *N*-(2,4-dibromophenyl)-*N*-methylmethacrylamide (**1o**, 66.6 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3o** (49.0 mg, 63%) as a white solid. mp: 123 – 125 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.32 (d, *J* = 1.6 Hz, 1H), 6.96 (d, *J* = 1.5 Hz, 1H), 3.20 (s, 3H), 2.42 (d, *J* = 14.4 Hz, 1H), 1.93 (d, *J* = 14.4 Hz, 1H), 1.43 (s, 3H), 0.67 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 180.2, 145.7, 131.2, 128.7, 121.6, 120.3, 110.6, 49.3, 47.7, 31.7, 30.1, 26.4, 24.1. HRMS (ESI) m/z calcd for $C_{15}H_{19}Br_2NNaO^+$ $(M+Na)^+$ 409.9726, found 409.9722.

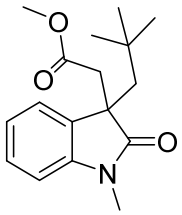
3-Benzyl-1-methyl-3-neopentylindolin-2-one (3p)[4]



The reaction was conducted with 2-benzyl-*N*-methyl-*N*-phenylacrylamide (**1p**, 50.2 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3p** (31.3 mg, 51%) as a white solid, mp: 133 – 135 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.24 – 7.19 (m, 1H), 7.16 (td, *J* = 7.7, 1.2 Hz, 1H), 7.06 – 6.94 (m, 4H), 6.75 – 6.66 (m, 2H), 6.53 (d, *J* = 7.7 Hz, 1H), 3.05 (d, *J* = 12.6 Hz, 1H), 2.93 (s, 1H), 2.89 (s, 3H), 2.30 (d, *J* = 14.3 Hz, 1H), 2.01 (d, *J* = 14.3 Hz, 1H), 0.66 (s, 9H)； ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 179.3, 143.4, 135.1, 131.0, 129.9, 127.6, 127.1, 126.3, 125.0, 121.4, 107.7, 53.6, 49.3, 47.5, 31.9, 31.1, 25.7.

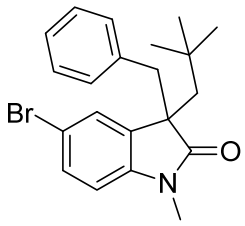
Methyl 2-(1-methyl-3-neopentyl-2-oxoindolin-3-yl)acetate (3q)[3]



The reaction was conducted with methyl 3-(methyl(phenyl)carbamoyl)but-3-enoate (**1q**, 46.6 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3q** (26.5 mg, 46%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.30 – 7.26 (m, 1H), 7.23 – 7.17 (m, 1H), 7.01 (td, *J* = 7.6, 0.9 Hz, 1H), 6.85 (d, *J* = 7.8 Hz, 1H), 3.39 (s, 3H), 3.25 (s, 3H), 2.92 (d, *J* = 15.8 Hz, 1H), 2.77 (d, *J* = 15.8 Hz, 1H), 2.07 (d, *J* = 14.2 Hz, 1H), 1.89 (d, *J* = 14.1 Hz, 1H), 0.61 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 179.4, 169.8, 144.2, 130.8, 128.2, 123.9, 121.9, 108.0, 51.4, 50.3, 48.9, 44.6, 31.8, 30.7, 26.3.

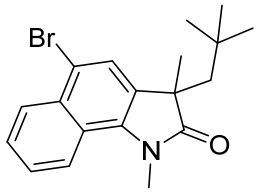
3-Benzyl-5-bromo-1-methyl-3-neopentylindolin-2-one (3r)



The reaction was conducted with 2-benzyl-*N*-(4-bromophenyl)-*N*-methylacrylamide (**1r**, 66.0 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3r** (50.1 mg, 65%) as a white solid, mp: 152 – 154 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.33 (d, *J* = 1.9 Hz, 1H), 7.28 (dd, *J* = 8.2, 2.0 Hz, 1H), 7.06 – 6.98 (m, 3H), 6.73 (dd, *J* = 7.7, 1.5 Hz, 2H), 6.41 (d, *J* = 8.2 Hz, 1H), 3.06 (d, *J* = 12.6 Hz, 1H), 2.87 (s, 3H), 2.30 (d, *J* = 14.4 Hz, 1H), 1.97 (d, *J* = 14.4 Hz, 1H), 0.68 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 178.6, 142.4, 134.6, 133.5, 130.4, 129.9, 127.9, 127.3, 126.5, 114.2, 109.1, 53.8, 49.3, 47.5, 31.9, 31.1, 25.8. HRMS (ESI) m/z calcd for $C_{21}H_{24}BrNNaO^+$ $(M+Na)^+$ 408.0933, found 408.0939.

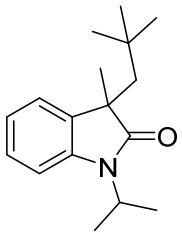
5-Bromo-1,3-dimethyl-3-neopentyl-1,3-dihydro-2H-benzo[g]indol-2-one (3s)



The reaction was conducted with *N*-(4-bromonaphthalen-1-yl)-*N*-methylmethacrylamide (**1s**, 60.8 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3s** (25.9 mg, 36%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 8.10 (d, J = 9.3 Hz, 1H), 7.71 (d, J = 8.2 Hz, 1H), 7.62 (t, J = 14.4 Hz,1H), 7.52 (d, J = 7.4 Hz, 1H), 6.80 (d, J = 8.3 Hz, 1H), 3.49 (s, 3H), 2.62 (d, J = 14.2 Hz, 1H), 1.96 (d, J = 14.2 Hz, 1H), 1.72 (s, 3H), 0.50 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 173.5, 138.9, 136.8, 131.4, 130.0, 127.7, 125.4, 125.2, 120.5, 115.8, 108.7, 57.7, 45.7, 35.4, 31.9, 30.8, 29.6. HRMS (ESI) m/z calcd for $C_{19}H_{22}BrNNaO^+$ $(M+Na)^+$ 382.0777, found 382.0780.

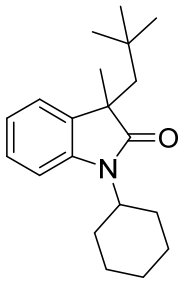
1-Isopropyl-3-methyl-3-neopentylindolin-2-one (3t)



The reaction was conducted with *N*-isopropyl-*N*-phenylmethacrylamide (**1t**, 40.6 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3t** (23.8 mg, 46%) as a colorless liquid.

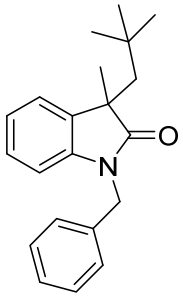
^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.22 (t, *J* = 7.9 Hz, 2H), 7.04 – 6.98 (m, 2H), 4.70 (p, *J* = 7.0 Hz, 1H), 2.15 (d, *J* = 14.4 Hz, 1H), 1.84 (d, *J* = 14.4 Hz, 1H), 1.47 (d, *J* = 7.0 Hz, 6H), 1.26 (s, 3H), 0.64 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 180.5, 141.3, 134.6, 127.1, 124.2, 121.3, 109.9, 50.5, 47.1, 43.2, 31.8, 30.9, 28.8, 19.3, 18.8. HRMS (ESI) m/z calcd for $C_{17}H_{25}NNaO^+$ $(M+Na)^+$ 282.1828, found 282.1838.

1-Cyclohexyl-3-methyl-3-neopentylindolin-2-one (3u)



The reaction was conducted with *N*-cyclohexyl-*N*-phenylmethacrylamide (1u, 48.6 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3u** (27.5 mg, 46%) as a colorless liquid. ^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.27 – 7.18 (m, 2H), 7.07 (d, *J* = 7.8 Hz, 1H), 6.99 (td, *J* = 7.5, 0.8 Hz, 1H), 4.23 (t, *J* = 11.9 Hz, 1H), 2.21 – 2.10 (m, 3H), 1.96 – 1.67 (m, 7H), 1.48 – 1.37 (2H), 1.26 (s, 3H), 0.63 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 180.7, 141.8, 134.6, 127.0, 124.1, 121.2, 110.1, 51.6, 50.5, 47.0, 31.8, 30.9, 29.0, 28.9, 28.6, 26.0, 25.9, 25.4. HRMS (ESI) m/z calcd for $C_{20}H_{29}NNaO^+$ $(M+Na)^+$ 322.2141, found 4322.2154.

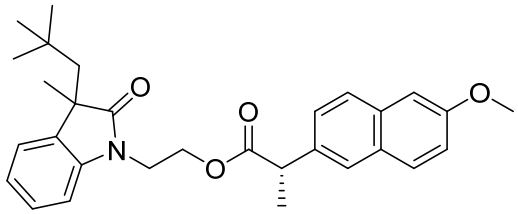
1-Benzyl-3-methyl-3-neopentylindolin-2-one (3v)[3]



The reaction was conducted with *N*-benzyl-*N*-phenylmethacrylamide (**1v**, 50.2 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **3v** (39.9 mg, 65%) as a colorless liquid. ^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.33 – 7.18 (m, 6H), 7.13 (t, *J* = 7.7 Hz, 1H), 6.99 (t, *J* = 7.4 Hz, 1H), 6.77 (d, *J* = 7.8 Hz, 1H), 5.05 (d, *J* = 15.5 Hz, 1H), 4.78 (d, *J* = 15.5 Hz, 1H), 2.22 (d, *J* = 14.4 Hz, 1H), 1.90 (d, *J* = 14.3 Hz, 1H), 1.34 (s, 3H), 0.64 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 180.9, 141.9, 136.0, 134.1, 128.6, 127.5, 127.4, 127.3, 123.9, 121.9, 109.0, 50.4, 47.4, 43.8, 31.8, 30.9, 29.0.

2-(3-Methyl-3-neopentyl-2-oxoindolin-1-yl)ethyl

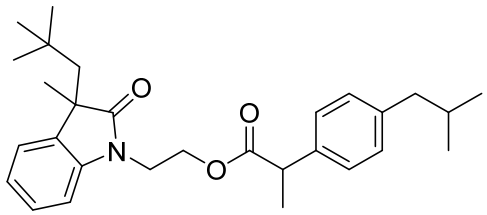
(2S)-2-(6-methoxynaphthalen-2-yl)propanoate (3aa)



The reaction was conducted with 2-(*N*-phenylmethacrylamido)ethyl (S)-2-(6-methoxynaphthalen-2-yl)propanoate (**1aa**, 83.4 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **3aa** (33.1 mg, 35%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.64 (t, *J* = 7.6 Hz, 2H), 7.55 (d, *J* = 11.5 Hz, 1H), 7.31 – 7.25 (m, 1H), 7.16 – 7.04 (m, 4H), 6.98 (td, *J* = 7.4, 3.8 Hz, 1H), 6.78 (dd, *J* = 15.0, 7.8 Hz, 1H), 4.42 -4.22 (m, 2H), 4.00 – 3.84 (m, 5H), 3.78 – 3.70 (m, 1H), 2.13 (dd, *J* = 14.4, 2.4 Hz, 1H), 1.83 (dd, *J* = 14.4, 4.8 Hz, 1H), 1.49 (t, *J* = 7.0 Hz, 3H), 1.21 (d, *J* = 17.4 Hz, 3H), 0.58 (d, *J* = 23.0 Hz, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.1, 174.4, 157.56 142.1, 135.1, 133.9 133.6, 129.3, 128.8, 127.3, 127.1, 126.0, 125.9, 124.0, 121.9, 118.9, 108.4, 105.5, 61.9, 55.3, 50.5, 47.2, 45.3, 38.8, 31.8, 30.7, 28.5, 18.4. HRMS (ESI) m/z calcd for $C_{30}H_{35}NNaO_4^+$ $(M+Na)^+$ 496.2458, found 496.2477.

2-(3-Methyl-3-neopentyl-2-oxoindolin-1-yl)ethyl 2-(4-isobutylphenyl)propanoate (3ba)



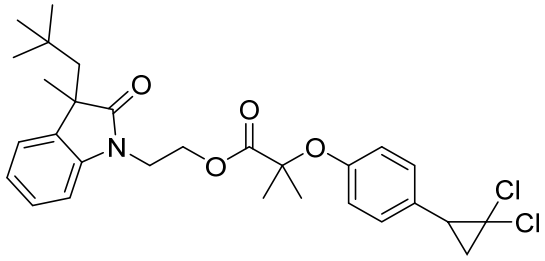
The reaction was conducted with 2-(*N*-phenylmethacrylamido)ethyl 2-(4-isobutylphenyl)propanoate (**1ba**, 78.6 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **3ba** (40.4 mg, 45%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.24 – 7.17 (m, 2H), 7.10 (dd, *J* = 8.2, 2.8 Hz, 2H), 7.06 – 6.99 (m, 3H), 6.86 (t, *J* = 14 Hz, 1H), 4.41 – 4.20 (m, 2H), 4.04 – 3.83 (m, 2H), 3.58 (qd, *J* = 7.1,

5.0 Hz, 1H), 2.42 (dd, *J* = 7.1, 3.7 Hz, 2H), 2.15 (dd, *J* = 14.4, 1.5 Hz, 1H), 1.89 – 1.79 (m, 2H), 1.41 (t, *J* = 7.3 Hz, 3H), 1.25 (d, *J* = 8.0 Hz, 3H), 0.89 (dd, *J* = 6.6, 2.3 Hz, 6H), 0.61 (d, *J* = 10.8 Hz, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.1 174.5, 142.2, 140.5, 137.3, 134.0, 129.3, 127.4, 127.1, 124.0, 121.9, 108.5, 61.7, 50.5, 47.3, 45.0, 44.9, 38.8, 31.8, 30.8, 30.1, 28.6, 22.4, 18.3. HRMS (ESI) m/z calcd for $C_{29}H_{39}NNaO_3^+$ $(M+Na)^+$ 472.2822, found 472.2844.

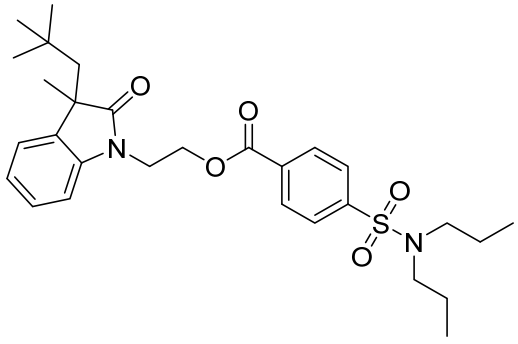
2-(3-Methyl-3-neopentyl-2-oxoindolin-1-yl)ethyl

2-(4-(2,2-dichlorocyclopropyl)phenoxy)-2-methylpropanoate (3ca)



The reaction was conducted with 2-(*N*-phenylmethacrylamido)ethyl 2-(4-(2,2-dichlorocyclopropyl)phenoxy)-2-methylpropanoate (**1ca**, 95.2 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **3ca** (63.8 mg, 60%) as acolorless liquid. ^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.23 – 7.17 (m, 2H), 7.09 (d, *J* = 8.6 Hz, 2H), 7.02 (t, *J* = 7.2 Hz, 1H), 6.87 (d, *J* = 7.8 Hz, 1H), 6.79 (d, *J* = 8.7 Hz, 2H), 4.44 – 4.34 (m, 2H), 4.01 – 3.88 (m, 2H), 2.82 (dd, *J* = 10.6, 8.5 Hz, 1H), 2.15 (d, *J* = 14.4 Hz, 1H), 1.96 – 1.84 (m, 2H), 1.76 (t, *J* = 7.9 Hz, 1H), 1.49 (s, 6H), 1.26 (s, 3H), 0.61 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$,ppm) δ 181.0, 173.8, 154.7, 141.8, 133.8, 129.6, 128.2, 127.4, 124.0, 122.0, 118.8, 108.5, 62.4, 60.7, 50.4, 47.2, 38.6, 34.7, 31.8, 30.8, 28.6, 25.7, 25.4, 25.0. HRMS (ESI) m/z calcd for $C_{29}H_{35}Cl_2NNaO_4^+$ $(M+Na)^+$ 554.1835, found 554.1866.

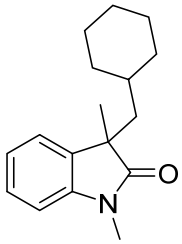
2-(3-Methyl-3-neopentyl-2-oxoindolin-1-yl)ethyl 4-(N,N-dipropylsulfamoyl)benzoate (3da)



The reaction was conducted with 2-(*N*-phenylmethacrylamido)ethyl 4-(N,N-dipropylsulfamoyl)benzoate (**1da**, 94.4 mg, 0.2 mmol), trimethylacetaldehyde (**2a**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **3da** (55.9 mg, 53%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 8.03 (d, *J* = 8.3 Hz, 2H), 7.81 (d, *J* = 8.4 Hz, 2H), 7.26 – 7.18 (m, 2H), 7.05 (t, *J* = 7.5 Hz, 1H), 6.96 (d, *J* = 8.1 Hz, 1H), 4.68 – 4.54 (m, 2H), 4.16 (t, *J* = 5.4 Hz, 2H), 3.09 (t, *J* = 15.2 Hz, 4H), 2.17 (d, *J* = 14.5 Hz, 1H), 1.89 (d, *J* = 14.5 Hz, 1H), 1.57 – 1.48 (m, 4H), 1.26 (d, *J* = 19.2 Hz, 6H), 0.86 (t, *J* = 7.4 Hz, 6H), 0.60 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.2, 165.0, 144.3, 141.8, 134.1, 132.8, 130.3, 127.4, 126.8, 124.2, 122.2, 108.2, 62.4, 50.4, 49.7, 47.3, 38.7, 31.8, 30.8, 28.7, 27.0, 21.8, 11.1. HRMS (ESI) m/z calcd for $C_{29}H_{40}N_2NaO_5S^+$ $(M+Na)^+$ 551.2550, found 552.2569.

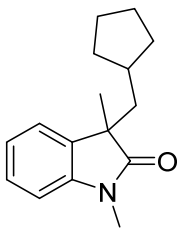
3-(Cyclohexylmethyl)-1,3-dimethylindolin-2-one (4b)[3]



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), cyclohexanecarbaldehyde (**2b**, 49.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **4b** (27.2 mg, 53%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.29 – 7.23 (m, 1H), 7.16 (d, *J* = 7.2 Hz, 1H), 7.06 (t, *J* = 7.5 Hz, 1H), 6.85 (d, *J* = 7.7 Hz, 1H), 3.22 (s, 3H), 1.93 (dd, *J* = 14.0, 6.9 Hz, 1H), 1.73 (dd, *J* = 14.0, 5.2 Hz, 1H), 1.53 – 1.44 (m, 3H), 1.31 (s, 3H), 1.26 – 1.20 (m, 2H), 1.00 – 0.72 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.1, 143.0, 134.3, 127.4, 122.6, 122.3, 107.9, 47.8, 45.3, 34.7, 34.4, 33.4, 26.1, 26.0, 25.9.

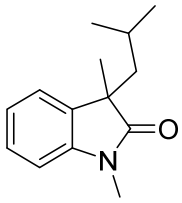
3-(Cyclopentylmethyl)-1,3-dimethylindolin-2-one (4c)[4]



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), cyclopentanecarbaldehyde (**2c**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **4c** (24.3 mg, 50%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.29 – 7.24 (m, 1H), 7.17 (d, *J* = 7.1 Hz, 1H), 7.06 (t, *J* = 7.5 Hz, 1H), 6.85 (d, *J* = 7.7 Hz, 1H), 3.22 (s, 3H), 2.07 (dd, *J* = 13.7, 7.2 Hz, 1H), 1.90 (dd, *J* = 13.7, 5.9 Hz, 1H), 1.47 – 1.22 (m, 10H), 1.05 – 0.95 (m, 1H), 0.87 – 0.77 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.1, 143.2, 134.3, 127.5, 122.8, 122.2, 107.8, 48.4, 44.4, 37.2, 33.7, 32.6, 26.2, 25.2, 24.8, 24.8.

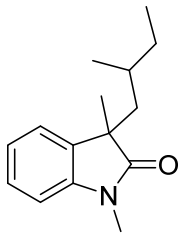
3-Isobutyl-1,3-dimethylindolin-2-one (4d)[3]



The reaction was conducted with N-methyl-N-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), isobutyraldehyde (**2d**, 37.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **4d** (20.3 mg, 47%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm δ 7.30 – 7.24 (m, 1H), 7.17 (d, *J* = 7.3 Hz, 1H), 7.06 (td, *J* = 7.5, 0.8 Hz, 1H), 6.85 (d, *J* = 7.8 Hz, 1H), 3.22 (s, 3H), 1.94 (dd, *J* = 13.9, 7.7 Hz, 1H), 1.76 (dd, *J* = 13.9, 5.4 Hz, 1H), 1.33 – 1.24 (m, 4H), 0.63 (dd, *J* = 17.7, 6.7 Hz, 6H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.1 143.2, 134.2, 127.6, 122.8, 122.3, 107.9, 48.1, 46.7, 26.2, 26.1, 25.5, 24.1, 22.8.

1,3-Dimethyl-3-(2-methylbutyl)indolin-2-one (4e)[3]

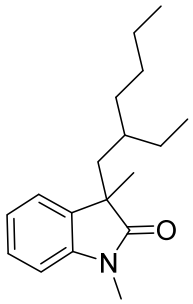


The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), 2-methylbutanal (**2e**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was

performed (petroleum ether/ethyl acetate = 10/1) to yield **4e** (25.4 mg, 47%) as a colorless liquid. (dr = 1:1).

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.29 – 7.24 (m, 1H), 7.22 – 7.13 (m, 1H), 7.11 – 7.03 (m, 1H), 6.85 (dd, *J* = 7.7, 3.9 Hz, 1H), 3.22 (s, 3H), 2.03 (dd, *J* = 14.0, 5.2 Hz, 0.5H), 1.87 (d, *J* = 6.6 Hz, 1H), 1.66 (dd, *J* = 13.9, 6.5 Hz, 0.5H), 1.33 (s, 3H), 1.20 – 0.93 (m, 3H), 0.86 – 0.62 (m, 3H), 0.59 (d, *J* = 6.4 Hz, 1H), 0.49 (d, *J* = 6.5 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.3, 180.8, 143.2, 143.1, 134.5, 134.0, 127.6, 127.5, 122.8, 122.8, 122.3, 122.2, 107.9, 107.9, 48.1, 47.9, 45.0, 44.4, 31.6, 31.5, 30.7, 30.0, 26.2, 26.11, 25.7, 20.3, 19.2, 11.0, 10.9, 8.8.

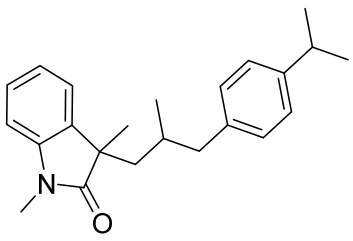
3-(2-Ethylhexyl)-1,3-dimethylindolin-2-one (4f)[3]



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), 2-ethylhexanal (**2f**, 63.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **4f** (25.4 mg, 48%) as a colorless liquid. (dr = 1:1).

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.29 – 7.22 (m, 1H), 7.18 – 7.14 (m, 1H), 7.05 (t, *J* = 7.5 Hz, 1H), 6.84 (d, *J* = 7.6 Hz, 1H), 3.21 (d, *J* = 2.0 Hz, 3H), 1.96 – 1.88 (m, 1H), 1.76 (td, *J* = 13.8, 4.6 Hz, 1H), 1.34 (s, 3H), 1.13 – 0.89 (m, 9H), 0.81 – 0.74 (m, 3H), 0.71 – 0.62 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.0, 181.0, 143., 134.3, 134.2, 127.5, 122.8, 122.8, 122.2, 122.2, 107.8, 48.0, 48.0, 41.9, 35.7, 35.6, 33.3, 32.7, 28.2, 28.2, 26.4, 26.1, 26.1, 25.6, 25.5, 22.8, 22.7, 14.0, 10.3, 10.2.

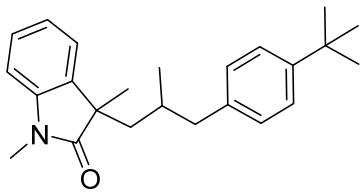
3-(3-(4-Isopropylphenyl)-2-methylpropyl)-1,3-dimethylindolin-2-one (4g)



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), 3-(4-isopropylphenyl)-2-methylpropanal (**2g**, 80.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **4g** (36.8 mg, 52%) as a colorless liquid. (dr = 1:1).

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.33 – 6.77 (m, 8H), 3.21 (t, *J* = 5.8 Hz, 3H), 2.91 – 2.78 (m, 1H), 2.57 – 2.41 (m, 0.5 H), 2.31 – 1.99 (m, 2H), 1.95 – 1.88 (m, 1H), 1.84 – 1.55 (m, 1H), 1.39 – 1.18 (m, 10H), 0.94 (t, *J* = 7.3 Hz, 05 H), 0.63 (d, *J* = 6.6 Hz, 1H), 0.47 (d, *J* = 6.6 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.1, 180.9, 146.1, 146.0, 143.1, 138.3, 138.1, 134.2, 133.7, 129.0, 128.9, 127.6, 127.5, 126.0, 126.0, 122.9, 122.8, 122.4, 108.0, 107.9, 48.0, 47.9, 45.2, 44.3, 44.0, 42.8, 33.6, 33.5, 32.6, 32.2, 26.2, 26.2, 26.0, 26.0, 24.1, 24.0, 24.0, 20.3, 19.8. HRMS (ESI) m/z calcd for $C_{23}H_{29}NNaO^+$ $(M+Na)^+$ 358.2141, found 358.2157.

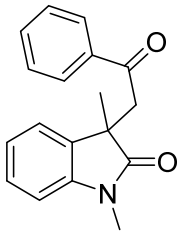
3-(3-(4-(*tert*-Butyl)phenyl)-2-methylpropyl)-1,3-dimethylindolin-2-one (4h)



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), 3-(4-(*tert*-butyl)phenyl)-2-methylpropanal (**2h**, 86.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 10/1) to yield **4h** (35.6 mg, 52%) as a colorless liquid. (dr = 1:1).

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.26 – 6.75 (m, 8H), 3.22 (d, *J* = 6.1 Hz, 3H), 2.46 – 1.68 (m, 5H), 1.36 (s, 1H), 1.28 (d, *J* = 15.5 Hz, 12H), 0.63 (d, *J* = 6.6 Hz, 1H), 0.48 (d, *J* = 6.6 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.2, 181.0, 148.4, 148.3, 143.2, 137.9, 137.7, 134.2, 133.7, 128.7, 128.7, 127.7, 127.6, 124.9, 124.8, 122.9, 122.8, 122.4, 108.0, 107.9, 48.0, 47.9, 45.2, 44.2, 44.1, 42.7, 34.3, 34., 32.6, 31.4, 31.3, 26.2, 26.2, 26.1, 26.0, 20.3, 19.8. HRMS (ESI) m/z calcd for $C_{24}H_{31}NNaO^+$ $(M+Na)^+$ 372.2298, found 372.2313.

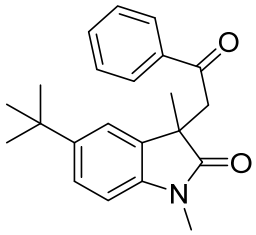
1,3-Dimethyl-3-(2-oxo-2-phenylethyl)indolin-2-one (6a)[5]



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), benzaldehyde (**5a**, 41.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6a** (34.5 mg, 62%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.84 (d, *J* = 7.4 Hz, 2H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.39 (t, *J* = 7.7 Hz, 2H), 7.28 – 7.24 (m, 1H), 7.14 (d, *J* = 7.3 Hz, 1H), 6.98 (t, *J* = 7.5 Hz, 1H), 6.90 (d, *J* = 7.8 Hz, 1H), 3.76 – 3.62 (m, 2H), 3.32 (s, 3H), 1.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 196.1, 180.6, 143.8, 136.2, 133.7, 133.2, 128.5, 127.9, 127.8, 122.1, 121.7, 108.1, 46.0, 45.2, 26.4, 24.9.

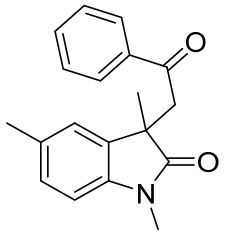
5-(*tert*-Butyl)-1,3-dimethyl-3-(2-oxo-2-phenylethyl)indolin-2-one (6b)



The reaction was conducted with *N*-(4-(*tert*-butyl)phenyl)-*N*-methylmethacrylamide (**1d**, 46.2 mg, 0.2 mmol), benzaldehyde (**5a**, 41.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6b** (33.5 mg, 50%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.83 (d, *J* = 7.3 Hz, 2H), 7.51 (t, *J* = 7.4 Hz, 1H), 7.39 (t, *J* = 7.7 Hz, 2H), 7.27 (dd, *J* = 7.9, 2.1 Hz, 1H), 7.16 (d, *J* = 1.7 Hz, 1H), 6.82 (d, *J* = 8.2 Hz, 1H), 3.66 (q, *J* = 17.6 Hz, 2H), 3.29 (s, 3H), 1.45 (s, 3H), 1.24 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 196.4 180.6, 145.3, 141.3, 136.6, 133.2, 133.0, 128.4, 128.6, 124.4, 119.1, 107.5, 45.9, 45.7, 34.5, 31.5, 26.4, 24.8. HRMS (ESI) m/z calcd for $C_{22}H_{25}NNaO_2^+$ $(M+Na)^+$ 358.1778, found 358.1809.

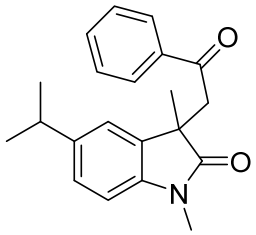
1,3,5-Trimethyl-3-(2-oxo-2-phenylethyl)indolin-2-one (6c)[5]



The reaction was conducted with *N*-methyl-*N*-(p-tolyl)methacrylamide (**1c**, 37.8 mg, 0.2 mmol), benzaldehyde (**5a**, 41.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6c** (31.0 mg, 53%) as a white solid, mp: 128 – 131 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.85 (d, *J* = 7.5 Hz, 2H), 7.51 (t, *J* = 7.4 Hz, 1H), 7.40 (t, *J* = 7.7 Hz, 2H), 7.05 (d, *J* = 7.8 Hz, 1H), 6.94 (s, 1H), 6.79 (d, *J* = 7.9 Hz, 1H), 3.73 – 3.62 (m, 2H), 3.29 (s, 3H), 2.27 (s, 3H), 1.42 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 196.1, 180.5, 141.4, 136.3, 133.7, 133.1, 131.5, 128.4, 128.0, 127.9, 122.6, 107.8, 46.0, 45.3, 26.4, 25.0, 21.1.

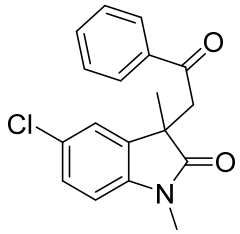
5-Isopropyl-1,3-dimethyl-3-(2-oxo-2-phenylethyl)indolin-2-one (6d)



The reaction was conducted with *N*-(4-isopropylphenyl)-*N*-methylmethacrylamide (**1e**, 43.4 mg, 0.2 mmol), benzaldehyde (**5a**, 41.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6d** (35.3 mg, 53%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.88 – 7.78 (m, 2H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.40 (t, *J* = 7.7 Hz, 2H), 7.11 (dd, *J* = 8.0, 1.7 Hz, 1H), 6.99 (d, *J* = 1.5 Hz, 1H), 6.81 (d, *J* = 8.0 Hz, 1H), 3.73 – 3.59 (m, 2H), 3.29 (s, 3H), 2.82 (p, *J* = 6.9 Hz, 1H), 1.44 (s, 3H), 1.17 (dd, *J* = 6.9, 4.7 Hz, 6H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 196.3, 180.6, 143.0, 141.6, 136.5, 133.6, 133.1, 128.5, 128.0, 125.4, 120.3, 107.8, 45.9, 45.5, 33.8, 26.5, 24.9 24.3, 24.2. HRMS (ESI) m/z calcd for $C_{21}H_{23}NNaO_2^+$ $(M+Na)^+$ 344.1621, found 344.1639.

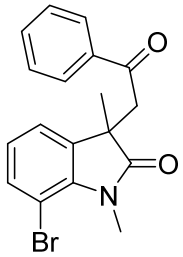
5-Chloro-1,3-dimethyl-3-(2-oxo-2-phenylethyl)indolin-2-one (6e)[5]



The reaction was conducted with *N*-(4-chlorophenyl)-*N*-methylmethacrylamide (**1i**, 41.8 mg, 0.2 mmol), benzaldehyde (**5a**, 41.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6e** (25.0 mg, 40%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.88 – 7.82 (m, 2H), 7.56 – 7.51 (m, 1H), 7.41 (t, *J* = 7.7 Hz, 2H), 7.23 (dd, *J* = 8.3, 2.1 Hz, 1H), 7.10 (d, *J* = 2.0 Hz, 1H), 6.83 (d, *J* = 8.3 Hz, 1H), 3.70 (s, 2H), 3.31 (s, 3H), 1.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 195.8, 180.1, 142.5, 136.0, 135.5, 133.4, 128.5, 127.9, 127.7, 127.4, 122.2, 109.0, 46.0, 45.4, 26.6, 24.8.

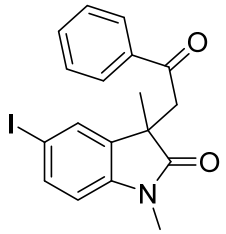
7-Bromo-1,3-dimethyl-3-(2-oxo-2-phenylethyl)indolin-2-one (6f)[6]



The reaction was conducted with *N*-(2-bromophenyl)-*N*-methylmethacrylamide (**1j**, 50.8 mg, 0.2 mmol), benzaldehyde (**5a**, 41.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6f** (25.0 mg, 35%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.86 – 7.82 (m, 2H), 7.56 – 7.51 (m, 1H), 7.41 (t, *J* = 7.7 Hz, 2H), 7.23 (dd, *J* = 8.3, 2.1 Hz, 1H), 7.10 (d, *J* = 2.0 Hz, 1H), 6.83 (d, *J* = 8.3 Hz, 1H), 3.70 (s, 2H), 3.31 (s, 3H), 1.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 195.8, 180.1, 142.5, 136.0, 135.5, 133.4, 128.5, 127.9, 127.7, 127.4, 122.2, 109.0, 46.0, 45.4, 26.6, 24.8.

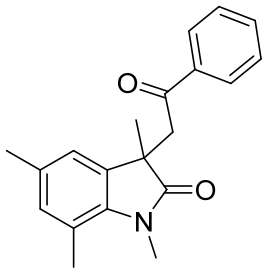
5-Iodo-1,3-dimethyl-3-(2-oxo-2-phenylethyl)indolin-2-one (6g)



The reaction was conducted with *N*-(4-iodophenyl)-*N*-methylmethacrylamide (**1k**, 60.2 mg, 0.2 mmol), benzaldehyde (**5a**, 41.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6g** (34.0 mg, 42%) as a white solid. mp: 151 – 154 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.84 (d, *J* = 7.3 Hz, 2H), 7.58 – 7.51 (m, 2H), 7.44 – 7.38 (m, 3H), 6.70 (d, *J* = 8.2 Hz, 1H), 3.69 (s, 2H), 3.29 (s, 3H), 1.41 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 195.7, 179.8, 143.6, 136.6, 136.3, 135.9, 133.4, 130.4, 128.5, 127.9, 110.2, 84.6, 46.0, 45.1, 26.5, 24.9. HRMS (ESI) m/z calcd for $C_{18}H_{16}INNaO_2^+$ $(M+Na)^+$ 428.0118, found 428.0142.

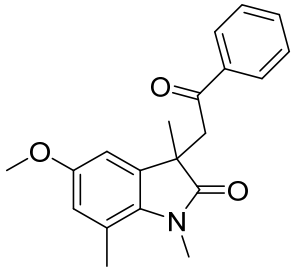
1,3,5,7-Tetramethyl-3-(2-oxo-2-phenylethyl)indolin-2-one (6h)



The reaction was conducted with *N*-(2,4-dimethylphenyl)-*N*-methylmethacrylamide (**1n**, 40.6 mg, 0.2 mmol), benzaldehyde (**5a**, 41.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6h** (36.8 mg, 60%) as a white solid. mp: 181 – 183 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm δ 7.87 – 7.82 (m, 2H), 7.51 (t, *J* = 7.4 Hz, 1H), 7.39 (t, *J* = 7.7 Hz, 2H), 6.76 (d, *J* = 9.4 Hz, 2H), 3.66 (s, 2H), 3.56 (s, 3H), 2.57 (s, 3H), 2.20 (s, 3H), 1.39 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 196.1, 181.3, 139.1, 136.3, 134.4, 133.0, 132.0, 131.3, 128.4, 127.9, 120.2, 119.3, 46.2, 44.6, 29.7, 25.5, 20.7, 18.9. HRMS (ESI) m/z calcd for $C_{20}H_{21}NNaO_2^+$ $(M+Na)^+$ 330.1465, found 330.1483.

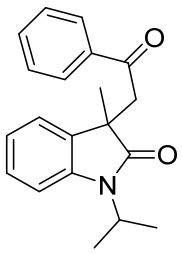
5-Methoxy-1,3,7-trimethyl-3-(2-oxo-2-phenylethyl)indolin-2-one (6i)



The reaction was conducted with *N*-(4-methoxy-2-methylphenyl)-*N*-methylmethacrylamide (**1l**, 43.8 mg, 0.2 mmol), benzaldehyde (**5a**, 41.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6i** (29.0 mg, 45%) as a white solid. mp: 131 – 134 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.85 (d, *J* = 7.4 Hz, 2H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.40 (t, *J* = 7.7 Hz, 2H), 6.55 (d, *J* = 2.5 Hz, 1H), 6.50 (d, *J* = 2.4 Hz, 1H), 3.71 (s, 3H), 3.66 (s, 2H), 3.56 (s, 3H), 2.60 (s, 3H), 1.39 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$, ppm) δ 196.1, 181.1, 155.1, 136.3, 135.9, 135.1, 133.1, 128.4, 128.0, 120.5, 115.5, 106.8, 55.5, 46.3, 45.1, 29.8, 25.5, 19.2. HRMS (ESI) m/z calcd for $C_{20}H_{21}NNaO_3^+$ $(M+Na)^+$ 346.1414, found 346.1433.

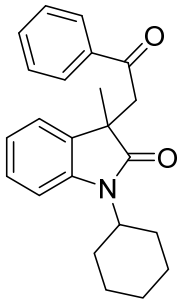
1-Isopropyl-3-methyl-3-(2-oxo-2-phenylethyl)indolin-2-one (6j)



The reaction was conducted with *N*-isopropyl-*N*-phenylmethacrylamide (**1t**, 40.6 mg, 0.2 mmol), benzaldehyde (**5a**, 41.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6j** (39.9 mg, 65%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.91 – 7.76 (m, 2H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.38 (t, *J* = 7.7 Hz, 2H), 7.21 (td, *J* = 7.8, 1.1 Hz, 1H), 7.12 (d, *J* = 6.7 Hz, 1H), 7.07 (d, *J* = 7.9 Hz, 1H), 6.94 (t, *J* = 7.4 Hz, 1H), 4.72 (p, *J* = 7.0 Hz, 1H), 3.76 – 3.57 (m, 2H), 1.56 (dd, *J* = 16.1, 7.0 Hz, 6H), 1.41 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 195.8, 180.1, 142.4, 136.3, 134.1, 133.0, 128.3, 127.9, 127.4, 121.8, 121.5, 109.8, 46.0, 44.9, 43.6, 25.1, 19.4, 18.9. HRMS (ESI) m/z calcd for $C_{20}H_{21}NNaO_2^+$ $(M+Na)^+$ 330.1465, found 330.1483.

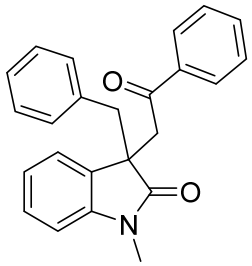
1-Cyclohexyl-3-methyl-3-(2-oxo-2-phenylethyl)indolin-2-one (6k)



The reaction was conducted with *N*-cyclohexyl-*N*-phenylmethacrylamide (**1u**, 48.6 mg, 0.2 mmol), benzaldehyde (**5a**, 41.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6k**(30.5mg, 44%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.84 (d, *J* = 7.4 Hz, 2H), 7.51 (t, *J* = 7.4 Hz, 1H), 7.39 (t, *J* = 7.7 Hz, 2H), 7.20 (t, *J* = 7.8 Hz, 1H), 7.12 (d, *J* = 7.9 Hz, 2H), 6.93 (t, *J* = 7.5 Hz, 1H), 4.33 – 4.21 (m, 1H), 3.73 – 3.59 (m, 2H), 2.20 (dtd, *J* = 24.7, 12.4, 3.4 Hz, 2H), 1.99 – 1.87 (m, 4H), 1.75 (d, *J* = 12.7 Hz, 1H), 1.49 – 1.29 (m, 6H); ^{13}C NMR (101 MHz, $CDCl_3$, ppm) δ 195.9, 180.4, 142.8, 136.5, 134.2, 133.0, 128.4, 128.0, 127.4, 121.9, 121.4, 110.1, 52.2, 46.1, 44.9, 29.3, 28.7, 26.1, 26.0, 25.6, 25.3. HRMS (ESI) m/z calcd for $C_{23}H_{25}NNaO_2^+$ $(M+Na)^+$ 370.1778, found 3370.1796.

3-Benzyl-1-methyl-3-(2-oxo-2-phenylethyl)indolin-2-one (6l)[5]

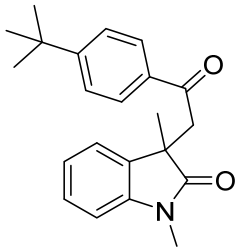


The reaction was conducted with 2-benzyl-*N*-methyl-*N*-phenylacrylamide (**1p**, 50.2 mg, 0.2 mmol), benzaldehyde (**5a**, 41.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6l** (34.0mg, 48%) as a white solid, mp: 111 – 114 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.91 – 7.79 (m, 2H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.40 (t, *J* = 7.7 Hz, 2H), 7.17 (td, *J* = 7.7, 1.2 Hz, 1H), 7.07 (dt, *J* = 16.2, 7.4 Hz, 4H), 6.97 – 6.91 (m, 1H), 6.86 – 6.81 (m, 2H), 6.63 (d, *J* = 7.8 Hz, 1H), 3.80 (d, *J* = 2.9 Hz, 2H), 3.12 (q, *J* = 12.7 Hz, 2H), 3.04 (s,

3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 195.8, 179.1, 144.3, 136.4, 134.8, 133.2, 130.9, 130.1, 128.5, 128.0, 127.9, 127.4, 126.7, 122.7, 121.7, 107.8, 50.9, 44.9, 44.5, 26.0.

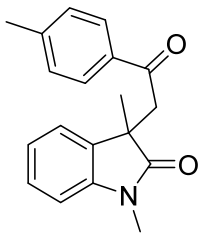
3-(2-(4-(*tert*-Butyl)phenyl)-2-oxoethyl)-1,3-dimethylindolin-2-one (6m)



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), 4-*tert*-Butylbenzaldehyde (**5b**, 67.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6m** (49.5 mg, 74%) as a white solid. mp: 109 – 112 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.78 (d, *J* = 8.6 Hz, 2H), 7.40 (d, *J* = 8.6 Hz, 2H), 7.26 – 7.22 (m, 1H), 7.14 – 7.10 (m, 1H), 6.96 (dd, *J* = 15.0, 0.8 Hz, 1H), 6.89 (d, *J* = 7.8 Hz, 1H), 3.74 – 3.59 (m, 2H), 3.31 (s, 3H), 1.43 (s, 3H), 1.30 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 195.7, 180.6, 156.8, 143.8, 133.8, 127.9, 127.7, 125.4, 122.1, 121.7, 108.1, 45.9, 45.2, 35.0, 31.0, 26.4, 24.9. HRMS (ESI) m/z calcd for $C_{22}H_{25}NNaO_2^+$ $(M+Na)^+$ 358.1778, found 358.1798.

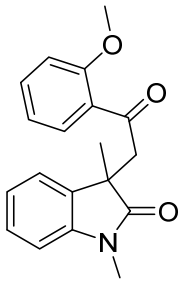
1,3-Dimethyl-3-(2-oxo-2-(p-tolyl)ethyl)indolin-2-one (6n)[7]



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), 4-Methylbenzaldehyde (**5c**, 47.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6n** (35.7 mg, 61%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.73 (d, *J* = 8.2 Hz, 2H), 7.27 – 7.22 (m, 1H), 7.18 (d, *J* = 8.1 Hz, 2H), 7.13 (d, *J* = 7.2 Hz, 1H), 6.96 (t, *J* = 7.5 Hz, 1H), 6.89 (d, *J* = 7.8 Hz, 1H), 3.67 (q, *J* = 17.9 Hz, 2H), 3.31 (s, 3H), 2.36 (s, 3H), 1.43 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$, ppm) δ 195.6, 180.6, 143.9, 143.8, 133.8, 133.8, 129.1, 128.0, 127.7, 122.0, 121.7, 108.1, 45.8, 45.2, 26.4, 24.9, 21.5.

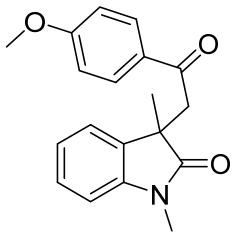
(2-(2-Methoxyphenyl)-2-oxoethyl)-1,3-dimethylindolin-2-one (6o)



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), 2-Methoxybenzaldehyde (**5d**, 54.4 mg, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6o** (33.3 mg, 54%) as a white solid. mp: 102 – 104 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.47 – 7.36 (m, 2H), 7.23 (d, *J* = 7.7 Hz, 1H), 7.12 (d, *J* = 7.3 Hz, 1H), 6.97 (t, *J* = 7.5 Hz, 1H), 6.91 (d, *J* = 8.3 Hz, 1H), 6.70 – 6.85 (m, 2H), 3.92 (s, 3H), 3.79 – 3.65 (m, 2H), 3.28 (s, 3H), 1.39 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 197.9, 180.8, 158.6, 143.8, 134.0, 133.6, 130.4, 127.6, 127.2, 121.9, 121.7, 120.5, 111.3, 108.0, 55.5, 51.2, 45.7, 26.4, 25.0. HRMS (ESI) m/z calcd for $C_{19}H_{19}NNaO_3^+$ $(M+Na)^+$ 332.1257, found 332.1276.

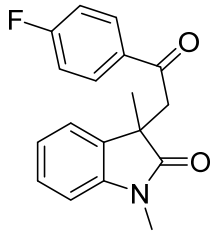
3-(2-(4-Methoxyphenyl)-2-oxoethyl)-1,3-dimethylindolin-2-one (6p)[7]



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), 4-methoxybenzaldehyde (**5e**, 49.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6p** (40.1 mg, 65%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.82 (d, *J* = 8.8 Hz, 2H), 7.27 – 7.22 (m, 1H), 7.13 (d, *J* = 7.2 Hz, 1H), 6.97 (t, *J* = 7.5 Hz, 1H), 6.90 (d, *J* = 7.8 Hz, 1H), 6.86 (d, *J* = 8.9 Hz, 2H), 3.83 (s, 3H), 3.72 – 3.57 (m, 2H), 3.31 (s, 3H), 1.43 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$, ppm) δ 194.6, 180.8, 163.5, 143.8, 133.9, 130.2, 129.4, 127.7, 122.1, 121.7, 113.6, 108.1, 55.4, 45.6, 45.3, 26.4, 24.9.

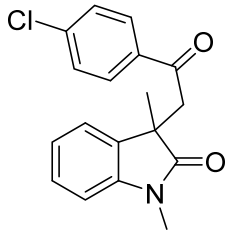
3-(2-(4-Fluorophenyl)-2-oxoethyl)-1,3-dimethylindolin-2-one (6q)



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), 4-fluoro-Benzaldehyde (**5f**, 43.0 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6q** (24.9 mg, 42%) as a white solid. mp: 107 – 109 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.91 – 7.81 (m, 2H), 7.29 – 7.24 (m, 1H), 7.13 (d, *J* = 7.3 Hz, 1H), 7.10 – 7.03 (m, 2H), 6.98 (td, *J* = 7.6, 0.8 Hz, 1H), 6.90 (d, *J* = 7.8 Hz, 1H), 3.65 (d, *J* = 3.4 Hz, 2H), 3.31 (s, 3H), 1.44 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$, ppm) δ 194.5, 167.0, 164.5, 143.8, 133.6, 132.8, 132.8, 130.6, 130.6, 127.9, 122.2, 121.7, 115.7, 115.5, 108.2, 45.9, 45.3, 26.4, 24.9. HRMS (ESI) m/z calcd for $C_{18}H_{16}FNNaO_2^+$ $(M+Na)^+$ 320.1057, found 320.1076.

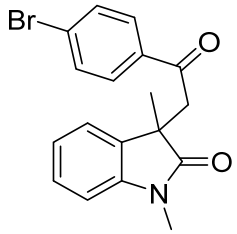
3-(2-(4-Chlorophenyl)-2-oxoethyl)-1,3-dimethylindolin-2-one (6r)[6]



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), 4-Chlorobenzaldehyde (**5g**, 56.0 mg, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6r** (27.5 mg, 44%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.77 (d, *J* = 8.6 Hz, 2H), 7.37 (d, *J* = 8.6 Hz, 2H), 7.25 (d, *J* = 15.6 Hz, 1H), 7.13 (d, *J* = 7.2 Hz, 1H), 6.99 (t, *J* = 7.5 Hz, 1H), 6.91 (d, *J* = 7.8 Hz, 1H), 3.70 – 3.60 (m, 2H), 3.31 (s, 3H), 1.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 194.9, 180.4, 143.8, 139.6, 134.6, 133.5, 129.4, 128.8, 127.9, 122.2, 121.7, 108.2, 45.9, 45.2, 26.4, 24.9.

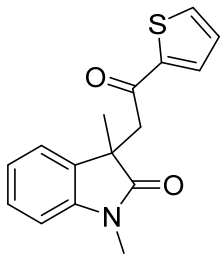
3-(2-(4-Bromophenyl)-2-oxoethyl)-1,3-dimethylindolin-2-one (6s)[6]



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), 4-Bromobenzaldehyde (**5h**, 47 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6s** (28.6 mg, 40%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.69 (d, *J* = 8.5 Hz, 2H), 7.54 (d, *J* = 8.5 Hz, 2H), 7.25 (d, *J* = 15.6 Hz, 1H), 7.13 (d, *J* = 7.3 Hz, 1H), 6.99 (t, *J* = 7.5 Hz, 1H), 6.91 (d, *J* = 7.8 Hz, 1H), 3.69 – 3.60 (m, 2H), 3.31 (s, 3H), 1.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 195.1, 180.4, 143.8, 135.0, 133.5, 131.8, 129.5, 128.4, 127.9, 122.2, 121.7, 108.2, 45.9, 45.2, 26.4, 24.9.

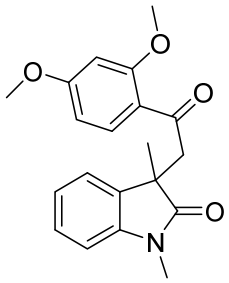
1,3-Dimethyl-3-(2-oxo-2-(thiophen-2-yl)ethyl)indolin-2-one (6t)[7]



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), 2-Thenaldehyde (**5i**, 44.8 mg, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6t** (24.5 mg, 43%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.67 (dd, *J* = 3.8, 1.2 Hz, 1H), 7.57 (dd, *J* = 4.9, 1.1 Hz, 1H), 7.25 (td, *J* = 7.7, 1.3 Hz, 1H), 7.17 (dd, *J* = 7.4, 1.3 Hz, 1H), 7.07 (dd, *J* = 4.9, 3.8 Hz, 1H), 6.98 (td, *J* = 7.5, 1.0 Hz, 1H), 6.88 (d, *J* = 7.6 Hz, 1H), 3.67 – 3.52 (m, 2H), 3.29 (s, 3H) , 1.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 188.3, 179.8, 143.6, 142.8, 133.7, 133.3, 131.6, 128.4, 127.9, 122.7, 121.9, 108.2, 46.2, 45.3, 26.4, 24.6.

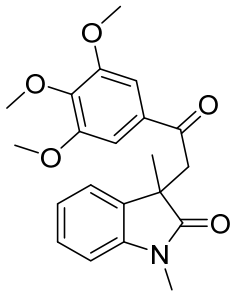
3-(2-(2,4-Dimethoxyphenyl)-2-oxoethyl)-1,3-dimethylindolin-2-one (6u)



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), 2，4-dimethoxy benzaldehyde (**5j**, 66.4 mg, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 3/1) to yield **6u** (29.1 mg, 43%) as a white solid. mp: 151 – 154 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.58 (d, *J* = 9.4 Hz, 1H), 7.23 (t, *J* = 7.7 Hz, 1H), 7.11 (d, *J* = 7.2 Hz, 1H), 6.95 (t, *J* = 7.5 Hz, 1H), 6.88 (d, *J* = 7.7 Hz, 1H), 6.40 (d, *J* = 7.0 Hz, 2H), 3.91 (s, 3H), 3.80 (s, 3H), 3.77 – 3.62 (m, 2H), 3.30 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 195.5, 181.1, 164.5, 160.8, 143.8, 134.4, 132.8, 127.4, 121.8, 121.5, 120.1, 107.9, 104.9, 98.1, 55.4, 55.3, 51.2, 45.6, 26.4, 25.1. HRMS (ESI) m/z calcd for $C_{20}H_{21}NNaO_4^+$ $(M+Na)^+$ 362.1363, found 362.1385.

1,3-Dimethyl-3-(2-oxo-2-(3,4,5-trimethoxyphenyl)ethyl)indolin-2-one (6v)

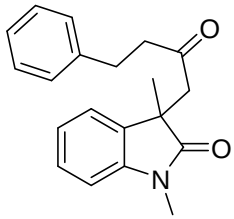


The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), 3,4,5-trimethoxybenzaldehyde (**5k**, 79.2 mg, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 3/1) to yield **6v** (22.1 mg, 30%) as a white solid. mp: 168 – 170 °C.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.29 – 7.24 (m, 1H), 7.15 (d, *J* = 7.8 Hz, 1H), 7.08 (s, 2H), 7.00 (td, *J* = 7.5, 1.0 Hz, 1H), 6.91 (d, *J* = 7.8 Hz, 1H), 3.87 (d, *J* = 8.1 Hz, 9H), 3.66 (d, *J* = 2.3 Hz, 2H), 3.31 (s, 3H), 1.45 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 195.0, 180.5, 152.9,

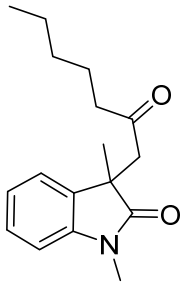
143.7, 142.6, 133.7, 131.5, 127.8, 122.2, 121.8, 108.1, 105.5, 60.9, 56.3, 45.8, 45.4, 26.4, 25.0. HRMS (ESI) m/z calcd for $C_{21}H_{23}NNaO_5^+$ $(M+Na)^+$ 392.1468, found 392.1491.

1,3-Dimethyl-3-(2-oxo-4-phenylbutyl)indolin-2-one (6w)



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), 3-phenylpropionaldehyde (**5l**, 53 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6w** (27.6 mg, 45%) as a colorless liquid. ^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.27 – 7.17 (m, 6H), 7.09 – 6.99 (m, 3H), 6.87 (d, *J* = 7.7 Hz, 1H), 3.27 (s, 3H), 3.12 – 3.00 (m, 2H), 2.74 – 2.47 (m, 4H), 1.32 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 206.0, 1803, 143.6, 140.7, 133.3, 128.4, 128.1, 127.9, 126.0, 122.2, 121.7, 108.2, 49.8, 45.1, 44.3, 29.3, 26.4, 24.4. HRMS (ESI) m/z calcd for $C_{20}H_{21}NNaO_2^+$ $(M+Na)^+$ 330.1465, found 330.1474.

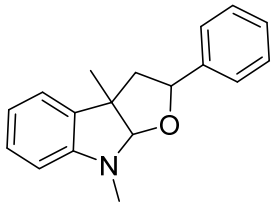
1,3-Dimethyl-3-(2-oxoheptyl)indolin-2-one (6x)[8]



The reaction was conducted with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35.0 mg, 0.2 mmol), hexaldehyde (**5m**, 48 μL, 0.4 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 5/1) to yield **6x** (27.8 mg, 51%) as a colorless liquid. ^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.27 – 7.22 (m, 1H), 7.13 (d, *J* = 6.8 Hz, 1H), 7.00 (t, *J* = 7.3 Hz, 1H), 6.86 (d, *J* = 7.8 Hz, 1H), 3.27 (s, 3H), 3.07 (s, 2H), 2.32 – 2.17 (m, 2H), 1.40 (m, 2H), 1.33 (s, 3H), 1.25 – 1.08 (m, 4H), 0.82 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 207.1, 180.4, 143.7, 133.5, 127.8, 122.1, 121.7, 108.1, 49.6, 45.1, 42.7, 31.1, 26.4, 24.4, 23.1, 22.3,

13.8.

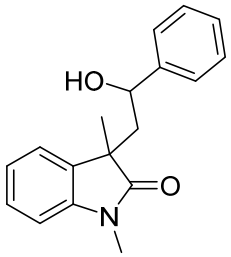
3a,8-Dimethyl-2-phenyl-3,3a,8,8a-tetrahydro-*2H*-furo[2,3-b]indole (7)



The reaction was conducted with 1,3-dimethyl-3-(2-oxo-2-phenylethyl)indolin-2-one (**6a**, 55.8. mg, 0.2 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 100/1) to yield **7** (51.7 mg, 92%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.32 (d, *J* = 4.2 Hz, 2H), 7.29 – 7.02 (m, 8H), 7.00 (d, *J* = 7.2 Hz, 1H), 6.66 (t, *J* = 7.4 Hz, 1H), 6.43 (d, *J* = 7.7 Hz, 1H), 5.29(s, 0.5H), 5.13(s, 1H), 5.11(dd, *J* = 9.2 Hz, 6.4 Hz, 1H), 4.66(dd, *J* = 11.2 Hz, 4.4 Hz, 0.5H)3.01 (s, 3H), 2.97 (s, 1.5H), 2.49(dd, *J* = 12.0 Hz, 4.4 Hz, 0.5H),2.46 (dd, *J* = 12.5, 6.3 Hz, 1H), 2.14 (dd, *J* = 12.4, 9.1 Hz, 1H), 2.00(t, *J* = 12.0 Hz, 0.5H), 1.51 (s, 1.5H), 1.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 150.7, 148.8, 141.9, 141.0, 135.6, 134.5, 128.3, 128.2, 128.1, 128.0, 127.6, 127.3, 1260, 126.0, 122.5, 122.2, 117.6, 117.3, 107.2, 106.0, 104.9, 104.8, 80.4, 79.7, 53.1, 52.5, 50.5, 49.0, 31.8, 30.9, 24.9, 23.3. HRMS (ESI) m/z calcd for $C_{18}H_{19}NNaO^+$ $(M+Na)^+$ 288.1359, found 288.1360. dr 2:1.

3-(2-Hydroxy-2-phenylethyl)-1,3-dimethylindolin-2-one (8)

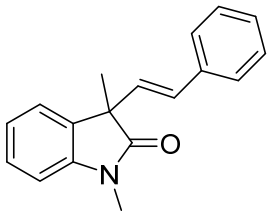


The reaction was conducted with 1,3-dimethyl-3-(2-oxo-2-phenylethyl)indolin-2-one (**6a**, 55.8. mg, 0.2 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 3/1) to yield **8** (51.7 mg, 92%) as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.30 – 7.26 (m, 2H), 7.26 – 7.18 (m, 6H), 7.17 (s, 1H), 7.06 (d, *J* = 7.4 Hz, 1H), 6.81 (d, *J* = 7.8 Hz, 1H), 4.85 (dd, *J* = 8.9, 3.8 Hz, 1H), 4.22-4.24 (d, *J* = 8.0 Hz, 0.3H), 4.12 (s, 1H), 3.21 (s, 1H), 3.07 (s, 3H), 2.54-2.48 (m, 0.3H), 2.24-2.23 (d, *J* = 4.0 Hz, 0.3H), 2.20 (d, *J* = 3.9 Hz, 1H), 2.14-2.13 (d, *J* = 4.0 Hz, 0.3H), 2.09 (dd, *J* = 14.5, 9.0 Hz, 1H),

1.49 (s, 3H), 1.36 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$, ppm) δ 181.4, 181.3, 144.4, 143.8, 143.5, 142.4, 134.3, 133.2, 128.2, 128.1, 128.0, 127.8, 127.3, 127.3, 126.0, 125.4, 122.8, 122.5, 122.3, 108.3, 108.2, 71.5, 71.3, 47.2, 47.0, 46.9, 46.2, 26.3, 26.2, 25.2, 23.4. HRMS (ESI) m/z calcd for $C_{18}H_{19}NNaO_2^+$ $(M+Na)^+$ 304.1308, found 304.1314. dr 3:1.

(E)-1,3-Dimethyl-3-styrylindolin-2-one (9)



The reaction was conducted with 3-(2-hydroxy-2-phenylethyl)-1,3-dimethylindolin-2-one (**8**, 56.2. mg, 0.2 mmol). Purification by thin layer chromatography was performed (petroleum ether/ethyl acetate = 20/1) to yield **9** (45.0 mg, 86%) as a white solid, mp: 130-132.

^{1}H NMR (400 MHz, $CDCl_3$, ppm) δ 7.35 – 7.10 (m, 8H), 6.89 (d, *J* = 7.8 Hz, 1H), 6.46 – 6.30 (m, 2H), 3.23 (s, 3H), 1.59 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$, ppm) δ 178.7, 143.0, 136.5, 132.8, 130.0, 129.8, 128.4, 128.1, 127.6, 126.4, 123.9, 122.6, 108.3, 50.7, 26.3, 23.1. HRMS (ESI) m/z calcd for $C_{18}H_{17}NNaO^+$ $(M+Na)^+$ 286.1202, found 286.1213.

6. References

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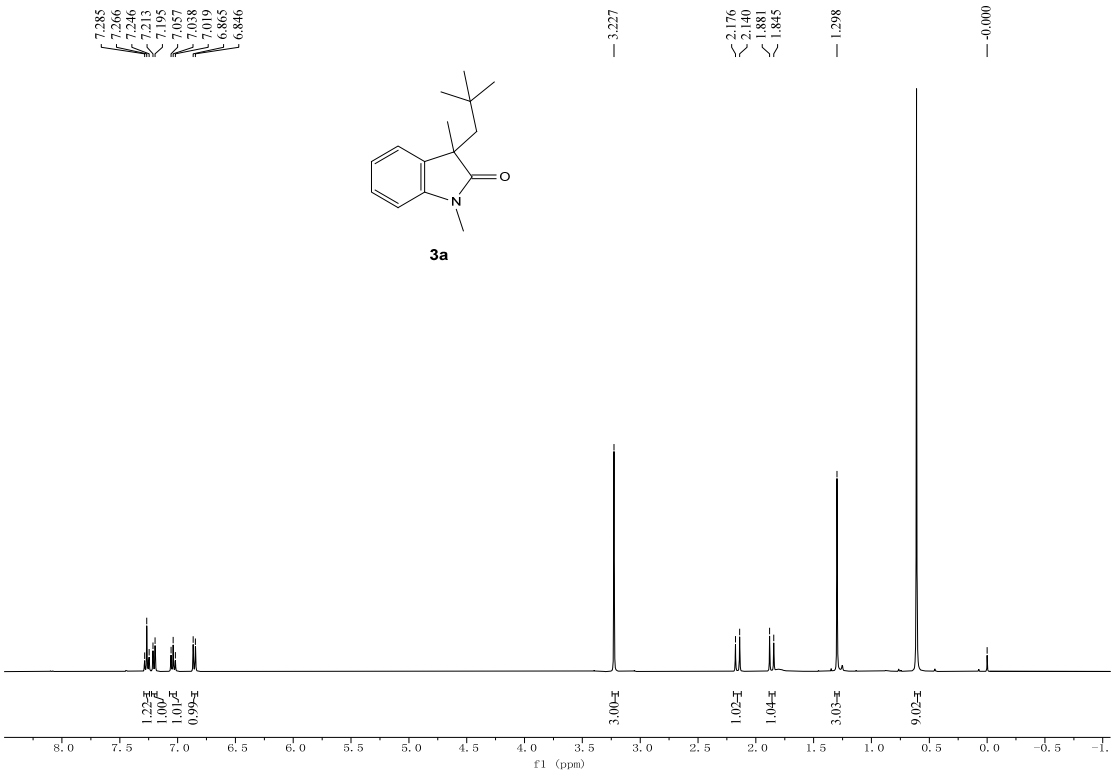
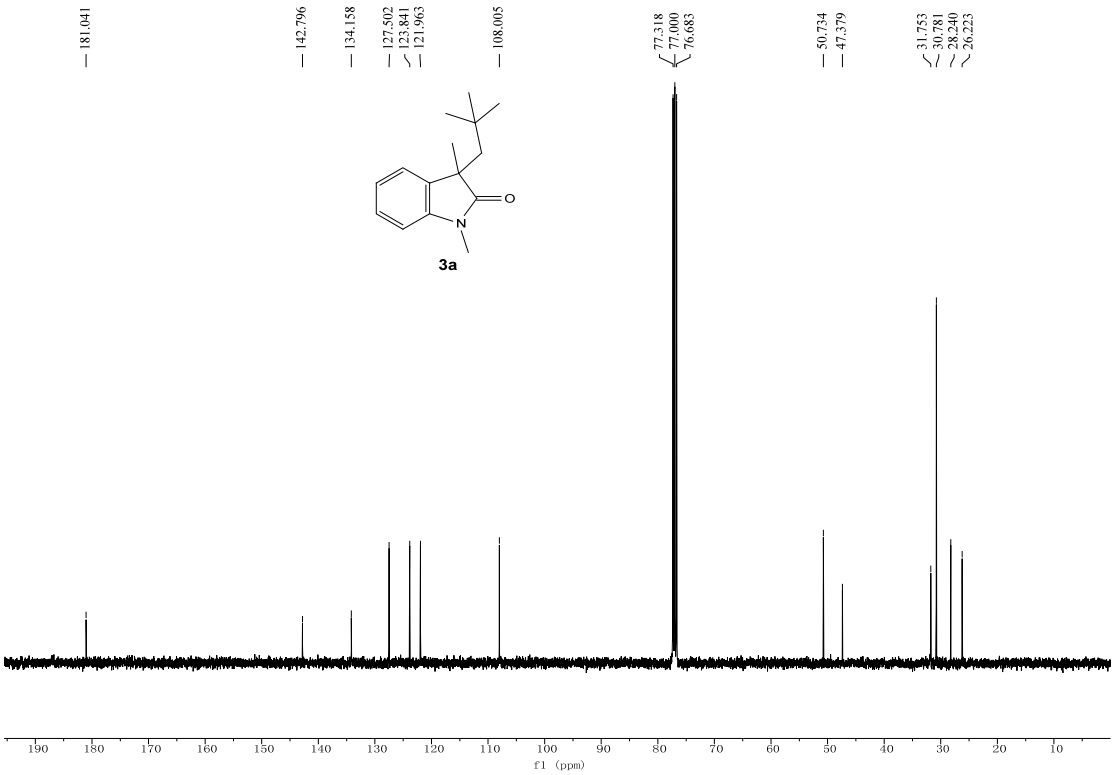
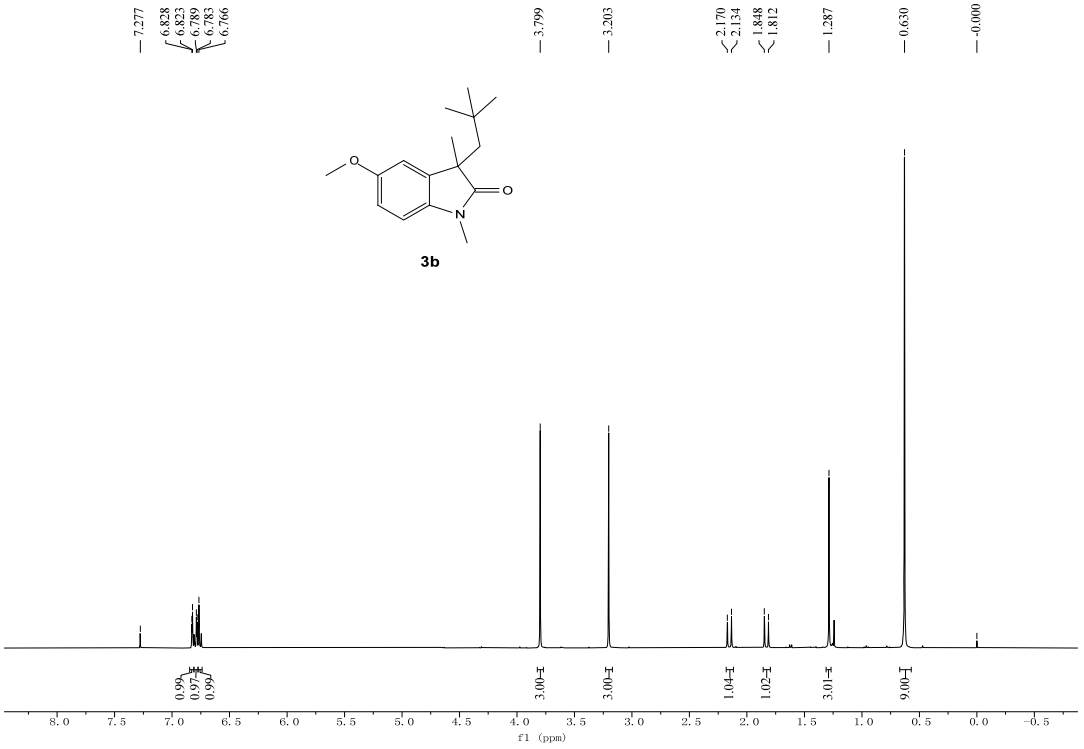
7. Copies of ^{1}H, ^{13}C and ^{19}F NMR spectra of all products

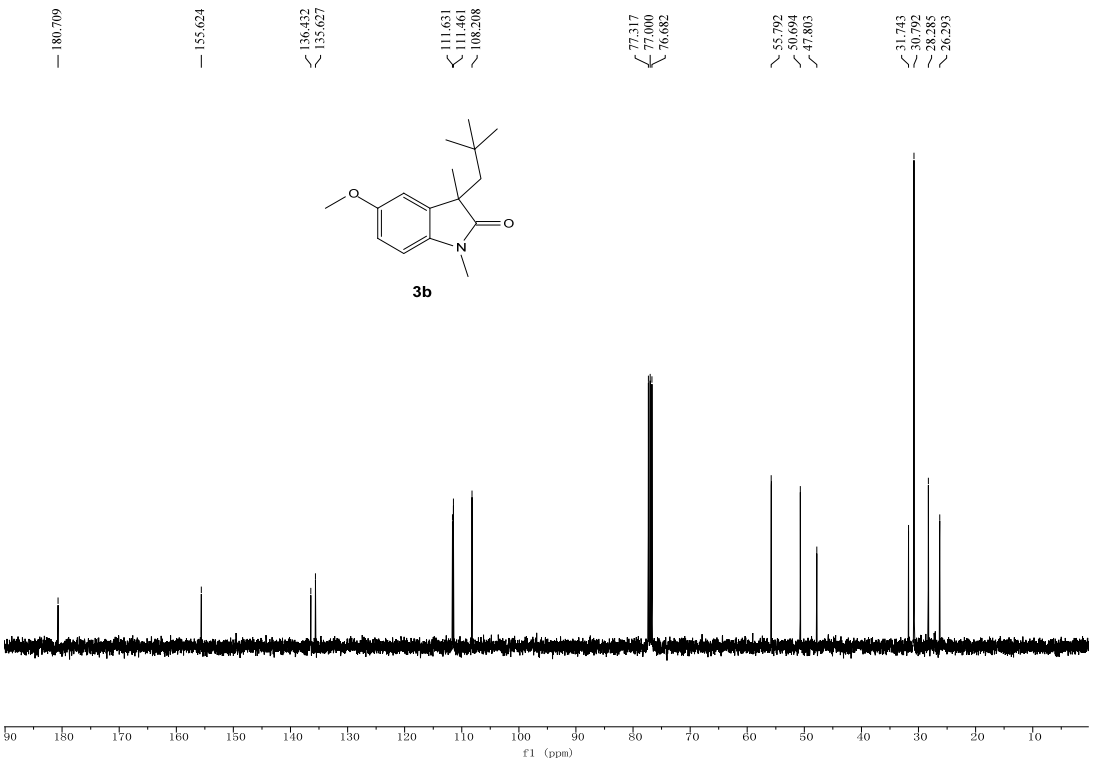
^{1}H and ^{13}C NMR spectra of 3a



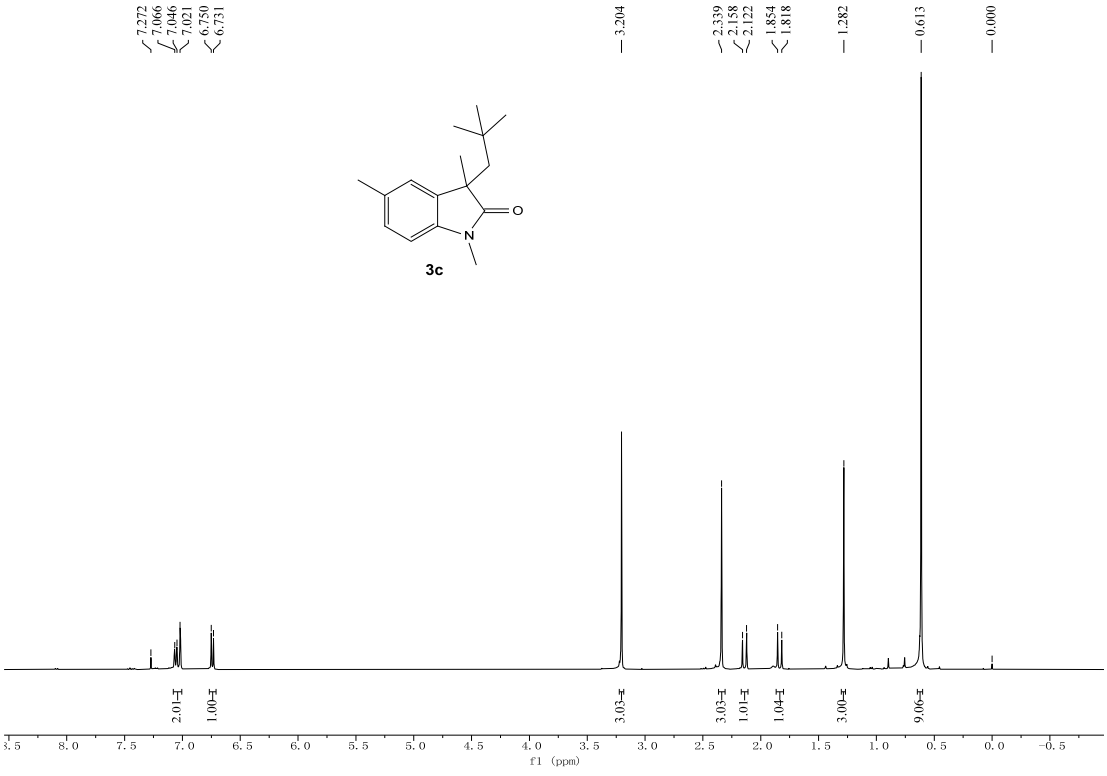


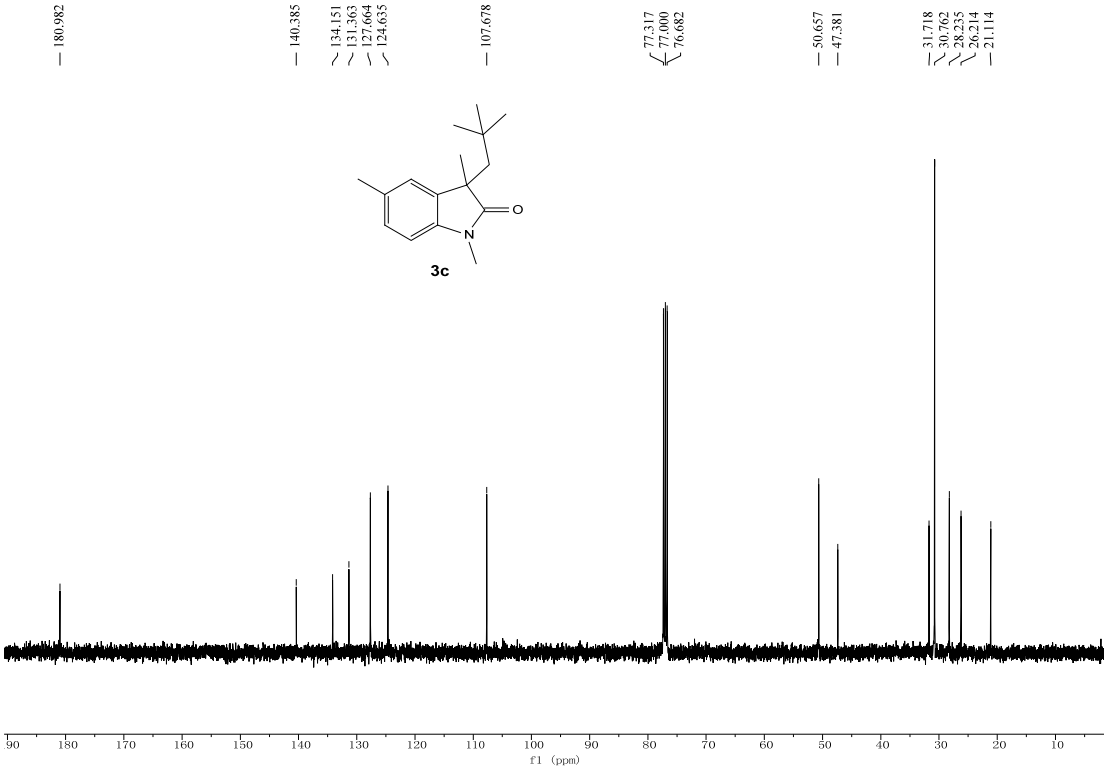
^{1}H and ^{13}C NMR spectra of 3b



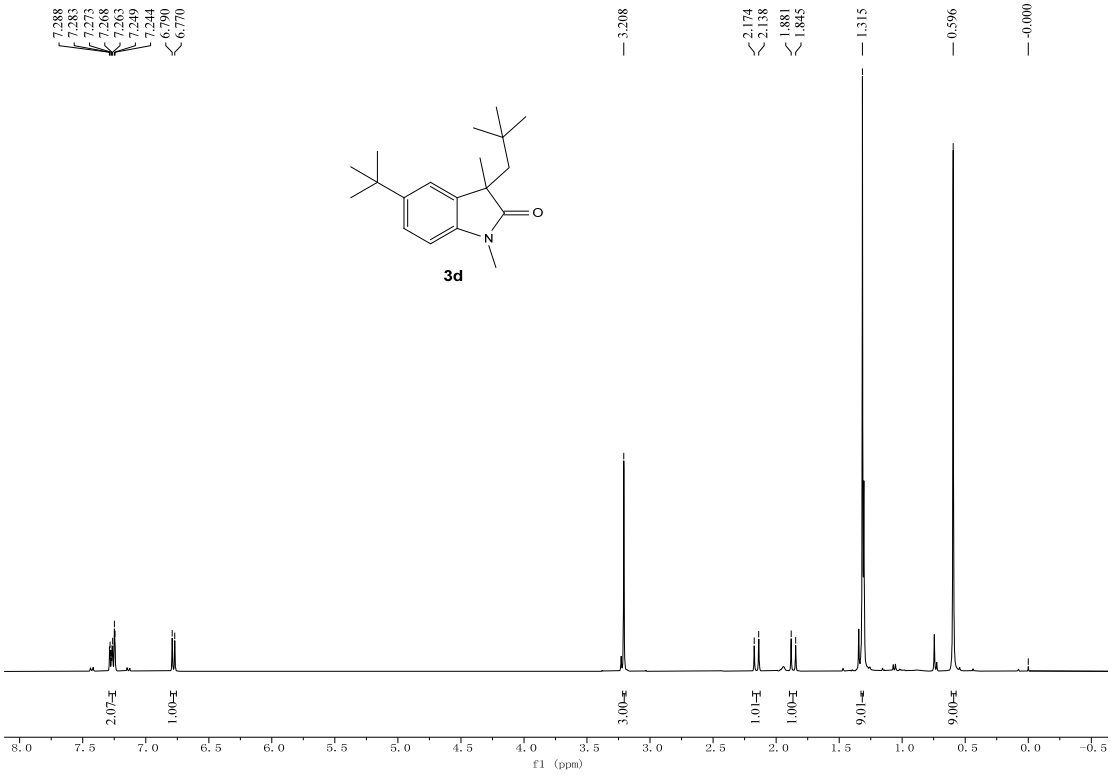


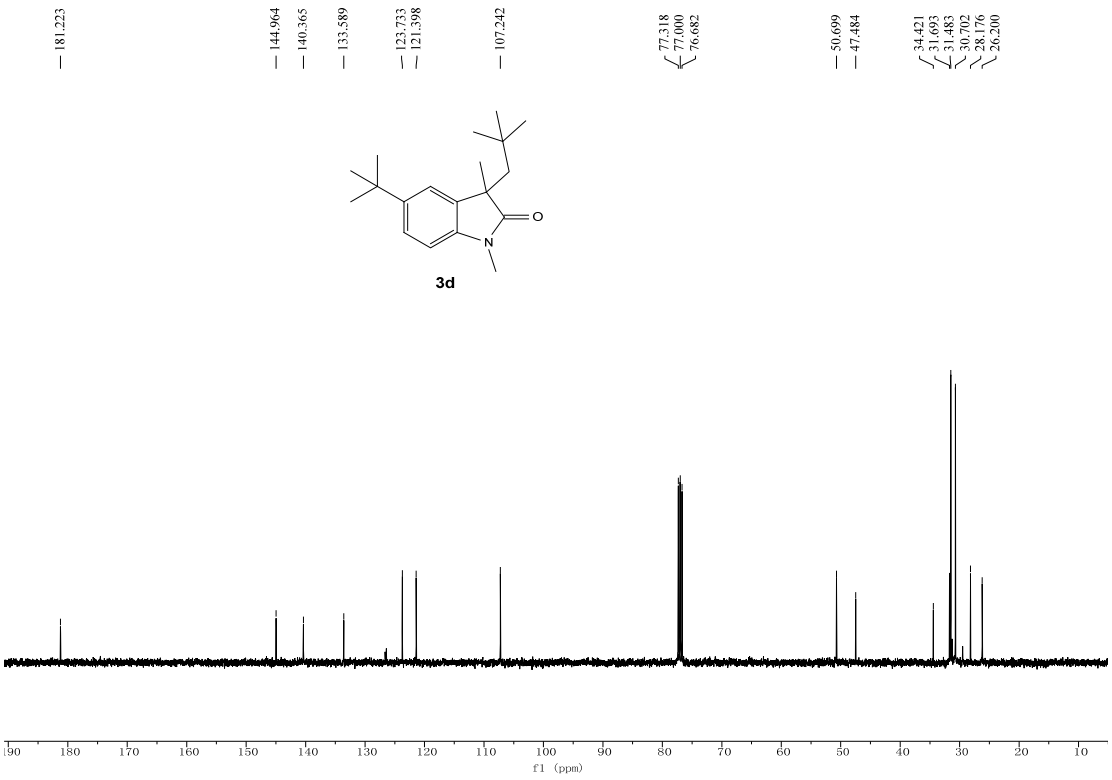
^{1}H and ^{13}C NMR spectra of 3c



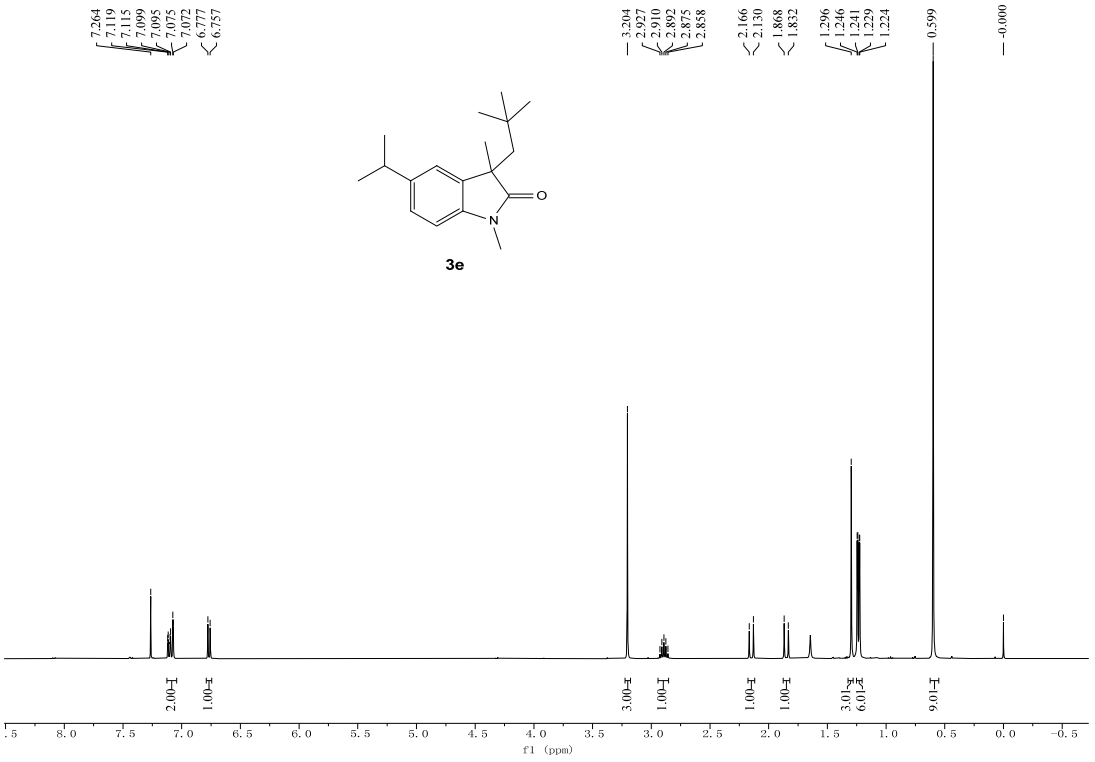


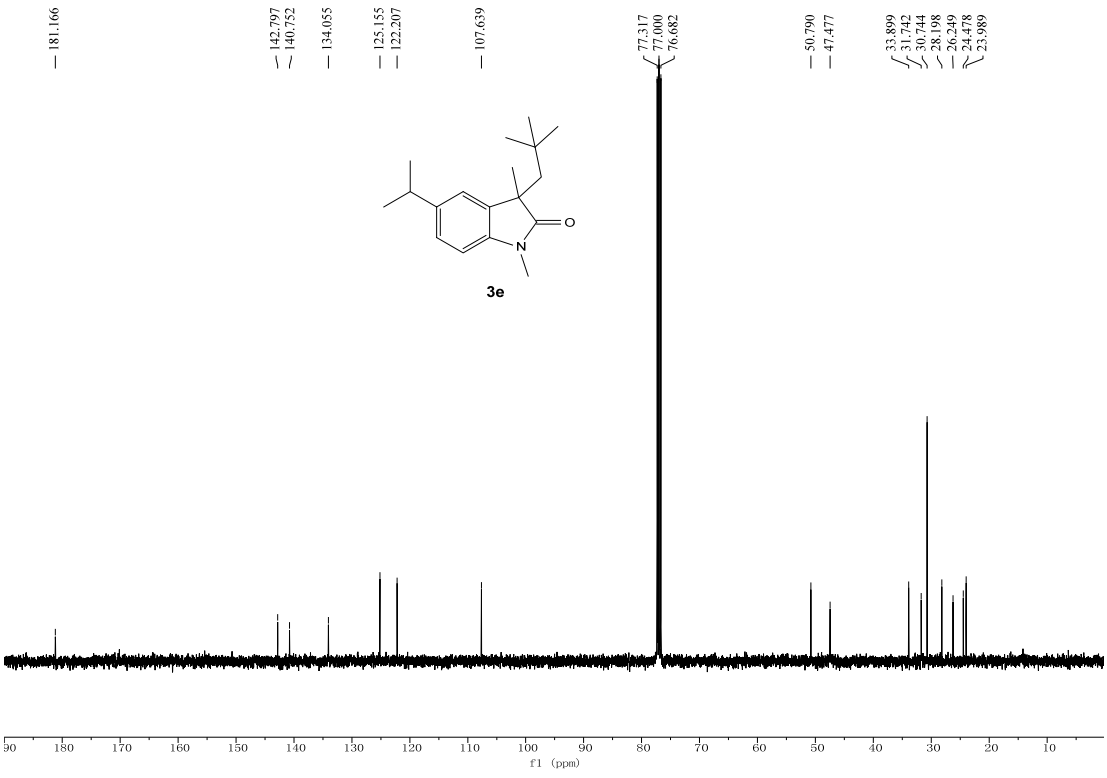
^{1}H and ^{13}C NMR spectra of 3d



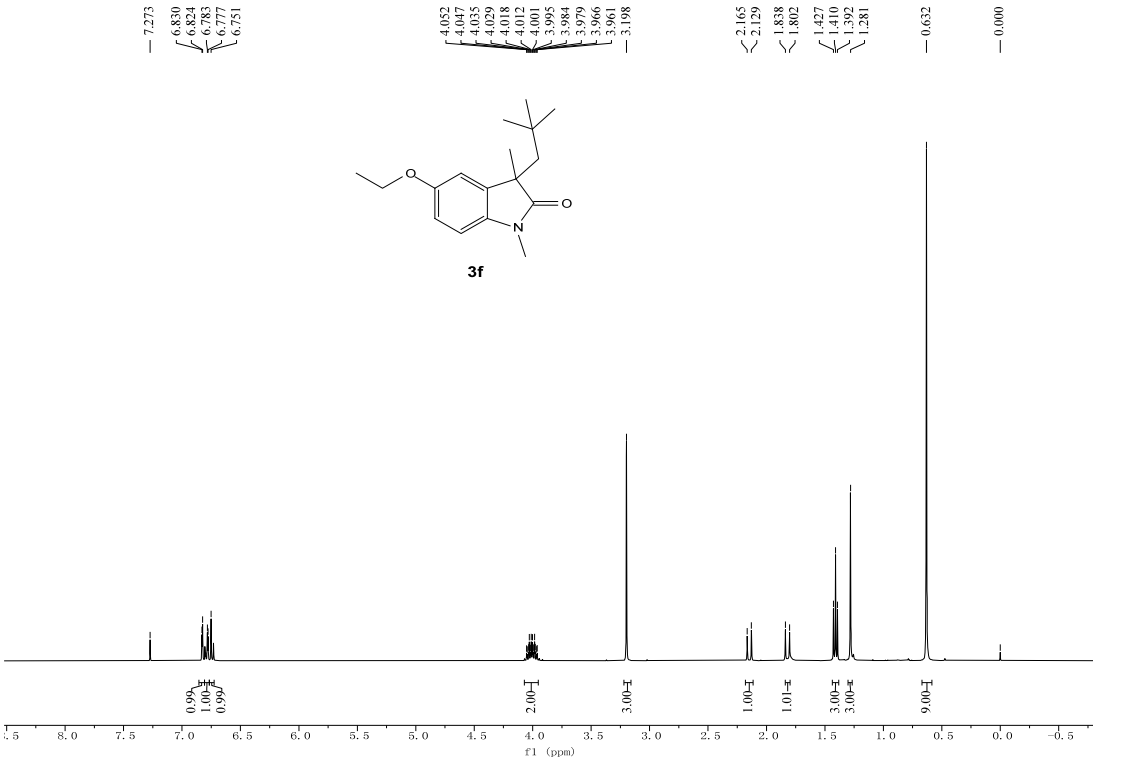


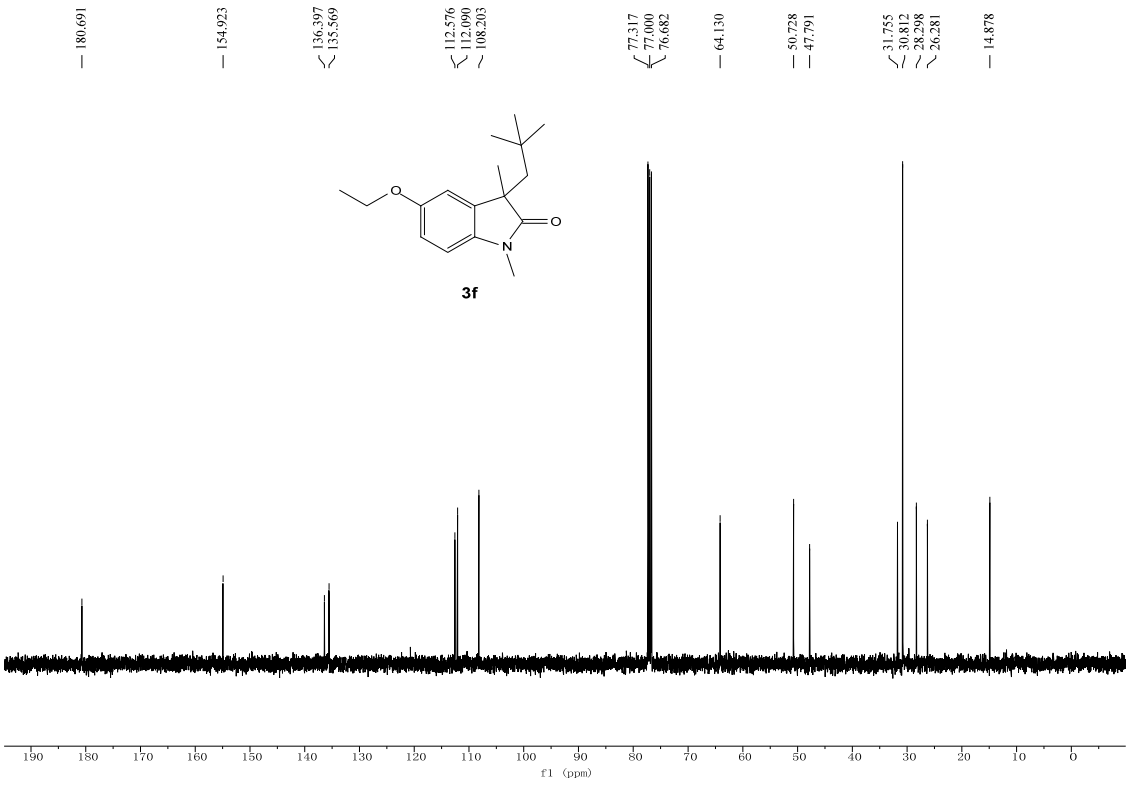
^{1}H and ^{13}C NMR spectra of 3e



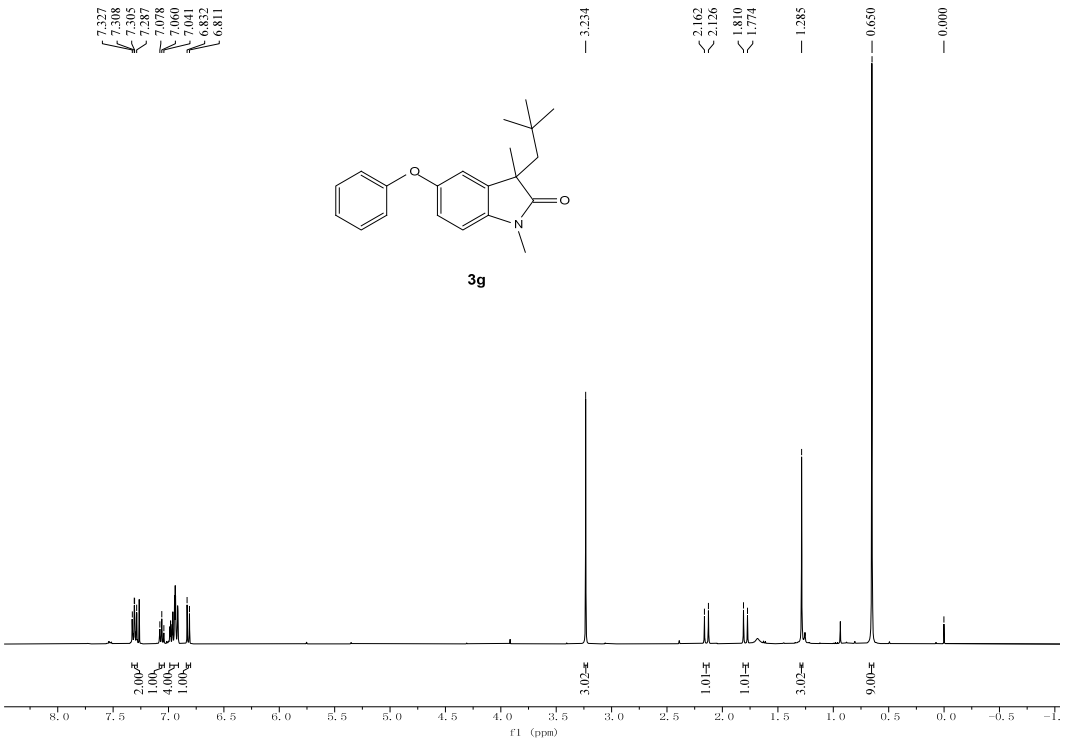


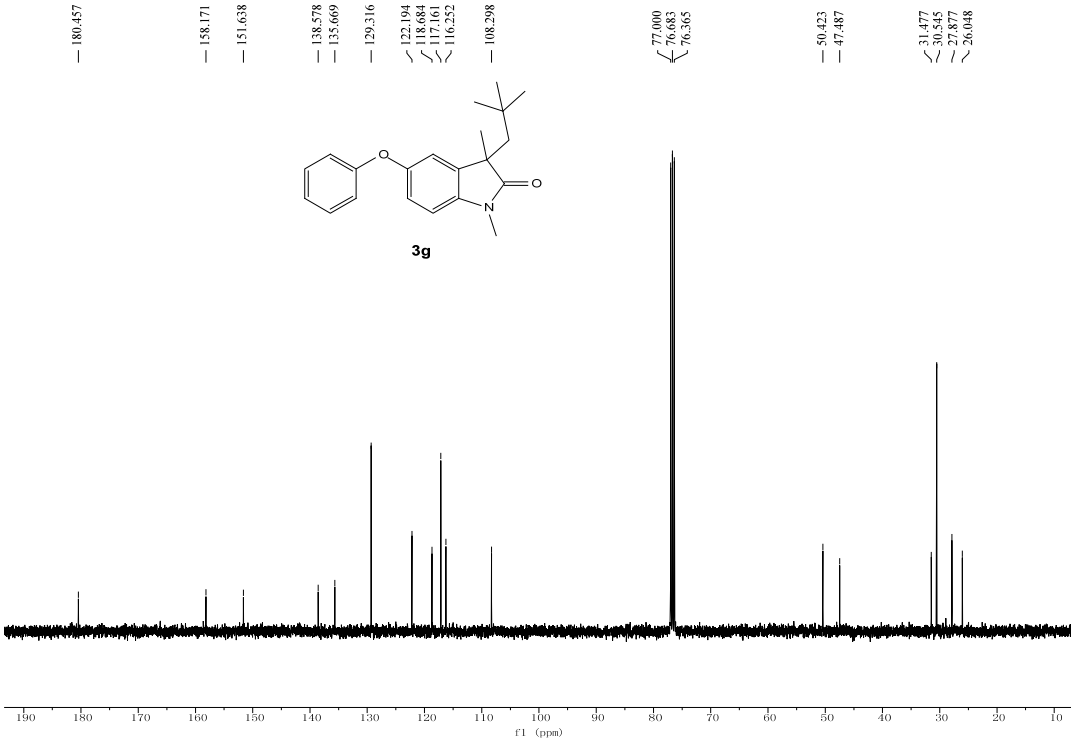
^{1}H and ^{13}C NMR spectra of 3f



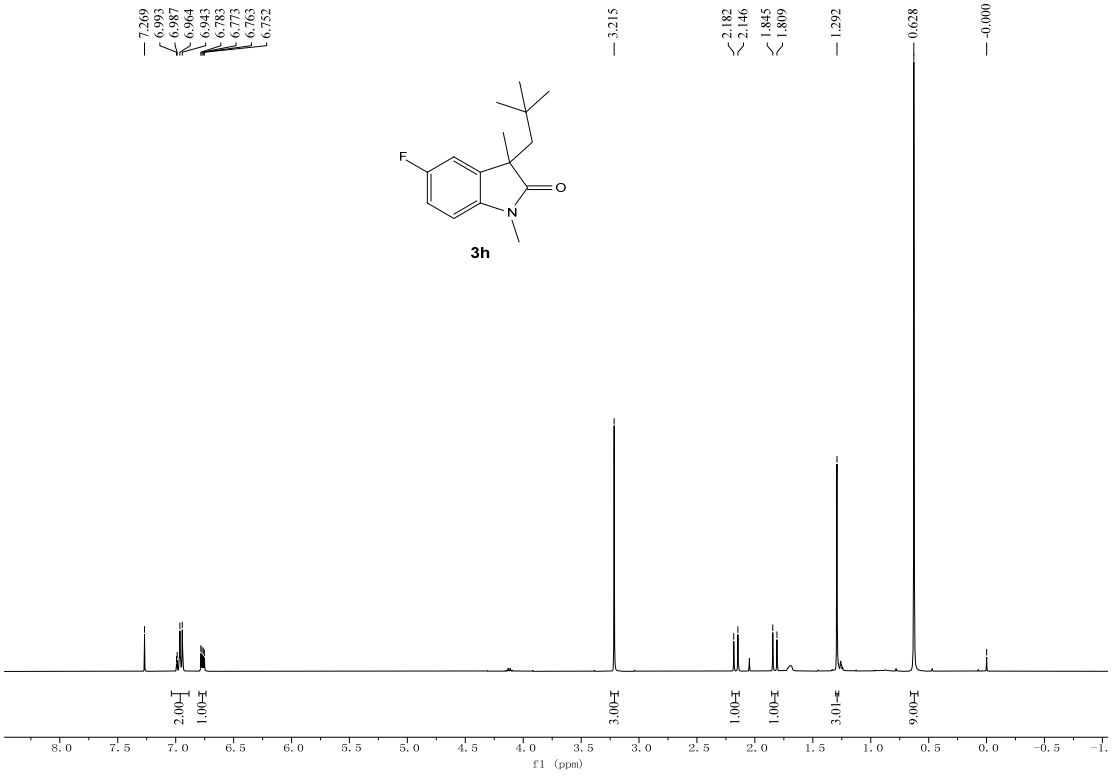


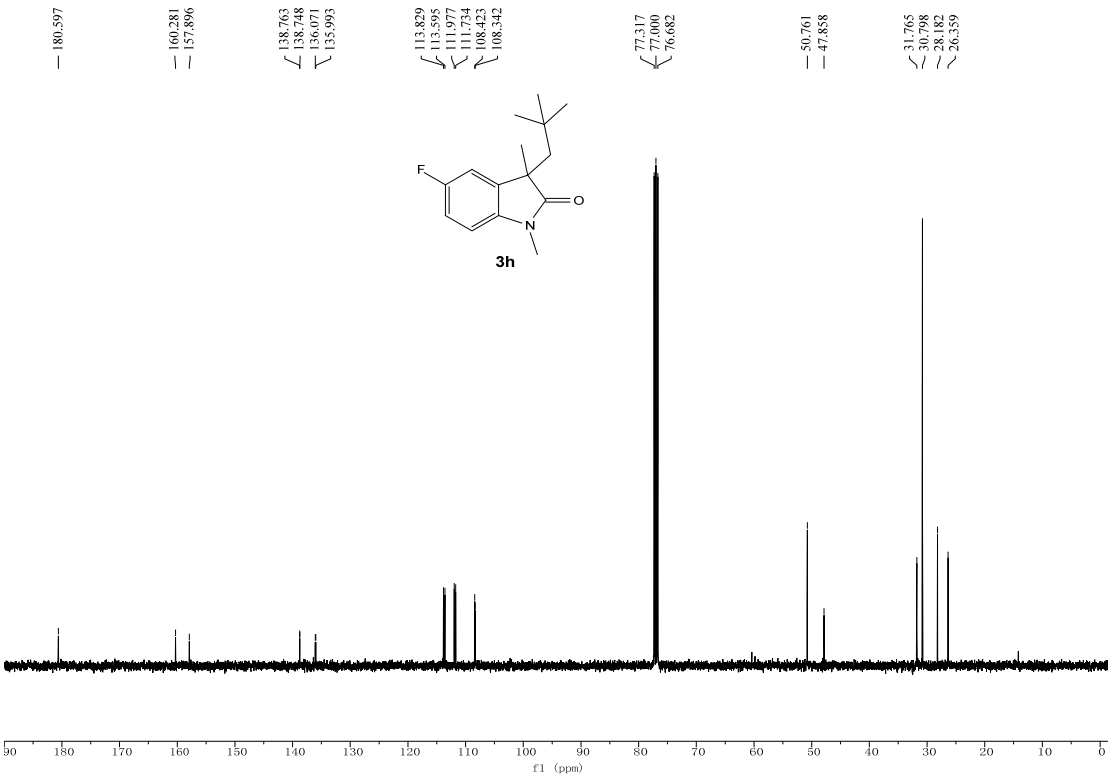
^{1}H and ^{13}C NMR spectra of 3g

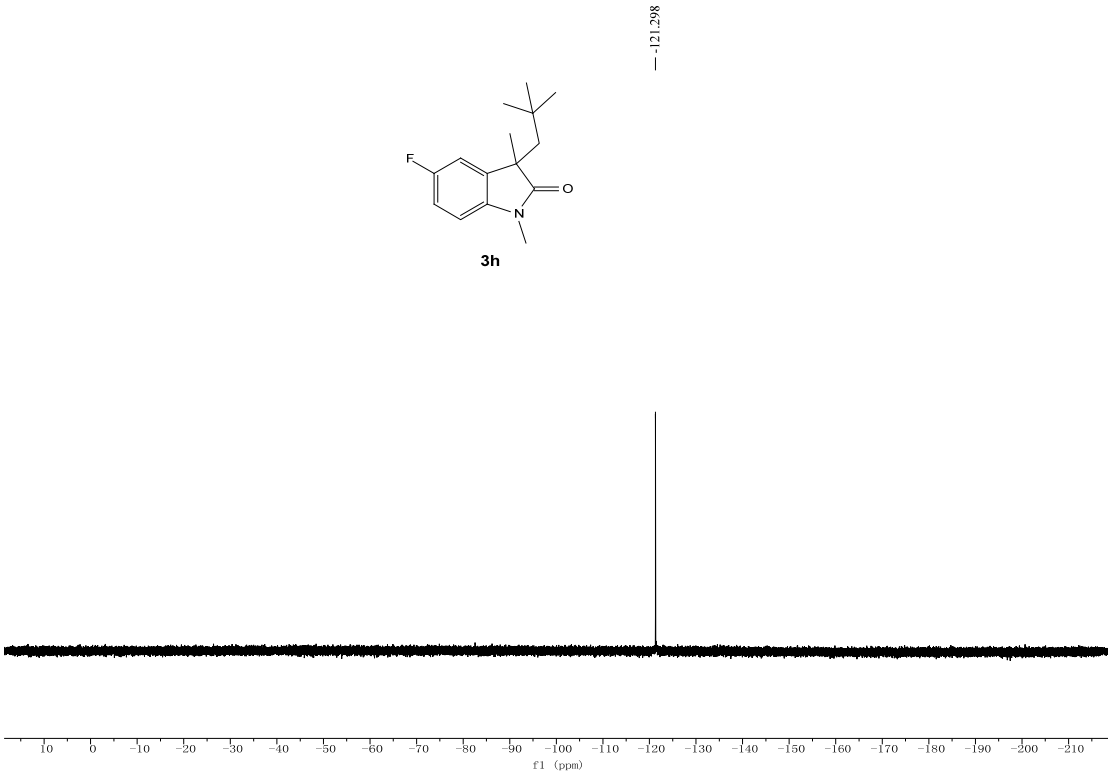




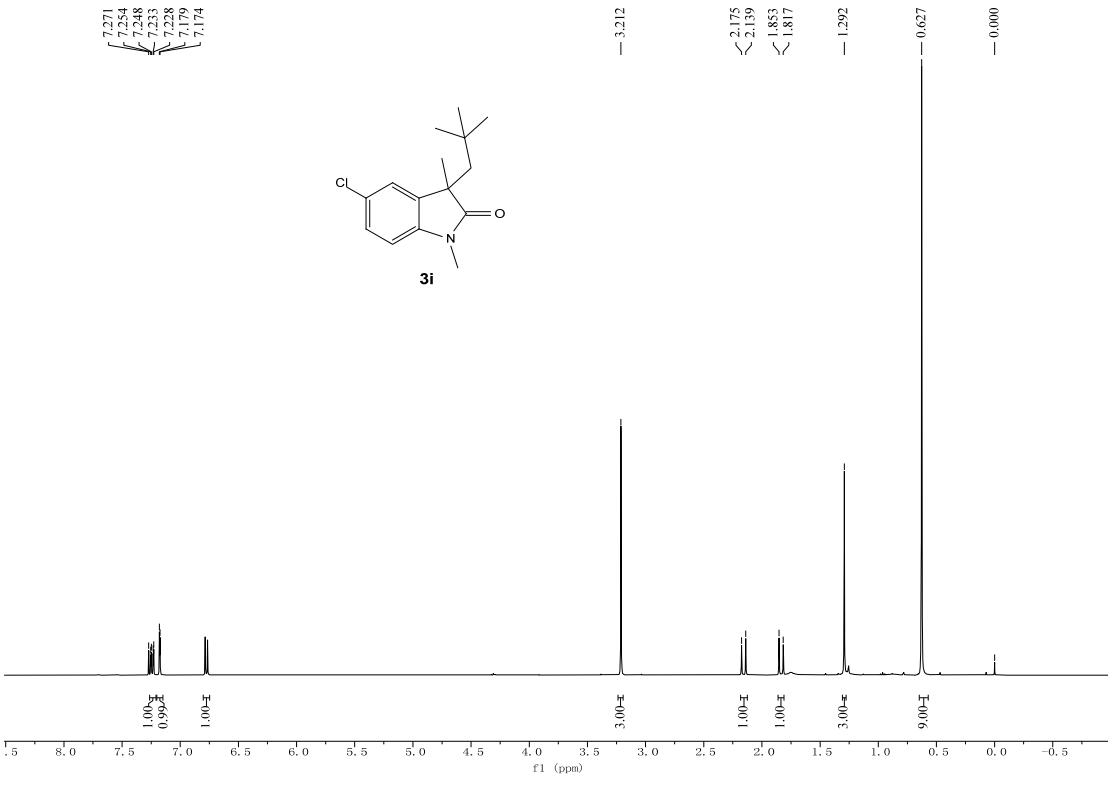
^{1}H, ^{13}C and ^{19}F NMR spectra of 3h

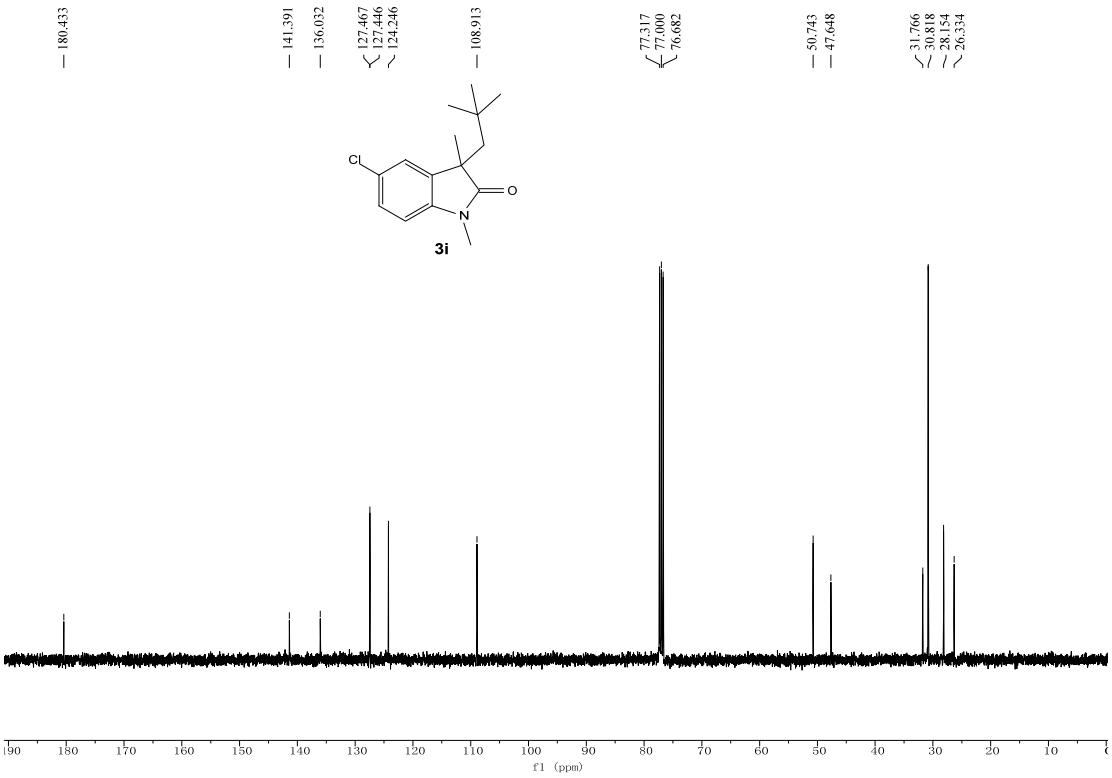




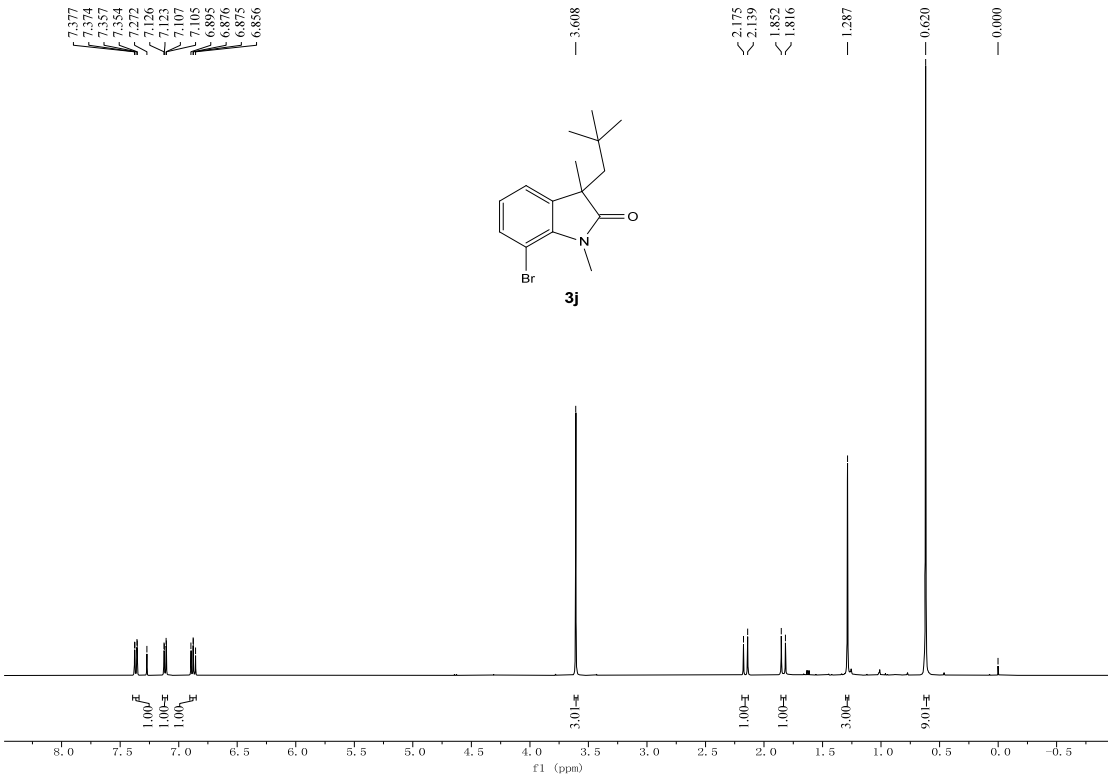


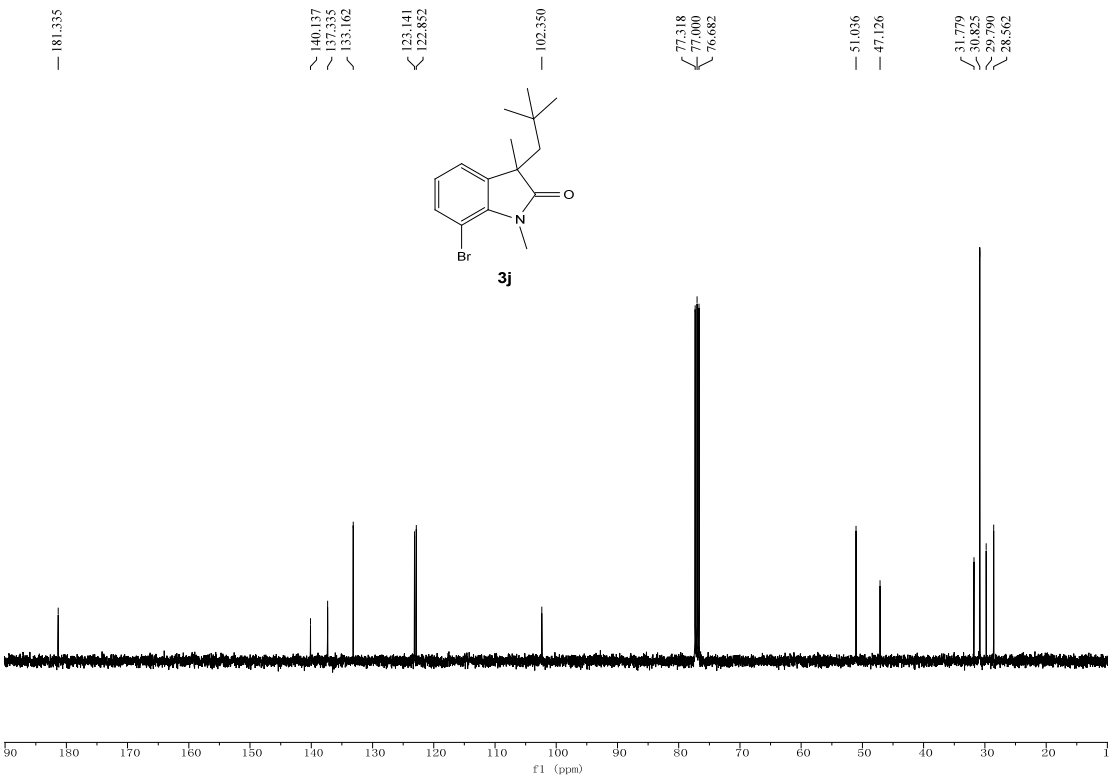
^{1}H and ^{13}C NMR spectra of 3i



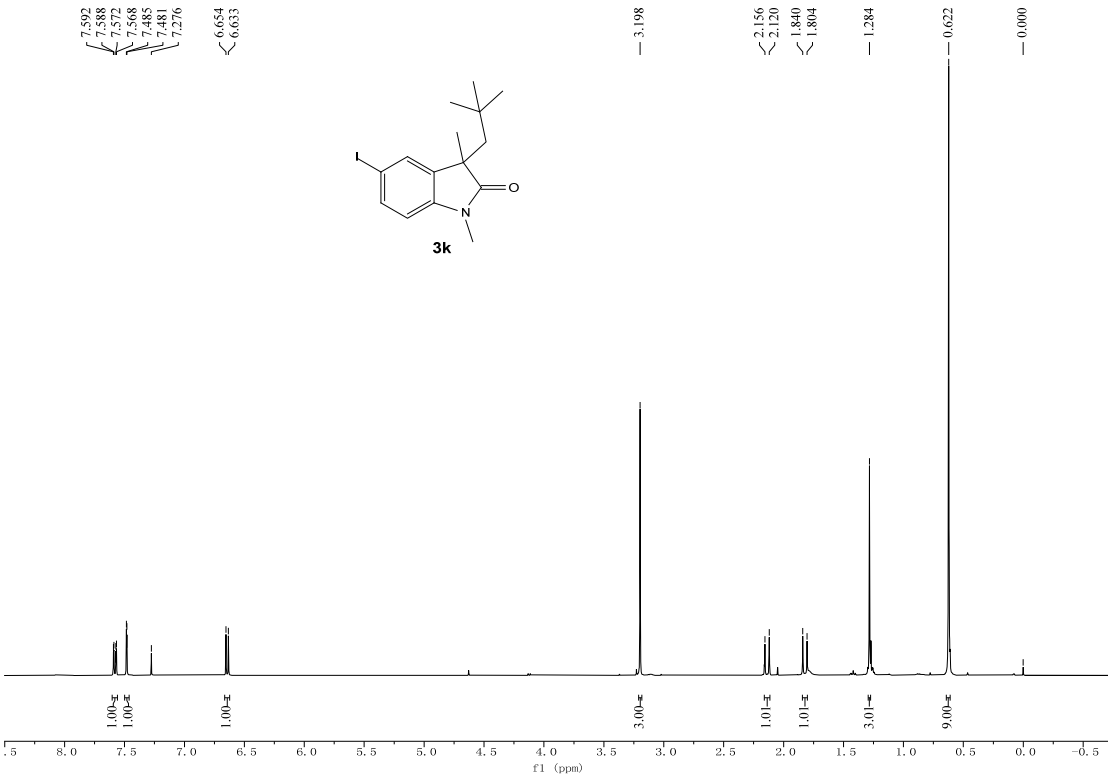


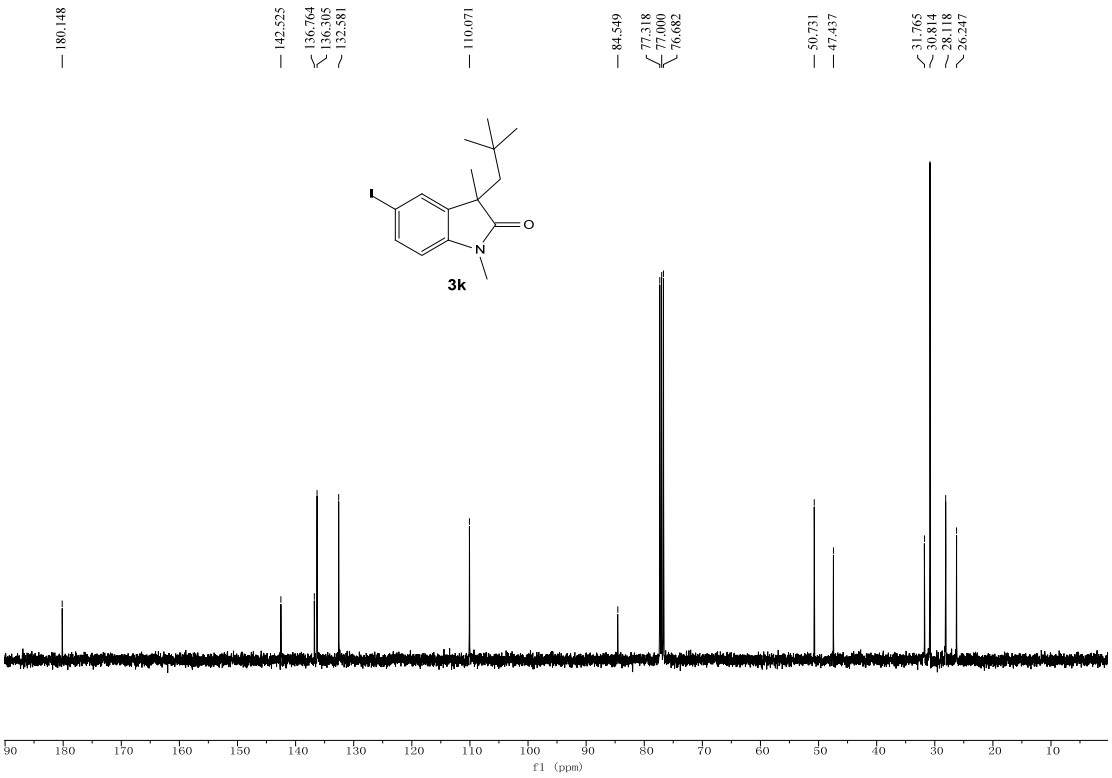
^{1}H and ^{13}C NMR spectra of 3j



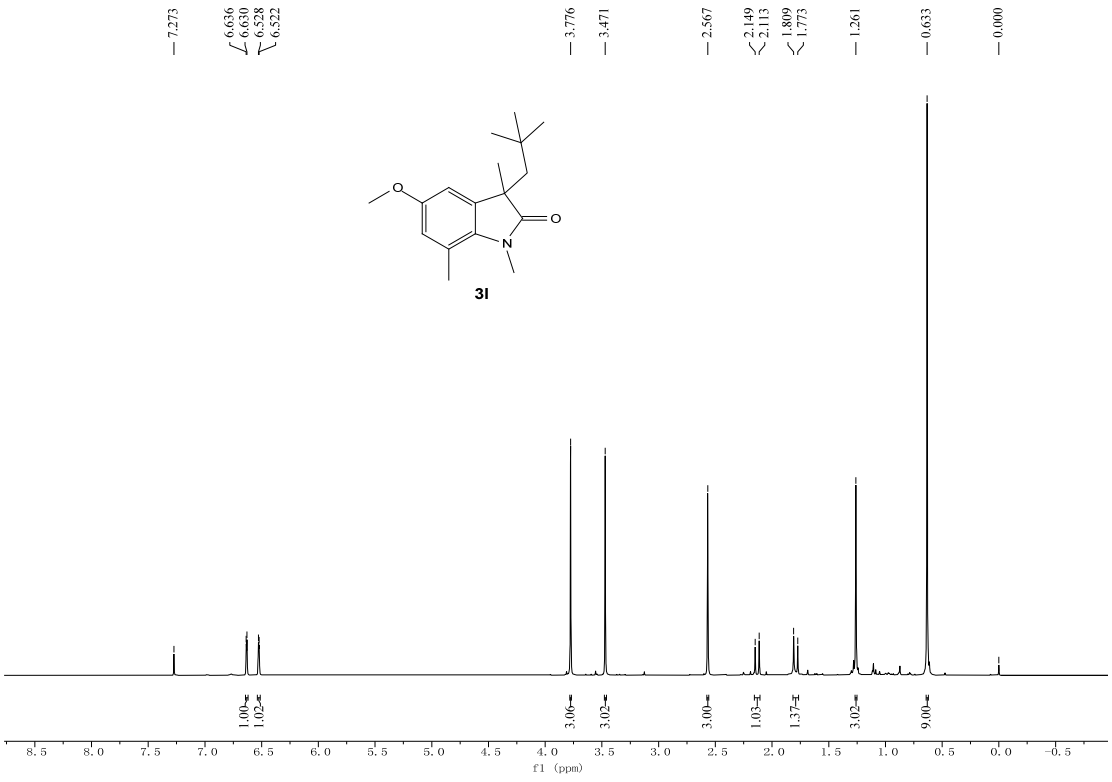


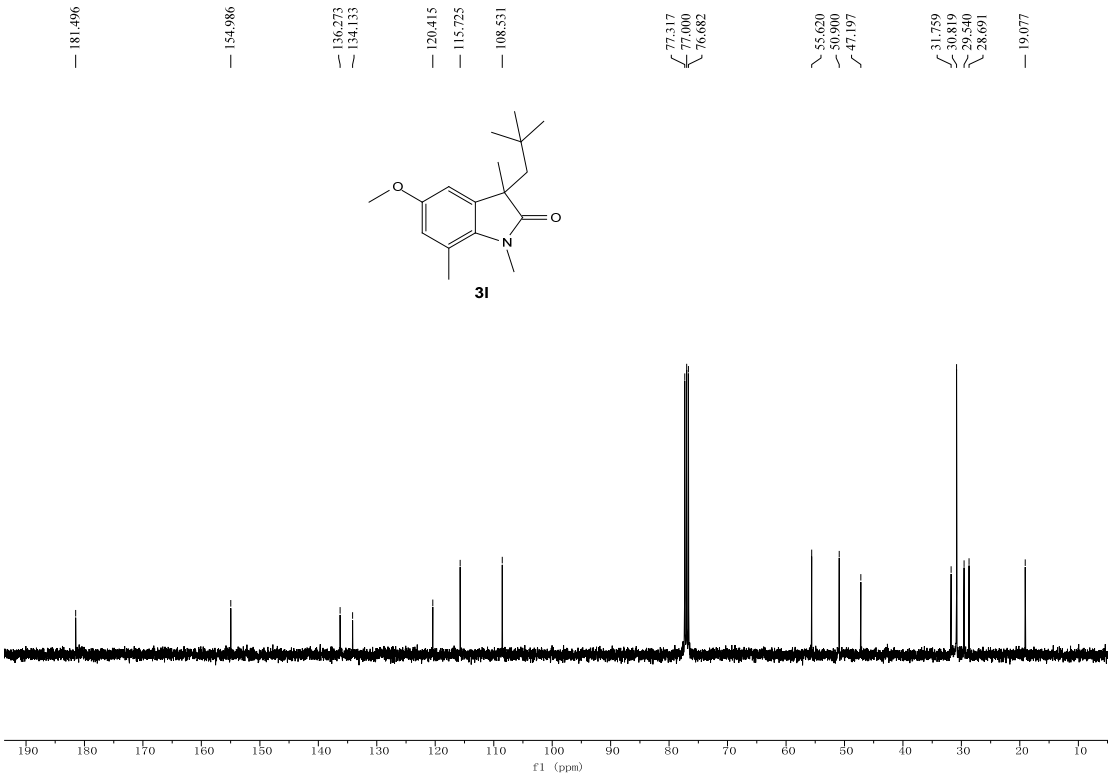
^{1}H and ^{13}C NMR spectra of 3k



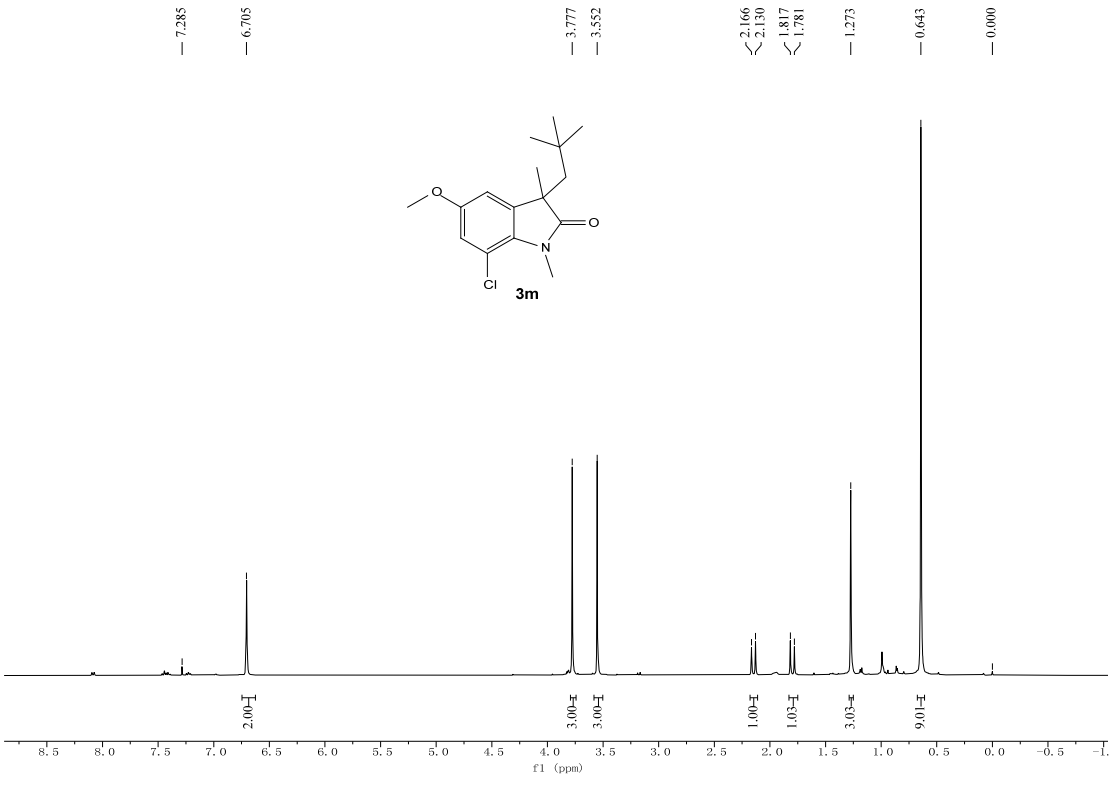


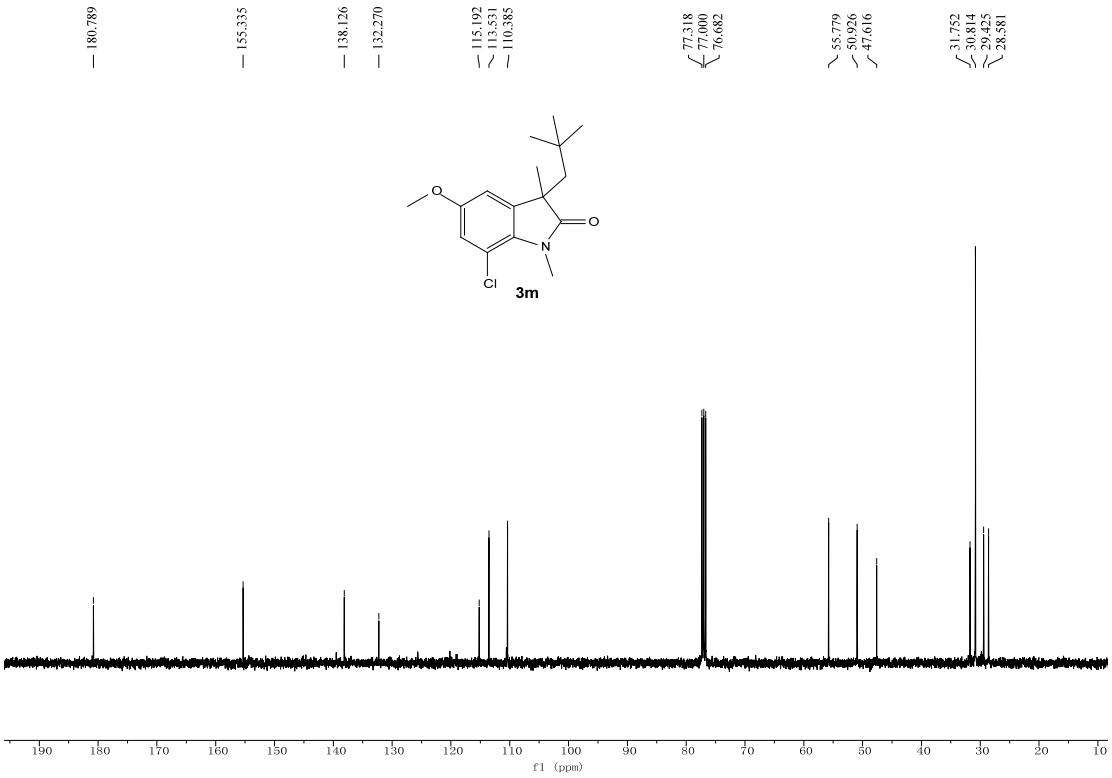
^{1}H and ^{13}C NMR spectra of 3l



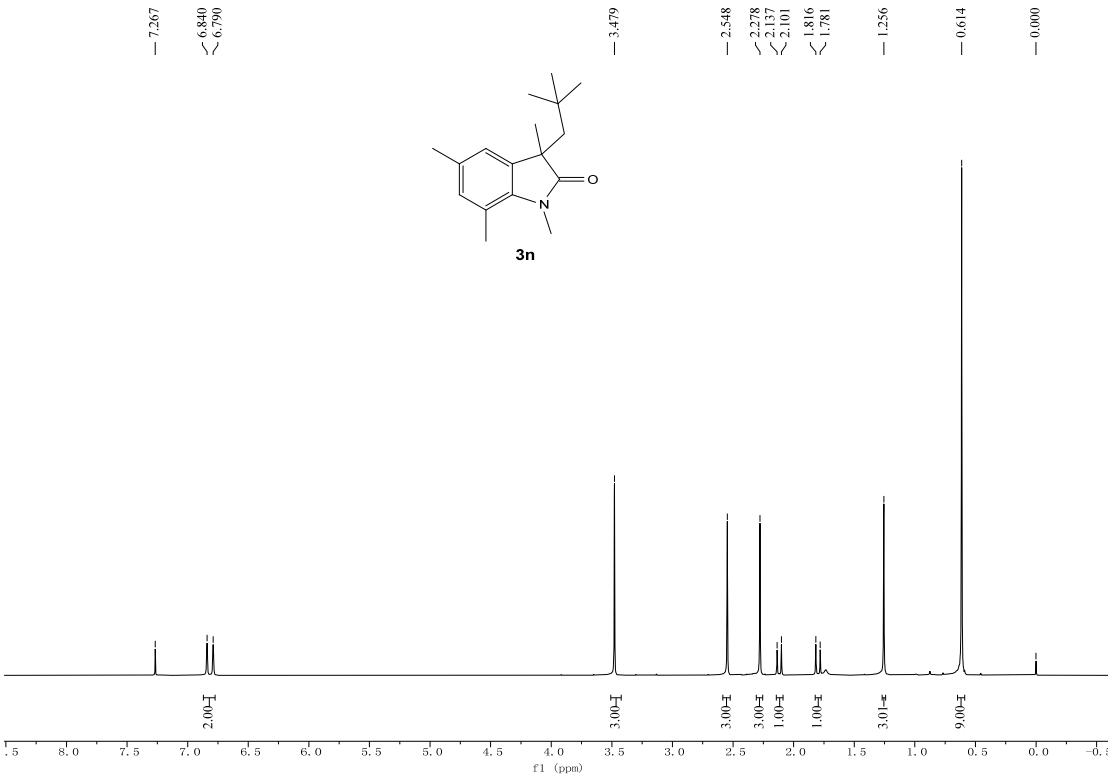


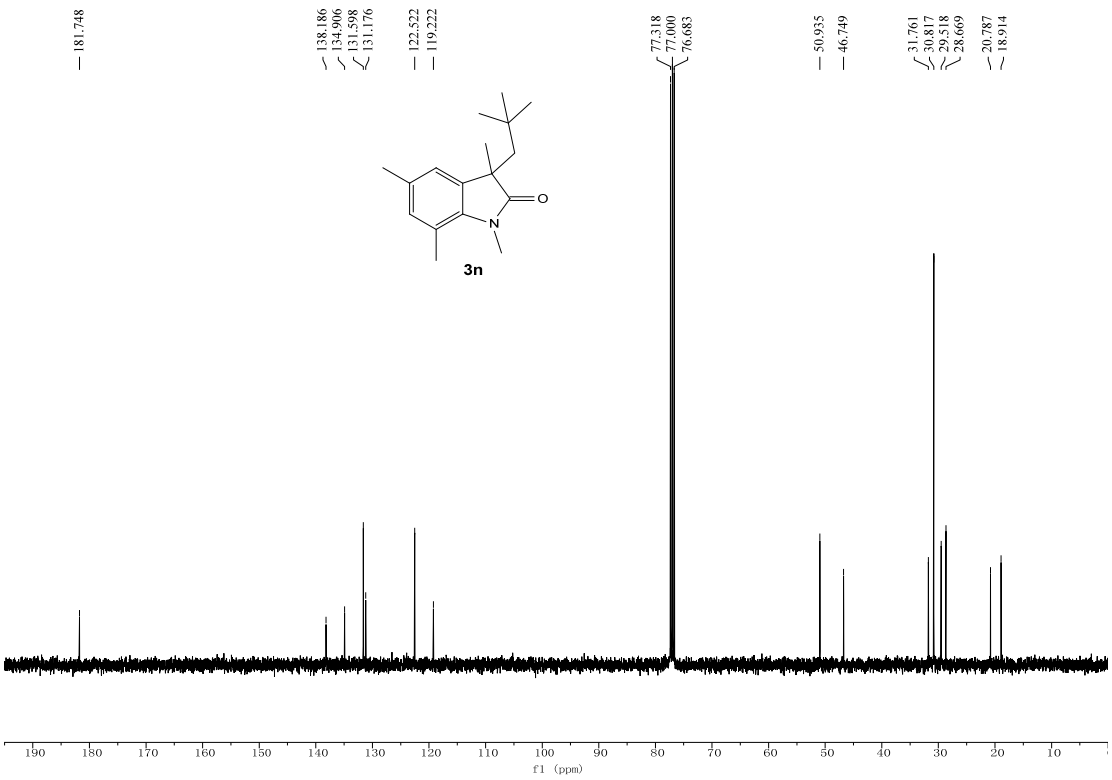
^{1}H and ^{13}C NMR spectra of 3m



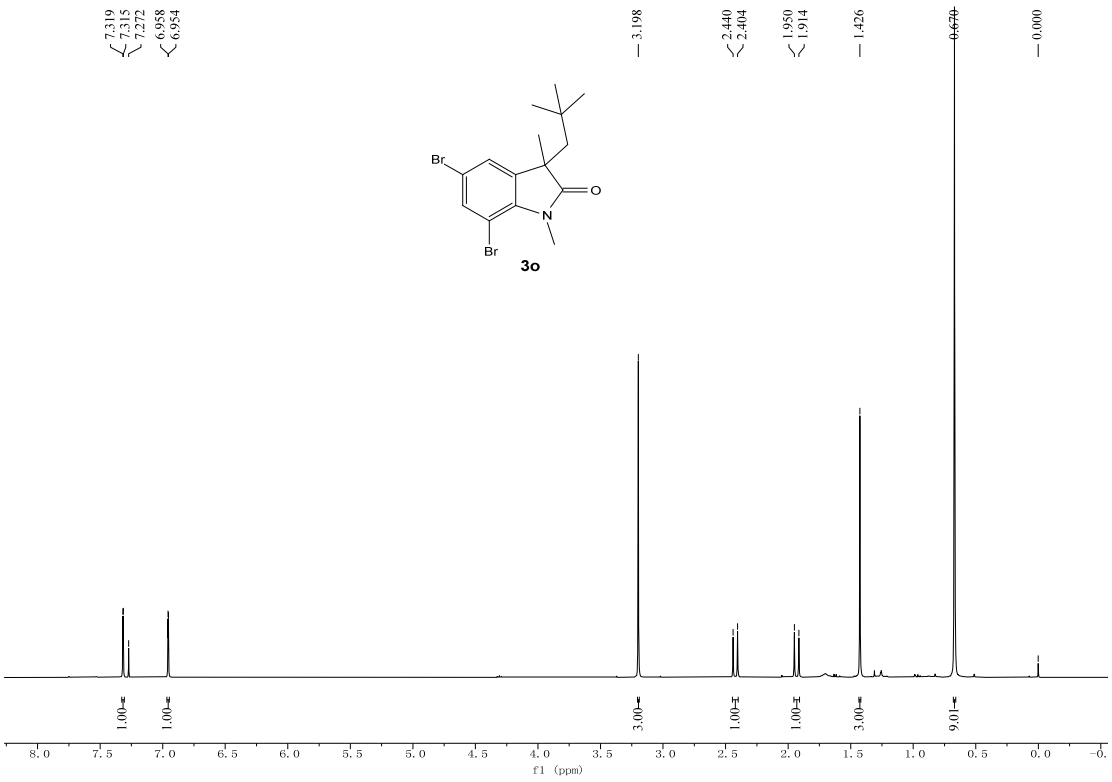


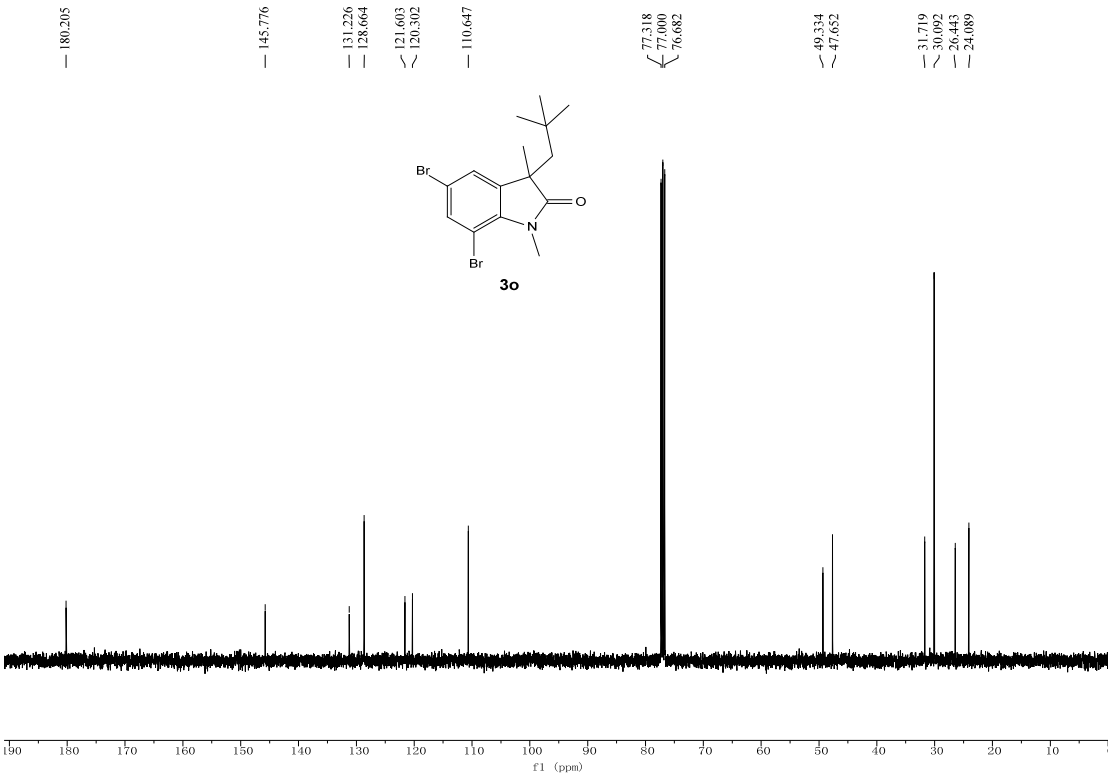
^{1}H and ^{13}C NMR spectra of 3n



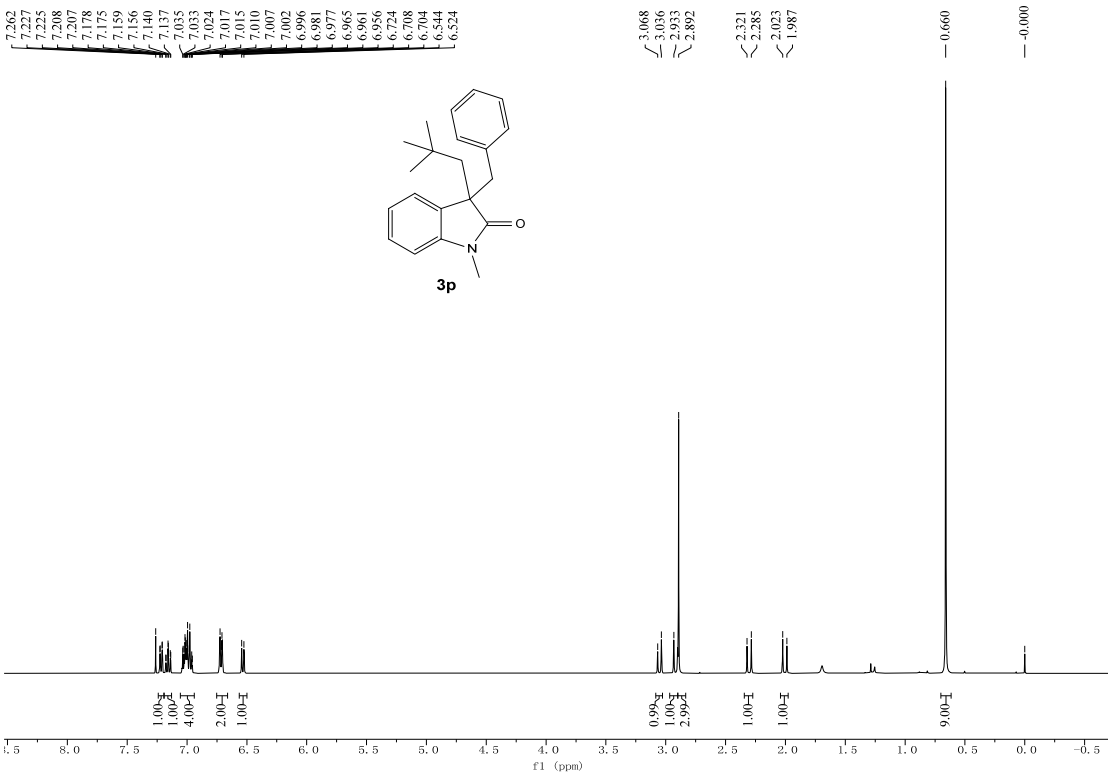


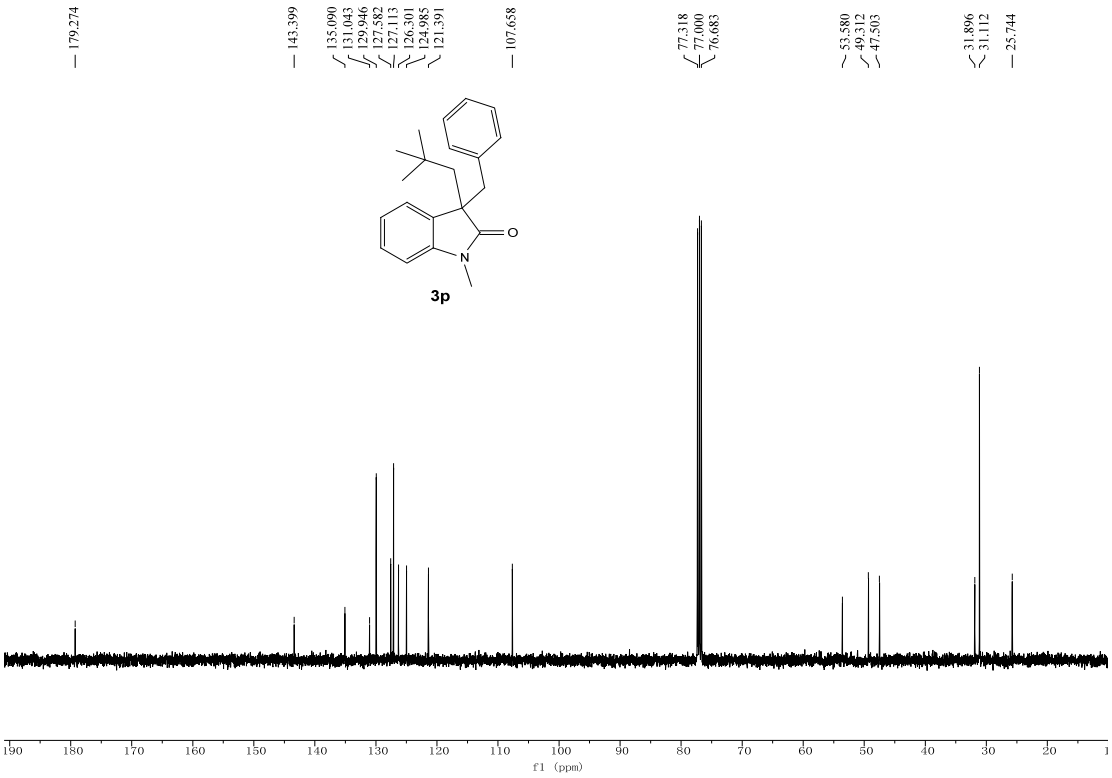
^{1}H and ^{13}C NMR spectra of 3o



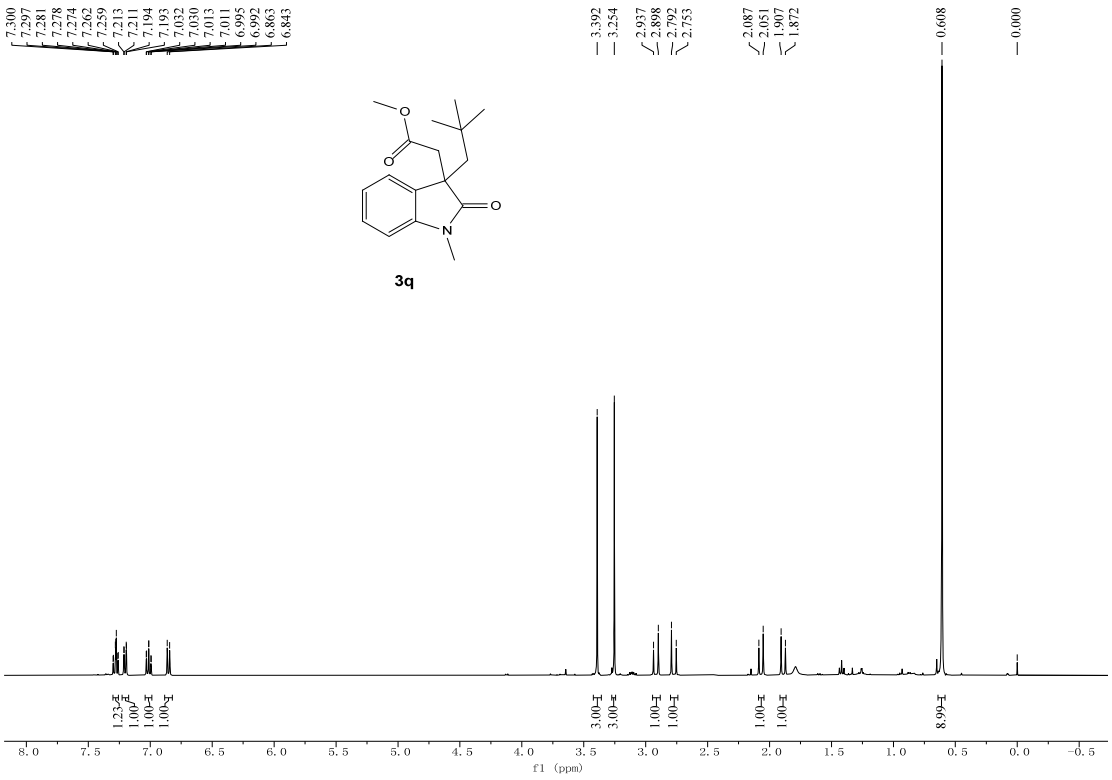


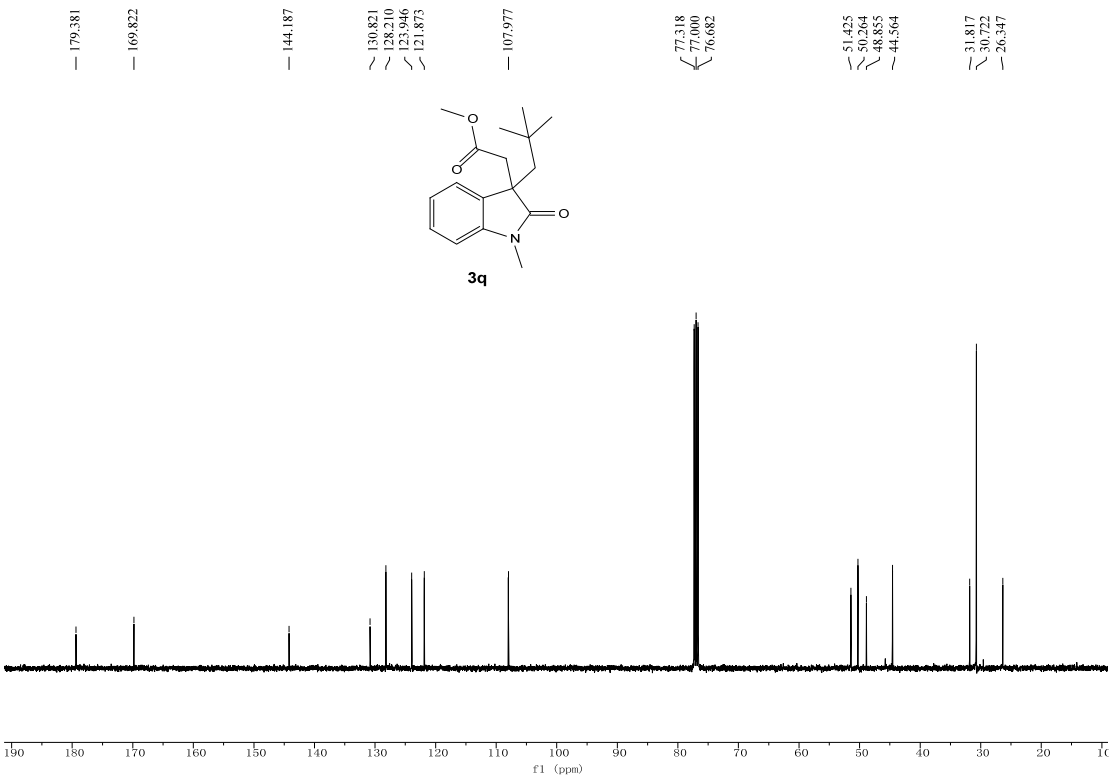
^{1}H and ^{13}C NMR spectra of 3p



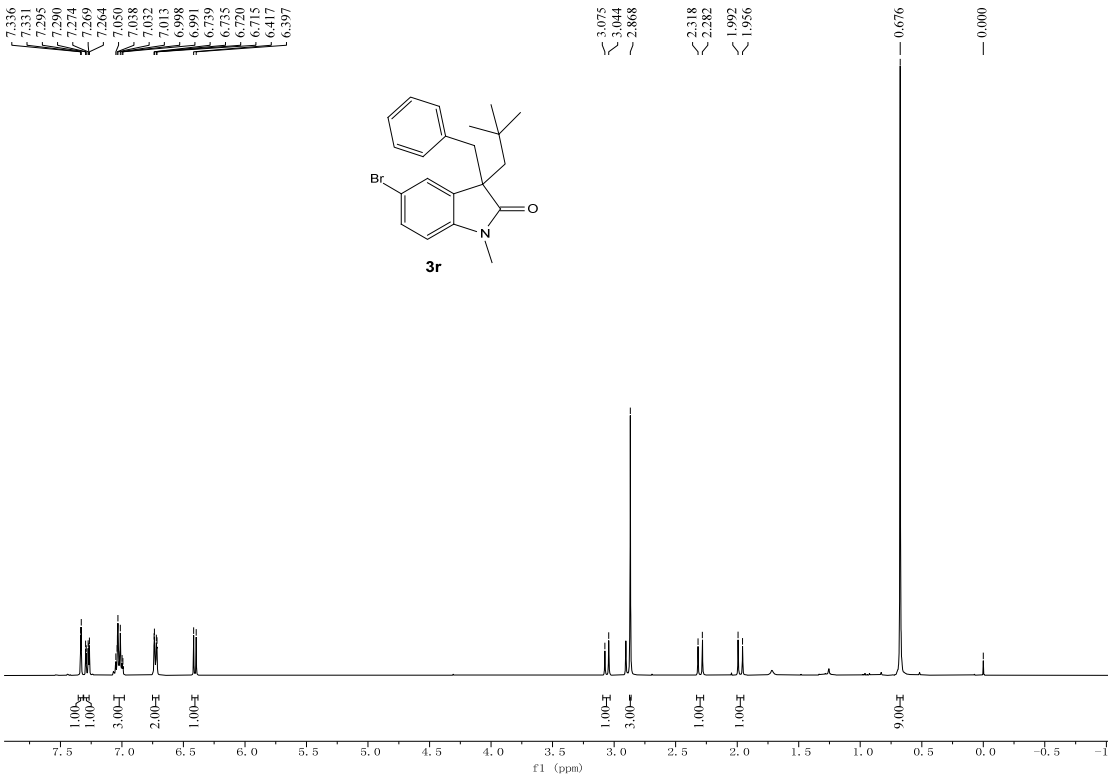


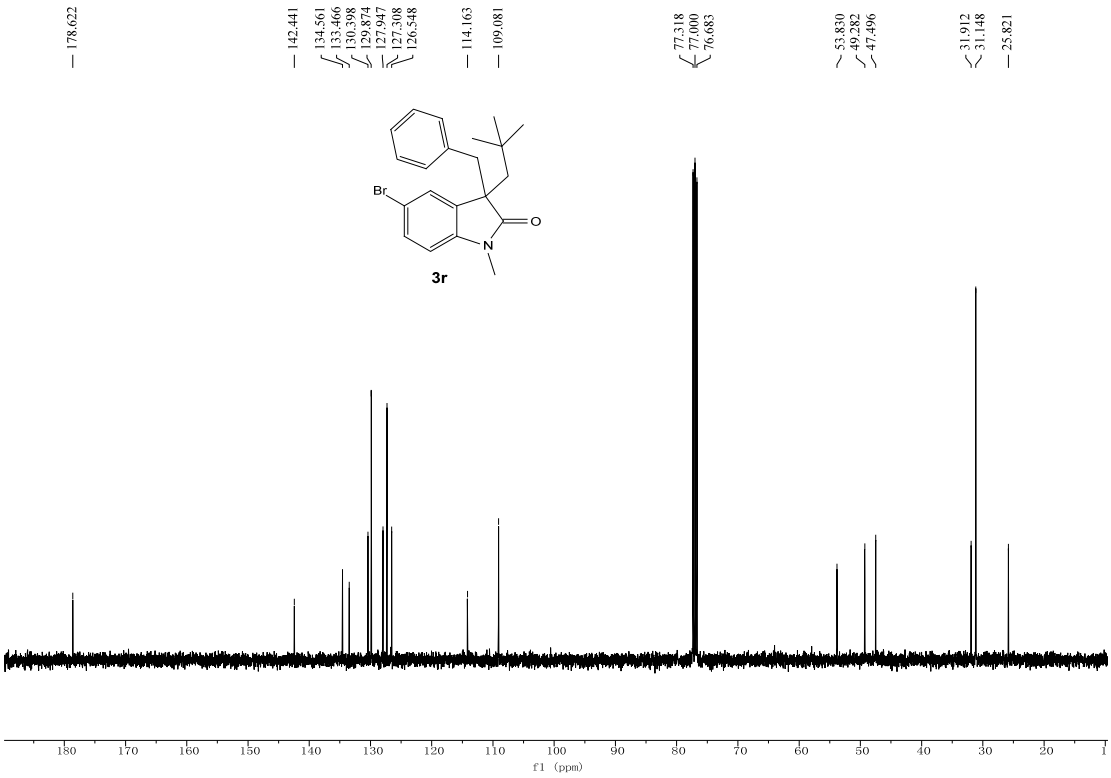
^{1}H and ^{13}C NMR spectra of 3q



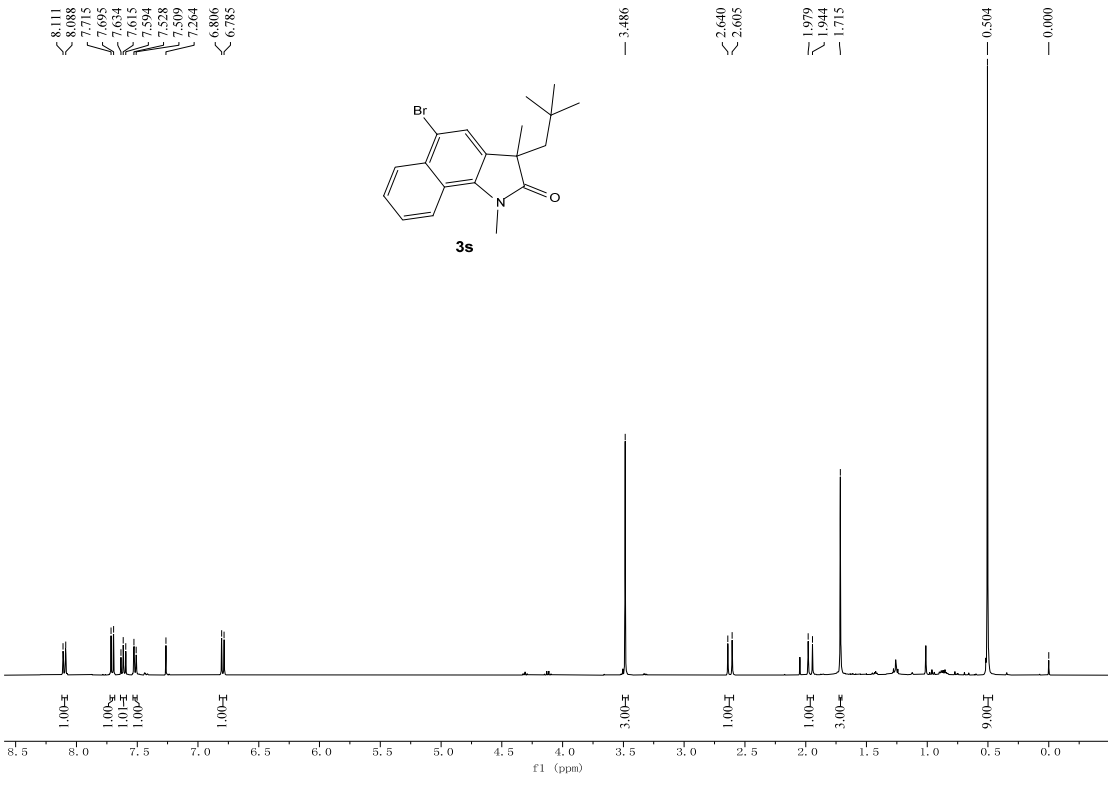


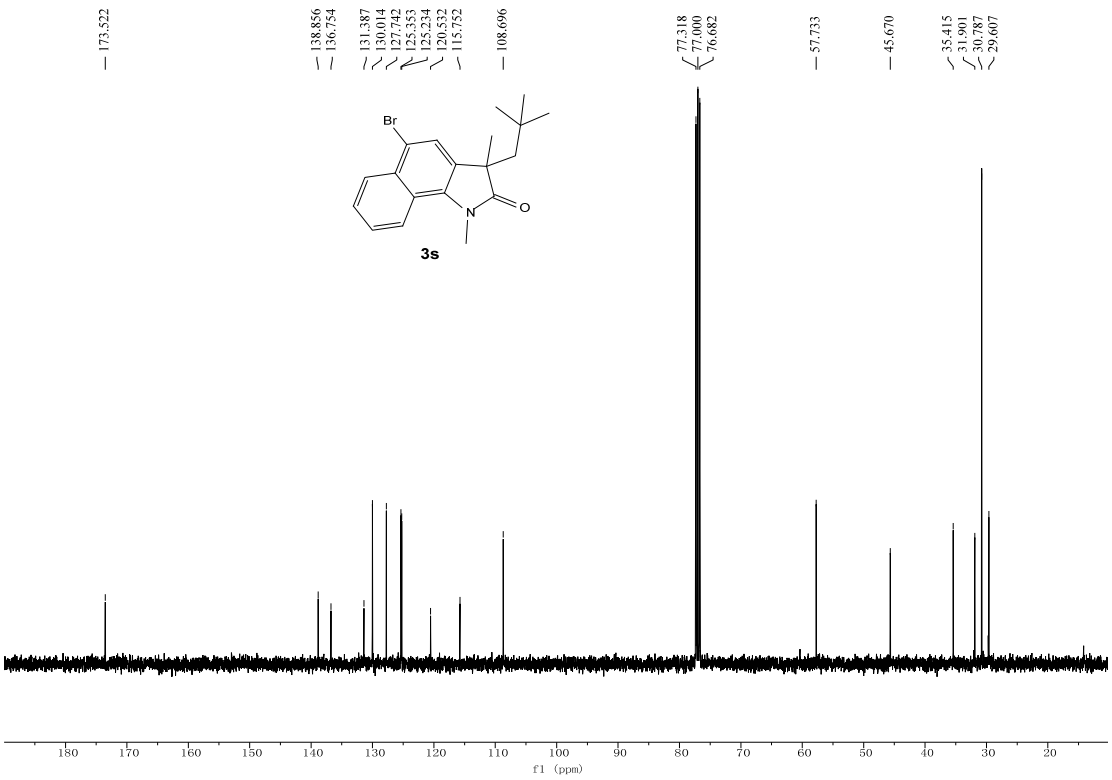
^{1}H and ^{13}C NMR spectra of 3r



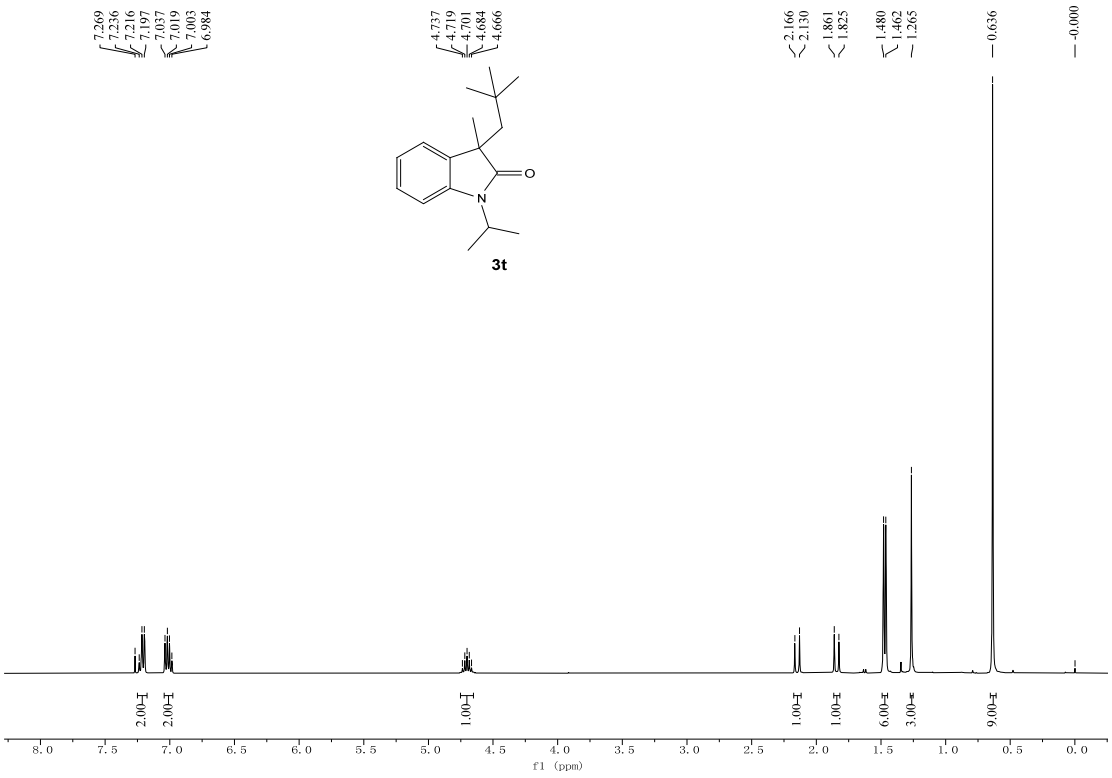


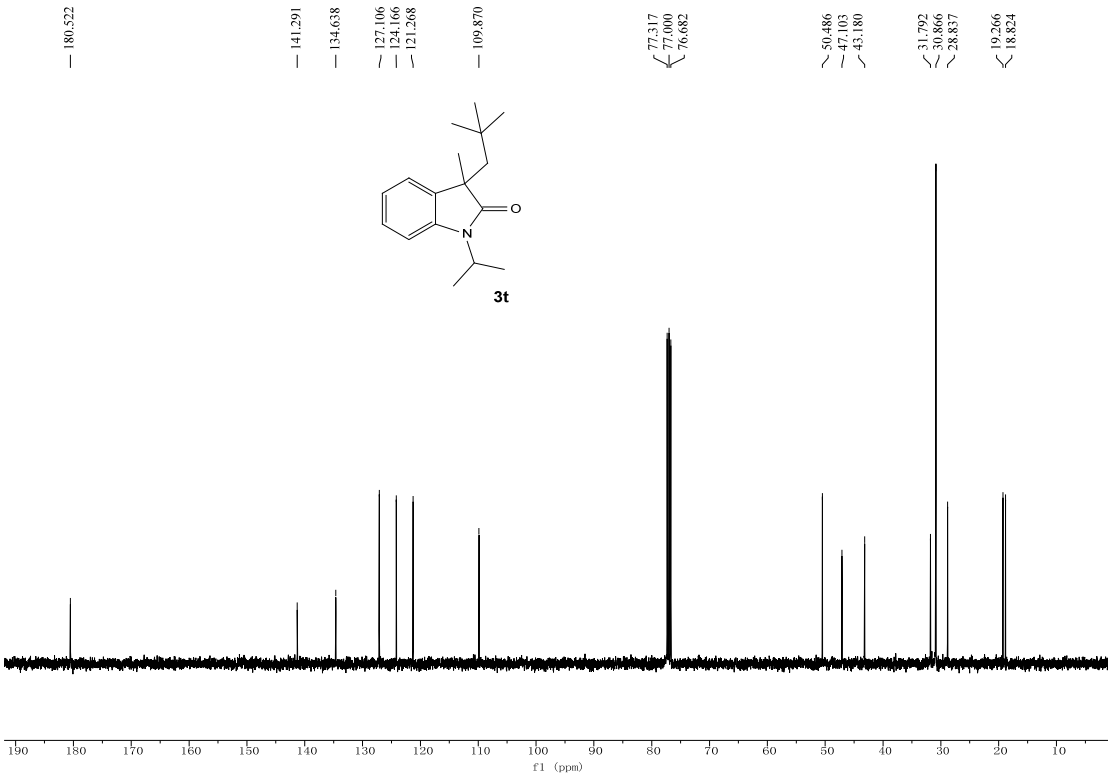
^{1}H and ^{13}C NMR spectra of 3s



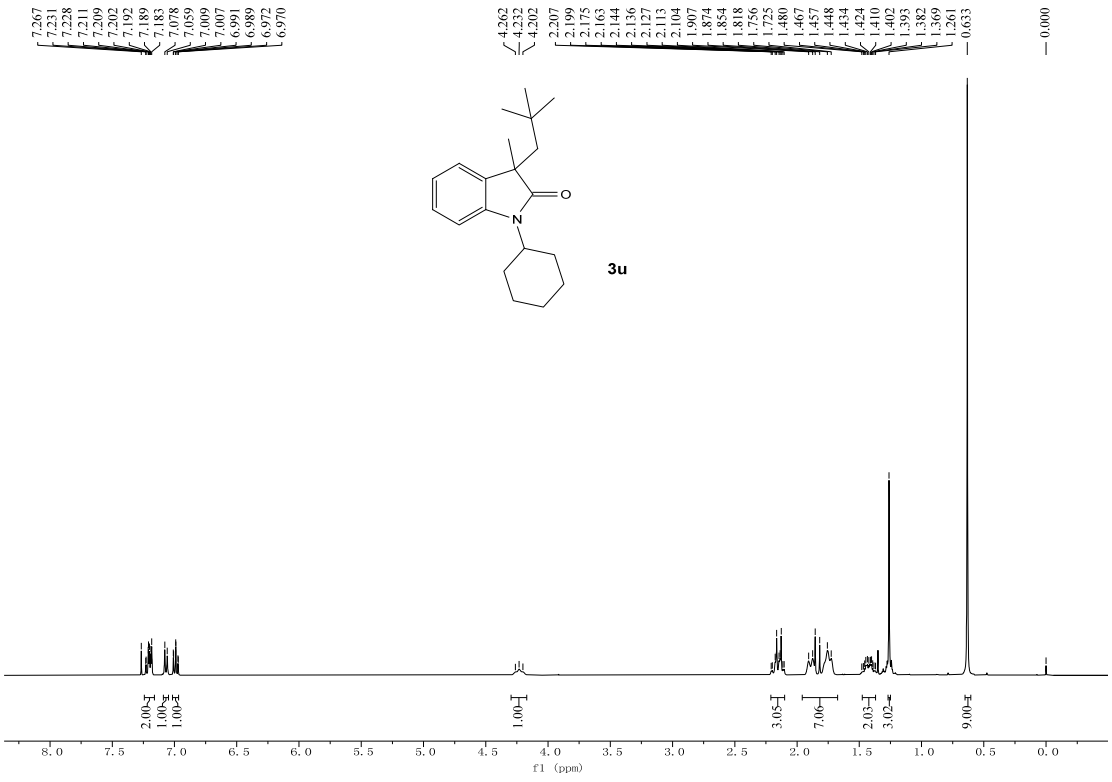


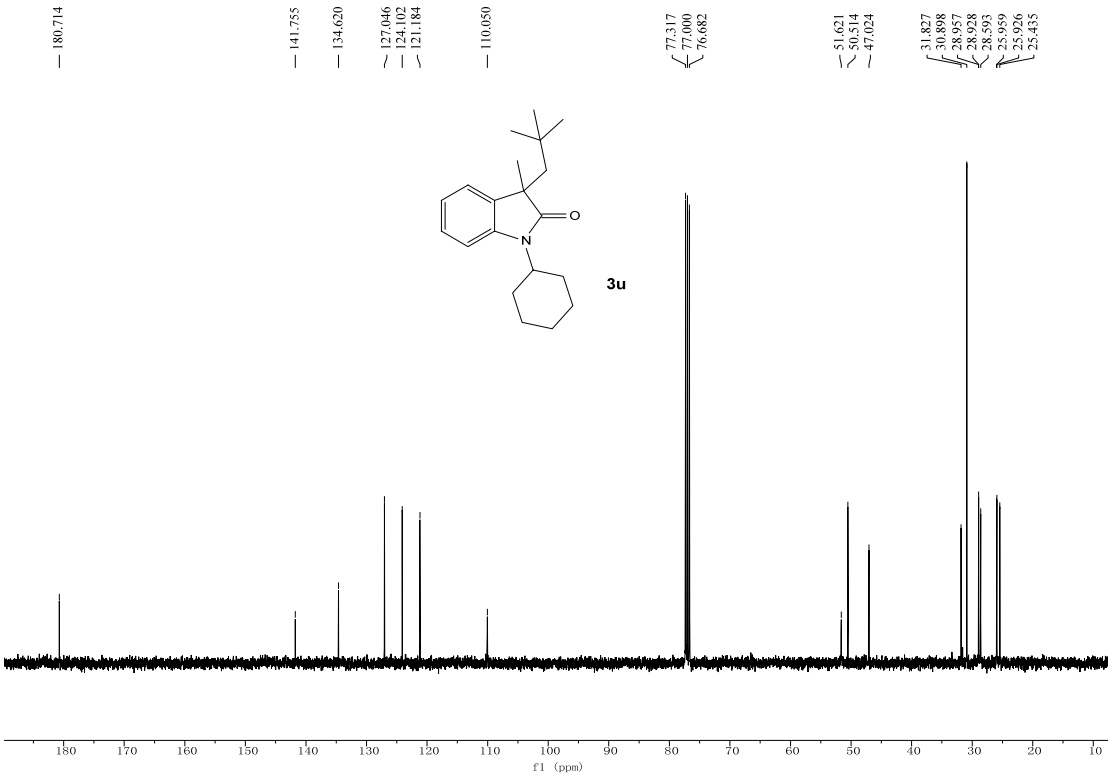
^{1}H and ^{13}C NMR spectra of 3t



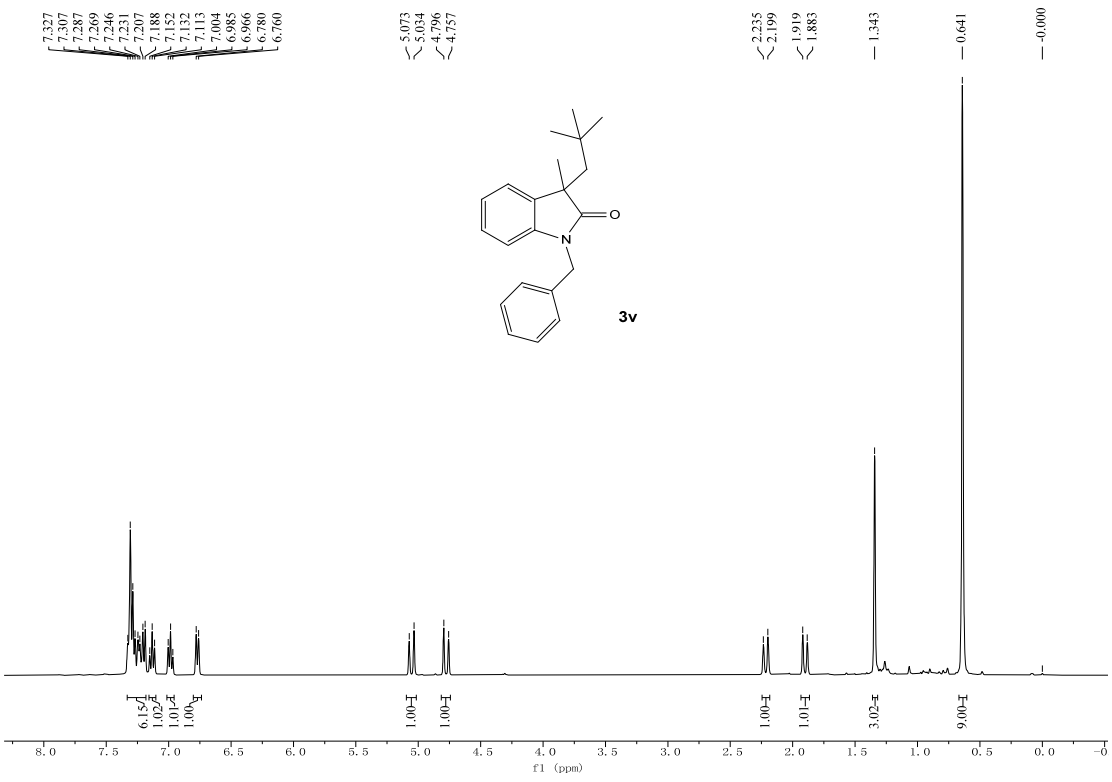


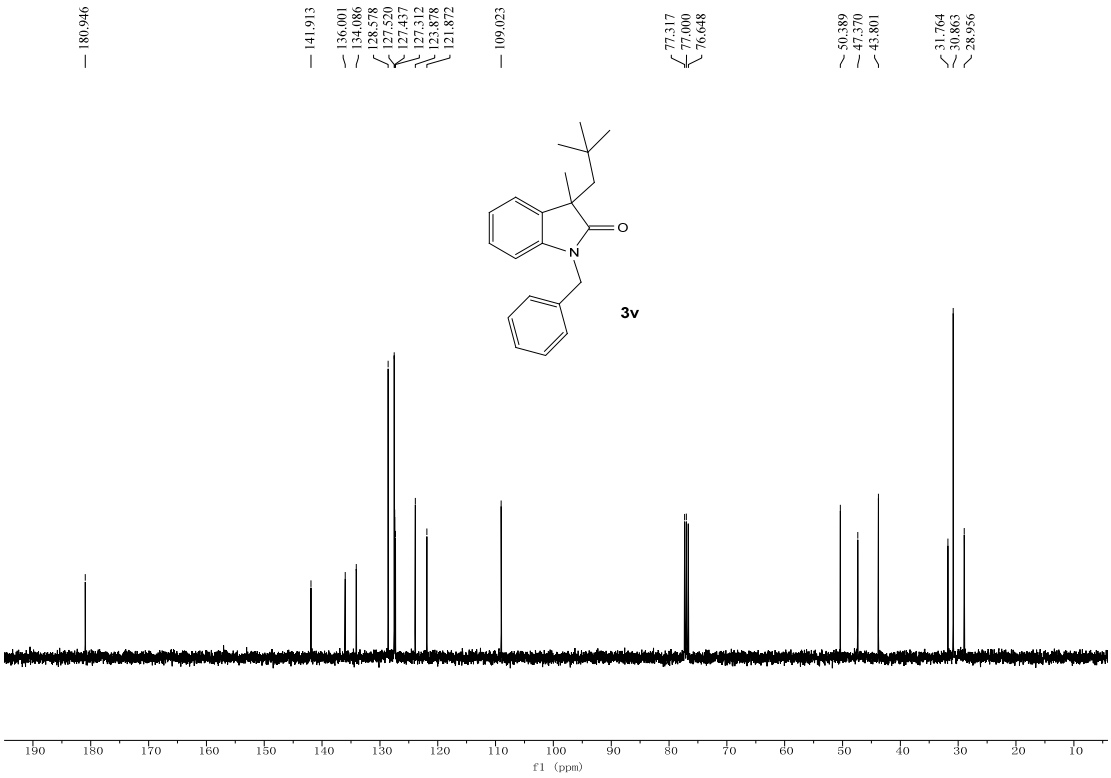
^{1}H and ^{13}C NMR spectra of 3u



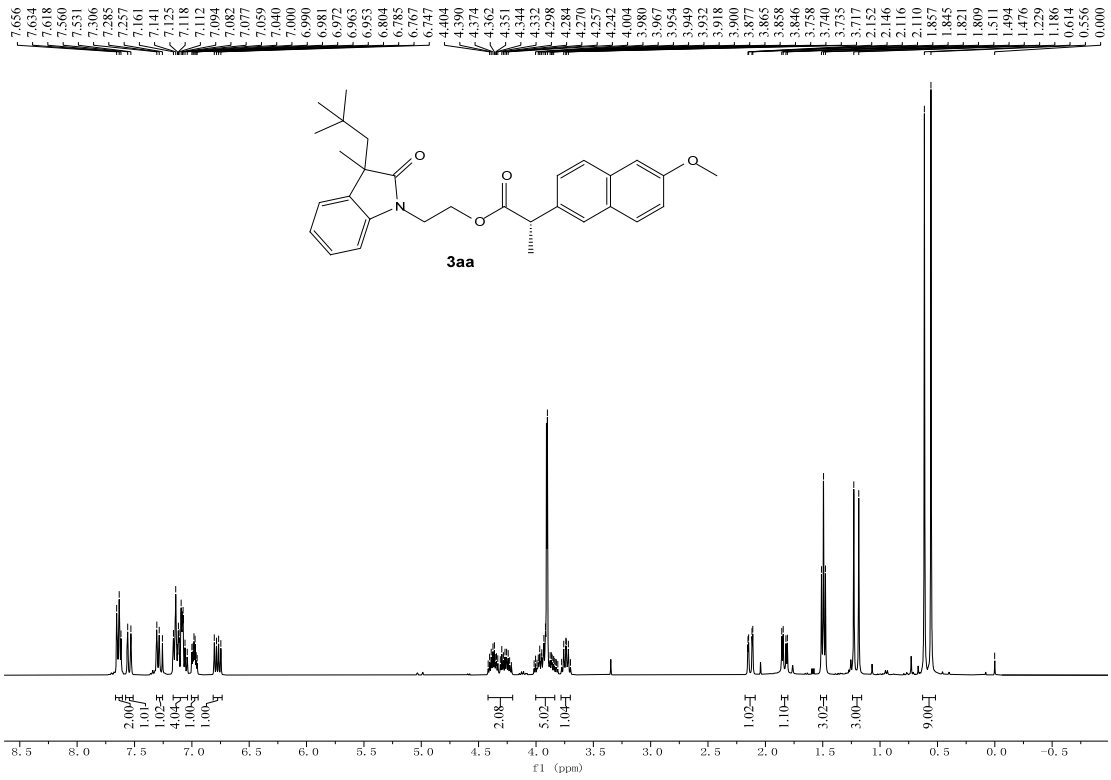


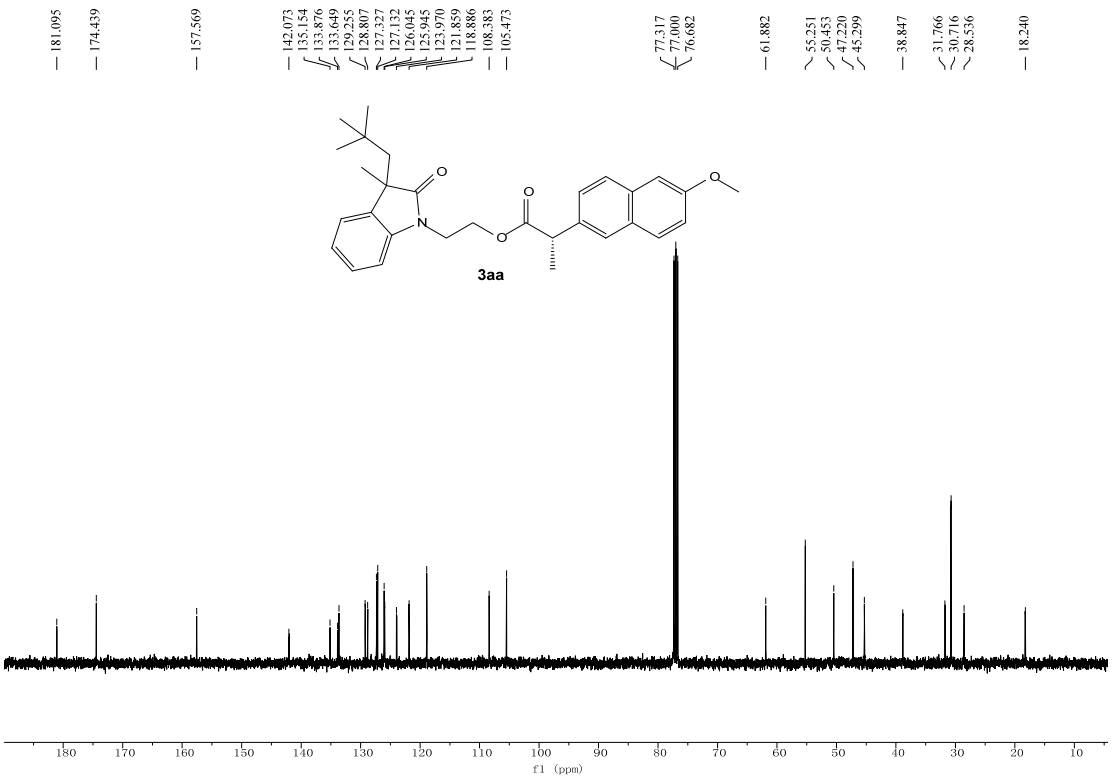
^{1}H and ^{13}C NMR spectra of 3v



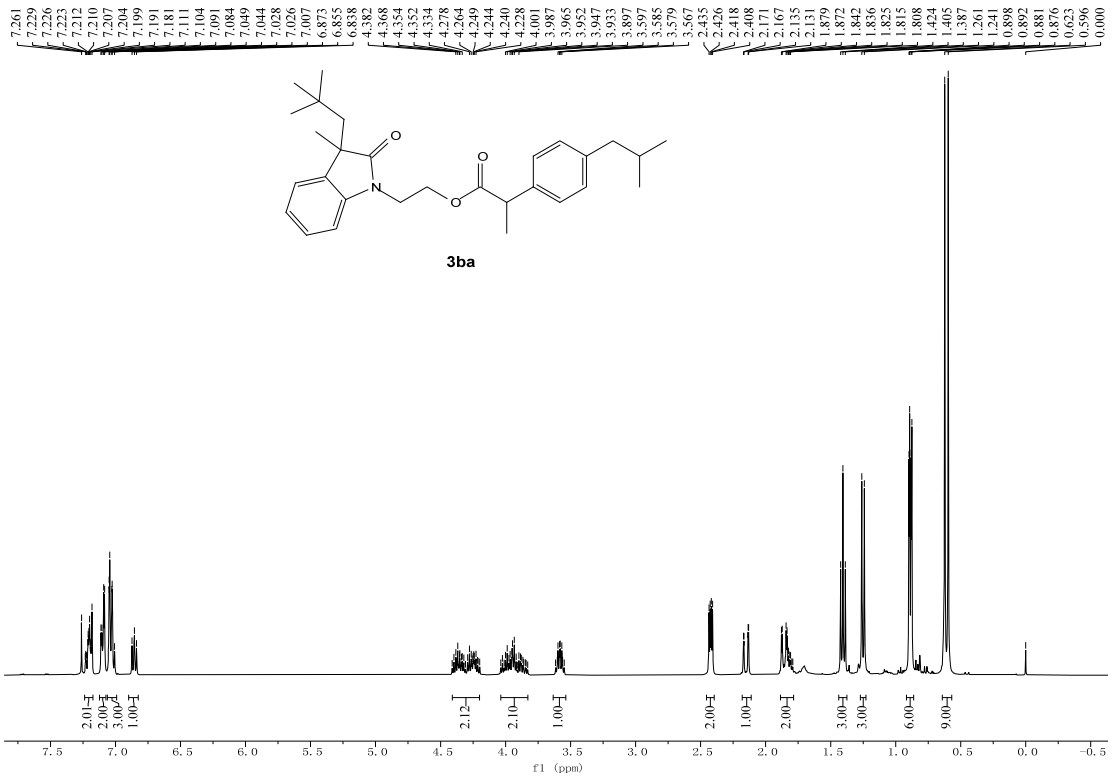


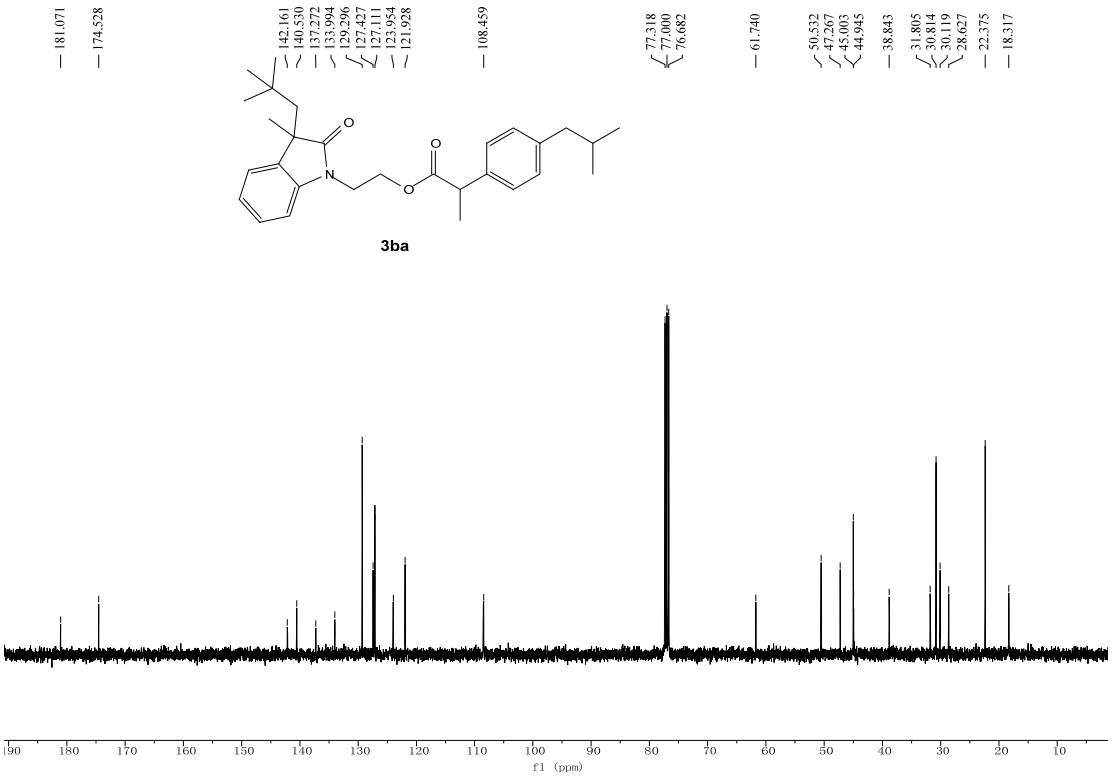
^{1}H and ^{13}C NMR spectra of 3aa



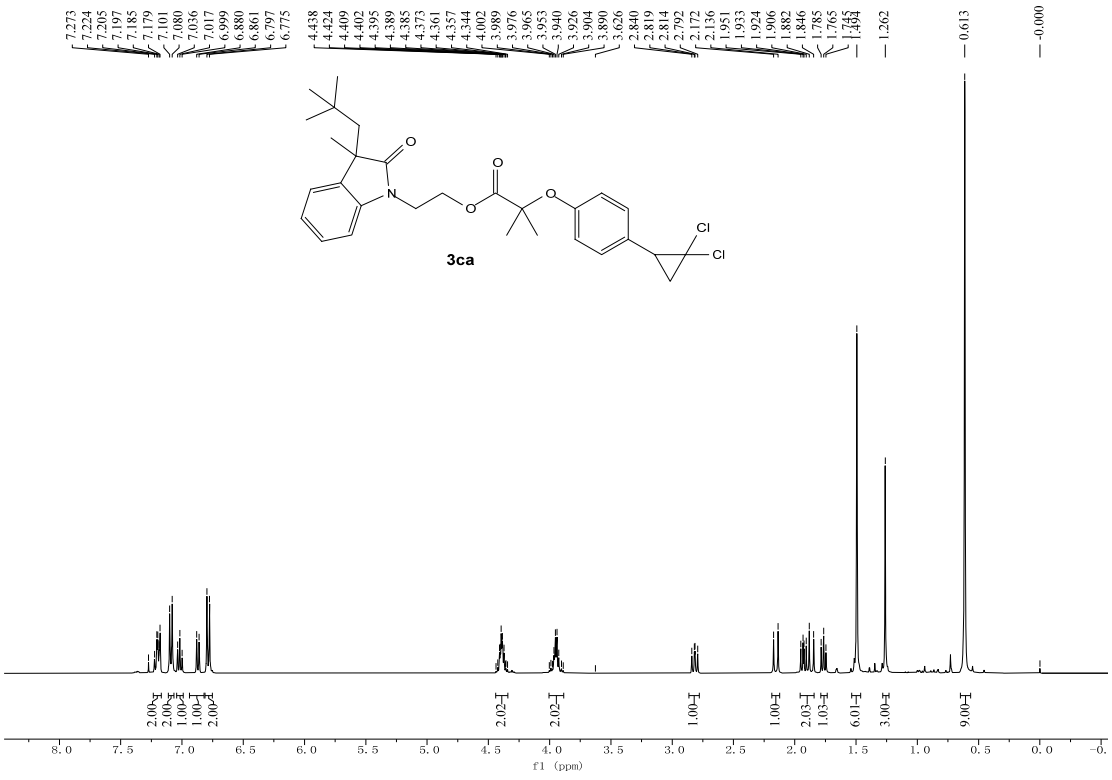


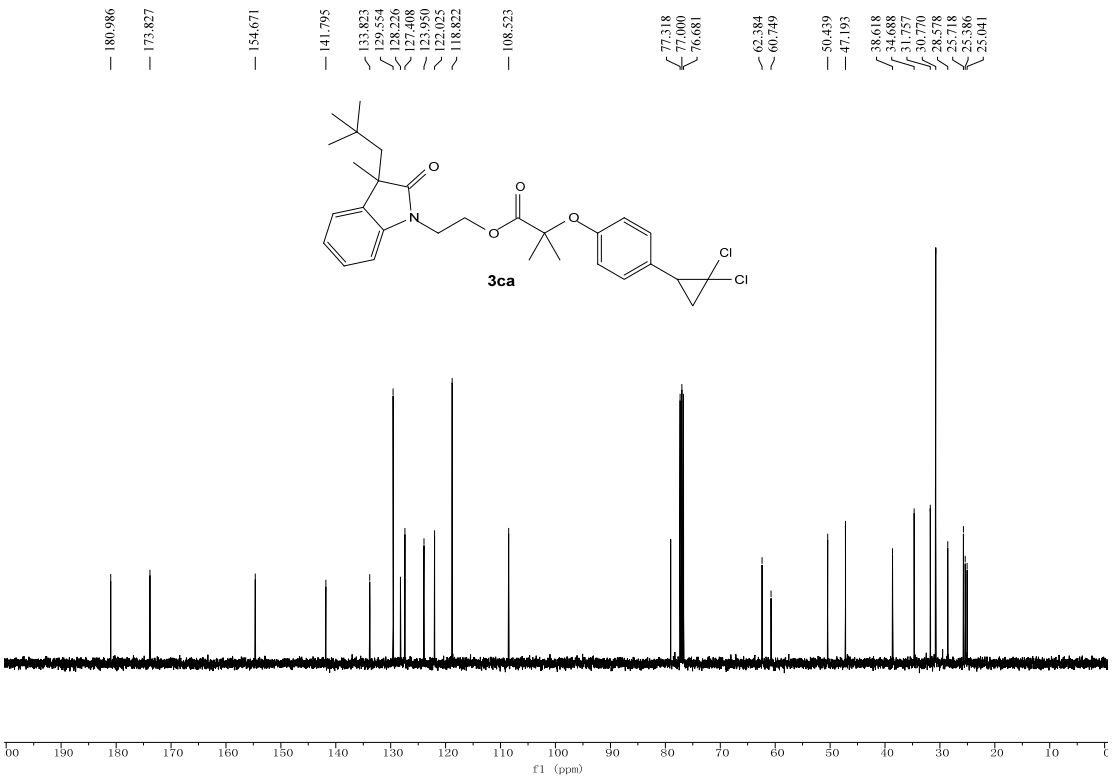
^{1}H and ^{13}C NMR spectra of 3ba



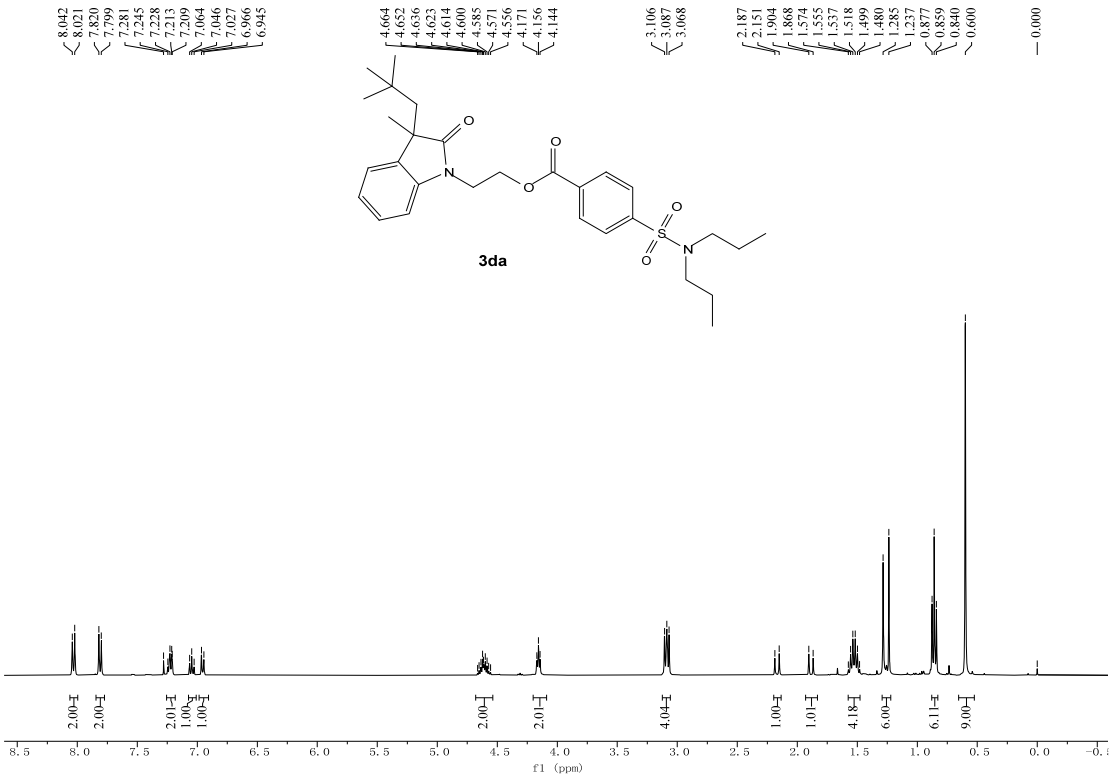


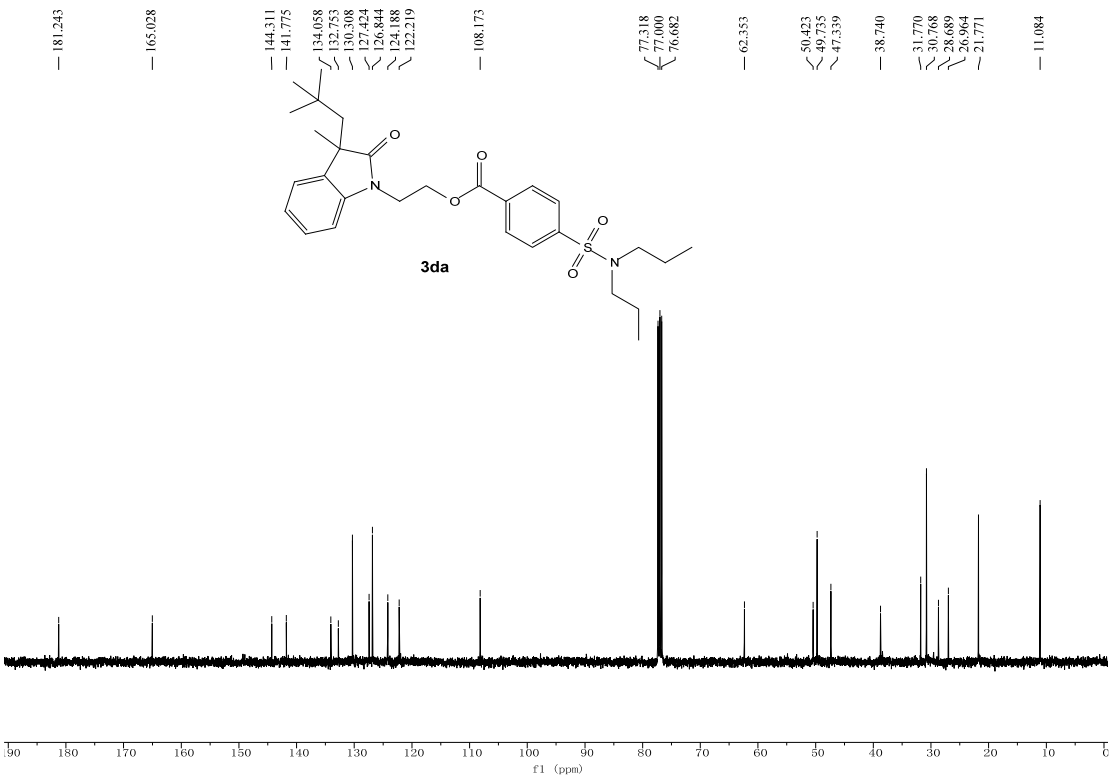
^{1}H and ^{13}C NMR spectra of 3ca



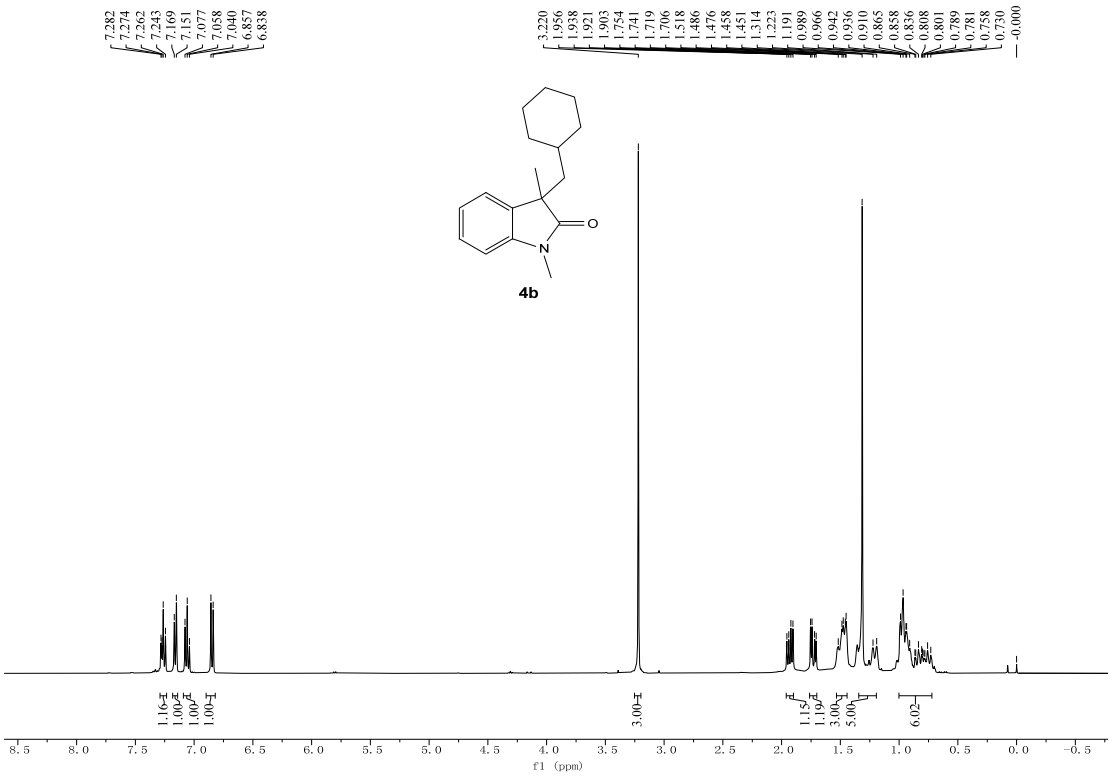


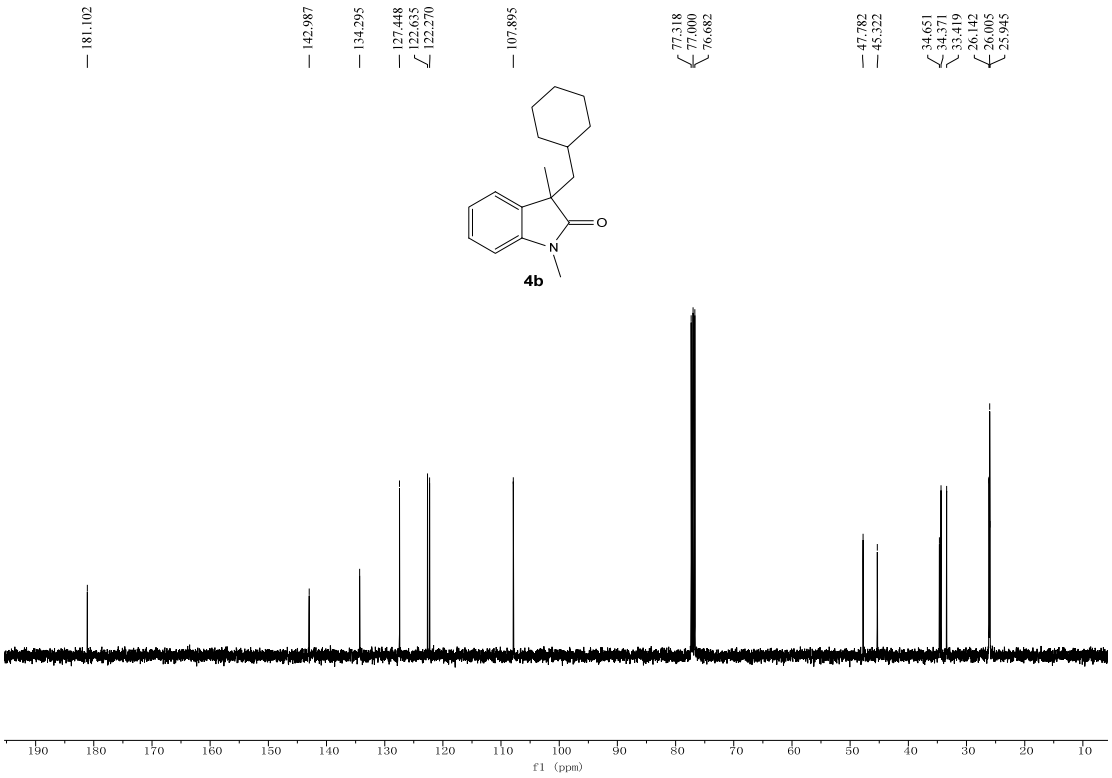
^{1}H and ^{13}C NMR spectra of 3da



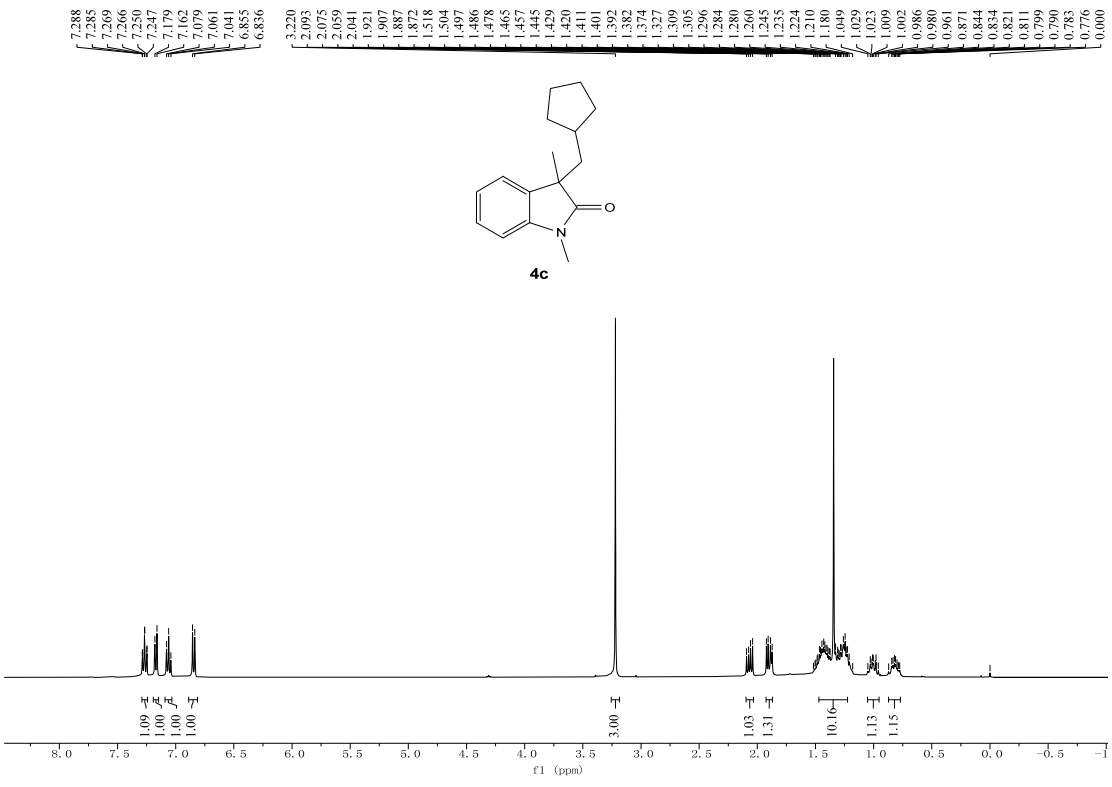


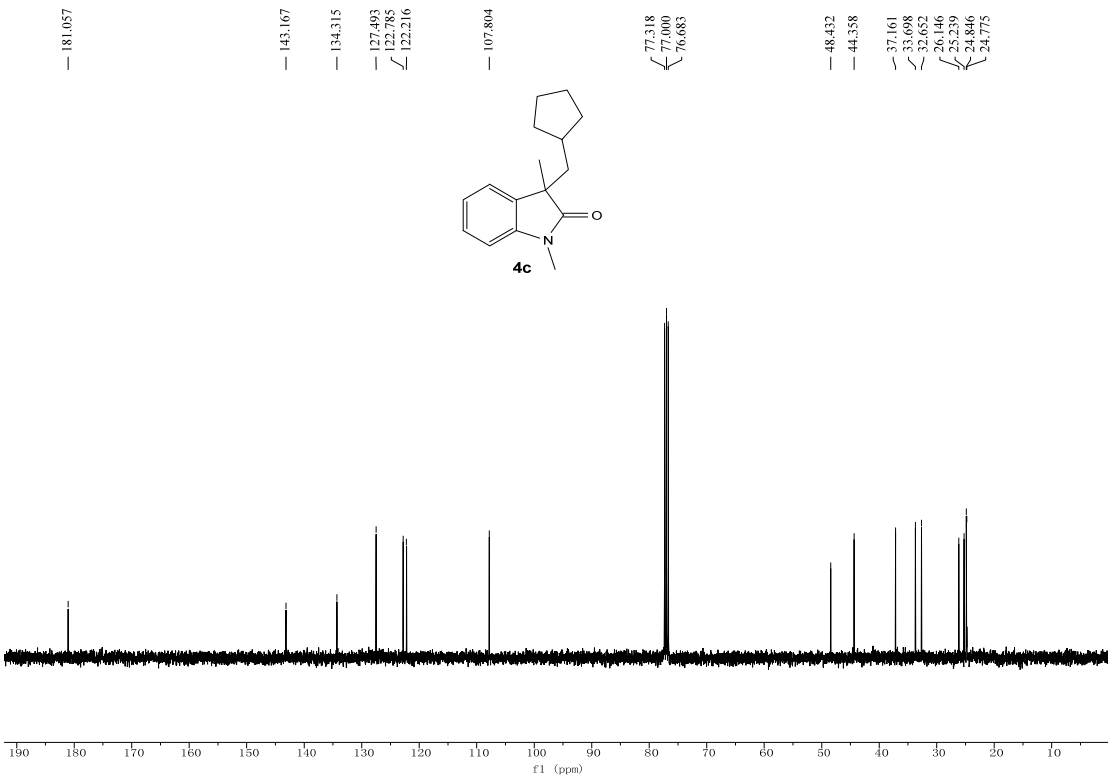
^{1}H and ^{13}C NMR spectra of 4b



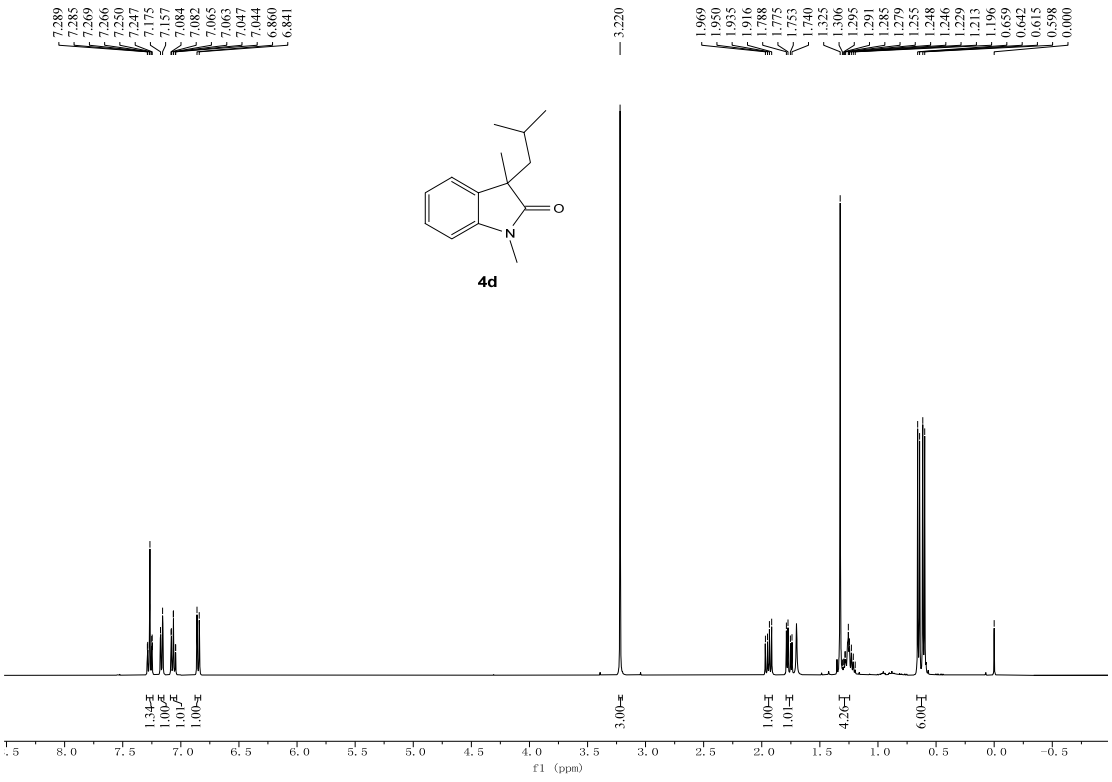


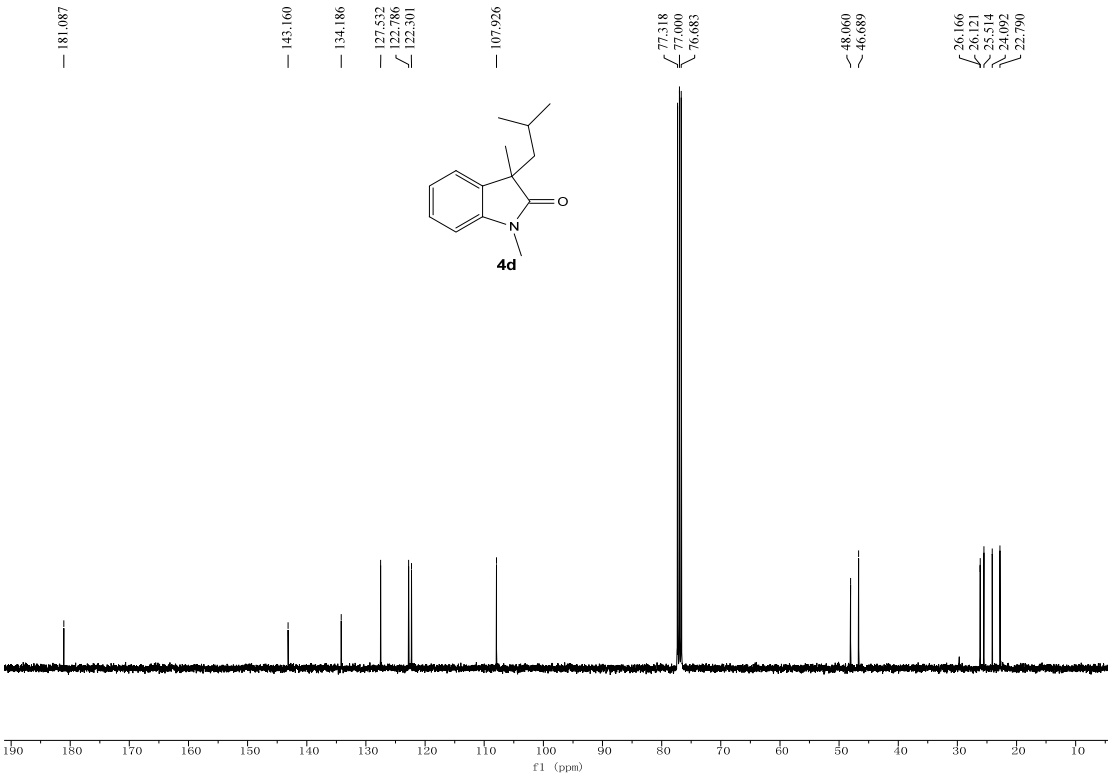
^{1}H and ^{13}C NMR spectra of 4c



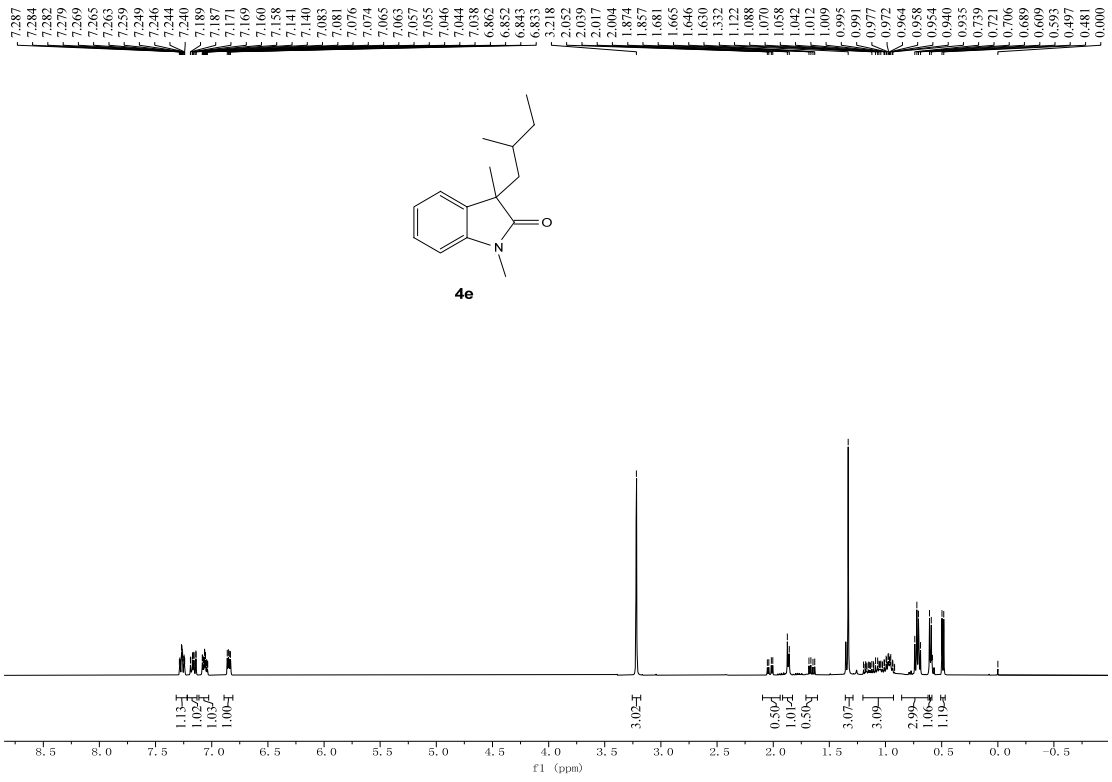


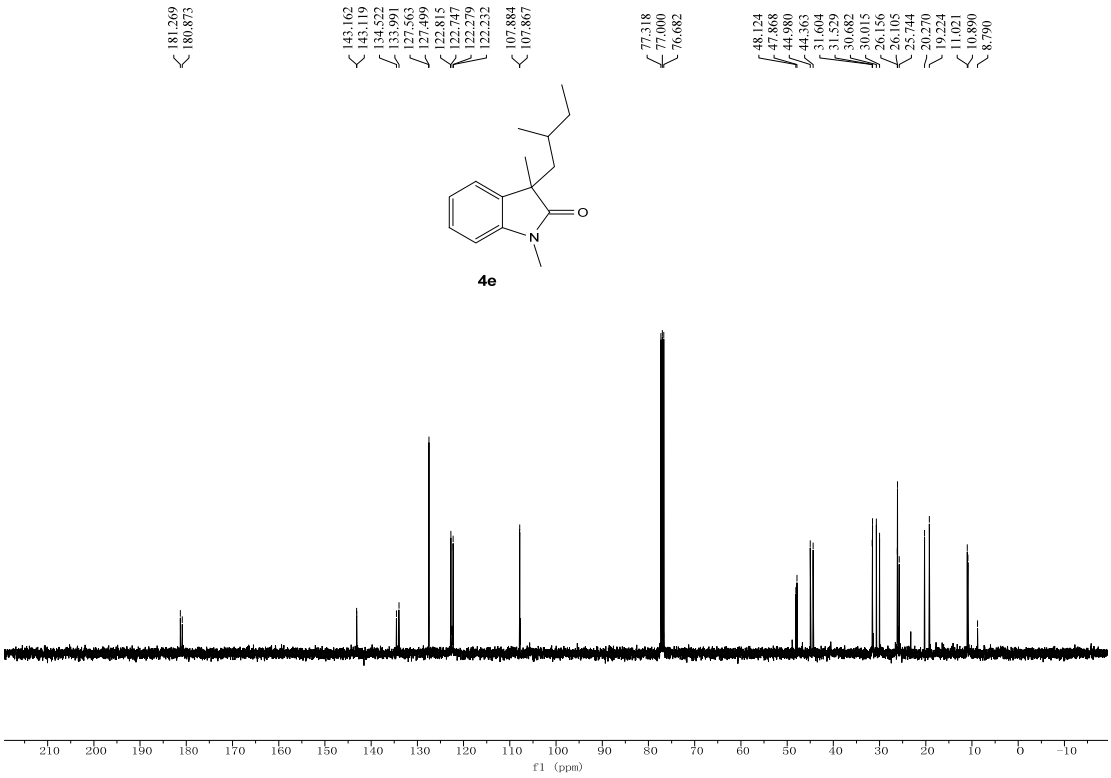
^{1}H and ^{13}C NMR spectra of 4d



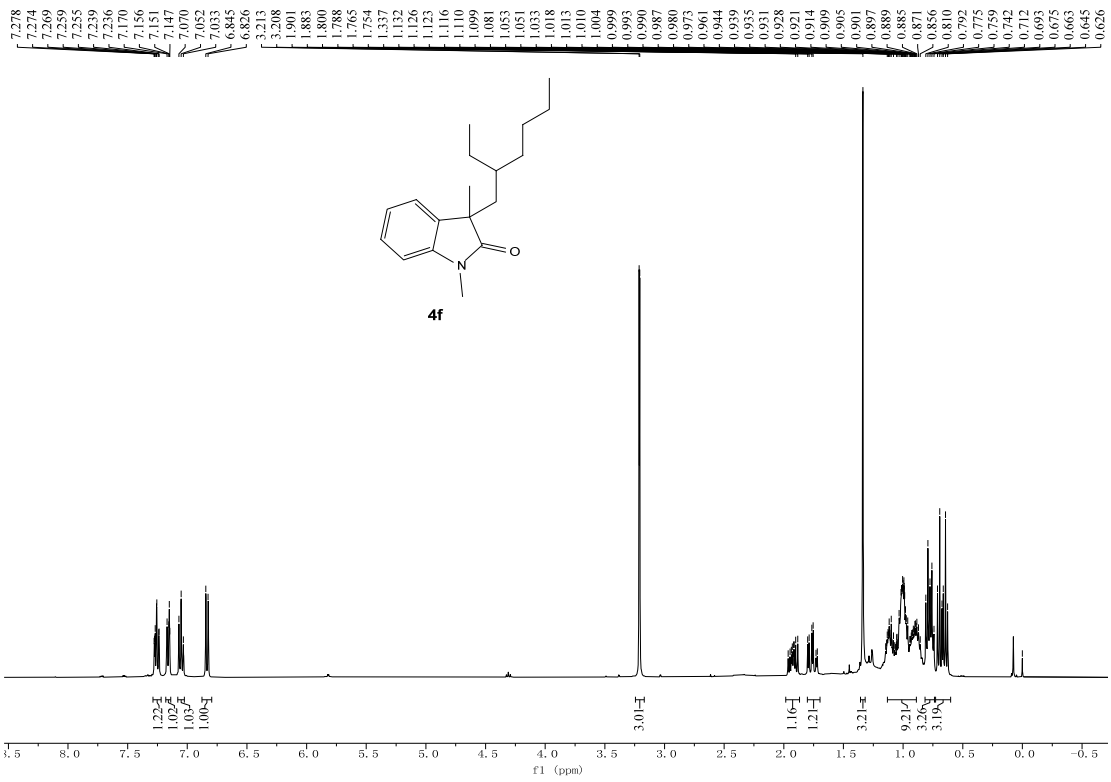


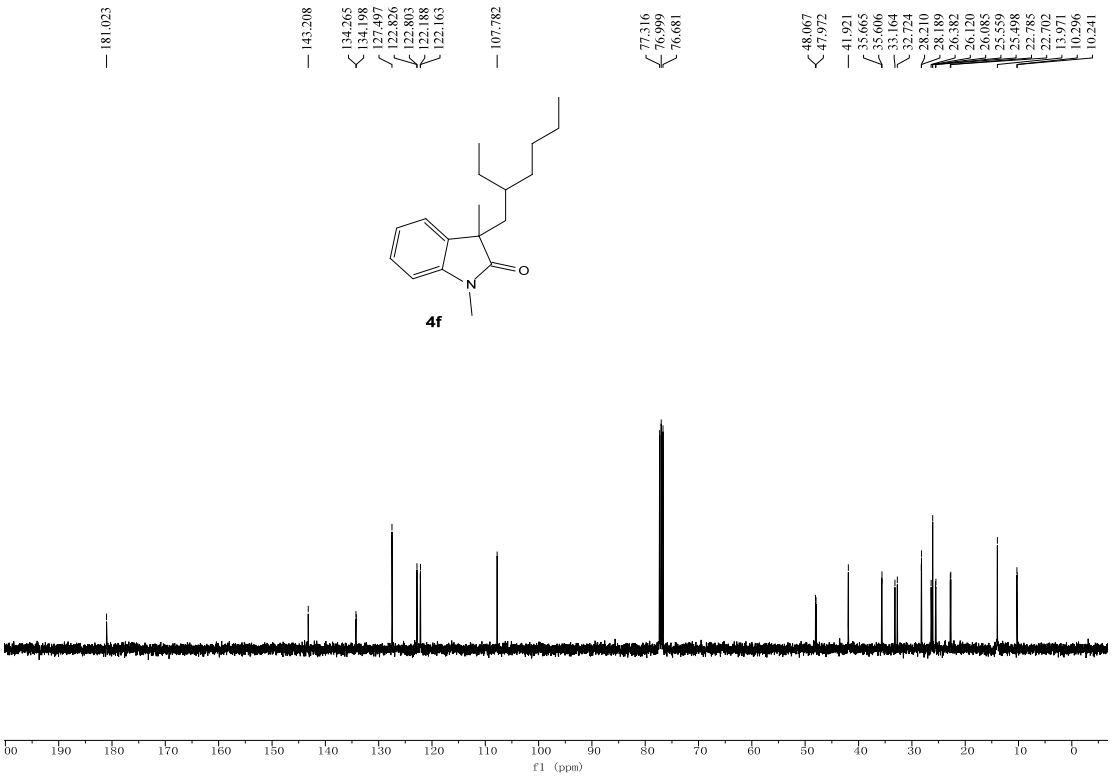
^{1}H and ^{13}C NMR spectra of 4e



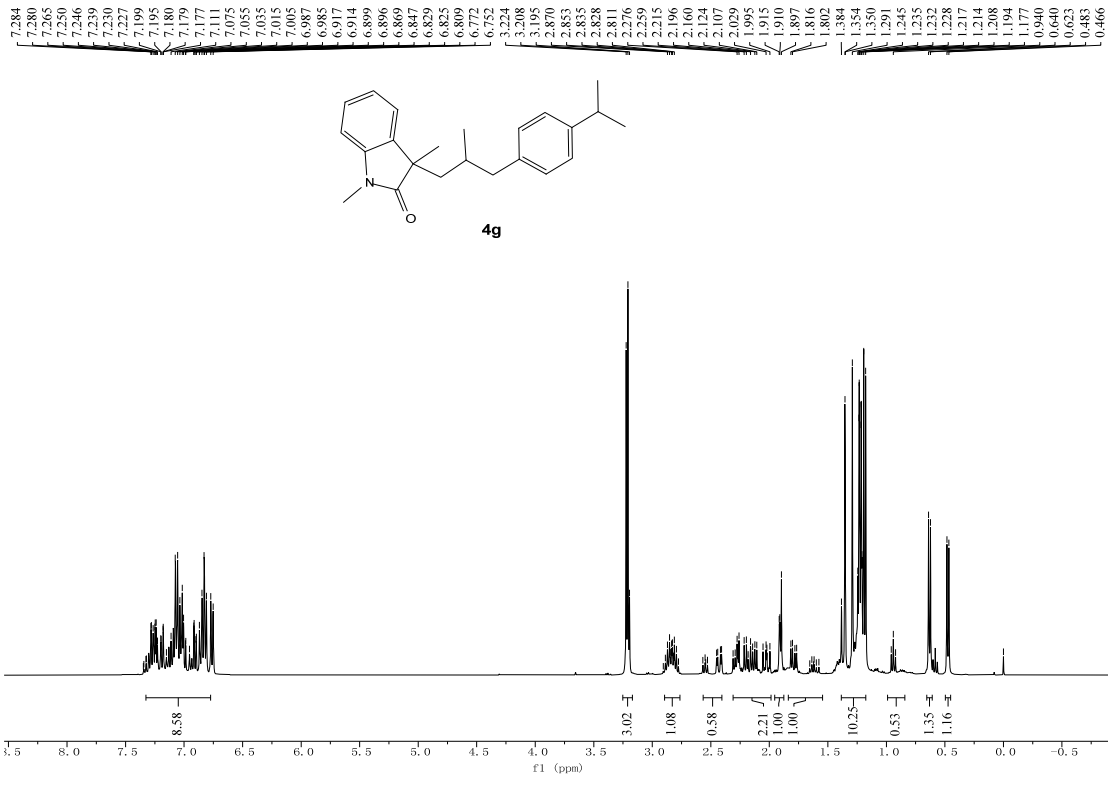


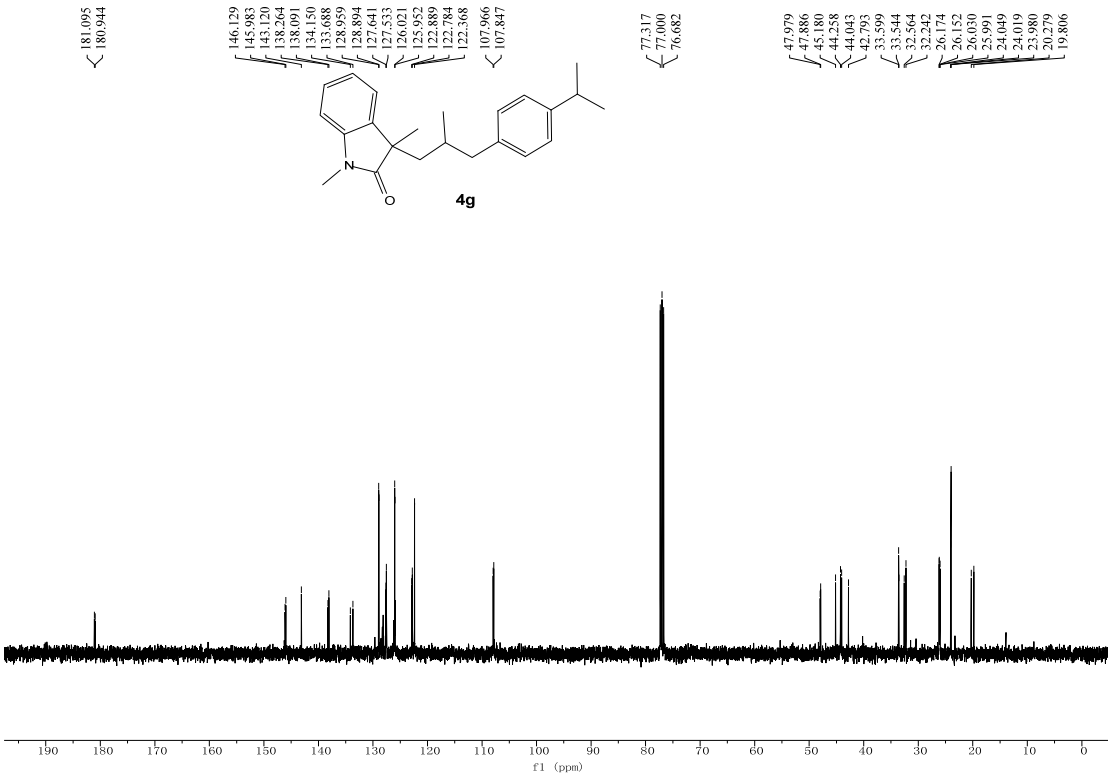
^{1}H and ^{13}C NMR spectra of 4f



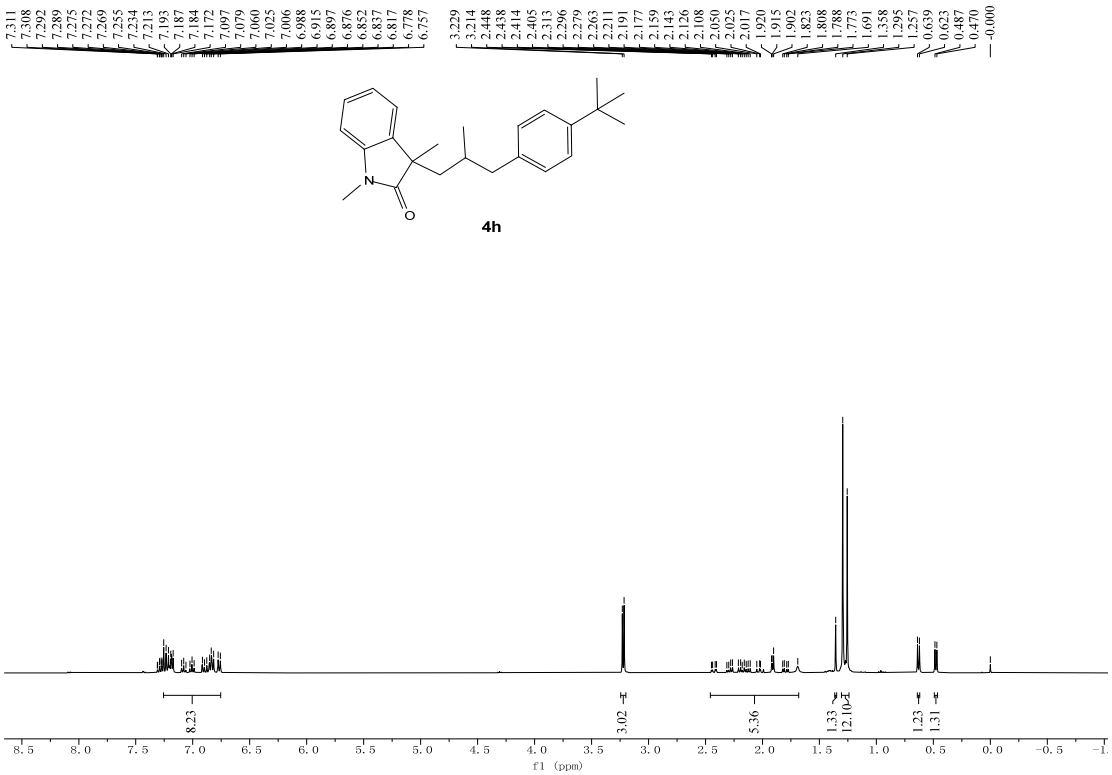


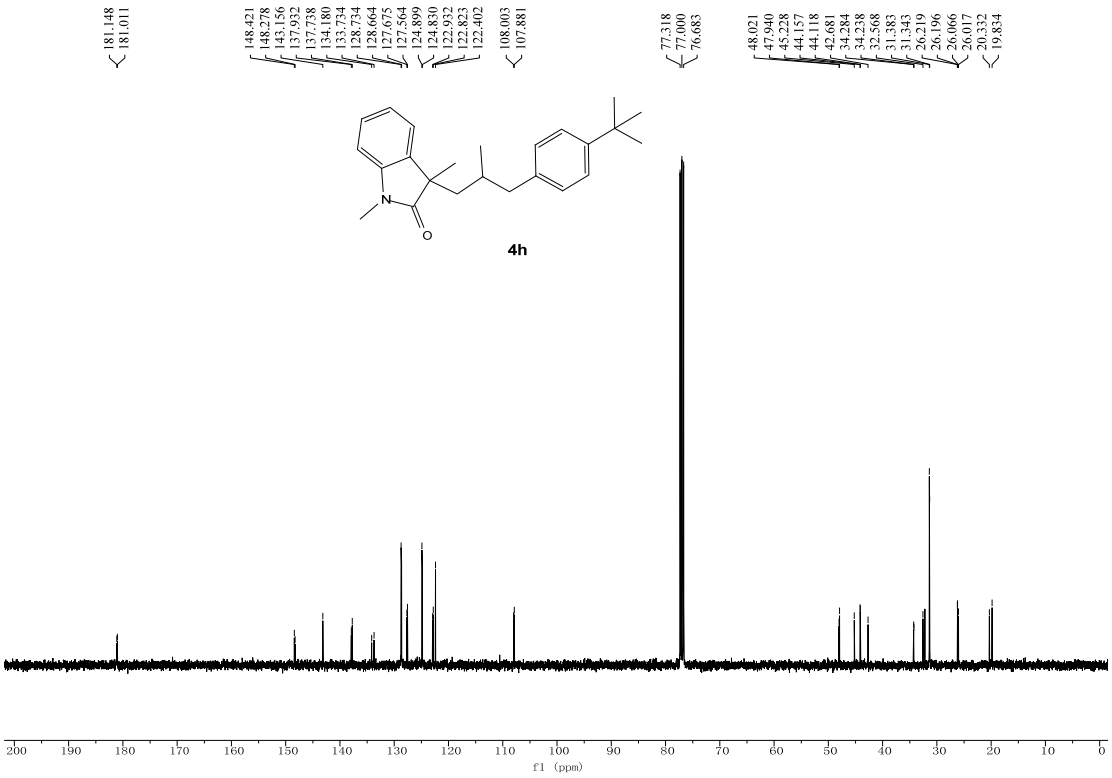
^{1}H and ^{13}C NMR spectra of 4g



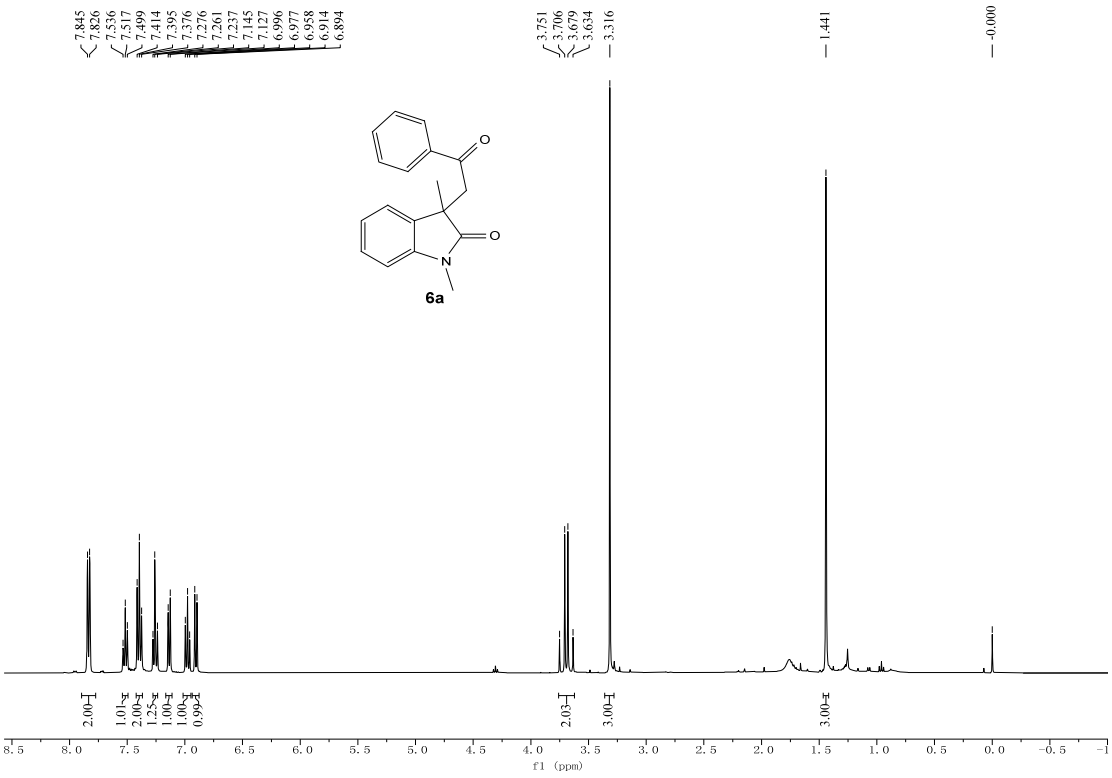


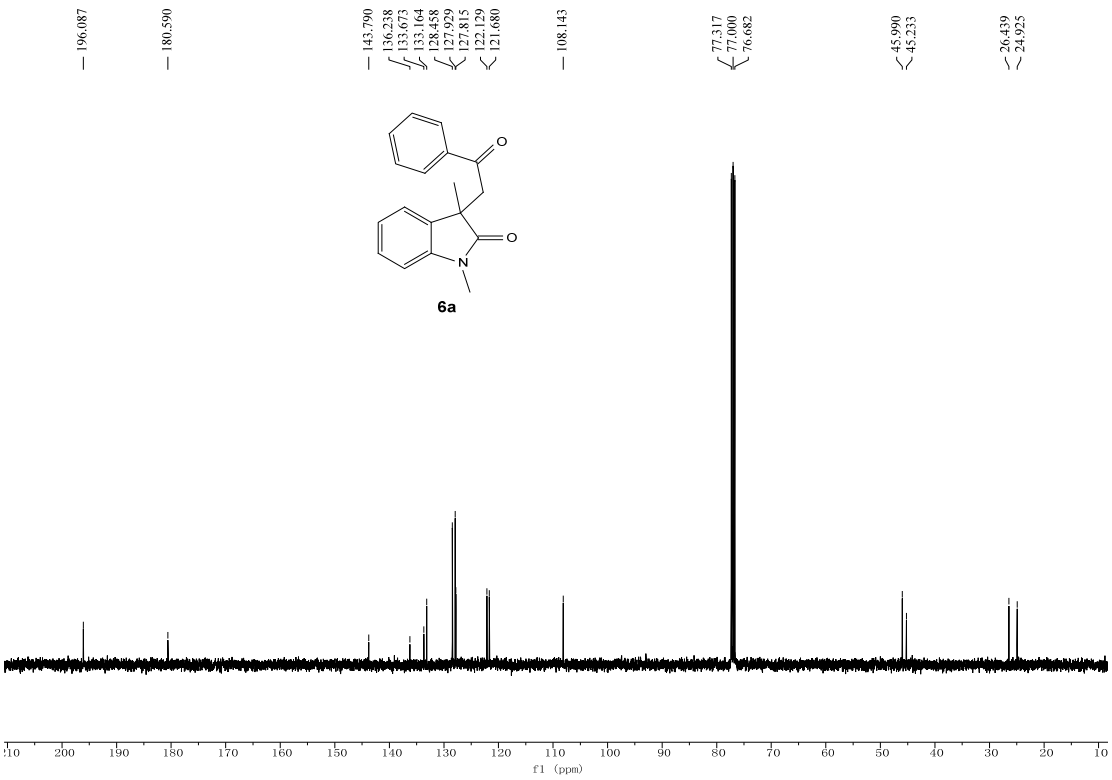
^{1}H and ^{13}C NMR spectra of 4h



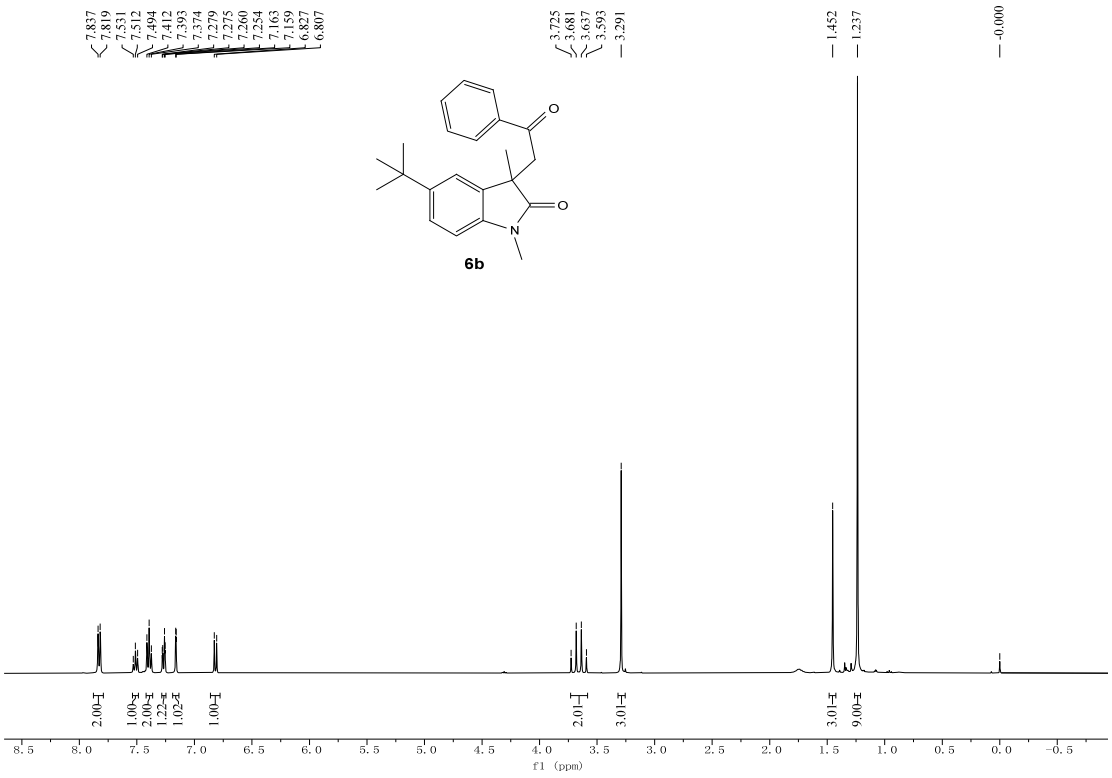


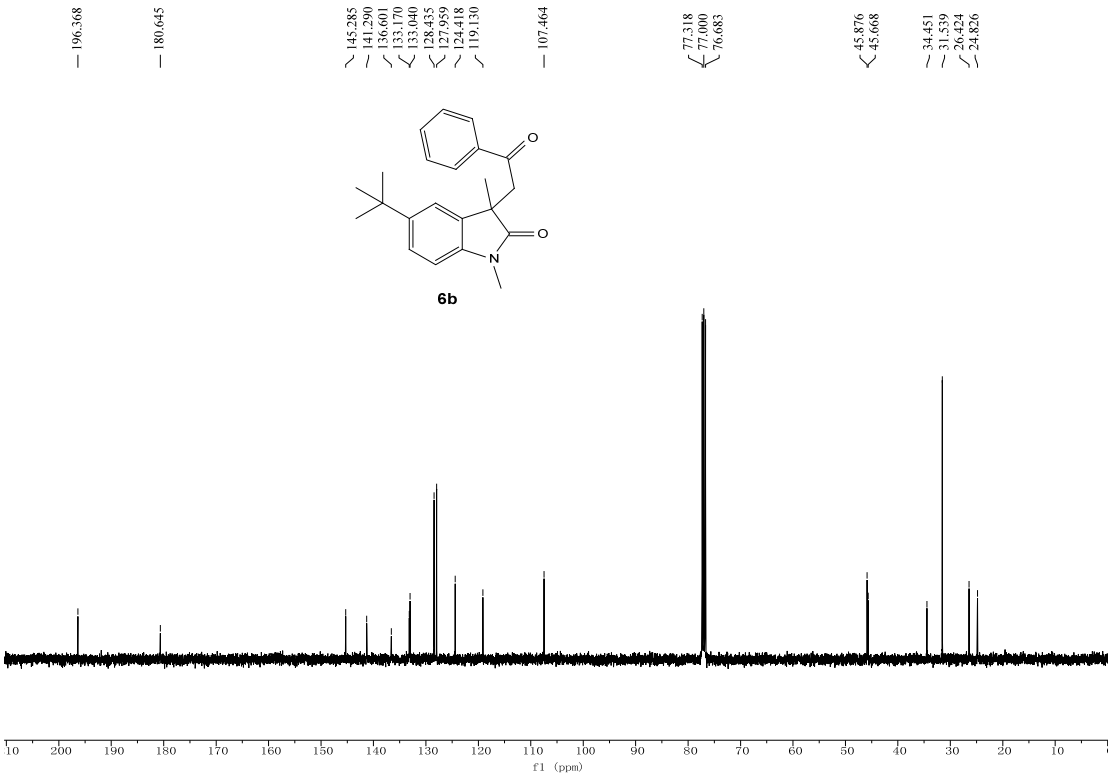
^{1}H and ^{13}C NMR spectra of 6a



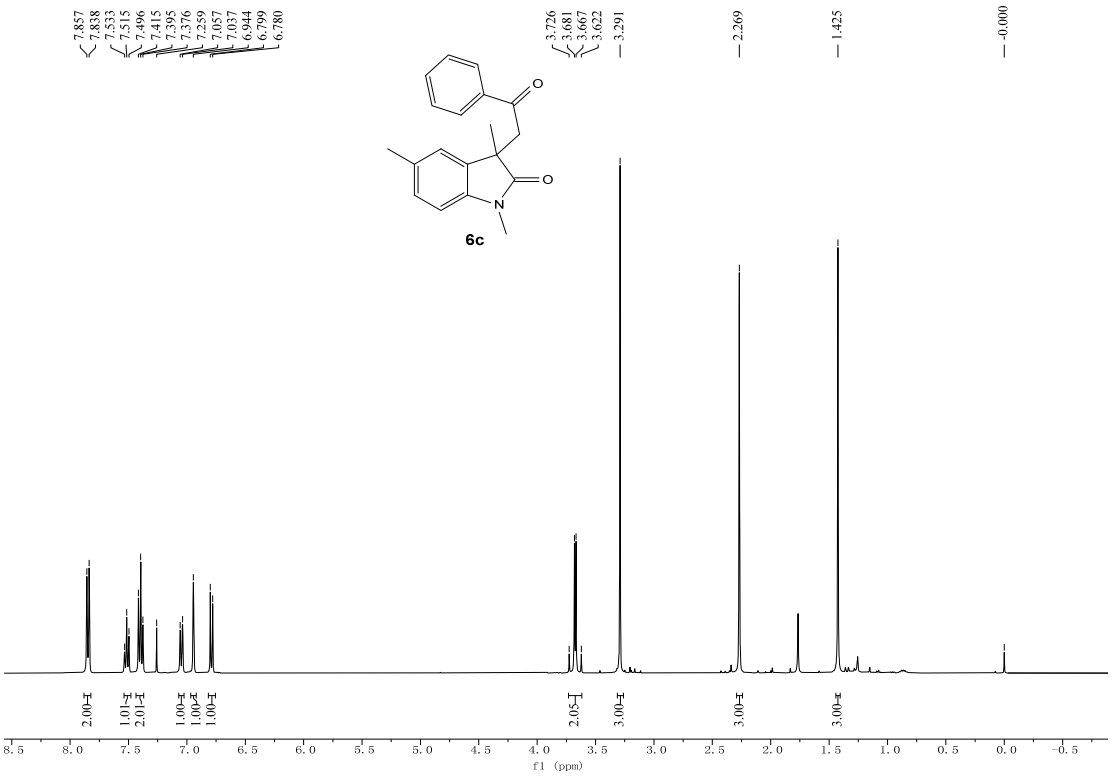


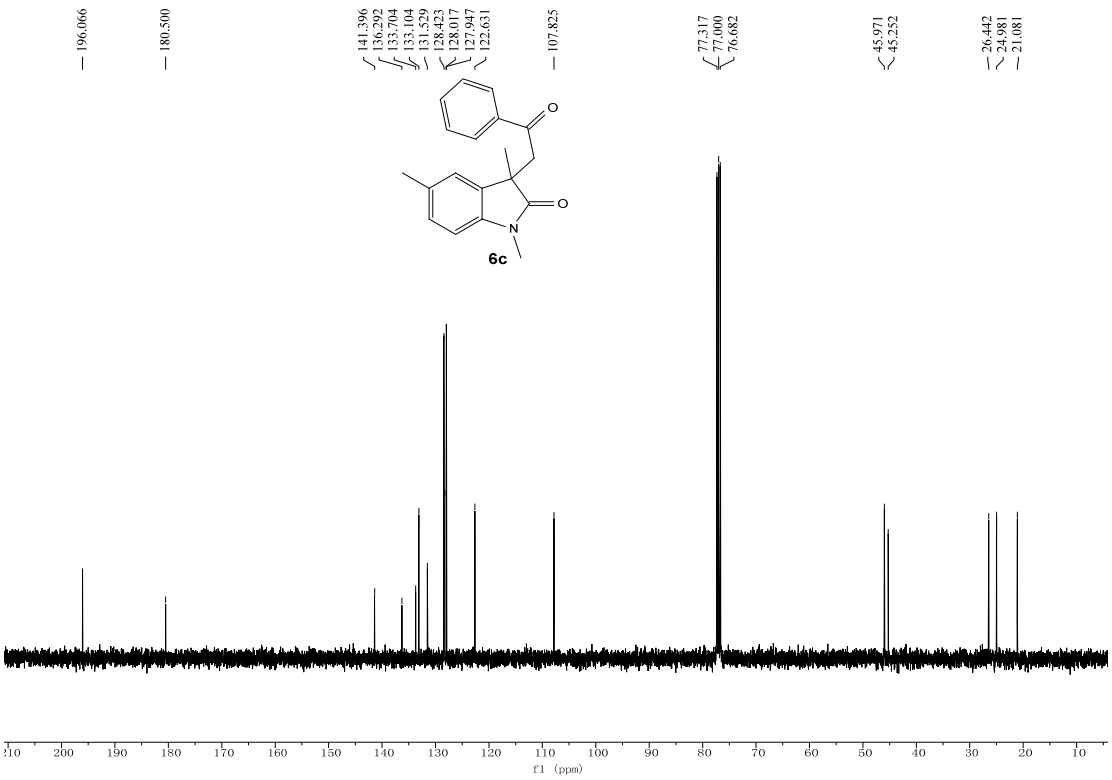
^{1}H and ^{13}C NMR spectra of 6b



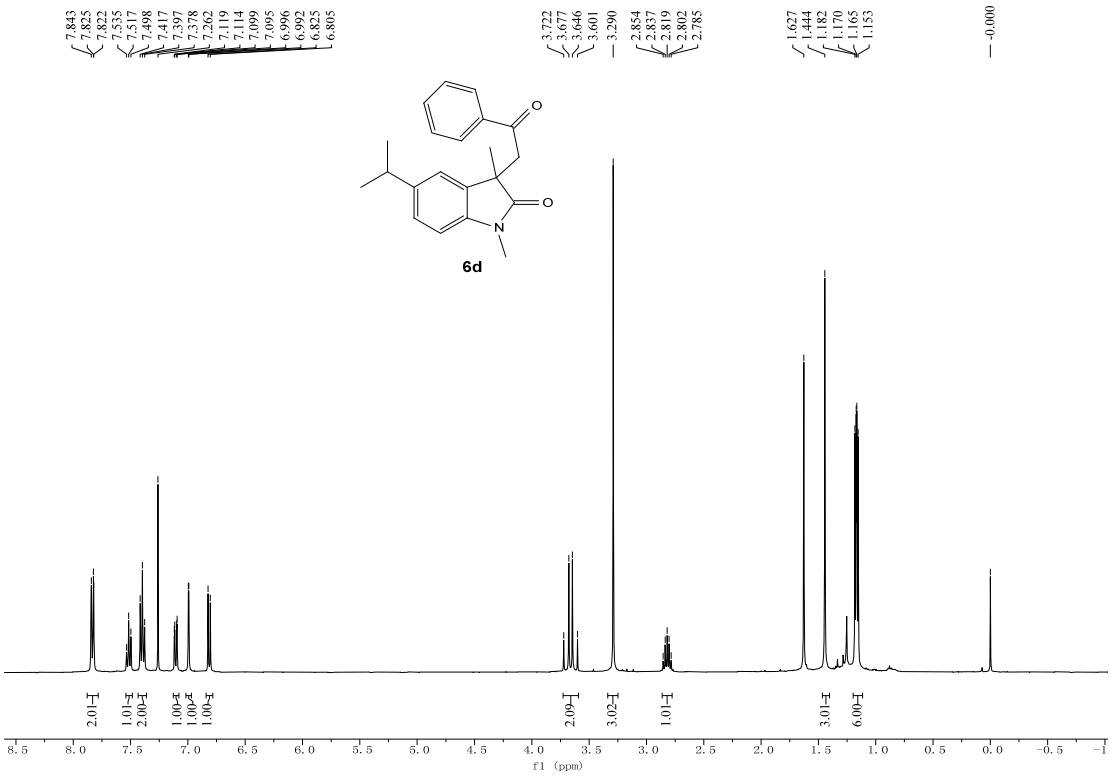


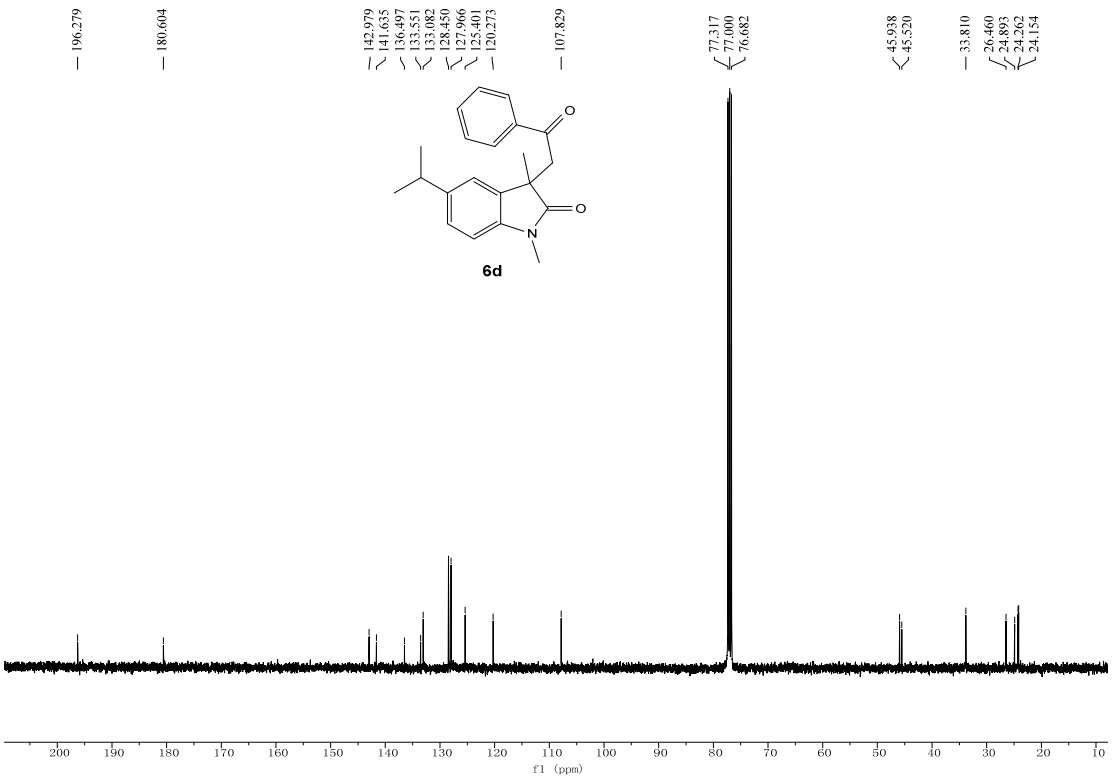
^{1}H and ^{13}C NMR spectra of 6c



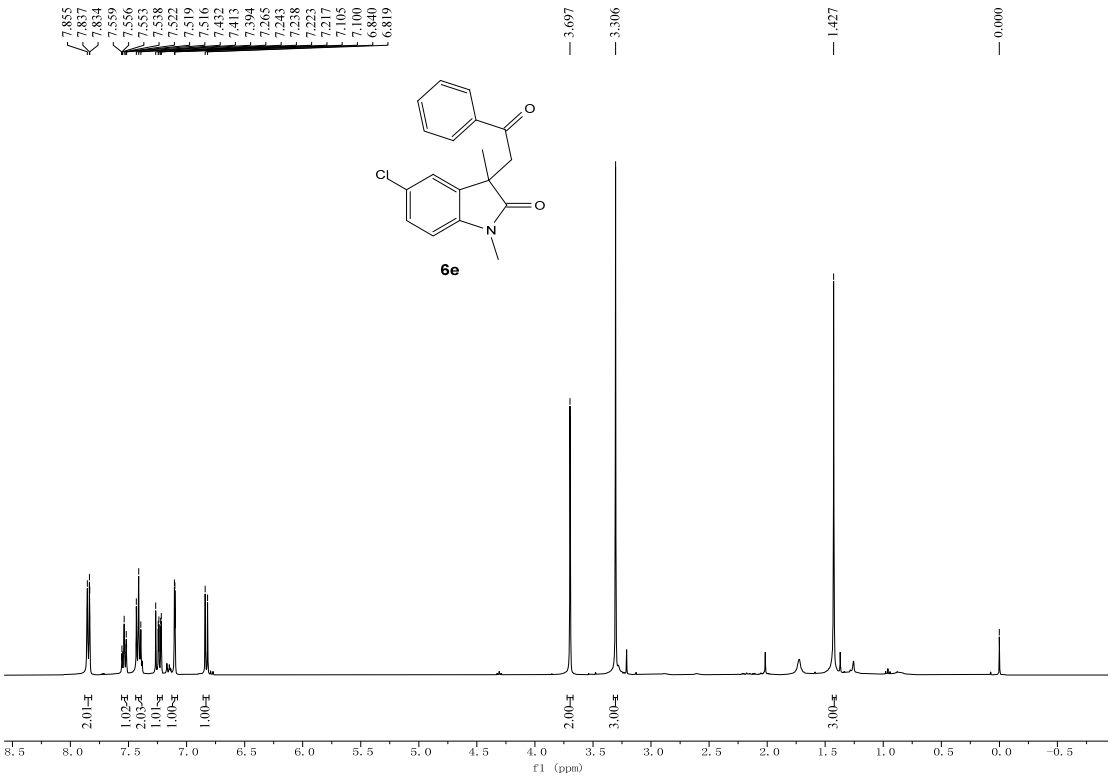


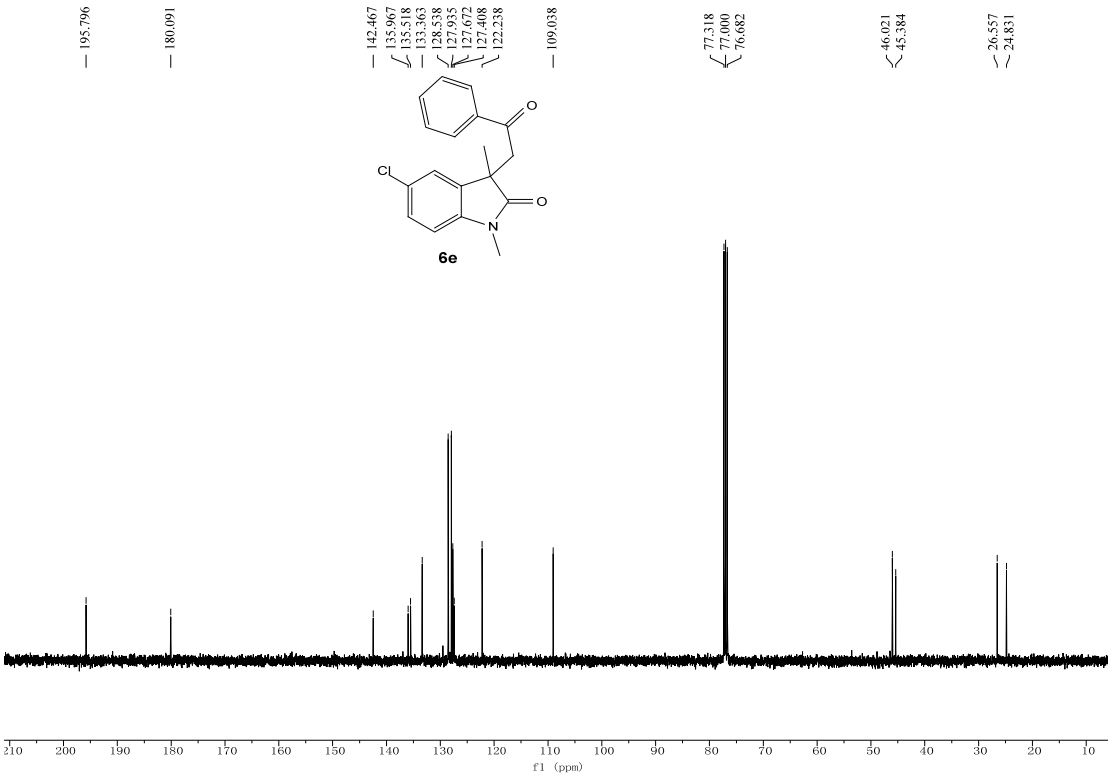
^{1}H and ^{13}C NMR spectra of 6d



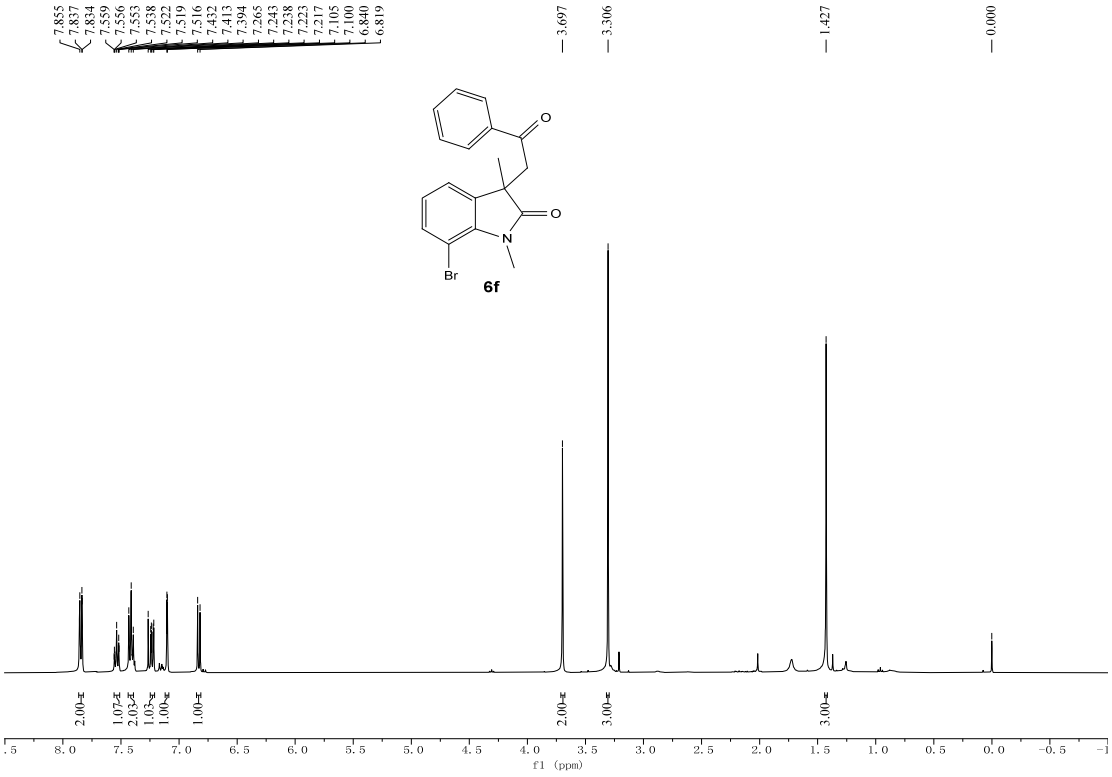


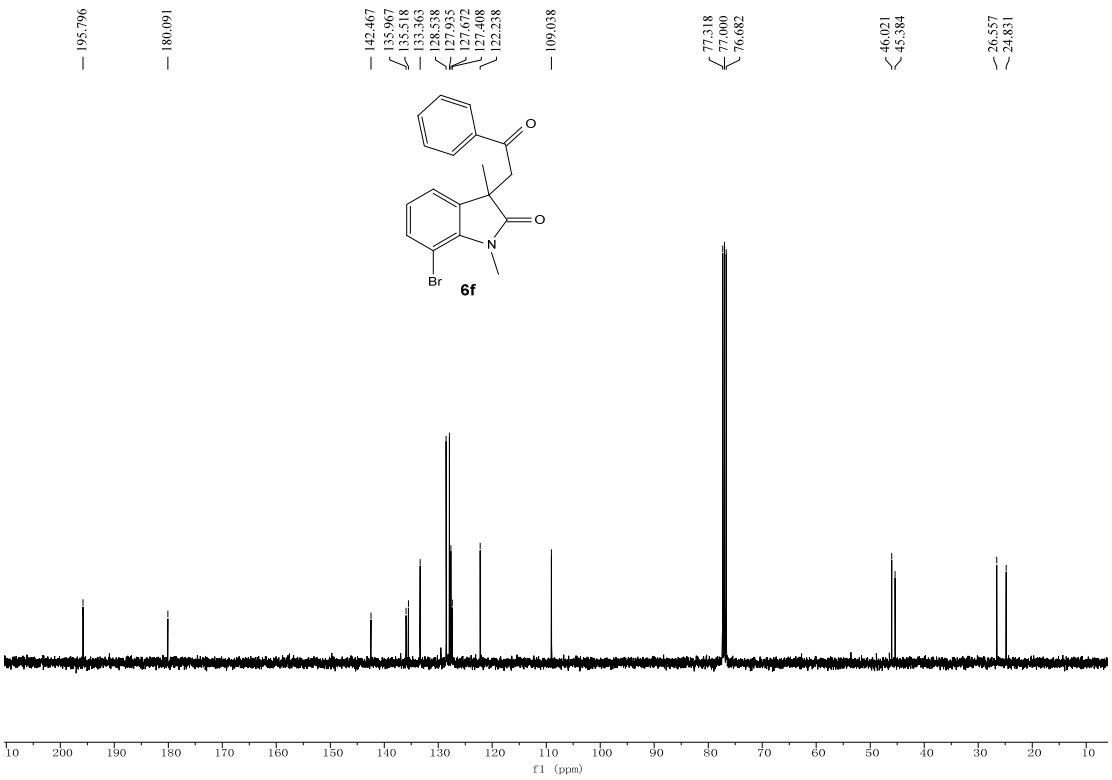
^{1}H and ^{13}C NMR spectra of 6e



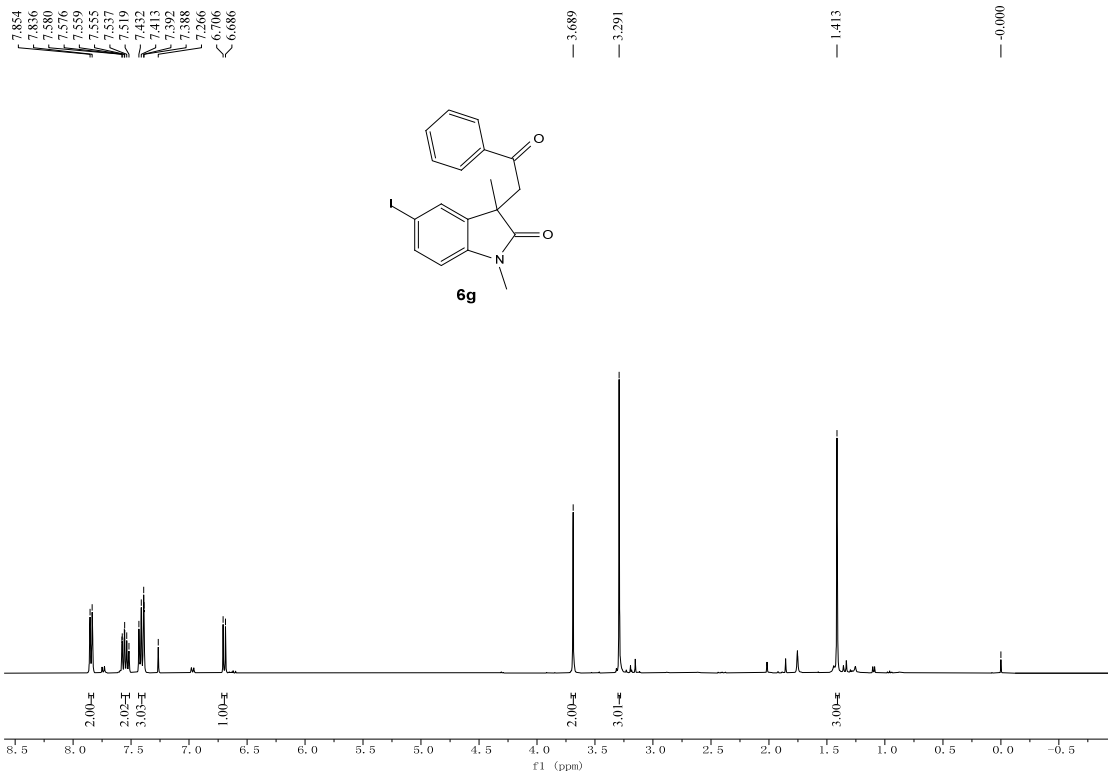


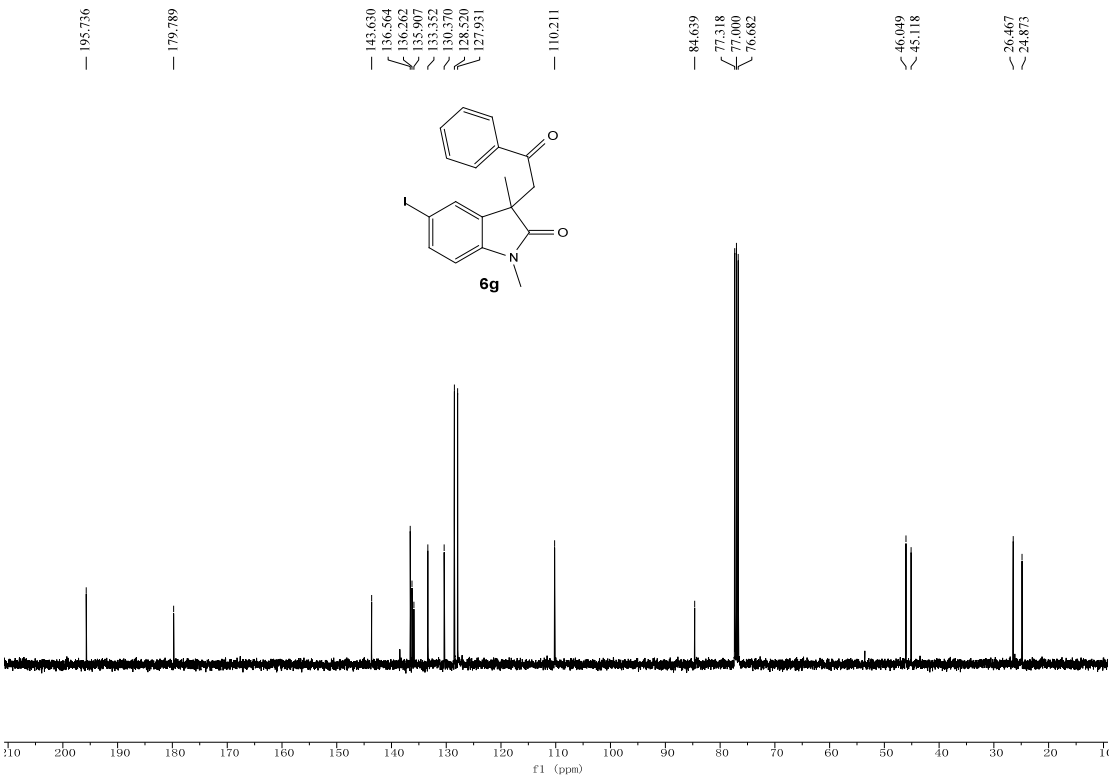
^{1}H and ^{13}C NMR spectra of 6f



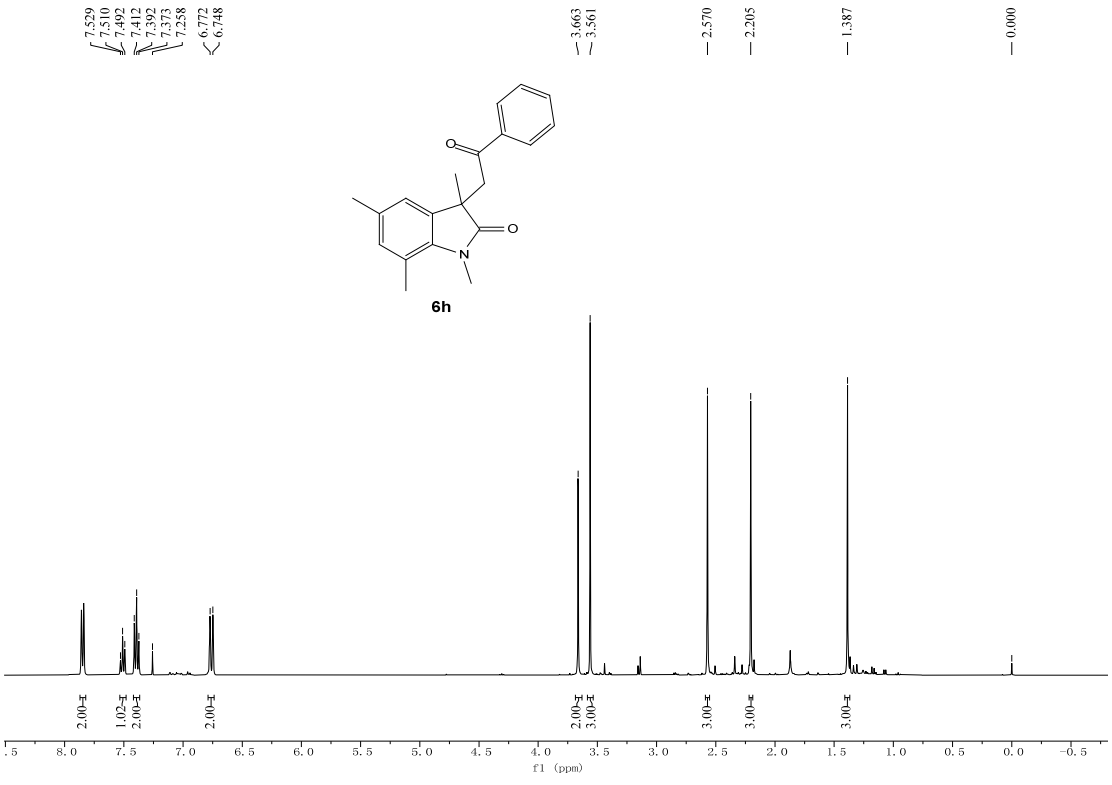


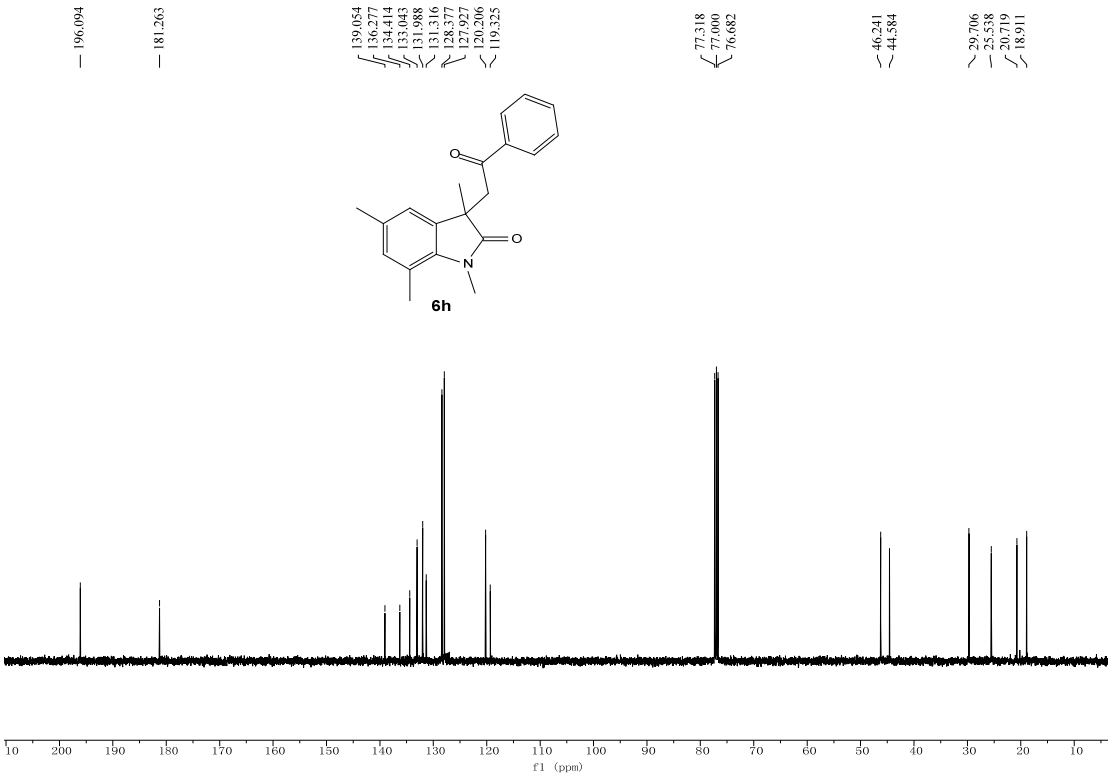
^{1}H and ^{13}C NMR spectra of 6g



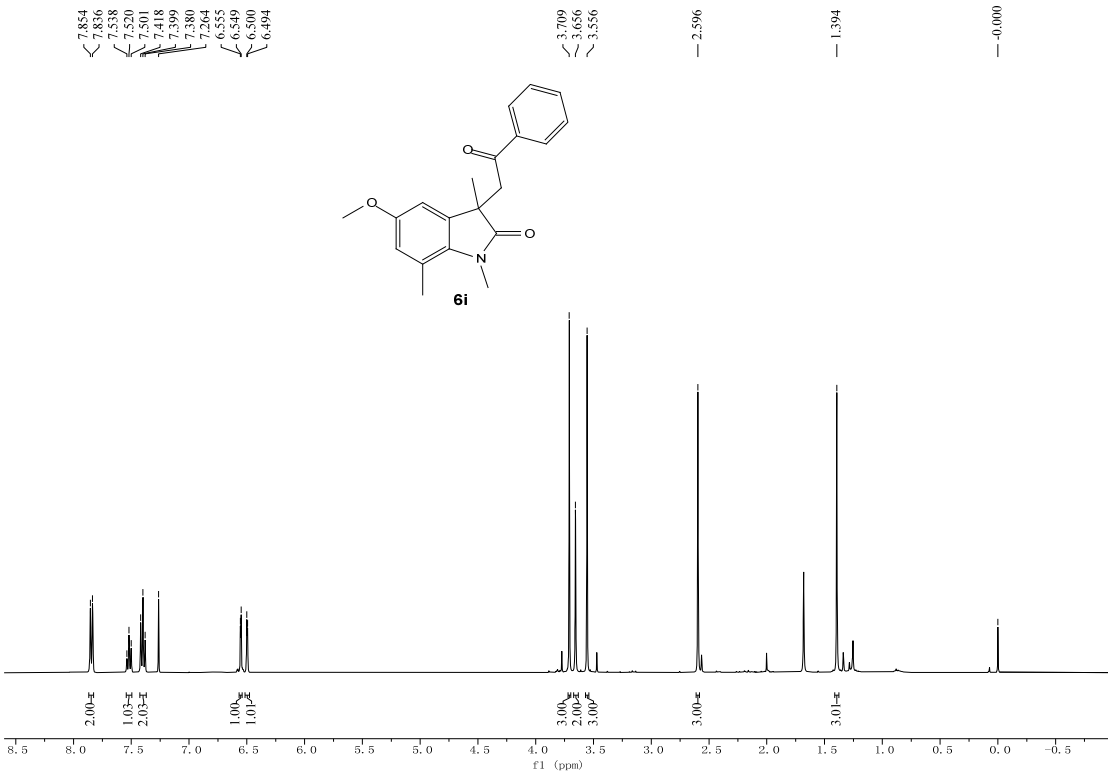


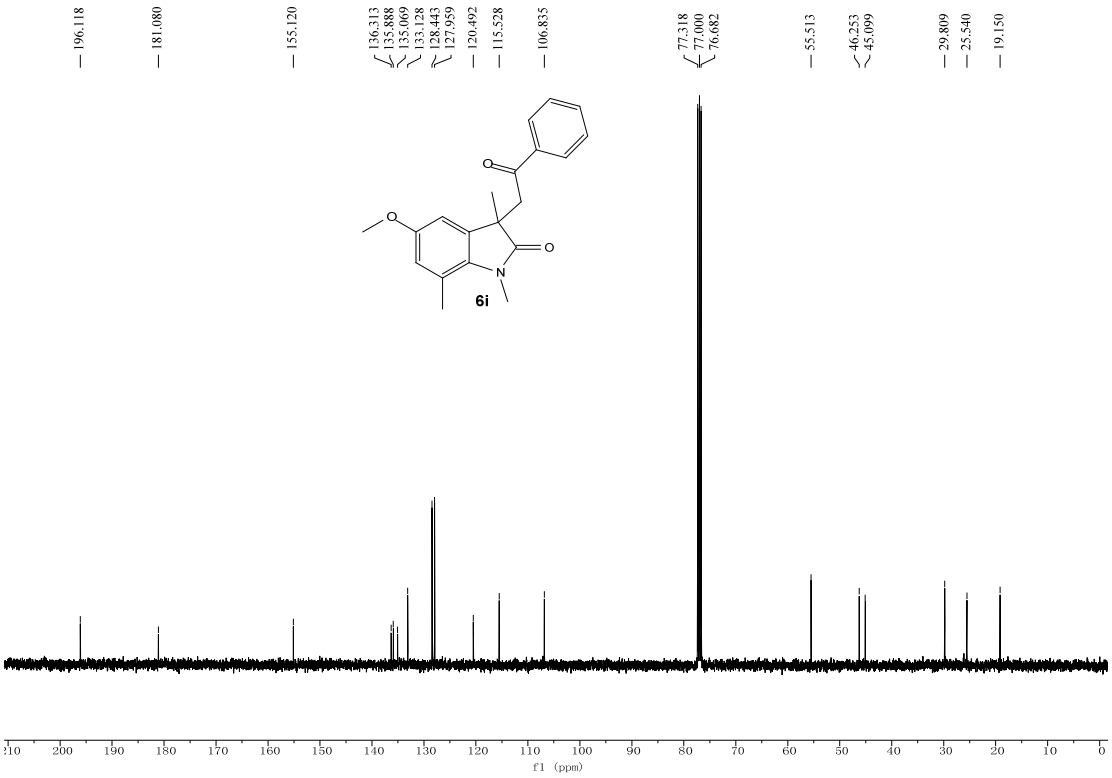
^{1}H and ^{13}C NMR spectra of 6h



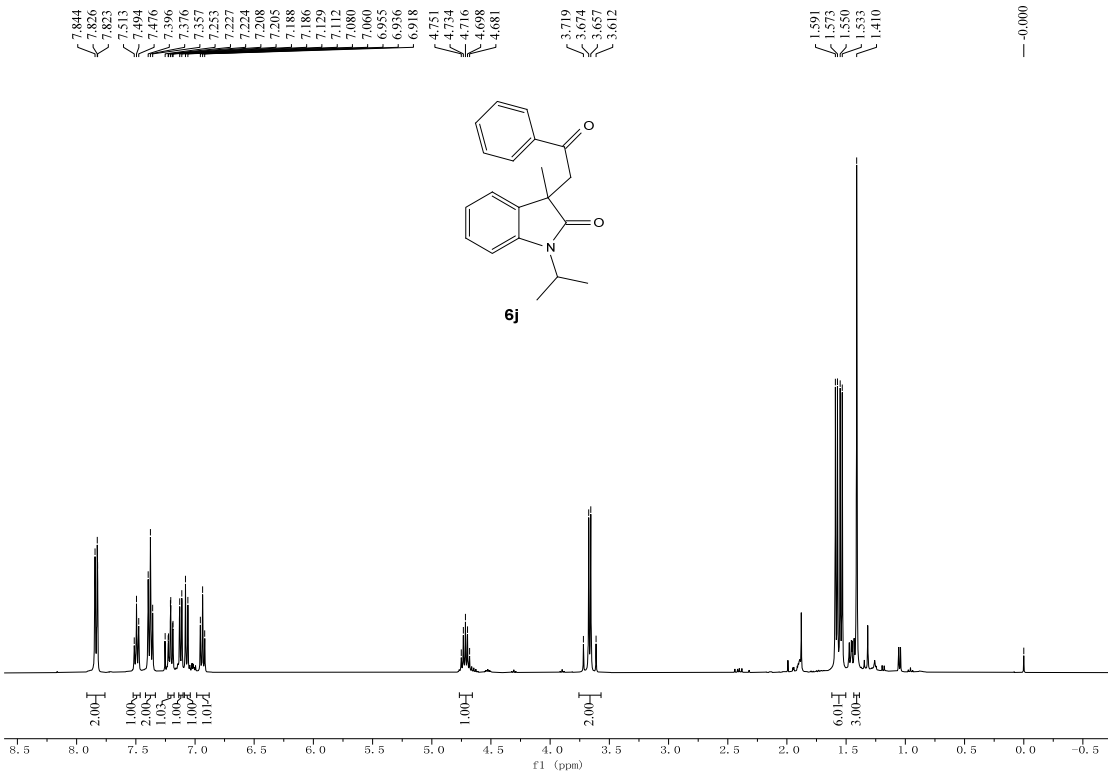


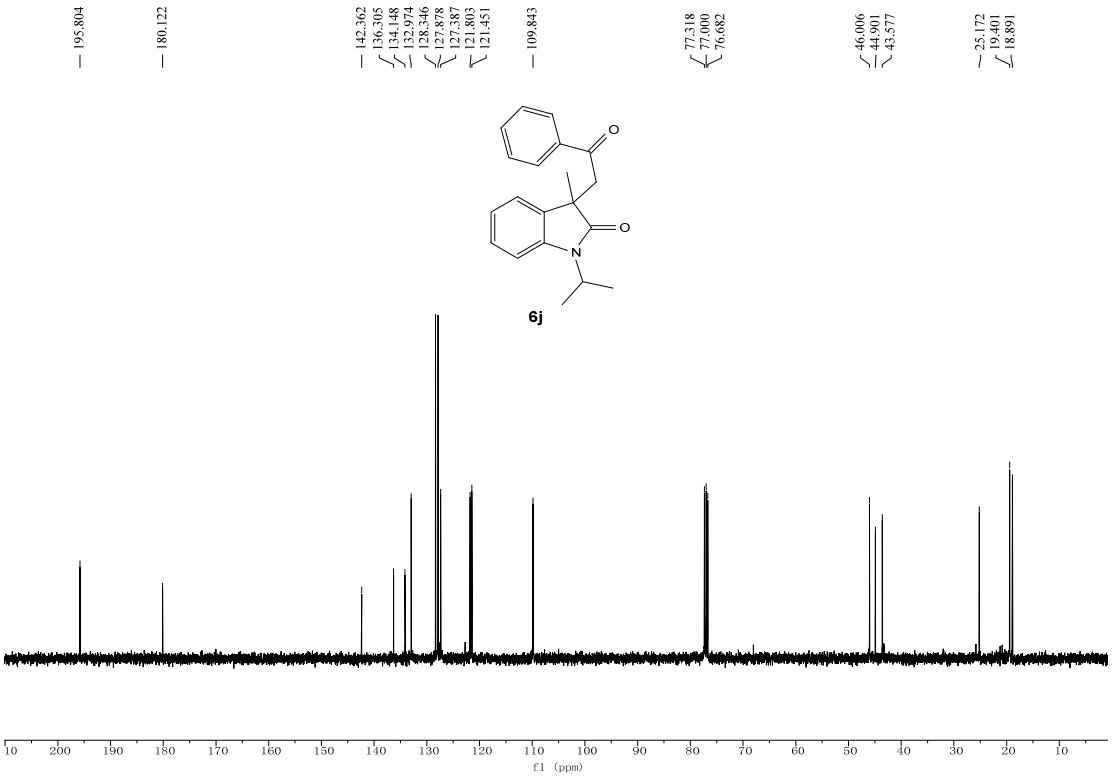
^{1}H and ^{13}C NMR spectra of 6i



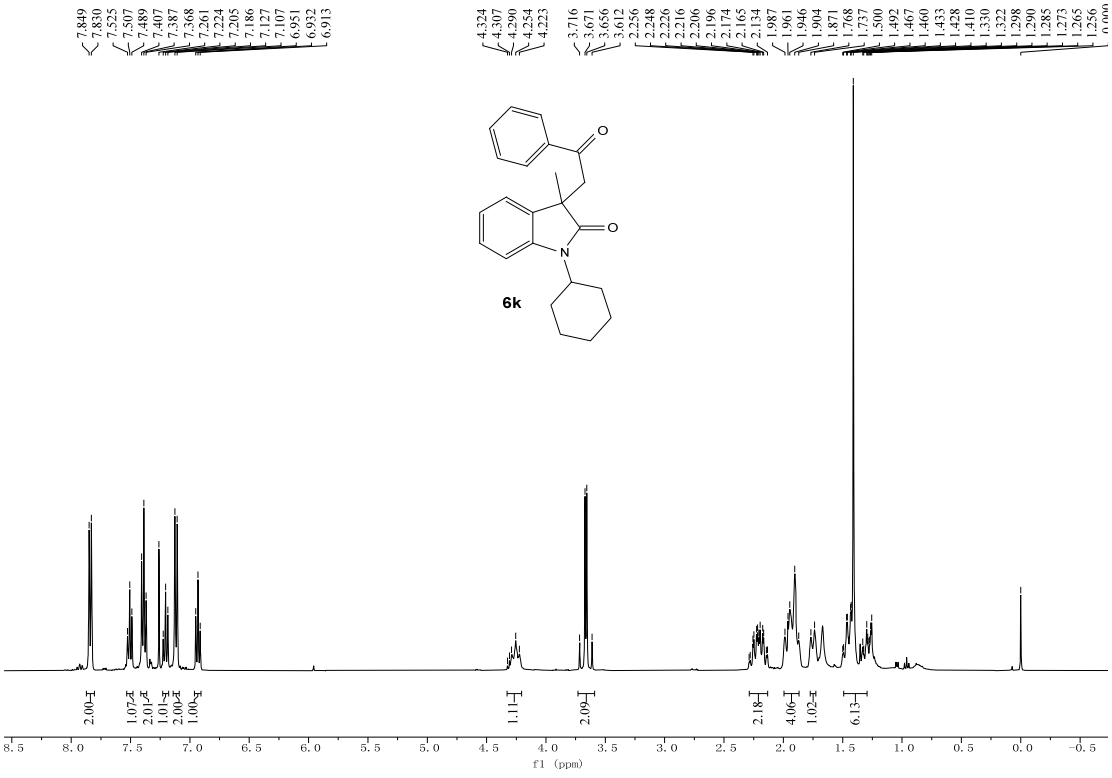


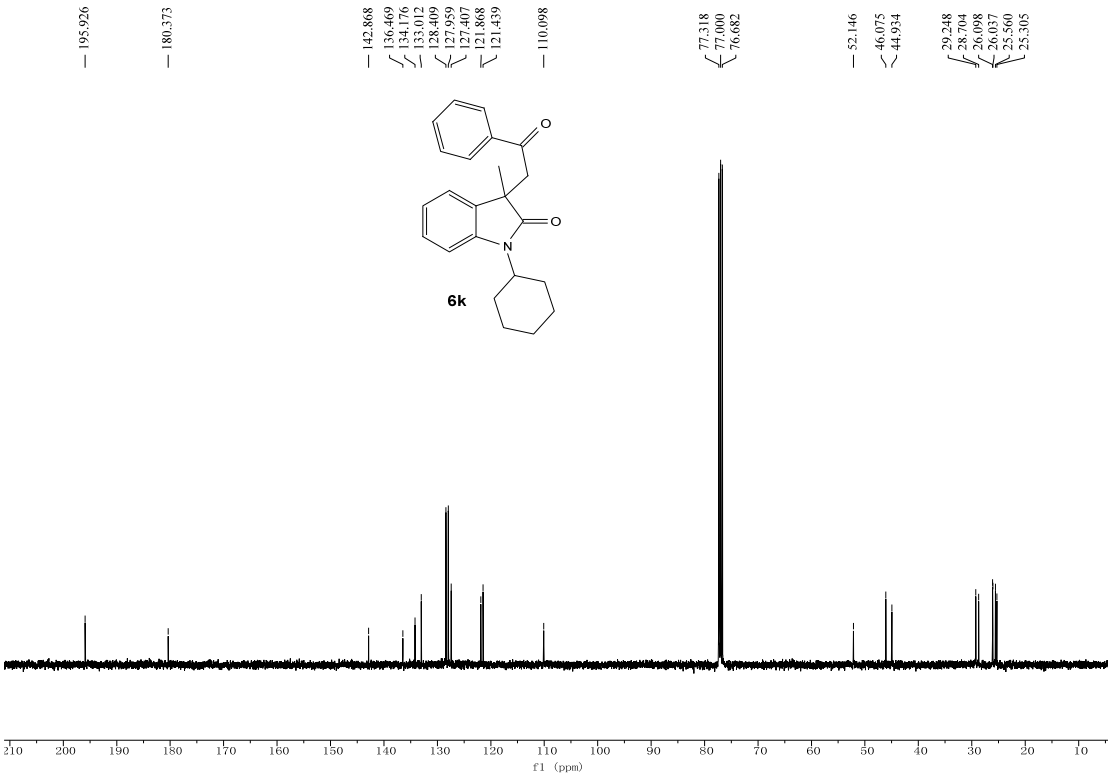
^{1}H and ^{13}C NMR spectra of 6j



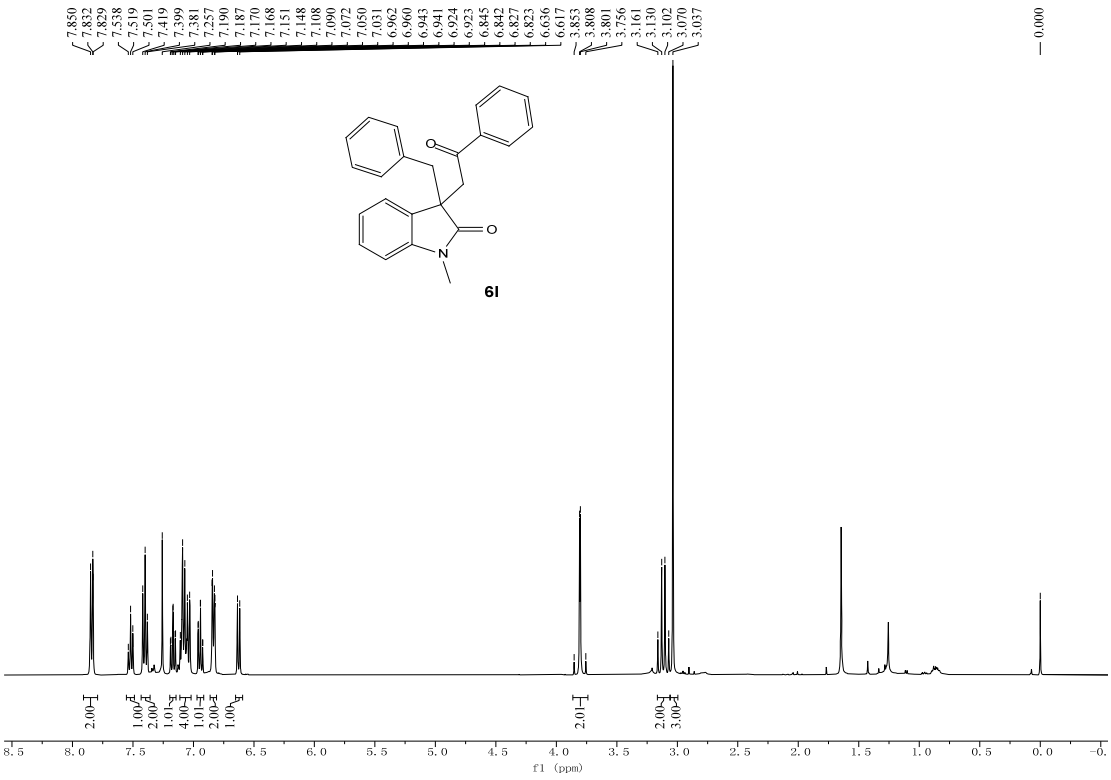


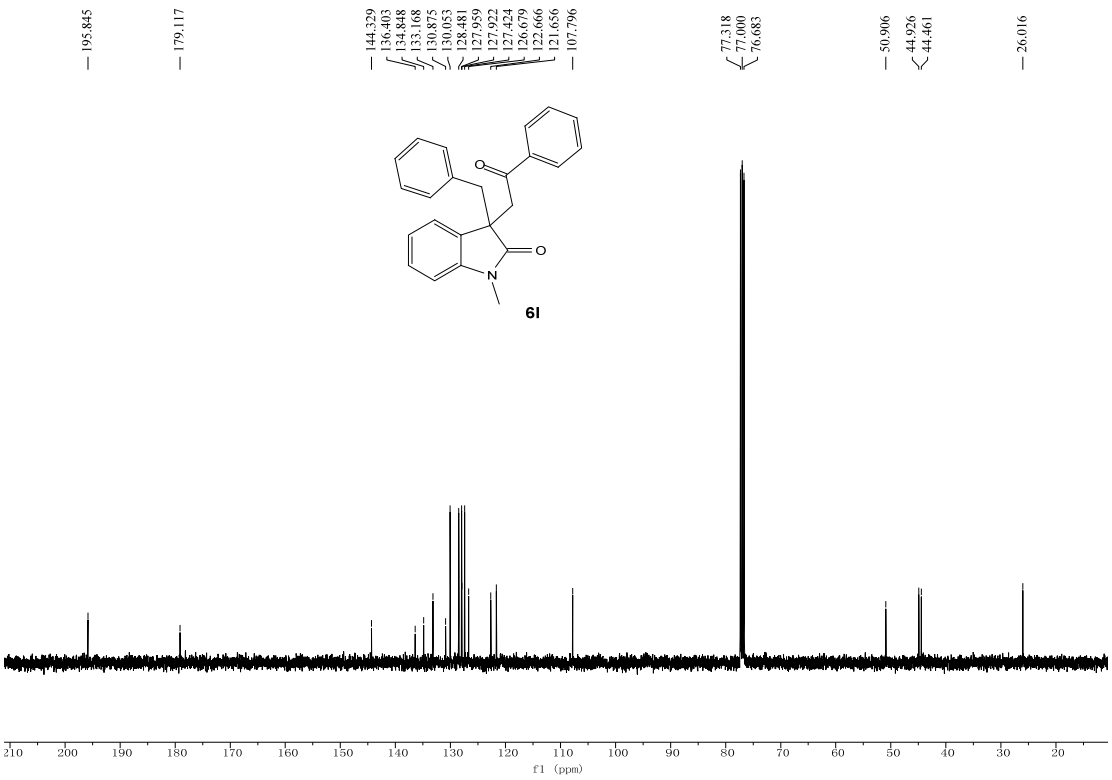
^{1}H and ^{13}C NMR spectra of 6k



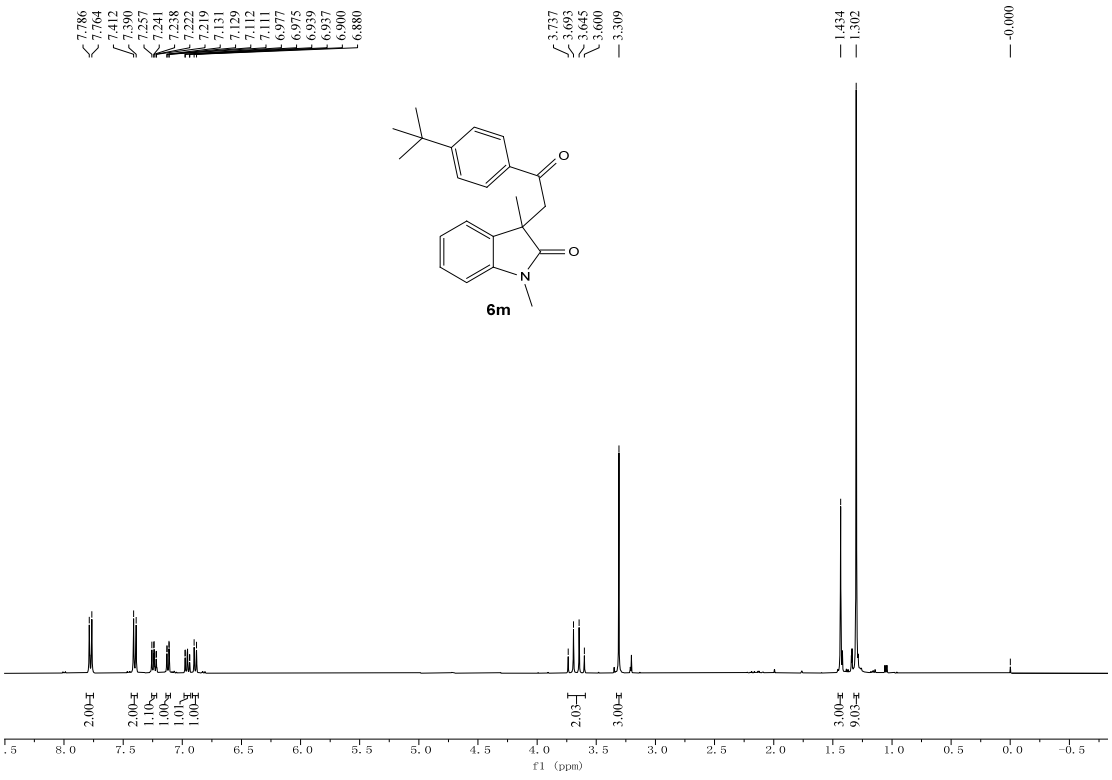


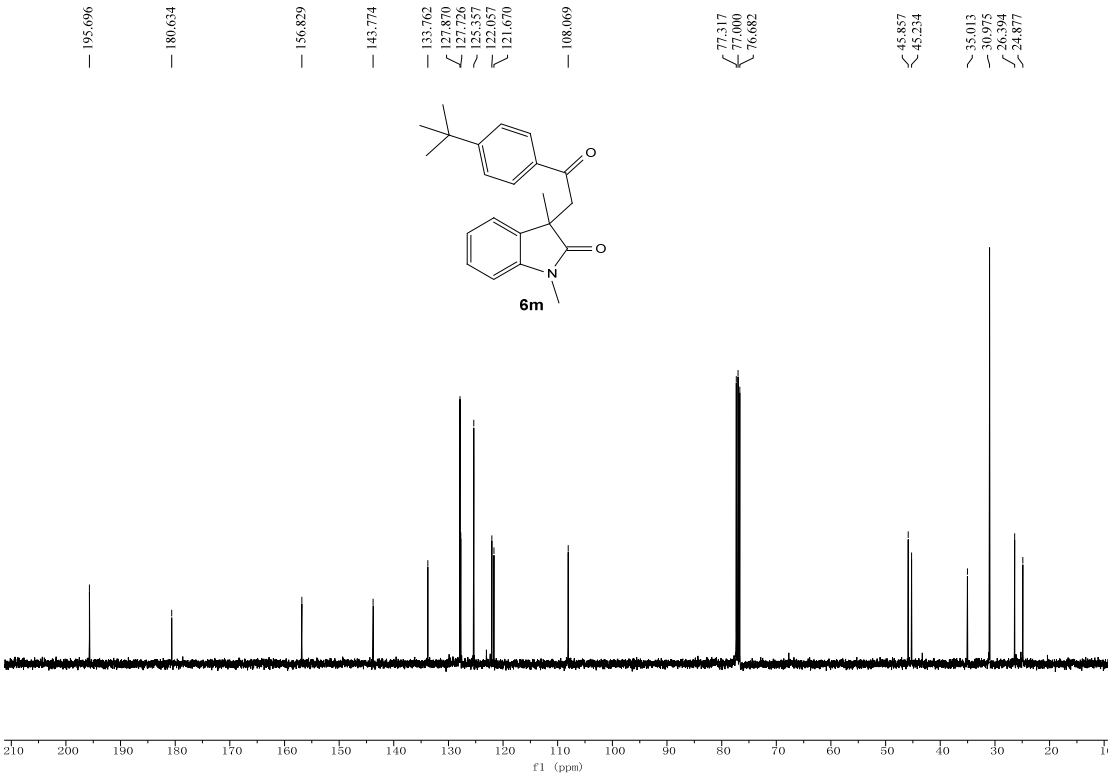
^{1}H and ^{13}C NMR spectra of 6l



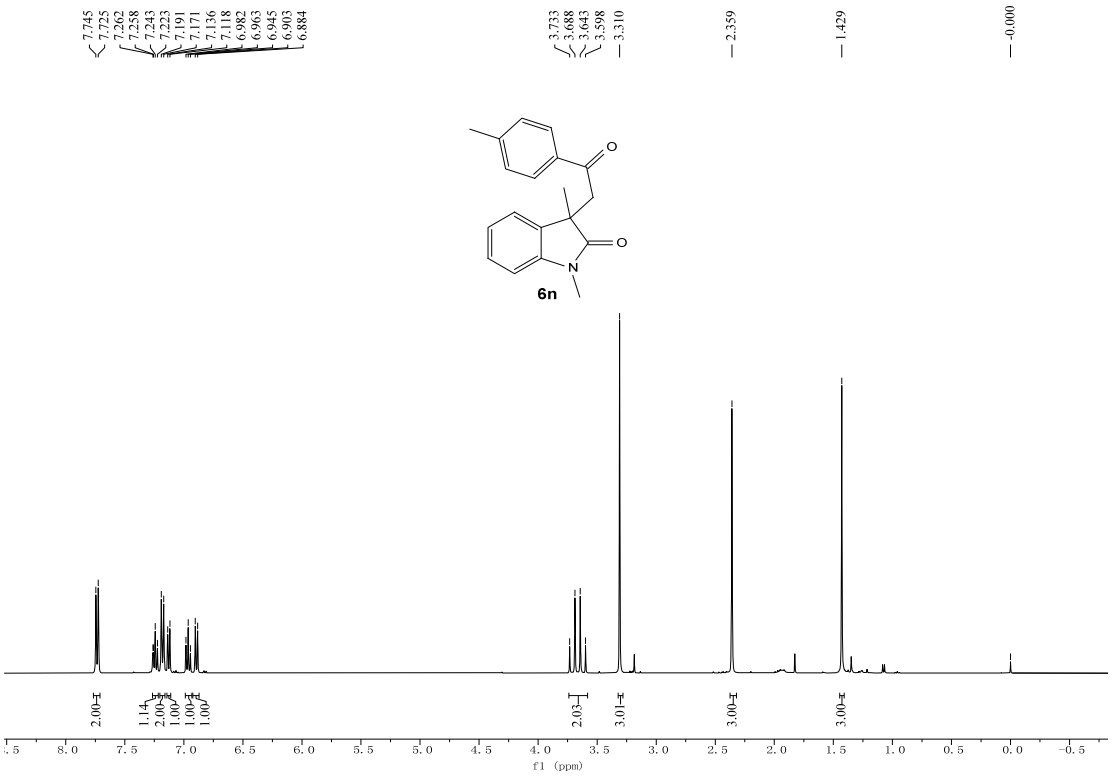


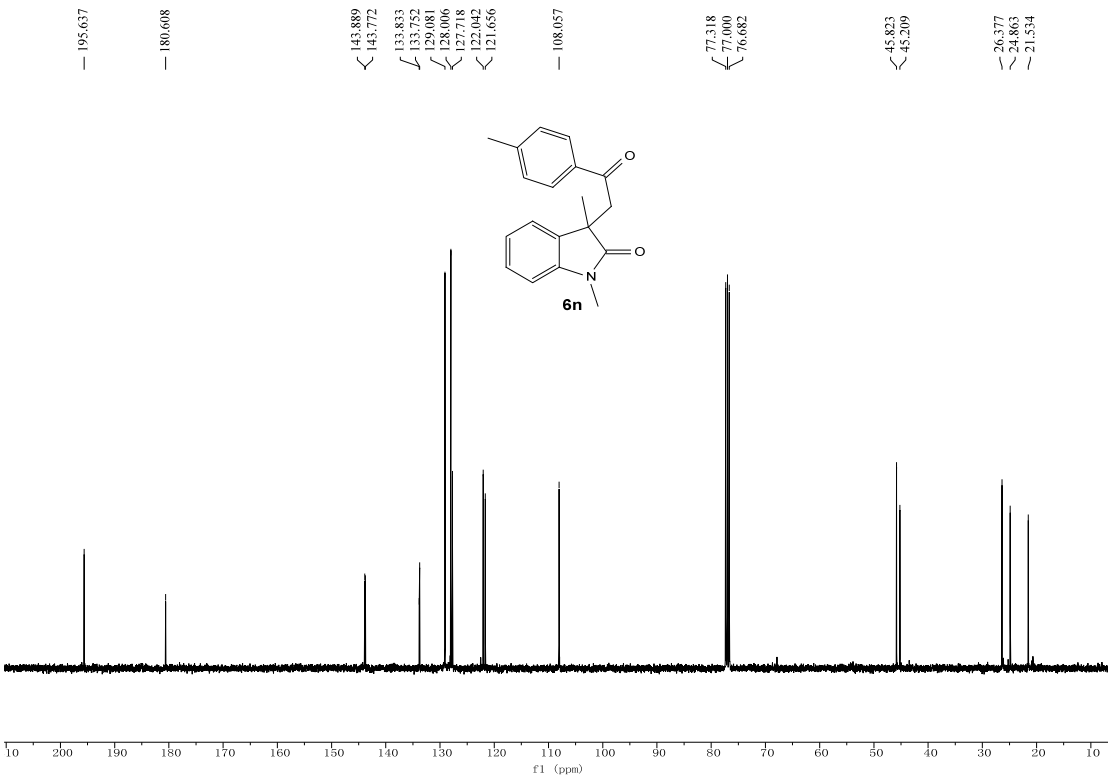
^{1}H and ^{13}C NMR spectra of 6m



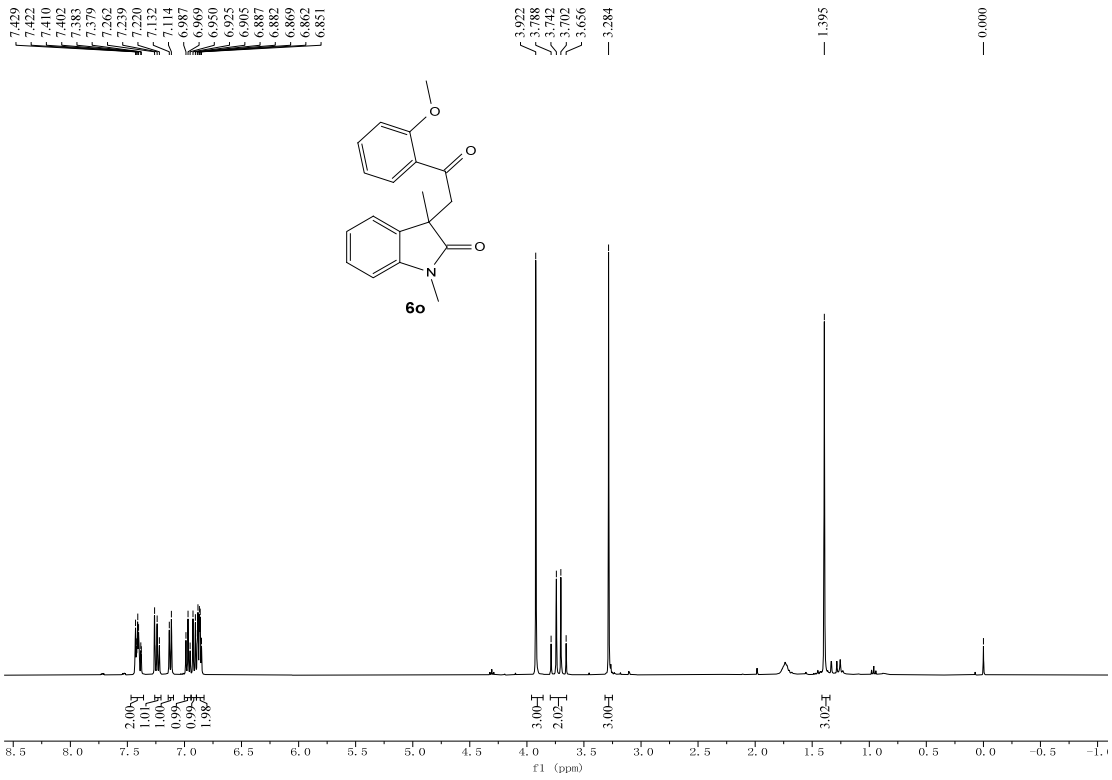


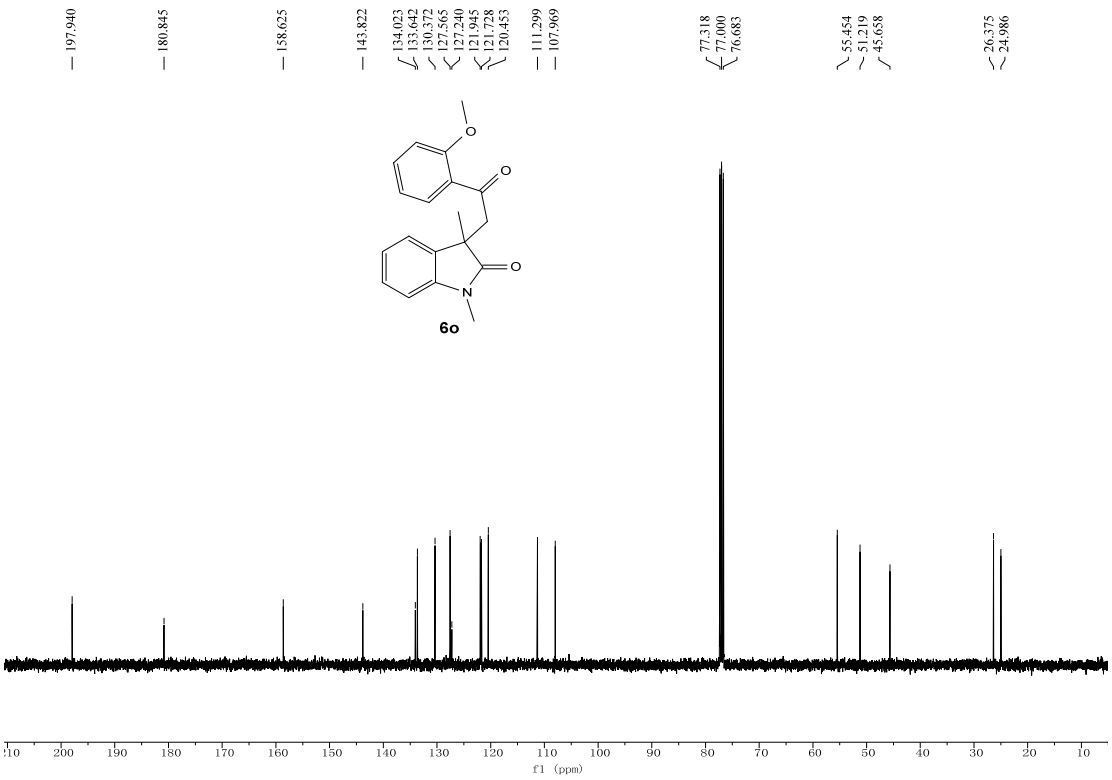
^{1}H and ^{13}C NMR spectra of 6n



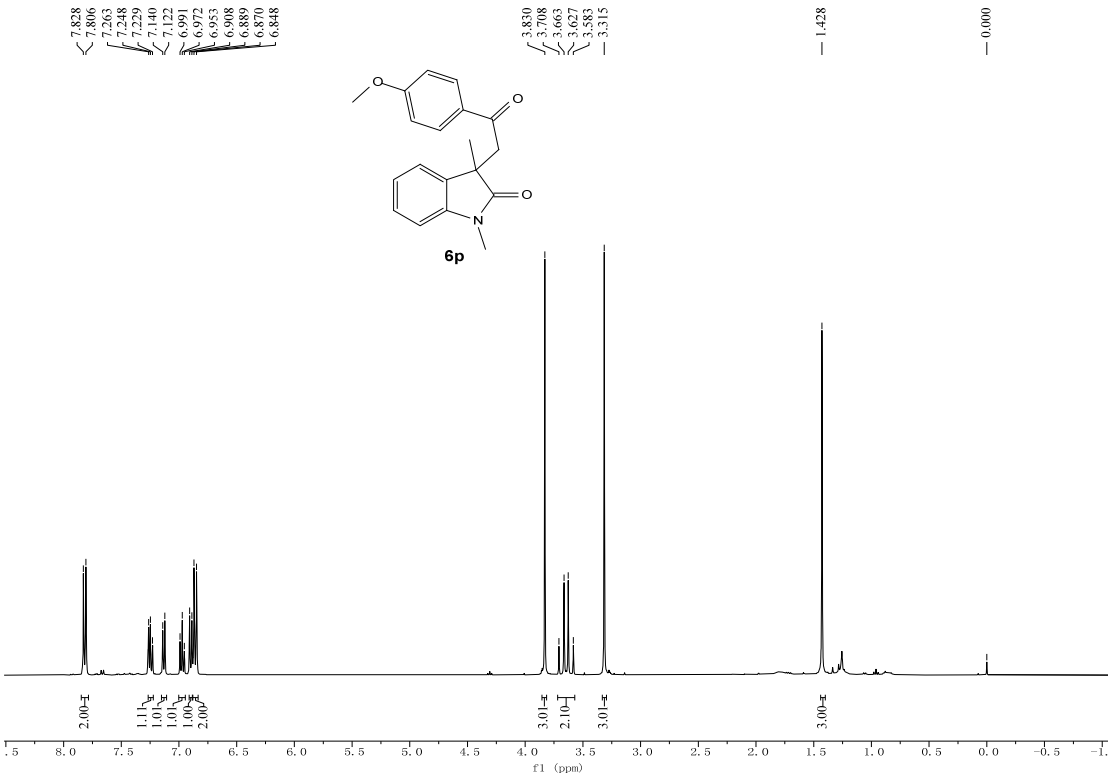


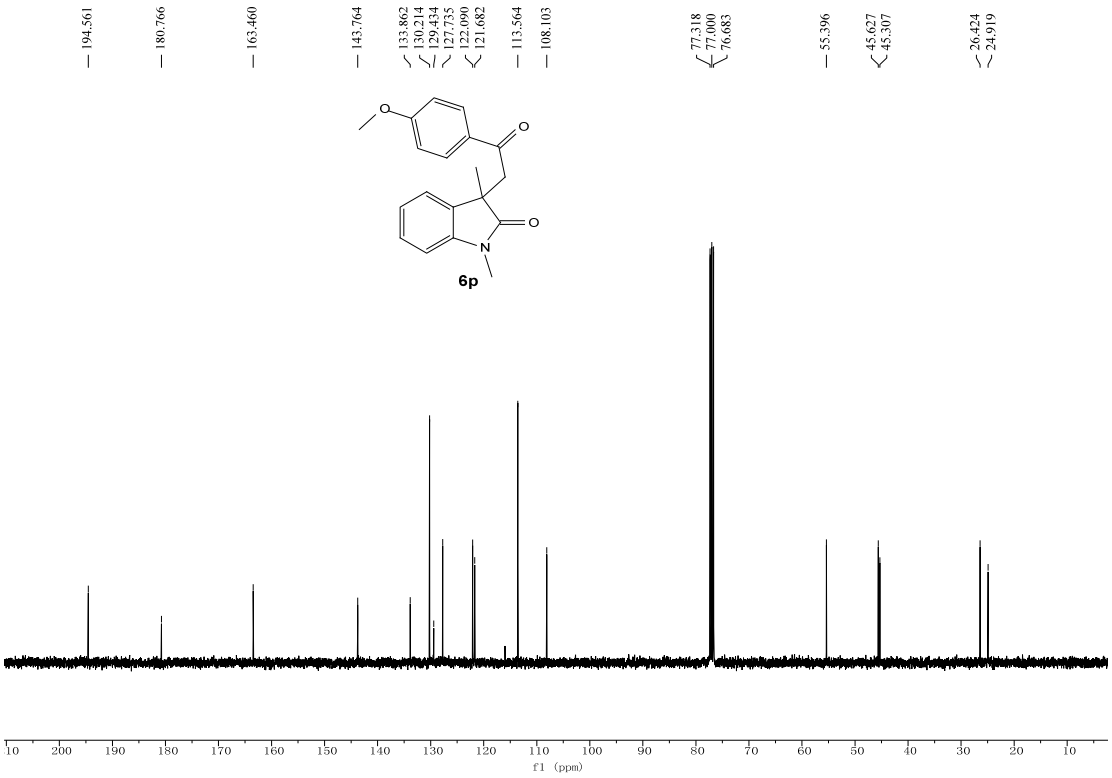
^{1}H and ^{13}C NMR spectra of 6o



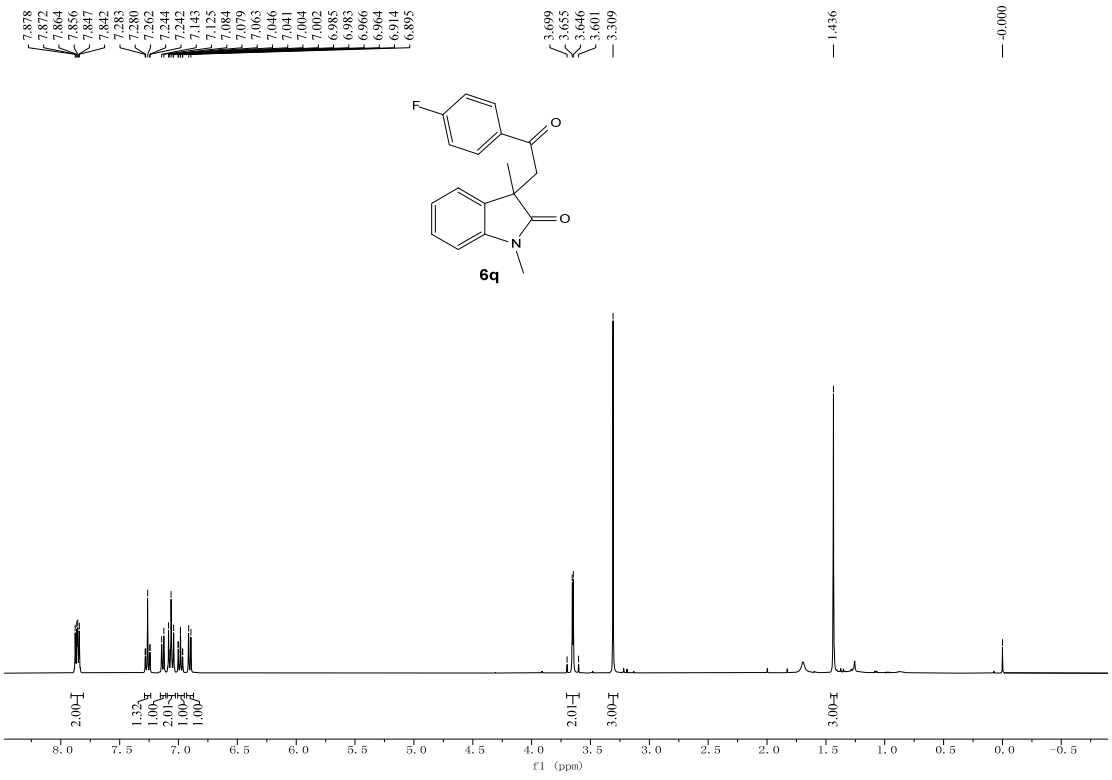


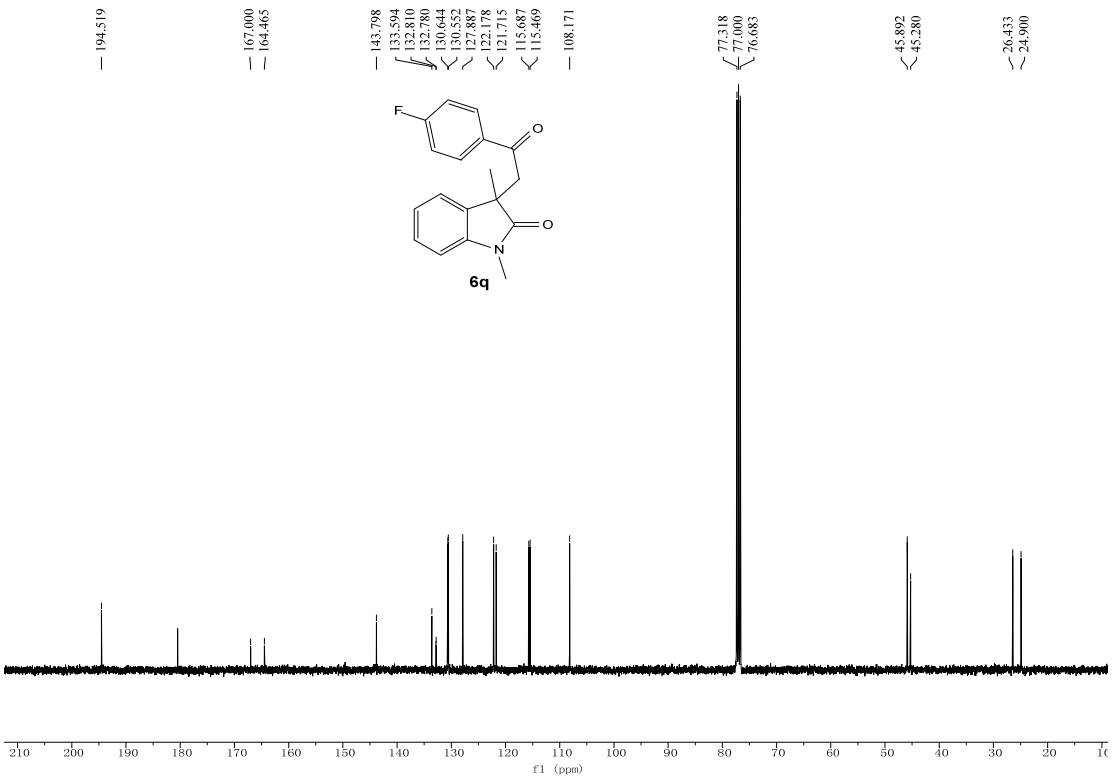
^{1}H and ^{13}C NMR spectra of 6p





^{1}H and ^{13}C NMR spectra of 6q

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115.469
108.171
77.318
77.000
76.683
45.892
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24.900
F
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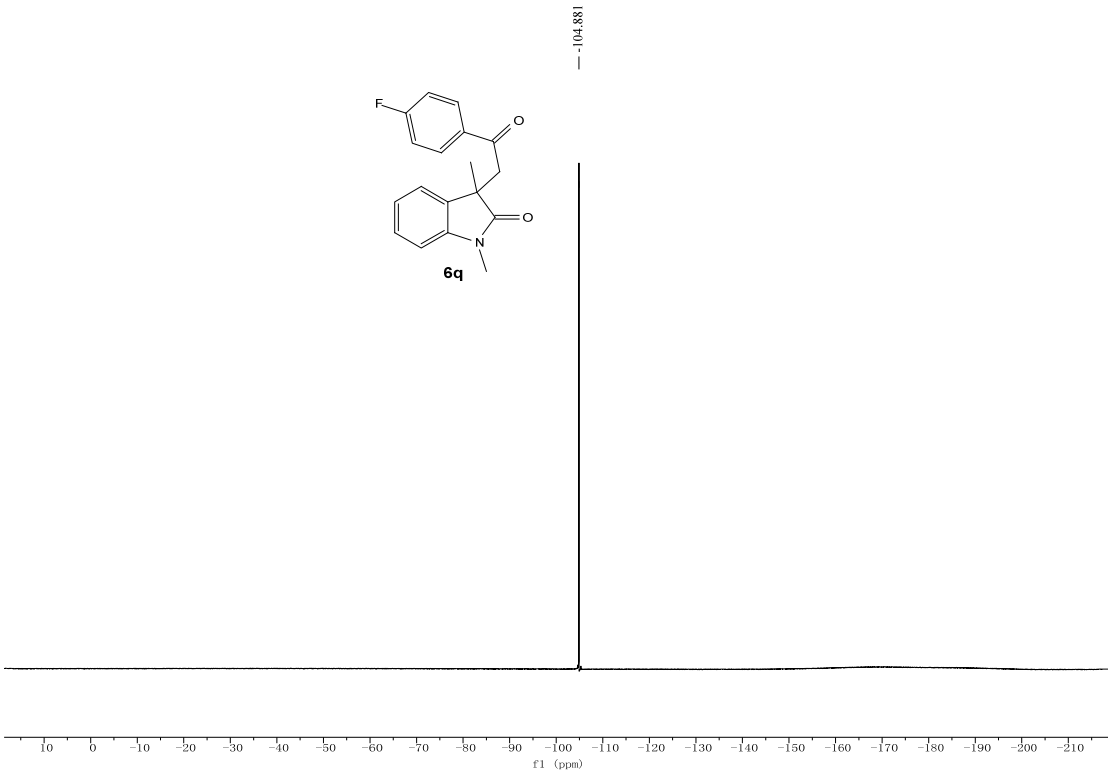
O
N
6q
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)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F
O
O
N
6q
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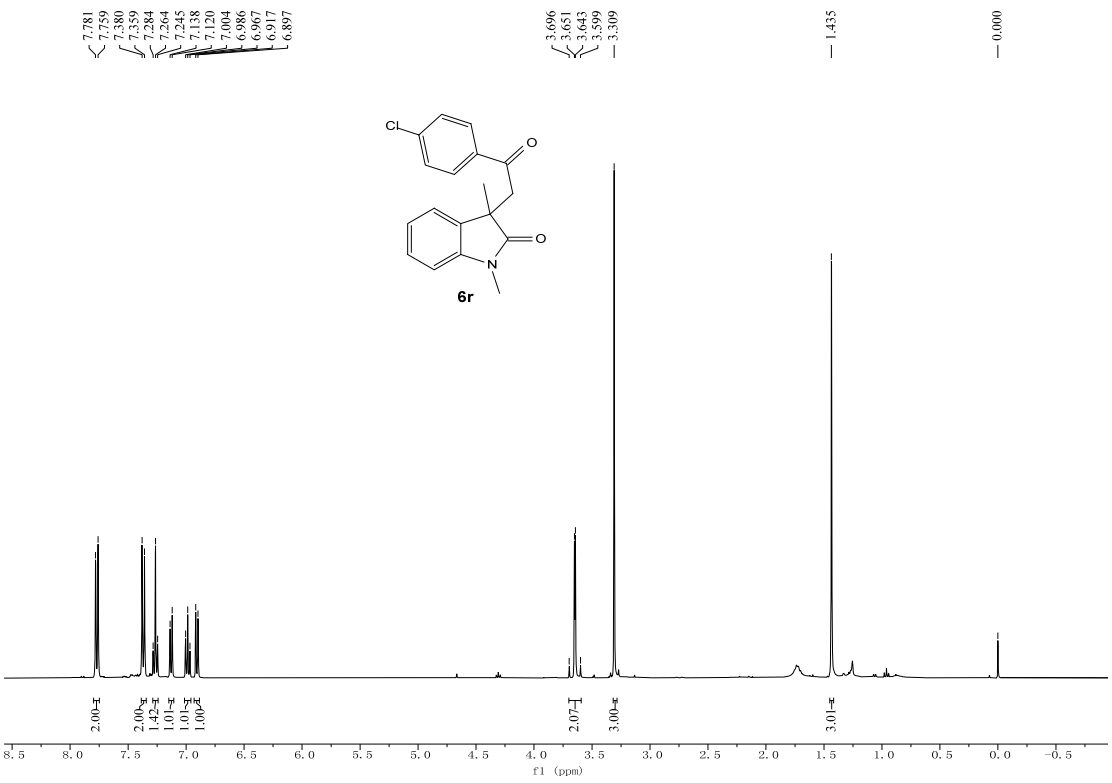
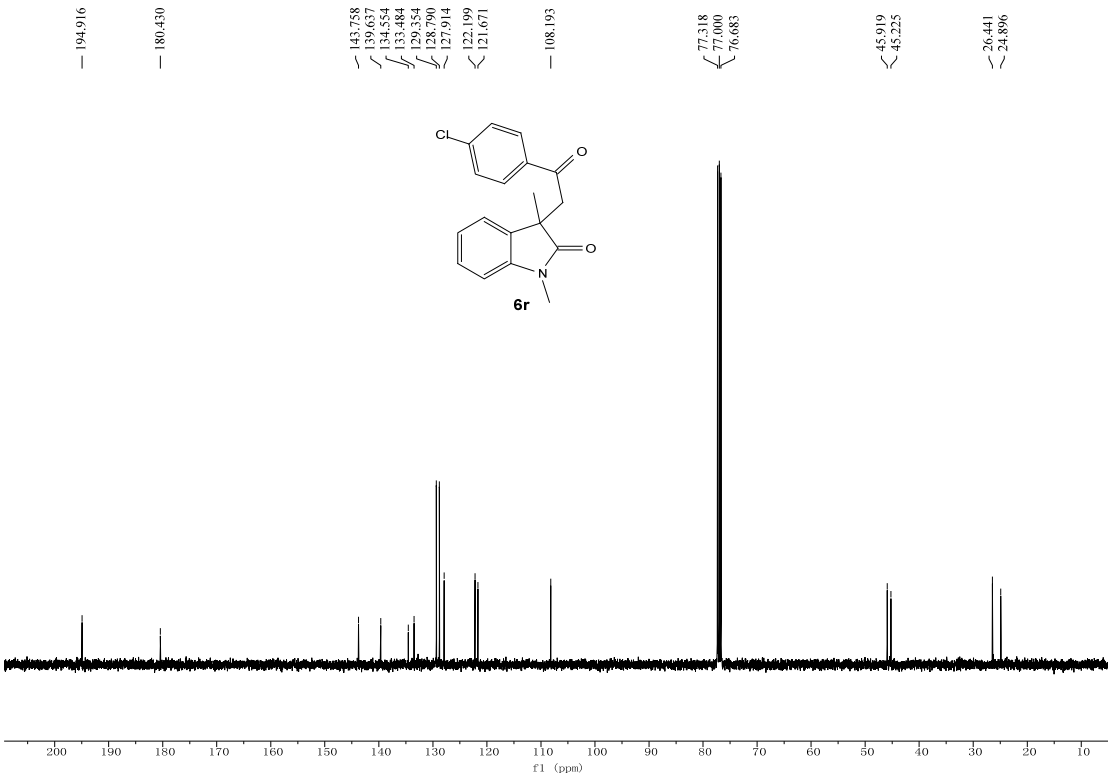
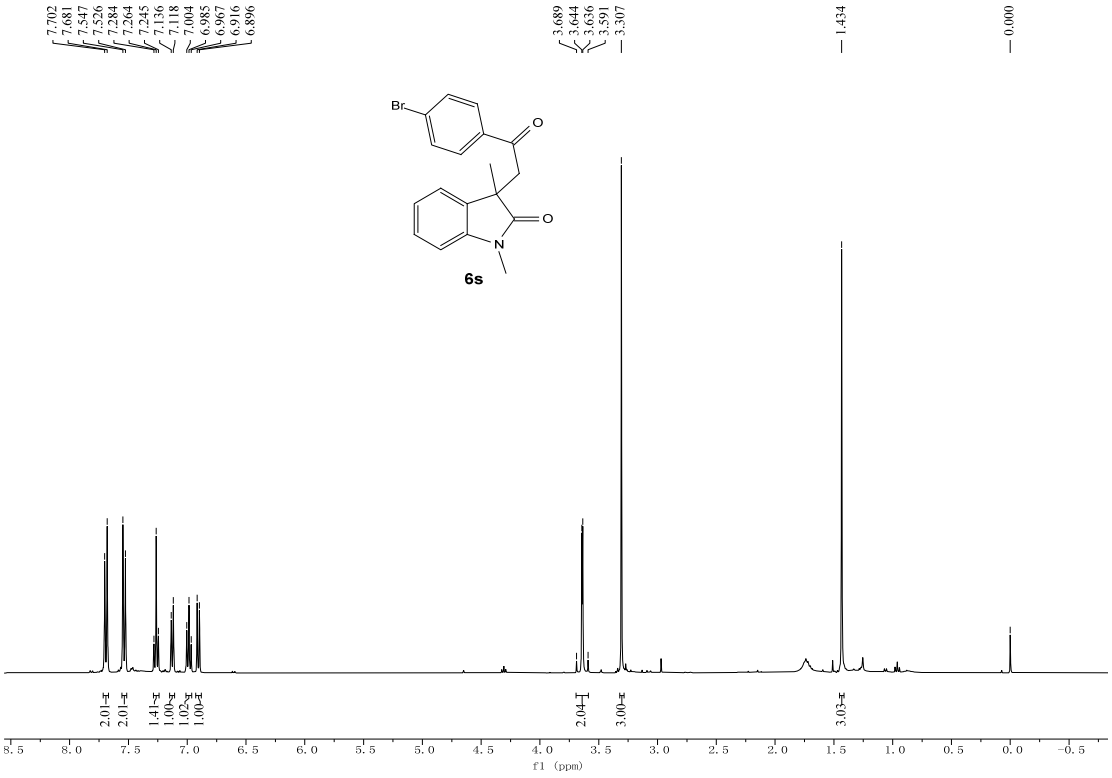
f1 (ppm)

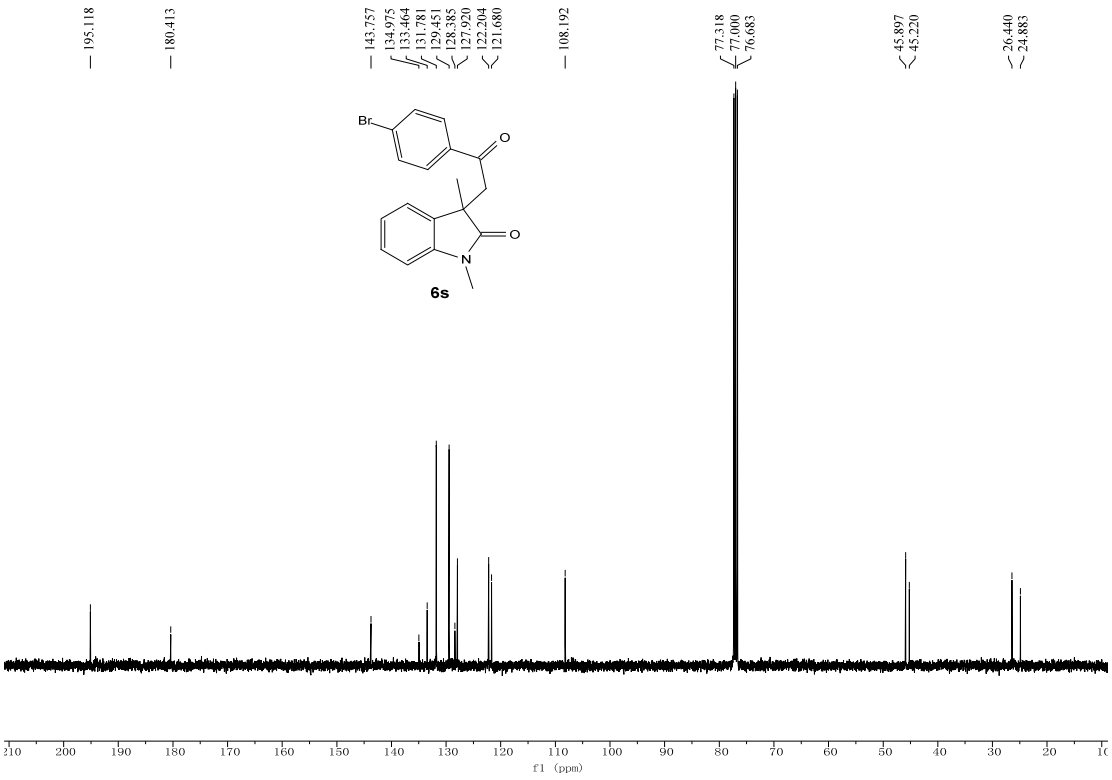
^{1}H and ^{13}C NMR spectra of 6r



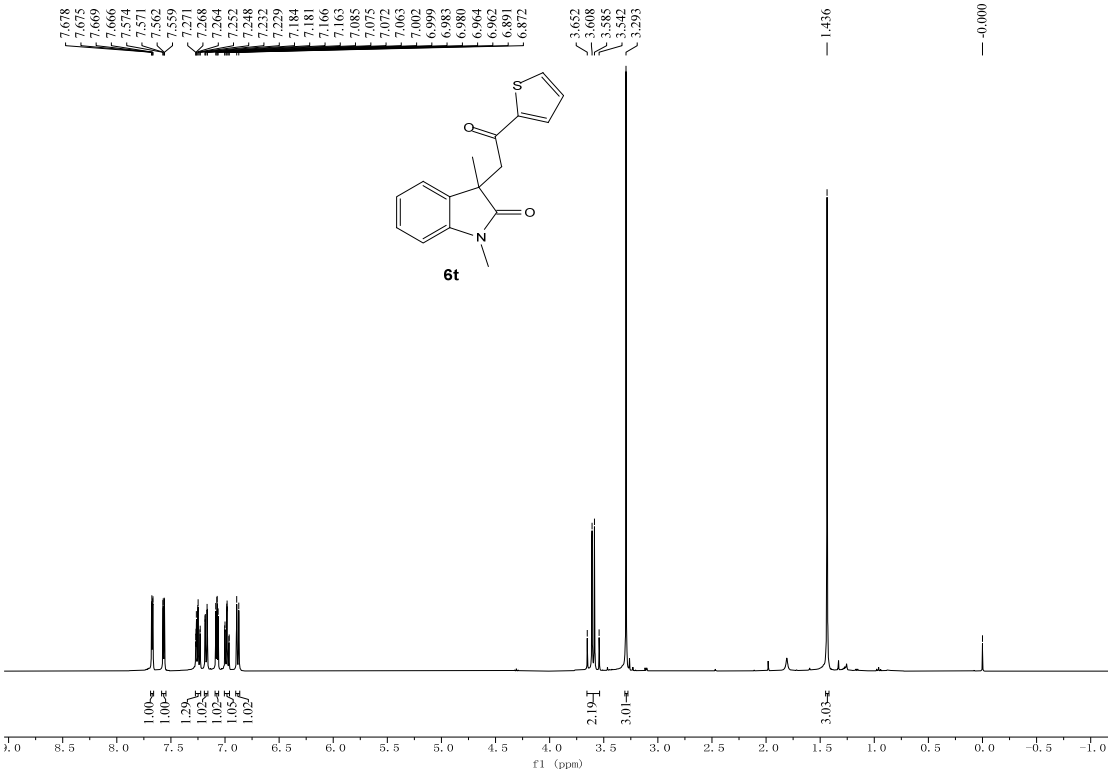


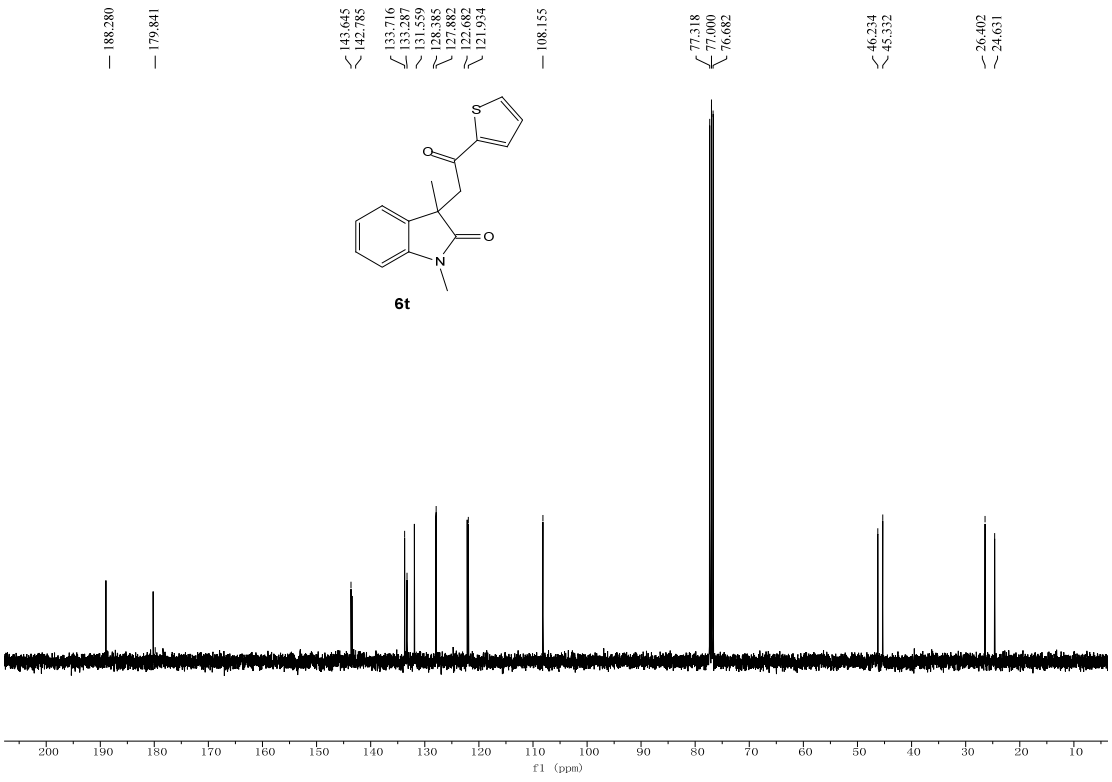
^{1}H and ^{13}C NMR spectra of 6s



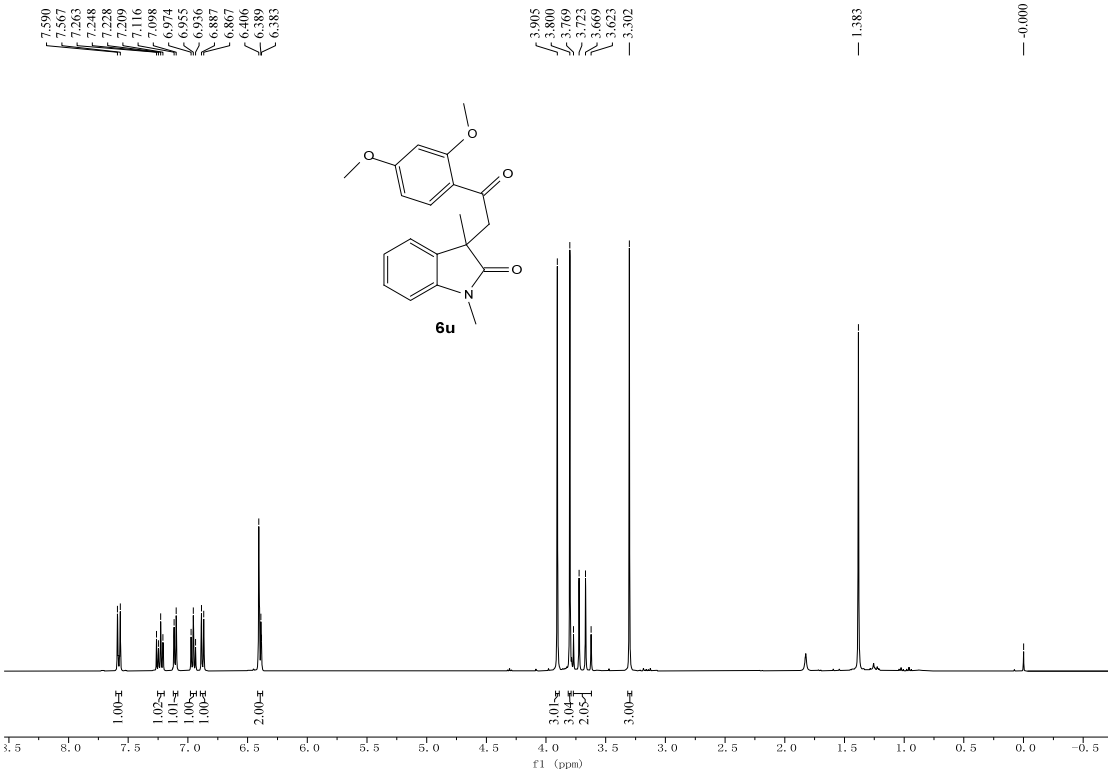


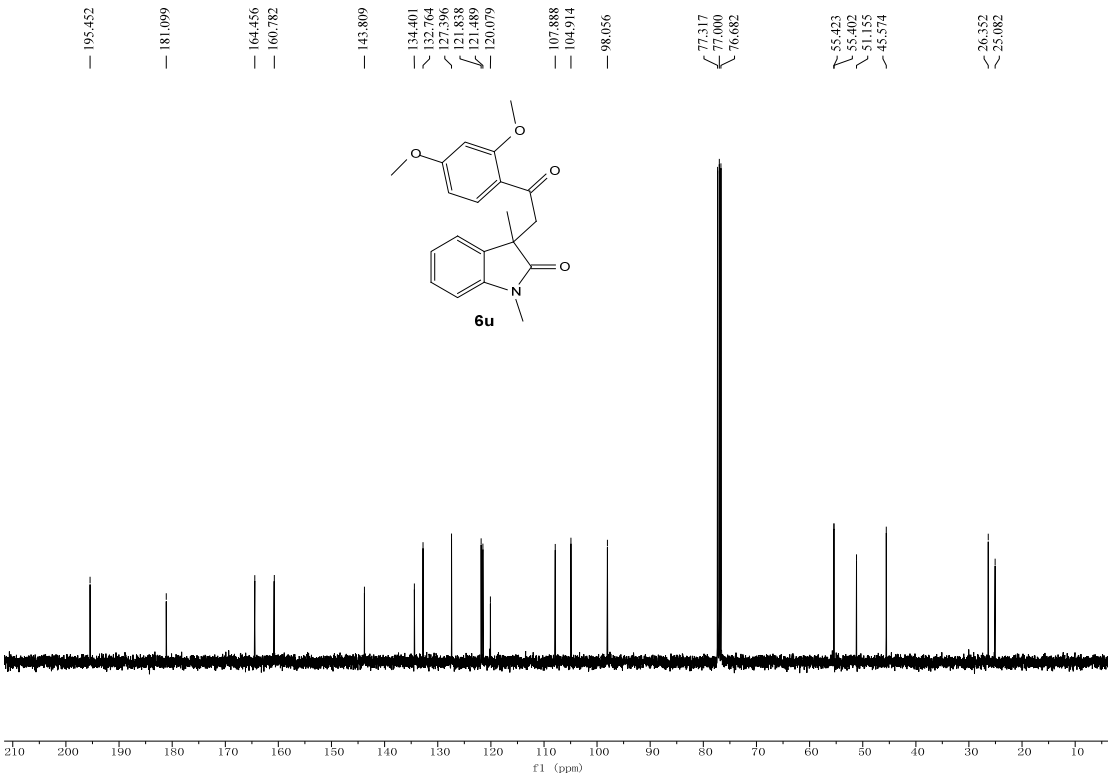
^{1}H and ^{13}C NMR spectra of 6t



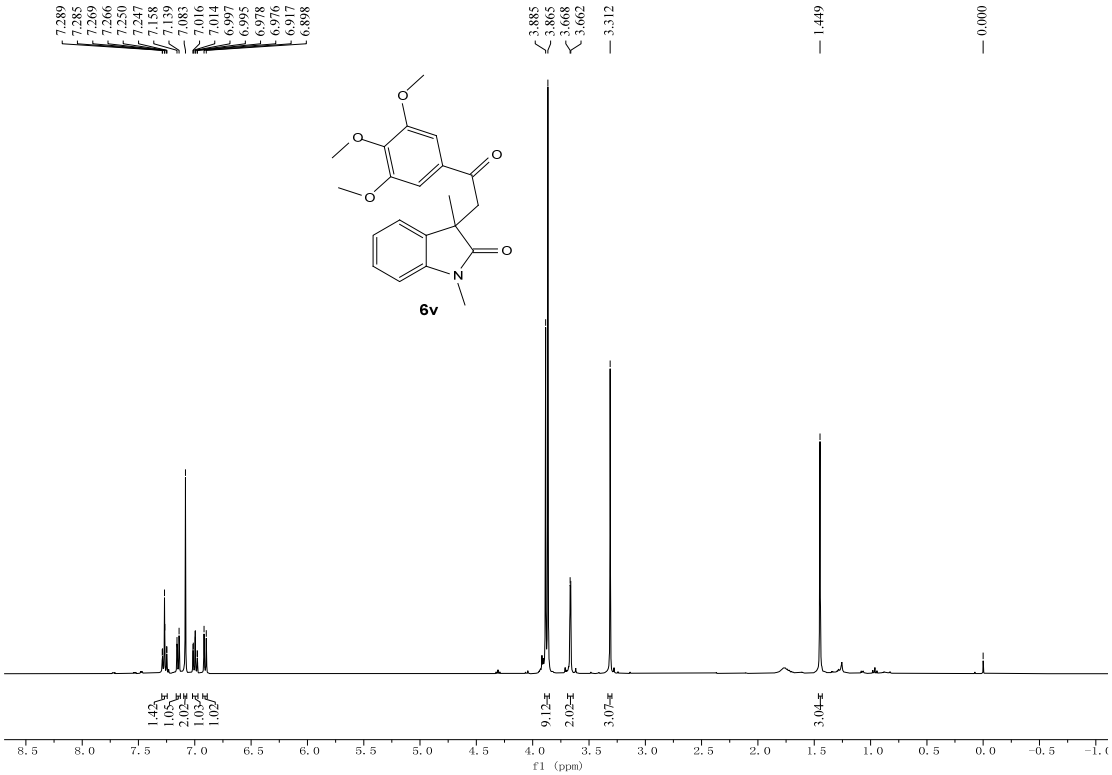


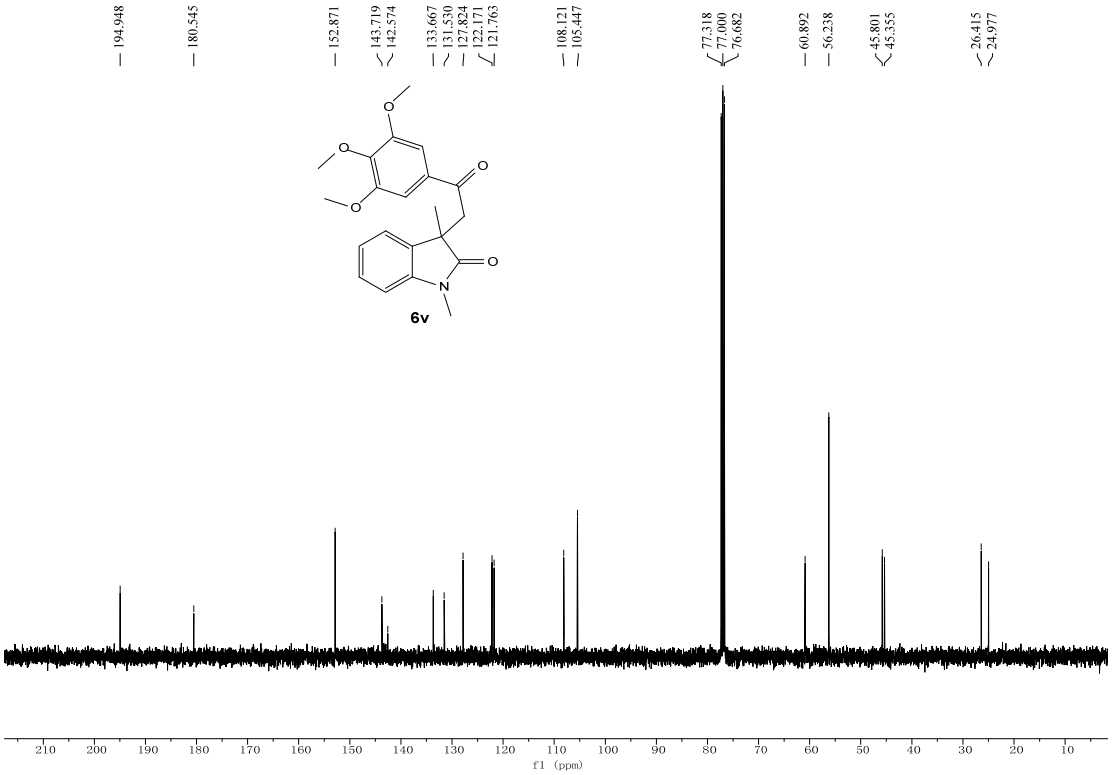
^{1}H and ^{13}C NMR spectra of 6u



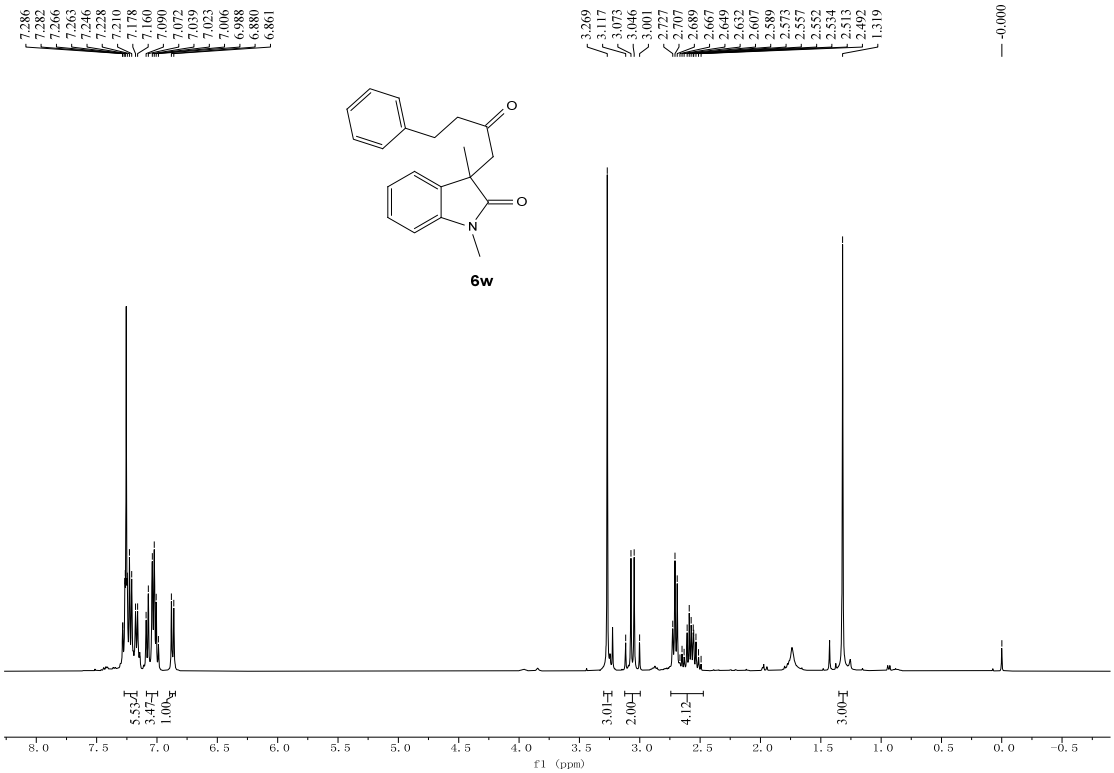


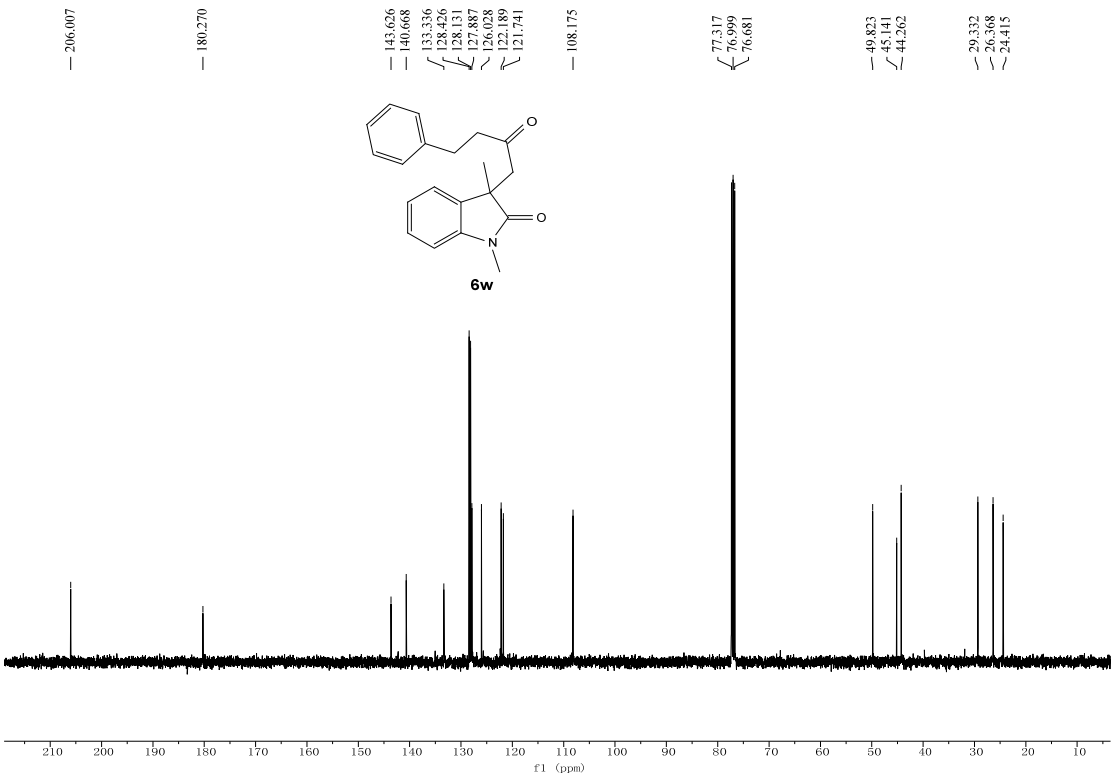
^{1}H and ^{13}C NMR spectra of 6v



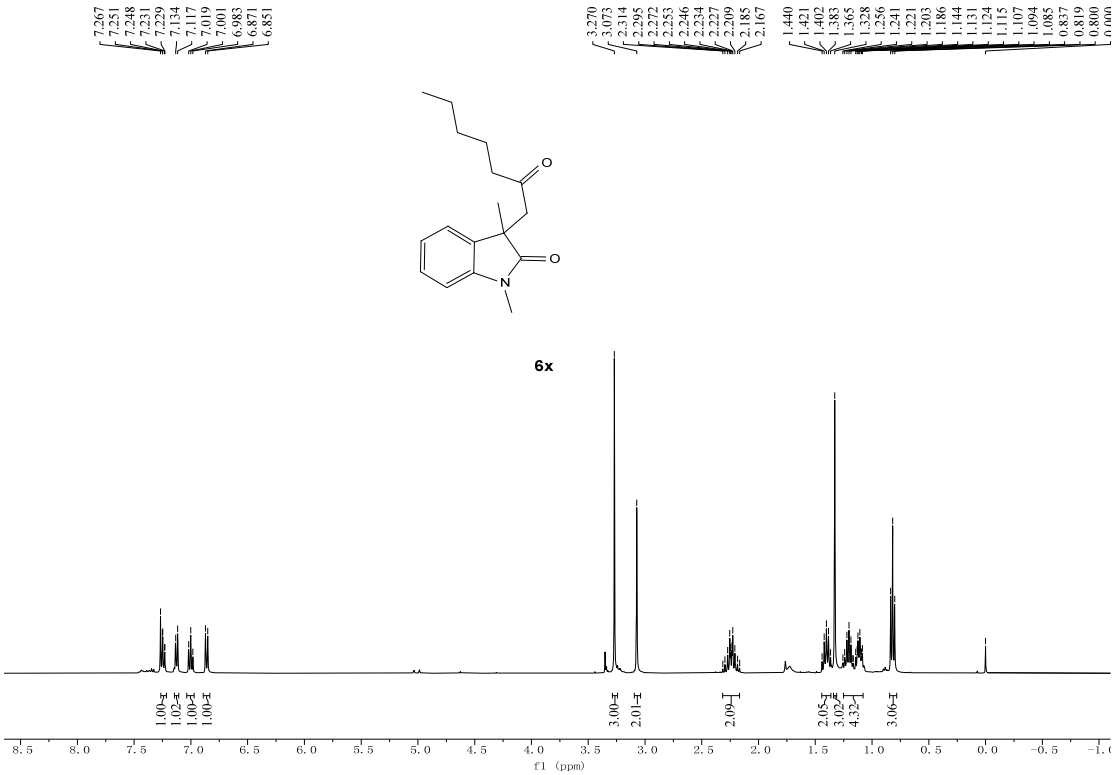


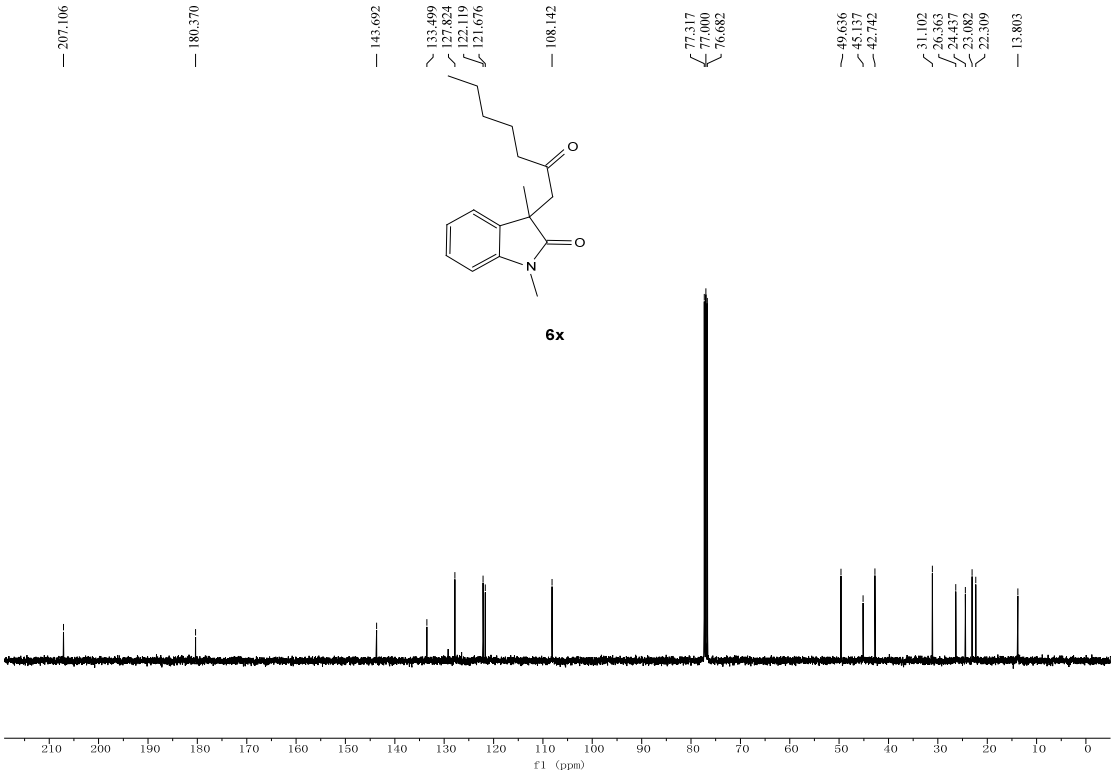
^{1}H and ^{13}C NMR spectra of 6w



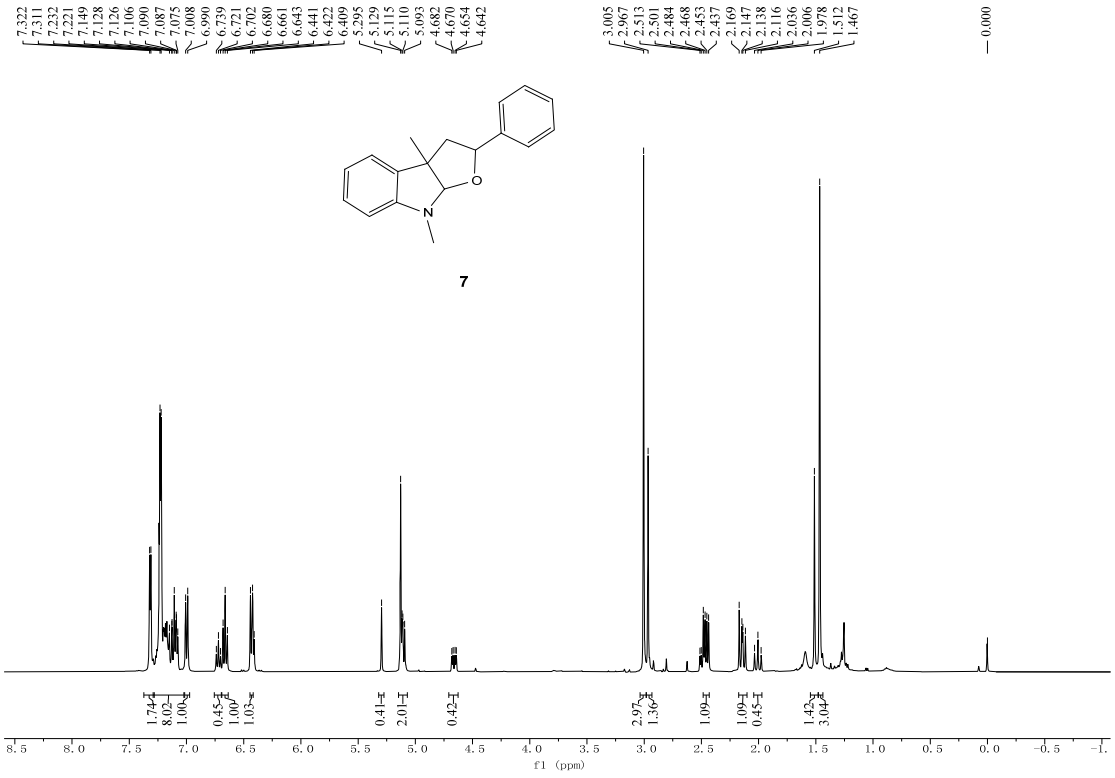


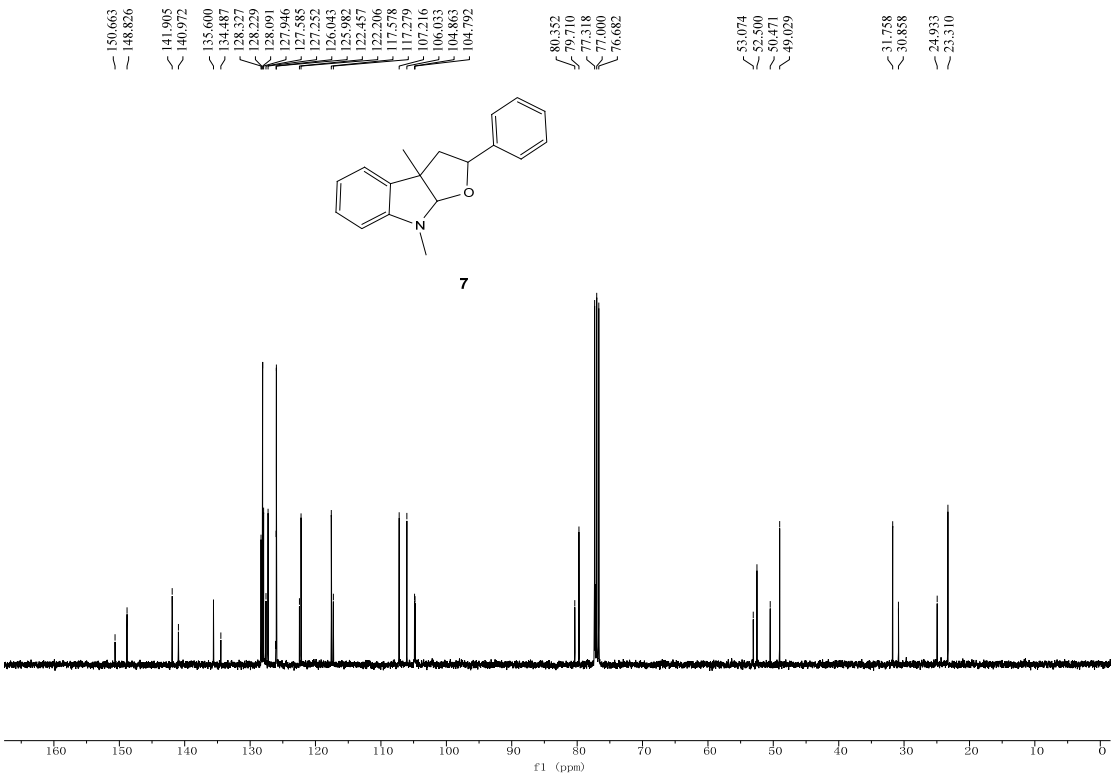
^{1}H and ^{13}C NMR spectra of 6x



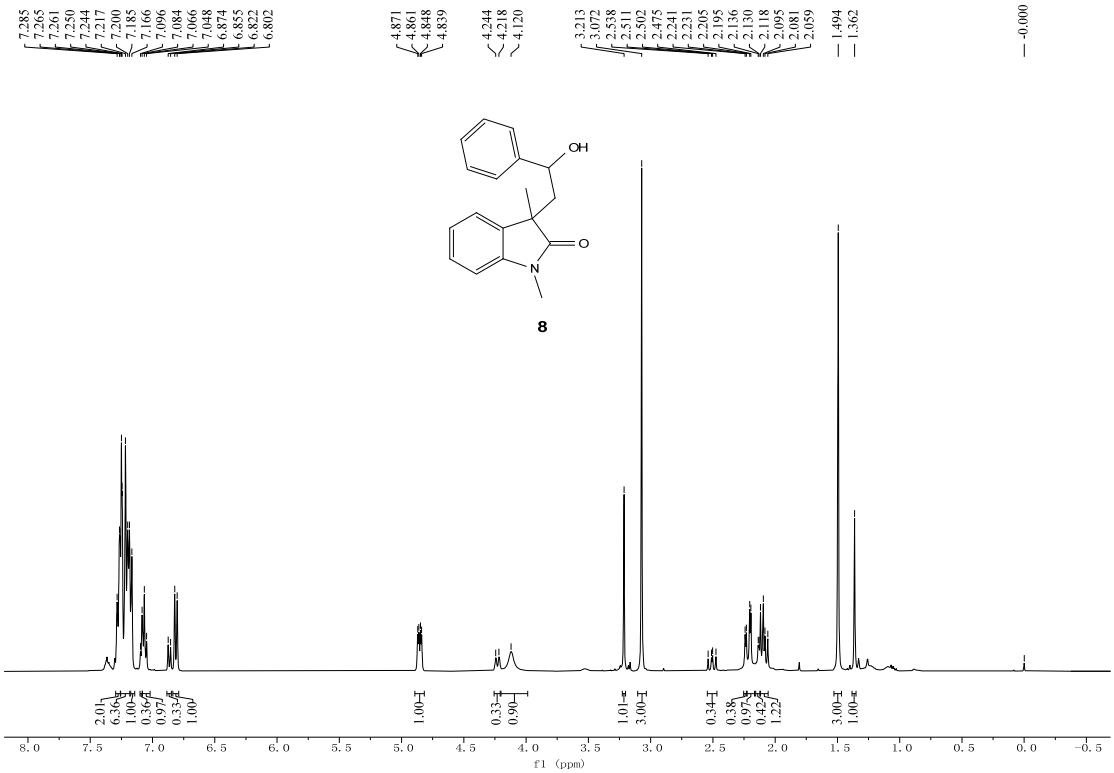


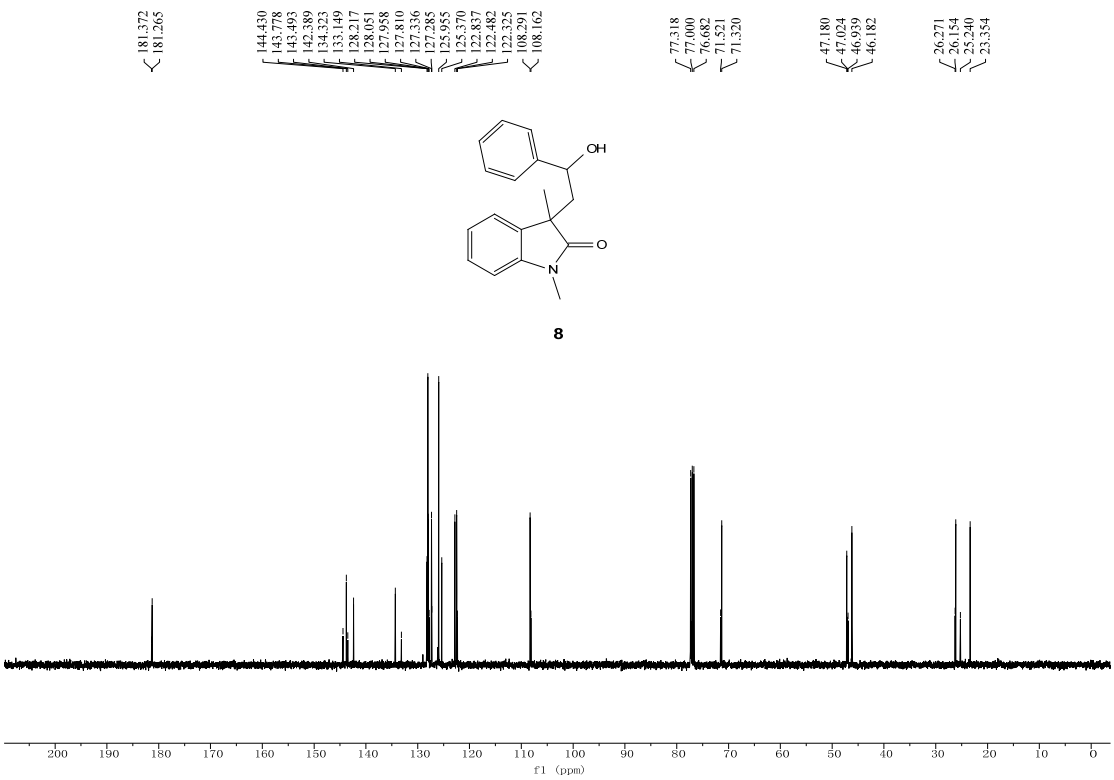
^{1}H and ^{13}C NMR spectra of 7





^{1}H and ^{13}C NMR spectra of 8





^{1}H and ^{13}C NMR spectra of 9