Electronic Supplementary Information

One-pot synthesis of indoles and quinolinones from *ortho*-tosylaminophenyl-substituted *para*-quinone methides

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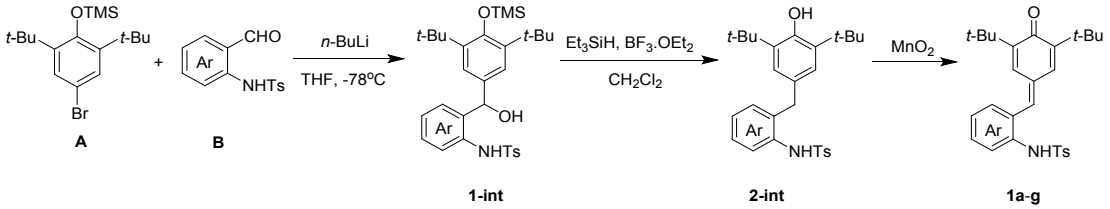
1. General information

- Chemicals were purchased from Acros or Aldrich and used without further purification unless otherwise noted. Solvents were predistilled according to standard laboratory methods.
- Chromatographic purification of the products was performed on Merck silica gel 60, particle size 0.040-0.063 mm (230-240 mesh, flash).
- Analytical TLC: SIL G-25 UV254 from MACHEREY&NAGEL. Visualization of the developed TLC plates was performed with ultraviolet irradiation (254 nm) or by staining with basic potassium permanganate solution.
- Melting points were determined using a Büchi 510 apparatus and are uncorrected.
- Mass spectra were acquired on a Finnigan SSQ7000 (EI/CI) spectrometer and high resolution mass spectra on a Finnigan MAT 95 (EI/CI) or on a ThermoFisher Scientific LTQOrbitrap XL or Thermo Scientific Q Exactive Plus.
- ^{1}H- and ^{13}C- NMR spectra were recorded at ambient temperature on Bruker AV-500, VNMRS 600 and Inova 400 instruments. The chemical shifts are reported in ppm downfield of tetramethylsilane (TMS) and referenced to residual solvent peaks resonance as internal standard. The order of citation in parentheses is a) multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, dd= doublet of doublet, ddd= doublet of doublet of doublet, td = triplet of doublet, m = multiplet), b) coupling constants, c) number of protons. Coupling constants (*J*) are reported in Hertz (Hz).

2. General procedures:

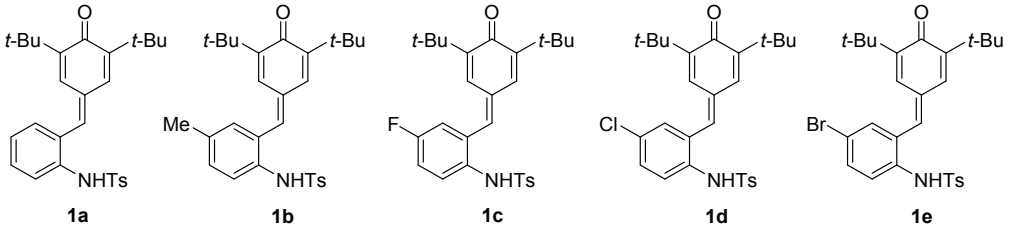
2.1 Procedure A for the synthesis of substrates 1a-g:

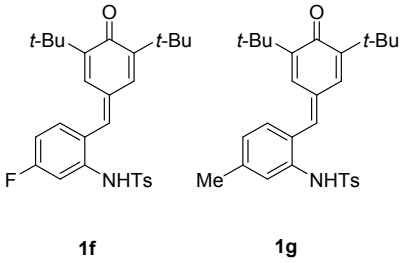


To a solution of **A** (2.0 mmol) in anhydrous THF (10 mL) at -78 °C was added *n*-butyllithium (1.5 mL, 2.4 mmol, 1.2 equiv) dropwise slowly. After finishing the addition, the reaction mixture was stirred at the same temperature for 30 min. Then, a solution of **B** (2.4 mmol, 1.2 equiv) in anhydrous THF (3.0 mL) was dropwise added. The reaction mixture was stirred at -78 °C for 2 h and saturated NH_4Cl solution was added to quench the reaction. Then the resulting solution was extracted with EtOAc (3 × 20 mL). The combined organic phases were dried over anhydrous Na_2SO_4 and the solvent was removed under reduced pressure to yield **1-int**, which were directly used in the next step without further purification.

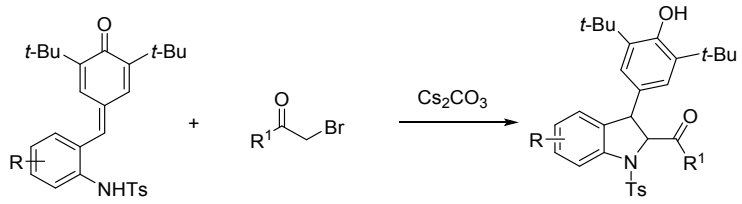
To a solution of **1-int** (1.0 mmol) and triethylsilane (174 mg, 1.5 mmol, 1.5 equiv) in CH_2Cl_2 (10 mL) at 0 °C under nitrogen was slowly added boron trifluoride etherate (284 mg, 2.0 mmol, 2.0 equiv). The reaction mixture was stirred at room temperature overnight, and then the reaction was quenched wtih saturated aqueous $NaHCO_3$ and stirred for 30 minutes. The resulting solution was extracted with CH_2Cl_2 (3 × 20 mL). Then the combined organic phases were washed with brine and dried over anhydrous Na_2SO_4. The solvent was removed to give the crude product which was purified by silica gel flash chromatography (PE/EtOAc = 10/1 to 5/1, v/v) to give substrates **2-int.**

To a solution of **2-int** (1.0 mmol) in CH_2Cl_2 (10 mL) at 30 °C was added MnO_2 (435 mg, 5.0 mmol, 5.0 equiv). The reaction mixture was stirred at 30 °C overnight， and the filtrate is obtained by suction filtration. The solvent was removed to give the crude product which was purified by silica gel flash chromatography (CH_2Cl_2) to give substrates **1a-g.**



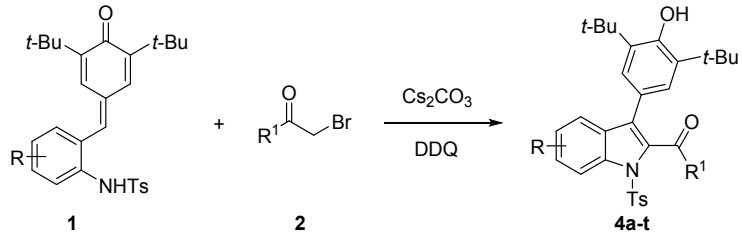


2.2 Procedure B for the synthesis of products 3a-j:



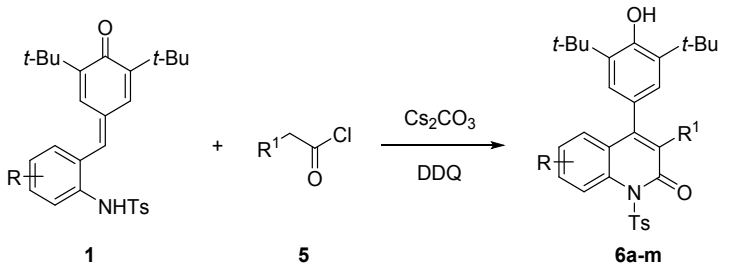
A 10 mL glass tube equipped with a stirring bar was charged with **1** (0.11 mmol, 1.1 equiv), **2** (0.1 mmol, 1.0 equiv), Cs_2CO_3 (0.15 mmol, 1.5 equiv) and CH_3CN (1.5 mL). The mixture was stirred at 50 °C for 1.5 h. After completion, the mixture was filtered and the filtrate was evaporated under vacuum. The crude product was purified by silica gel flash chromatograph (PE/EtOAc = 30/1 to 20/1, v/v) to give products **3a-j**.

2.3 Procedure C for the synthesis of products 4a-t:



A 10 mL glass tube equipped with a stirring bar was charged with **1** (0.11 mmol, 1.1 equiv), **2** (0.1 mmol, 1.0 equiv), Cs_2CO_3 (0.15 mmol, 1.5 equiv) and CH_3CN (1.5 mL). The mixture was stirred at 50 °C for 1.5 h. Then DDQ (34 mg, 0.15 mmol, 1.5 equiv) was added and the reaction mixture was stirred for another 6 h. After completion, the mixture was filtered and the filtrate was evaporated under vacuum. The crude product was purified by silica gel flash chromatograph (PE/EtOAc = 30/1 to 25/1, v/v) to give products **4a-t**.

2.4 Procedure D for the synthesis of products 6a-m:



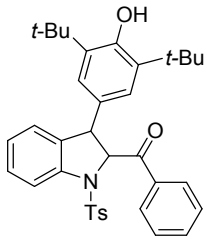
A 10 mL glass tube equipped with a stirring bar was charged with **1** (0.1 mmol, 1.0 equiv), **5** (0.12 mmol, 1.2 equiv), Cs_2CO_3 (0.22 mmol, 2.2 equiv) and CH_3CN (1.5 mL). The mixture was stirred at 50 °C for 1.5 h. Then DDQ (34 mg, 0.15 mmol, 1.5 equiv) was added and the reaction mixture was stirred for another 6 h. After completion, the mixture was filtered and the filtrate was evaporated under vacuum. The crude product was purified by silica gel flash chromatograph (PE/EtOAc = 30/1 to 25/1, v/v) to give products **6a-m**.

2.5 Procedure E for the synthesis of product 7:

6a **7**

A 10 mL glass tube equipped with a stirring bar was charged with **6a** (0.1 mmol, 1.0 equiv), $AlCl_3$ (1 mmol, 10.0 equiv) and toluene (3 mL). The mixture was stirred at 60 °C for 4 h. After completion, the mixture was filtered and the filtrate was evaporated under vacuum. The crude product was purified by silica gel flash chromatograph (PE/EtOAc = 50/1 to 5/1, v/v) to give products **7** as a brown solid (36 mg, 78% yield)

3. Characterization data for 3a-j:



3a

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosylindolin-2-yl)(phenyl)methanone (3a)

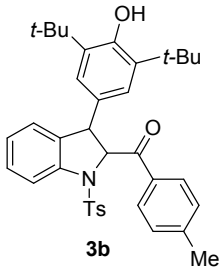
According to general procedure B, **3a** was obtained as a white solid (53 mg, 92% yield).

Melting Point: 183-185 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, J = 8.3 Hz, 4H), 7.60-7.62 (m, 2H), 7.44 (t, J = 5.6 Hz, 2H), 7.29 (d, J = 8.3 Hz, 3H), 7.00 (t, J = 7.4 Hz, 1H), 6.92 (t, J = 5.7 Hz, 1H), 6.56 (s, 2H), 5.44 (d, J = 6.4 Hz, 1H), 5.16 (s, 1H), 4.35 (d, J = 6.4 Hz, 1H), 2.43 (s, 3H), 1.27 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 195.6, 153.2, 144.3, 142.0, 136.2 (2C), 135.4, 134.8, 134.7, 133.5, 132.5, 132.3, 129.8 (2C), 129.2 (2C), 128.6 (2C), 127.7 (2C), 126.0, 124.6 (2C), 123.8, 113.9, 74.1, 52.1, 34.2 (2C), 30.1 (6C), 21.6 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{40}NO_4S$ 582.2678; found 582.2677.



3b

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosylindolin-2-yl)(p-tolyl)methanone (3b)

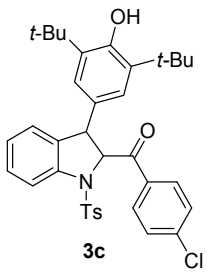
According to general procedure B, **3b** was obtained as a white solid (54 mg, 90% yield).

Melting Point: 193-195 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, J = 8.3 Hz, 2H), 7.74 (d, J = 8.2 Hz, 2H), 7.60 (d, J = 8.2 Hz, 1H), 7.29 (d, J = 8.3 Hz, 2H), 7.23 (d, J = 8.1 Hz, 2H), 6.97-7.02 (m, 1H), 6.98 (d, J = 7.5 Hz, 1H), 6.92 (t, J = 8.1 Hz, 1H), 6.55 (s, 2H), 5.41 (d, J = 6.5 Hz, 1H), 5.16 (s, 1H), 4.33 (d, J = 6.4 Hz, 1H), 2.43 (s, 3H), 2.24 (s, 3H), 1.27 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 195.3, 153.2, 144.4, 142.0, 136.1 (2C), 135.0, 132.4, 132.3, 132.2, 129.8 (2C), 129.3 (2C), 128.6, 128.2 (2C), 127.8 (2C), 127.5, 126.0, 124.7 (2C), 123.8, 113.9, 74.0, 52.2, 34.2 (2C), 30.1 (6C), 21.7, 21.6 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{37}H_{42}NO_4S$ 596.2835; found 596.2823.



3c

(4-chlorophenyl)(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosylindolin-2-yl)methanone (3c)

According to general procedure B, **3c** was obtained as a white solid (54 mg, 88% yield).

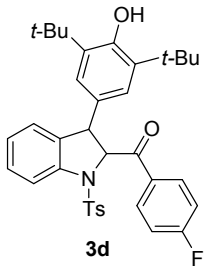
Melting Point: 179-181 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, J = 8.2 Hz, 2H), 7.77 (d, J = 8.6 Hz, 2H), 7.63 (d, J = 8.2 Hz, 1H), 7.40 (d, J = 8.6 Hz, 1H), 7.29-7.31 (m, 3H), 7.19 (d, J = 8.5 Hz, 1H), 7.01 (t, J = 7.5 Hz, 1H), 6.92 (d, J = 7.7

Hz, 1H), 6.54 (s, 2H), 5.30 (d, *J* = 7.0 Hz, 1H), 5.18 (s, 1H), 4.34 (d, *J* = 6.9 Hz, 1H), 2.43 (s, 3H), 1.27 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 194.7, 153.3, 144.5, 141.8, 140.1, 136.3 (2C), 132.2, 130.5 (2C), 129.9 (2C), 129.5, 128.9 (2C), 128.7, 128.2, 127.8 (2C), 127.4, 126.0, 124.6 (2C), 124.0, 114.0, 74.3, 52.2, 34.2 (2C), 30.1 (6C), 21.6 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{39}ClNO_4S$ 616.2288; found 616.2297.



3d

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosylindolin-2-yl)(4-fluorophenyl)methanone (3d)

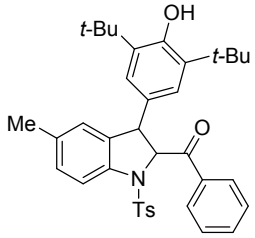
According to general procedure B, **3d** was obtained as a white solid (48 mg, 80% yield).

Melting Point: 170-172 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.87-7.89 (m, 2H), 7.82-7.85 (m, 2H), 7.62 (d, *J* = 8.2 Hz, 1H), 7.30 (d, *J* = 7.8 Hz, 3H), 7.09-7.13 (m, 2H), 7.01 (t, *J* = 7.2 Hz, 1H), 6.92 (d, *J* = 7.6 Hz, 1H), 6.54 (s, 2H), 5.34 (d, *J* = 6.8 Hz, 1H), 5.18 (s, 1H), 4.34 (d, *J* = 6.8 Hz, 1H), 2.43 (s, 3H), 1.27 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 194.2, 164.9, 153.3, 144.4, 141.8, 136.3 (2C), 134.7, 132.3, 132.1, 131.9, 131.8, 129.8 (2C), 128.7, 127.7 (2C), 127.4, 125.9, 124.6 (2C), 123.9, 115.8, 115.7, 113.9, 74.2, 52.2, 34.2 (2C), 30.1 (6C), 21.6 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{39}FNO_4S$ 600.2584; found 600.2568.



3e

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-5-methyl-1-tosylindolin-2-yl)(phenyl)methanone (3e)

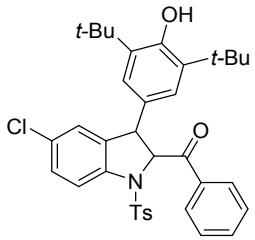
According to general procedure B, **3e** was obtained as a white solid (45 mg, 75% yield).

Melting Point: 180-182 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.84 (d, *J* = 8.4 Hz, 2H), 7.83 (d, *J* = 3.0 Hz, 2H), 7.60 (t, *J* = 7.3 Hz, 1H), 7.50 (d, *J* = 8.3 Hz, 1H), 7.43 (t, *J* = 7.8 Hz, 2H), 7.31 (d, *J* = 7.9 Hz, 2H), 7.09 (d, *J* = 8.0 Hz, 1H), 6.73 (s, 1H), 6.55 (s, 2H), 5.36 (d, *J* = 6.6 Hz, 1H), 5.17 (s, 1H), 4.31 (d, *J* = 6.5 Hz, 1H), 2.43 (s, 3H), 2.24 (s, 3H), 1.27 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 195.7, 153.2, 144.2, 139.7, 136.2 (2C), 134.9, 134.7, 133.6, 133.5, 132.4, 132.3, 129.8 (2C), 129.2 (2C), 128.6 (2C), 127.8 (2C), 127.5, 126.4, 124.7 (2C), 113.7, 74.4, 52.2, 34.2 (2C), 30.1 (6C), 21.6, 20.8 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{37}H_{42}NO_4S$ 596.2835; found 596.2844.



3f

(5-chloro-3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosylindolin-2-yl)(phenyl)methanone (3f)

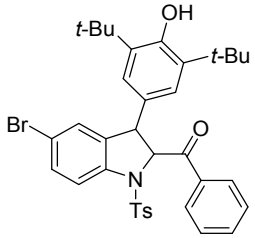
According to general procedure B, **3f** was obtained as a white solid (50 mg, 82% yield).

Melting Point: 179-181 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.81-7.84 (m, 4H), 7.62 (t, J = 7.4 Hz, 1H), 7.54 (d, J = 8.7 Hz, 1H), 7.44 (t, J = 7.8 Hz, 2H), 7.32 (d, J = 8.1 Hz, 2H), 7.25 (dd, J_1 = 8.7 Hz, J_2 = 1.8 Hz, 1H), 6.89 (s, 1H), 6.54 (s, 2H), 5.47 (d, J = 6.4 Hz, 1H), 5.20 (s, 1H), 4.29 (d, J = 6.8 Hz, 1H), 2.44 (s, 3H), 1.28 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 195.1, 153.5, 144.6, 140.7, 136.4 (2C), 134.7, 134.4, 134.4, 133.7, 131.5, 129.9 (2C), 129.2 (2C), 128.7, 128.6 (2C), 127.7, 127.4 (2C), 126.0, 124.5 (2C), 115.3, 74.2, 51.8, 34.2 (2C), 30.1 (6C), 21.6 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{39}ClNO_4S$ 616.2288; found 616.2281.



3g

(5-bromo-3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosylindolin-2-yl)(phenyl)methanone (3g)

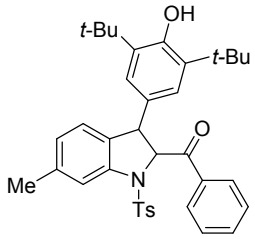
According to general procedure B, **3g** was obtained as a white solid (58 mg, 88% yield).

Melting Point: 168-170 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.81-7.84 (m, 4H), 7.62 (t, J = 7.3 Hz, 1H), 7.49 (d, J = 8.8 Hz, 1H), 7.44 (t, J = 7.7 Hz, 2H), 7.39 (d, J = 7.9 Hz, 1H), 7.32 (d, J = 7.9 Hz, 2H), 7.04 (s, 1H), 6.55 (s, 2H), 5.46 (d, J = 6.3 Hz, 1H), 5.21 (s, 1H), 4.30 (d, J = 6.2 Hz, 1H), 2.44 (s, 3H), 1.28 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 195.0, 153.5, 144.6, 141.2, 136.5 (2C), 134.8, 134.7, 134.4, 133.7, 131.6, 131.5, 129.9 (2C), 129.1 (2C), 128.9, 128.7 (2C), 127.6 (2C), 124.5 (2C), 116.4, 115.3, 74.2, 51.8, 34.2 (2C), 30.1 (6C), 21.6 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{39}BrNO_4S$ 660.1783; found 660.1772.



3h

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-6-methyl-1-tosylindolin-2-yl)(phenyl)methanone (3h)

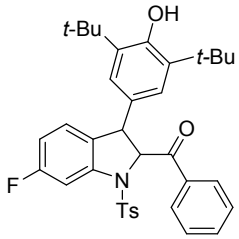
According to general procedure B, **3h** was obtained as a white solid (46 mg, 78% yield).

Melting Point: 193-195 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.83-7.86 (m, 4H), 7.60 (t, J = 7.4 Hz, 1H), 7.45 (s, 1H), 7.43 (d, J = 8.0 Hz, 2H), 7.30 (d, J = 8.0 Hz, 1H), 7.21 (t, J = 7.7 Hz, 1H), 6.80 (m, 2H), 6.55 (s, 2H), 5.39 (d, J = 6.4 Hz, 1H), 5.15 (s, 1H), 4.30 (d, J = 6.4 Hz, 1H), 2.43 (s, 3H), 2.41 (s, 3H), 1.27 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 195.6, 153.2, 144.2, 142.0, 138.7, 136.1 (2C), 135.3, 135.0, 134.7, 133.5, 132.4, 129.8 (2C), 129.2 (2C), 128.6 (2C), 127.7 (2C), 126.6, 125.6, 124.6 (2C), 114.5, 74.5, 51.8, 34.2 (2C), 30.1 (6C), 21.8, 21.6 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{37}H_{42}NO_4S$ 596.2835; found 596.2823.



3i

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-6-fluoro-1-tosylindolin-2-yl)(phenyl)methanone (3i)

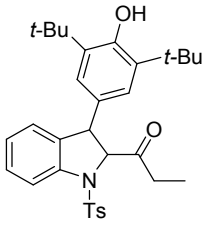
According to general procedure B, **3i** was obtained as a white solid (47 mg, 78% yield).

Melting Point: 181-183 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.84-7.87 (m, 4H), 7.63 (t, J = 7.5 Hz, 1H), 7.45 (d, J = 7.6 Hz, 2H), 7.32-7.37 (m, 3H), 6.85 (dd, J_1 = 8.2 Hz, J_2 = 5.4 Hz, 1H), 6.68 (td, J_1 = 8.7 Hz, J_2 = 2.1 Hz, 1H), 6.54 (s, 2H), 5.49 (d, J = 6.1 Hz, 1H), 5.18 (s, 1H), 4.29 (d, J = 6.0 Hz, 1H), 2.45 (s, 3H), 1.26 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 195.1, 164.2, 153.3, 144.6, 136.3 (2C), 134.8, 134.4, 133.7, 132.1, 129.9 (2C), 129.2 (2C), 128.7 (2C), 128.1, 127.9, 127.7 (2C), 127.4, 124.5 (2C), 110.7, 101.9, 74.7, 51.4, 34.2 (2C), 30.0 (6C), 21.6 ppm.e

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{39}FNO_4S$ 600.2584; found 600.2598.



3j

1-(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosylindolin-2-yl)propan-1-one (3j)

According to general procedure B, **3h** was obtained as a white solid (37 mg, 70% yield).

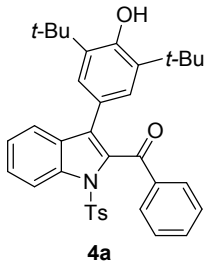
Melting Point: 115-117 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.78 (d, J = 8.2 Hz, 1H), 7.66 (d, J = 8.2 Hz, 1H), 7.31 (t, J = 7.7 Hz, 1H), 7.18 (d, J = 8.2 Hz, 2H), 7.04 (t, J = 7.5 Hz, 1H), 6.93 (d, J = 7.5 Hz, 2H), 6.52 (s, 2H), 5.11 (s, 1H), 4.43 (d, J = 6.5 Hz, 1H), 4.27 (d, J = 6.4 Hz, 1H), 2.36 (s, 3H), 1.28 (s, 18H), 1.16 (d, J = 7.2 Hz, 3H), 0.91 (d, J = 6.7 Hz, 2H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 209.2, 153.0, 144.4, 141.6, 136.0 (2C), 133.6, 132.6, 132.3, 129.7 (2C), 128.6, 127.7 (2C), 126.3, 124.3 (2C), 124.3, 114.7, 77.4, 51.0, 34.2 (2C), 31.9, 30.1 (6C), 21.6, 7.4 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{32}H_{40}NO_4S$ 534.2678; found 534.2669.

4. Characterization data for 4a-t:



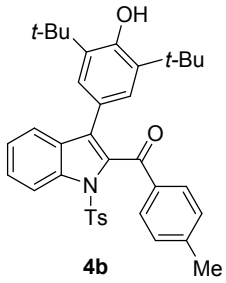
4a

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)(phenyl)methanone (4a)

According to general procedure C, **4a** was obtained as a brown solid (42 mg, 83% yield).

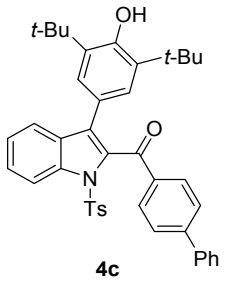
Melting Point: 181-183 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.18 (d, J = 8.4 Hz, 1H), 7.95 (d, J = 8.4 Hz, 2H), 7.89 (d, J = 7.2 Hz, 2H), 7.66 (d, J = 7.9 Hz, 1H), 7.46-7.51 (m, 2H), 7.33-7.39 (m, 4H), 7.29 (d, J = 8.3 Hz, 1H), 7.14 (s, 2H), 5.18 (s, 1H), 2.39 (s, 3H), 1.33 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 189.8, 153.6, 145.0, 138.0, 136.3, 135.8, 134.8 (2C), 133.2, 132.2, 129.8 (2C), 129.7 (2C), 129.6, 128.3 (2C), 127.8, 127.6 (2C), 126.3 (2C), 126.2, 124.2, 122.0, 121.5, 115.0, 34.3 (2C), 30.1 (6C), 21.7 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{38}NO_4S$ 580.2522; found 580.2512.



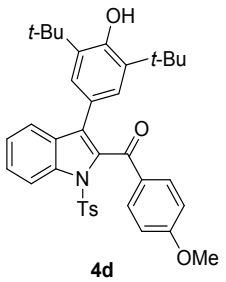
4b

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)(p-tolyl)methanone (4b)
According to general procedure C, **4b** was obtained as a brown solid (51 mg, 86% yield).
Melting Point: 180-182 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.17 (d, J = 8.4 Hz, 1H), 7.94 (d, J = 8.0 Hz, 2H), 7.78 (d, J = 8.0 Hz, 2H), 7.66 (d, J = 7.9 Hz, 1H), 7.46 (t, J = 7.7 Hz, 1H), 7.32-7.38 (m, 2H), 7.27-7.28 (m, 1H), 7.17 (d, J = 8.2 Hz, 2H), 7.15 (s, 2H), 5.18 (s, 1H), 2.38 (s, 3H), 2.37 (s, 3H), 1.33 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 189.5, 153.6, 145.0, 144.1, 136.2, 135.8 (2C), 135.7, 134.8, 132.4, 129.9 (2C), 129.8, 129.7 (2C), 129.0 (2C), 127.6 (2C), 127.4, 126.3 (2C), 126.1, 124.1, 122.1, 121.4, 115.0, 34.3 (2C), 30.1 (6C), 21.7, 21.6 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{37}H_{40}NO_4S$ 594.2678; found 594.2658.



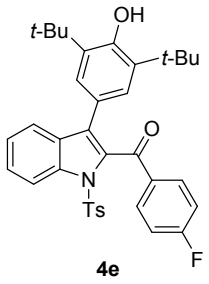
4c

[1,1'-biphenyl]-4-yl(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)methanone (4c)
According to general procedure C, **4c** was obtained as a brown solid (46 mg, 71% yield).
Melting Point: 209-211 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.20 (d, J = 8.4 Hz, 1H), 7.96 (dd, J_1 = 8.2 Hz, J_2 = 3.0 Hz, 4H), 7.68 (d, J = 7.9 Hz, 1H), 7.58 (d, J = 8.4 Hz, 4H), 7.49 (d, J = 8.7 Hz, 1H), 7.46 (d, J = 7.9 Hz, 2H), 7.40 (d, J = 7.3 Hz, 1H), 7.35 (t, J = 7.5 Hz, 1H), 7.29 (d, J = 8.7 Hz, 2H), 7.18 (s, 2H), 5.18 (s, 1H), 2.40 (s, 3H), 1.33 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 189.4, 153.7, 145.9, 145.0, 140.1, 136.8, 136.3, 135.9 (2C), 134.8, 132.2, 130.3 (2C), 129.7 (2C), 129.6, 128.9 (2C), 128.1, 127.7, 127.6 (2C), 127.3 (2C), 127.1 (2C), 126.4 (2C), 126.3, 124.2, 122.0, 121.5, 115.0, 34.3 (2C), 30.1 (6C), 21.7 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{42}H_{42}NO_4S$ 656.2835; found 656.2820.



4d

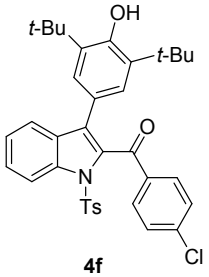
(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)(4-methoxyphenyl)methanone (4d)
According to general procedure C, **4d** was obtained as a white solid (50 mg, 82% yield).
Melting Point: 192-194 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.17 (d, J = 8.4 Hz, 1H), 7.97 (d, J = 8.3 Hz, 2H), 7.86-7.88 (m, 2H), 7.66 (d, J = 7.9 Hz, 1H), 7.46 (t, J = 8.1 Hz, 1H), 7.37 (m, 1H), 7.33 (t, J = 7.6 Hz, 1H), 7.29-7.30 (m, 1H), 7.16 (s, 2H), 6.85(d, J = 8.9 Hz, 2H), 5.18 (s, 1H), 3.84 (s, 3H), 2.39 (s, 3H), 1.34 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 188.4, 163.7, 153.5, 144.9, 136.2, 135.8 (2C), 134.8, 132.1 (2C), 131.3, 129.7 (2C), 127.6 (2C), 127.0, 126.3 (2C), 126.0, 124.4, 124.1, 123.5, 121.3, 114.9, 113.6 (2C), 100.0, 55.5, 34.3 (2C), 30.1 (6C), 21.6 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{37}H_{40}NO_5S$ 610.2627; found 610.2615.



4e

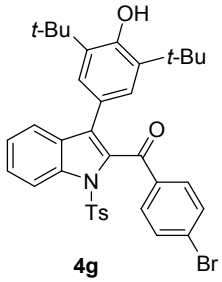
(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)(4-fluorophenyl)methanone (4e)
According to general procedure C, **4e** was obtained as a white solid (44 mg, 74% yield).
Melting Point: 219-221 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.17 (d, J = 8.4 Hz, 1H), 7.95 (d, J = 8.3 Hz, 2H), 7.90-7.92 (m, 2H), 7.66 (d, J = 7.9 Hz, 1H), 7.48 (t, J = 7.9 Hz, 2H), 7.35 (t, J = 7.6 Hz, 2H), 7.29 (d, J = 8.5 Hz, 2H), 7.14 (s, 2H), 7.03(t, J = 8.6 Hz, 2H), 5.21 (s, 1H), 2.39 (s, 3H), 1.34 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 188.2, 166.8, 164.7, 153.7, 145.1, 136.3, 135.9 (2C), 134.7, 134.5, 132.4, 131.8, 129.6 (2C), 128.0, 127.6 (2C), 126.4 (2C), 124.3 (2C), 121.9, 121.5 (2C), 115.6, 115.4, 115.0, 34.3 (2C), 30.1 (6C), 21.7 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{37}FNO_4S$ 598.2427; found 598.2421.



4f

(4-chlorophenyl)(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)methanone (4f)
According to general procedure C, **4f** was obtained as a orange solid (50 mg, 82% yield).
Melting Point: 160-162 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.17 (d, J = 8.5 Hz, 1H), 7.93 (d, J = 8.3 Hz, 2H), 7.81 (d, J = 8.5 Hz, 2H), 7.65 (d, J = 7.9 Hz, 1H), 7.49 (t, J = 7.9 Hz, 1H), 7.33-7.36 (m, 3H), 7.29 (d, J = 8.3 Hz, 2H), 7.14 (s, 2H), 5.22 (s, 1H), 2.39 (s, 3H), 1.35 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 188.5, 153.8, 145.1, 139.6, 136.5, 136.3, 135.9 (2C), 134.6, 131.7, 131.0 (2C), 129.7 (2C), 129.6, 128.6 (2C), 128.3, 127.6 (2C), 126.5, 126.4 (2C), 124.3, 121.8, 121.6, 115.0, 34.3 (2C), 30.1 (6C), 21.7 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{37}ClNO_4S$ 614.2132; found 614.2122.



4g

(4-bromophenyl)(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)methanone (4g)

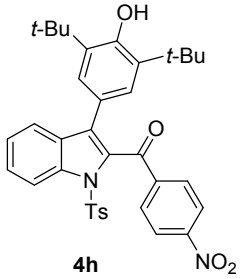
According to general procedure C, **4g** was obtained as a brown solid (54 mg, 82% yield).

Melting Point: 160-162 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.17 (d, J = 8.4 Hz, 1H), 7.92 (d, J = 8.4 Hz, 2H), 7.73 (d, J = 8.5 Hz, 2H), 7.65 (d, J = 7.9 Hz, 1H), 7.47-7.51 (m, 3H), 7.33-7.37 (m, 1H), 7.29 (d, J = 8.3 Hz, 2H), 7.13 (s, 2H), 5.23 (s, 1H), 2.40 (s, 3H), 1.35 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 188.7, 153.8, 145.1, 136.9, 136.4, 136.0 (2C), 134.6, 131.9 (2C), 131.6, 131.4, 131.1 (2C), 129.7 (2C), 129.6, 128.4, 127.6 (2C), 126.5, 126.4 (2C), 124.3, 121.8,121.6, 115.0, 34.3 (2C), 30.1 (6C), 21.7 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{37}BrNO_4S$ 658.1627; found 658.1615.



4h

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)(4-nitrophenyl)methanone (4h)

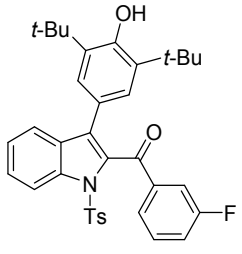
According to general procedure C, **4h** was obtained as a yellow solid (40 mg, 64% yield).

Melting Point: 207-209 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.20 (d, J = 8.6 Hz, 2H), 8.18 (d, J = 8.9 Hz, 1H), 8.01 (d, J = 8.6 Hz, 2H), 7.90 (d, J = 8.1 Hz, 2H), 7.66 (d, J = 7.9 Hz, 1H), 7.52 (t, J = 7.6 Hz, 1H), 7.37 (t, J = 7.6 Hz, 1H), 7.30 (d, J = 8.2 Hz, 1H), 7.16 (s, 2H), 5.26 (s, 1H), 2.40 (s, 3H), 1.36 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 187.7, 154.1, 150.0, 145.4, 142.7, 136.6, 136.1 (2C), 134.3, 131.2, 130.5 (2C), 130.1, 129.8 (2C), 129.6, 127.5 (2C), 127.1, 126.6 (2C), 124.6, 123.5 (2C), 121.9, 121.4, 115.2, 34.3 (2C), 30.1 (6C), 21.7 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{37}N_2O_6S$ 625.2372; found 625.2368.



4i

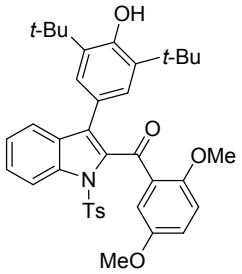
(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)(3-fluorophenyl)methanone (4i)

According to general procedure C, **4i** was obtained as a red solid (52 mg, 87% yield).

Melting Point: 169-171 °C

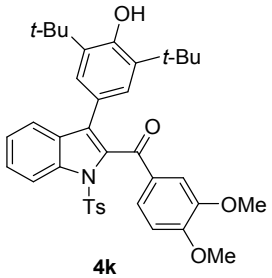
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.18 (d, J = 8.4 Hz, 1H), 7.95 (d, J = 8.4 Hz, 2H), 7.65 (t, J = 7.1 Hz, 2H), 7.53-7.57 (m, 1H), 7.48-7.51(m, 1H), 7.32-7.37 (m, 2H), 7.30 (d, J = 8.3 Hz, 1H), 7.18 (td, J_1 = 8.2 Hz, J_2 = 2.5 Hz, 1H), 7.13 (s, 2H), 5.21 (s, 1H), 2.40 (s, 3H), 1.34 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 188.4, 175.2, 163.6, 153.8, 145.2, 140.0, 136.4, 136.0 (2C), 134.7, 131.6, 129.9, 129.7 (2C), 129.5, 128.6, 127.6 (2C), 126.6, 126.4 (2C), 125.6, 124.3, 121.7,120.1, 116.2, 115.0, 34.3 (2C), 30.1 (6C), 21.7 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{37}FNO_4S$ 598.2427; found 598.2418.



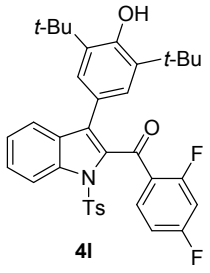
4j

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)(2,5-dimethoxyphenyl)methanone (4j)
According to general procedure C, **4j** was obtained as a brown solid (53 mg, 83% yield).
Melting Point: 165-167 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.17 (d, J = 8.4 Hz, 1H), 7.92 (d, J = 8.3 Hz, 2H), 7.73 (d, J = 8.4 Hz, 2H), 7.65 (d, J = 8.1 Hz, 1H), 7.47-7.51 (m, 3H), 7.35 (t, J = 7.5 Hz, 1H), 7.29 (s, 1H), 7.13 (s, 2H), 5.23 (s, 1H), 2.40 (s, 3H), 1.76 (s, 6H), 1.35 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 187.5, 154.2, 153.4, 153.1, 144.7, 135.9, 135.6 (2C), 135.4, 135.3, 130.0, 129.6 (2C), 128.1, 127.7 (2C), 126.3 (2C), 125.8, 125.5, 123.7, 122.4, 121.6, 121.3, 114.6, 114.5, 113.7, 56.5, 55.8, 34.2 (2C), 30.1 (6C), 21.6 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{38}H_{42}NO_6S$ 640.2733; found 640.2716.



4k

3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)(3,4-dimethoxyphenyl)methanone (4k)
According to general procedure B\C, **4k** was obtained as a brown solid (53 mg, 83% yield).
Melting Point: 184-186 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.18 (d, J = 8.4 Hz, 1H), 8.00 (d, J = 8.2 Hz, 2H), 7.68 (d, J = 7.9 Hz, 1H), 7.53 (s, 1H), 7.44-7.49 (m, 2H), 7.34 (t, J = 7.6 Hz, 1H), 7.30 (d, J = 8.2 Hz, 2H), 7.16 (s, 2H), 6.76 (d, J = 8.5 Hz, 1H), 5.19 (s, 1H), 3.91 (s, 3H), 3.88 (s, 3H), 2.40 (s, 3H), 1.34 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 188.4, 153.6, 153.5, 148.8, 145.0, 136.3, 135.8 (2C), 135.0, 132.2, 131.1, 129.7 (2C), 129.5, 127.7 (2C), 127.0, 126.3 (2C), 126.1, 125.7, 124.1, 122.3, 121.4, 114.9, 111.0, 110.0, 56.1, 56.0, 34.3 (2C), 30.1 (6C), 21.7 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{38}H_{42}NO_6S$ 640.2773; found 640.2718.



4l

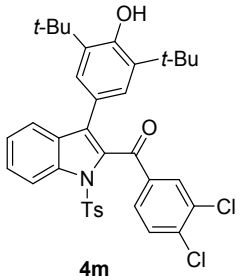
(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)(3,4-dichlorophenyl)methanone (4l)
According to general procedure C, **4l** was obtained as a red solid (56 mg, 91% yield).

Melting Point: 192-194 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.15 (d, J = 8.4 Hz, 1H), 7.98 (d, J = 8.3 Hz, 2H), 7.73-7.80 (m, 1H), 7.59 (d, J = 7.9 Hz, 1H), 7.48 (t, J = 7.6 Hz, 1H), 7.28-7.34 (m, 3H) , 7.15 (s, 2H), 6.83 (td, J_1 = 7.5 Hz, J_2 = 2.0 Hz, 1H), 6.72(td, J_1 = 8.6 Hz, J_2 = 2.1 Hz, 1H), 5.25(s, 1H), 2.40 (s, 3H), 1.38 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 184.6, 166.7, 164.6, 163.3, 161.2, 153.8, 145.1, 136.5, 135.8 (2C), 134.9, 133.5, 133.3, 129.7 (2C), 129.6, 128.9, 127.6 (2C), 126.8, 126.5 (2C), 124.2, 121.7, 115.0, 111.4, 104.7, 34.3 (2C), 30.1 (6C), 21.7 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{36}F_2NO_4S$ 616.2333; found 616.2324.



4m

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)(3,4-dichlorophenyl)methanone (4m)

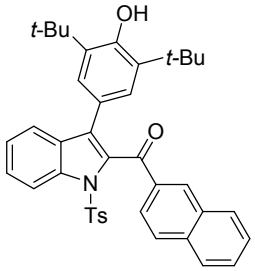
According to general procedure C, **4m** was obtained as a brown solid (57 mg, 88% yield).

Melting Point: 121-123 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.19 (d, J = 8.4 Hz, 1H), 7.96 (d, J = 8.3 Hz, 2H), 7.88 (d, J = 1.9 Hz, 1H), 7.65-7.70 (m, 2H), 7.51 (t, J = 8.2 Hz, 1H), 7.42 (d, J = 8.4 Hz, 1H), 7.37 (t, J = 7.8 Hz, 1H), 7.33 (s, 1H), 7.30 (d, J = 13.5 Hz, 1H), 7.12 (s, 2H), 5.25 (s, 1H), 2.41 (s, 3H), 1.36 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 187.3, 153.9, 145.3, 137.6, 137.5, 136.6, 136.1 (2C), 134.8, 132.8, 131.6, 131.2, 130.3, 129.7 (2C), 129.4, 129.2, 128.6, 127.6 (2C), 126.9, 126.4 (2C), 124.4, 121.7, 121.6, 115.1, 34.3 (2C), 30.1 (6C), 21.7 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{36}Cl_2NO_4S$ 648.1742; found 648.1738.



4n

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)(naphthalen-2-yl)methanone (4n)

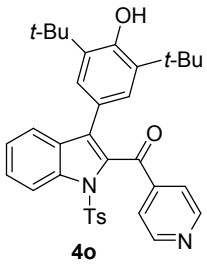
According to general procedure C, **4n** was obtained as a red solid (55 mg, 87% yield).

Melting Point: 123-125 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.24 (m, 2H), 8.05 (dd, J_1 = 8.6 Hz, J_2 = 1.3Hz, 1H), 8.00 (d, J = 8.3 Hz, 2H), 7.83 (d, J = 7.6 Hz, 2H), 7.78 (d, J = 8.2 Hz, 1H), 7.71 (d, J = 7.9 Hz, 1H), 7.46-7.58 (m, 4H), 7.33-7.37 (t, J = 7.5 Hz, 1H), 7.29 (s, 1H), 7.17(s, 2H), 5.01 (s, 1H), 2.39 (s, 3H), 1.25 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 189.7, 153.5, 145.0, 136.4, 135.8 (2C), 135.7, 135.3, 135.1, 132.7 (2C), 132.4, 129.7 (2C), 129.6, 129.5, 128.5, 128.2, 127.9, 127.6 (2C), 126.5, 126.4 (2C), 126.3 (2C), 124.5, 124.2, 122.1, 121.5, 115.0, 34.2 (2C), 30.0 (6C), 21.7 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{40}H_{40}NO_4S$ 630.2678; found 630.2659.



4o

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)(pyridin-4-yl)methanone (4o)

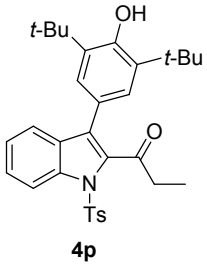
According to general procedure C, **4o** was obtained as a yellow solid (52 mg, 89% yield).

Melting Point: 143-145 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 9.02 (d, J = 1.6 Hz, 1H), 8.68 (dd, J_1 = 4.7 Hz, J_2 = 1.4 Hz, 1H), 8.19 (d, J = 8.4 Hz, 2H), 8.12 (dt, J_1 = 8.0 Hz, J_2 = 1.9 Hz, 1H), 7.94 (d, J = 8.4 Hz, 2H), 7.66 (d, J = 7.9 Hz, 1H), 7.51 (t, J = 7.5 Hz, 1H), 7.36 (t, J = 7.6 Hz, 1H), 7.30 (d, J = 8.2 Hz, 3H), 7.16 (s, 2H), 5.26 (s, 1H), 2.40 (s, 3H), 1.36 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 188.1, 154.0, 152.9, 150.7, 145.3, 136.9, 136.7, 136.1 (2C), 134.5, 133.6, 131.2, 129.7 (2C), 129.6, 129.5, 127.6 (2C), 126.9, 126.6 (2C), 124.5, 123.3, 121.8, 121.5, 115.2, 34.3 (2C), 30.1 (6C), 21.7 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{35}H_{37}N_2O_4S$ 581.2474; found 581.2476.



4p

1-(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)propan-1-one (4p)

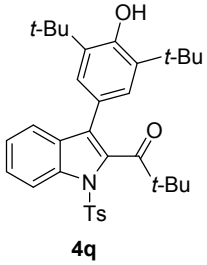
According to general procedure C, **4p** was obtained as a white solid (32 mg, 61% yield).

Melting Point: 171-173 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.11 (d, J = 8.4 Hz, 1H), 7.88 (d, J = 8.2 Hz, 2H), 7.56 (d, J = 7.9 Hz, 1H), 7.43 (d, J = 7.6 Hz, 1H), 7.27-7.31 (m, 4H), 7.25 (s, 1H), 5.32 (s, 1H), 2.82 (q, J = 7.1 Hz, 2H), 2.37 (s, 3H), 1.49 (s, 18H), 1.16 (t, J = 7.1 Hz, 3H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 199.9, 154.0, 145.0, 136.2, 136.0 (2C), 134.3, 134.2, 130.0, 129.6 (2C), 127.5 (2C), 126.6, 126.5 (2C), 126.3, 124.2, 121.9, 121.4, 115.1, 38.3, 34.5 (2C), 30.3 (6C), 21.6, 8.1 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{32}H_{37}NO_4S$ 532.2522; found 532.2523.



4q

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-5-methyl-1-tosyl-1*H*-indol-2-yl)(phenyl)methanone (4q)

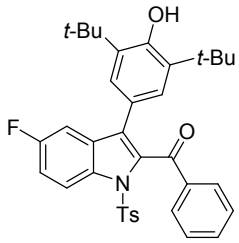
According to general procedure C, **4q** was obtained as a red solid (17 mg, 30% yield).

Melting Point: 191-193 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.11 (d, J = 8.4 Hz, 1H), 7.88 (d, J = 8.4 Hz, 2H), 7.58 (d, J = 7.7 Hz, 1H), 7.37-7.41 (m, 1H), 7.31 (s, 2H), 7.27-7.29 (m, 1H), 7.22 (d, J = 8.2 Hz, 2H), 5.31 (s, 1H), 2.34 (s, 3H), 1.48 (s, 18H), 1.03 (s, 9H) ppm.

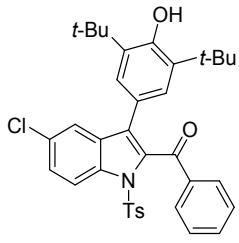
^{13}C NMR (126 MHz, $CDCl_3$) δ 207.6, 153.9, 144.9, 136.0 (2C), 135.1, 133.8, 132.7, 130.2, 129.6 (2C), 127.6 (2C), 126.8 (2C), 125.6, 124.6, 124.1, 122.4, 120.9, 114.6, 46.1, 34.4 (2C), 30.3 (6C), 27.0 (3C), 21.6 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{34}H_{42}NO_4S$ 560.2835; 4found 560.2824.



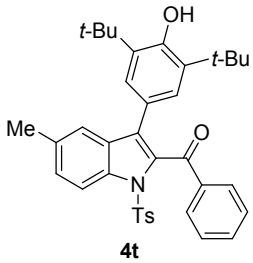
4r

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-5-fluoro-1-tosyl-1*H*-indol-2- yl)(phenyl)methanone (4r)
According to general procedure C, **4r** was obtained as a white solid (42 mg, 71% yield).
Melting Point: 187-189 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.27 (q, J = 4.3 Hz, 1H), 7.92 (d, J = 8.2 Hz, 2H), 7.89 (d, J = 7.7 Hz, 2H), 7.51 (t, J = 7.3 Hz, 1H), 7.39 (t, J = 7.6 Hz, 2H) , 7.29-7.31 (m, 3H), 7.19 (td, J_1 = 8.9 Hz, J_2 = 2.4 Hz, 1H), 7.10 (s, 2H), 5.21 (s, 1H), 2.40 (s, 3H), 1.32 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 189.5, 159.1, 153.8, 145.3, 137.8, 136.0 (2C), 134.4, 133.6, 133.4, 132.4, 130.9, 129.7 (2C), 129.7 (2C), 128.4 (2C), 127.6 (2C), 127.4, 126.1 (2C), 121.5, 116.1, 114.3, 106.9, 34.3 (2C), 30.1 (6C), 21.7 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{36}FNO_4S$ 598.2427; found 598.2419.



4s

(5-chloro-3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosyl-1*H*-indol-2-yl)(phenyl)methanone (4s)
According to general procedure C, **4s** was obtained as a white solid (47 mg, 77% yield).
Melting Point: 195-197 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.10 (d, J = 8.9 Hz, 1H), 7.93 (d, J = 8.1 Hz, 2H), 7.87 (d, J = 7.9 Hz, 2H), 7.61 (s, 1H), 7.51 (t, J = 7.3 Hz, 1H), 7.42 (dd, J_1 = 8.9 Hz, J_2 = 1.1 Hz, 1H), 7.38 (t, J = 7.6 Hz, 2H), 7.31 (d, J = 8.1 Hz, 2H), 7.08 (s, 2H), 5.21 (s, 1H), 2.41 (s, 3H), 1.32 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 189.5, 153.8, 145.4, 137.7, 136.0 (2C), 134.5, 134.5, 133.4, 133.3, 131.0, 130.1, 129.8 (2C), 129.7 (2C), 128.4 (2C), 127.6 (2C), 126.9, 126.5, 126.2 (2C), 121.4, 121.1, 116.0, 34.3 (2C), 30.1 (6C), 21.7 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{37}ClNO_4S$ 614.2132; found 614.2120.

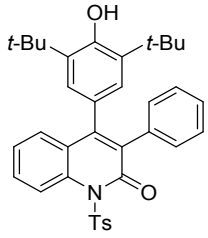


4t

(3-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-5-methyl-1-tosyl-1*H*-indol-2-yl)(phenyl)methanone (4t)
According to general procedure B, **4t** was obtained as a white solid (38 mg, 67% yield).
Melting Point: 185-187 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.05 (d, J = 8.5 Hz, 1H), 7.91 (d, J = 8.3 Hz, 2H), 7.88 (d, J = 7.6 Hz, 2H), 7.49 (t, J = 7.5 Hz, 1H), 7.43 (s, 1H), 7.37 (t, J = 7.7 Hz, 2H), 7.26-7.30 (m, 3H), 7.14 (s, 2H), 5.18 (s, 1H), 2.44 (s, 3H), 2.38 (s, 3H), 1.33 (s, 18H) ppm.

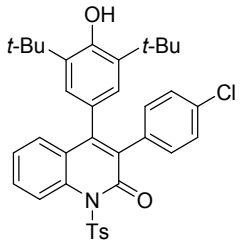
^{13}C NMR (126 MHz, $CDCl_3$) δ 189.8, 153.6, 144.9, 138.1, 135.8 (2C), 134.7, 134.5, 133.9, 133.1, 132.3, 129.9, 129.7 (2C), 129.6 (2C), 128.3 (2C), 128.0, 127.8, 127.6 (2C), 126.4 (2C), 122.1, 121.3, 114.7, 34.3 (2C), 30.1 (6C), 21.7, 21.4 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{37}ClNO_4S$ 594.2678; found 594.2666.

5. Characterization data for 6a-m:



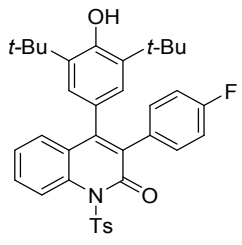
6a

4-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-3-phenyl-1-tosylquinolin-2(1*H*)-one (6a)
According to general procedure D, **6a** was obtained as a yellow solid (50 mg, 87% yield).
Melting Point: 203-205 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.41 (d, J = 8.6 Hz, 1H), 8.16 (d, J = 8.3 Hz, 2H), 7.52-7.57 (m, 2H), 7.36 (d, J = 8.1 Hz, 2H), 7.25 (t, J = 7.7 Hz, 1H), 7.09-7.11 (m, 3H), 6.89-6.91 (m, 2H), 6.80 (s, 2H), 5.23 (s, 1H), 2.46 (s, 3H), 1.29 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 162.1, 153.4, 151.0, 150.0, 137.0, 136.8, 135.5 (2C), 134.8, 132.3, 130.5 (2C), 129.5 (2C), 128.9, 128.7 (2C), 127.4 (2C), 127.1 (2C), 126.8, 126.0, 124.4, 124.1, 123.5, 119.2, 34.2 (2C), 30.1 (6C), 21.7 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{38}NO_4S$ 580.2522; found 580.2508.



6b

3-(4-chlorophenyl)-4-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosylquinolin-2(1*H*)-one (6b)
According to general procedure D, **6b** was obtained as a white solid (32 mg, 53% yield).
Melting Point: 168-170 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.42 (d, J = 8.7 Hz, 1H), 8.15 (d, J = 8.3 Hz, 2H), 7.52-7.59 (m, 2H), 7.37 (d, J = 8.3 Hz, 2H), 7.25 (d, J = 7.5 Hz, 1H), 7.09 (d, J = 8.4 Hz, 2H), 6.84 (d, J = 8.4 Hz, 2H), 6.79 (s, 2H), 5.28 (s, 1H), 2.46 (s, 3H) , 1.31 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 161.9, 153.7, 151.4, 145.1, 136.9, 135.7 (2C), 133.4, 132.9, 132.0 (2C), 131.0, 129.8, 129.5 (2C), 128.9, 128.7 (2C), 127.6 (2C), 127.1 (2C), 125.7, 124.2, 123.2, 119.2, 100.0, 34.2 (2C), 30.2 (6C), 21.7 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{37}ClNO_4S$ 614.2132; found 614.2117.



6c

4-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-3-(4-fluorophenyl)-1-tosylquinolin-2(1*H*)-one (6c)

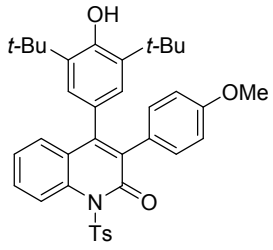
According to general procedure D, **6c** was obtained as a yellow solid (56 mg, 95% yield).

Melting Point: 160-162 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.42 (d, J = 8.7 Hz, 1H), 8.16 (d, J = 8.3 Hz, 2H), 7.57 (t, J = 8.6 Hz, 1H), 7.52 (d, J = 8.0 Hz, 1H), 7.37 (d, J = 8.3 Hz, 2H), 7.25-7.29 (m, 2H), 6.87-6.89 (m, 2H), 6.83 (d, J = 8.7 Hz, 1H), 6.80 (s, 2H), 5.27 (s, 1H), 2.46 (s, 3H), 1.31 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 162.7, 162.1, 160.8, 153.6, 151.4, 145.1, 136.8, 135.7 (2C), 132.3 (2C), 131.2, 130.7, 129.7 (2C), 129.6 (2C), 128.9, 128.6 (2C), 127.0 (2C), 125.8, 124.2, 123.3, 119.2, 114.3, 34.2 (2C), 30.2 (6C), 21.7 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{37}FNO_4S$ 598.2427; found 598.2416.



6d

4-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-3-(4-methoxyphenyl)-1-tosylquinolin-2(1*H*)-one (6d)

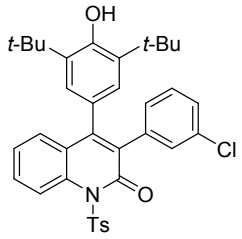
According to general procedure D, **6d** was obtained as a white solid (30 mg, 49% yield).

Melting Point: 171-173 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.40 (d, J = 8.6 Hz, 1H), 8.16 (d, J = 8.3 Hz, 2H), 7.52-7.56 (m, 2H), 7.36 (d, J = 8.2 Hz, 2H), 7.29 (m, 1H), 7.25 (t, J = 7.9 Hz, 1H), 6.83-6.85 (m, 1H), 6.82 (s, 2H), 6.65(d, J = 8.7 Hz, 2H), 5.23 (s, 1H), 3.72 (s, 3H), 2.46 (s, 3H), 1.31 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 162.3, 158.5, 153.4, 150.7, 145.0, 137.0, 136.7, 135.5 (2C), 132.0, 131.7 (2C), 129.5 (2C), 129.3, 128.8, 128.7 (2C), 127.2 (2C), 127.1, 126.2, 124.1, 123.5, 119.1, 113.0 (2C), 55. 2, 34.2 (2C), 30.2 (6C), 21.7 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{37}H_{40}NO_5S$ 610.2627; found 610.2618.



6e

3-(3-chlorophenyl)-4-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosylquinolin-2(1*H*)-one (6e)

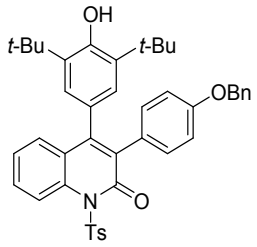
According to general procedure D, **6e** was obtained as a white solid (56 mg, 91% yield).

Melting Point: 170-172 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.47 (d, J = 8.7 Hz, 1H), 8.09 (d, J = 8.3 Hz, 2H), 7.60 (t, J = 8.5 Hz, 1H) , 7.54 (d, J = 8.0 Hz, 1H), 7.34 (d, J = 8.3 Hz, 2H), 7.29-7.30 (d, J = 8.0 Hz, 1H), 7.18 (d, J = 8.0 Hz, 1H), 7.05 (t, J = 7.9 Hz, 1H), 6.97 (t, J = 7.6 Hz, 1H), 6.89 (s, 1H), 6.85 (s, 1H), 6.78 (d, J = 7.6 Hz, 1H), 5.20 (s, 1H), 2.45 (s, 3H), 1.35 (s, 9H), 1.26 (s, 9H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 160.8, 153.6, 152.3, 145.1, 137.0, 136.6, 134.7, 134.6, 134.2, 132.1, 132.0 (2C), 130.9, 129.8, 129.4 (2C), 129.1 (2C), 128.8 (2C), 128.6, 126.0, 125.8, 124.2, 123.0, 119.5, 100.0, 34.2 (2C), 30.1 (6C), 21.7 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{37}ClNO_4S$ 614.2132; found 614.2121.



6f

3-(4-(benzyloxy)phenyl)-4-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-1-tosylquinolin-2(1*H*)-one (6f)

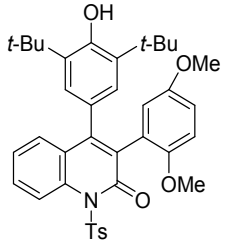
According to general procedure D, **6f** was obtained as a white solid (44 mg, 64% yield).

Melting Point: 160-162 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.40 (d, J = 8.5 Hz, 1H), 8.16 (d, J = 8.4 Hz, 2H), 7.52-7.56 (m, 2H), 7.36-7.39 (m, 6H), 7.31-7.33 (m, 2H), 7.25 (t, J = 7.6 Hz, 1H) , 6.83-6.85 (m, 1H), 6.81 (s, 2H), 6.72 (d, J = 8.7 Hz, 2H), 5.24 (s, 1H), 4.98 (s, 2H), 2.46 (s, 3H), 1.31 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 162.3, 157.8, 153.4, 150.7, 148.0, 145.0, 137.1, 136.7, 135.5 (2C), 131.9, 131.8 (2C), 129.5 (2C), 129.3, 128.8, 128.7 (2C), 128.5 (2C), 127.9, 127.4, 127.3 (2C), 127.2 (2C), 126.2, 124.1, 123.5, 119.1, 113.9 (2C), 69.9, 34.2 (2C), 30.2 (6C), 21.7 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{43}H_{44}NO_5S$ 686.2940; found 686.2926.



6g

4-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-3-(2,5-dimethoxyphenyl)-1-tosylquinolin-2(1*H*)-one (6g)

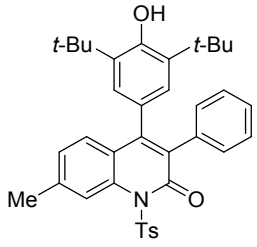
According to general procedure D, **6g** was obtained as a white solid (48 mg, 75% yield).

Melting Point: 123-125 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.43 (d, J = 8.7 Hz, 1H), 8.10 (d, J = 8.2 Hz, 2H), 7.58 (t, J = 7.6 Hz, 1H), 7.53 (d, J = 7.9 Hz, 1H), 7.33 (d, J = 8.3 Hz, 2H), 7.28 (s, 1H) , 6.75-6.85 (m, 4H), 6.57 (s, 1H), 5.19 (s, 1H), 2.44 (s, 3H), 2.10 (s, 3H), 1.73 (s, 3H), 1.29 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 161.7, 153.4, 151.3, 145.0, 136.8, 136.7, 135.2, 134.2, 134.1, 133.0, 132.8, 131.6 (2C), 129.5, 129.4, 129.3 (2C), 129.0 (2C), 128.7, 128.2, 128.1, 126.0, 124.1, 123.4, 119.5 (2C), 34.2 (2C), 31.6, 30.1 (3C), 22.7, 21.7, 20.7, 18.9, 14.1 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{38}H_{42}NO_6S$ 640.2733; found 640.2725.



6h

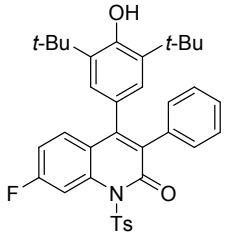
4-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-7-methyl-3-phenyl-1-tosylquinolin-2(1*H*)-one (6h)

According to general procedure D, **6h** was obtained as a white solid (52 mg, 87% yield).

Melting Point: 161-163 °C

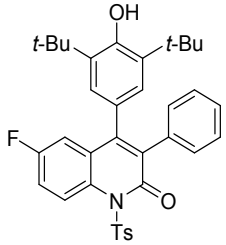
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.24 (s, 1H), 8.12 (d, J = 8.2 Hz, 2H), 7.41 (d, J = 8.2 Hz, 1H), 7.35 (d, J = 8.3 Hz, 2H), 7.07-7.09 (m, 4H) , 6.86-6.88 (m, 2H), 6.79 (s, 2H), 5.21 (s, 1H), 2.55 (s, 3H), 2.45 (s, 3H), 1.28 (s, 18H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 162.3, 153.4, 151.1, 144.9, 140.2, 137.2, 136.9, 135.4 (2C), 134.9, 131.2, 130.6 (2C), 129.5 (2C), 128.7, 128.6 (2C), 127.3 (2C), 127.2 (2C), 126.7, 126.1, 125.3, 121.1, 119.6, 34.2 (2C), 30.1 (6C), 22.1, 21.7 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{37}H_{40}NO_4S$ 594.2678; found 594.2665.



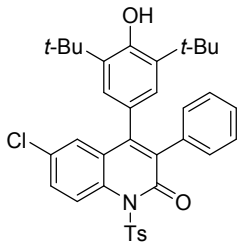
6i

4-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-7-methyl-3-phenyl-1-tosylquinolin-2(1*H*)-one (6i)
According to general procedure D, **6i** was obtained as a white solid (54 mg, 91% yield).
Melting Point: 164-166 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.27 (dd, J_1 = 11.9 Hz, J_2 = 2.3 Hz, 1H), 8.15 (d, J = 8.3 Hz, 2H), 7.53 (dd, J_1 = 8.9 Hz, J_2 = 6.7 Hz, 1H), 7.37 (d, J = 8.3 Hz, 2H), 7.09-7.13 (m, 3H) , 6.99 (td, J_1 = 7.8 Hz, J_2 = 2.3 Hz, 1H), 6.88-6.90 (m, 2H), 6.78 (s, 2H), 5.24 (s, 1H), 2.47 (s, 3H), 1.29 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 163.9, 162.0, 153.6, 150.6, 145.3, 138.0, 136.7, 135.6 (2C), 134.6, 131.3, 130.8, 130.5 (2C), 129.6 (2C), 128.7 (2C), 127.4 (2C), 127.0 (2C), 126.86, 125.9, 119.9, 111.7, 106.4, 34.2 (2C), 30.1 (6C), 21.7 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{37}FNO_4S$ 598.2427; found 598.2413.



6j

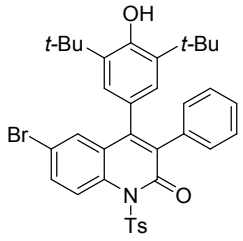
4-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-6-fluoro-3-phenyl-1-tosylquinolin-2(1*H*)-one (6j)
According to general procedure D, **6j** was obtained as a white solid (45 mg, 75% yield).
Melting Point: 169-171 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.40 (dd, J_1 = 9.3 Hz, J_2 = 4.6 Hz, 1H), 8.13 (d, J = 8.0 Hz, 2H), 7.37 (d, J = 8.0 Hz, 2H), 7.26-7.28 (m, 1H), 7.22 (dd, J_1 = 9.6 Hz, J_2= 2.0 Hz, 1H), 7.07-7.16 (m, 3H), 6.88 (d, J = 3.4 Hz, 2H), 6.78 (s, 2H), 5.26 (s, 1H), 2.46 (s, 3H), 1.29 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 161.8, 159.8, 157.8, 153.7, 150.1 (d, J = 2.3 Hz), 145.2, 136.7, 135.7 (2C), 134.5, 133.3, 133.0 (d, J = 2.3 Hz), 130.4 (2C), 129.6 (2C), 128.7 (2C), 127.4 (2C), 127.0 (2C), 125.4, 125.2 (d, J = 7.8 Hz), 121.0 (d, J = 7.7 Hz), 116.8 (d, J = 23.3 Hz), 114.3 (d, J = 24.4 Hz), 34.2 (2C), 30.1 (6C), 21.7 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{37}FNO_4S$ 598.2427; found 598.2419



6k

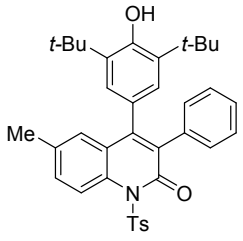
6-chloro-4-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-3-phenyl-1-tosylquinolin-2(1*H*)-one (6k)
According to general procedure D, **6k** was obtained as a white solid (53 mg, 87% yield).
Melting Point: 170-172 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.37 (d, J = 9.9 Hz, 1H), 8.13 (d, J = 8.2 Hz, 2H), 7.49-7.52 (m, 2H), 7.37 (d, J = 8.2 Hz, 2H), 7.12 (s, 1H), 7.11 (d, J = 2.3 Hz, 1H), 6.88 (q, J = 3.6 Hz, 2H), 6.77 (s, 2H), 5.27 (s, 1H), 2.46 (s, 3H), 1.29 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 161.7, 153.7, 149.9, 145.3, 136.6, 135.7 (2C), 135.2, 134.5, 133.3, 130.4 (2C), 129.8, 129.6 (2C), 129.4, 128.7 (2C), 128.1, 127.5 (2C), 127.1 (2C), 127.0, 125.2, 124.9, 120.6, 34.2 (2C), 30.1 (6C), 21.7 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{37}ClNO_4S$ 614.2132; found 614.2123



6l

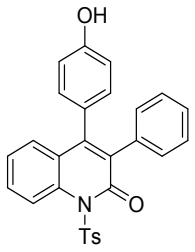
6-bromo-4-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-3-phenyl-1-tosylquinolin-2(1*H*)-one (6l)
According to general procedure D, **6l** was obtained as a white solid (59 mg, 89% yield).
Melting Point: 172-175 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.31 (d, J = 9.1 Hz, 1H), 8.13 (d, J = 8.3 Hz, 2H), 7.67 (d, J = 2.1 Hz, 1H), 7.66 (dd, J_1 = 9.1 Hz, J_2 = 2.2 Hz, 1H), 7.37 (d, J = 8.2 Hz, 2H), 7.12 (s, 1H), 7.11 (d, J = 2.2 Hz, 2H), 6.88 (m, 1H), 6.78 (s, 2H), 5.27 (s, 1H), 2.46 (s, 3H), 1.30 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 161.7, 153.8, 149.8, 145.3, 136.6, 135.7, 135.6 (2C), 134.5, 133.2, 132.2, 131.2, 130.4 (2C), 129.6 (2C), 128.8 (2C), 127.5 (2C), 127.2 (2C), 127.0, 125.2, 125.1, 120.8, 117.4, 34.2 (2C), 30.1 (6C), 21.7 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{36}H_{37}BrNO_4S$ 658.1657; found 658.1611



6m

4-(3,5-di-*tert*-butyl-4-hydroxyphenyl)-6-methyl-3-phenyl-1-tosylquinolin-2(1*H*)-one (6m)
According to general procedure D, **6m** was obtained as a white solid (39 mg, 66% yield).
Melting Point: 180-182 °C
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.30 (d, J = 8.8 Hz, 1H), 8.13 (d, J = 8.3 Hz, 2H), 7.36-7.39 (m, 2H), 7.33 (d, J = 11.4 Hz, 2H), 7.10 (s, 3H), 7.09 (d, J = 1.5 Hz, 1H), 6.88 (dd, J_1 = 7.6 Hz, J_2 = 2.7 Hz, 2H), 6.79 (s, 2H), 5.23 (s, 1H), 2.45 (s, 3H), 2.35 (s, 3H), 1.29 (s, 18H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 162.1, 153.4, 150.9, 144.9, 137.0, 135.4 (2C), 135.0, 134.7, 133.7, 132.2, 130.6 (2C), 130.5, 129.5 (2C), 128.7, 128.6 (2C), 127.4 (2C), 127.3 (2C), 126.7, 126.0, 123.3, 119.1, 34.2 (2C), 30.2 (6C), 21.7, 20.9 ppm.
HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{37}H_{40}NO_4S$ 594.2678; found 594.2666

6. Characterization data for 7:



7

4-(4-hydroxy-3,5-dimethylphenyl)-3-phenyl-1-tosylquinolin-2(1*H*)-one (7)

According to general procedure E, **7** was obtained as a brown solid (36 mg, 78% yield).

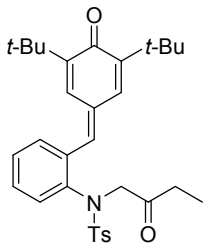
Melting Point: 165-167 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.41 (d, J = 8.7 Hz, 1H), 8.15 (d, J = 8.4 Hz, 2H), 7.53-7.57 (m, 1H), 7.36 (d, J = 8.2 Hz, 2H), 7.30 (dd, J_1 = 8.1 Hz, J_2= 1.5 Hz, 1H), 7.19-7.23 (m, 1H), 7.11-7.16 (m, 3H), 6.92-6.97 (m, 4H), 6.71-6.74 (m, 2H), 2.46 (s, 3H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 162.2, 155.3, 149.7, 145.2, 136.8, 136.6, 134.2, 132.7, 131.1 (2C), 130.5 (2C), 129.7, 129.6 (2C), 128.7 (2C), 128.6, 127.7, 127.6 (2C), 127.2, 124.2, 123.5, 119.1, 115.1 (2C), 21.7 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{28}H_{22}NO_4S$ 468.1270; found 468.1271.

7. Characterization data for 11 and 12:



11

N-(2-((3,5-di-*tert*-butyl-4-oxocyclohexa-2,5-dien-1-ylidene)methyl)phenyl)-4-methyl-N-(2-oxobutyl)benzenesulfonamide (11)

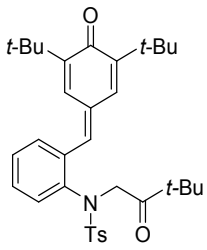
According to general procedure B, **11** was obtained as a yellow solid (11 mg, 20% yield).

Melting Point: 157-159 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.56 (d, J = 7.9 Hz, 2H), 7.33-7.42 (m, 4H), 7.25 (d, J = 7.8 Hz, 2H), 7.21 (s, 1H), 7.10 (s, 1H), 6.83 (s, 1H), 4.38 (s, 2H), 2.50 (q, J = 7.2 Hz, 2H), 2.39 (s, 3H), 1.36 (s, 9H), 1.29 (s, 9H), 1.06 (t, J = 7.2 Hz, 3H) ppm.

^{13}C NMR (126 MHz, $CDCl_3$) δ 205.3, 186.6, 149.3, 147.8, 144.2, 139.5, 138.7, 135.9, 135.7, 135.1, 132.7, 132.4, 131.4, 129.9, 129.6 (2C), 128.6, 128.0 (2C), 127.6, 60.3, 35.4, 35.0, 33.0, 29.5 (6C), 21.6, 7.3 ppm.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{32}H_{40}NO_4S$ 534.2678; found 534.2678.



12

N-(2-((3,5-di-*tert*-butyl-4-oxocyclohexa-2,5-dien-1-ylidene)methyl)phenyl)-N-(3,3-dimethyl-2-oxobutyl)-4-methylbenzenesulfonamide (12)

According to general procedure B, **12** was obtained as a yellow solid (31 mg, 55% yield).

Melting Point: 191-193 °C

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.58 (d, J = 8.1 Hz, 2H), 7.34-7.42 (m, 4H), 7.28 (s, 1H), 7.24 (s, 1H), 7.21-7.22 (m, 2H), 6.91 (d, J = 2.0 Hz, 1H), 2.34 (s, 3H), 2.25 (s, 2H), 1.37 (s, 9H), 1.29 (s, 9H), 1.11 (s, 9H) ppm.
^{13}C NMR (126 MHz, $CDCl_3$) δ 208.6, 186.6, 149.1, 147.6, 143.9, 139.3, 139.3, 136.3, 136.2, 135.2, 132.6, 132.3, 131.6, 129.8, 129.5 (2C), 128.5, 128.1 (2C), 127.8, 55.9, 43.3, 35.4, 35.0, 29.6 (2C), 29.5 (2C), 26.1 (2C), 21.7 ppm.

8. Crystal structure of 4d:

Crystal of **4d** was grown by slow evaporation of petroleum ether/ethyl acetate solution of **4d** at room temperature (20 °C). X-ray diffraction data was collected at 296(2) K on a Bruker Kappa Apex Duo diffractometer with graded-multilayer focused CuK(alpha) X-rays.

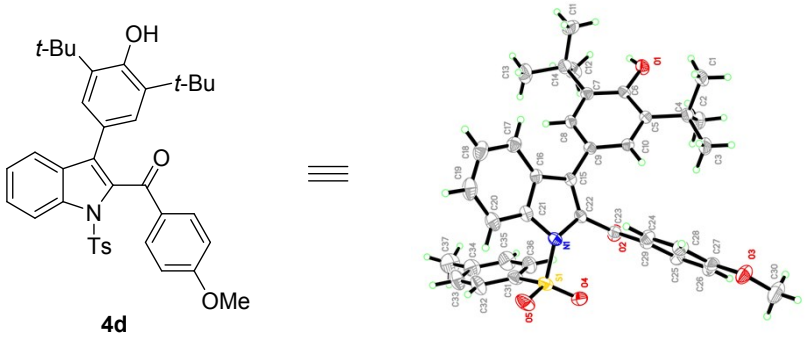


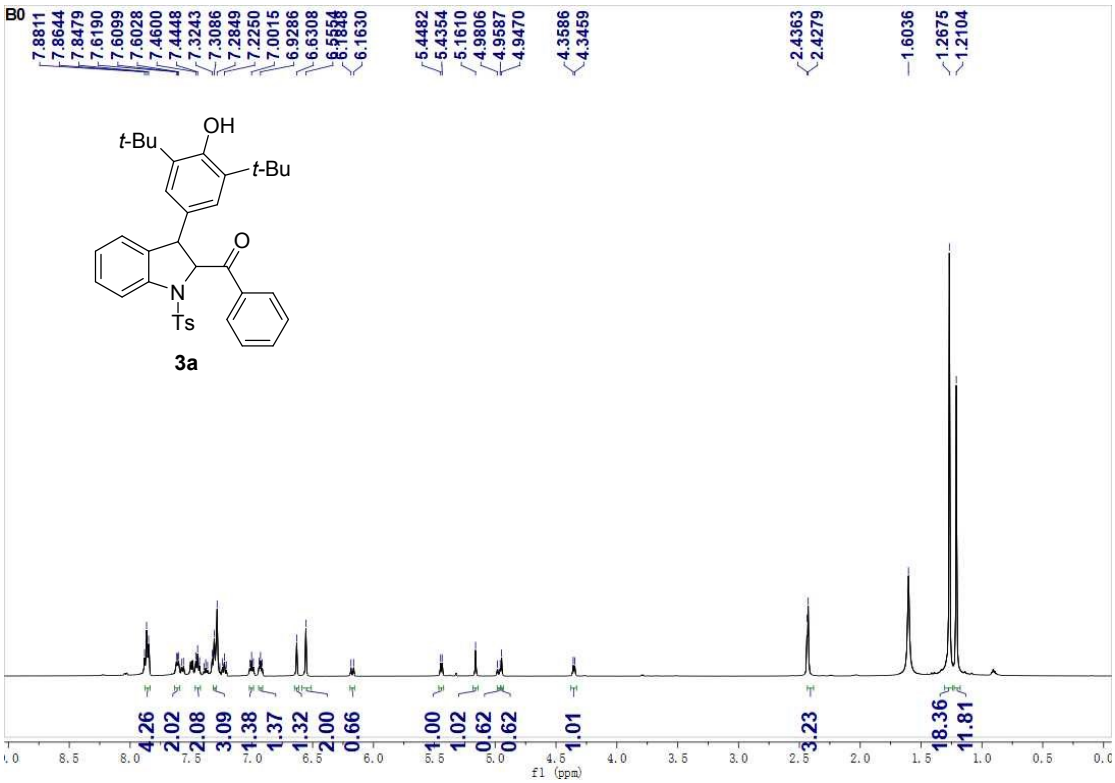
Figure S1. Crystal structure of **4d** with thermal ellipsoids at 30% probability

Identification code	22_a_sq	
Empirical formula	C37 H39 N O5 S	
Formula weight	609.75	
Temperature	296(2) K	
Wavelength	0.71073 Å	
Crystal system	Monoclinic	
Space group	$P2_1/c$	
Unit cell dimensions	a = 17.140(3) Å	α= 90°.
	b = 18.380(3) Å	β= 103.530(3)°.
	c = 12.352(2) Å	γ = 90°.
Volume	3783.5(11) $Å^3$	
Z	4	
Density (calculated)	1.070 Mg/m^3	
Absorption coefficient	0.123 mm^{-1}	
F(000)	1296	
Crystal size	0.120 x 0.110 x 0.080 mm^3	
Theta range for data collection	1.649 to 25.009°.	
Index ranges	-20<=h<=20, -17<=k<=21, -14<=l<=14	
Reflections collected	27939	
Independent reflections	6630 [R(int) = 0.0381]	
Completeness to theta = 25.009°	99.4 %	
Refinement method	Full-matrix least-squares on F^2	
Data / restraints / parameters	6630 / 2 / 406	
Goodness-of-fit on F^2	1.047	
Final R indices [I>2sigma(I)]	R1 = 0.0480, wR2 = 0.1392	

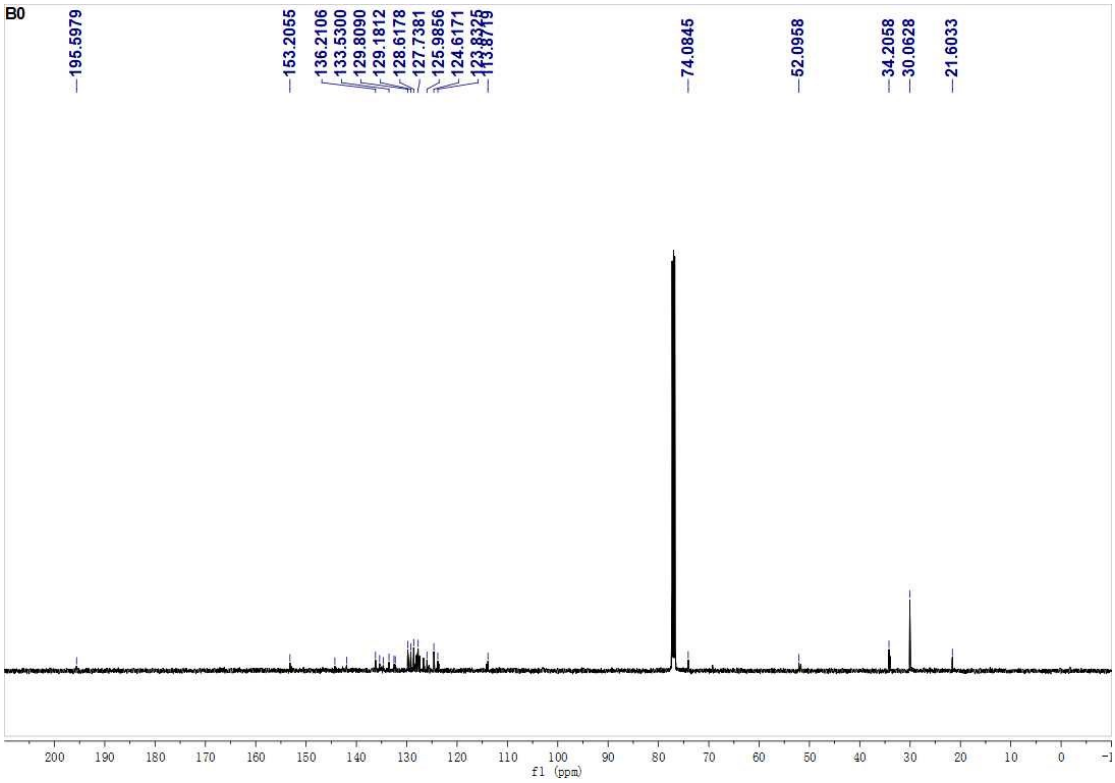
R indices (all data)	R1 = 0.0678, wR2 = 0.1553
Extinction coefficient	n/a
Largest diff. peak and hole	0.283 and -0.246 e.Å^{-3}

9. NMR Spectra:

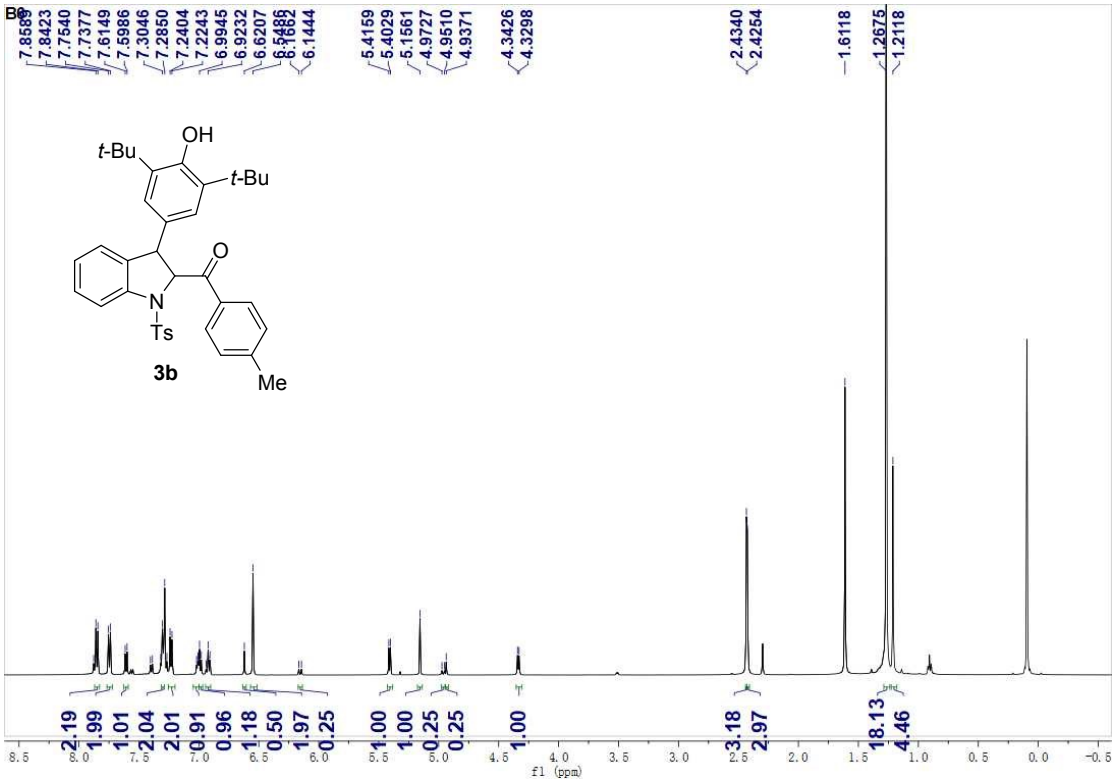
^{1}H NMR of **3a**:



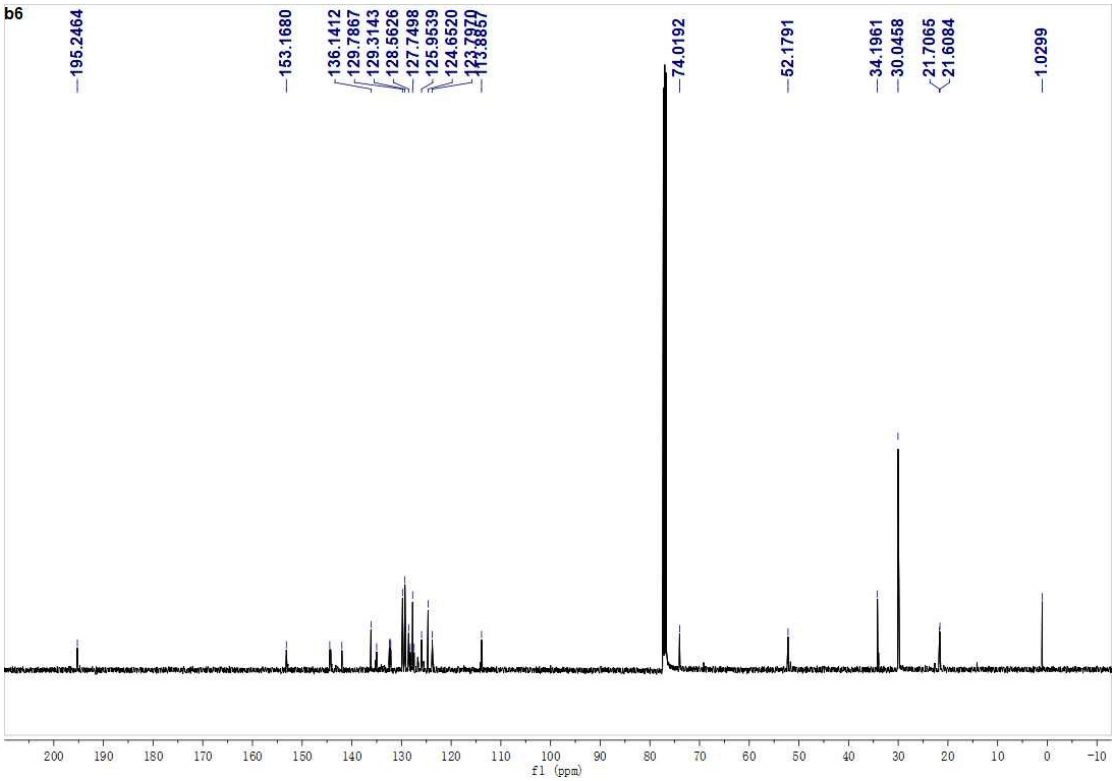
^{13}C NMR of **3a**:



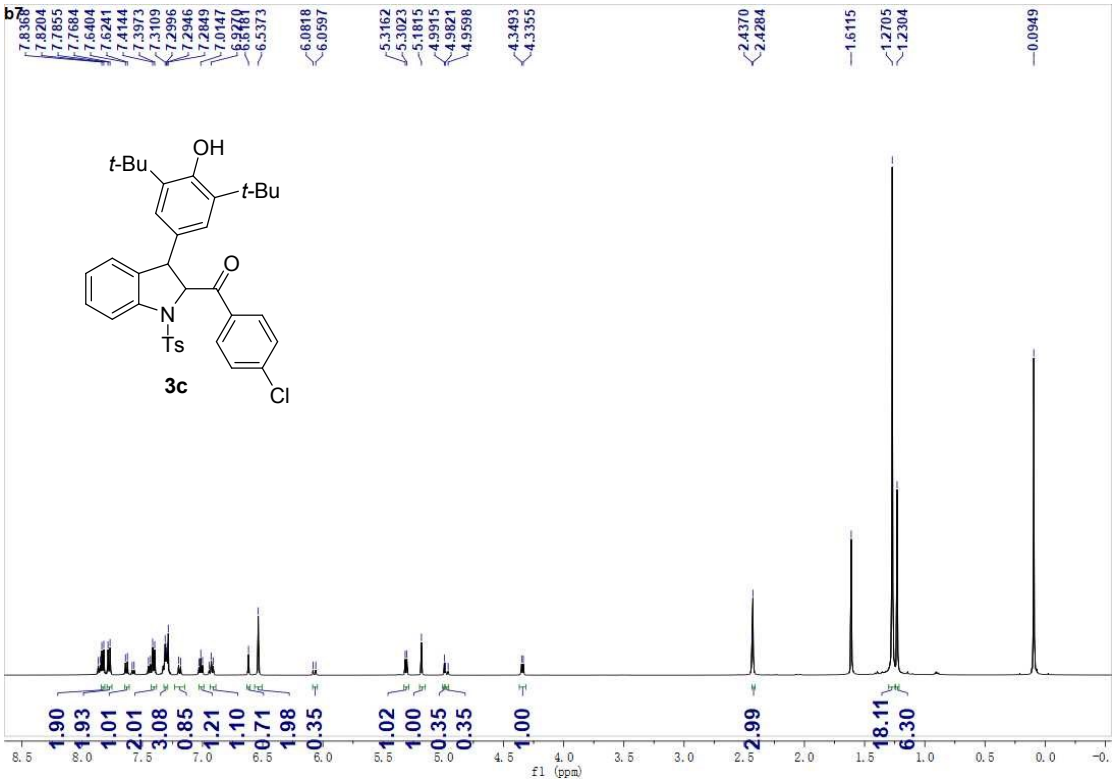
^{1}H NMR of **3b**



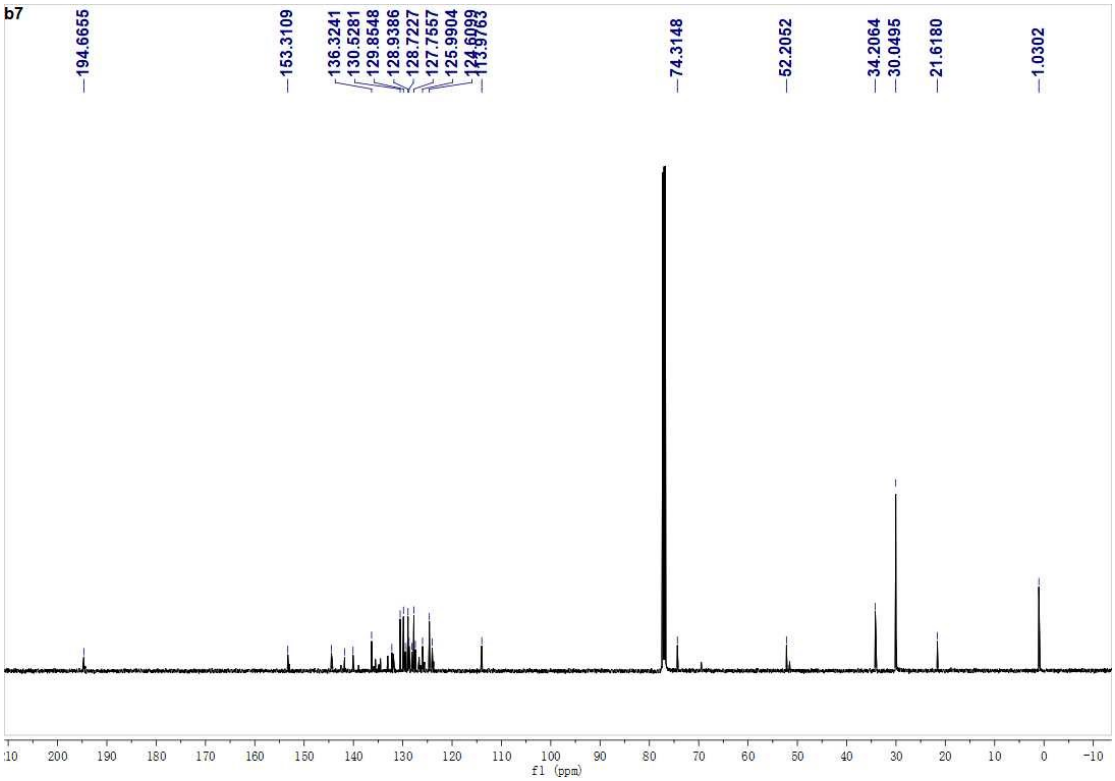
^{13}C NMR of **3b**



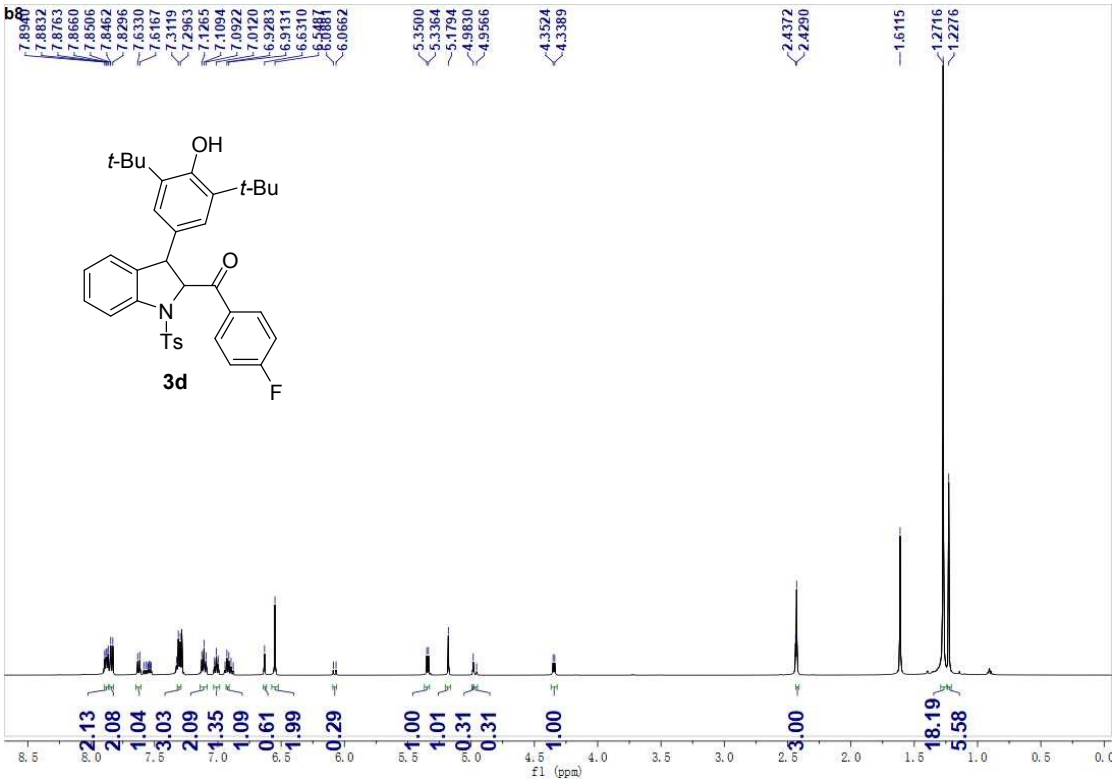
^{1}H NMR of **3c**



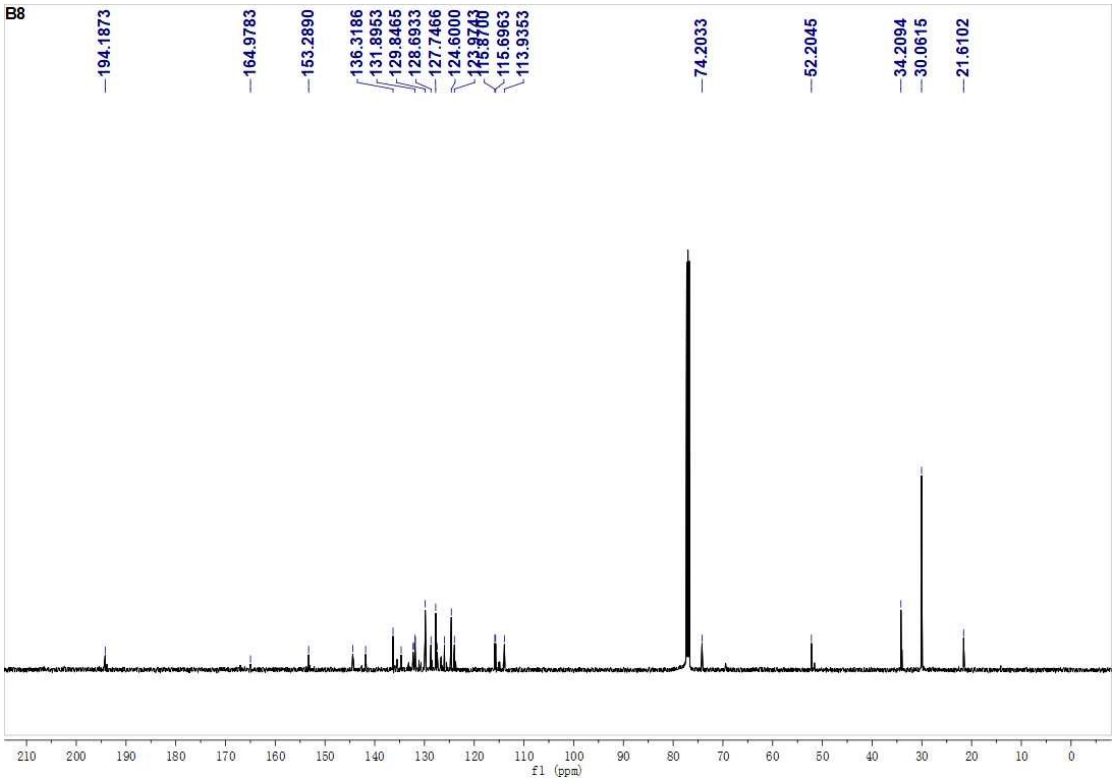
^{13}H NMR of **3c**



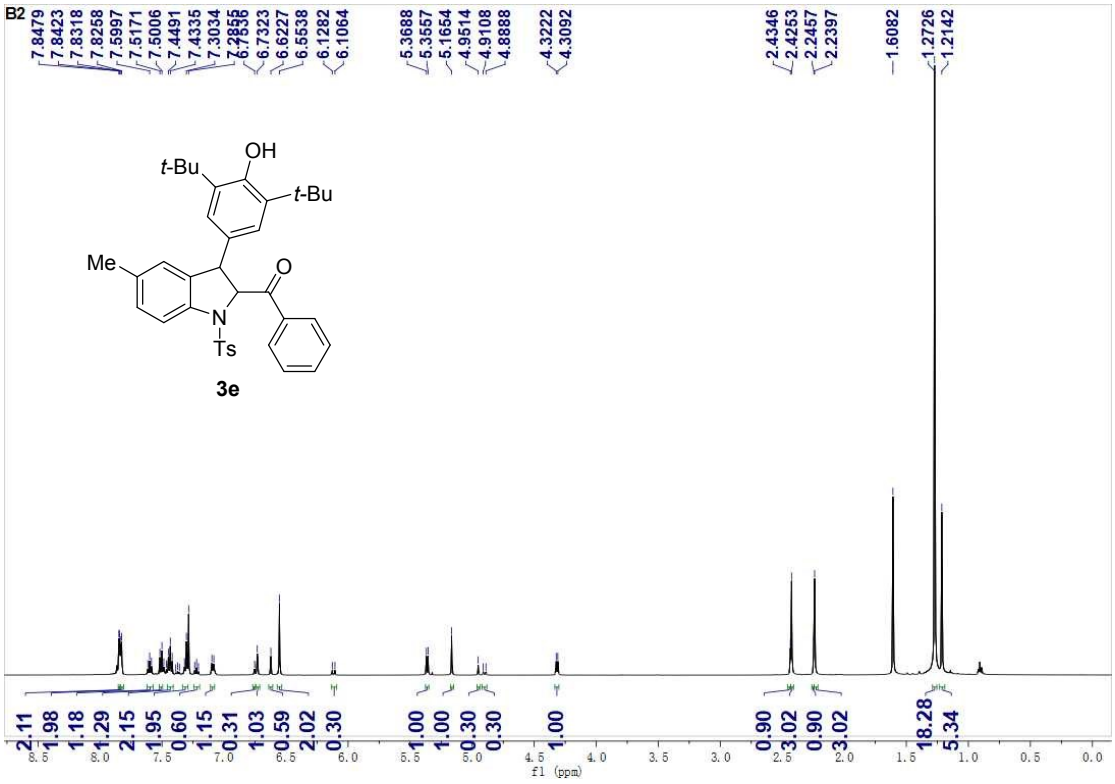
^{1}H NMR of **3d**



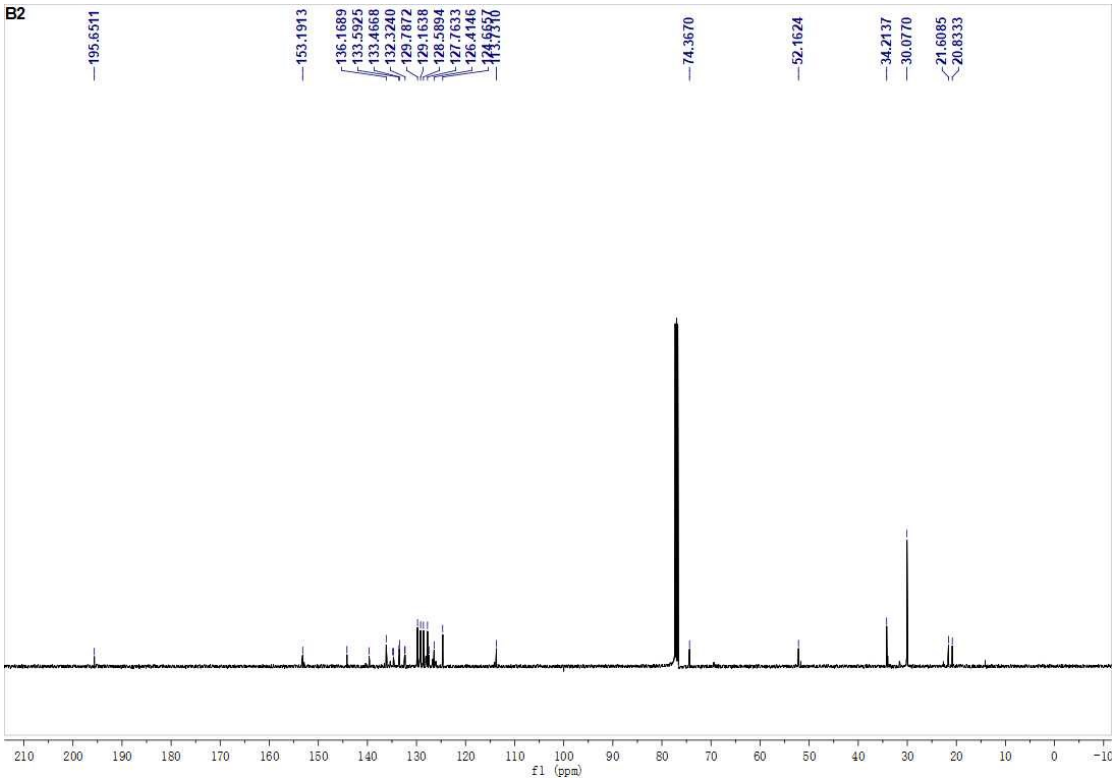
^{13}C NMR of **3d**:



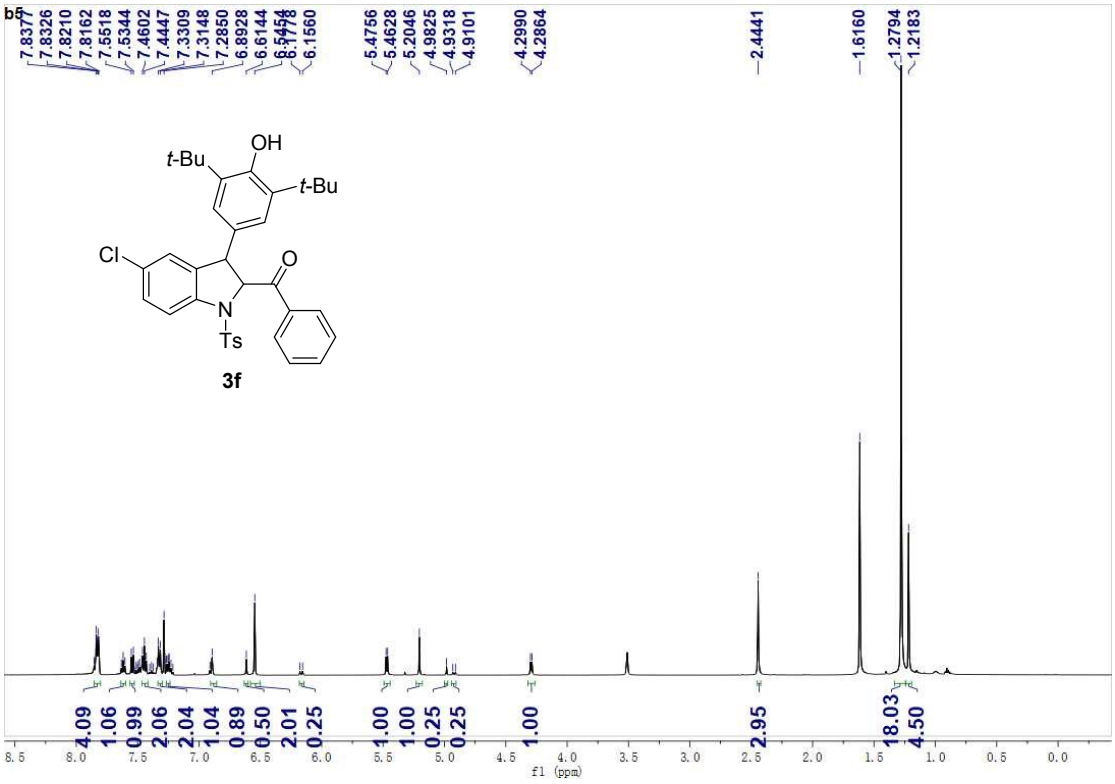
^{1}H NMR of **3e**



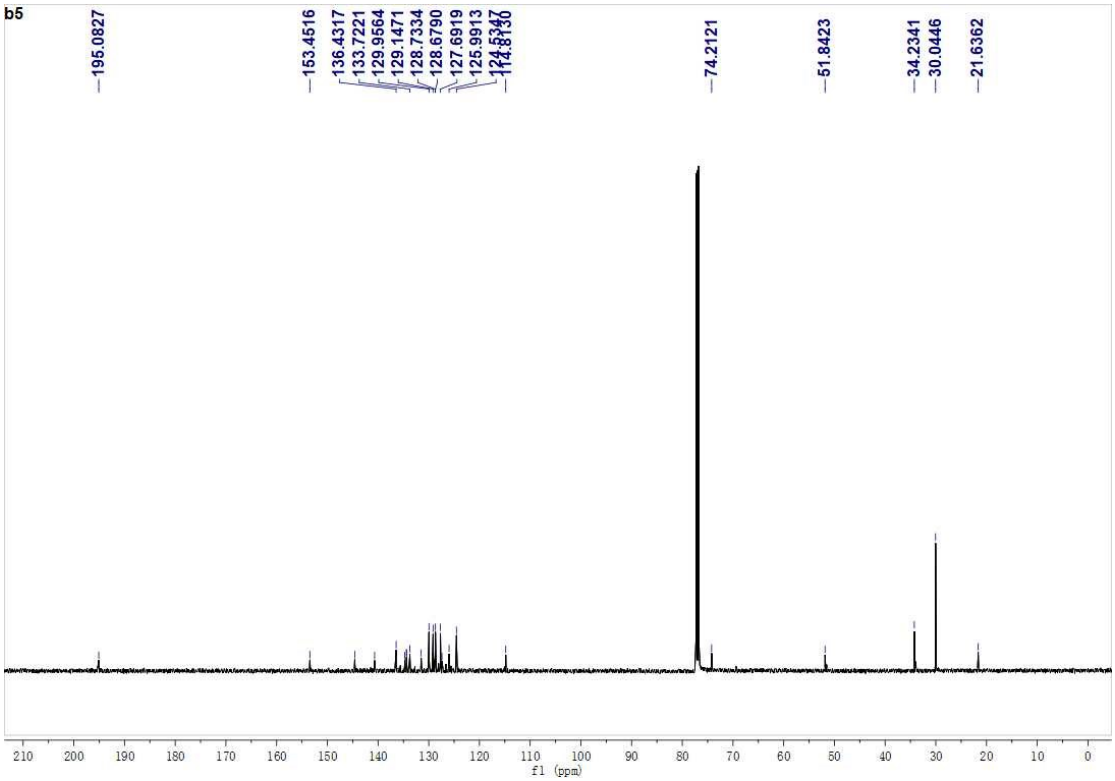
^{13}C NMR of **3e**:



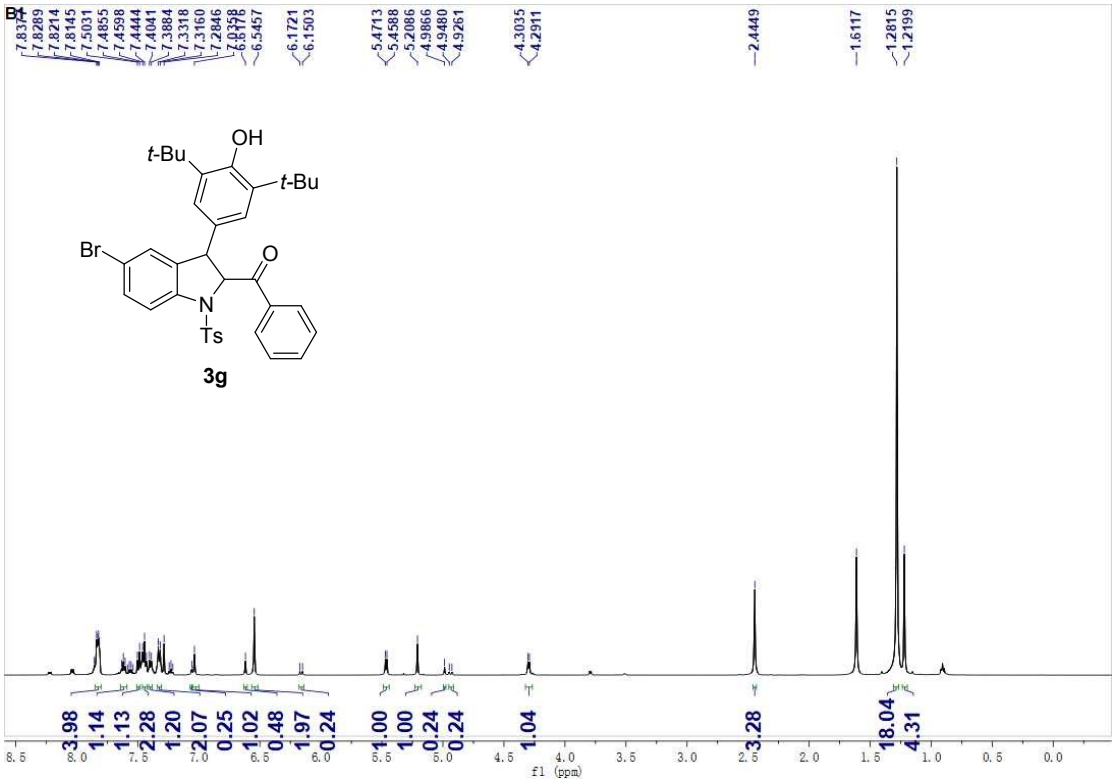
^{1}H NMR of **3f**



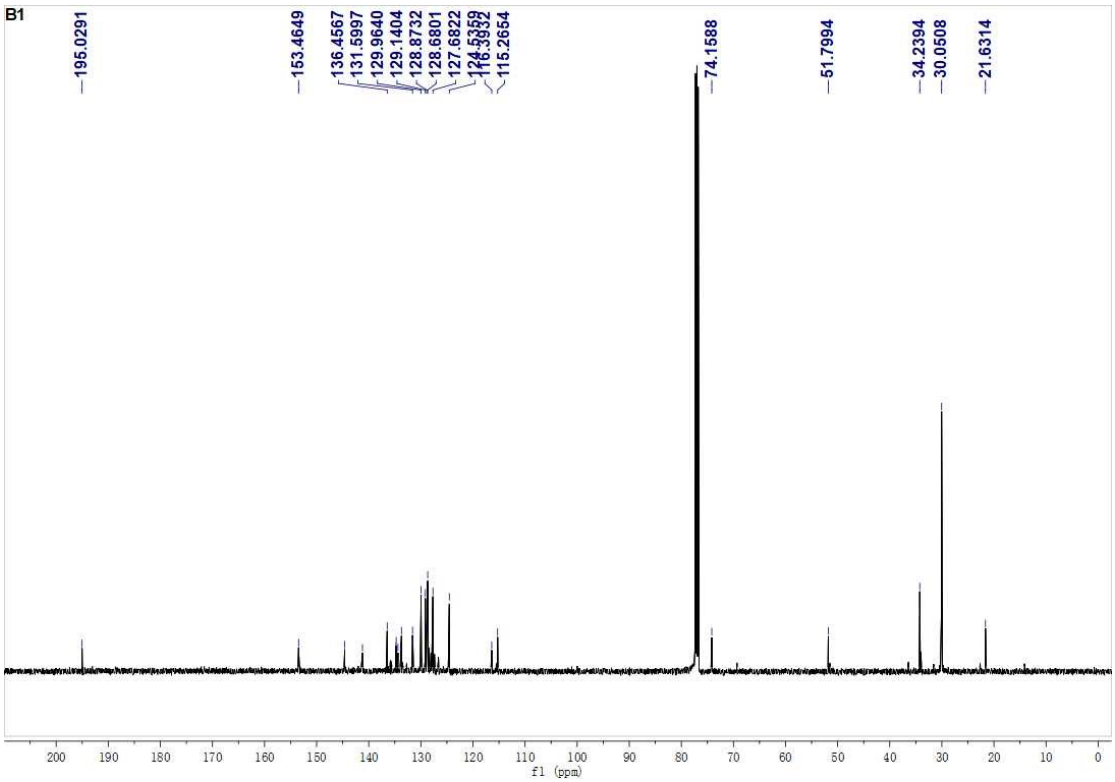
^{13}C NMR of **3f**:



^{1}H NMR of **3g**



^{13}C NMR of **3g**:



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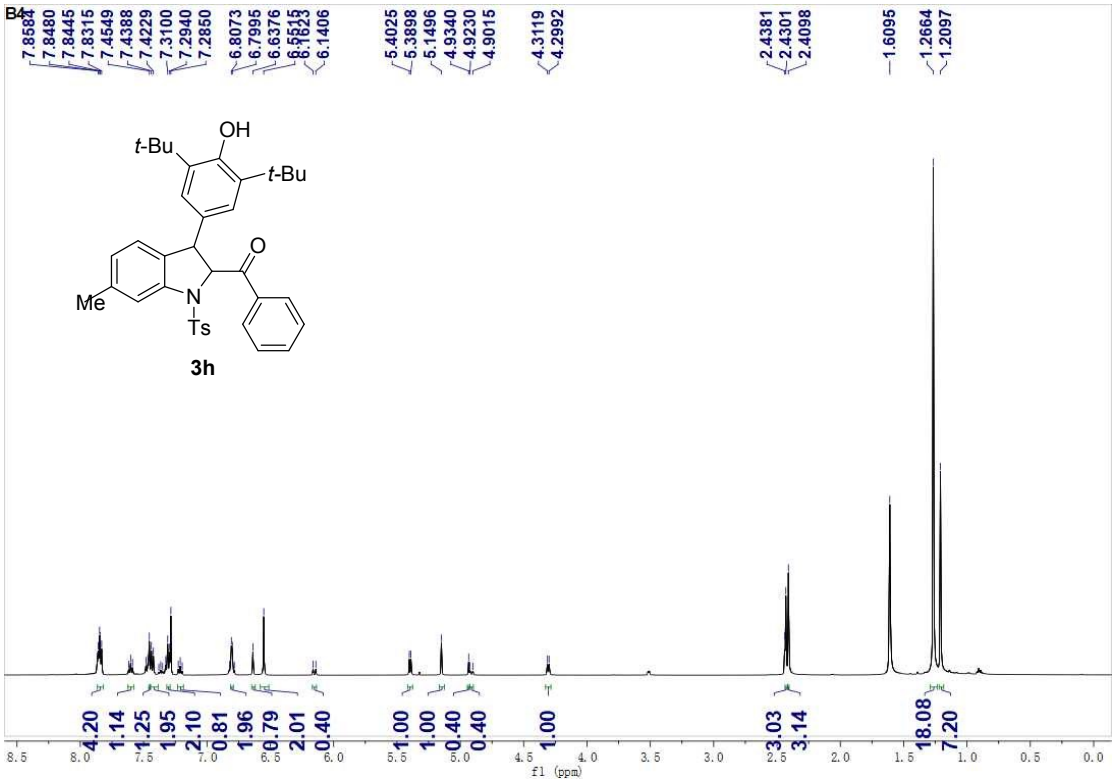

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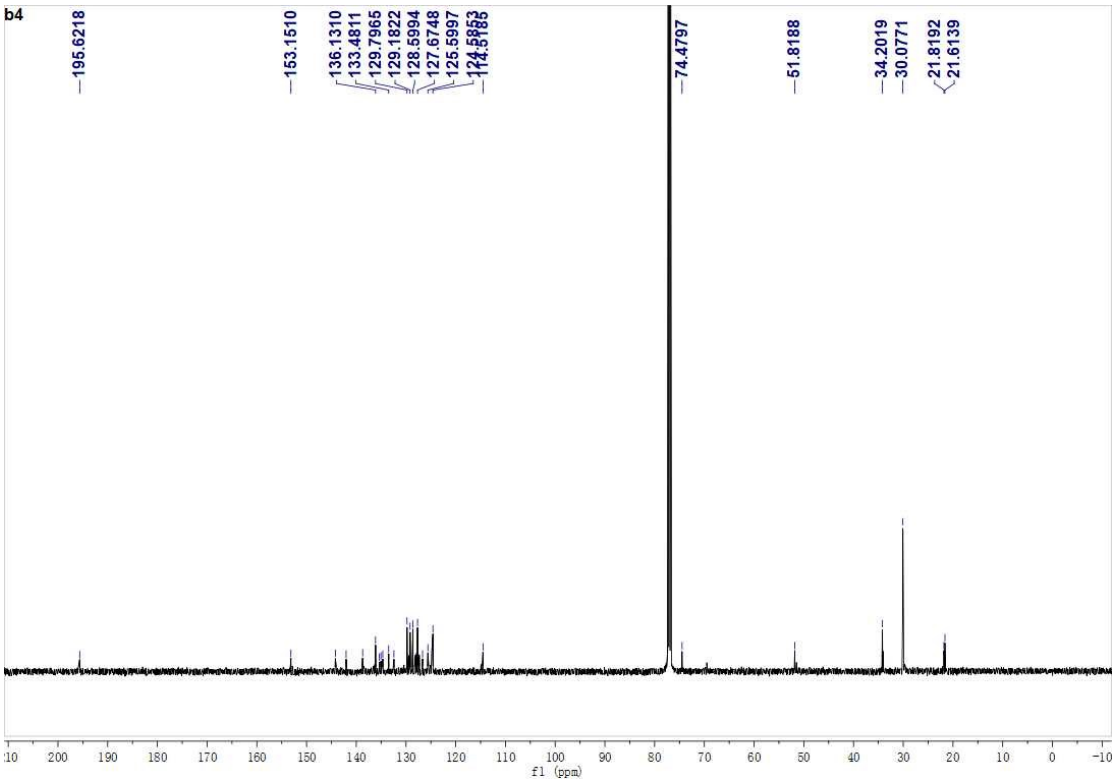
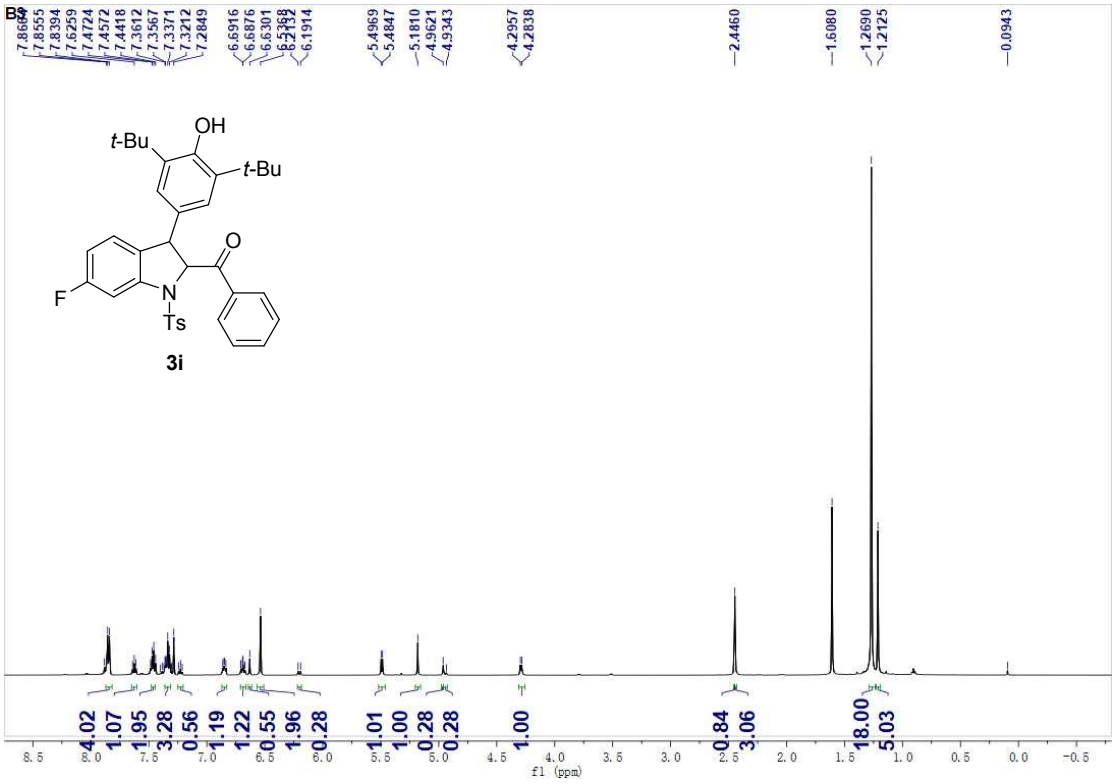


^{1}H NMR of **3i**



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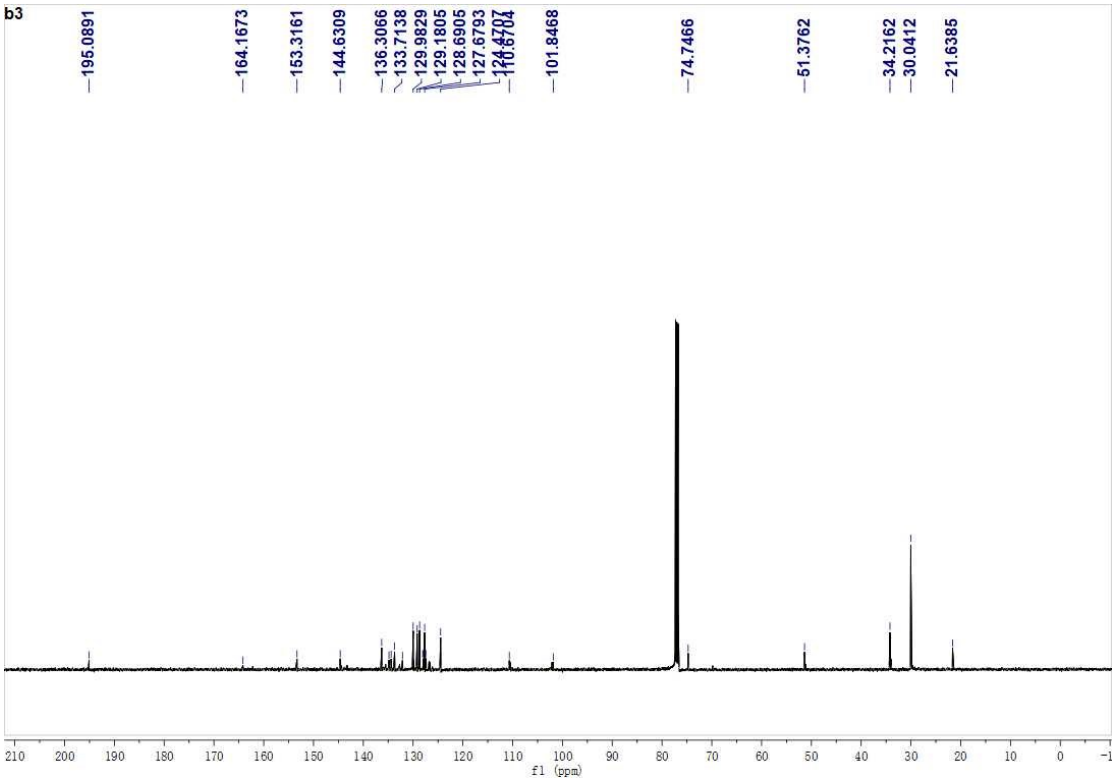
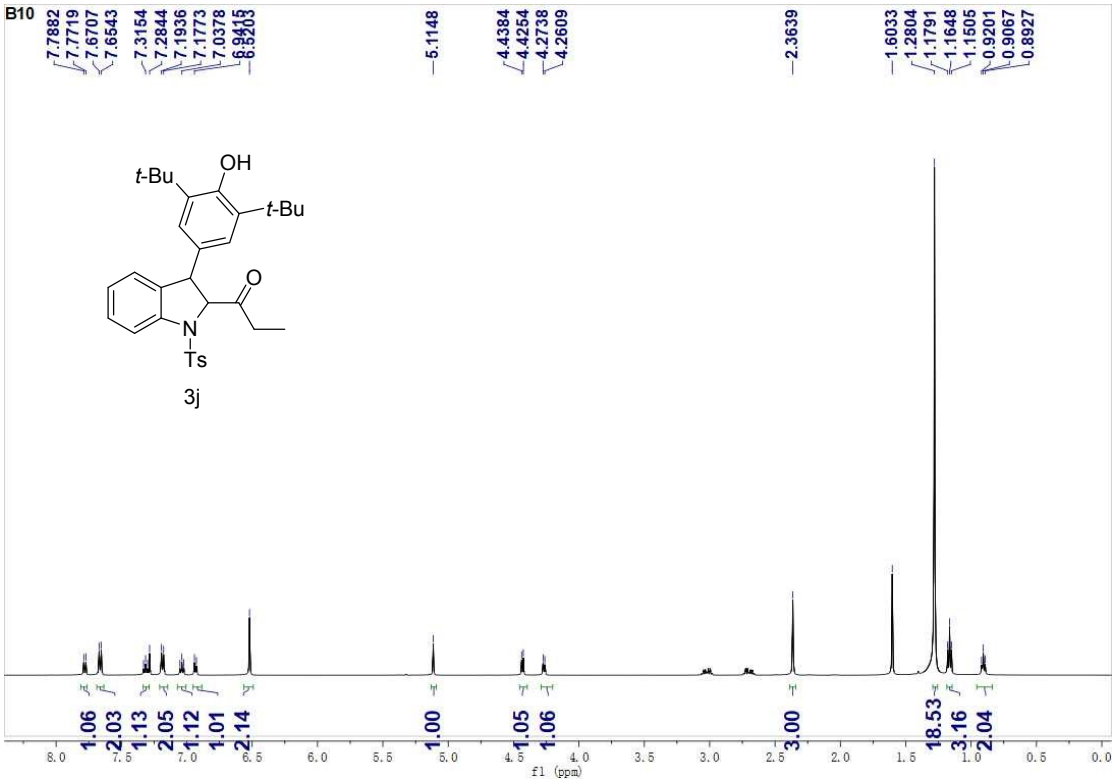


^{1}H NMR of **3j**



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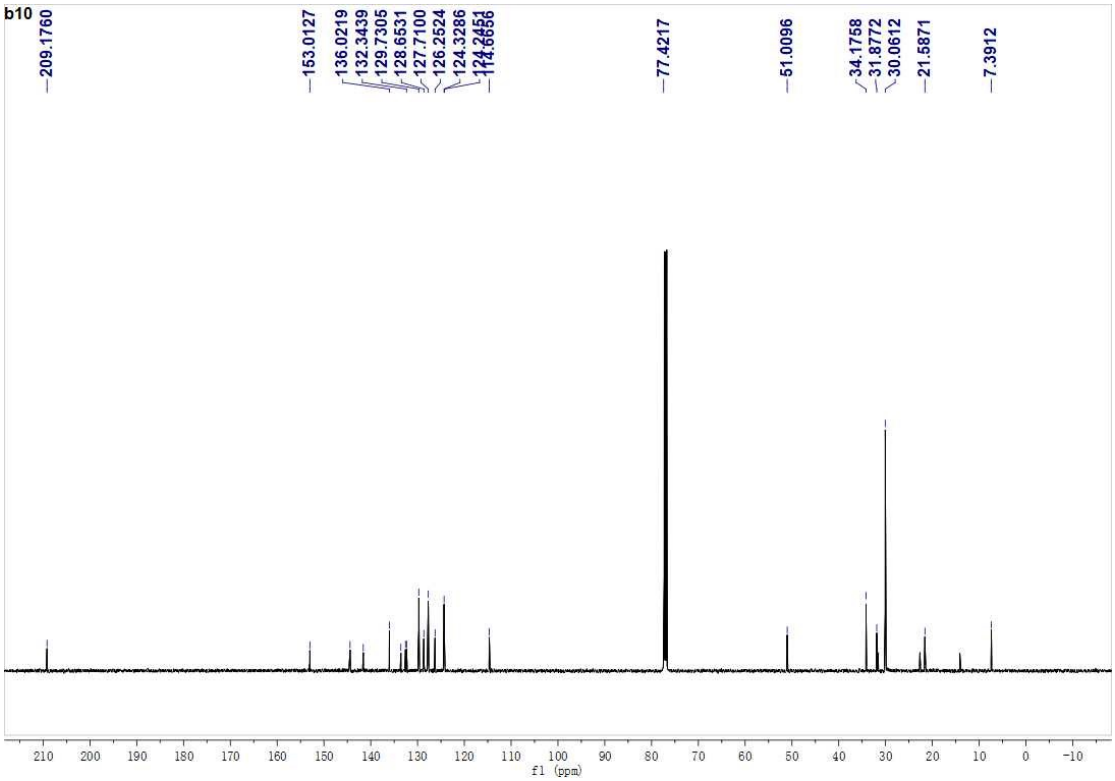
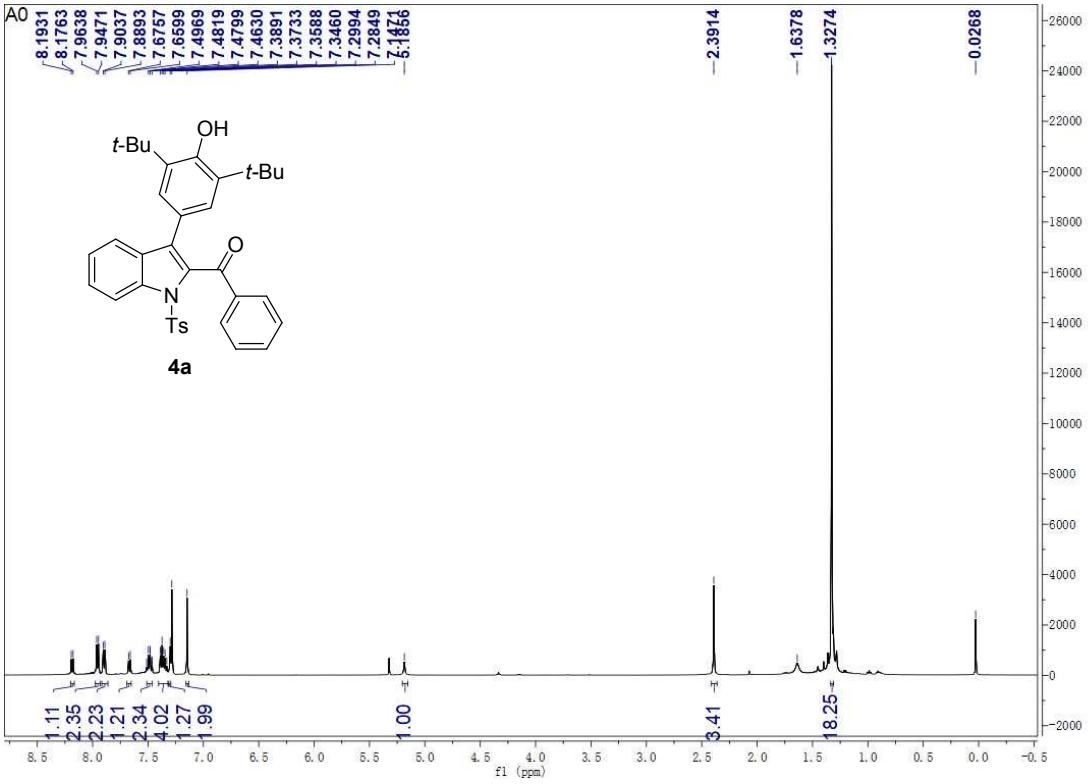
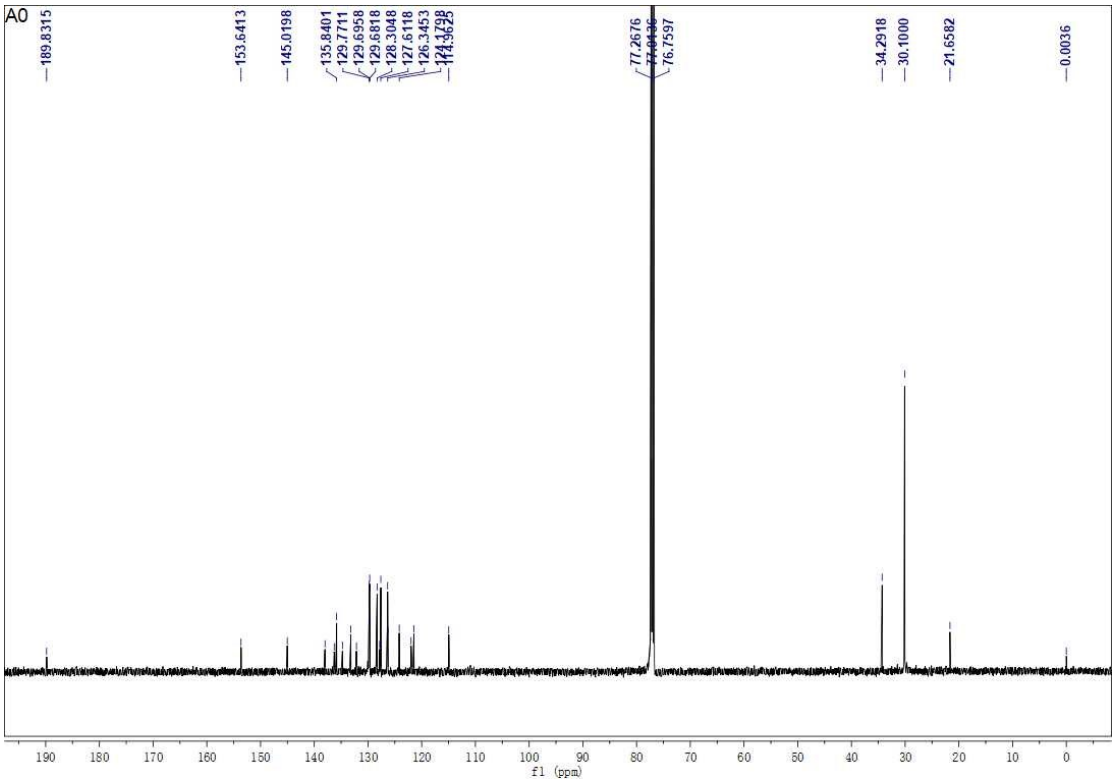


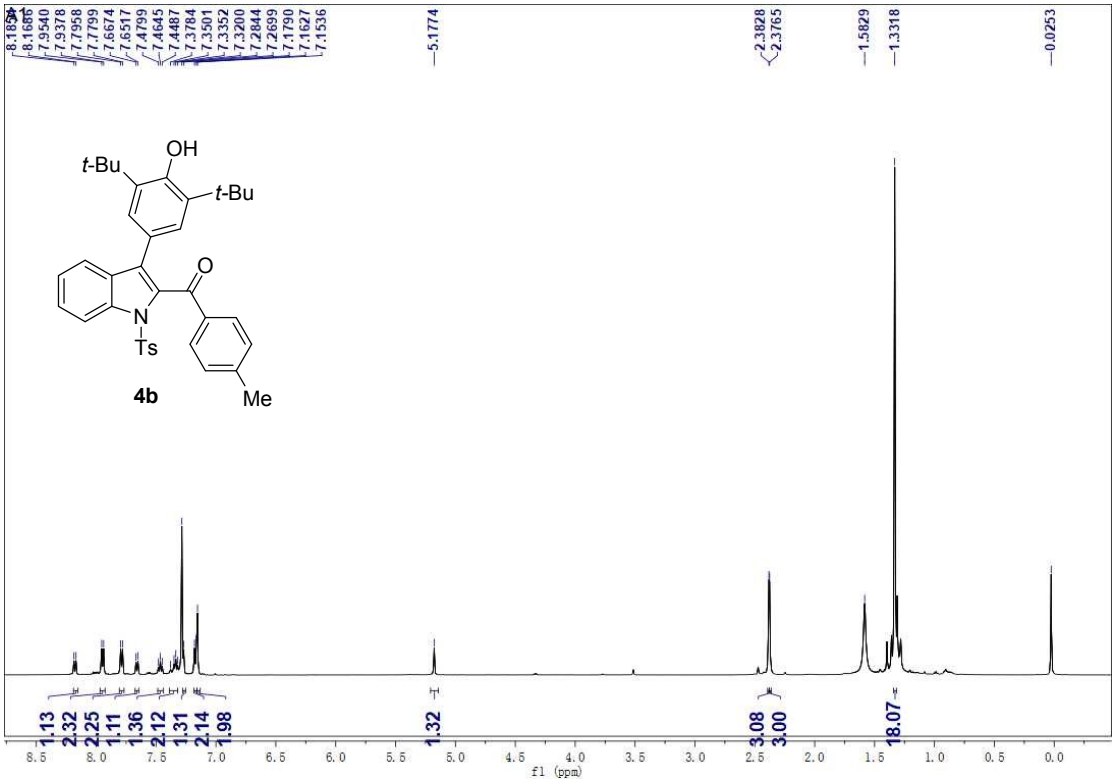
^{1}H NMR of **4a**:



^{13}C NMR of **4a**:



^{1}H NMR of **4b**:



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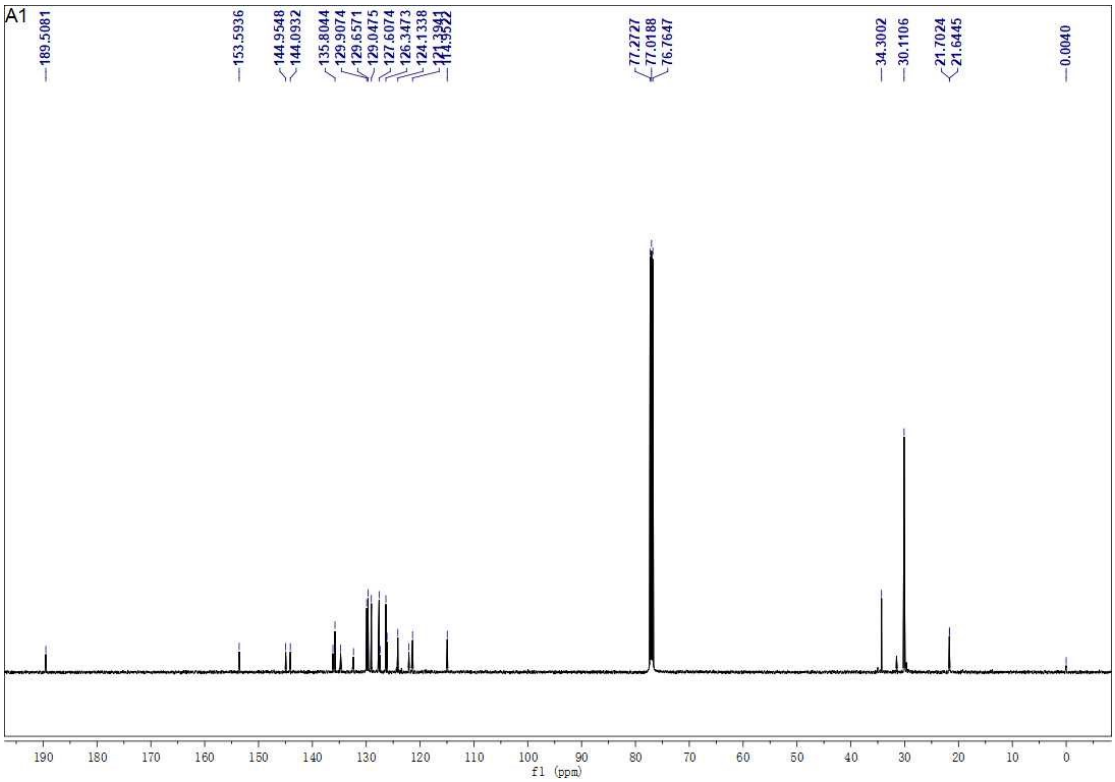
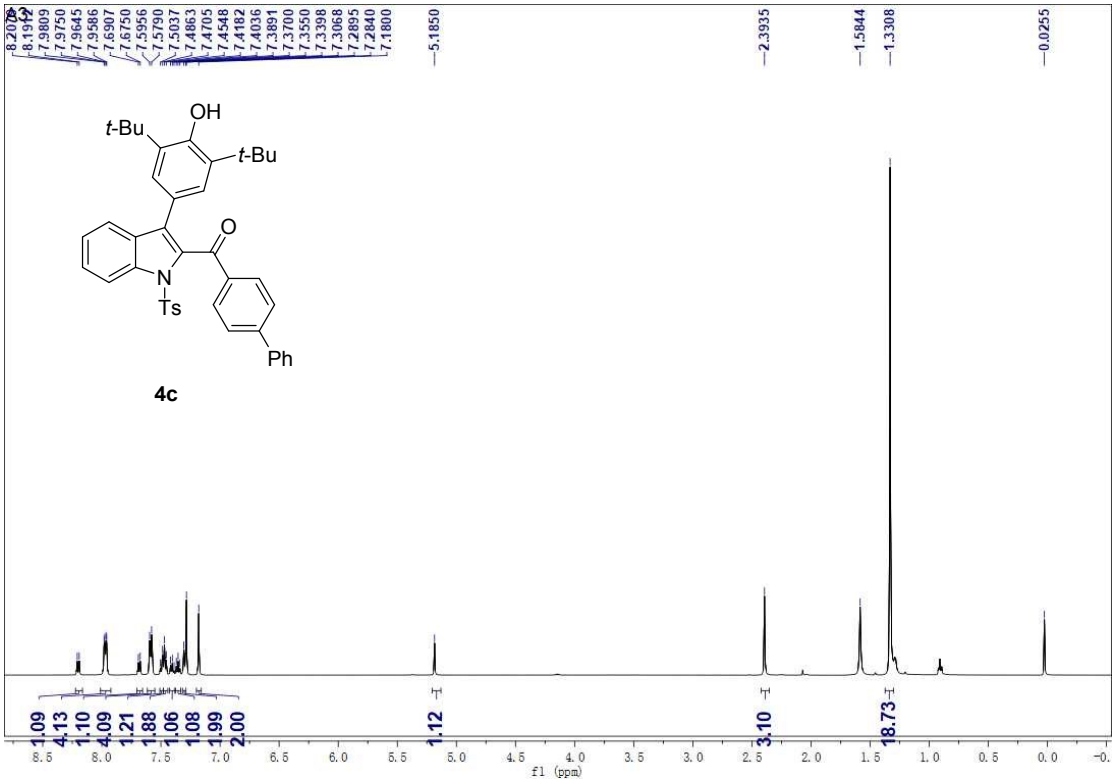


^{1}H NMR of **4c**:



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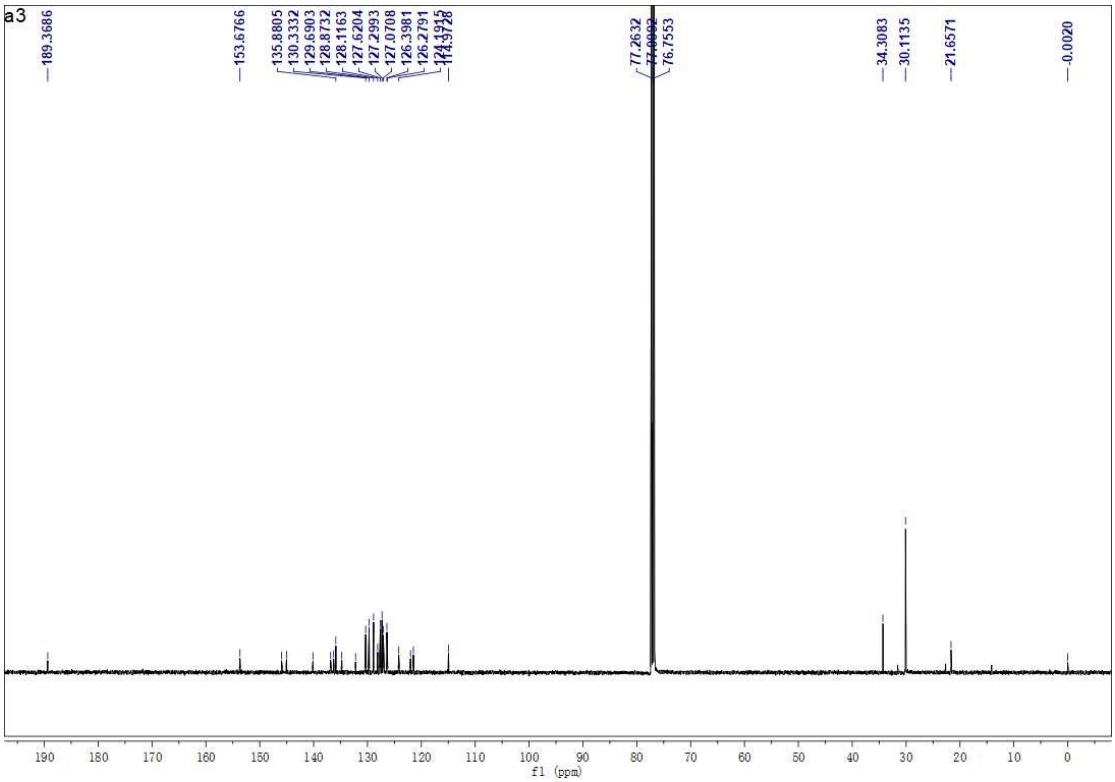
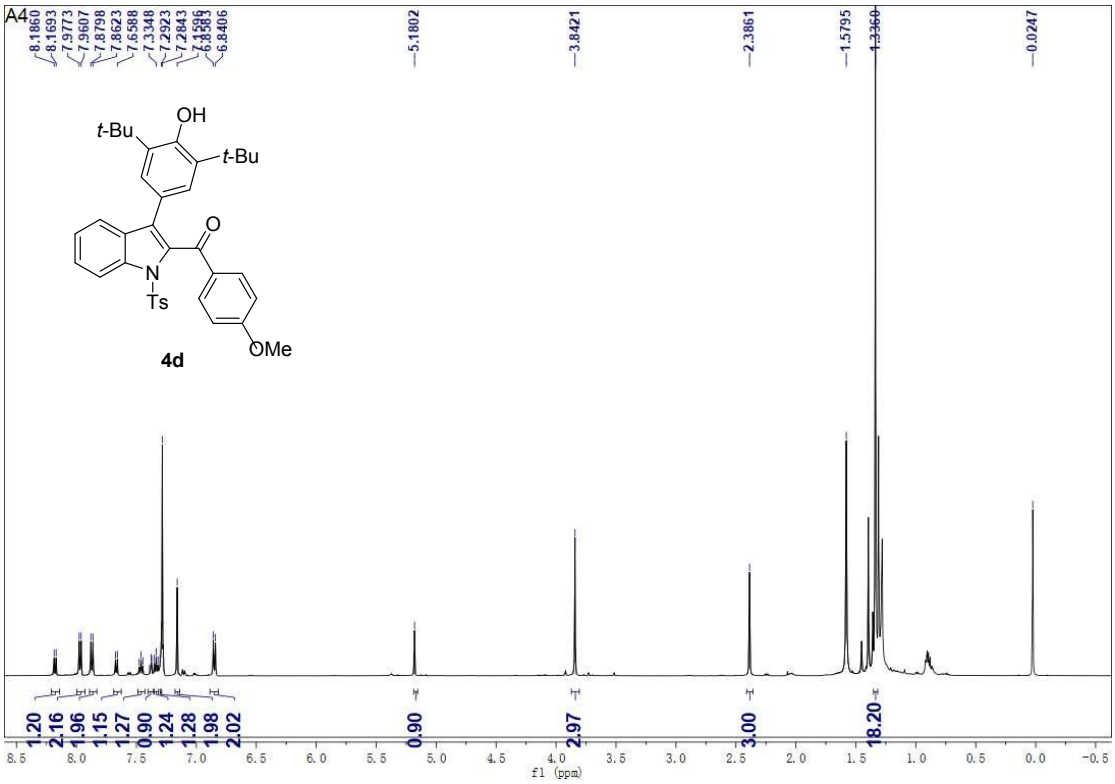
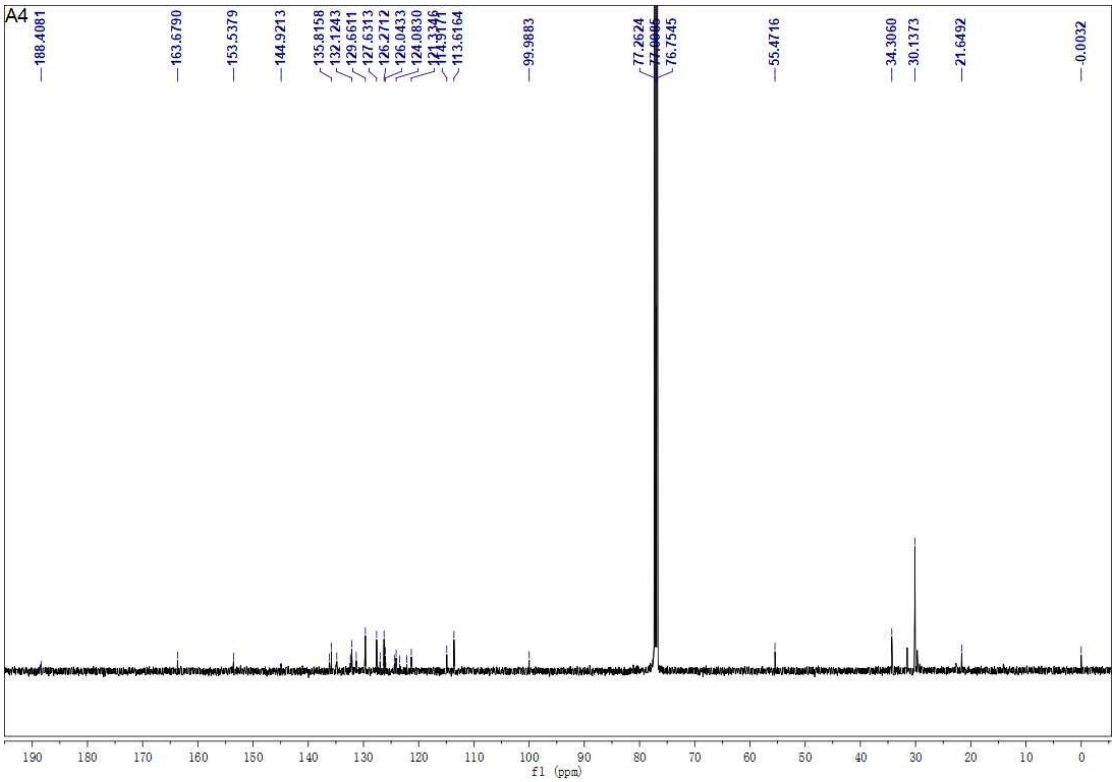


^{1}H NMR of **4d**:



^{13}C NMR of **4d**:



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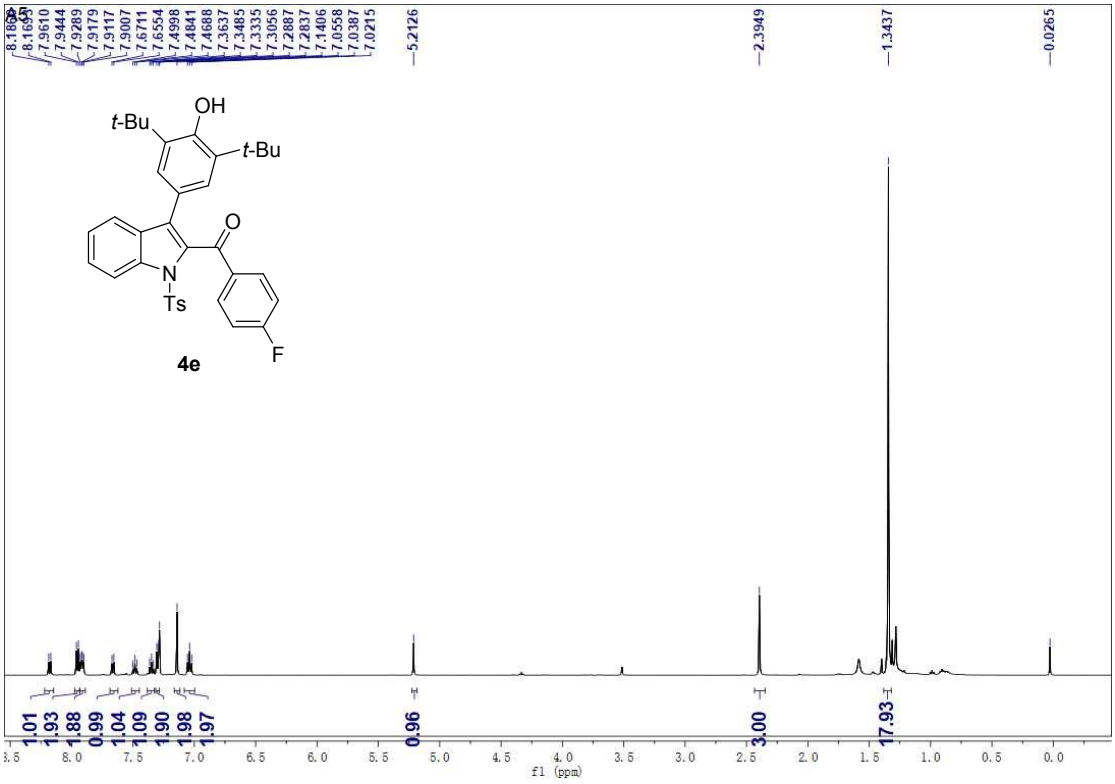
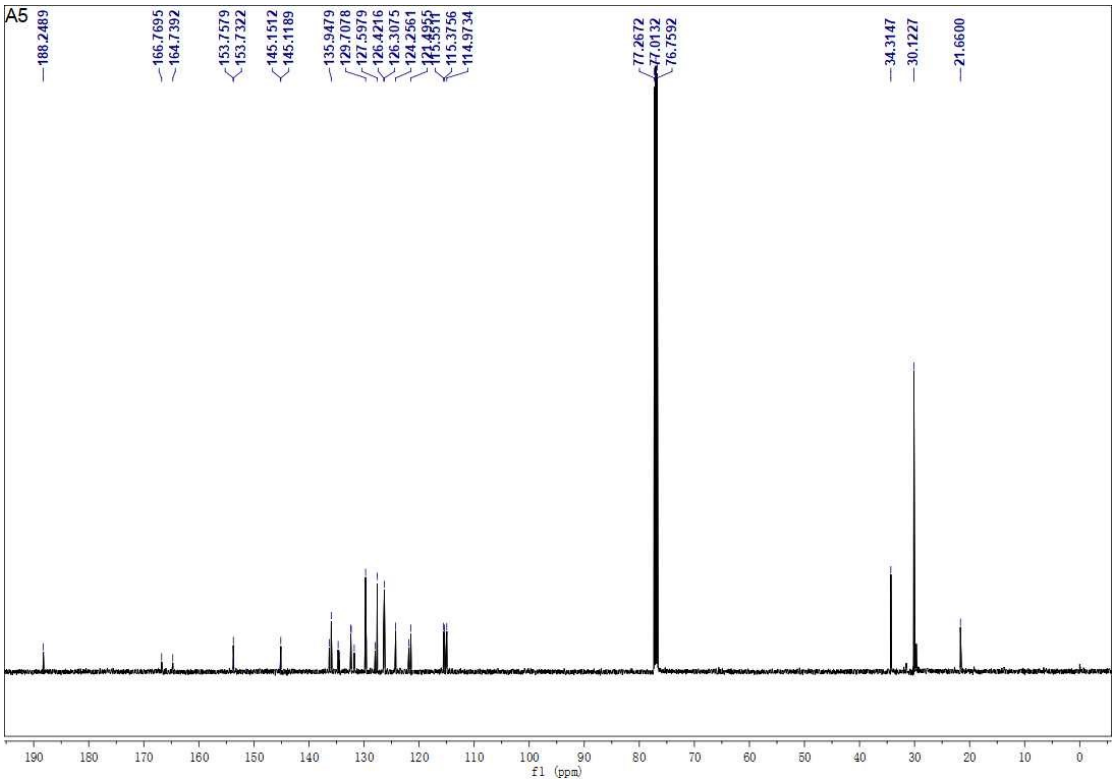
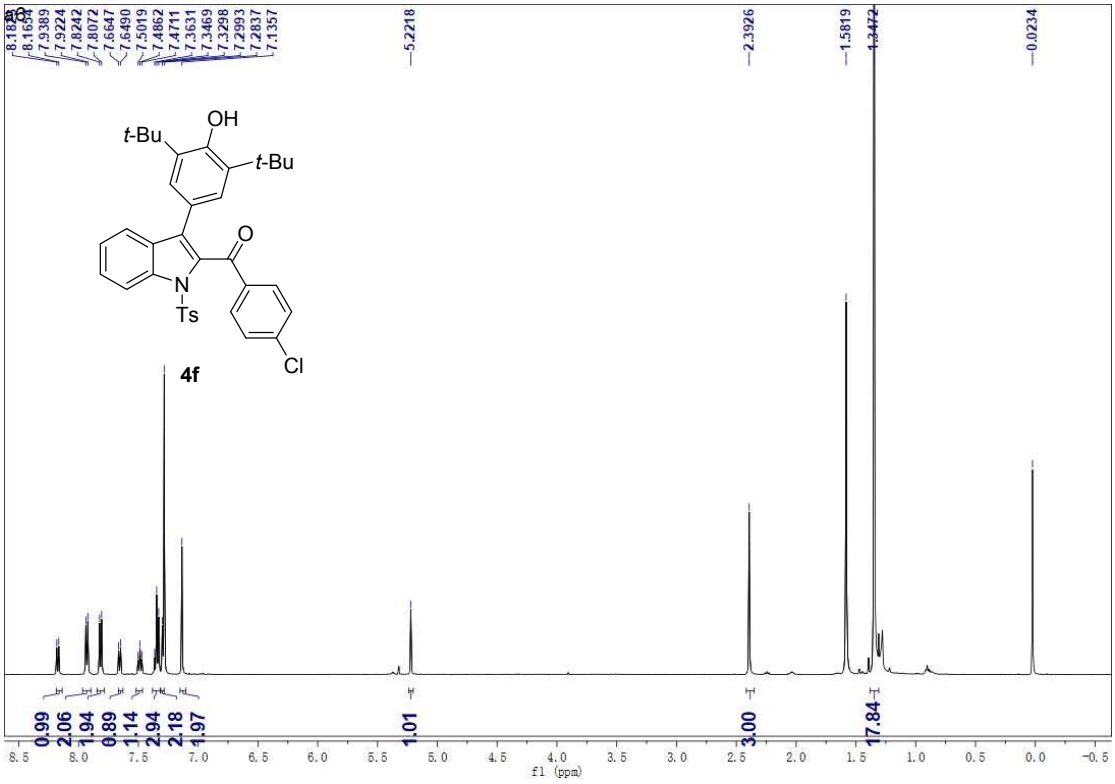


^{13}C NMR of **4e**:



^{1}H NMR of **4f**:



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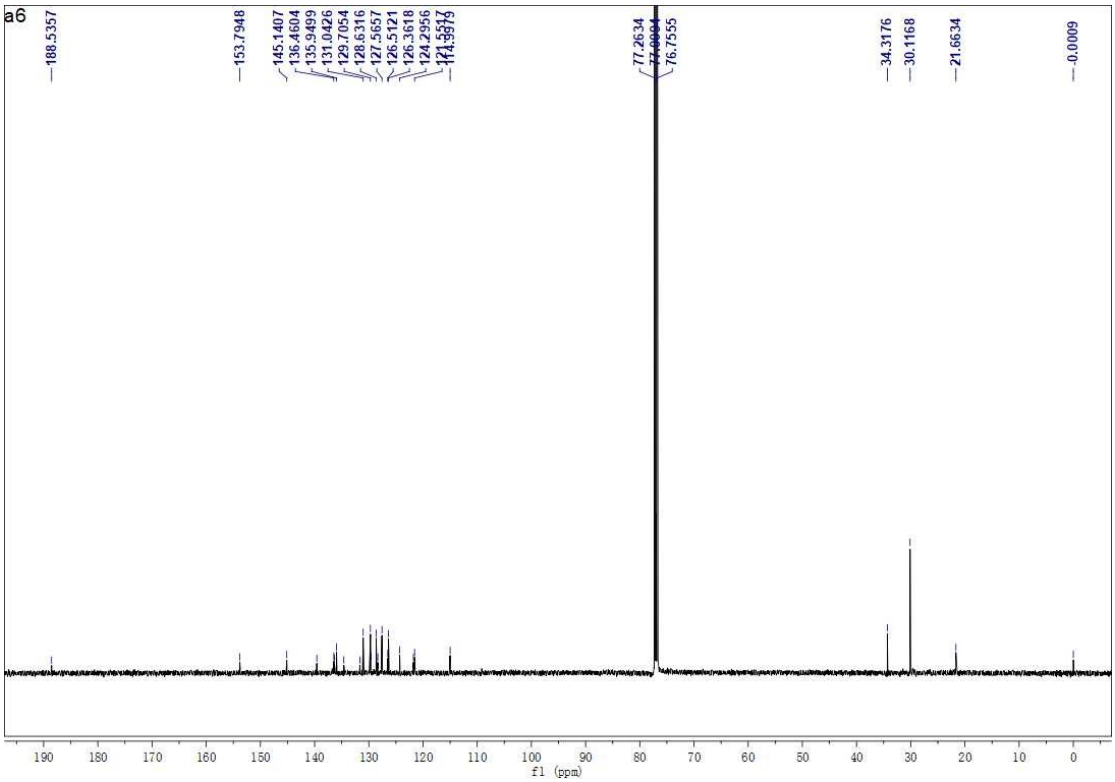
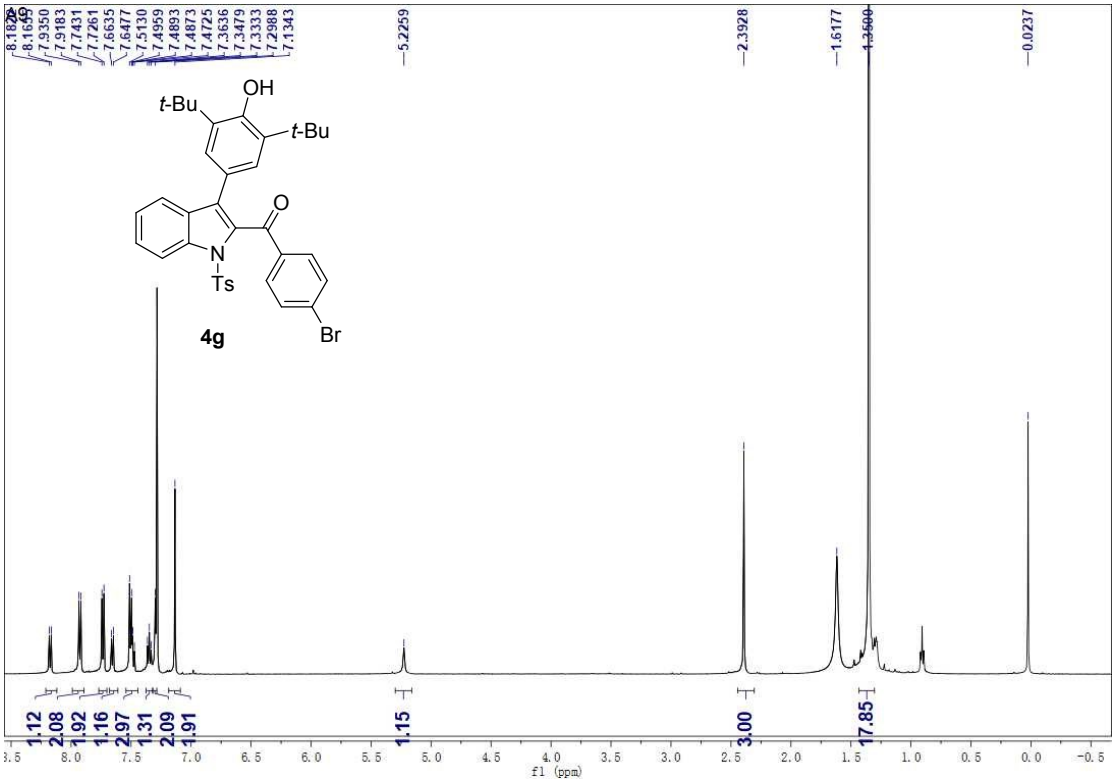


^{1}H NMR of **4g**:



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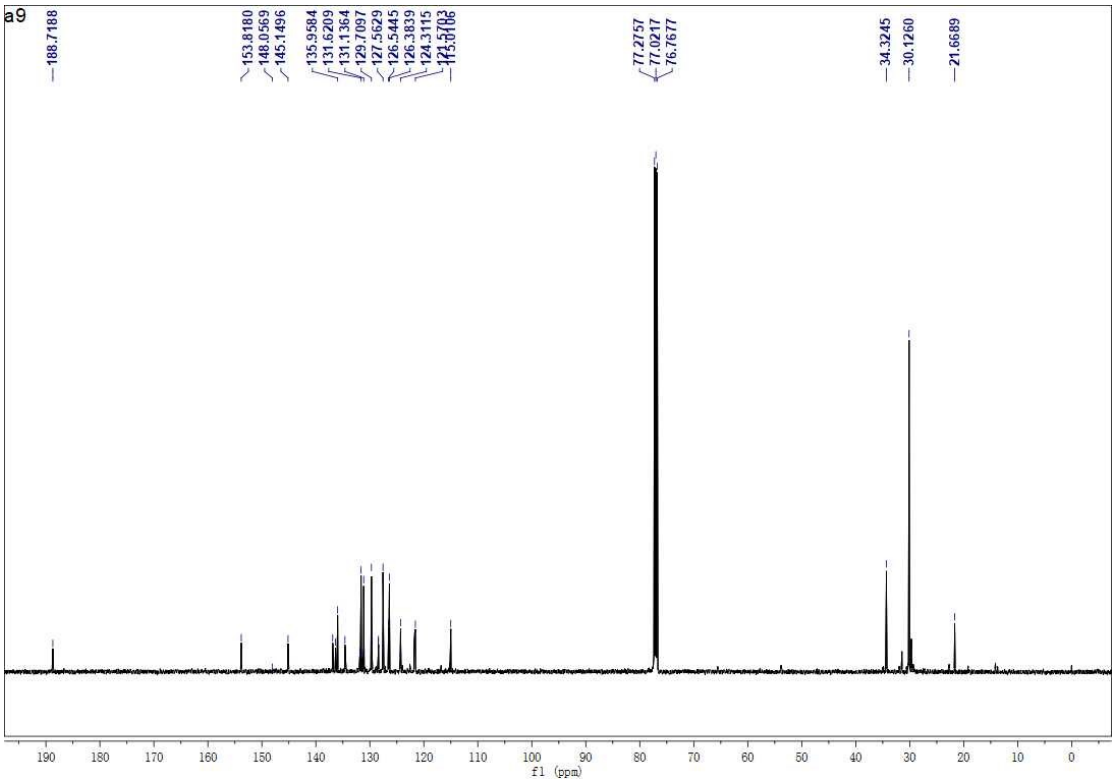

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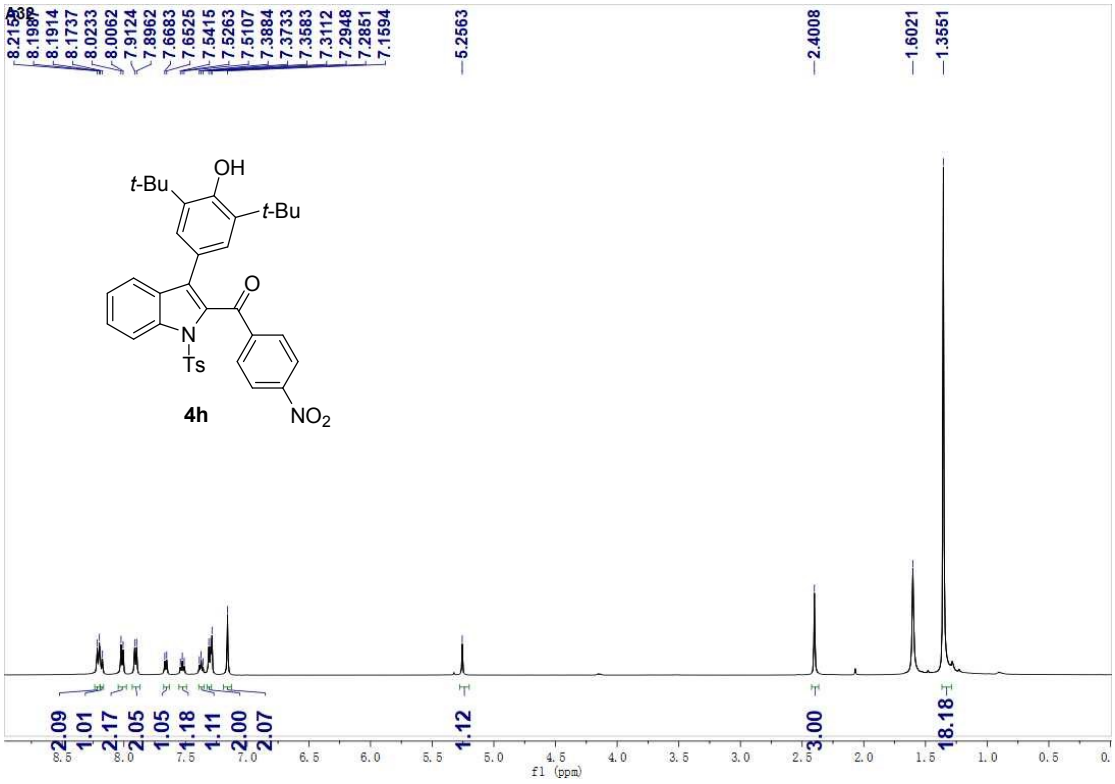
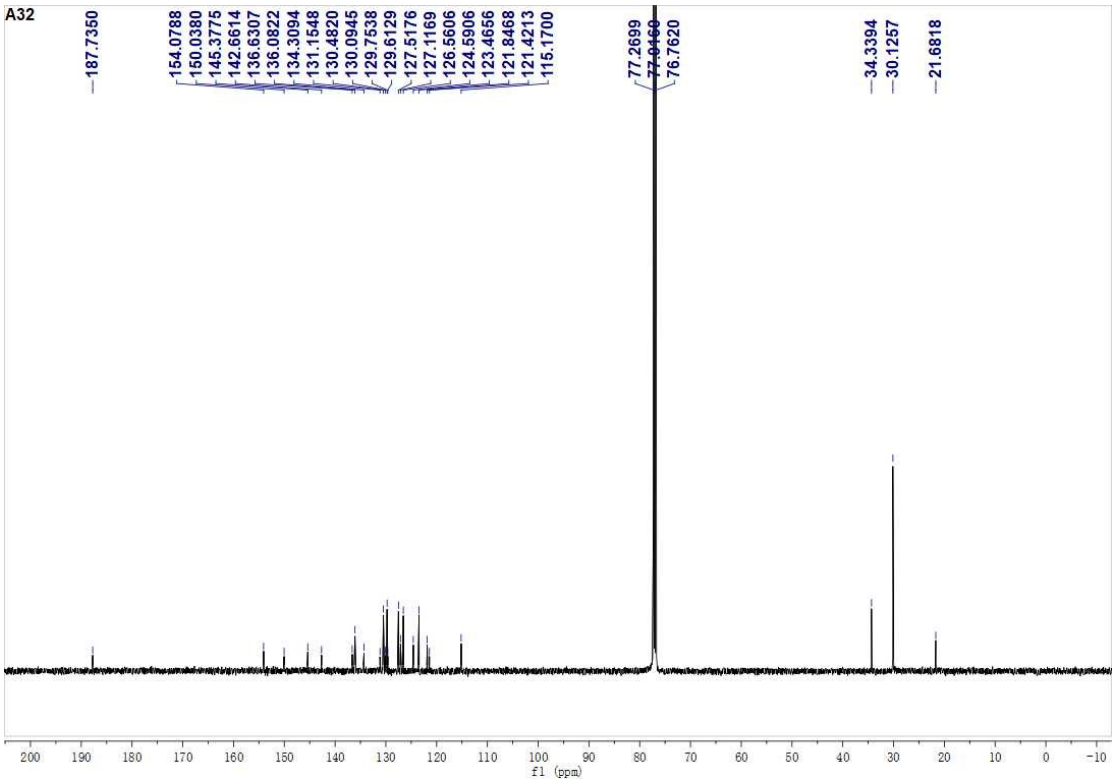


^{13}C NMR of **4h**



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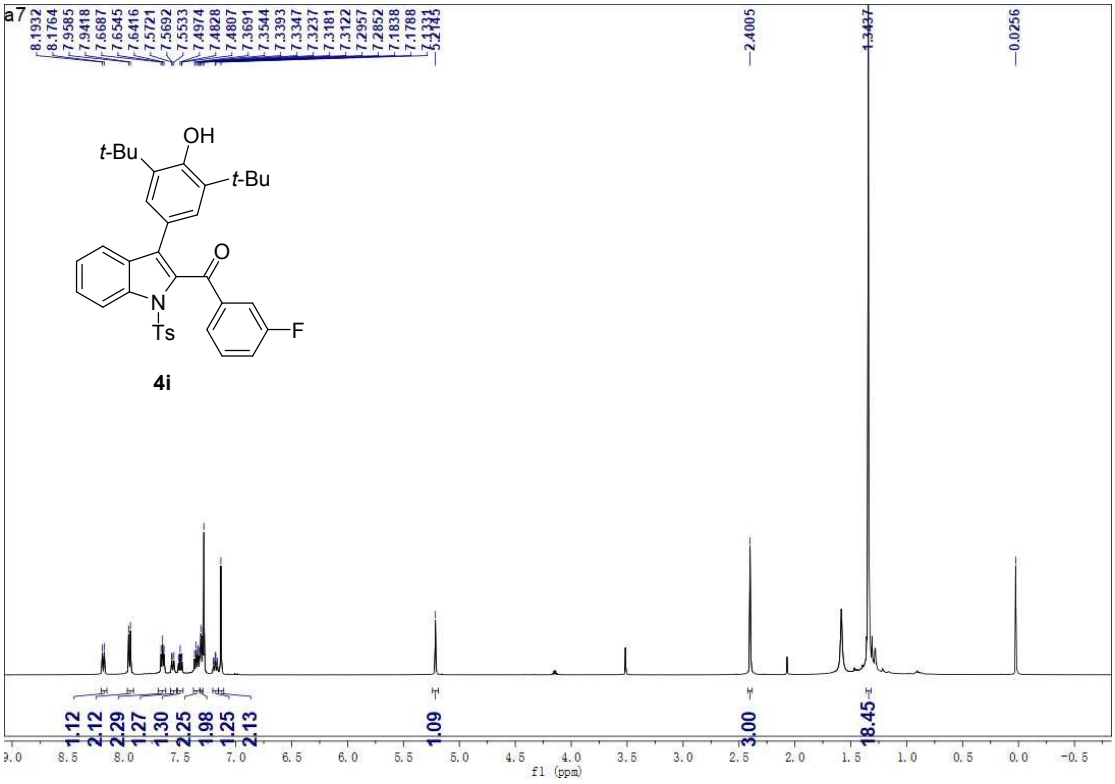

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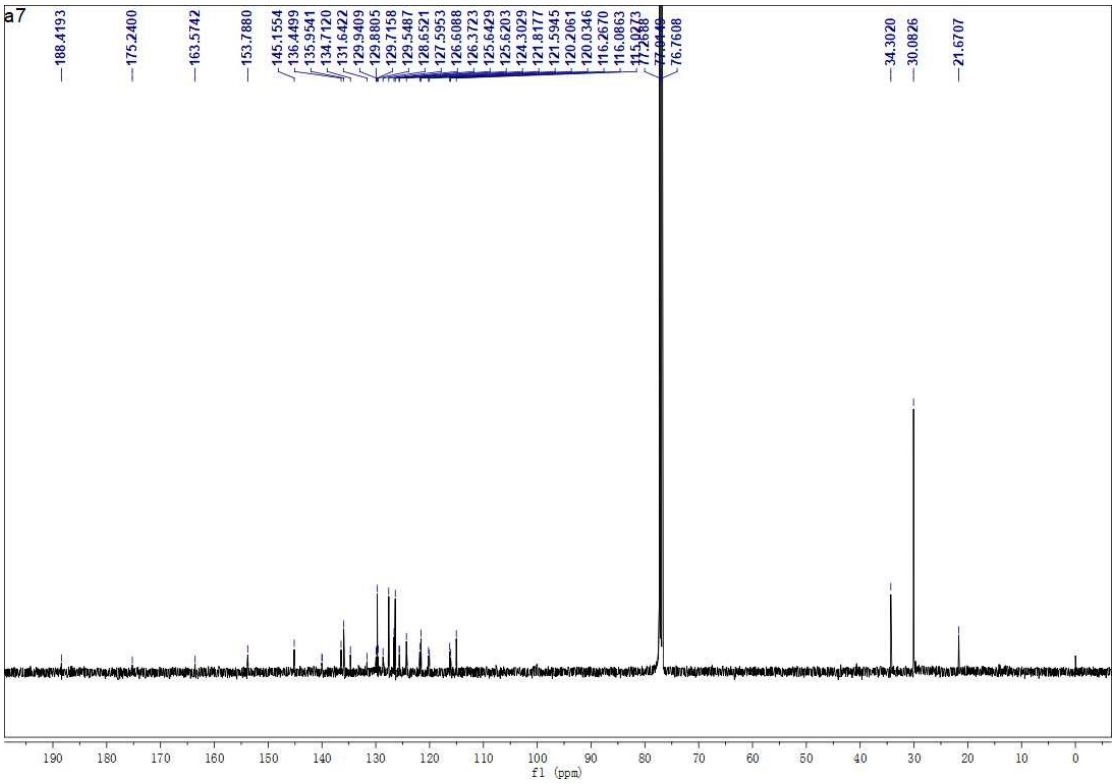
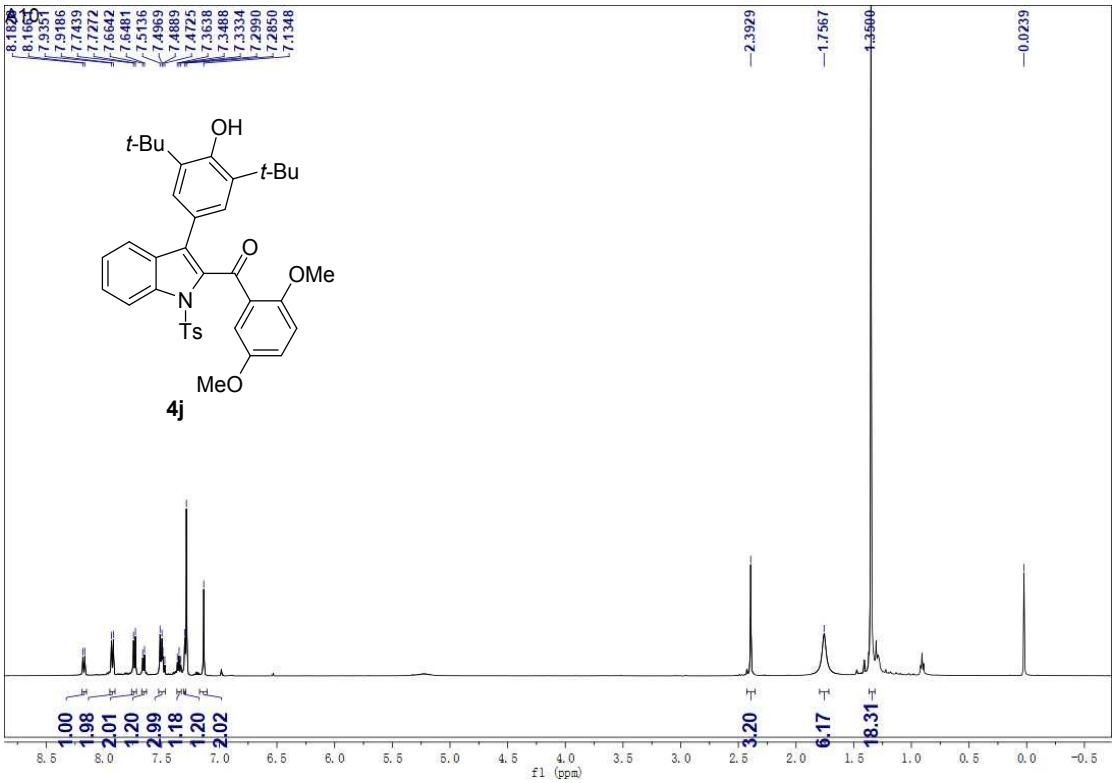
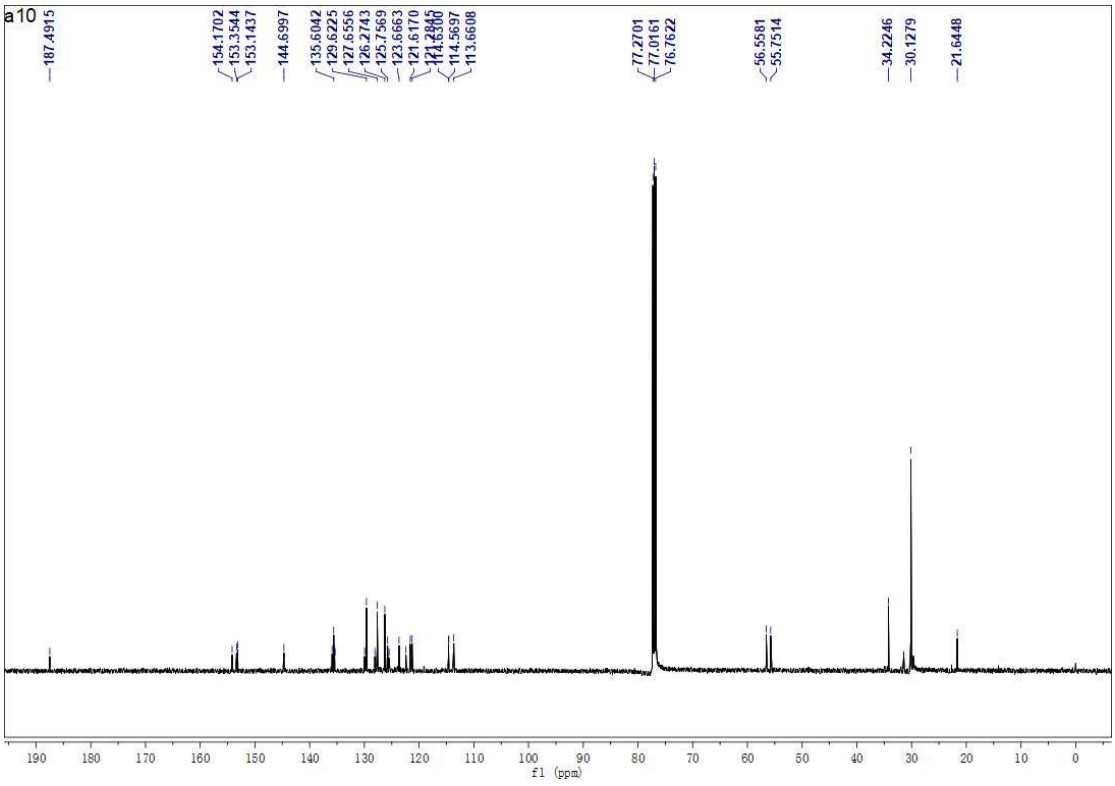


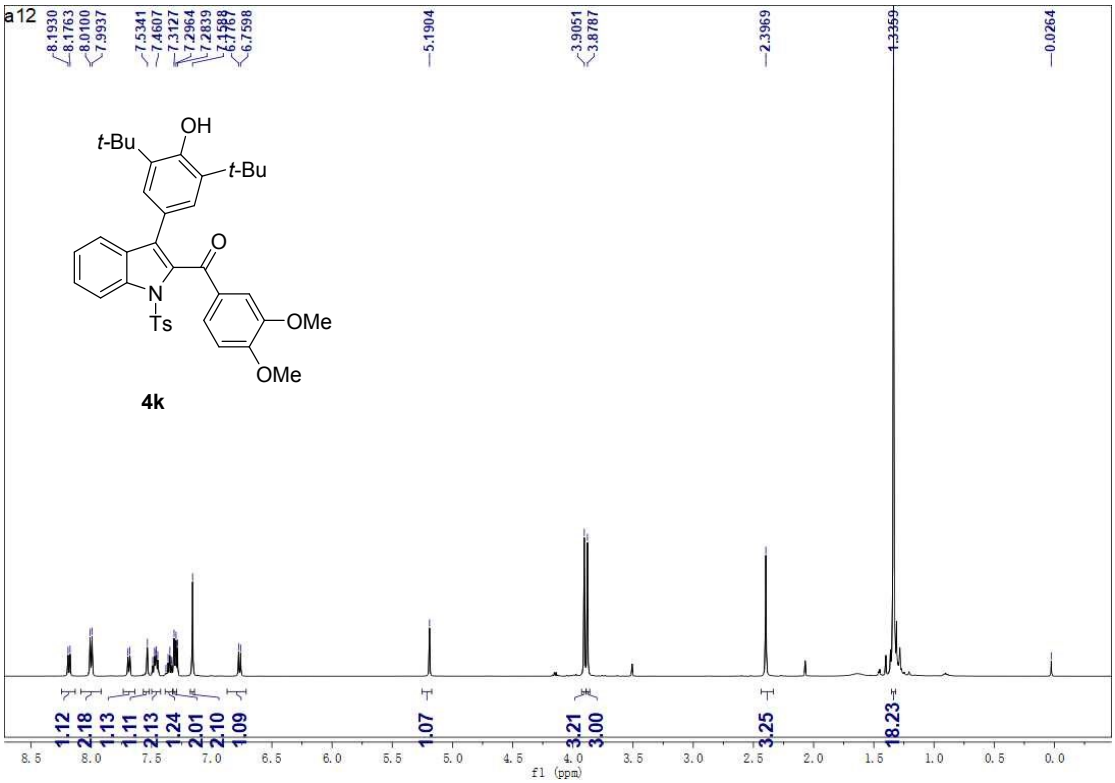
^{1}H NMR of **4j**



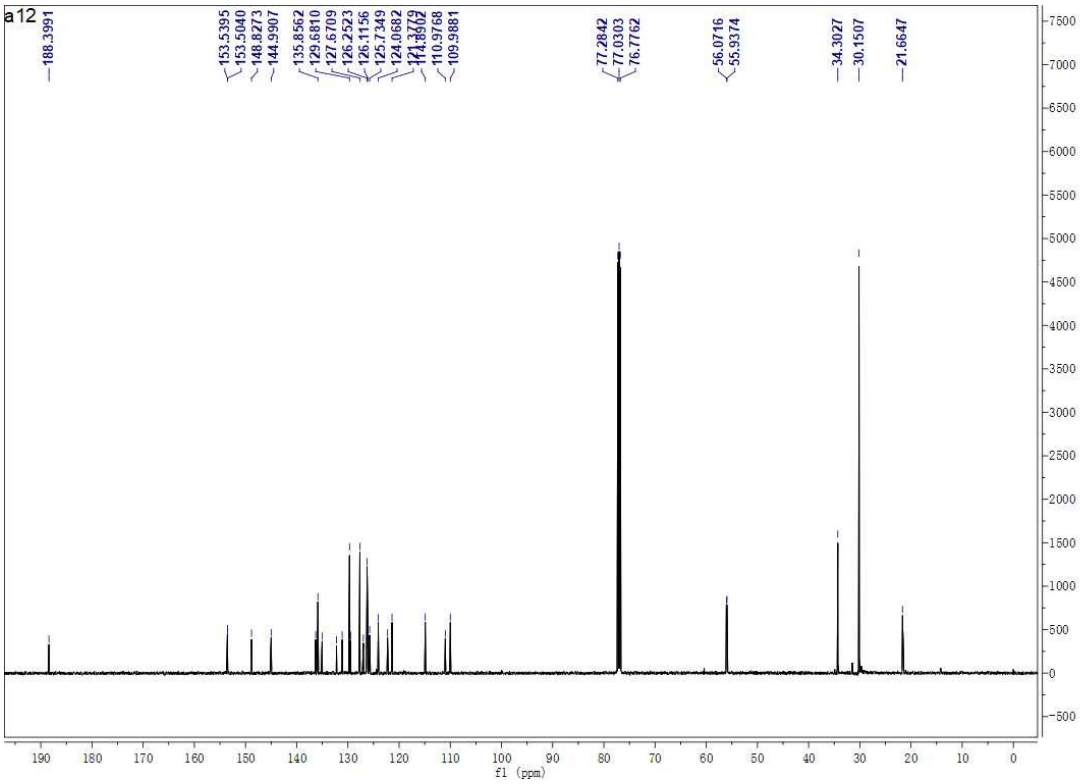
^{13}C NMR of **4j**



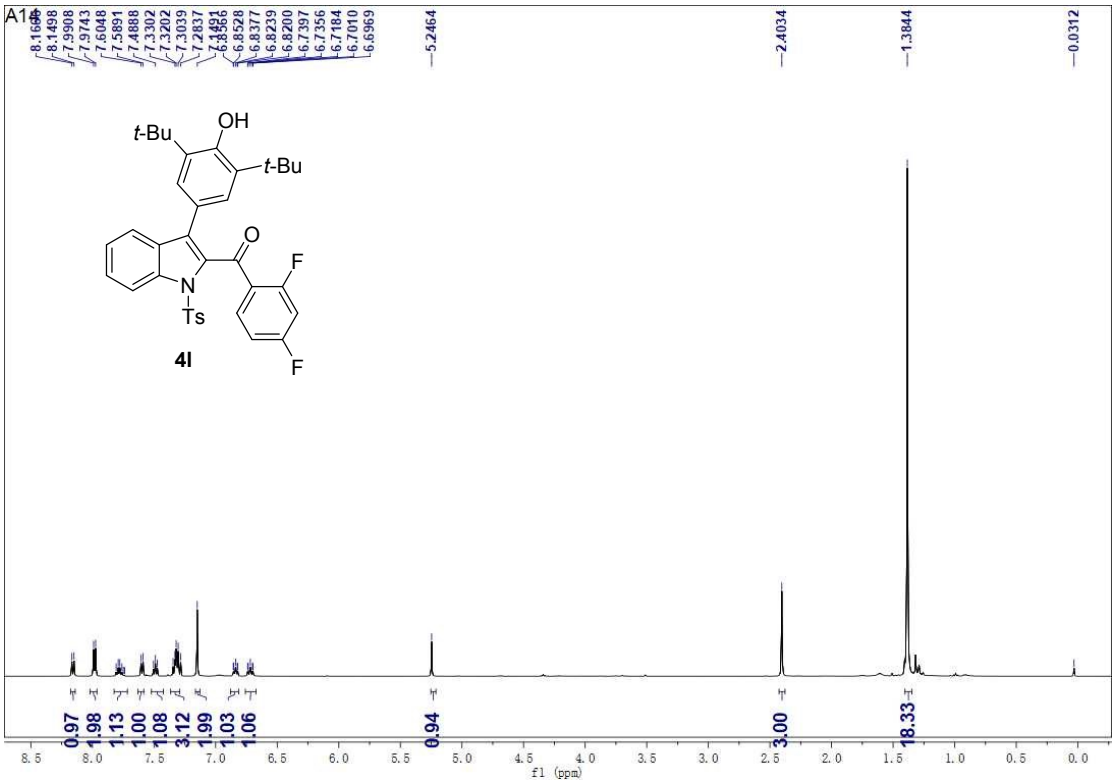
^{1}H NMR of **4k**



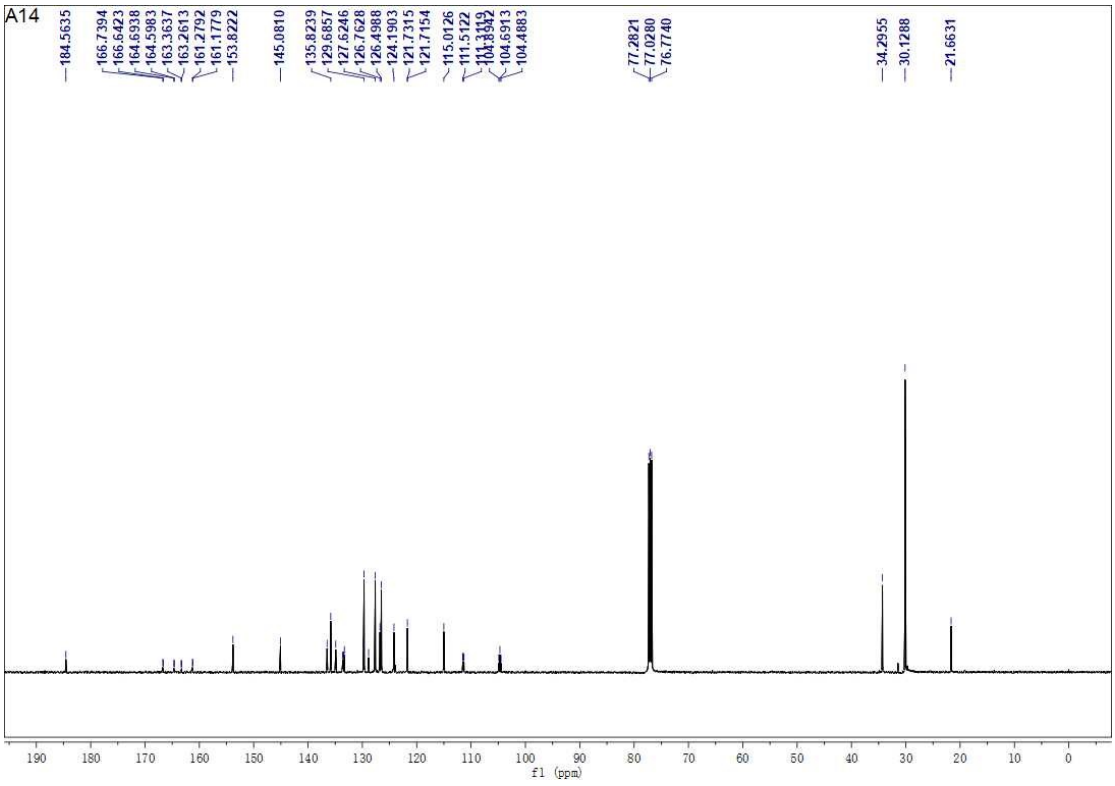
^{13}C NMR of **4k**



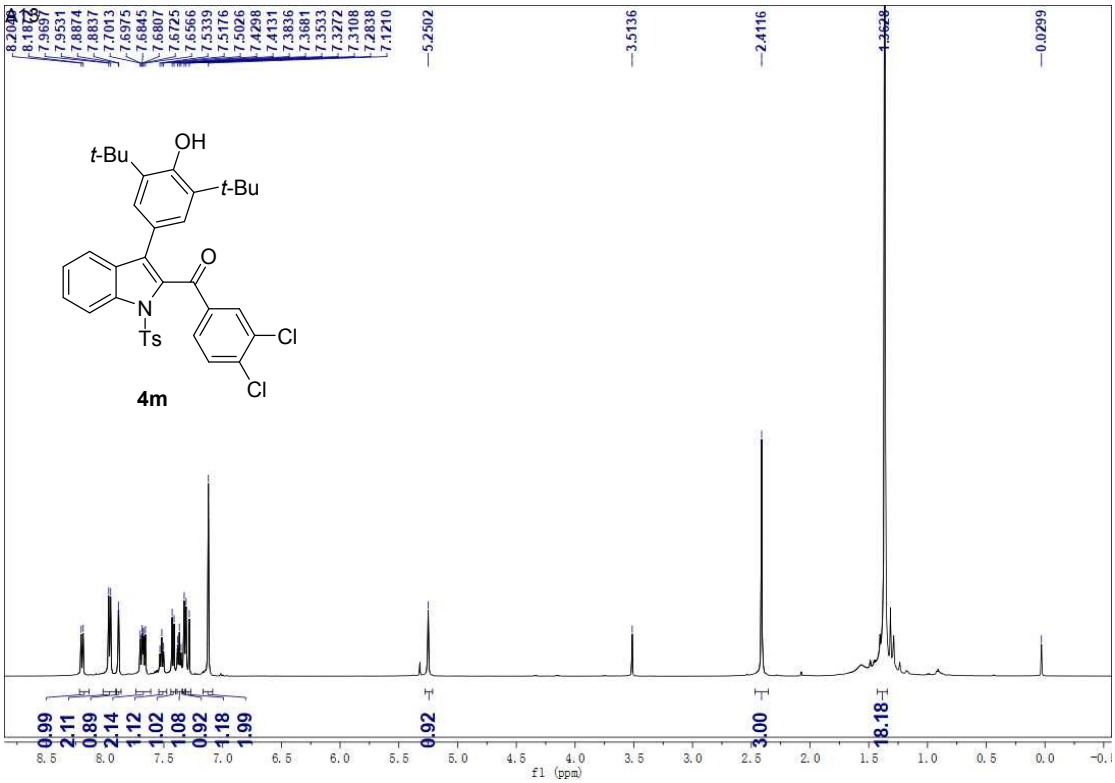
^{1}H NMR of **4l**



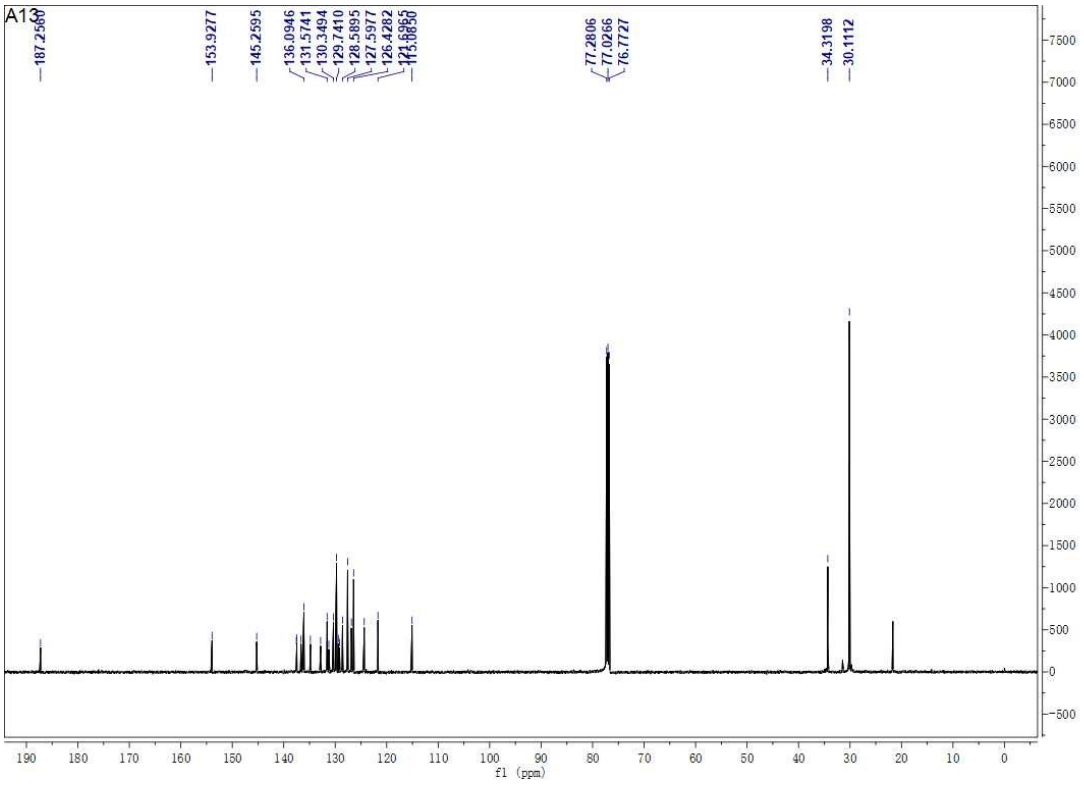
^{13}C NMR of **4l**



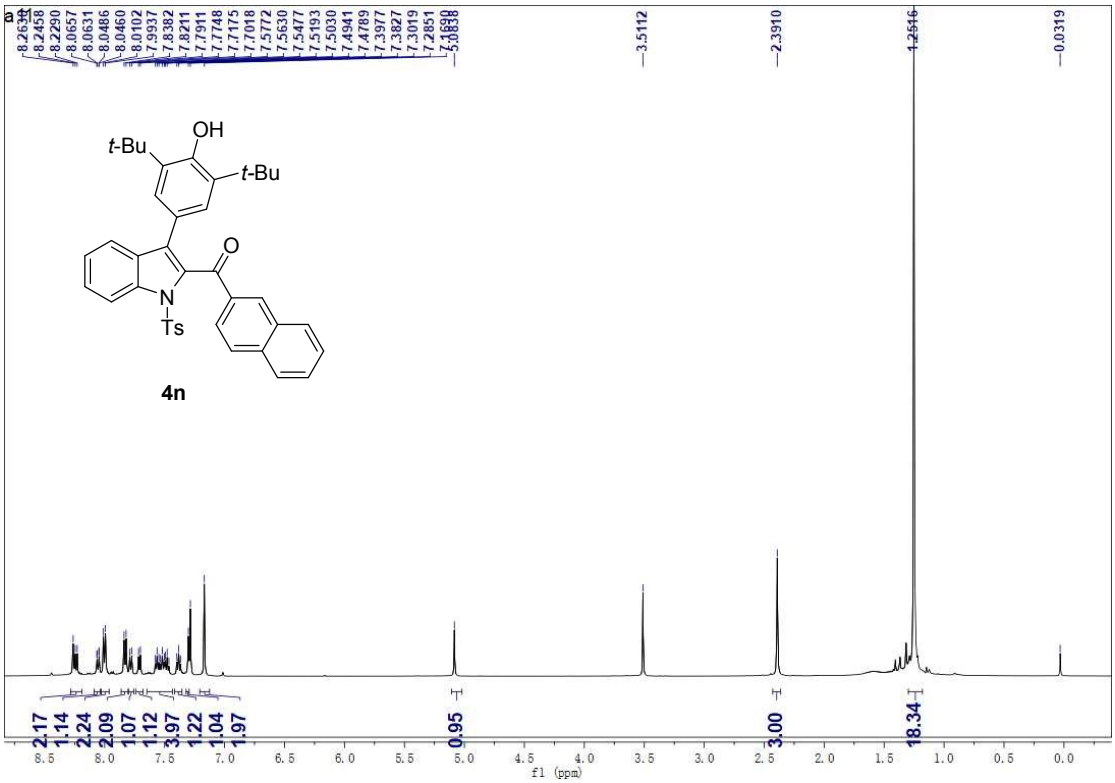
^{1}H NMR of **4m**



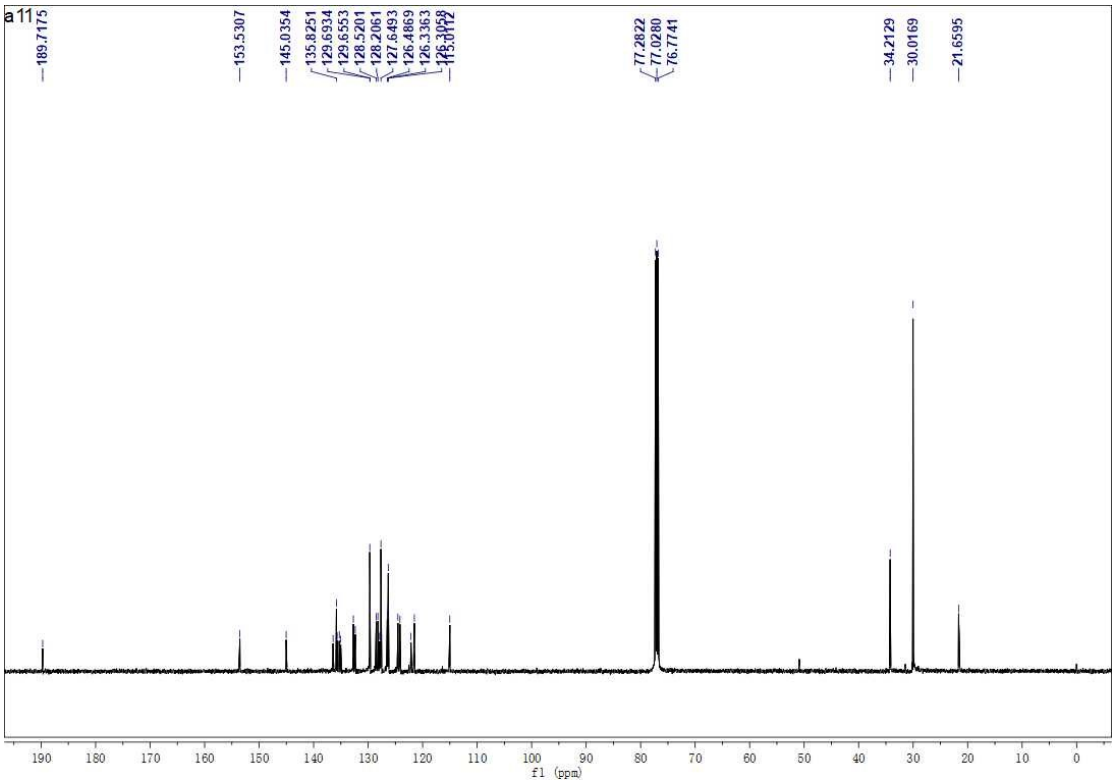
^{13}C NMR of **4m**



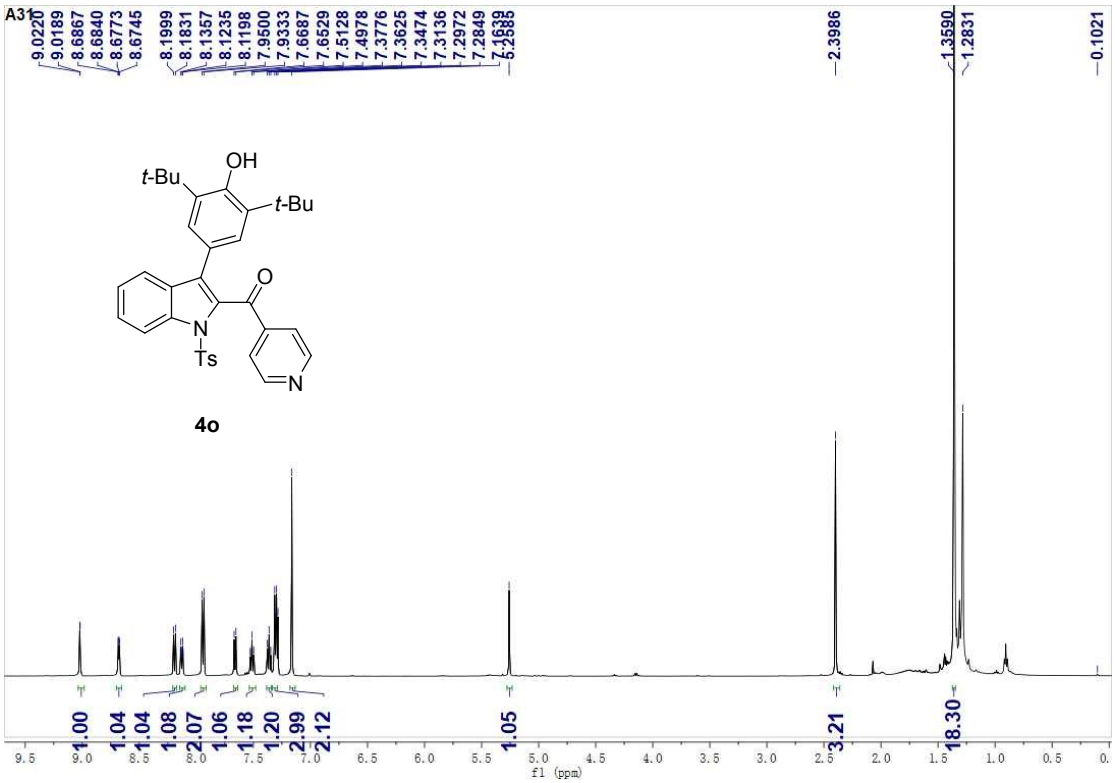
^{1}H NMR of **4n**



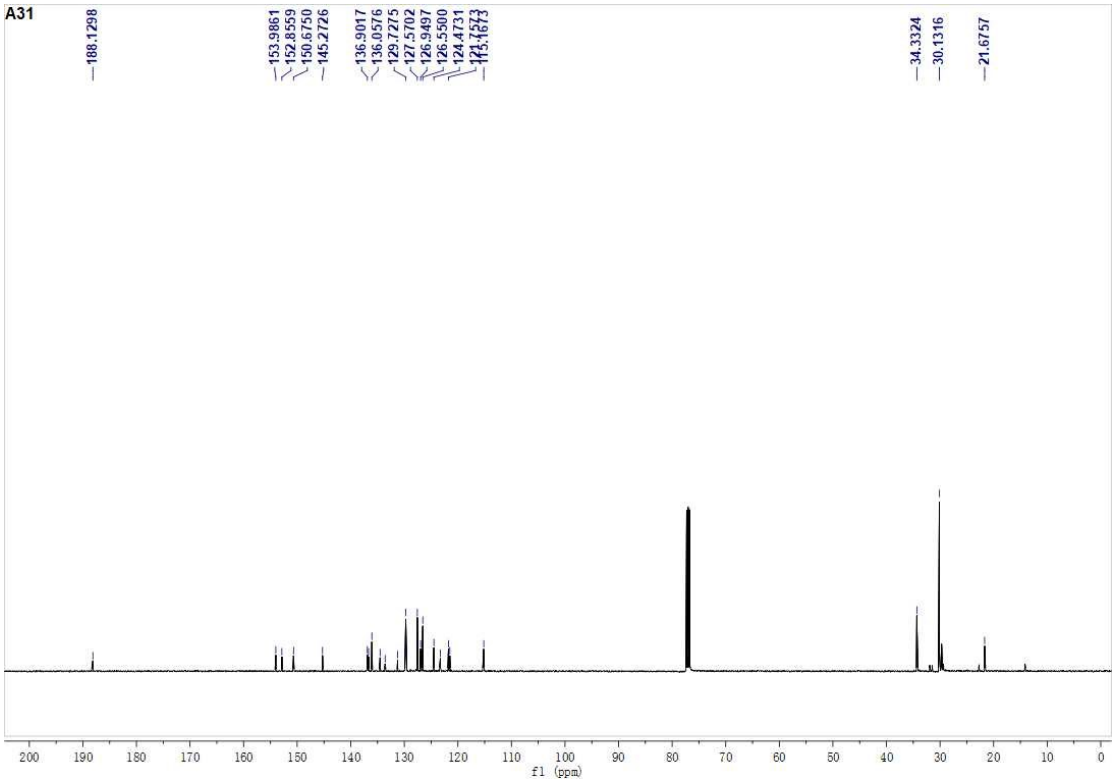
^{13}C NMR of **4n**



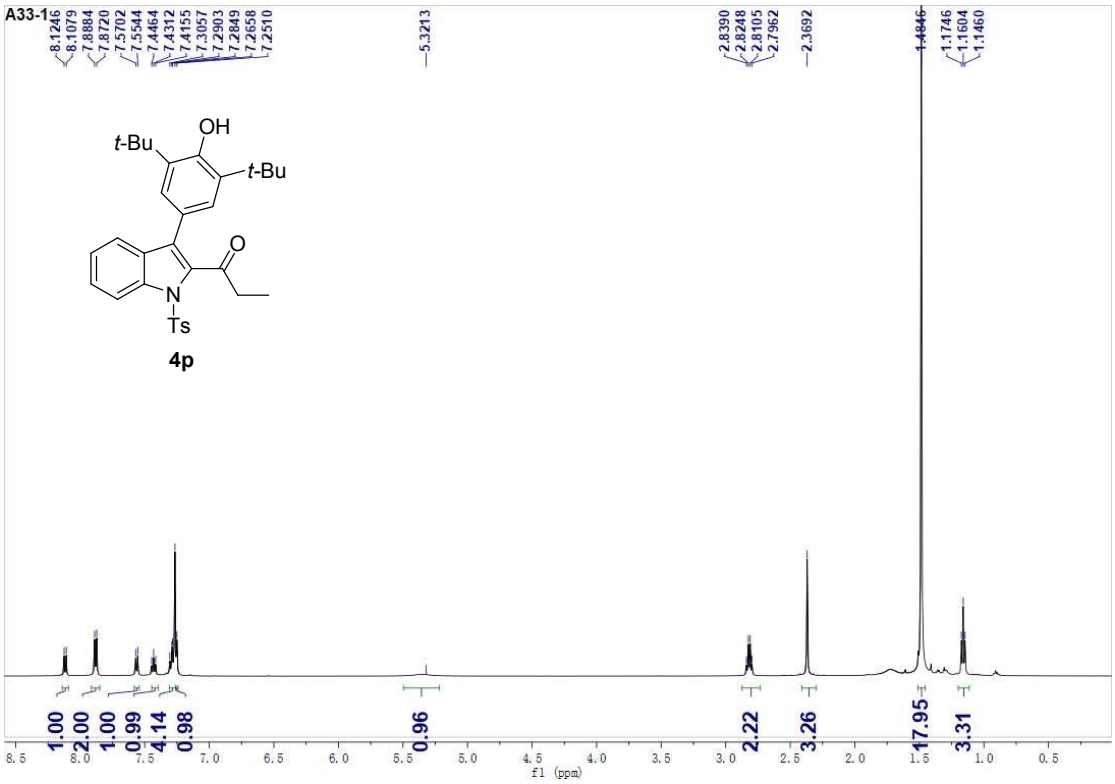
^{1}H NMR of **4o**



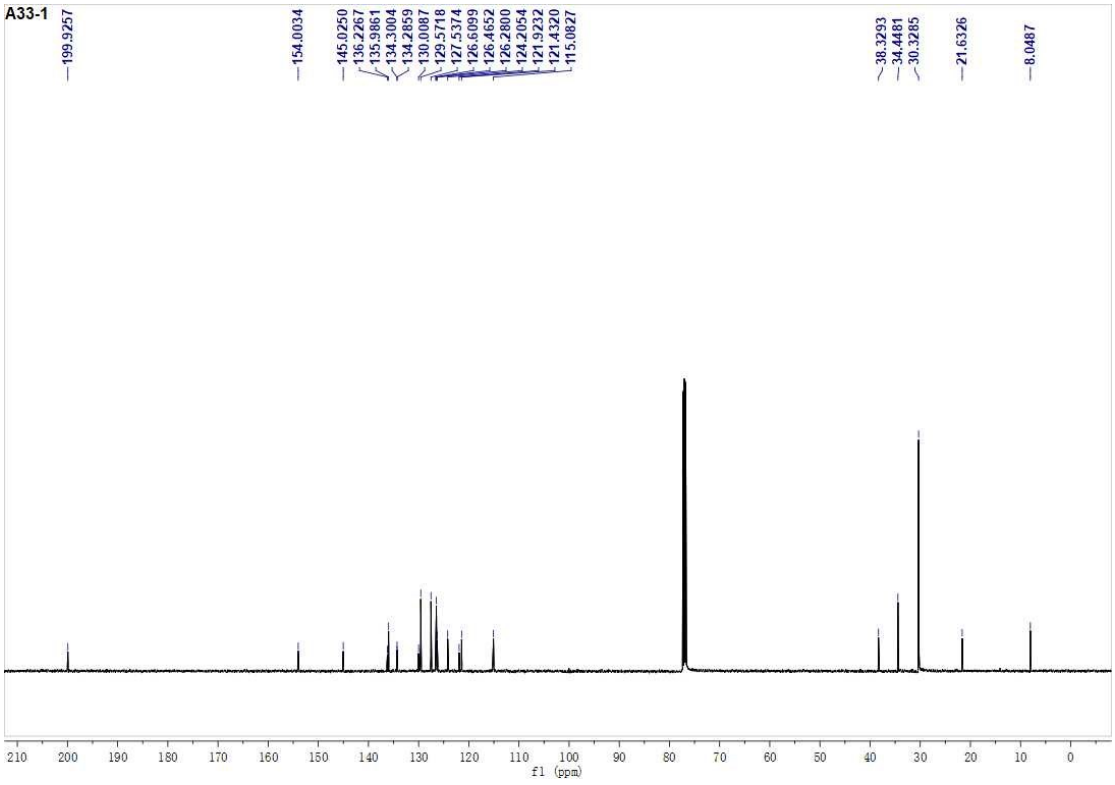
^{13}C NMR of **4o**



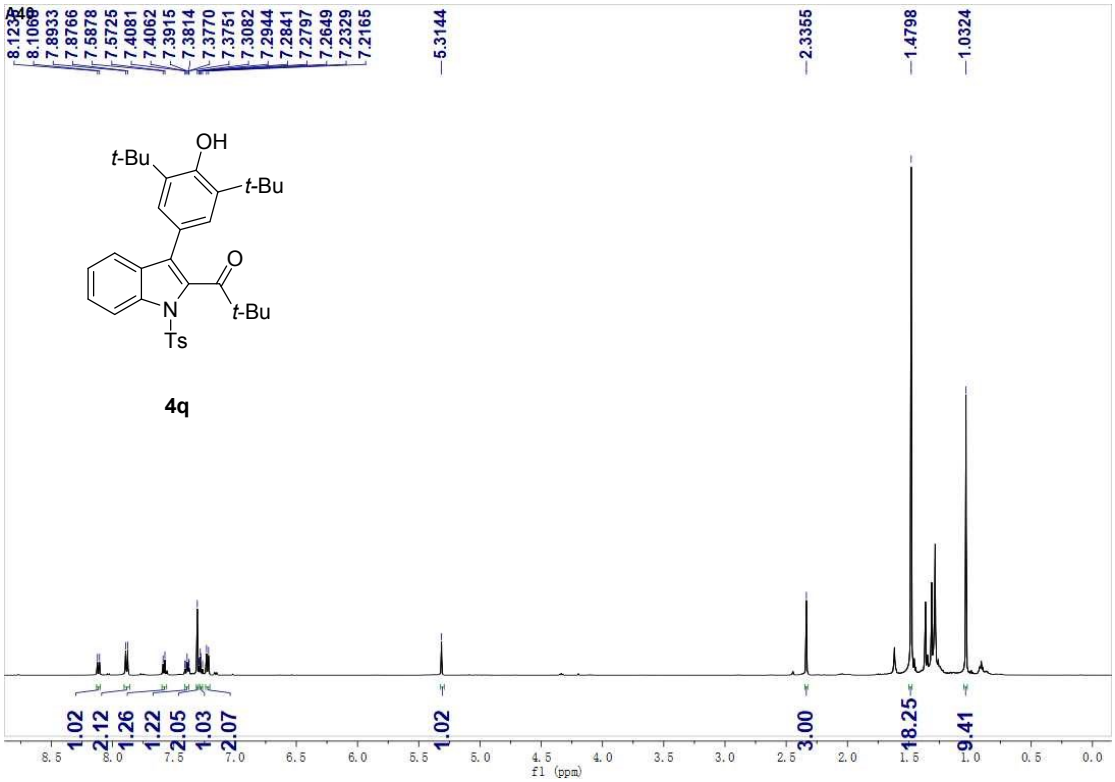
^{1}H NMR of **4p**



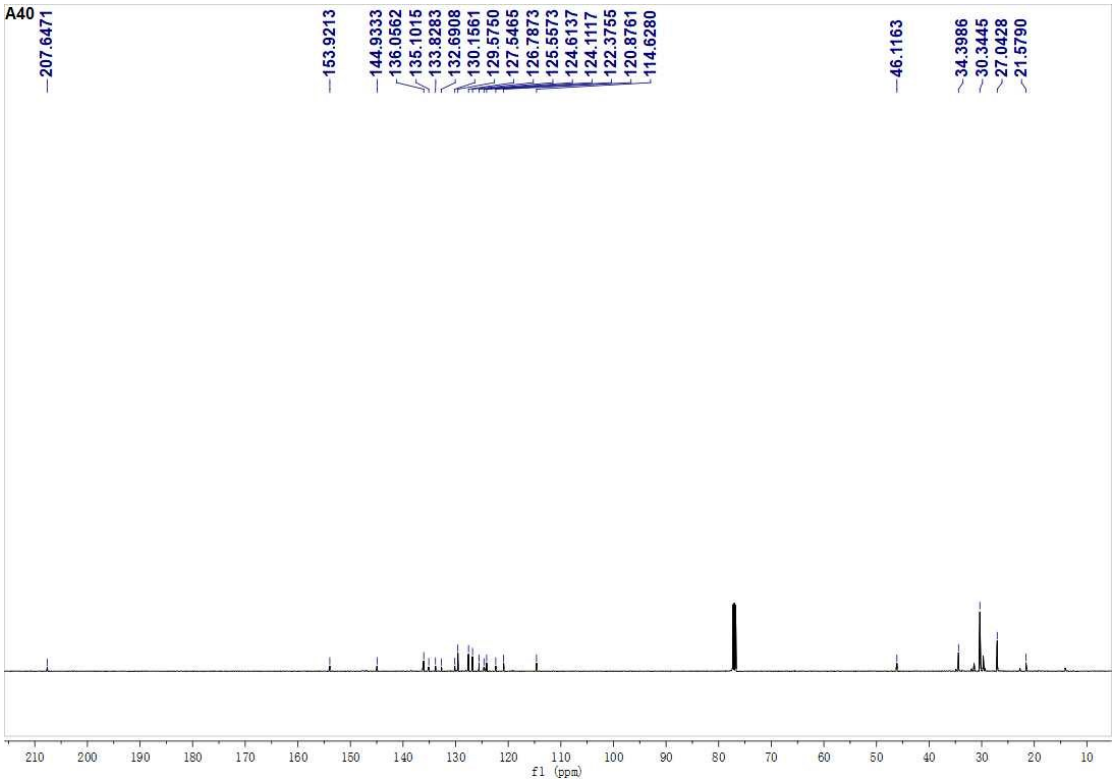
^{13}C NMR of **4p**



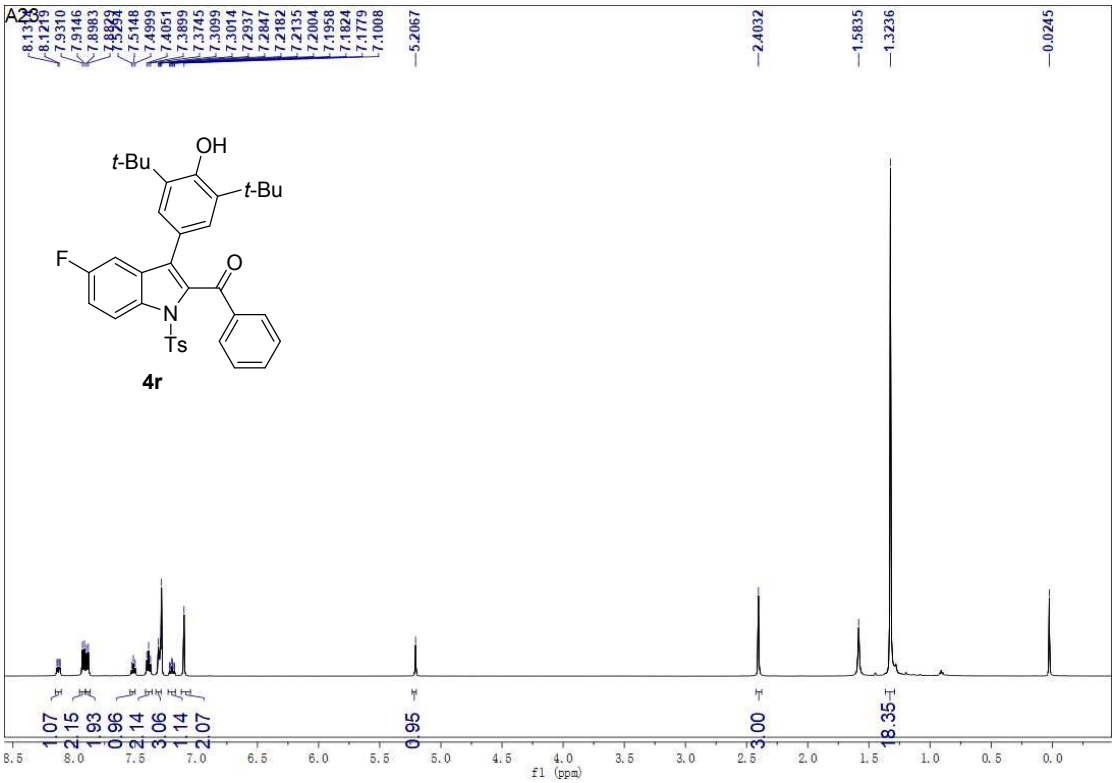
^{1}H NMR of **4q**



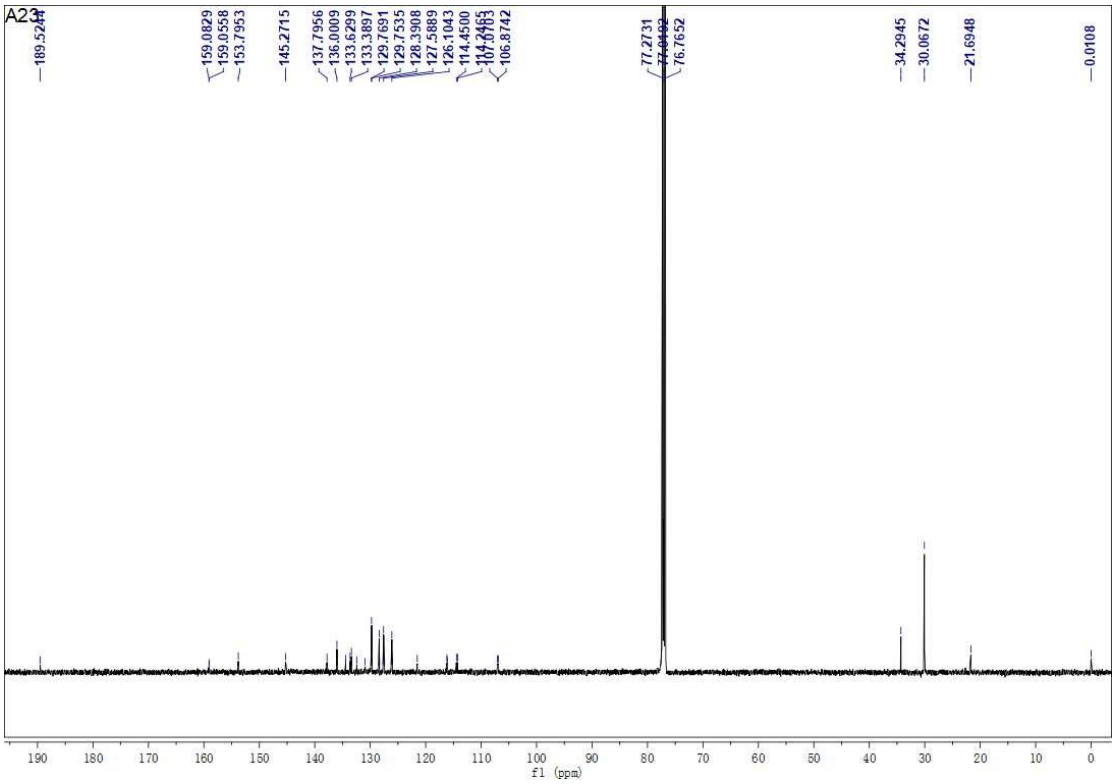
^{13}C NMR of **4q**



^{1}H NMR of **4r**



^{13}C NMR of **4r**



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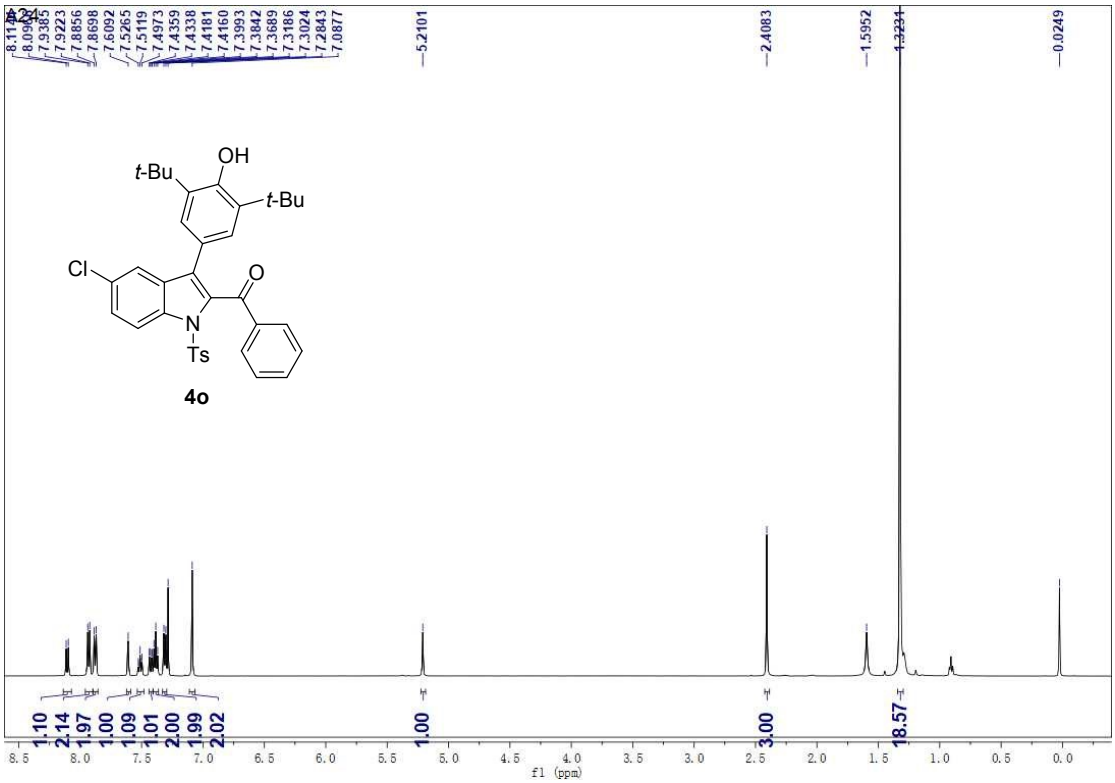

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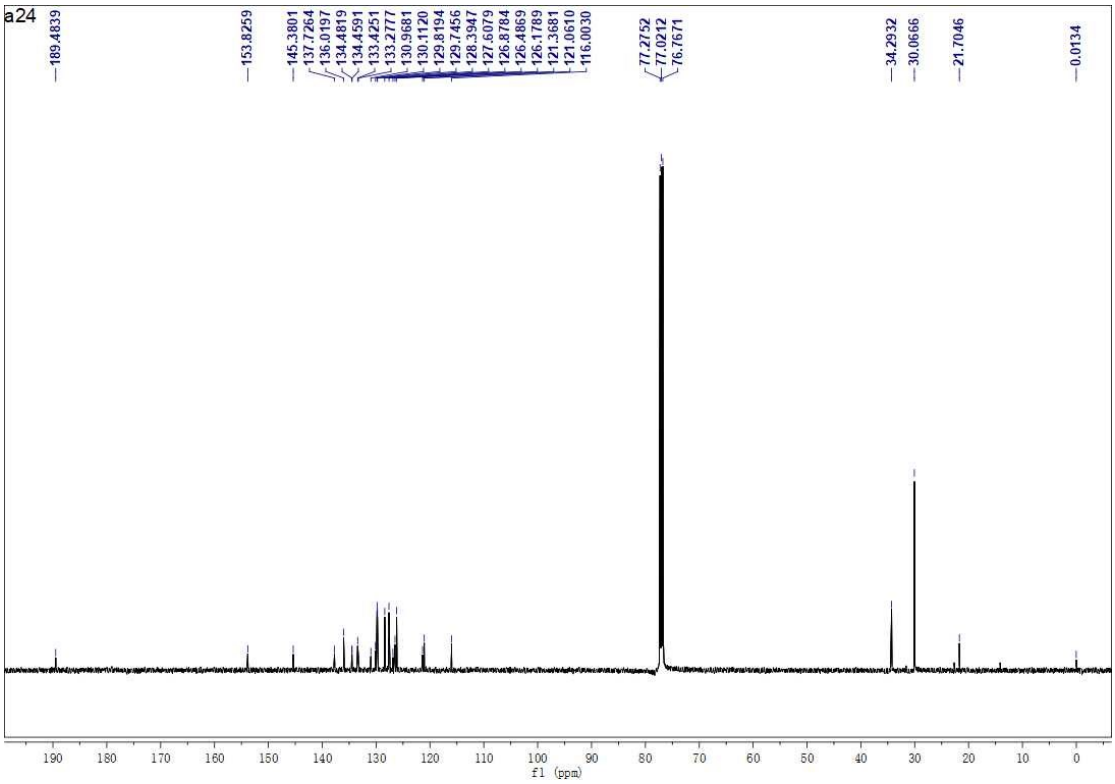
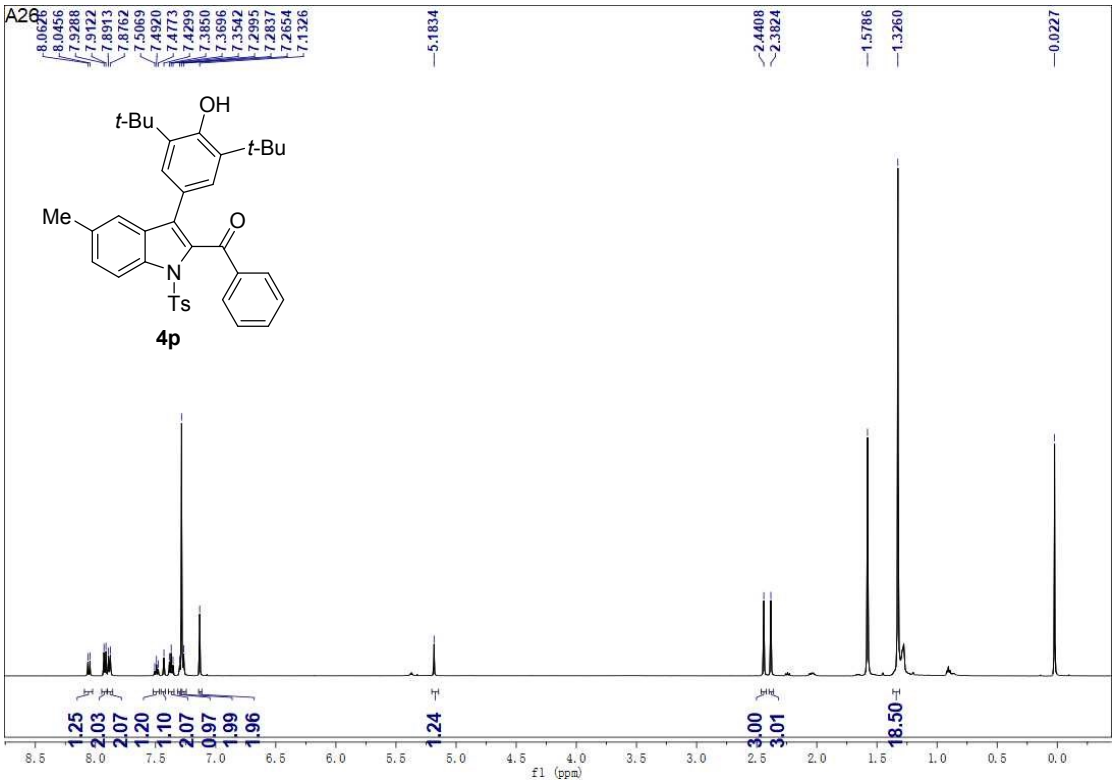


^{1}H NMR of **4t**



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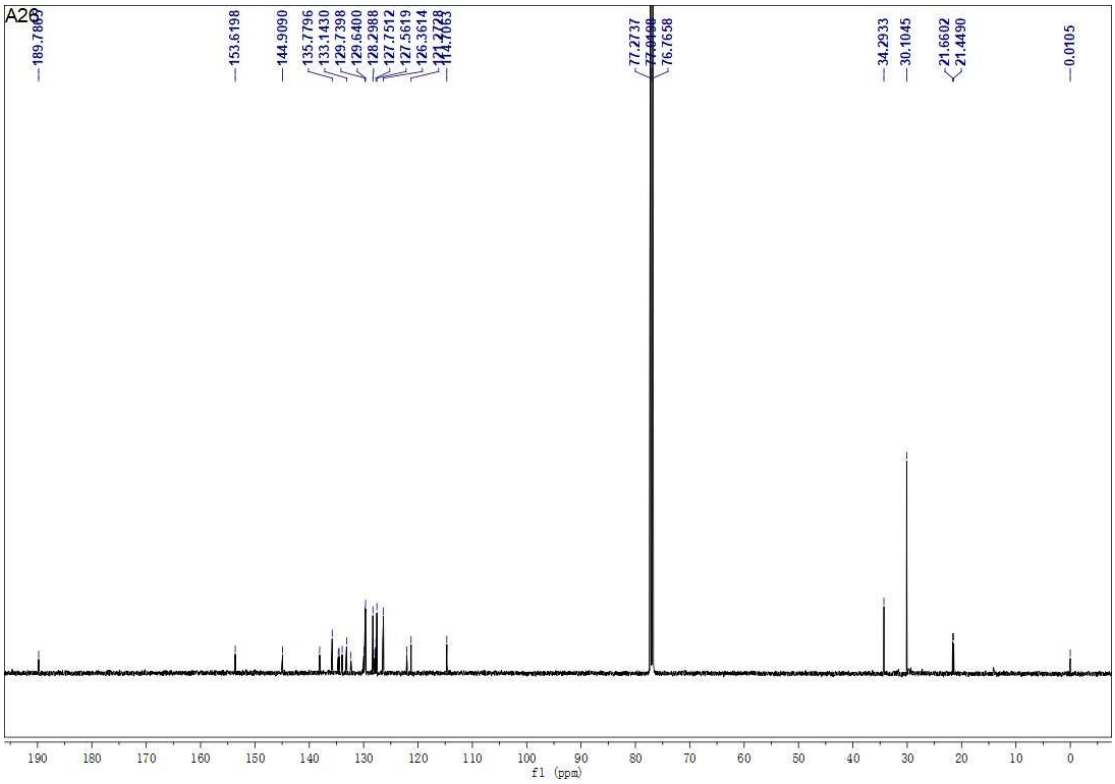
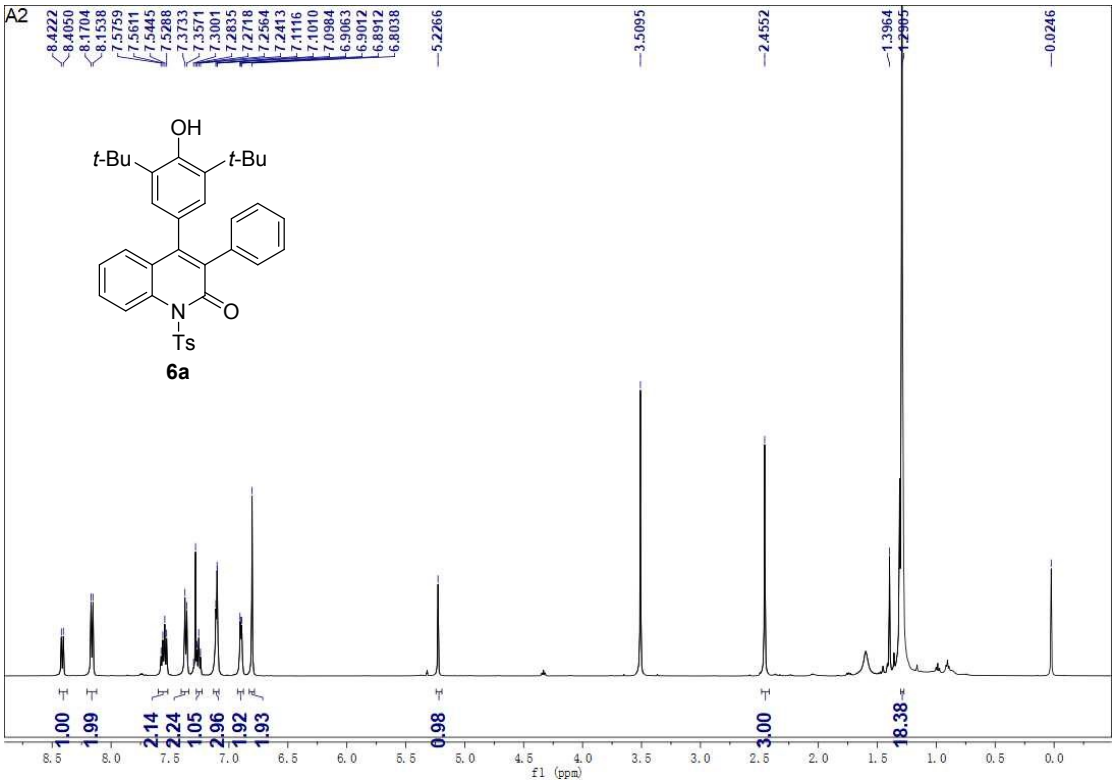
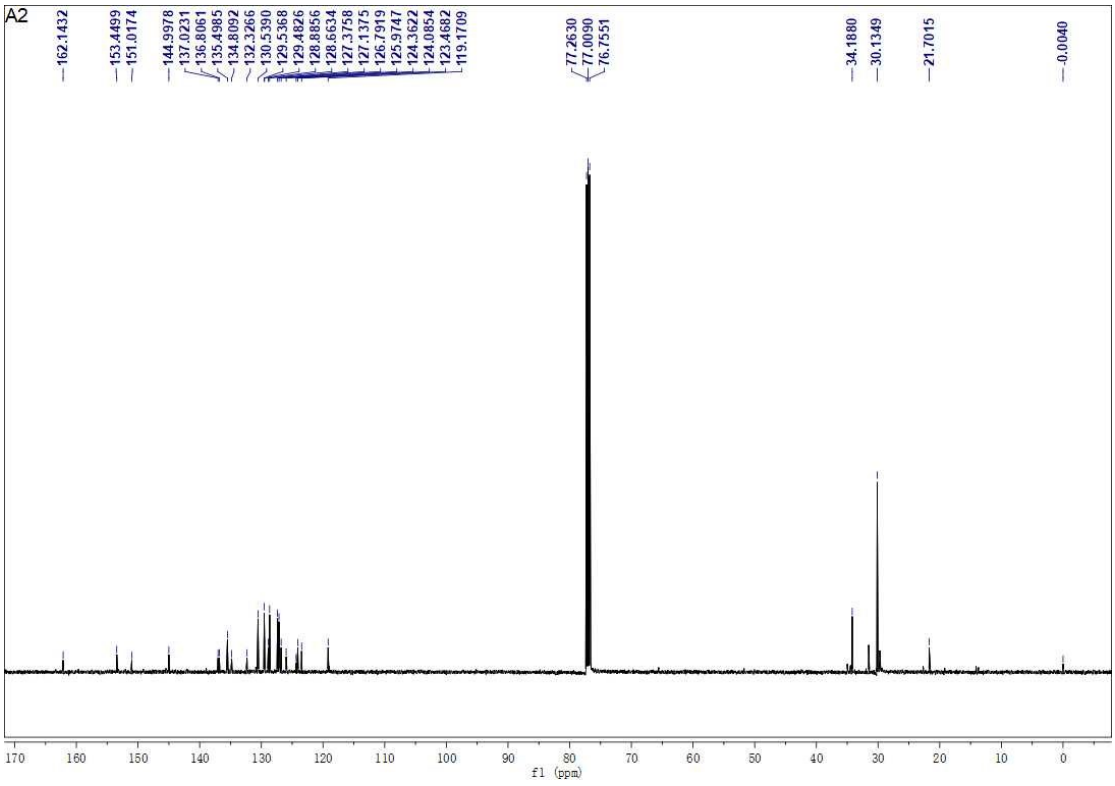


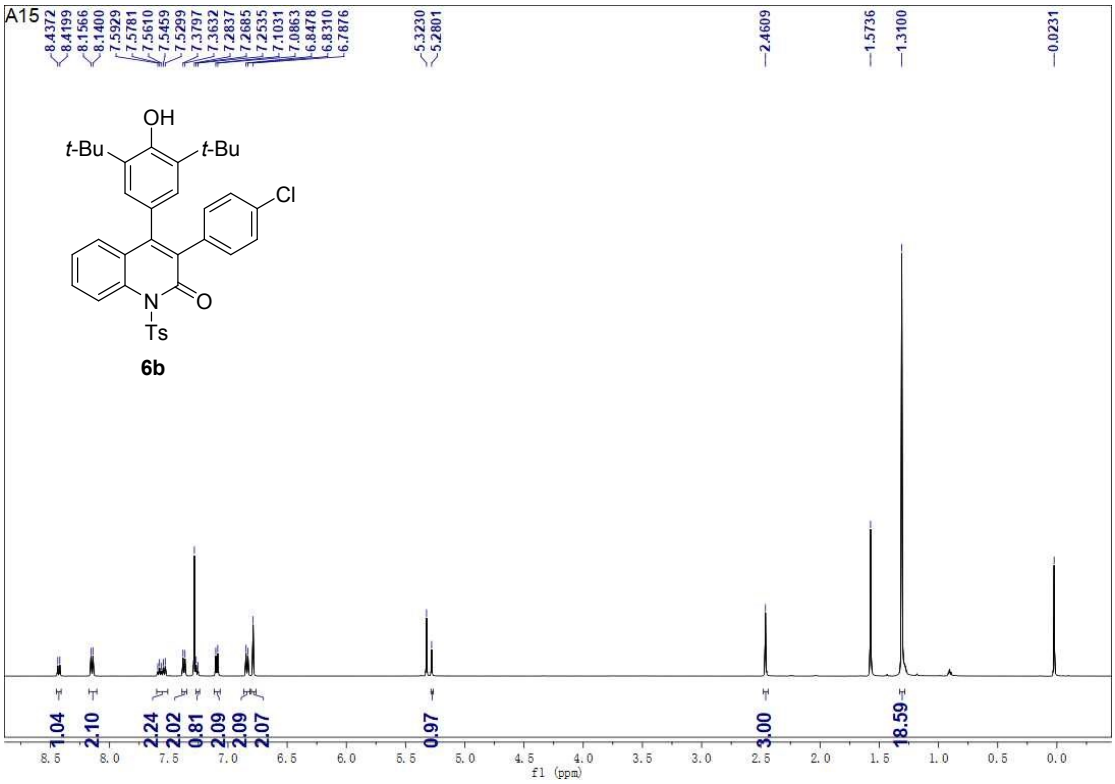
^{1}H NMR of **6a**



^{13}C NMR of **6a**



^{1}H NMR of **6b**



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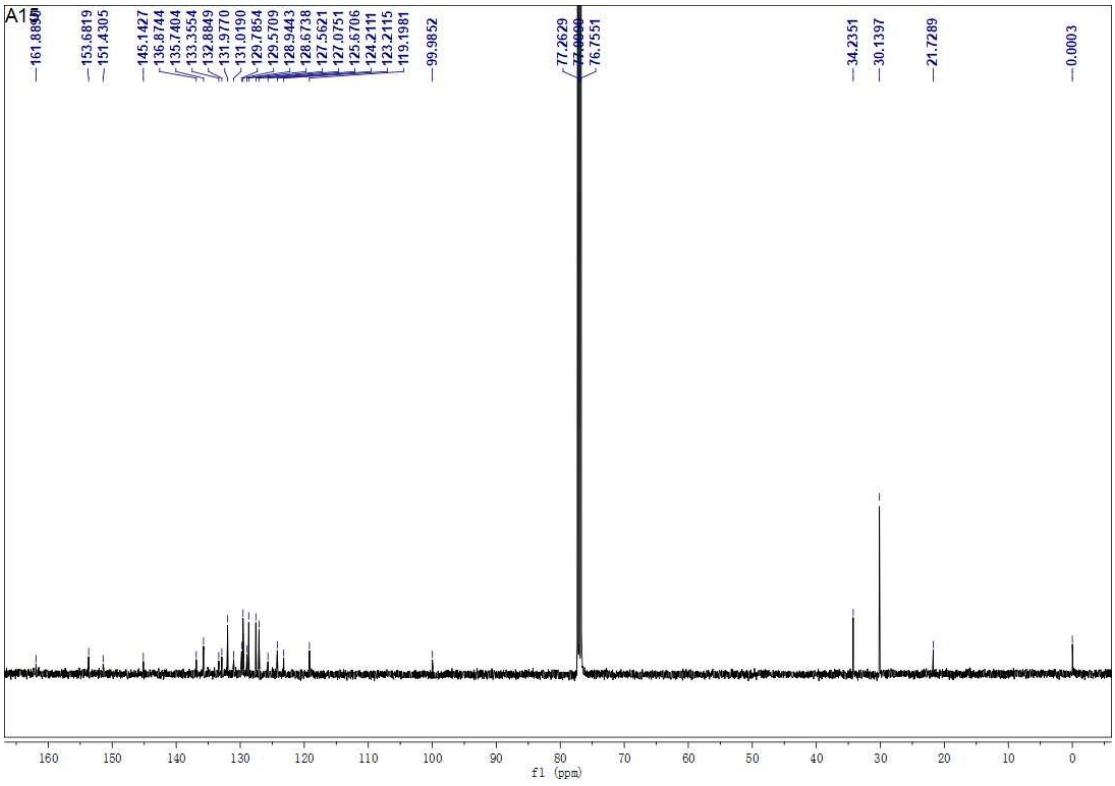
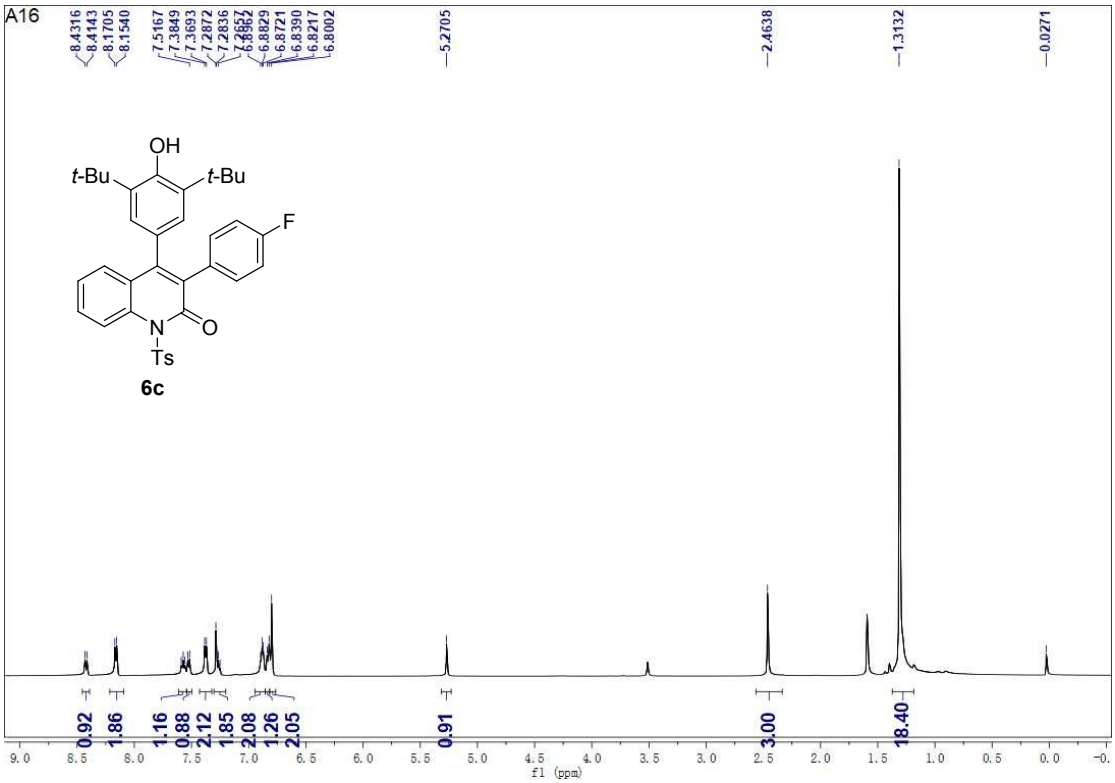


^{1}H NMR of **6c**



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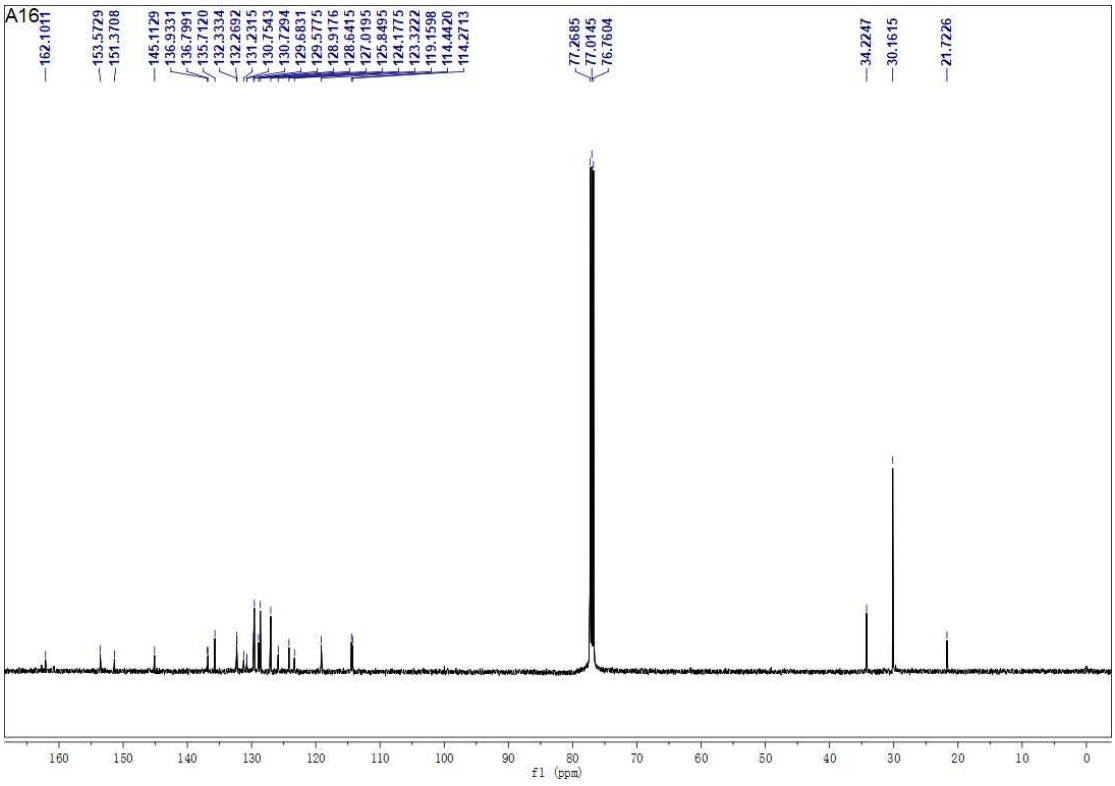
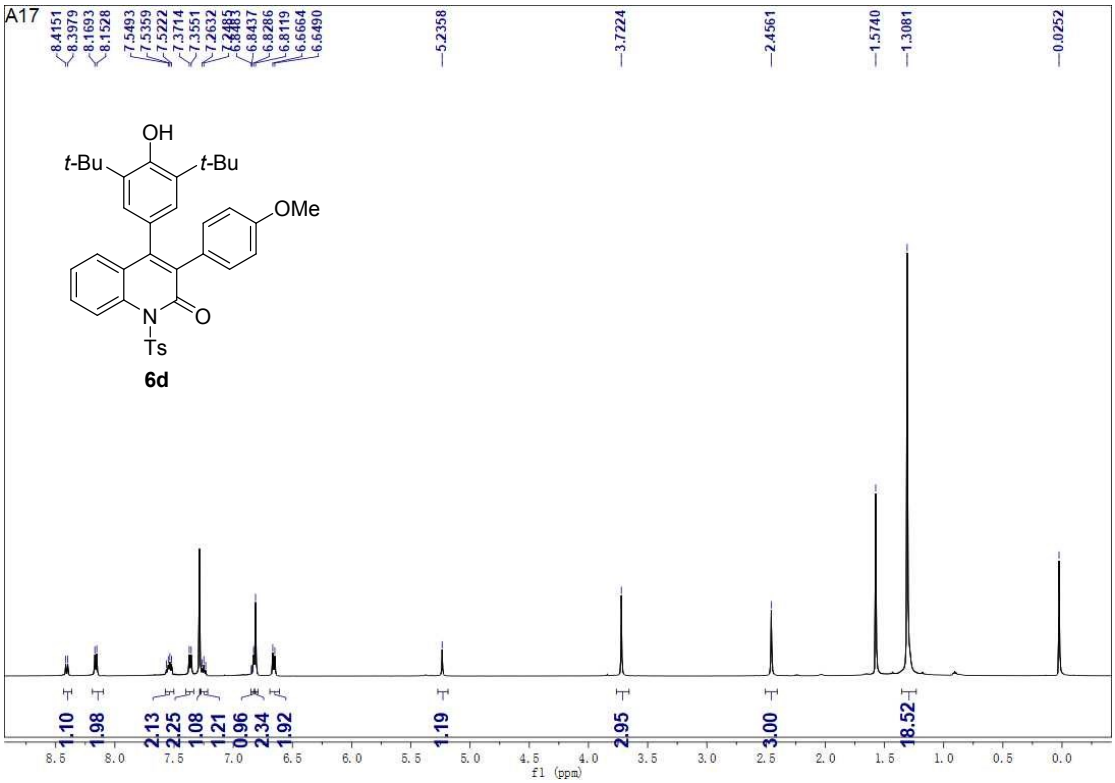
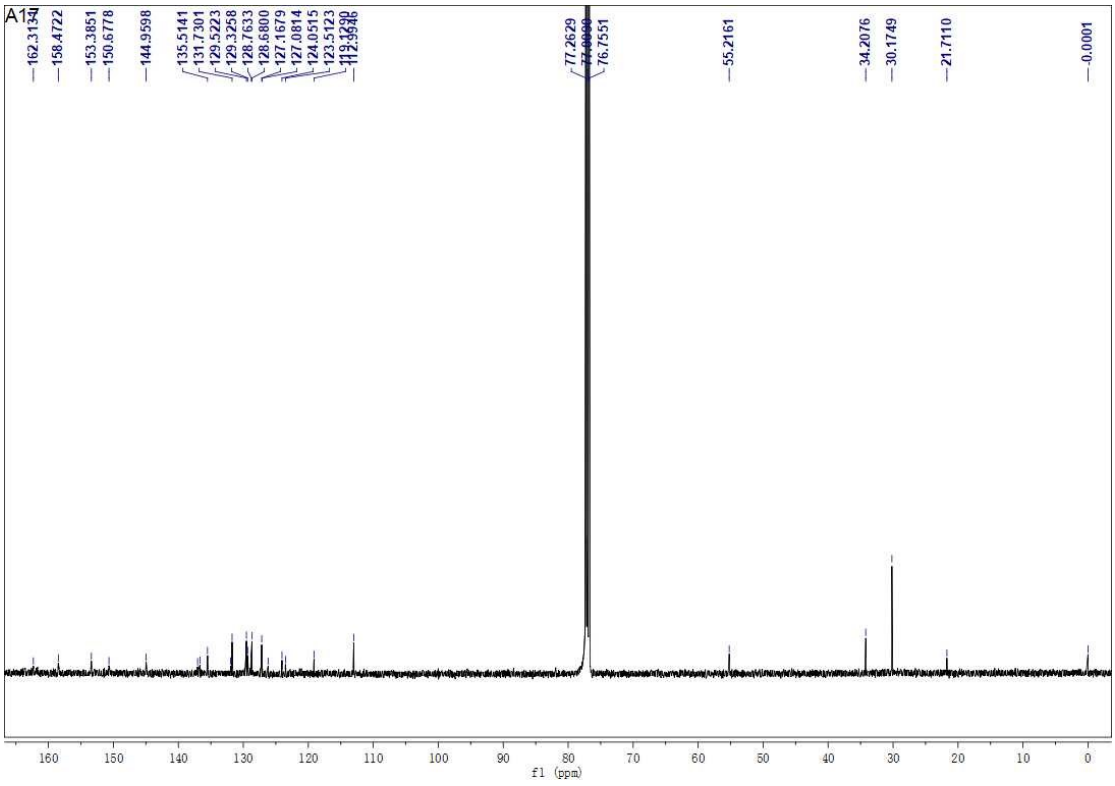


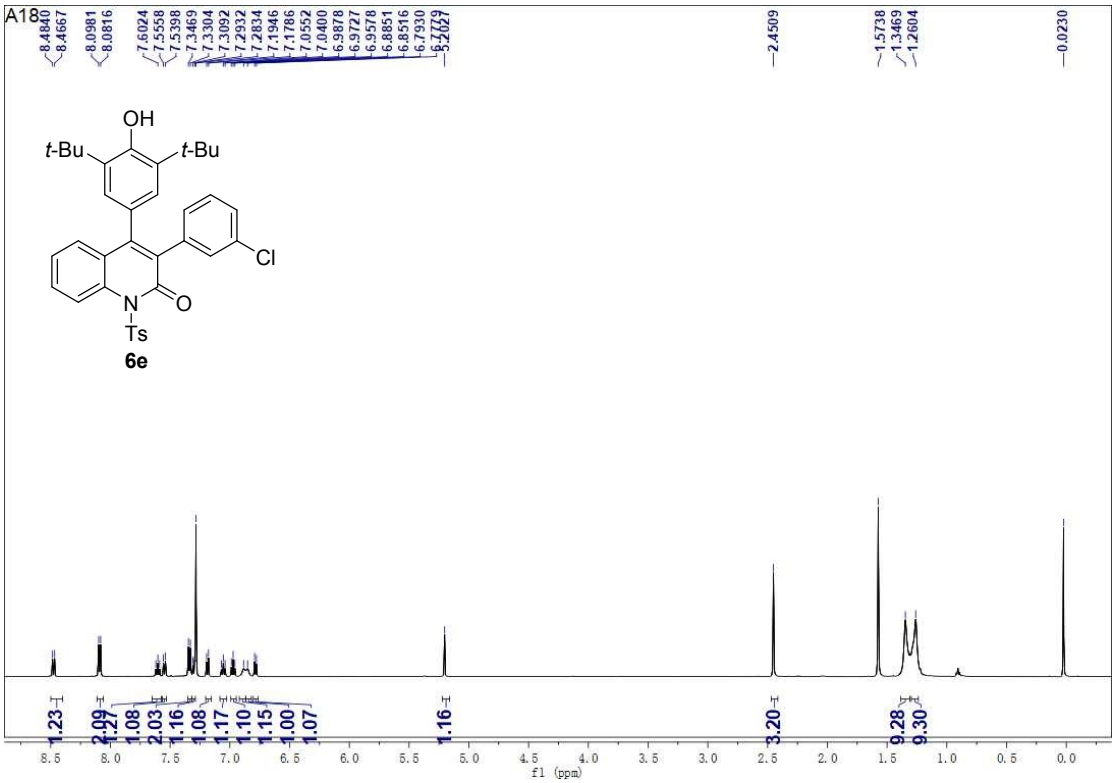
^{1}H NMR of **6d**



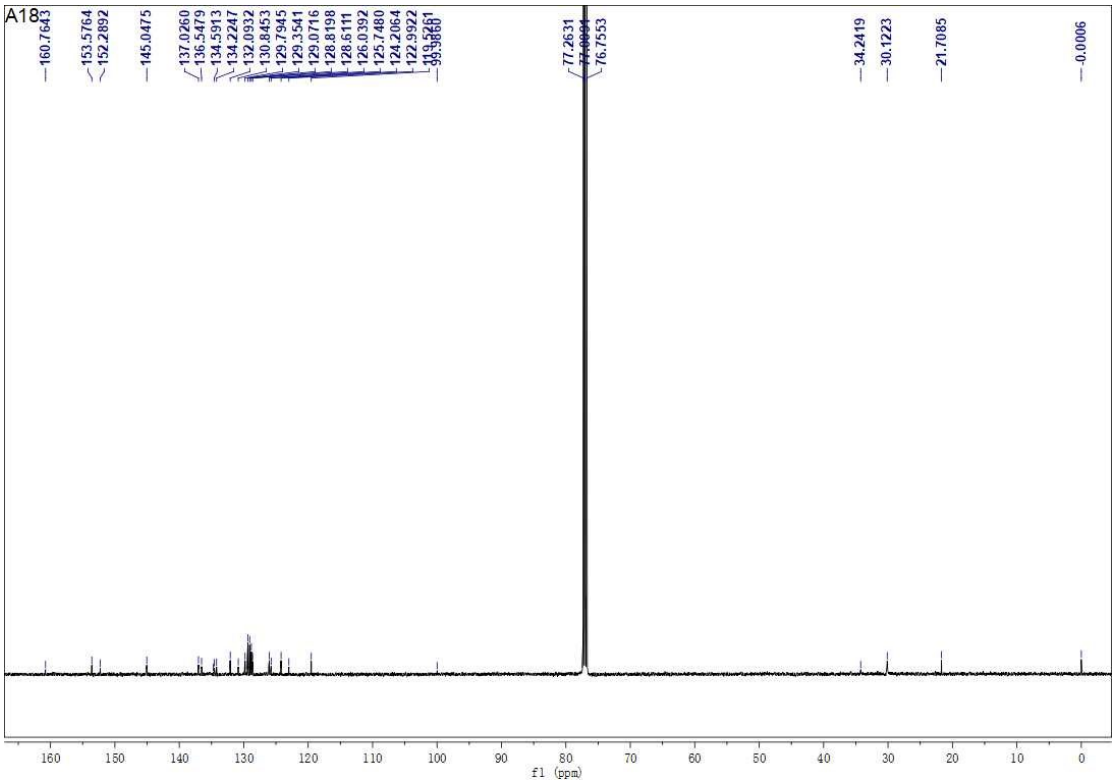
^{13}C NMR of **6d**



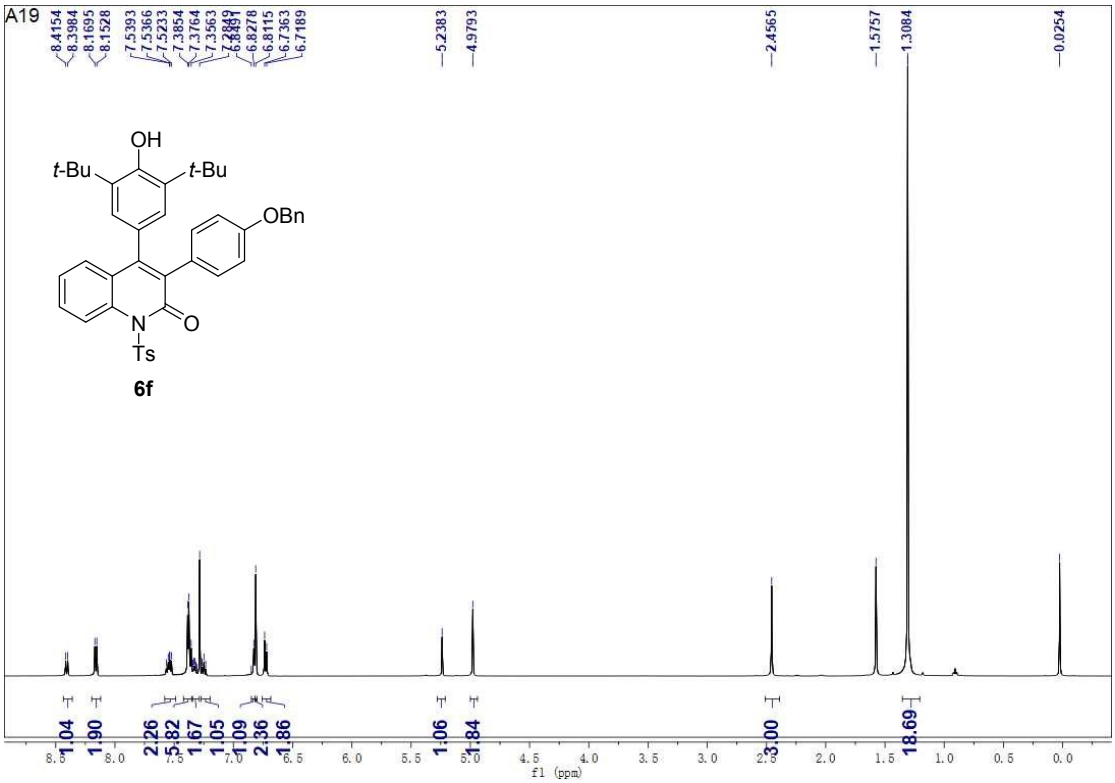
^{1}H NMR of **6e**



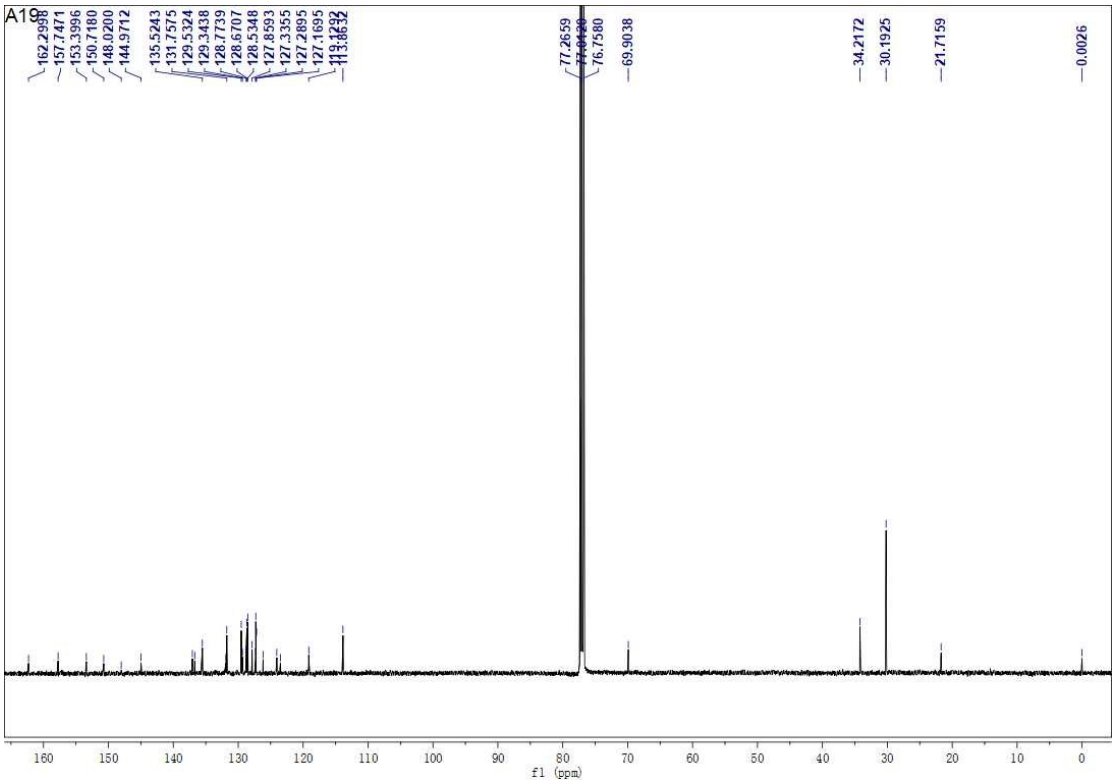
^{13}C NMR of **6e**



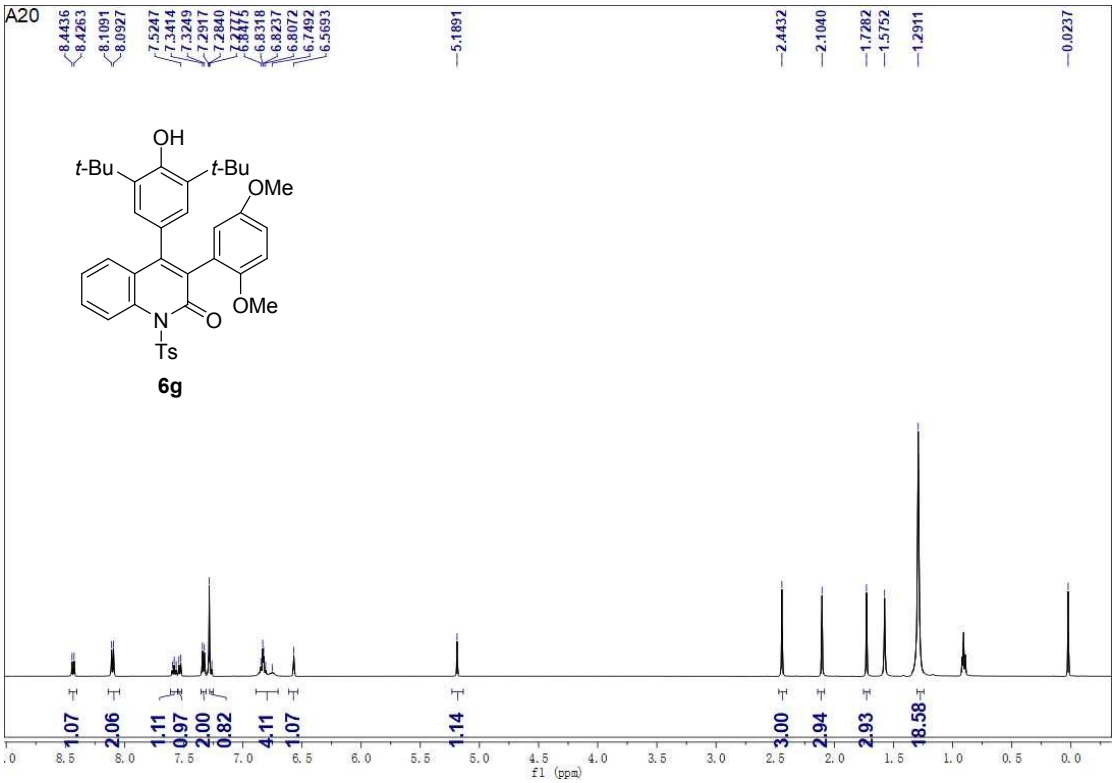
^{1}H NMR of **6f**



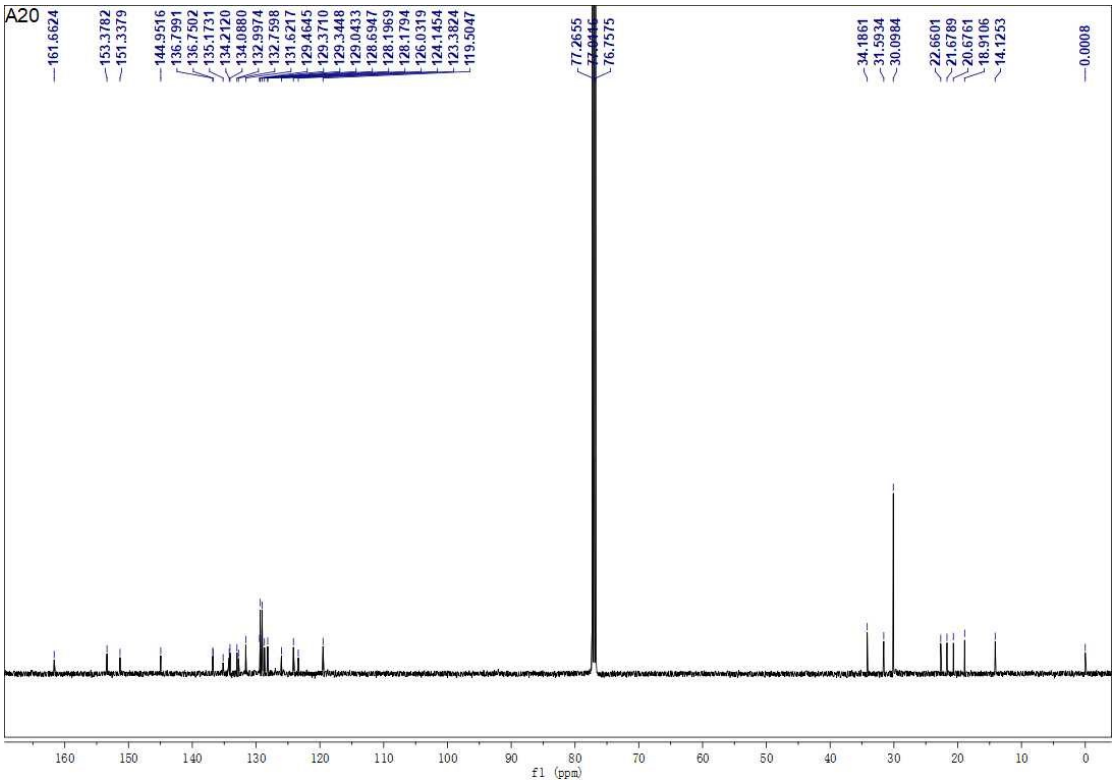
^{13}C NMR of **6f**



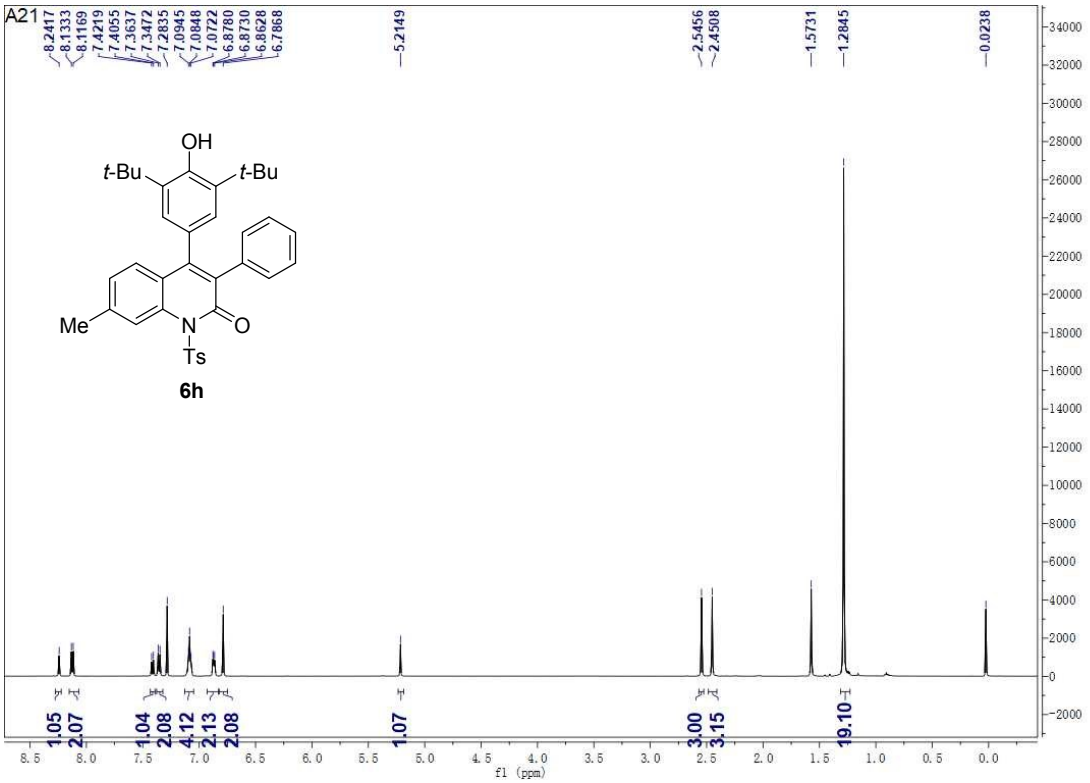
^{1}H NMR of **6g**



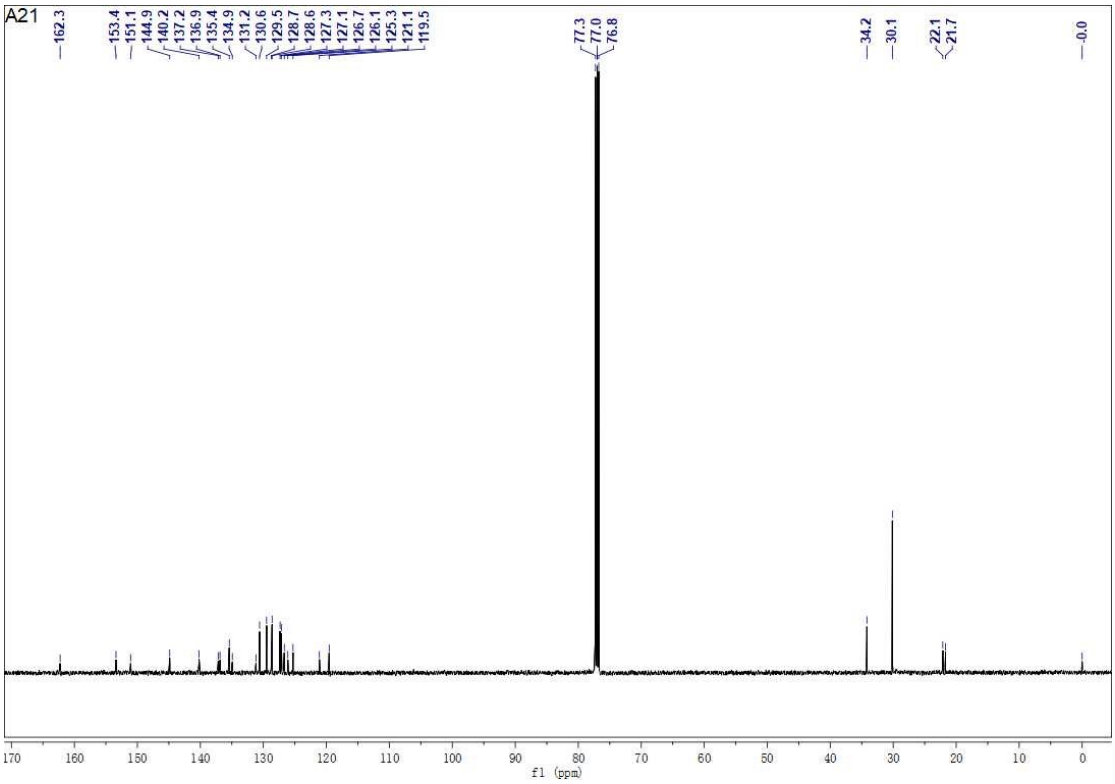
^{13}C NMR of **6g**



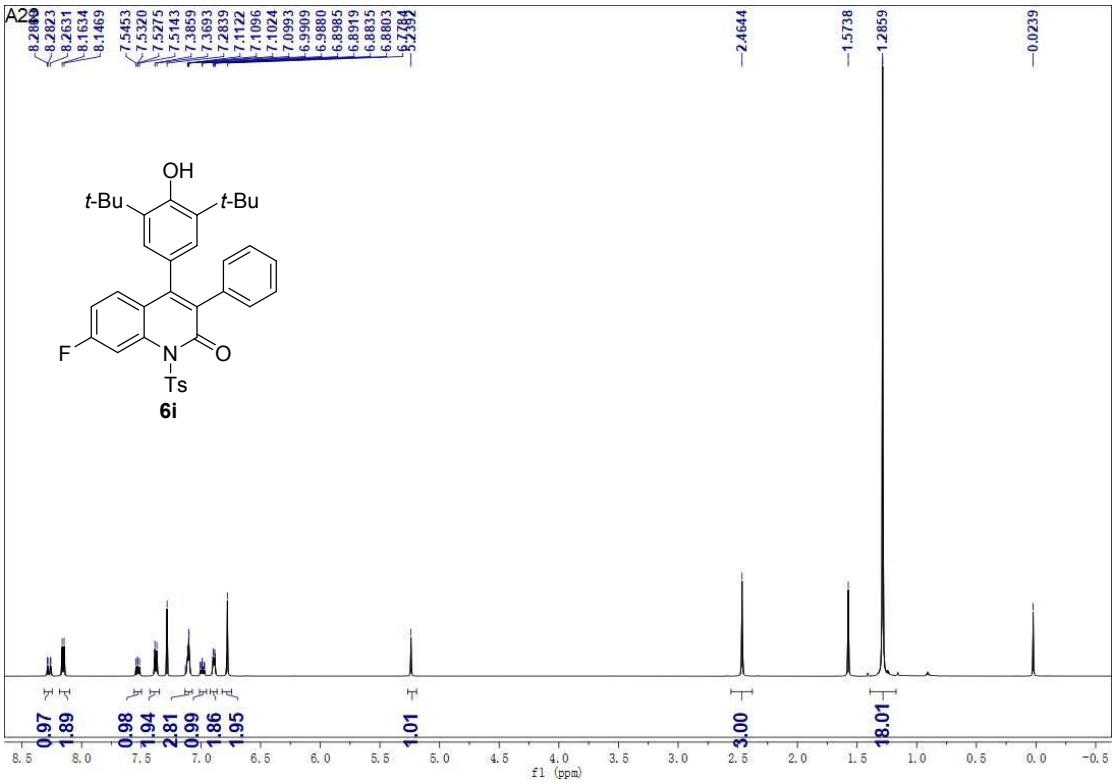
^{1}H NMR of **6h**



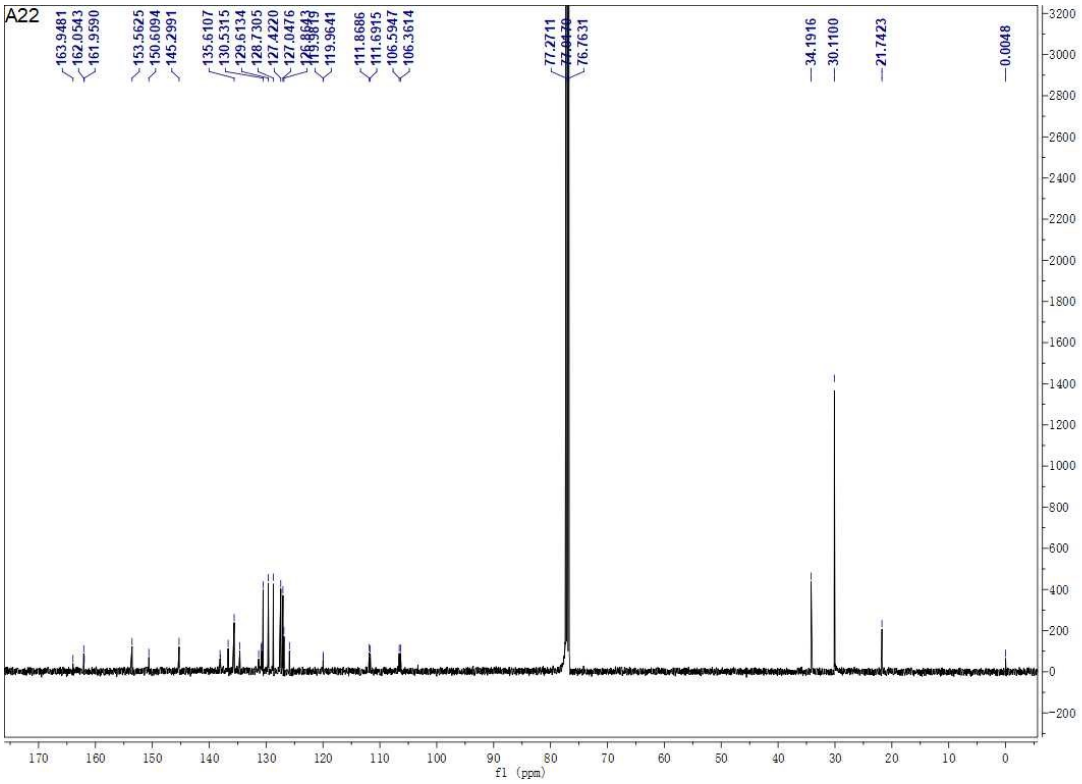
^{13}C NMR of **6h**



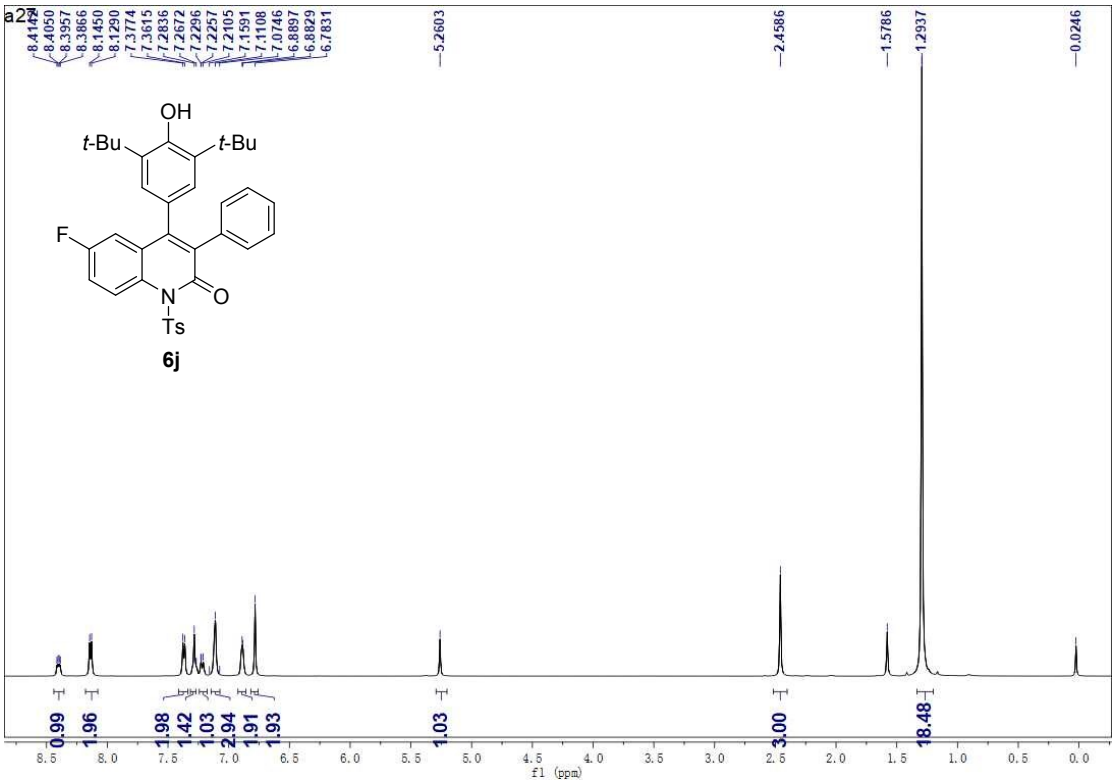
^{1}H NMR of **6i**



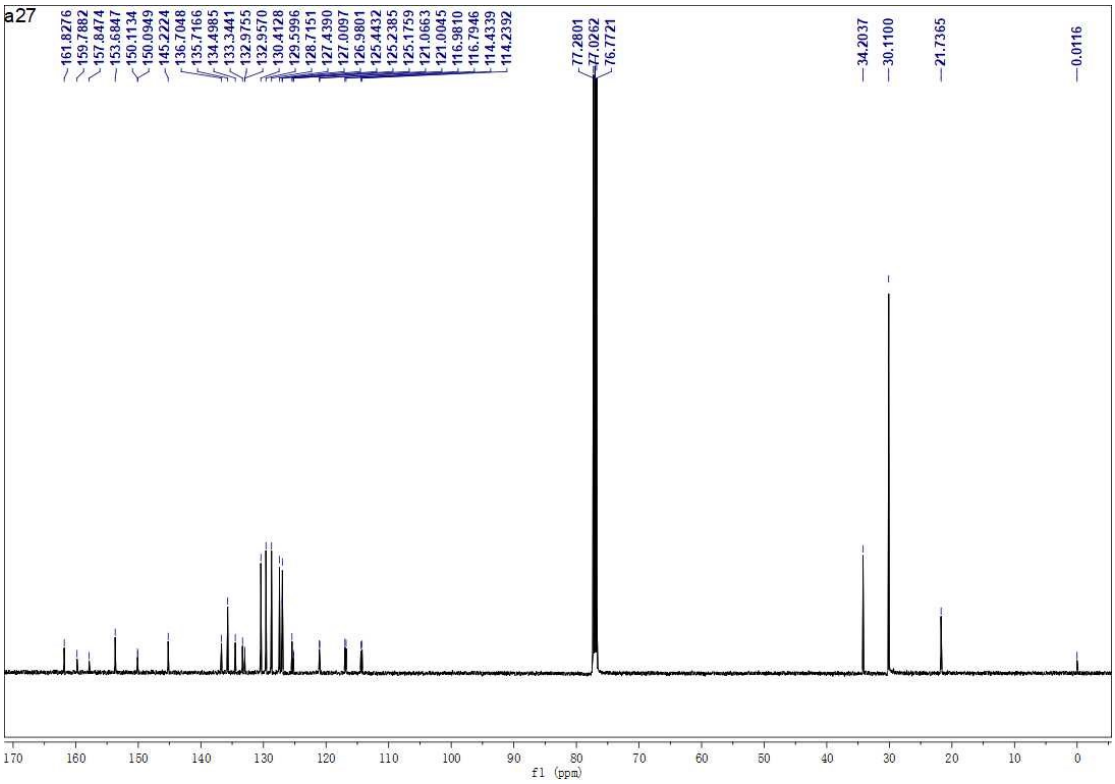
^{13}C NMR of **6i**



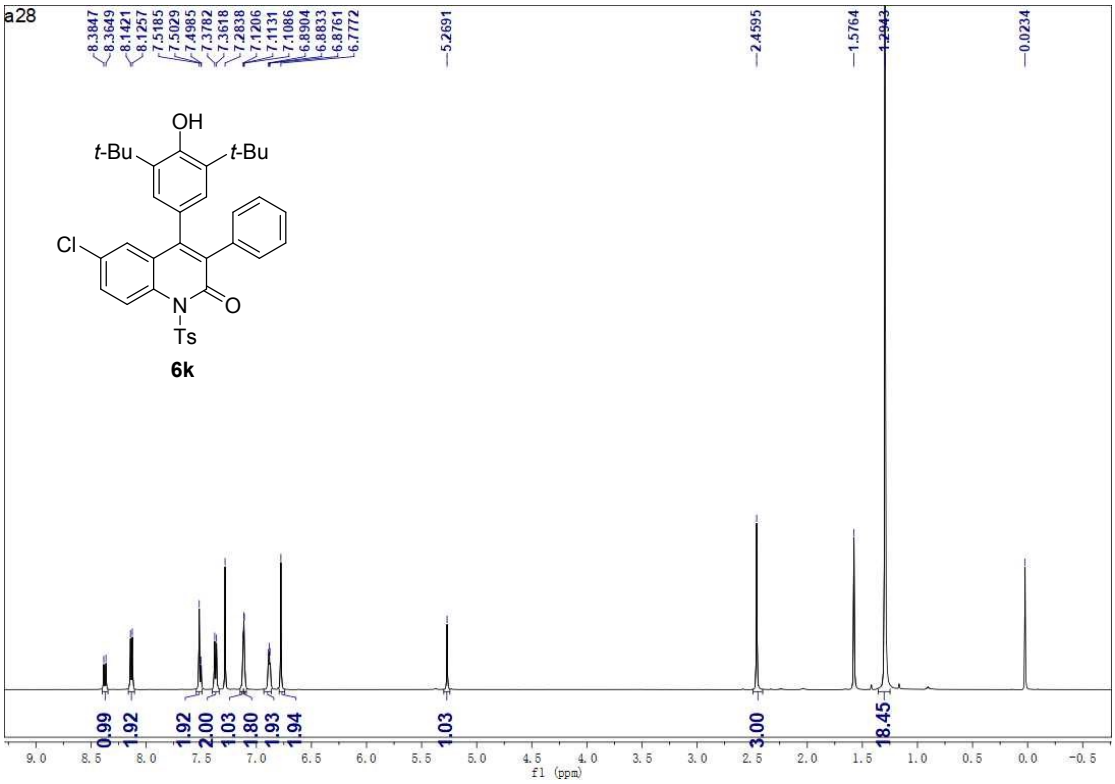
^{1}H NMR of **6j**



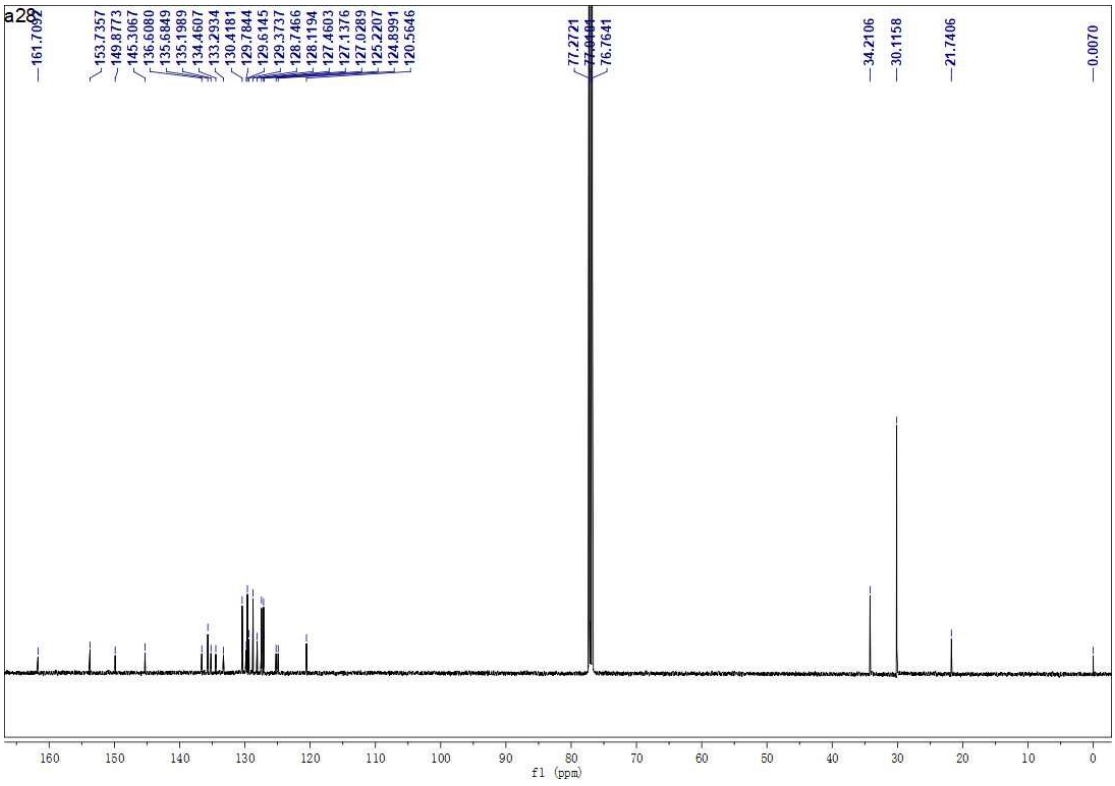
^{13}C NMR of **6j**



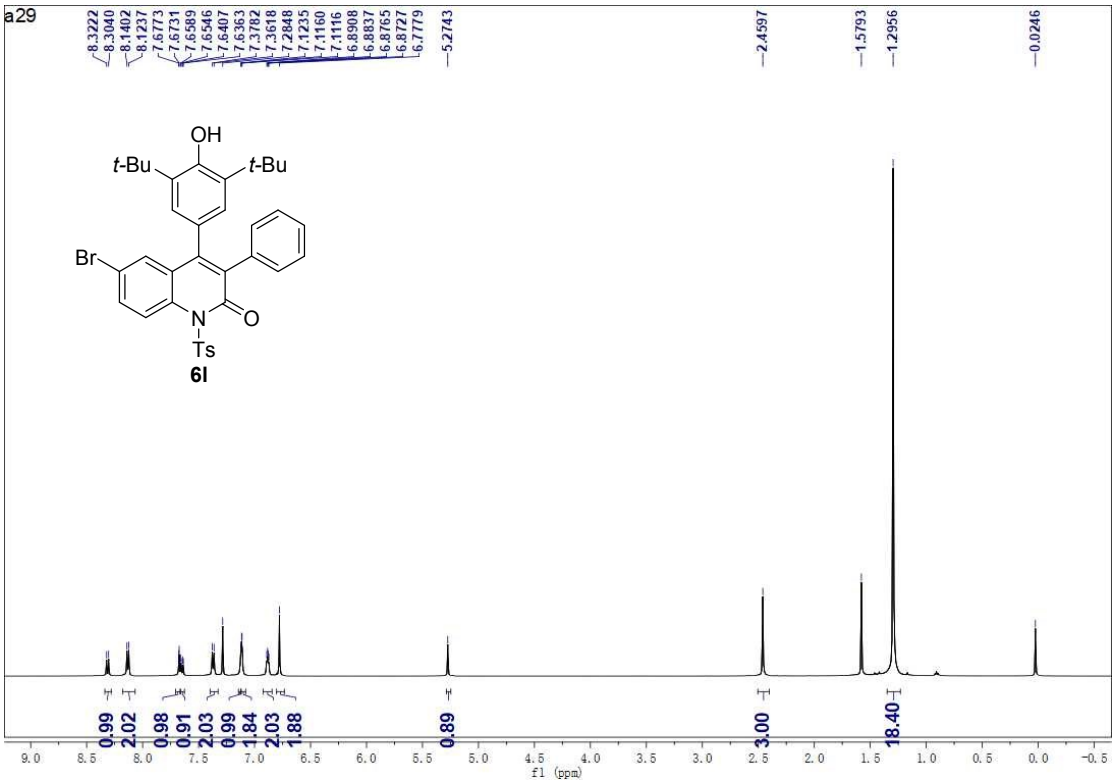
^{1}H NMR of **6k**



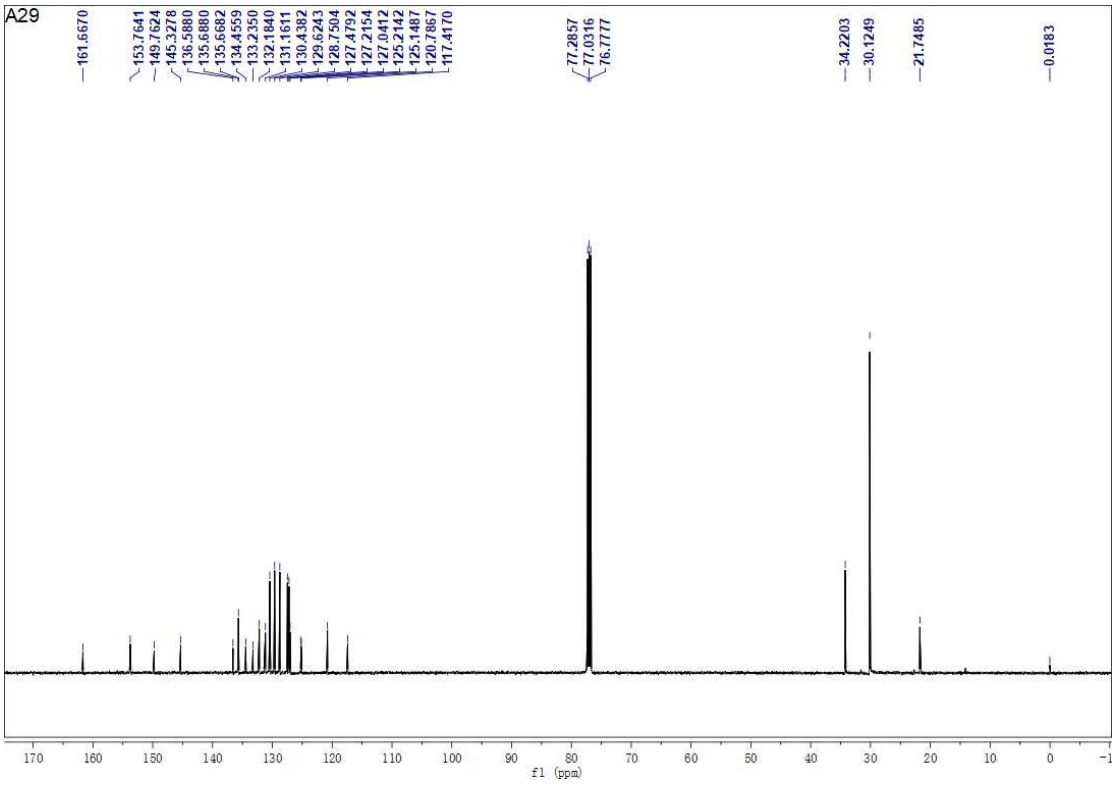
^{13}C NMR of **6k**



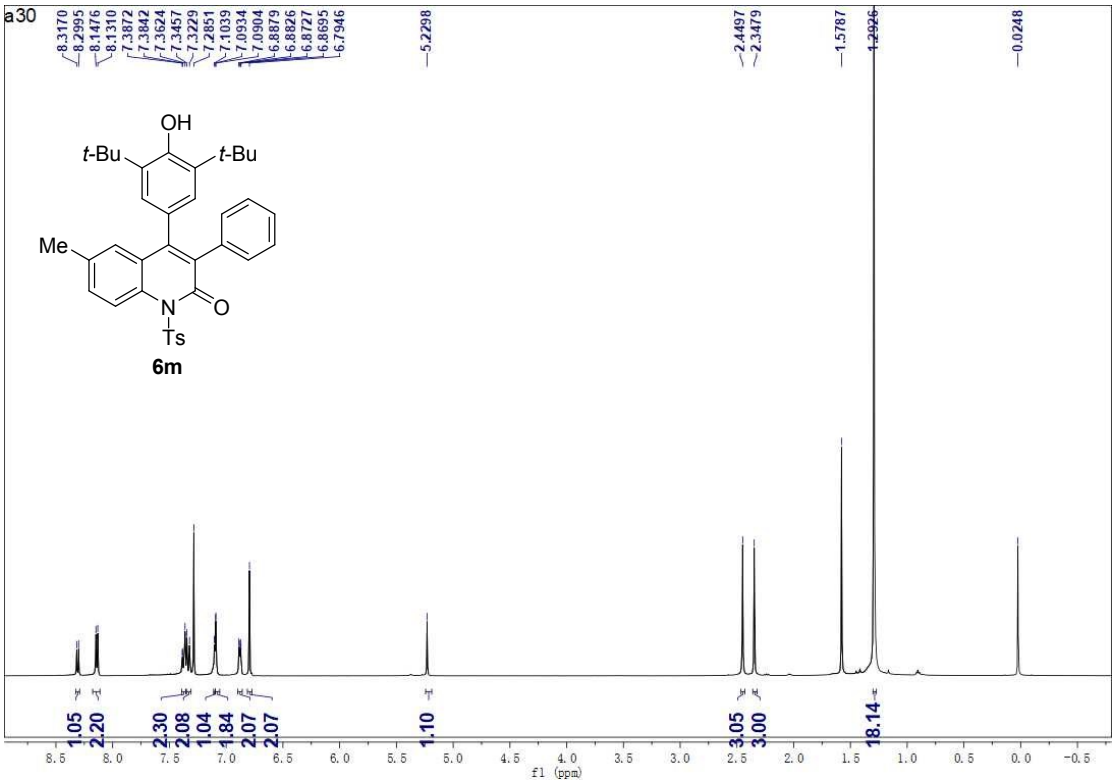
^{1}H NMR of **6l**



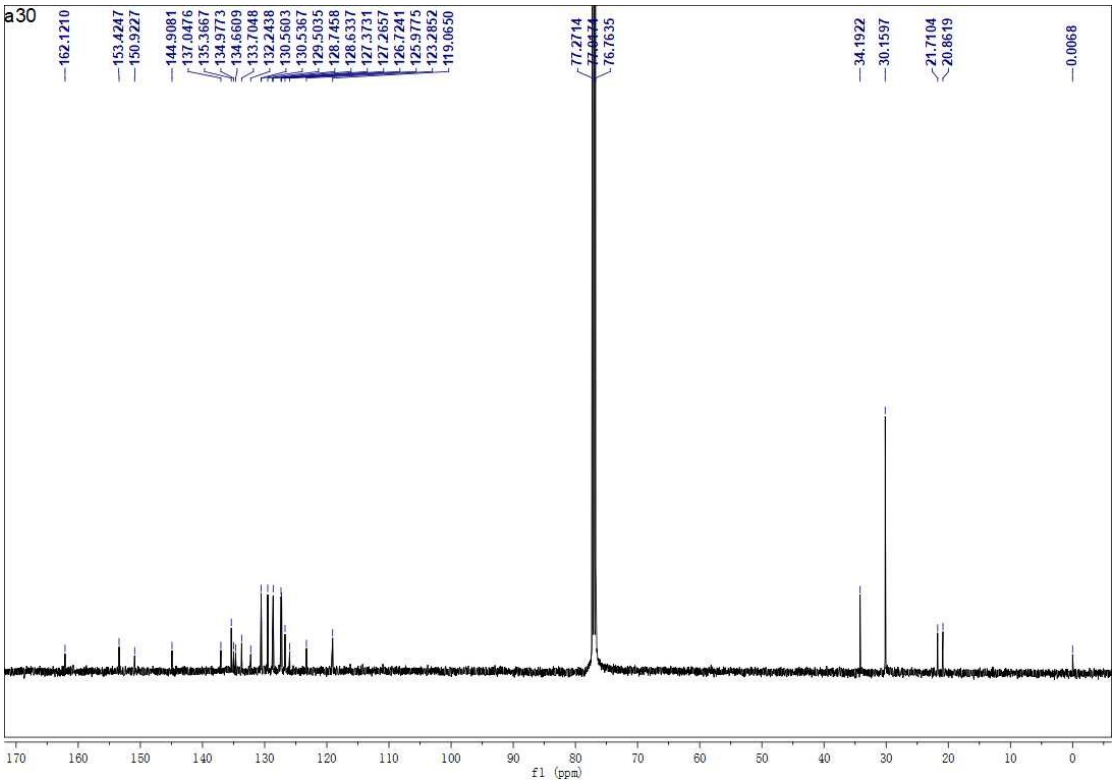
^{13}C NMR of **6l**



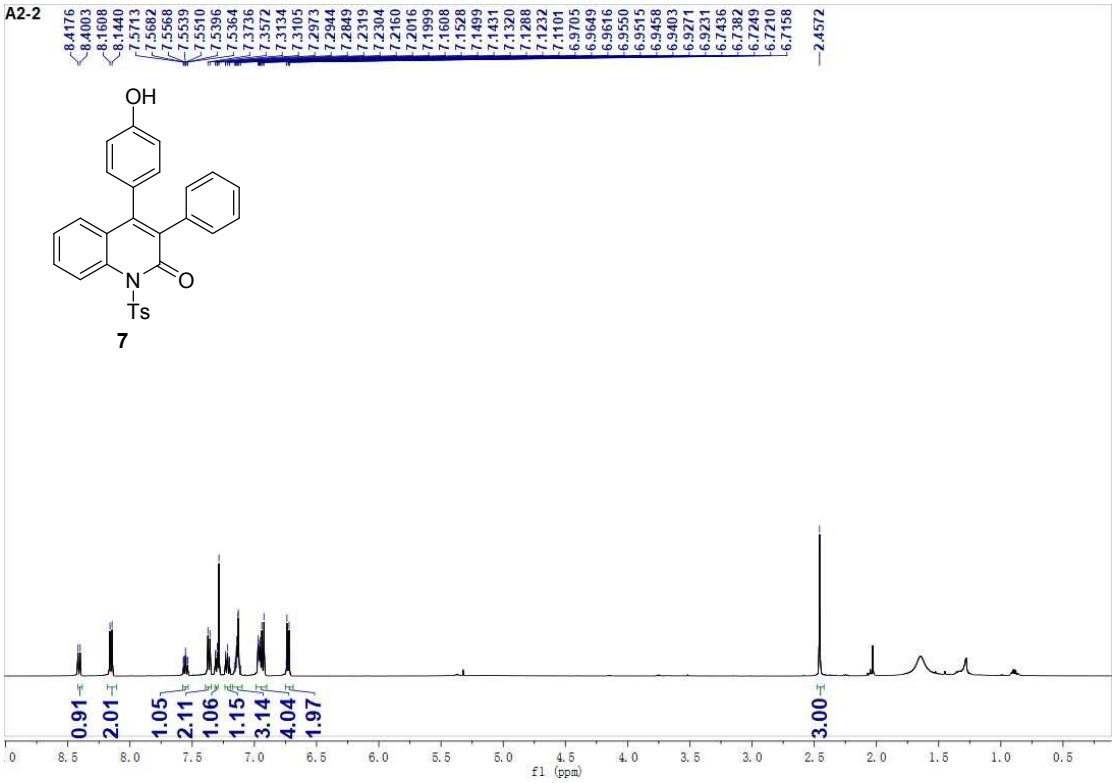
^{1}H NMR of **6m**



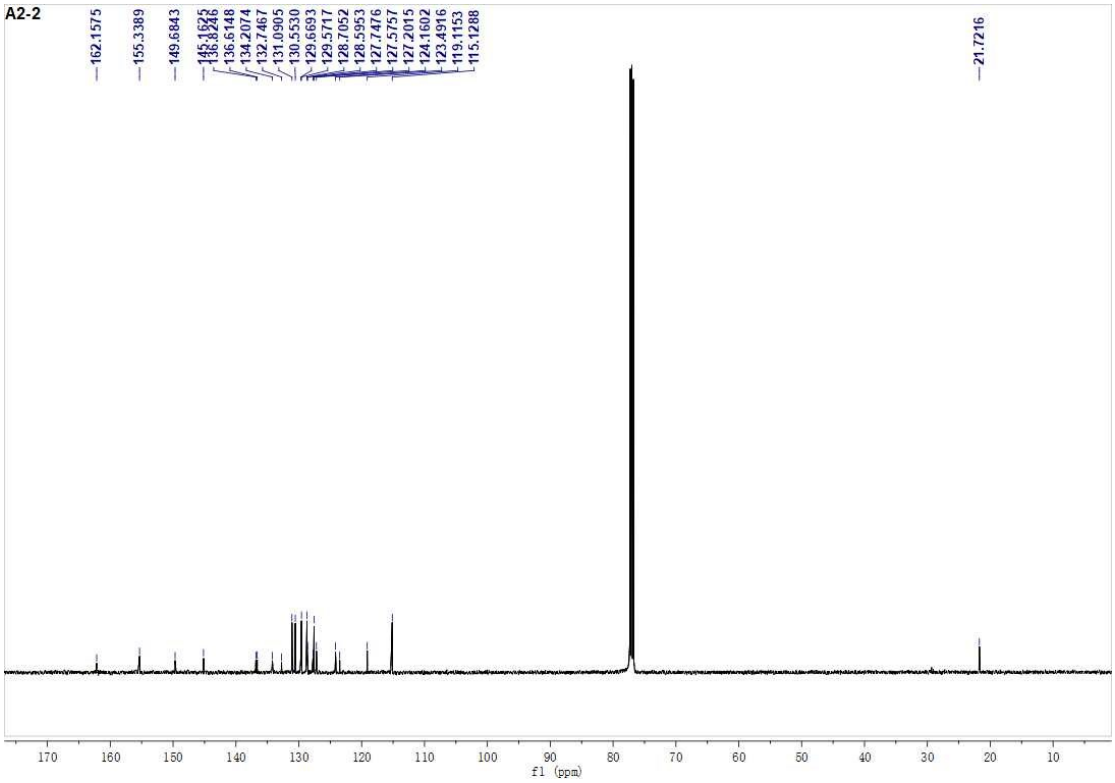
^{13}C NMR of **6m**



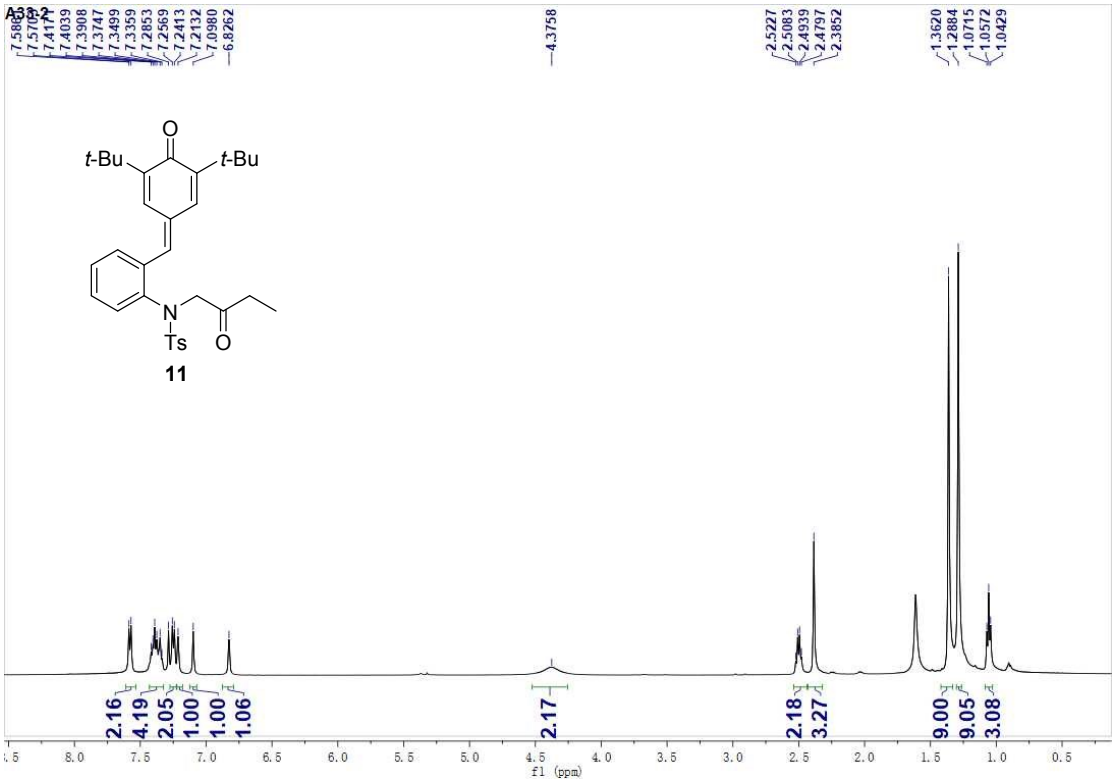
^{1}H NMR of **7**



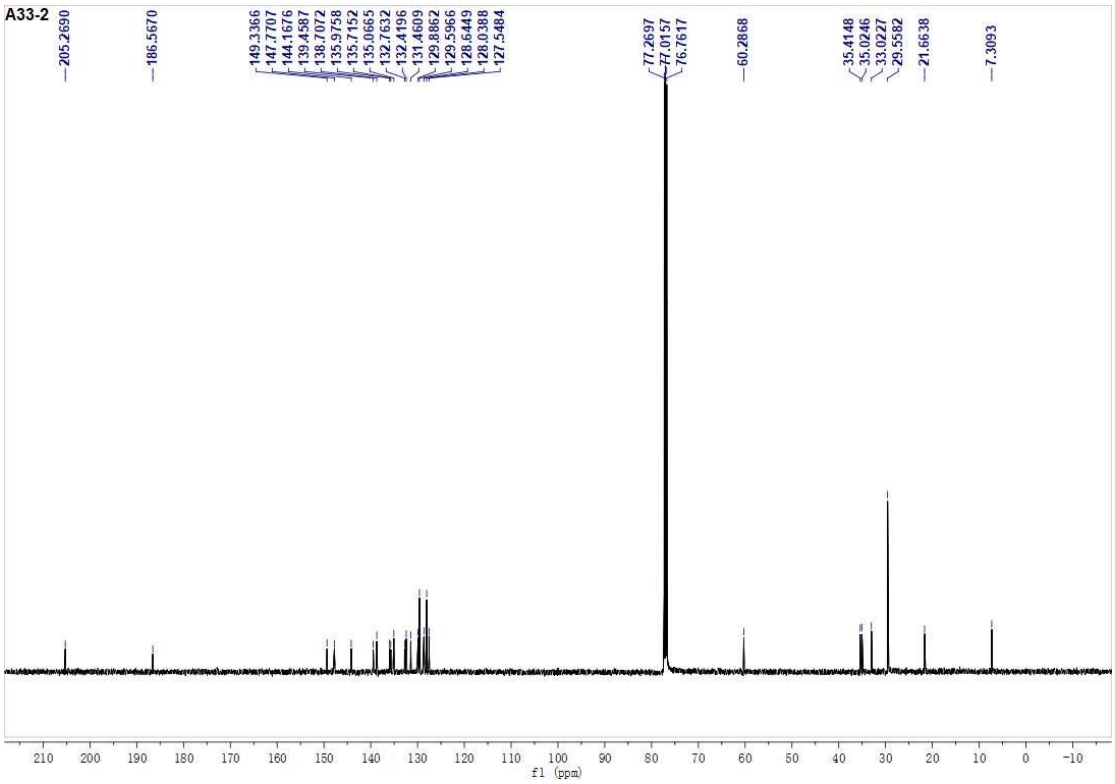
^{13}C NMR of **7**



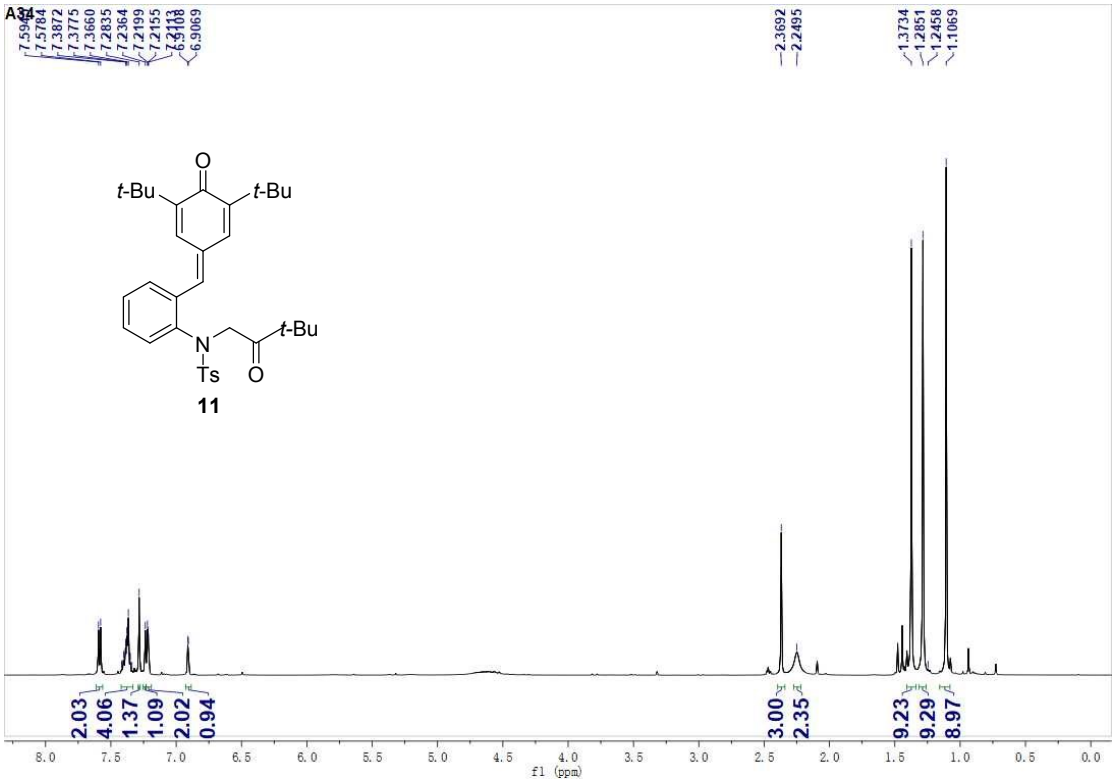
^{1}H NMR of **11**



^{13}C NMR of **11**



^{1}H NMR of **12**



^{13}C NMR of **12**